

Supporting Information

Parallel Kinetic Resolution of Aziridines via Chiral Phosphoric Acid-Catalyzed Apparent Hydrolytic Ring-Opening

Juan Liu, Yi-Ying Du, Yu-Shi He, Yan Liang, Shang-Zhong Liu, Yi-Yi Li,
and Yi-Ming Cao*

College of Science & China Key Laboratory of National Forestry and Grassland
Administration on Pest Chemical Control,
China Agricultural University, Beijing 100193 (China)

Table of Contents

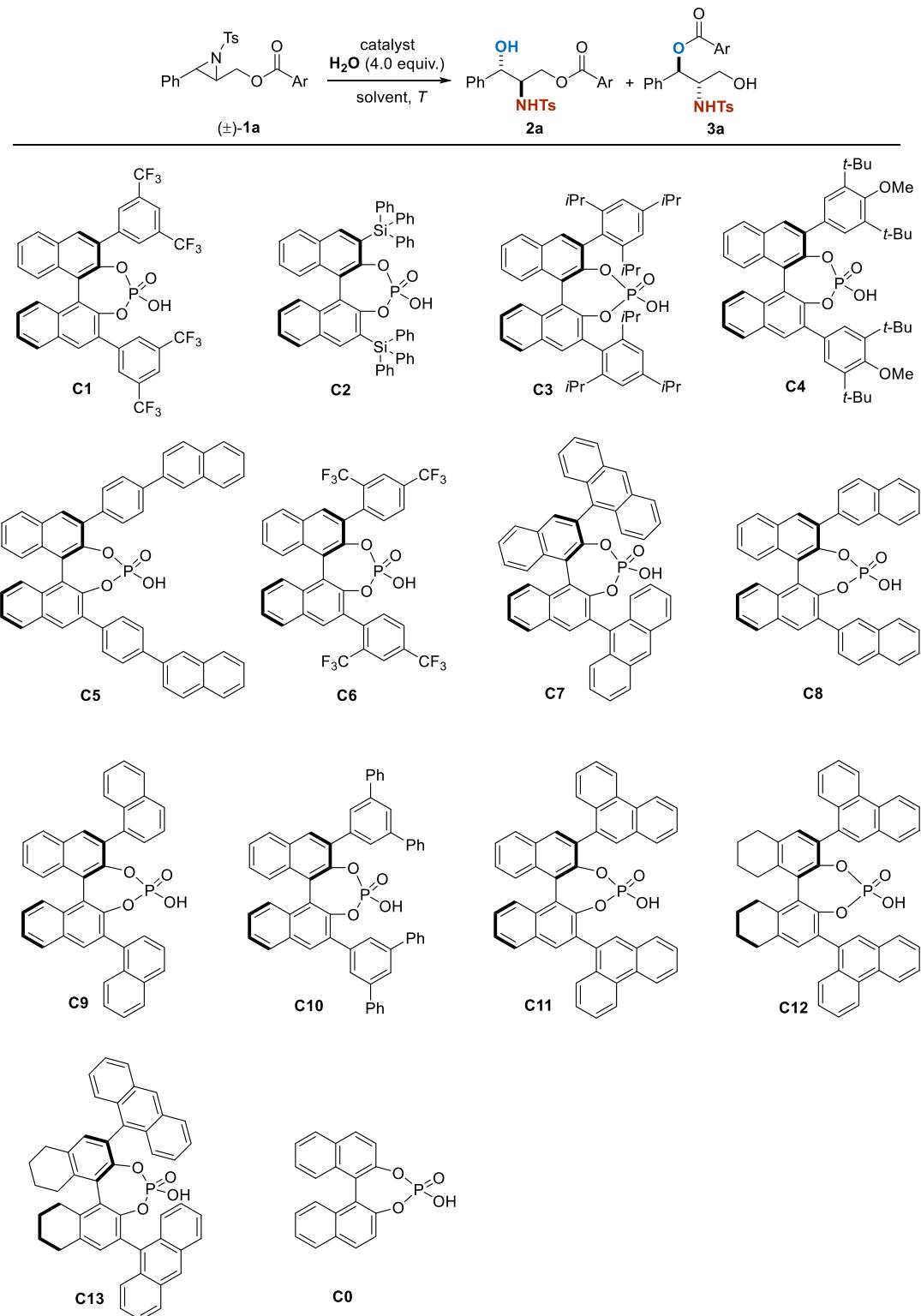
General Information.....	S2
Reaction Optimization.....	S3
General Procedures and Characterization Data for Substrates.....	S6
General Procedures and Characterization Data for Hydrolytic Ring-Opening Reactions.....	S23
Absolute Configuration Determination and X-Ray Analysis Data.....	S63
Procedures and Characterization Data for the Derivatizations.....	S65
Mechanistic Studies.....	S73
References.....	S78
NMR Spectra.....	S79
HPLC Spectra.....	S266

General Information

¹H, ¹³C and ¹⁹F NMR spectral data were recorded at 500 MHz (¹H), 126 MHz (¹³C) and 471 MHz (¹⁹F) on a BRUKER AVANCE500 spectrometer. The chemical shifts were referenced to the corresponding residual solvent signal (CDCl₃: δ_H = 7.26 ppm, δ_C = 77.16 ppm). The multiplicities of the signals are described using the following abbreviations: s = singlet, d = doublet, t = triplet, q = quartet, quint = quintet, br = broad. IR spectra were measured on NICOLET IS10. All IR spectra were measured with neat substances. High-resolution ESI-TOF mass spectra were measured on AB Sciex TripleTOF 5600. Optical rotation values were measured on a Hanon P850 polarimeter. The enantiomeric ratio was determined by chiral HPLC using Agilent 1260 equipped with CHIRALPAK® IA/IC. Products were purified by flash column chromatography on silica gel 300-400 mesh with freshly distilled solvents. Analytical thin-layer chromatography (TLC) was conducted with TLC plates (Silica gel HF254, Qingdao Xueshong). Products were detected by UV light at 254 nm and/or by using staining reagents (based on KMnO₄ or phosphomolybdic acid). Unless otherwise specified, all reagents were purchased from commercial distributors and used without further purification. Anhydrous THF, MeCN, MeOH and CH₂Cl₂ were purchased from J&K Scientific Company. 3, 5-(*t*-Bu)₂-4-OMe-C₆H₂COOH was prepared according to the known protocol¹.

Reaction Optimization

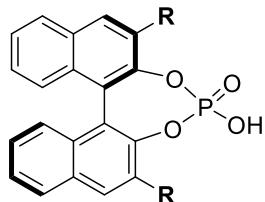
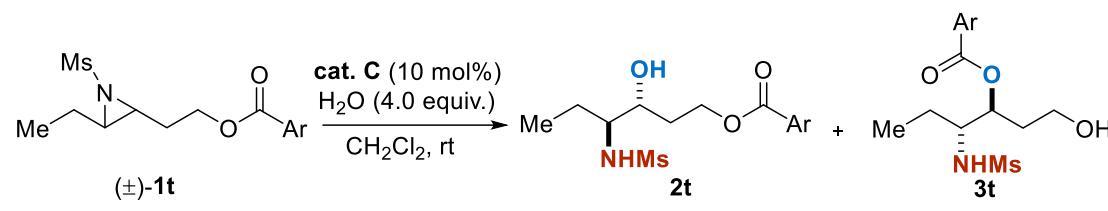
Table S1. Condition optimization for reaction with aromatic aziridine as substrate. ^[a]



entry	cat.	solv.	cat. (mol %)	T	er (%) 2	er (%) 3	time (h)
1	C1	CH ₂ Cl ₂	10	rt	98:2	94:6	2
2	C2	CH ₂ Cl ₂	10	rt	90:10	87.5:12.5	48
3	C3	CH ₂ Cl ₂	10	rt	99:1	96:4	14
4	C4	CH ₂ Cl ₂	10	rt	72:28	72.5:27.5	4
5	C5	CH ₂ Cl ₂	10	rt	97:3	95:5	2
6	C7	CH ₂ Cl ₂	10	rt	99:1	>99.5:0.5	3
7	C8	CH ₂ Cl ₂	10	rt	96:4	95.5:4.5	2
8	C9	CH ₂ Cl ₂	10	rt	96:4	>99.5:0.5	2
9	C10	CH ₂ Cl ₂	10	rt	97.5:2.5	97.5:2.5	2
10	C11	CH ₂ Cl ₂	10	rt	98.5:1.5	>99.5:0.5	3
11	C12	CH ₂ Cl ₂	10	rt	98.5:1.5	99.5:0.5	4
12	C13	CH ₂ Cl ₂	10	rt	98.5:1.5	>99.5:0.5	4
13	C7	CH ₂ Cl ₂	5	rt	99:1	>99.5:0.5	14
14	C7	CH ₂ Cl ₂	2	rt	n.d.	n.d.	>96
15	C7	CHCl ₃	5	rt	97.5:2.5	99:1	12
16	C7	toluene	5	rt	97:3	98.5:1.5	16
17	C7	THF	5	rt	95.5:4.5	98:2	>72
18	C7	Et ₂ O	5	rt	95:5	99:1	>72
19	C7	Acetone	5	rt	95.5:4.5	95:5	>72
20	C7	MeCN	5	rt	97.5:2.5	99:1	12
21	C7	EtOAc	5	rt	95:5	97:3	>72
22	C7	1,2-DCE	5	rt	99:1	98.5:1.5	12
23	C7	PhCl	5	rt	98.5:1.5	98:2	12
24	C7	xylene	5	rt	96.5:3.5	99:1	48
25	C7	CH ₂ Cl ₂	5	0 °C	98.5:1.5	99:1	20
26	C7	CH ₂ Cl ₂	5	-20 °C	n.d.	n.d.	>96
27 ^b	C7	CH ₂ Cl ₂	5	rt	98:2	99:1	12
28	C0	CH ₂ Cl ₂	10	rt	50:50	50:50	6

[a] Unless otherwise noted, reactions were performed on a 0.05 mmol scale in 1 mL solvent for the indicated time; >95% conversion was achieved after the indicated time; er's were determined by HPLC. [b] Reaction was performed in 0.5 mL CH₂Cl₂; Ar = 3,5-(*t*-Bu)₂-4-MeO-C₆H₂

Table S2. Condition optimization for reaction with aliphatic aziridine as substrate. [a]



C1, R = 3,5-(CF₃)₂C₆H₃

C6, R = 2,4-(CF₃)₂C₆H₃

C8, R = 4-CF₃C₆F₄

C9, R = C₆F₅

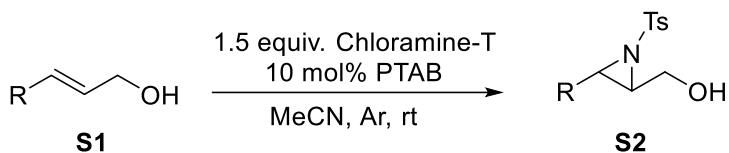
C10, R = 4-C₆F₅C₆F₄

entry	cat.	er (%) 2	er (%) 3	time (h)
1	C1	87:13	62.5:37.5	14
2	C6	95:5	93:7	16
3	C14	93.6:6.5	86.5:13.5	20
4	C15	96:4	85:15	24
5	C16	79:21	74:26	20
6	C0	50:50	50:50	16

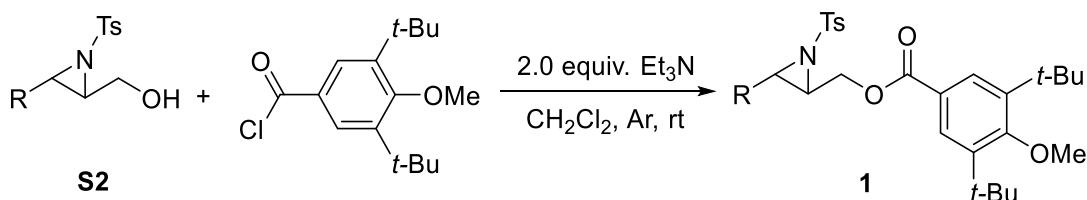
[a] Unless otherwise noted, reactions were performed on a 0.05 mmol scale in 1 mL CH₂Cl₂ at rt for the indicated time; >95% conversion was achieved after the indicated time; *ers* were determined by HPLC; Ar = 3,5-(*t*-Bu)₂-4-MeO-C₆H₂

General Procedures and Characterization Data for Substrates

General procedure A:

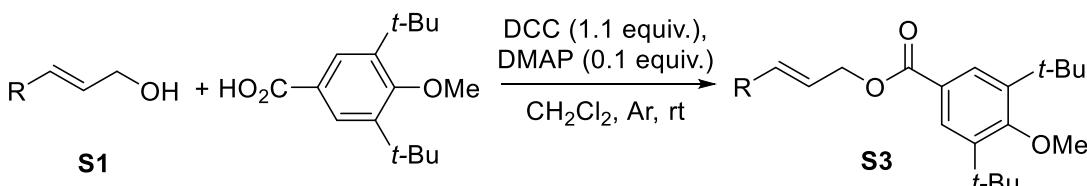


The aziridinol **S2** was prepared from allylic alcohol² **S1** according to literature³. Under Ar atmosphere, to a stirred suspension of chloramine-T (3.0 mmol, 1.5 equiv.) and phenyltrimethylammonium tribromide (PTAB) (0.2 mmol, 0.1 equiv.) in dry MeCN (10 mL) were added the allylic alcohol **S1** (2.0 mmol, 1.0 equiv.) at room temperature. The light yellow slurry was stirred overnight, filtered and concentrated under reduced pressure. The crude was purified by silica gel column chromatography (Petroleum ether / EtOAc = 5:1) to give aziridinol **S2**.



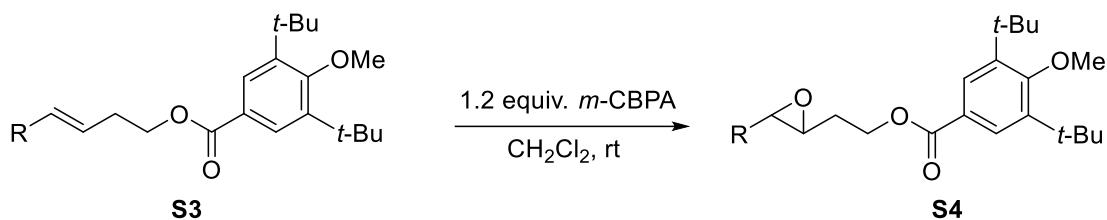
The aziridinol **S2** (1.40 mmol, 1.00 equiv.) was dissolved in anhydrous CH_2Cl_2 (5 mL) under Ar atmosphere. Et_3N (2.80 mmol, 2.00 equiv.) and benzoyl chloride (1.47 mmol, 1.05 equiv.) were added sequentially at 0 °C. The reaction progress was monitored by TLC. After removal of solvent under reduced pressure, the crude was purified by silica gel column chromatography (Petroleum ether / EtOAc = 20:1) to afford the desired compound **1**.

General procedure B:

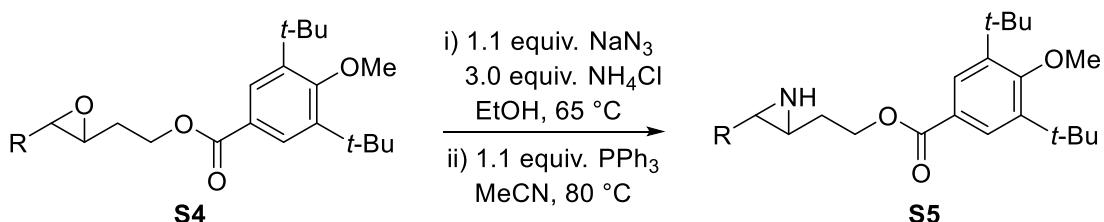


DCC (2.2 mmol, 1.10 equiv.), DMAP (0.2 mmol, 0.10 equiv.) and the acid (2.1 mmol,

1.05 equiv.) were dissolved in anhydrous CH₂Cl₂ (10 mL) under Ar atmosphere at 0 °C. The mixture was stirred at 0 °C for 30 min. Then the corresponding alcohol **S1** (2.0 mmol, 1.00 equiv.) dissolved in anhydrous CH₂Cl₂ (2 mL) was added dropwise to the suspension and the resulting mixture was stirred at rt. The reaction progress was monitored by TLC. After complete consumption of the alcohol, pentane (12 mL) was added to the reaction and the suspension was stirred for an additional 10 min. The reaction mixture was filtered and the filtrate was concentrated. The residue was purified by column chromatography directly to afford the desired ester **S3** (Petroleum ether / EtOAc = 50:1).

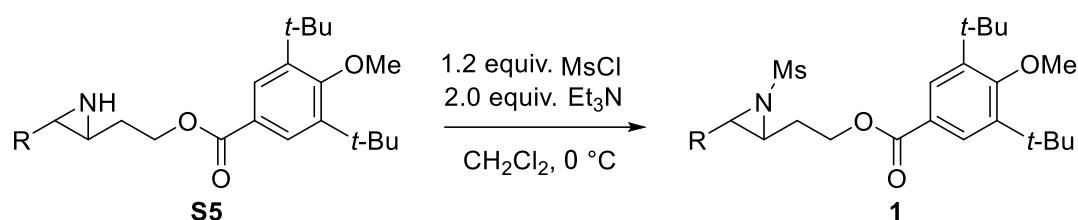


To a mixture of ester **S3** (2.0 mmol, 1.0 equiv.) in CH₂Cl₂ (5 mL) was added *m*-CPBA (2.4 mmol, 1.2 equiv.) and the reaction was stirred at rt overnight. The reaction mixture was washed successively with saturated Na₂S₂O₃ and saturated NaHCO₃. The organic layer was washed with water and brine, dried over sodium sulfate, and concentrated under reduced pressure. The crude was purified by silica gel column chromatography (Petroleum ether / EtOAc = 30:1) to give the desired compound **S4**.



The corresponding epoxide **S4** (1.90 mmol, 1.0 equiv.) was dissolved in absolute ethanol (5 mL), then NaN₃ (2.09 mmol, 1.1 equiv.) and NH₄Cl (5.70 mmol, 3.0 equiv.) were added and the resulting mixture was heated to 65 °C. The reaction was monitored by TLC and when it was deemed complete, the crude was diluted with ethyl acetate. The organic layer was washed with brine, dried over sodium sulfate, and concentrated under

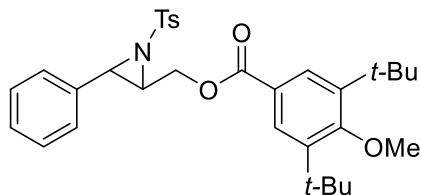
reduced pressure. The crude was then dissolved in MeCN and heated gently to 40 °C. PPh₃ (2.09 mmol, 1.1 equiv.) was added slowly to ensure a smooth reaction and when the evolution of nitrogen ceased the solution was heated to 80 °C. The reaction progress was monitored by TLC. After removal of solvent under reduced pressure, the crude was purified by silica gel column chromatography (Petroleum ether / EtOAc = 10:1) to afford the desired aziridine **S5**.



The aziridine **S5** (1.52 mmol, 1.0 equiv.) was dissolved in anhydrous CH₂Cl₂ (5 mL). Et₃N (3.04 mmol, 2.0 equiv.) and MsCl (1.82 mmol, 1.2 equiv.) were added sequentially at 0 °C. The reaction progress was monitored by TLC. After removal of solvent under reduced pressure, the crude was purified by silica gel column chromatography (Petroleum ether / EtOAc = 10:1) to afford the desired compound **1**.

1a:

(3-phenyl-1-tosylaziridin-2-yl)methyl 3,5-di-tert-butyl-4-methoxybenzoate



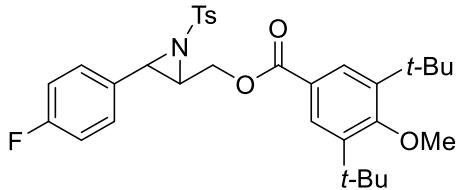
The title compound was synthesized according to **General Procedure A** and isolated by column chromatography (Petroleum ether / EtOAc = 20:1) in 85% yield. Viscous colorless oil; **¹H NMR** (500 MHz,

CDCl₃: δ 8.00 (s, 2H), 7.84 (d, *J* = 8.1 Hz, 2H), 7.29 – 7.22 (m, 5H), 7.20 (dd, *J* = 6.7, 3.0 Hz, 2H), 4.98 (dd, *J* = 12.1, 6.2 Hz, 1H), 4.90 (dd, *J* = 12.1, 6.4 Hz, 1H), 4.06 (d, *J* = 4.1 Hz, 1H), 3.71 (s, 3H), 3.28 (td, *J* = 6.3, 4.1 Hz, 1H), 2.37 (s, 3H), 1.45 (s, 18H) ppm; ¹³C NMR (126 MHz, CDCl₃): δ 166.61, 164.34, 144.40, 144.30, 137.10, 134.35, 129.75, 128.75, 128.69, 128.56, 127.65, 126.92, 123.98, 64.59, 61.75, 49.28, 47.25, 36.05, 32.05, 21.74 ppm; HRMS (ESI): (*m/z*) calcd for C₃₂H₃₉NO₅S [M+H]⁺: 550.2622, found: 550.2624; IR: ν = 2961, 1717, 1597, 1455, 1409, 1363, 1325, 1295, 1225, 1185, 1161, 1132, 1117,

1089, 1005, 910, 888, 849, 814, 755, 711, 697, 687, 609, 593, 553, 540 cm⁻¹.

1b:

(3-(4-fluorophenyl)-1-tosylaziridin-2-yl)methyl 3,5-di-tert-butyl-4-methoxybenzoate

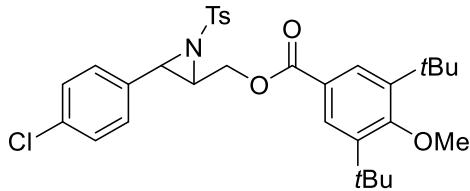


The title compound was synthesized according to **General Procedure A** and isolated by column chromatography (Petroleum ether / EtOAc = 20:1) in 77% yield. Viscous colorless oil; **¹H NMR** (500

MHz, CDCl₃): δ 7.99 (s, 2H), 7.83 (d, J = 8.1 Hz, 2H), 7.25 (d, J = 8.7 Hz, 2H), 7.21 – 7.14 (m, 2H), 6.96 (t, J = 8.6 Hz, 2H), 4.96 (dd, J = 12.1, 6.2 Hz, 1H), 4.86 (dd, J = 12.1, 6.3 Hz, 1H), 4.03 (d, J = 4.1 Hz, 1H), 3.71 (s, 3H), 3.26 (td, J = 6.2, 4.1 Hz, 1H), 2.38 (s, 3H), 1.45 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.60, 164.37, 162.86 (d, J = 247.5 Hz), 144.53, 144.32, 136.95, 130.04 (d, J = 3.3 Hz), 129.78, 128.72, 128.72 (d, J = 8.3 Hz), 127.62, 123.88, 115.70 (d, J = 21.7 Hz), 64.60, 61.69, 49.18, 46.65, 36.03, 32.03, 21.75 ppm; **¹⁹F NMR** (471 MHz, CDCl₃): δ -113.06 ppm; **HRMS** (ESI): (m/z) calcd for C₃₂H₃₈FNO₅S [M+H]⁺: 568.2528, found: 568.2528; **IR**: ν = 2962, 1717, 1598, 1514, 1447, 1408, 1326, 1296, 1227, 1162, 1132, 1117, 1088, 1006, 913, 888, 842, 814, 767, 707, 687, 597, 541, 507, 419 cm⁻¹.

1c:

(3-(4-chlorophenyl)-1-tosylaziridin-2-yl)methyl 3,5-di-tert-butyl-4-methoxybenzoate



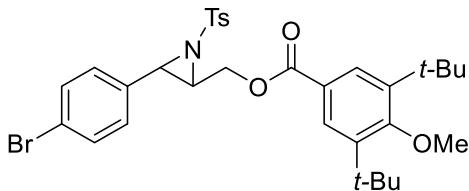
The title compound was synthesized according to **General Procedure A** and isolated by column chromatography (Petroleum ether / EtOAc = 20:1) in 80% yield. Viscous colorless oil; **¹H NMR** (500

MHz, CDCl₃): δ 7.98 (s, 2H), 7.83 (d, J = 8.0 Hz, 2H), 7.27 – 7.21 (m, 4H), 7.13 (d, J = 8.2 Hz, 2H), 4.97 (dd, J = 12.1, 6.2 Hz, 1H), 4.87 (dd, J = 12.1, 6.3 Hz, 1H), 4.02 (d, J = 4.1 Hz, 1H), 3.71 (s, 3H), 3.23 (td, J = 6.2, 4.0 Hz, 1H), 2.38 (s, 3H), 1.44 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.61, 164.40, 144.60, 144.34, 136.91, 134.50, 132.90, 129.81, 128.92, 128.74, 128.27, 127.63, 123.86, 64.61, 61.63, 49.35, 46.62, 36.05, 32.05, 21.77 ppm; **HRMS** (ESI): (m/z) calcd for C₃₂H₃₈CINO₅S [M+H]⁺: 584.2232, found:

584.2234; **IR**: $\tilde{\nu}$ = 2959, 1716, 1645, 1597, 1495, 1449, 1405, 1363, 1326, 1296, 1225, 1161, 1132, 1117, 1088, 1007, 913, 889, 814, 756, 723, 708, 686, 665, 595, 554 cm^{-1} .

1d:

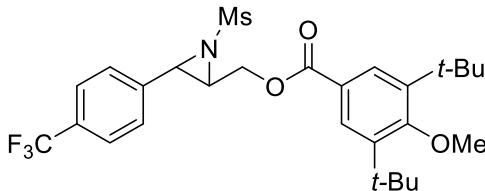
(3-(4-bromophenyl)-1-tosylaziridin-2-yl)methyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to **General Procedure A** and isolated by column chromatography (Petroleum ether / EtOAc = 20:1) in 82% yield. Viscous colorless oil; **$^1\text{H NMR}$** (500 MHz, CDCl_3): δ 7.99 (s, 2H), 7.83 (d, J = 8.2 Hz, 2H), 7.39 (d, J = 8.4 Hz, 2H), 7.28 – 7.23 (m, 2H), 7.10 – 7.04 (m, 2H), 4.97 (dd, J = 12.1, 6.2 Hz, 1H), 4.87 (dd, J = 12.1, 6.3 Hz, 1H), 4.01 (d, J = 4.1 Hz, 1H), 3.71 (s, 3H), 3.23 (td, J = 6.2, 4.1 Hz, 1H), 2.38 (s, 3H), 1.45 (s, 18H) ppm; **$^{13}\text{C NMR}$** (126 MHz, CDCl_3): δ 166.60, 164.39, 144.61, 144.33, 136.87, 133.44, 131.86, 129.82, 128.73, 128.55, 127.62, 123.84, 122.62, 64.60, 61.61, 49.34, 46.66, 36.04, 32.04, 21.77 ppm; **HRMS** (ESI): (*m/z*) calcd for $\text{C}_{32}\text{H}_{38}\text{BrNO}_5\text{S}$ [$\text{M}+\text{H}]^+$: 630.1707, found: 630.1722; **IR**: $\tilde{\nu}$ = 2962, 1717, 1597, 1491, 1447, 1397, 1363, 1326, 1297, 1228, 1162, 1132, 1117, 1088, 1072, 1011, 913, 888, 814, 768, 720, 707, 687, 651, 595, 554 cm^{-1} .

1e:

(1-(methylsulfonyl)-3-(4-(trifluoromethyl)phenyl)aziridin-2-yl)methyl 3,5-di-tert-butyl-4-methoxybenzoate

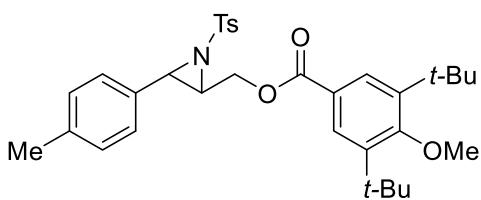


The title compound was synthesized according to **General Procedure A** and isolated by column chromatography (Petroleum ether / EtOAc = 10:1) in 75% yield. Viscous colorless oil; **$^1\text{H NMR}$** (500 MHz, CDCl_3): δ 7.99 (s, 2H), 7.63 (d, J = 8.0 Hz, 2H), 7.47 (d, J = 7.9 Hz, 2H), 5.00 (dd, J = 12.2, 5.8 Hz, 1H), 4.81 (dd, J = 12.2, 6.8 Hz, 1H), 4.05 (d, J = 4.1 Hz, 1H), 3.71 (s, 3H), 3.26 (td, J = 6.3, 4.2 Hz, 1H), 3.19 (s, 3H), 1.44 (s, 18H) ppm; **$^{13}\text{C NMR}$** (126 MHz, CDCl_3): δ 166.48, 164.52, 144.46, 138.43, 131.09 (q, J = 32.6 Hz), 128.69, 127.22, 125.91 (q, J = 3.7 Hz), 123.97 (q, J = 271.9 Hz), 123.64, 64.61, 61.19, 49.69,

45.67, 42.06, 36.05, 32.02 ppm; **¹⁹F NMR** (471 MHz, CDCl₃): δ -62.69 ppm; **HRMS** (ESI): (m/z) calcd for C₂₇H₃₄F₃NO₅S [M+H]⁺: 542.2183, found: 542.2188; **IR**: ν = 2964, 1718, 1622, 1448, 1409, 1363, 1324, 1298, 1228, 1156, 1128, 1068, 1007, 923, 887, 859, 785, 768, 678, 641, 599, 508 cm⁻¹.

1f:

(3-(p-tolyl)-1-tosylaziridin-2-yl)methyl 3,5-di-tert-butyl-4-methoxybenzoate

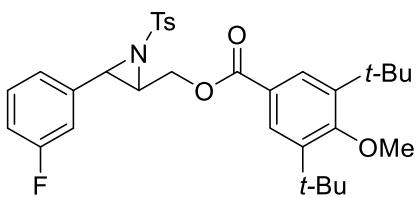


The title compound was synthesized according to **General Procedure A** and isolated by column chromatography (Petroleum ether / EtOAc = 20:1) in 70% yield. Viscous colorless

oil; **¹H NMR** (500 MHz, CDCl₃): δ 7.99 (s, 2H), 7.83 (d, J = 8.4 Hz, 2H), 7.24 (d, J = 8.0 Hz, 2H), 7.13 – 7.05 (m, 4H), 4.97 (dd, J = 12.1, 6.1 Hz, 1H), 4.87 (dd, J = 12.1, 6.5 Hz, 1H), 4.03 (d, J = 4.2 Hz, 1H), 3.71 (s, 3H), 3.30 (td, J = 6.3, 4.2 Hz, 1H), 2.37 (s, 3H), 2.30 (s, 3H), 1.45 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.60, 164.30, 144.30, 144.26, 138.45, 137.17, 131.18, 129.71, 129.35, 128.74, 127.61, 126.91, 123.98, 64.58, 61.84, 49.03, 47.32, 36.03, 32.05, 21.73, 21.29 ppm; **HRMS** (ESI): (m/z) calcd for C₃₃H₄₁NO₅S [M+H]⁺: 564.2778, found: 564.2782; **IR**: ν = 2956, 2924, 2869, 1717, 1597, 1519, 1453, 1408, 1378, 1325, 1296, 1226, 1184, 1161, 1132, 1117, 1088, 1005, 913, 888, 814, 761, 708, 687, 596, 540 cm⁻¹.

1g:

(3-(3-fluorophenyl)-1-tosylaziridin-2-yl)methyl 3,5-di-tert-butyl-4-methoxybenzoate

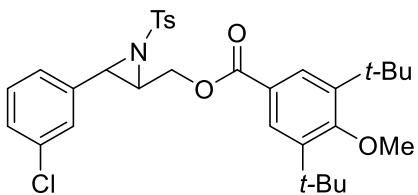


The title compound was synthesized according to **General Procedure A** and isolated by column chromatography (Petroleum ether / EtOAc = 20:1) in 88% yield. Viscous colorless oil; **¹H NMR** (500 MHz, CDCl₃): δ 7.99 (s, 2H), 7.85 (d, J = 8.1 Hz, 2H), 7.32 – 7.15 (m, 3H), 7.01 (d, J = 7.7 Hz, 1H), 6.95 (td, J = 8.4, 2.6 Hz, 1H), 6.86 (dt, J = 9.6, 2.1 Hz, 1H), 4.98 (dd, J = 12.1, 6.3 Hz, 1H), 4.90 (dd, J = 12.1, 6.3 Hz, 1H), 4.05 (d, J = 4.1 Hz, 1H), 3.71 (s, 3H), 3.21 (td, J = 6.3, 4.0 Hz, 1H), 2.39 (s, 3H), 1.45 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.59,

164.39, 162.97 (d, $J = 246.9$ Hz), 144.64, 144.34, 137.09 (d, $J = 7.8$ Hz), 136.85, 130.32 (d, $J = 8.3$ Hz), 129.83, 128.74, 127.66, 123.87, 122.66 (d, $J = 2.9$ Hz), 115.57 (d, $J = 21.3$ Hz), 113.65 (d, $J = 22.8$ Hz), 64.60, 61.50, 49.61, 46.46 (d, $J = 2.3$ Hz), 36.04, 32.04, 21.76 ppm; **¹⁹F NMR** (471 MHz, CDCl₃): δ -112.36 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₂H₃₈FNO₅S [M+H]⁺: 568.2528, found: 568.2535; **IR**: $\tilde{\nu}$ = 2962, 1717, 1616, 1594, 1452, 1409, 1327, 1296, 1227, 1185, 1162, 1137, 1117, 1089, 1006, 956, 924, 887, 815, 789, 768, 715, 696, 686, 605, 573, 552, 522 cm⁻¹.

1h:

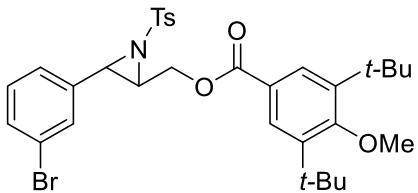
(3-(3-chlorophenyl)-1-tosylaziridin-2-yl)methyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to **General Procedure A** and isolated by column chromatography (Petroleum ether / EtOAc = 20:1) in 81% yield. Viscous colorless oil; **¹H NMR** (500 MHz, CDCl₃): δ 8.00 (s, 2H), 7.89 – 7.82 (m, 2H), 7.27 (d, $J = 8.6$ Hz, 2H), 7.23 (dt, $J = 8.0, 1.6$ Hz, 1H), 7.20 (t, $J = 7.6$ Hz, 1H), 7.15 (t, $J = 1.8$ Hz, 1H), 7.10 (dt, $J = 7.3, 1.5$ Hz, 1H), 4.98 (dd, $J = 12.1, 6.3$ Hz, 1H), 4.89 (dd, $J = 12.1, 6.3$ Hz, 1H), 4.03 (d, $J = 4.1$ Hz, 1H), 3.72 (s, 3H), 3.23 (td, $J = 6.3, 4.1$ Hz, 1H), 2.39 (s, 3H), 1.45 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.59, 164.39, 144.66, 144.34, 136.78, 136.54, 134.70, 129.99, 129.83, 128.76, 128.73, 127.68, 126.94, 125.11, 123.87, 64.59, 61.51, 49.43, 46.35, 36.03, 32.04, 21.75 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₂H₃₈CINO₅S [M+H]⁺: 584.2232, found: 584.2239; **IR**: $\tilde{\nu}$ = 2961, 1716, 1598, 1574, 1447, 1408, 1364, 1325, 1295, 1224, 1185, 1160, 1131, 1116, 1088, 1004, 914, 887, 814, 787, 755, 716, 685, 595, 558, 519, 439 cm⁻¹.

1i:

(3-(3-bromophenyl)-1-tosylaziridin-2-yl)methyl 3,5-di-tert-butyl-4-methoxybenzoate

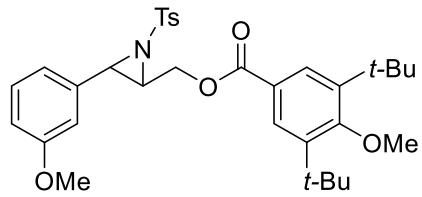


The title compound was synthesized according to **General Procedure A** and isolated by column chromatography (Petroleum ether / EtOAc = 20:1) in 83% yield. Viscous colorless oil; **¹H NMR** (500 MHz,

CDCl_3): δ 7.99 (s, 2H), 7.84 (d, J = 8.3 Hz, 2H), 7.38 (ddq, J = 6.0, 4.0, 1.9 Hz, 1H), 7.31 – 7.26 (m, 3H), 7.17 – 7.10 (m, 2H), 4.97 (dd, J = 12.1, 6.3 Hz, 1H), 4.89 (dd, J = 12.1, 6.3 Hz, 1H), 4.01 (d, J = 4.1 Hz, 1H), 3.71 (s, 3H), 3.22 (td, J = 6.3, 4.1 Hz, 1H), 2.39 (s, 3H), 1.45 (s, 18H) ppm; ^{13}C NMR (126 MHz, CDCl_3): δ 166.60, 164.40, 144.70, 144.35, 136.77, 136.74, 131.70, 130.25, 129.88, 129.85, 128.75, 127.71, 125.58, 123.86, 122.79, 64.60, 61.51, 49.43, 46.26, 36.05, 32.05, 21.78 ppm; HRMS (ESI): (*m/z*) calcd for $\text{C}_{32}\text{H}_{38}\text{BrNO}_5\text{S} [\text{M}+\text{H}]^+$: 628.1727, found: 628.1726; IR: $\tilde{\nu}$ = 2962, 1717, 1597, 1571, 1448, 1408, 1364, 1327, 1296, 1228, 1185, 1162, 1132, 1117, 1089, 914, 888, 814, 767, 715, 686, 595, 555 cm^{-1} .

1j:

(3-(3-methoxyphenyl)-1-tosylaziridin-2-yl)methyl 3,5-di-tert-butyl-4-methoxybenzoate

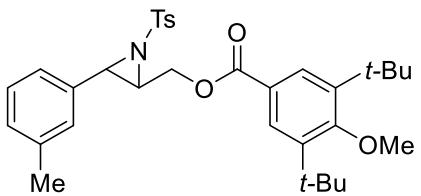


The title compound was synthesized according to **General Procedure A** and isolated by column chromatography (Petroleum ether / EtOAc = 10:1) in 82% yield. Viscous colorless oil; ^1H NMR (500 MHz,

CDCl_3): δ 8.03 (s, 2H), 7.90 – 7.84 (m, 2H), 7.28 – 7.23 (m, 2H), 7.18 (t, J = 7.9 Hz, 1H), 6.84 – 6.77 (m, 2H), 6.70 (dd, J = 2.5, 1.6 Hz, 1H), 5.03 (dd, J = 12.1, 6.1 Hz, 1H), 4.92 (dd, J = 12.1, 6.5 Hz, 1H), 4.06 (d, J = 4.2 Hz, 1H), 3.72 (s, 3H), 3.71 (s, 3H), 3.27 (td, J = 6.3, 4.1 Hz, 1H), 2.37 (s, 3H), 1.47 (s, 18H) ppm; ^{13}C NMR (126 MHz, CDCl_3): δ 166.53, 164.27, 159.80, 144.36, 144.21, 137.03, 135.89, 129.69, 129.68, 128.69, 127.59, 123.92, 119.10, 114.30, 111.92, 64.52, 61.61, 55.19, 49.36, 47.07, 35.96, 31.99, 21.64 ppm; HRMS (ESI): (*m/z*) calcd for $\text{C}_{33}\text{H}_{41}\text{NO}_6\text{S} [\text{M}+\text{H}]^+$: 580.2728, found: 580.2732; IR: $\tilde{\nu}$ = 2960, 1717, 1597, 1494, 1457, 1409, 1325, 1295, 1226, 1161, 1132, 1117, 1088, 1046, 1005, 924, 887, 814, 754, 714, 696, 686, 605, 551, 528 cm^{-1} .

1k:

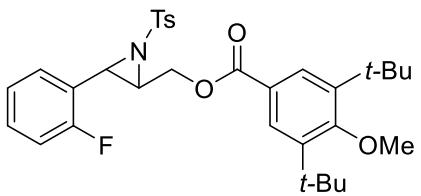
(3-(m-tolyl)-1-tosylaziridin-2-yl)methyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to **General Procedure A** and isolated by column chromatography (Petroleum ether / EtOAc = 20:1) in 80% yield. Viscous colorless oil; **¹H NMR** (500 MHz, CDCl₃): δ 8.00 (s, 2H), 7.85 (d, J = 8.4 Hz, 2H), 7.28 – 7.22 (m, 2H), 7.16 (t, J = 7.8 Hz, 1H), 7.07 (d, J = 7.6 Hz, 1H), 7.00 (dd, J = 4.6, 2.1 Hz, 2H), 4.97 (dd, J = 12.1, 6.2 Hz, 1H), 4.90 (dd, J = 12.1, 6.5 Hz, 1H), 4.03 (d, J = 4.2 Hz, 1H), 3.72 (s, 3H), 3.28 (td, J = 6.3, 4.2 Hz, 1H), 2.38 (s, 3H), 2.28 (s, 3H), 1.45 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃) δ 166.62, 164.33, 144.37, 144.29, 138.42, 137.08, 134.22, 129.73, 129.34, 128.75, 128.59, 127.69, 127.63, 123.98, 64.60, 61.76, 49.17, 47.22, 36.04, 32.06, 21.74, 21.43 ppm; **HRMS** (ESI): (m/z) calcd for C₃₃H₄₁NO₅S [M+H]⁺: 564.2778, found: 564.2785; **IR**: ν = 2961, 1718, 1597, 1449, 1408, 1363, 1325, 1296, 1227, 1185, 1161, 1132, 1117, 1088, 1006, 924, 887, 814, 788, 768, 714, 698, 687, 596, 556, 438 cm⁻¹.

1I:

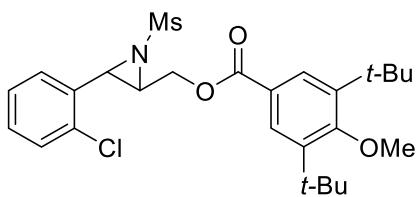
(3-(2-fluorophenyl)-1-tosylaziridin-2-yl)methyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to **General Procedure A** and isolated by column chromatography (Petroleum ether / EtOAc = 20:1) in 83% yield. Viscous colorless oil; **¹H NMR** (500 MHz, CDCl₃) δ 8.01 (s, 2H), 7.86 (d, J = 8.3 Hz, 2H), 7.30 – 7.21 (m, 3H), 7.02 (dd, J = 11.3, 7.1, 6.0, 1.4 Hz, 3H), 4.99 – 4.87 (m, 2H), 4.21 (d, J = 4.2 Hz, 1H), 3.72 (s, 3H), 3.35 (td, J = 6.3, 4.2 Hz, 1H), 2.39 (s, 3H), 1.45 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.56, 164.31, 161.70 (d, J = 248.4 Hz), 144.56, 144.27, 136.81, 130.20 (d, J = 8.1 Hz), 129.76, 128.77, 127.96 (d, J = 3.2 Hz), 127.77, 124.36 (d, J = 3.8 Hz), 123.95, 121.68 (d, J = 13.5 Hz), 115.47 (d, J = 20.6 Hz), 64.58, 61.64, 48.12, 41.72 (d, J = 5.0 Hz), 36.03, 32.04, 21.76 ppm; **¹⁹F NMR** (471 MHz, CDCl₃): δ -118.07 ppm; **HRMS** (ESI): (m/z) calcd for C₃₂H₃₈FNO₅S [M+H]⁺: 568.2528, found: 568.2529; **IR**: ν = 2961, 1718, 1597, 1496, 1457, 1410, 1328, 1296, 1226, 1185, 1162, 1132, 1117, 1088, 1005, 914, 888, 815, 757, 709, 687, 594, 557 cm⁻¹.

1m:

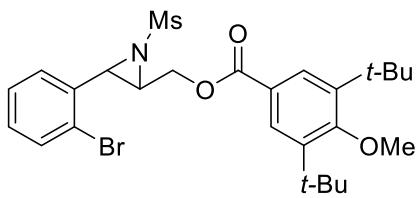
(3-(2-chlorophenyl)-1-(methylsulfonyl)aziridin-2-yl)methyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to **General Procedure A** and isolated by column chromatography (Petroleum ether / EtOAc = 10:1) in 87% yield. Viscous colorless oil; **¹H NMR** (500 MHz, CDCl₃) δ 8.01 (s, 2H), 7.43 – 7.37 (m, 1H), 7.40 – 7.33 (m, 1H), 7.36 – 7.26 (m, 2H), 5.01 (dd, J = 12.2, 5.5 Hz, 1H), 4.90 (dd, J = 12.3, 7.3 Hz, 1H), 4.26 (d, J = 4.3 Hz, 1H), 3.71 (s, 3H), 3.26 – 3.23 (m, 1H), 3.24 (s, 3H), 1.44 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.43, 164.41, 144.39, 134.31, 132.35, 129.94, 129.61, 128.72, 127.64, 127.33, 123.81, 64.58, 61.26, 48.66, 44.01, 41.90, 36.04, 32.04 ppm; **HRMS** (ESI): (m/z) calcd for C₂₆H₃₄CINO₅S [M+H]⁺: 508.1919, found: 508.1918; **IR**: ν = 2957, 2925, 2870, 1719, 1569, 1446, 1410, 1378, 1325, 1297, 1227, 1156, 1132, 1055, 1006, 968, 924, 888, 854, 786, 756, 665, 595, 512 cm⁻¹.

1n:

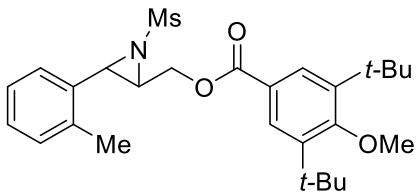
(3-(2-bromophenyl)-1-(methylsulfonyl)aziridin-2-yl)methyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to **General Procedure A** and isolated by column chromatography (Petroleum ether / EtOAc = 10:1) in 81% yield. Viscous colorless oil; **¹H NMR** (500 MHz, CDCl₃): δ 8.01 (s, 2H), 7.58 (dd, J = 8.0, 1.2 Hz, 1H), 7.38 – 7.28 (m, 2H), 7.21 (td, J = 7.6, 2.0 Hz, 1H), 5.05 (dd, J = 12.3, 5.3 Hz, 1H), 4.90 (dd, J = 12.3, 7.6 Hz, 1H), 4.22 (d, J = 4.2 Hz, 1H), 3.71 (s, 3H), 3.26 (s, 3H), 3.21 (dt, J = 7.6, 4.8 Hz, 1H), 1.44 (s, 18H) ppm; **¹³C NMR** (101 MHz, CDCl₃) δ 166.42, 164.41, 144.39, 134.06, 132.80, 130.19, 128.73, 127.93, 127.86, 123.81, 64.61, 61.25, 49.10, 46.01, 41.88, 36.06, 32.06 ppm; **HRMS** (ESI): (m/z) calcd for C₂₆H₃₄BrNO₅S [M+H]⁺: 554.1394, found: 554.1406; **IR**: ν = 2962, 1719, 1596, 1443, 1410, 1363, 1324, 1297, 1227, 1155, 1131, 1047, 1027, 1006, 968, 919, 888, 785, 755, 679, 660, 594, 511 cm⁻¹.

1o:

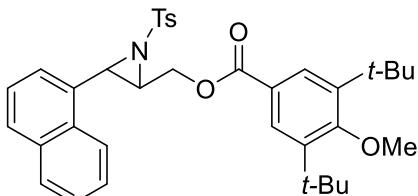
(1-(methylsulfonyl)-3-(o-tolyl)aziridin-2-yl)methyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to **General Procedure A** and isolated by column chromatography (Petroleum ether / EtOAc = 10:1) in 83% yield. Viscous colorless oil; **¹H NMR** (500 MHz, CDCl₃): δ 8.00 (s, 2H), 7.31 – 7.15 (m, 4H), 4.93 (qd, J = 12.1, 6.4 Hz, 2H), 4.07 (d, J = 4.4 Hz, 1H), 3.72 (s, 3H), 3.25 – 3.18 (m, 1H), 3.23 (s, 3H), 2.47 (s, 3H), 1.45 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.37, 164.42, 144.41, 137.06, 132.44, 130.35, 128.66, 128.62, 126.44, 125.58, 123.77, 64.59, 61.51, 48.58, 45.04, 42.08, 36.04, 32.03, 19.33 ppm; **HRMS** (ESI): (m/z) calcd for C₂₇H₃₇NO₅S [M+H]⁺: 488.2465, found: 488.2476; **IR**: ν = 2962, 1718, 1596, 1462, 1410, 1363, 1322, 1297, 1227, 1154, 1130, 1005, 968, 923, 888, 856, 787, 753, 671, 596, 511, 446, 418 cm⁻¹.

1p:

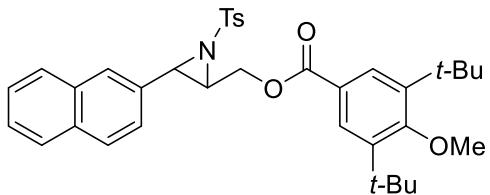
(3-(naphthalen-1-yl)-1-tosylaziridin-2-yl)methyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to **General Procedure A** and isolated by column chromatography (Petroleum ether / EtOAc = 20:1) in 80% yield. Viscous colorless oil; **¹H NMR** (500 MHz, CDCl₃): δ 8.10 (d, J = 8.4 Hz, 1H), 8.03 (s, 2H), 7.87 (d, J = 7.9 Hz, 2H), 7.84 (d, J = 8.2 Hz, 1H), 7.76 (d, J = 8.2 Hz, 1H), 7.50 – 7.44 (m, 1H), 7.38 (ddd, J = 8.1, 6.8, 1.3 Hz, 1H), 7.33 – 7.23 (m, 3H), 7.17 (d, J = 7.1 Hz, 1H), 5.11 (qd, J = 12.0, 6.4 Hz, 2H), 4.60 (d, J = 4.3 Hz, 1H), 3.72 (s, 3H), 3.36 (td, J = 6.3, 4.2 Hz, 1H), 2.40 (s, 3H), 1.45 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.60, 164.37, 144.58, 144.31, 136.78, 133.36, 131.75, 130.14, 129.77, 128.92, 128.76, 127.88, 126.76, 126.13, 125.39, 124.16, 123.93, 123.13, 64.61, 61.87, 48.22, 46.01, 36.04, 32.05, 21.77 ppm; **HRMS** (ESI): (m/z) calcd for C₃₆H₄₁NO₅S [M+Na]⁺: 622.2597, found: 622.2622; **IR**: ν = 2961, 1717, 1597, 1512, 1449, 1449, 1396, 1364, 1331, 1296, 1227, 1185, 1161, 1131, 1117, 1088, 1005, 911, 888, 801, 778, 768, 711, 688, 593, 559, 509 cm⁻¹.

1q:

(3-(naphthalen-2-yl)-1-tosylaziridin-2-yl)methyl 3,5-di-tert-butyl-4-methoxybenzoate

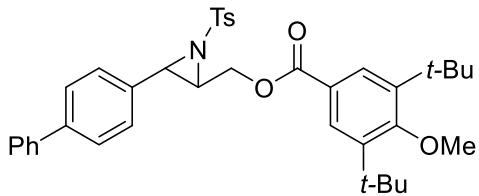


The title compound was synthesized according to **General Procedure A** and isolated by column chromatography (Petroleum ether / EtOAc = 20:1) in 78% yield. Viscous colorless

oil; **¹H NMR** (500 MHz, CDCl₃): δ 8.02 (s, 2H), 7.86 (d, J = 8.0 Hz, 2H), 7.80 (s, 1H), 7.75 (t, J = 7.7 Hz, 2H), 7.69 (s, 1H), 7.50 – 7.43 (m, 2H), 7.32 – 7.25 (m, 1H), 7.23 (d, J = 8.0 Hz, 2H), 5.06 (dd, J = 12.1, 6.1 Hz, 1H), 4.95 (dd, J = 12.1, 6.4 Hz, 1H), 4.22 (d, J = 4.1 Hz, 1H), 3.71 (s, 3H), 3.40 (td, J = 6.2, 4.0 Hz, 1H), 2.35 (s, 3H), 1.46 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): **¹³C NMR** (126 MHz, CDCl₃) δ 166.64, 164.33, 144.43, 144.27, 137.02, 133.32, 133.09, 131.72, 129.75, 128.76, 128.59, 127.94, 127.83, 127.64, 126.59, 126.51, 124.04, 123.94, 64.59, 61.78, 49.40, 47.47, 36.03, 32.05, 21.72 ppm; **HRMS** (ESI): (m/z) calcd for C₃₆H₄₁NO₅S [M+Na]⁺: 622.2597, found: 622.2628; **IR**: ν = 2960, 1717, 1597, 1449, 1409, 1393, 1363, 1329, 1296, 1228, 1185, 1161, 1132, 1088, 1005, 961, 917, 859, 815, 755, 712, 688, 623, 595, 554, 477 cm⁻¹.

1r:

(3-([1,1'-biphenyl]-4-yl)-1-tosylaziridin-2-yl)methyl 3,5-di-tert-butyl-4-methoxybenzoate



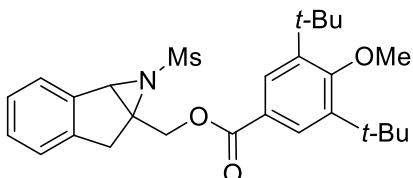
The title compound was synthesized according to **General Procedure A** and isolated by column chromatography (Petroleum ether / EtOAc = 20:1) in 72% yield. Viscous colorless oil; **¹H NMR**

(500 MHz, CDCl₃): δ 8.02 (s, 2H), 7.87 (d, J = 8.3 Hz, 2H), 7.57 – 7.47 (m, 4H), 7.42 (dd, J = 8.4, 6.9 Hz, 2H), 7.38 – 7.31 (m, 1H), 7.31 – 7.23 (m, 4H), 5.02 (dd, J = 12.1, 6.2 Hz, 1H), 4.93 (dd, J = 12.1, 6.4 Hz, 1H), 4.12 (d, J = 4.2 Hz, 1H), 3.72 (s, 3H), 3.34 (td, J = 6.2, 4.2 Hz, 1H), 2.38 (s, 3H), 1.46 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.62, 164.35, 144.42, 144.30, 141.54, 140.52, 137.10, 133.30, 129.77, 128.94, 128.75, 127.66, 127.40, 127.39, 127.15, 127.14, 123.97, 64.58, 61.77, 49.28, 47.11, 36.04, 32.05, 21.74 ppm; **HRMS** (ESI): (m/z) calcd for C₃₈H₄₃NO₅S [M+Na]⁺:

648.2754, found: 648.2775; **IR**: $\tilde{\nu}$ = 3359, 2958, 2921, 2852, 1718, 1658, 1633, 1597, 1488, 1470, 1408, 1326, 1296, 1230, 1162, 1132, 1088, 1007, 913, 843, 814, 765, 736, 709, 697, 595 cm⁻¹.

1s:

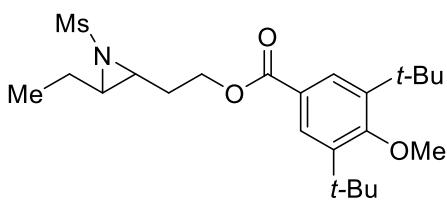
(1-(methylsulfonyl)-1a,6-dihydroindeno[1,2-b]azirin-6a(1H)-yl)methyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to **General Procedure A** and isolated by column chromatography (Petroleum ether / EtOAc = 10:1) in 70% yield. Viscous colorless oil; **¹H NMR** (500 MHz, CDCl₃): δ 8.02 (s, 2H), 7.53 – 7.48 (m, 1H), 7.34 – 7.27 (m, 1H), 7.27 – 7.18 (m, 2H), 5.23 (d, J = 12.4 Hz, 1H), 4.99 (d, J = 12.4 Hz, 1H), 4.41 (s, 1H), 3.72 (s, 3H), 3.52 (d, J = 17.8 Hz, 1H), 3.34 (d, J = 17.8 Hz, 1H), 3.13 (s, 3H), 1.44 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): ¹³C NMR (126 MHz, CDCl₃) δ 166.44, 164.39, 144.35, 143.49, 138.23, 129.08, 128.69, 126.93, 125.76, 125.04, 123.79, 64.58, 62.56, 57.71, 53.95, 42.48, 38.35, 36.00, 31.98 ppm; **HRMS** (ESI): (*m/z*) calcd for C₂₇H₃₅NO₅S [M+Na]⁺: 508.2128, found: 508.2152; **IR**: $\tilde{\nu}$ = 2963, 1717, 1596, 1477, 1407, 1363, 1320, 1297, 1227, 1146, 1006, 964, 886, 863, 782, 769, 735, 671, 609, 529, 512 cm⁻¹.

1t:

2-(3-ethyl-1-(methylsulfonyl)aziridin-2-yl)ethyl 3,5-di-tert-butyl-4-methoxybenzoate

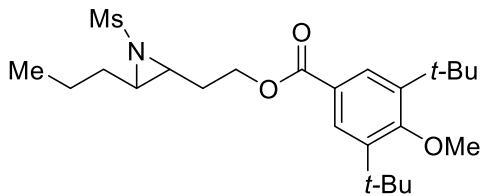


The title compound was synthesized according to **General Procedure B** and isolated by column chromatography (Petroleum ether / EtOAc = 10:1) in 90% yield. Viscous colorless oil; **¹H NMR** (500 MHz, CDCl₃): δ 7.93 (s, 2H), 4.50 – 4.40 (m, 2H), 3.70 (s, 3H), 3.10 (s, 3H), 2.77 (ddd, J = 7.3, 5.8, 4.5 Hz, 1H), 2.69 (td, J = 6.4, 4.5 Hz, 1H), 2.30 (dq, J = 16.3, 5.5 Hz, 1H), 2.26 – 2.14 (m, 1H), 1.76 (pd, J = 7.2, 3.5 Hz, 2H), 1.44 (s, 18H), 1.04 (t, J = 7.5 Hz, 3H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.81, 164.20, 144.29, 128.35, 124.19, 64.54, 62.42, 50.53, 46.23, 42.37, 35.97, 32.00, 29.49, 23.44, 11.71 ppm; **HRMS** (ESI): (*m/z*) calcd for

$C_{23}H_{37}NO_5S$ [M+H]⁺: 440.2465, found: 440.2473; **IR**: $\tilde{\nu}$ = 2962, 1715, 1596, 1455, 1410, 1393, 1363, 1301, 1225, 1145, 1116, 1005, 967, 937, 887, 786, 770, 678, 598, 513, 420, 407 cm⁻¹.

1u:

2-(1-(methylsulfonyl)-3-propylaziridin-2-yl)ethyl 3,5-di-tert-butyl-4-methoxybenzoate

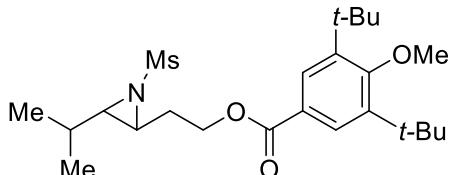


The title compound was synthesized according to **General Procedure B** and isolated by column chromatography (Petroleum ether / EtOAc = 10:1) in 89% yield. Viscous colorless

oil; **¹H NMR** (500 MHz, CDCl₃): δ 7.94 (s, 2H), 4.46 (dd, J = 6.8, 5.4 Hz, 2H), 3.71 (s, 3H), 3.09 (s, 3H), 2.82 – 2.75 (m, 1H), 2.71 (td, J = 6.5, 4.5 Hz, 1H), 2.32 – 2.16 (m, 2H), 1.78 (ddt, J = 15.1, 9.5, 5.8 Hz, 1H), 1.66 (ddt, J = 13.7, 9.2, 6.7 Hz, 1H), 1.55–1.38 (m, 2 H), 1.44 (s, 18H), 0.93 (t, J = 7.4 Hz, 3H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.86, 164.24, 144.32, 128.39, 124.21, 64.59, 62.39, 49.19, 46.43, 42.42, 36.01, 32.06, 32.02, 29.59, 20.87, 13.85 ppm; **HRMS** (ESI): (*m/z*) calcd for C₂₄H₃₉NO₅S [M+H]⁺: 454.2622, found: 454.2643; **IR**: $\tilde{\nu}$ = 2961, 2873, 1717, 1596, 1466, 1411, 1393, 1315, 1301, 1233, 1146, 1117, 1007, 927, 888, 787, 770, 678, 594 cm⁻¹.

1v:

2-(3-isopropyl-1-(methylsulfonyl)aziridin-2-yl)ethyl 3,5-di-tert-butyl-4-methoxybenzoate



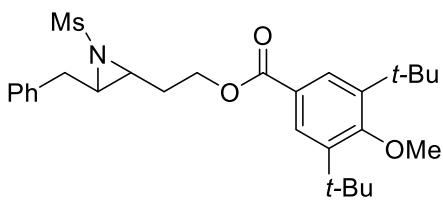
The title compound was synthesized according to **General Procedure B** and isolated by column chromatography (Petroleum ether / EtOAc = 10:1) in 88% yield. Viscous colorless oil; **¹H NMR** (500

MHz, CDCl₃): δ 7.94 (s, 2H), 4.55 – 4.37 (m, 2H), 3.71 (s, 3H), 3.11 (s, 3H), 2.76 (dt, J = 8.1, 5.0 Hz, 1H), 2.60 (dd, J = 8.0, 4.6 Hz, 1H), 2.54 – 2.43 (m, 1H), 2.32 – 2.24 (m, 1H), 1.76 – 1.62 (m, 1H), 1.44 (s, 18H), 1.07 (d, J = 6.7 Hz, 3H), 0.98 (d, J = 6.9 Hz, 3H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.89, 164.23, 144.31, 128.44, 124.26, 64.59, 62.80,

54.60, 46.12, 42.43, 36.03, 32.05, 29.95, 28.71, 20.24, 19.83 ppm; **HRMS** (ESI): (*m/z*) calcd for C₂₄H₃₉NO₅S [M+H]⁺: 454.2622, found: 454.2632; **IR**: $\tilde{\nu}$ = 2961, 2872, 1716, 1596, 1468, 1410, 1392, 1364, 1301, 1233, 1147, 1006, 967, 888, 785, 770, 678, 602, 516 cm⁻¹.

1w:

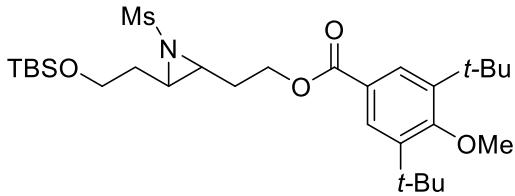
2-(3-benzyl-1-(methylsulfonyl)aziridin-2-yl)ethyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to **General Procedure B** and isolated by column chromatography (Petroleum ether / EtOAc = 10:1) in 92% yield. Viscous colorless oil; **¹H NMR** (500 MHz, CDCl₃): δ 7.96 (s, 2H), 7.30 – 7.24 (m, 2H), 7.24 – 7.14 (m, 3H), 4.49 (ddd, *J* = 11.2, 8.2, 4.9 Hz, 1H), 4.41 (dt, *J* = 11.2, 5.6 Hz, 1H), 3.72 (s, 3H), 3.08 (dd, *J* = 14.1, 5.9 Hz, 1H), 2.99 – 2.90 (m, 2H), 2.84 (dd, *J* = 14.0, 6.9 Hz, 1H), 2.80 (s, 3H), 2.37 (dq, *J* = 16.4, 5.4 Hz, 1H), 2.27 (dtd, *J* = 14.6, 7.9, 5.5 Hz, 1H), 1.46 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.77, 164.21, 144.29, 137.64, 128.84, 128.82, 128.36, 127.08, 124.21, 64.56, 62.37, 49.40, 46.88, 42.16, 36.66, 35.98, 32.01, 29.13 ppm; **HRMS** (ESI): (*m/z*) calcd for C₂₈H₃₉NO₅S [M+Na]⁺: 524.2441, found: 524.2466; **IR**: $\tilde{\nu}$ = 2956, 2924, 2870, 1715, 1596, 1455, 1411, 1379, 1363, 1315, 1301, 1226, 1148, 1116, 1007, 954, 888, 840, 786, 770, 751, 701, 678, 601, 575, 496 cm⁻¹.

1x:

2-(3-((tert-butyldimethylsilyl)oxy)ethyl)-1-(methylsulfonyl)aziridin-2-yl)ethyl 3,5-di-tert-butyl-4-methoxybenzoate

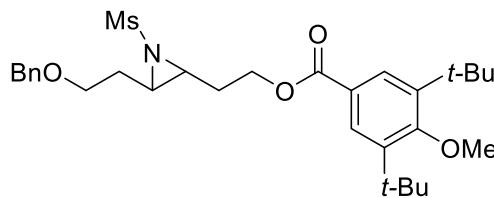


The title compound was synthesized according to **General Procedure B** and isolated by column chromatography (Petroleum ether / EtOAc = 10:1) in 87% yield. Viscous colorless oil; **¹H NMR** (500 MHz, CDCl₃): δ 7.94 (s, 2H), 4.47 (dd, *J* = 7.0, 5.4 Hz, 2H), 3.80 – 3.72 (m, 2H), 3.71 (s, 3H), 3.11 (s, 3H), 2.84 (q, *J* = 4.8, 4.3 Hz, 2H),

2.34 – 2.23 (m, 1H), 2.23 – 2.14 (m, 1H), 1.97 (q, J = 5.8 Hz, 2H), 1.44 (s, 18H), 0.87 (s, 9H), 0.03 (s, 3H), 0.03 (s, 3H) ppm; **^{13}C NMR** (126 MHz, CDCl_3): δ 166.85, 164.23, 144.30, 128.41, 124.24, 64.57, 62.39, 60.87, 47.04, 46.25, 42.20, 36.01, 33.02, 32.04, 29.76, 26.01, 18.35, -5.24, -5.26 ppm; **HRMS** (ESI): (m/z) calcd for $\text{C}_{29}\text{H}_{51}\text{NO}_6\text{SSI}$ [$\text{M}+\text{Na}]^+$: 592.3098, found: 592.3128; **IR**: $\tilde{\nu}$ = 2956, 2929, 2857, 1717, 1596, 1471, 1410, 1391, 1362, 1301, 1232, 1152, 1115, 1007, 939, 888, 835, 678, 602, 508 cm^{-1} .

1y:

2-(3-(2-(benzyloxy)ethyl)-1-(methylsulfonyl)aziridin-2-yl)ethyl 3,5-di-tert-butyl-4-methoxybenzoate

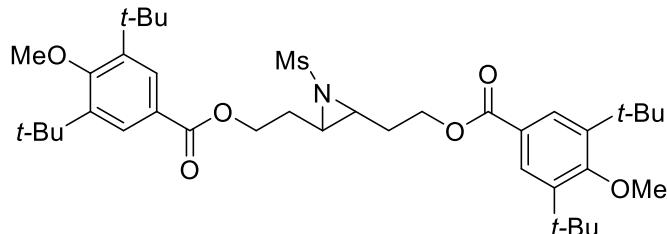


The title compound was synthesized according to **General Procedure B** and isolated by column chromatography (Petroleum ether / EtOAc = 10:1) in 89% yield. Viscous colorless

oil; **^1H NMR** (500 MHz, CDCl_3): δ 7.95 (s, 2H), 7.36 – 7.23 (m, 5H), 4.48 (s, 2H), 4.46 (t, J = 6.2 Hz, 2H), 3.70 (s, 3H), 3.66 – 3.56 (m, 2H), 3.03 (s, 3H), 2.88 (td, J = 6.3, 4.5 Hz, 1H), 2.81 (td, J = 6.5, 4.5 Hz, 1H), 2.34 – 2.18 (m, 2H), 2.12 – 2.03 (m, 1H), 2.03 – 1.92 (m, 1H), 1.44 (s, 18H) ppm; **^{13}C NMR** (126 MHz, CDCl_3): δ 166.79, 164.18, 144.27, 138.03, 128.53, 128.36, 127.85, 127.84, 124.23, 73.17, 67.76, 64.54, 62.39, 46.94, 45.99, 42.12, 35.96, 32.00, 30.47, 29.43 ppm; **HRMS** (ESI): (m/z) calcd for $\text{C}_{30}\text{H}_{43}\text{NO}_6\text{S}$ [$\text{M}+\text{Na}]^+$: 568.2703, found: 568.2731; **IR**: $\tilde{\nu}$ = 2961, 2869, 1716, 1596, 1454, 1411, 1363, 1313, 1234, 1151, 1116, 1007, 968, 942, 888, 787, 770, 739, 699, 678, 598 cm^{-1} .

1z:

(1-(methylsulfonyl)aziridine-2,3-diyl)bis(ethane-2,1-diyl) bis(3,5-di-tert-butyl-4-methoxybenzoate)



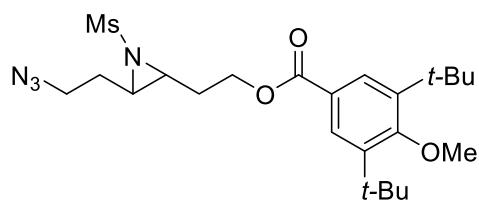
The title compound was synthesized according to **General Procedure B** and isolated by column

chromatography (Petroleum ether / EtOAc = 10:1) in 93% yield. Viscous colorless oil; **^1H**

NMR (500 MHz, CDCl₃): δ 7.93 (s, 4H), 4.49 (dt, *J* = 11.4, 5.8 Hz, 2H), 4.44 (ddd, *J* = 11.2, 8.0, 5.4 Hz, 2H), 3.70 (s, 6H), 3.12 (s, 3H), 2.87 (q, *J* = 4.7, 4.2 Hz, 2H), 2.29 (ddt, *J* = 13.9, 7.8, 5.7 Hz, 2H), 2.20 (dq, *J* = 14.3, 5.5 Hz, 2H), 1.43 (s, 36H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.78, 164.25, 144.33, 128.37, 124.16, 64.56, 62.26, 46.24, 42.32, 35.99, 32.01, 29.65 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₉H₅₉NO₈S [M+Na]⁺: 724.3853, found: 724.3887; **IR**: $\tilde{\nu}$ = 2961, 1716, 1596, 1448, 1410, 1393, 1363, 1300, 1227, 1132, 1007, 938, 888, 788, 769, 678, 601, 508 cm⁻¹.

1aa:

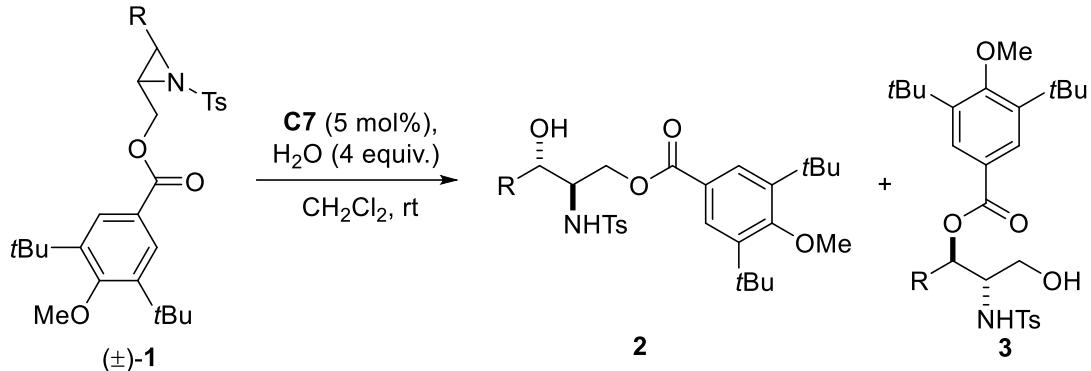
2-(3-(2-azidoethyl)-1-(methylsulfonyl)aziridin-2-yl)ethyl 3,5-di-tert-butyl-4-methoxybenzoate



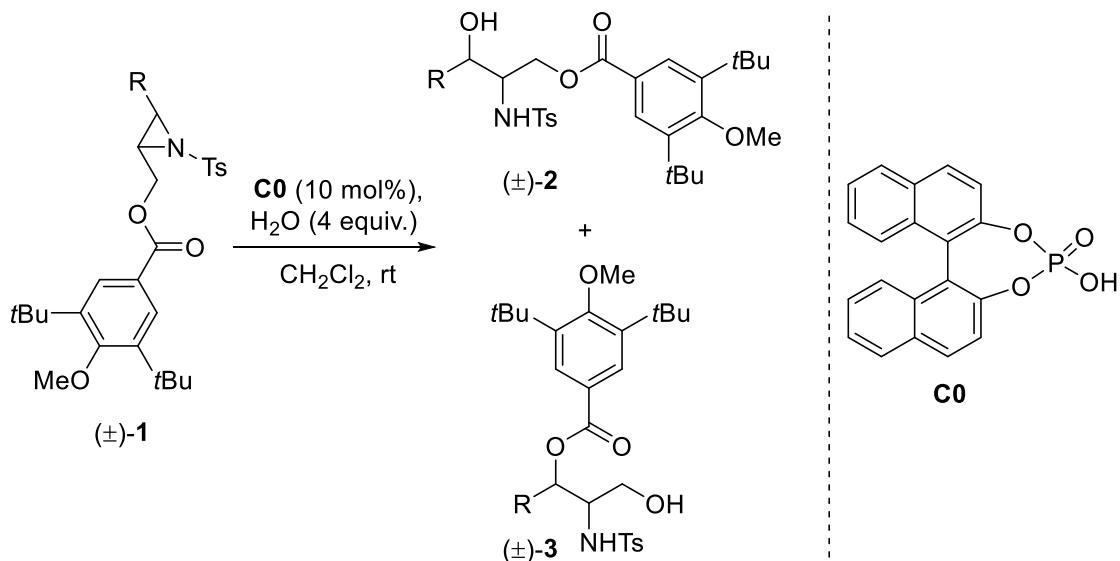
The title compound was synthesized according to **General Procedure B** and isolated by column chromatography (Petroleum ether / EtOAc = 5:1) in 85% yield. Viscous colorless oil; **¹H NMR** (500

MHz, CDCl₃): δ 7.94 (s, 2H), 4.48 (t, *J* = 6.0 Hz, 2H), 3.71 (s, 3H), 3.49 (h, *J* = 6.1 Hz, 2H), 3.13 (s, 3H), 2.84 (td, *J* = 6.6, 4.4 Hz, 1H), 2.78 (td, *J* = 6.3, 4.4 Hz, 1H), 2.25 (q, *J* = 6.2 Hz, 2H), 2.04 (q, *J* = 6.4 Hz, 2H), 1.45 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.89, 164.33, 144.41, 128.41, 124.13, 64.61, 62.20, 49.48, 46.35, 46.06, 42.35, 36.04, 32.04, 29.66, 29.48 ppm; **HRMS** (ESI): (*m/z*) calcd for C₂₃H₃₆N₄O₅S [M+Na]⁺: 503.2298, found: 503.2323; **IR**: $\tilde{\nu}$ = 2962, 2101, 1715, 1596, 1448, 1411, 1363, 1302, 1233, 1151, 1008, 969, 937, 889, 788, 770, 678, 597, 510, 404 cm⁻¹.

General Procedures and Characterization Data for Hydrolytic Ring-opening Reactions



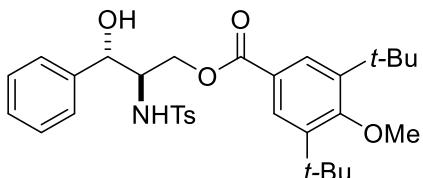
Catalyst **C7** (0.005 mmol, 5 mol%), corresponding **1** (0.1 mmol, 1.0 equiv.) and **H₂O** (0.4 mmol, 4.0 equiv.) were dissolved in **CH₂Cl₂** (2 mL) at rt. The mixture was stirred at rt and the reaction progress was monitored by TLC. After complete consumption of **1**, the solvent was removed under reduced pressure. The crude products were purified and separated (**2** and **3**) by column chromatography (Petroleum ether / EtOAc = 3:1). The enantiomeric ratio was determined by HPLC on a chiral stationary phase.



The racemic mixture of the products was obtained by using racemic mixture of **C0** as the catalyst according to the above procedure.

2a:

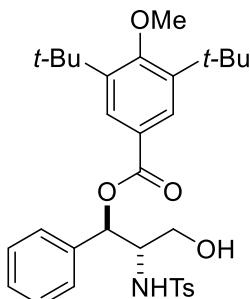
(2R,3S)-3-hydroxy-2-((4-methylphenyl)sulfonamido)-3-phenylpropyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 3:1) in 48% yield. Viscous colorless oil; $[\alpha]_D^{19} = -27.0$ ($c = 1.0$, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.81 (s, 2H), 7.68 – 7.63 (m, 2H), 7.31 – 7.29 (m, 4H), 7.29 – 7.22 (m, 1H), 7.07 (d, $J = 8.0$ Hz, 2H), 5.34 (d, $J = 7.8$ Hz, 1H), 4.93 (d, $J = 4.1$ Hz, 1H), 4.44 (dd, $J = 11.9, 7.2$ Hz, 1H), 4.07 (dd, $J = 11.9, 4.2$ Hz, 1H), 3.87 (dq, $J = 7.5, 3.9$ Hz, 1H), 3.72 (s, 3H), 2.97 (s, 1H), 2.26 (s, 3H), 1.44 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 167.35, 164.39, 144.25, 143.43, 139.48, 137.36, 129.76, 128.77, 128.63, 128.16, 127.05, 126.07, 123.65, 74.22, 64.58, 62.48, 58.79, 36.01, 32.05, 21.63 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₂H₄₁NO₆S [M+H]⁺: 568.2728, found: 568.2739; **IR**: $\tilde{\nu}$ = 3491, 3276, 2960, 1715, 1598, 1495, 1451, 1411, 1392, 1300, 1227, 1160, 1117, 1093, 1062, 1007, 911, 887, 813, 759, 704, 664, 551 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IC, iPrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 8.61 min, t_{major} = 14.64 min, *er* = 99:1.

3a:

(1R,2S)-3-hydroxy-2-((4-methylphenyl)sulfonamido)-1-phenylpropyl 3,5-di-tert-butyl-4-methoxybenzoate

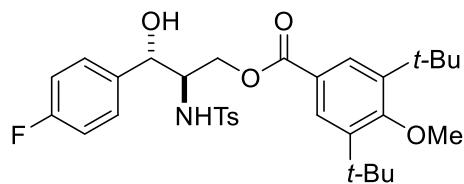


The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 3:1) in 50% yield. Viscous colorless oil; $[\alpha]_D^{19} = +17.2$ ($c = 0.5$, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.96 (s, 2H), 7.57 (d, $J = 8.4$ Hz, 2H), 7.29 – 7.22 (m, 5H), 7.17 (d, $J = 8.0$ Hz, 2H), 5.91 (d, $J = 6.5$ Hz, 1H), 5.25 (d, $J = 9.0$ Hz, 1H), 3.81 (ddt, $J = 8.9, 6.6, 3.9$ Hz, 1H), 3.76 (d, $J = 4.1$ Hz, 1H), 3.71 (s, 3H), 3.63 (d, $J = 3.8$ Hz, 1H), 2.38 (s, 3H), 2.13 (brs, 1H), 1.44 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.37, 164.68, 144.50, 143.52, 137.44, 136.81, 129.82, 128.79, 128.71, 128.57, 127.13, 126.95,

123.58, 75.13, 64.64, 61.21, 59.01, 36.03, 31.98, 21.66 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₂H₄₁NO₆S [M+Na]⁺: 590.2547, found: 590.2571; **IR**: $\tilde{\nu}$ = 3278, 2959, 2925, 1718, 1597, 1455, 1410, 1363, 1298, 1227, 1159, 1136, 1117, 1093, 1055, 1006, 971, 888, 814, 758, 701, 664, 551 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IA, *iPrOH*/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 6.18 min, t_{major} = 7.04 min, *er* = >99.5:0.5.

2b:

(2R,3S)-3-(4-fluorophenyl)-3-hydroxy-2-((4-methylphenyl)sulfonamido)propyl 3,5-di-tert-butyl-4-methoxybenzoate

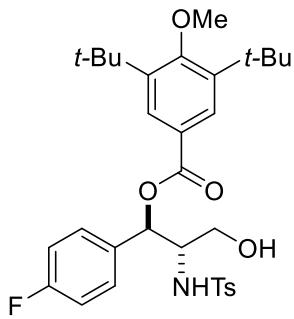


The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 3:1) in 49% yield. Viscous colorless oil; $[\alpha]_D^{21} = -14.4$

(*c* = 1.0, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.80 (s, 2H), 7.62 (d, *J* = 8.0 Hz, 2H), 7.32 – 7.20 (m, 2H), 7.06 (d, *J* = 8.0 Hz, 2H), 6.95 (t, *J* = 8.5 Hz, 2H), 5.37 (d, *J* = 8.0 Hz, 1H), 4.87 (t, *J* = 4.6 Hz, 1H), 4.47 (dd, *J* = 11.9, 6.8 Hz, 1H), 4.12 (dd, *J* = 11.9, 4.1 Hz, 1H), 3.82 (tt, *J* = 8.1, 4.4 Hz, 1H), 3.72 (s, 3H), 3.20 (d, *J* = 4.7 Hz, 1H), 2.27 (s, 3H), 1.43 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 167.46, 164.48, 162.47 (d, *J* = 246.5 Hz), 144.29, 143.52, 137.22, 135.38 (d, *J* = 3.2 Hz), 129.75, 128.62, 127.82 (d, *J* = 8.1 Hz), 126.99, 123.50, 115.55 (d, *J* = 21.5 Hz), 73.56, 64.59, 62.57, 58.87, 36.01, 32.03, 21.61 ppm; **¹⁹F NMR** (471 MHz, CDCl₃): δ -114.07 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₂H₄₀FNO₆S [M+Na]⁺: 608.2452, found: 608.2478; **IR**: $\tilde{\nu}$ = 3467, 3277, 2960, 2926, 2855, 1716, 1663, 1603, 1510, 1447, 1410, 1301, 1225, 1159, 1116, 1093, 1011, 887, 835, 812, 769, 705, 664, 578, 550 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IC, *iPrOH*/Hexane = 20/80, 1.0 mL/min, 210 nm) Retention time: t_{minor} = 6.91 min, t_{major} = 9.86 min, *er* = 99.5:0.5.

3b:

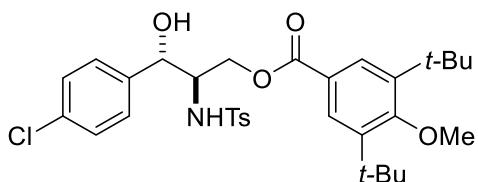
(1R,2S)-1-(4-fluorophenyl)-3-hydroxy-2-((4-methylphenyl)sulfonamido)propyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 3:1) in 50% yield. Viscous colorless oil; $[\alpha]_D^{25} = +39.8$ ($c = 0.5$, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.93 (s, 2H), 7.48 (d, $J = 8.1$ Hz, 2H), 7.21 (dd, $J = 8.5, 5.3$ Hz, 2H), 7.14 (d, $J = 8.0$ Hz, 2H), 6.86 (t, $J = 8.5$ Hz, 2H), 5.87 (d, $J = 7.8$ Hz, 1H), 5.44 (d, $J = 9.2$ Hz, 1H), 3.83 – 3.75 (m, 2H), 3.74 – 3.66 (m, 1H), 3.70 (m, 3H), 2.65 (dd, $J = 8.3, 4.4$ Hz, 1H), 2.39 (s, 3H), 1.43 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.33, 164.75, 162.77 (d, $J = 247.0$ Hz), 144.55, 143.52, 137.42, 132.93 (d, $J = 3.2$ Hz), 129.70, 128.94 (d, $J = 8.3$ Hz), 128.66, 127.00, 123.45, 115.55 (d, $J = 21.8$ Hz), 73.91, 64.63, 61.46, 59.03, 36.01, 31.96, 21.58 ppm; **¹⁹F NMR** (471 MHz, CDCl₃): δ -113.21 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₂H₄₀FNO₆S [M+Na]⁺: 608.2452, found: 608.2457; **IR**: $\tilde{\nu}$ = 3503, 3278, 2961, 1717, 1606, 1512, 1455, 1410, 1362, 1303, 1226, 1158, 1135, 1094, 1060, 1006, 887, 833, 813, 767, 665, 545, 486, 419, 411, 402 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IA, iPrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 5.69 min, t_{major} = 6.66 min, *er* = >99.5:0.5.

2c:

(2R,3S)-3-(4-chlorophenyl)-3-hydroxy-2-((4-methylphenyl)sulfonamido)propyl 3,5-di-tert-butyl-4-methoxybenzoate

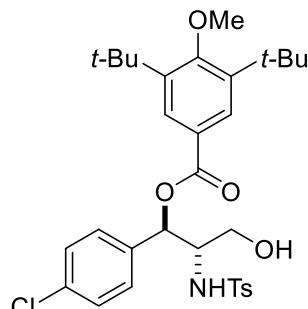


The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 3:1) in 43% yield. Viscous colorless oil; $[\alpha]_D^{25} = -17.0$ ($c = 0.5$, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.81 (s, 2H), 7.59 (d, $J = 8.4$ Hz, 2H), 7.21 (s, 4H), 7.05 (d, $J = 8.0$ Hz, 2H), 5.40 (d, $J = 8.2$ Hz, 1H), 4.84 (t, $J = 4.7$ Hz, 1H), 4.51 (dd, $J = 12.0, 6.8$ Hz, 1H), 4.13 (dd, $J = 11.9, 4.1$ Hz, 1H), 3.83 – 3.75 (m, 1H), 3.72 (s, 3H), 3.28 (d, $J = 4.7$ Hz, 1H), 2.27 (s, 3H), 1.43 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 167.52, 164.51, 144.31, 143.55, 138.19, 137.12, 133.88, 129.74, 128.76, 128.64, 127.55, 126.97, 123.45, 73.53, 64.59, 62.65, 58.87, 36.01, 32.03, 21.65 ppm;

HRMS (ESI): (*m/z*) calcd for C₃₂H₄₀CINO₆S [M+H]⁺: 602.2338, found: 602.2338; **IR**: $\tilde{\nu}$ = 3488, 3279, 2960, 2925, 1716, 1698, 1598, 1491, 1447, 1410, 1393, 1363, 1301, 1228, 1160, 1117, 1092, 1013, 887, 812, 769, 706, 666, 570, 551, 471, 404 cm⁻¹; **HPLC**: er was determined by HPLC analysis (Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min, 210 nm) Retention time: t_{minor} = 6.75 min, t_{major} = 9.44 min, er = 99:1.

3c:

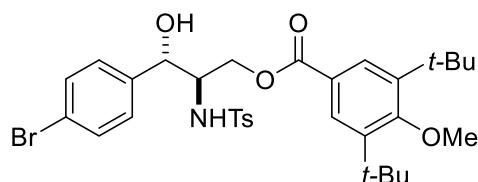
(1R,2S)-1-(4-chlorophenyl)-3-hydroxy-2-((4-methylphenyl)sulfonamido)propyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 3:1) in 53% yield. Viscous colorless oil; $[\alpha]_D^{25} = +43.7$ (*c* = 1.0, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.92 (s, 2H), 7.44 (d, *J* = 8.4 Hz, 2H), 7.19 – 7.06 (m, 6H), 5.84 (d, *J* = 8.2 Hz, 1H), 5.51 (d, *J* = 9.3 Hz, 1H), 3.84 (dd, *J* = 11.9, 4.0 Hz, 1H), 3.81 – 3.74 (m, 2H), 3.70 (s, 3H), 2.73 (d, *J* = 6.7 Hz, 1H), 2.41 (s, 3H), 1.42 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.29, 164.78, 144.56, 143.55, 137.28, 135.74, 134.50, 129.67, 128.69, 128.66, 128.57, 126.93, 123.39, 73.77, 64.64, 61.59, 58.97, 36.01, 31.96, 21.69 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₂H₄₀CINO₆S [M+H]⁺: 602.2338, found: 602.2337; **IR**: $\tilde{\nu}$ = 3284, 2960, 2925, 1717, 1598, 1493, 1448, 1411, 1363, 1329, 1303, 1293, 1258, 1225, 1157, 1134, 1116, 1092, 1059, 1006, 910, 887, 812, 755, 705, 680, 664, 550, 535 cm⁻¹; **HPLC**: er was determined by HPLC analysis (Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 6.22 min, t_{major} = 8.35 min, er = 96:4.

2d:

(2R,3S)-3-(4-bromophenyl)-3-hydroxy-2-((4-methylphenyl)sulfonamido)propyl 3,5-di-tert-butyl-4-methoxybenzoate

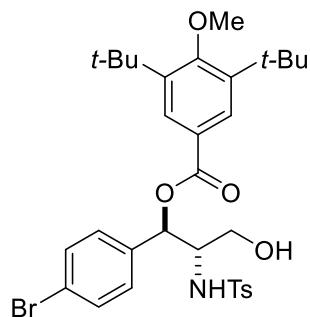


The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 3:1)

in 46% yield. Viscous colorless oil; $[\alpha]_D^{25} = -17.1$ ($c = 1.0$, CHCl_3); **$^1\text{H NMR}$** (500 MHz, CDCl_3): δ 7.81 (s, 2H), 7.58 (d, $J = 8.1$ Hz, 2H), 7.36 (d, $J = 8.1$ Hz, 2H), 7.15 (d, $J = 8.2$ Hz, 2H), 7.06 (d, $J = 8.0$ Hz, 2H), 5.39 (d, $J = 8.1$ Hz, 1H), 4.82 (t, $J = 4.7$ Hz, 1H), 4.51 (dd, $J = 12.0, 6.7$ Hz, 1H), 4.13 (dd, $J = 11.9, 4.0$ Hz, 1H), 3.79 (tt, $J = 8.2, 4.5$ Hz, 1H), 3.72 (s, 3H), 3.29 (d, $J = 4.6$ Hz, 1H), 2.28 (s, 3H), 1.43 (s, 18H) ppm; **$^{13}\text{C NMR}$** (126 MHz, CDCl_3): δ 167.54, 164.51, 144.32, 143.56, 138.71, 137.06, 131.69, 129.75, 128.65, 127.89, 126.95, 123.43, 122.04, 73.57, 64.60, 62.65, 58.83, 36.01, 32.04, 21.68 ppm; **HRMS** (ESI): (m/z) calcd for $\text{C}_{32}\text{H}_{40}\text{BrNO}_6\text{S}$ [M+H] $^+$: 646.1833, found: 646.1831; **IR**: $\tilde{\nu} = 3283, 2956, 2922, 2852, 1716, 1663, 1597, 1486, 1465, 1409, 1301, 1226, 1159, 1116, 1093, 1072, 1010, 887, 812, 769, 664, 568, 551 \text{ cm}^{-1}$; **HPLC**: er was determined by HPLC analysis (Chiralpak IC, $i\text{PrOH}/\text{Hexane} = 20/80$, 1.0 mL/min, 210 nm) Retention time: $t_{\text{minor}} = 7.36 \text{ min}$, $t_{\text{major}} = 10.55 \text{ min}$, er = 98:2.

3d:

(1*R*,2*S*)-1-(4-bromophenyl)-3-hydroxy-2-((4-methylphenyl)sulfonamido)propyl 3,5-di-*tert*-butyl-4-methoxybenzoate

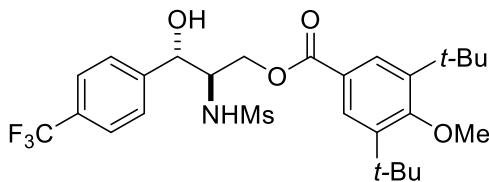


The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 3:1) in 48% yield. Viscous colorless oil; $[\alpha]_D^{19} = +29.2$ ($c = 1.0$, CHCl_3); **$^1\text{H NMR}$** (500 MHz, CDCl_3): δ 7.92 (s, 2H), 7.43 (d, $J = 8.0$ Hz, 2H), 7.25 (d, $J = 7.4$ Hz, 2H), 7.14 (d, $J = 8.0$ Hz, 2H), 7.09 (d, $J = 8.2$ Hz, 2H), 5.82 (d, $J = 8.3$ Hz, 1H), 5.52 (d, $J = 9.3$ Hz, 1H), 3.84 (d, $J = 11.7$ Hz, 1H), 3.81 – 3.74 (m, 2H), 3.70 (s, 3H), 2.74 (d, $J = 6.5$ Hz, 1H), 2.43 (s, 3H), 1.42 (s, 18H) ppm; **$^{13}\text{C NMR}$** (126 MHz, CDCl_3): δ 166.28, 164.78, 144.56, 143.56, 137.22, 136.27, 131.61, 129.69, 128.89, 128.66, 126.91, 123.37, 122.74, 73.78, 64.64, 61.59, 58.88, 36.01, 31.96, 21.76 ppm; **HRMS** (ESI): (m/z) calcd for $\text{C}_{32}\text{H}_{40}\text{BrNO}_6\text{S}$ [M+H] $^+$: 646.1833, found: 646.1839; **IR**: $\tilde{\nu} = 3504, 3277, 2960, 1717, 1596, 1488, 1447, 1410, 1363, 1329, 1303, 1293, 1225, 1156, 1134, 1117, 1093, 1071, 1006, 909, 887, 812, 754, 705, 663, 550, 533 \text{ cm}^{-1}$; **HPLC**: er was determined by HPLC analysis (Chiralpak IA, $i\text{PrOH}/\text{Hexane} = 20/80$,

1.0 mL/min, 254 nm) Retention time: $t_{\text{minor}} = 6.19$ min, $t_{\text{major}} = 9.23$ min, $er = 95:5$.

2e:

(2R,3S)-3-hydroxy-2-(methylsulfonamido)-3-(4-(trifluoromethyl)phenyl)propyl 3,5-di-tert-butyl-4-methoxybenzoate

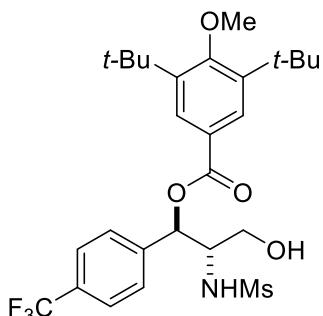


The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 3:1) in 46% yield. Viscous colorless oil;

$[\alpha]_D^{20} = -6.2$ ($c = 0.5$, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.88 (s, 2H), 7.64 (d, $J = 8.2$ Hz, 2H), 7.58 (d, $J = 8.1$ Hz, 2H), 5.26 (d, $J = 9.1$ Hz, 1H), 5.08 (t, $J = 4.2$ Hz, 1H), 4.58 (dd, $J = 11.9, 7.8$ Hz, 1H), 4.20 (dd, $J = 11.9, 4.0$ Hz, 1H), 4.11 – 4.02 (m, 1H), 3.70 (s, 3H), 3.40 (d, $J = 4.5$ Hz, 1H), 2.79 (s, 3H), 1.42 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 167.42, 164.68, 144.60, 143.94, 130.57 (q, $J = 32.3$ Hz), 128.53, 126.73, 125.77 (q, $J = 3.7$ Hz), 124.04 (q, $J = 272.4$ Hz), 123.35, 74.37, 64.60, 62.79, 59.00, 41.75, 36.04, 31.99 ppm; **¹⁹F NMR** (471 MHz, CDCl₃): δ -62.55 ppm; **HRMS** (ESI): (*m/z*) calcd for C₂₇H₃₆F₃NO₆S [M+H]⁺: 560.2288, found: 560.2295; **IR**: $\tilde{\nu} = 3485, 3281, 2962, 1704, 1620, 1595, 1447, 1413, 1363, 1325, 1229, 1127, 1068, 1008, 887, 851, 769, 522$ cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiraldak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: $t_{\text{minor}} = 4.80$ min, $t_{\text{major}} = 6.99$ min, $er = 98:2$.

3e:

(1R,2S)-3-hydroxy-2-(methylsulfonamido)-1-(4-(trifluoromethyl)phenyl)propyl 3,5-di-tert-butyl-4-methoxybenzoate

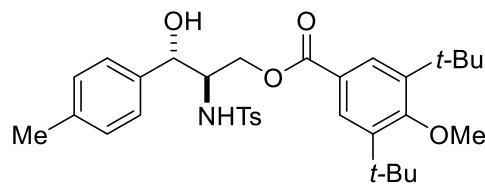


The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 3:1) in 51% yield. Viscous colorless oil; $[\alpha]_D^{20} = +68.4$ ($c = 0.5$, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.98 (s, 2H), 7.68 (d, $J = 8.3$ Hz, 2H), 7.63 (d, $J = 8.3$ Hz, 2H), 6.06 (d, $J = 8.1$ Hz, 1H), 5.39 (d, $J = 9.5$ Hz, 1H), 4.00 (ddt, $J = 9.4, 7.8, 3.7$ Hz, 1H), 3.90 (dt, $J = 11.8, 4.0$ Hz, 1H), 3.82 (ddd, $J =$

11.6, 5.3, 2.5 Hz, 1H), 3.72 (s, 3H), 2.83 – 2.78 (m, 1H), 2.41 (s, 3H), 1.44 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.24, 164.97, 144.76, 141.87, 131.18 (q, J = 32.8 Hz), 128.69, 128.03, 125.85 (q, J = 3.7 Hz), 123.92 (q, J = 272.2 Hz), 123.24, 73.90, 64.68, 61.89, 59.32, 41.63, 36.06, 31.97 ppm; **¹⁹F NMR** (471 MHz, CDCl₃): δ -62.68 ppm; **HRMS** (ESI): (m/z) calcd for C₂₇H₃₆F₃NO₆S [M+H]⁺: 560.2288, found: 560.2293; **IR**: ̄ = 3282, 2962, 1719, 1621, 1595, 1449, 1412, 1325, 1301, 1227, 1129, 1068, 1008, 888, 837, 770, 612, 524 cm⁻¹; **HPLC**: er was determined by HPLC analysis (Chiralpak IA, iPrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 4.46 min, t_{major} = 7.24 min, er = 97:3.

2f:

(2R,3S)-3-hydroxy-2-((4-methylphenyl)sulfonamido)-3-(p-tolyl)propyl 3,5-di-tert-butyl-4-methoxybenzoate

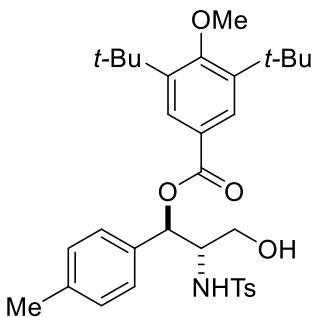


The title compound was synthesized according to the general procedure (variations: **C6** as the catalyst) and isolated by column chromatography (Petroleum ether / EtOAc = 3:1)

in 43% yield. Viscous colorless oil; [α]_D²⁰ = -13.8 (c = 0.5, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.82 (s, 2H), 7.65 (d, J = 8.2 Hz, 2H), 7.17 (d, J = 7.9 Hz, 2H), 7.10 (d, J = 7.8 Hz, 2H), 7.06 (d, J = 8.0 Hz, 2H), 5.31 (d, J = 7.9 Hz, 1H), 4.88 (t, J = 4.2 Hz, 1H), 4.43 (dd, J = 11.9, 7.1 Hz, 1H), 4.10 (dd, J = 11.9, 4.1 Hz, 1H), 3.84 (dt, J = 7.5, 3.7 Hz, 1H), 3.72 (s, 3H), 2.93 (d, J = 4.7 Hz, 1H), 2.31 (s, 3H), 2.26 (s, 3H), 1.44 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 167.32, 164.34, 144.21, 143.34, 137.85, 137.40, 136.47, 129.69, 129.40, 128.62, 127.04, 125.96, 123.69, 74.03, 64.57, 62.59, 58.76, 36.00, 32.04, 21.63, 21.26 ppm; **HRMS** (ESI): (m/z) calcd for C₃₃H₄₃NO₆S [M+Na]⁺: 604.2703, found: 604.2730; **IR**: ̄ = 3488, 3273, 2960, 1714, 1598, 1515, 1447, 1411, 1392, 1299, 1225, 1159, 1116, 1092, 1007, 911, 887, 843, 813, 756, 724, 705, 665, 577, 551 cm⁻¹; **HPLC**: er was determined by HPLC analysis (Chiralpak IC, iPrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 10.21 min, t_{major} = 19.96 min, er = 98:2.

3f:

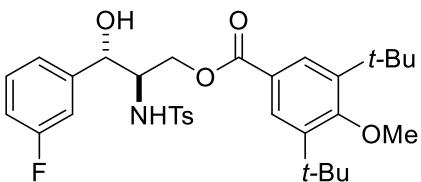
(1R,2S)-3-hydroxy-2-((4-methylphenyl)sulfonamido)-1-(p-tolyl)propyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to the general procedure (variations: **C6** as the catalyst) and isolated by column chromatography (Petroleum ether / EtOAc = 2:1) in 48% yield. Viscous colorless oil; $[\alpha]_D^{20} = +20.2$ ($c = 0.5$, CHCl₃); **1H NMR** (500 MHz, CDCl₃): δ 7.95 (s, 2H), 7.55 (d, $J = 8.1$ Hz, 2H), 7.14 (dd, $J = 15.1, 7.9$ Hz, 4H), 7.04 (d, $J = 7.9$ Hz, 2H), 5.86 (d, $J = 6.7$ Hz, 1H), 5.26 (d, $J = 8.9$ Hz, 1H), 3.82 – 3.77 (m, 1H), 3.77 – 3.72 (m, 1H), 3.71 (s, 3H), 3.67 (dt, $J = 11.1, 6.5$ Hz, 1H), 2.44 (d, $J = 7.4$ Hz, 1H), 2.38 (s, 3H), 2.31 (s, 3H), 1.43 (s, 18H) ppm; **13C NMR** (126 MHz, CDCl₃): δ 166.40, 164.61, 144.42, 143.35, 138.36, 137.46, 133.79, 129.67, 129.39, 128.69, 127.12, 126.87, 123.64, 74.92, 64.62, 61.36, 59.01, 36.00, 31.97, 21.67, 21.32 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₃H₄₃NO₆S [M+Na]⁺: 604.2703, found: 604.2730; **IR**: $\tilde{\nu}$ = 3504, 3273, 2960, 1716, 1597, 1516, 1448, 1410, 1363, 1297, 1226, 1184, 1158, 1137, 1117, 1093, 1057, 1005, 910, 888, 843, 811, 756, 705, 665, 551 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IA, iPrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 6.67 min, t_{major} = 7.77 min, *er* = 90.5:9.5.

2g:

(2R,3S)-3-(3-fluorophenyl)-3-hydroxy-2-((4-methylphenyl)sulfonamido)propyl 3,5-di-tert-butyl-4-methoxybenzoate

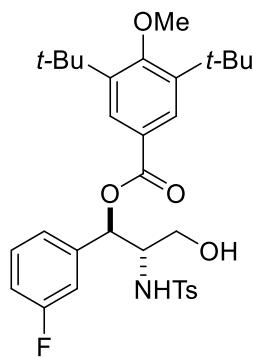


The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 3:1) in 49% yield. Viscous colorless oil; $[\alpha]_D^{25} = -20.6$ ($c = 1.0$, CHCl₃); **1H NMR** (500 MHz, CDCl₃): δ 7.80 (s, 2H), 7.67 – 7.62 (m, 2H), 7.30 – 7.22 (m, 1H), 7.11 – 6.99 (m, 4H), 6.91 (td, $J = 8.5, 2.6$ Hz, 1H), 5.49 (d, $J = 7.9$ Hz, 1H), 4.92 (t, $J = 4.5$ Hz, 1H), 4.48 (dd, $J = 12.0, 7.3$ Hz, 1H), 4.09 (dd, $J = 11.9, 4.1$ Hz, 1H), 3.83 (tt, $J = 7.9, 4.2$ Hz, 1H), 3.72 (s, 3H), 3.26 (d, $J = 4.7$ Hz, 1H), 2.25 (s, 3H), 1.43 (s, 18H) ppm; **13C NMR** (126 MHz, CDCl₃): δ 167.48, 164.45, 163.02 (d, $J = 246.9$ Hz), 144.26,

143.54, 142.34 (d, J = 6.8 Hz), 137.11, 130.23 (d, J = 8.1 Hz), 129.78, 128.63, 126.99, 123.49, 121.74 (d, J = 2.8 Hz), 114.93 (d, J = 21.2 Hz), 113.15 (d, J = 22.5 Hz), 73.69, 64.59, 62.35, 58.81, 36.00, 32.03, 21.62 ppm; **¹⁹F NMR** (471 MHz, CDCl₃): δ -112.00 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₂H₄₀FNO₆S [M+H]⁺: 586.2633, found: 586.2652; **IR**: $\tilde{\nu}$ = 3503, 3281, 2962, 2159, 2034, 1716, 1698, 1593, 1488, 1448, 1411, 1394, 1301, 1233, 1161, 1117, 1093, 1009, 814, 793, 770, 664, 566, 552, 511, 503, 493, 481, 462, 449, 442, 437, 431, 421, 408 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IC, *iPrOH*/Hexane = 20/80, 1.0 mL/min, 210 nm) Retention time: t_{minor} = 6.98 min, t_{major} = 10.27 min, *er* = 97.5:2.5.

3g:

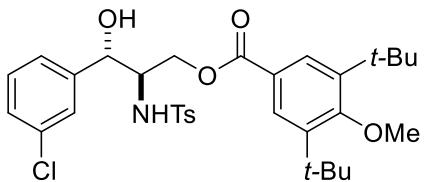
(1R,2S)-1-(3-fluorophenyl)-3-hydroxy-2-((4-methylphenyl)sulfonamido)propyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 3:1) in 45% yield. Viscous colorless oil; $[\alpha]_D^{25}$ = +41.9 (c = 1.0, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.94 (s, 2H), 7.53 (d, J = 8.4 Hz, 2H), 7.20 (td, J = 7.9, 5.7 Hz, 1H), 7.16 (d, J = 8.1 Hz, 2H), 7.06 (dt, J = 7.7, 1.2 Hz, 1H), 6.94 – 6.85 (m, 2H), 5.87 (d, J = 7.2 Hz, 1H), 5.44 (d, J = 9.2 Hz, 1H), 3.78 (ddt, J = 13.2, 7.1, 3.6 Hz, 2H), 3.73 – 3.65 (m, 1H), 3.71 (s, 3H), 2.58 (s, 1H), 2.39 (s, 3H), 1.43 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.20, 164.79, 162.79 (d, J = 246.9 Hz), 144.57, 143.62, 139.60 (d, J = 7.2 Hz), 137.19, 130.24 (d, J = 8.1 Hz), 129.78, 128.68, 127.02, 123.34, 123.02 (d, J = 2.8 Hz), 115.45 (d, J = 21.2 Hz), 113.91 (d, J = 22.2 Hz), 74.12, 64.64, 61.27, 58.90, 36.01, 31.95, 21.62 ppm; **¹⁹F NMR** (471 MHz, CDCl₃): δ -112.06 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₂H₄₀FNO₆S [M+Na]⁺: 608.2452, found: 608.2468; **IR**: $\tilde{\nu}$ = 3509, 3284, 2962, 1718, 1616, 1594, 1488, 1451, 1411, 1363, 1331, 1299, 1227, 1158, 1094, 1058, 1007, 963, 888, 813, 786, 663, 551, 522, 472, 452, 409 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IA, *iPrOH*/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 6.04 min, t_{major} = 6.38 min, *er* = >99.5:0.5.

2h:

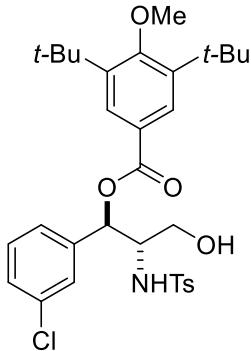
(2R,3S)-3-(3-chlorophenyl)-3-hydroxy-2-((4-methylphenyl)sulfonamido)propyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 3:1) in 47% yield. Viscous colorless oil; $[\alpha]_D^{25} = -18.9$ ($c = 1.0, \text{CHCl}_3$); **1H NMR** (500 MHz, CDCl₃): δ 7.80 (s, 2H), 7.62 (d, $J = 8.4$ Hz, 2H), 7.29 – 7.27 (m, 1H), 7.25 – 7.16 (m, 3H), 7.06 (d, $J = 8.1$ Hz, 2H), 5.45 (d, $J = 7.9$ Hz, 1H), 4.87 (t, $J = 4.6$ Hz, 1H), 4.51 (dd, $J = 12.0, 7.1$ Hz, 1H), 4.12 (d, $J = 4.0$ Hz, 1H), 3.81 (tt, $J = 7.9, 4.2$ Hz, 1H), 3.72 (s, 3H), 3.26 (d, $J = 4.7$ Hz, 1H), 2.26 (s, 3H), 1.43 (s, 18H) ppm; **13C NMR** (126 MHz, CDCl₃): δ 167.56, 164.49, 144.28, 143.54, 141.79, 137.04, 134.71, 129.93, 129.78, 128.65, 128.18, 126.97, 126.30, 124.35, 123.44, 73.66, 64.60, 62.40, 58.87, 36.00, 32.03, 21.65 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₂H₄₀CINO₆S [M+H]⁺: 602.2338, found: 602.2363; **IR**: $\tilde{\nu} = 3494, 3277, 2962, 1716, 1698, 1598, 1411, 1392, 1301, 1228, 1160, 1117, 1093, 1008, 813, 769, 699, 665, 552$ cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiraldak IC, iPrOH/Hexane = 20/80, 1.0 mL/min, 210 nm) Retention time: t_{minor} = 7.00 min, t_{major} = 10.43 min, *er* = 97.5:2.5.

3h:

(1R,2S)-1-(3-chlorophenyl)-3-hydroxy-2-((4-methylphenyl)sulfonamido)propyl 3,5-di-tert-butyl-4-methoxybenzoate

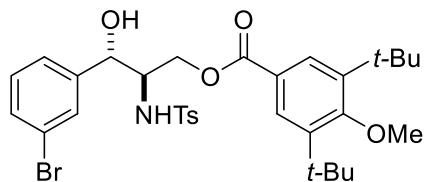


The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 3:1) in 48% yield. Viscous colorless oil; $[\alpha]_D^{25} = +46.1$ ($c = 1.0, \text{CHCl}_3$); **1H NMR** (500 MHz, CDCl₃): δ 7.94 (s, 2H), 7.53 – 7.47 (m, 2H), 7.18 – 7.11 (m, 6H), 5.83 (d, $J = 7.5$ Hz, 1H), 5.47 (d, $J = 9.1$ Hz, 1H), 3.84 – 3.79 (m, 1H), 3.79 – 3.73 (m, 2H), 3.71 (s, 3H), 2.62 (d, $J = 6.5$ Hz, 1H), 2.39 (s, 3H), 1.43 (s, 18H) ppm; **13C NMR** (126 MHz, CDCl₃): δ 166.23, 164.82, 144.58, 143.59, 139.27, 137.06, 134.61, 129.89, 129.77, 128.70, 128.61, 127.12, 126.96, 125.56, 123.30, 74.00, 64.65, 61.33, 58.98, 36.01, 31.95,

21.68 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₂H₄₀CINO₆S [M+H]⁺: 602.2338, found: 602.2353; **IR**: $\tilde{\nu}$ = 3503, 3279, 2961, 1719, 1598, 1576, 1447, 1410, 1363, 1331, 1297, 1227, 1158, 1134, 1094, 1059, 1007, 888, 813, 786, 767, 696, 665, 550 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 7.83 min, t_{major} = 6.46 min, *er* = >99.5:0.5.

2i:

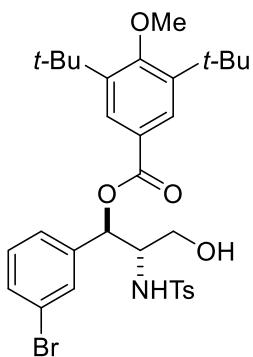
(2R,3S)-3-(3-bromophenyl)-3-hydroxy-2-((4-methylphenyl)sulfonamido)propyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 3:1) in 46% yield. Viscous colorless oil; $[\alpha]_D^{25} = -16.6$ (*c* = 1.0, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.80 (s, 2H), 7.62 (d, *J* = 8.3 Hz, 2H), 7.43 (s, 1H), 7.34 (dt, *J* = 8.0, 1.4 Hz, 1H), 7.25 – 7.22 (m, 1H), 7.19 – 7.12 (m, 1H), 7.06 (d, *J* = 8.1 Hz, 2H), 5.44 (d, *J* = 8.0 Hz, 1H), 4.86 (t, *J* = 4.6 Hz, 1H), 4.52 (dd, *J* = 12.0, 7.1 Hz, 1H), 4.11 (dd, *J* = 12.0, 4.0 Hz, 1H), 3.81 (tt, *J* = 7.9, 4.2 Hz, 1H), 3.72 (s, 3H), 3.26 (d, *J* = 4.7 Hz, 1H), 2.26 (s, 3H), 1.43 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 167.57, 164.50, 144.29, 143.54, 142.07, 137.06, 131.12, 130.21, 129.79, 129.22, 128.66, 126.98, 124.83, 123.45, 122.94, 73.61, 64.60, 62.41, 58.89, 36.01, 32.04, 21.67 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₂H₄₀BrNO₆S [M+Na]⁺: 668.1652, found: 668.1674; **IR**: $\tilde{\nu}$ = 3471, 3279, 2957, 2924, 2854, 1717, 1662, 1597, 1571, 1465, 1301, 1233, 1160, 1117, 1093, 1009, 888, 813, 769, 664, 551 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min, 210 nm) Retention time: t_{minor} = 7.07 min, t_{major} = 10.69 min, *er* = 98:2.

3i:

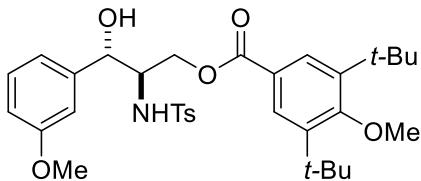
(1R,2S)-1-(3-bromophenyl)-3-hydroxy-2-((4-methylphenyl)sulfonamido)propyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 3:1) in 48% yield. Viscous colorless oil; $[\alpha]_D^{19} = +42.9$ ($c = 1.0$, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.94 (s, 2H), 7.50 (d, $J = 8.2$ Hz, 2H), 7.32 (dt, $J = 3.7, 1.8$ Hz, 2H), 7.21 (dt, $J = 7.8, 1.3$ Hz, 1H), 7.16 (d, $J = 8.0$ Hz, 2H), 7.09 (t, $J = 8.1$ Hz, 1H), 5.82 (d, $J = 7.5$ Hz, 1H), 5.51 – 5.42 (m, 1H), 3.81 (dt, $J = 7.8, 3.7$ Hz, 1H), 3.79 – 3.73 (m, 2H), 3.71 (s, 3H), 2.62 (dq, $J = 11.2, 5.3, 4.6$ Hz, 1H), 2.40 (s, 3H), 1.43 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.26, 164.84, 144.61, 143.59, 139.55, 137.08, 131.53, 130.17, 130.06, 129.80, 128.71, 126.98, 126.00, 123.30, 122.84, 73.97, 64.65, 61.32, 59.04, 36.03, 31.96, 21.73 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₂H₄₀BrNO₆S [M+Na]⁺: 668.1652, found: 668.1667; **IR**: $\tilde{\nu}$ = 3276, 2959, 1717, 1597, 1572, 1447, 1410, 1363, 1328, 1296, 1224, 1156, 1133, 1117, 1093, 1058, 1005, 908, 888, 813, 784, 755, 695, 680, 665, 550, 443 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiraldak IA, iPrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 5.97 min, t_{major} = 6.66 min, *er* = >99.5:0.5.

2j:

(2R,3S)-3-hydroxy-3-(3-methoxyphenyl)-2-((4-methylphenyl)sulfonamido)propyl 3,5-di-tert-butyl-4-methoxybenzoate

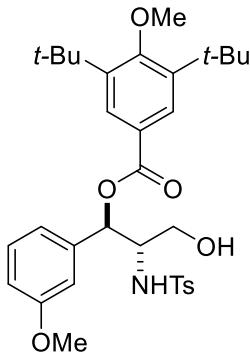


The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 3:1) in 46% yield. Viscous colorless oil; $[\alpha]_D^{25} = -29.7$ ($c = 1.0$, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.82 (s, 2H), 7.64 (d, $J = 8.3$ Hz, 2H), 7.22 (t, $J = 7.9$ Hz, 1H), 7.06 (d, $J = 8.0$ Hz, 2H), 6.89 – 6.85 (m, 1H), 6.84 (t, $J = 2.2$ Hz, 1H), 6.78 (ddd, $J = 8.2, 2.6, 0.9$ Hz, 1H), 5.37 (d, $J = 7.8$ Hz, 1H), 4.89 (t, $J = 4.4$ Hz, 1H), 4.47 (dd, $J = 11.9, 7.2$ Hz, 1H), 4.11 (dd, $J = 11.9, 4.1$ Hz, 1H), 3.88 – 3.80 (m, 1H), 3.75 (s, 3H), 3.71 (s, 3H), 3.05 (d, $J = 4.7$ Hz, 1H), 2.26 (s, 3H), 1.43 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 167.40, 164.38, 159.95, 144.23, 143.39, 141.19, 137.31, 129.79, 129.72,

128.63, 127.03, 123.67, 118.36, 113.76, 111.40, 74.06, 64.58, 62.54, 58.77, 55.29, 36.00, 32.04, 21.62 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₃H₄₃NO₇S [M+Na]⁺: 620.2652, found: 620.2667; **IR**: $\tilde{\nu}$ = 3487, 3280, 2960, 1716, 1599, 1489, 1455, 1411, 1393, 1301, 1234, 1160, 1117, 1093, 1045, 1008, 813, 770, 665, 551 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min, 210 nm) Retention time: t_{minor} = 6.30 min, t_{major} = 7.35 min, *er* = 97.5:2.5.

3j:

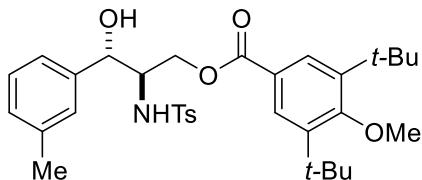
(1R,2S)-3-hydroxy-1-(3-methoxyphenyl)-2-((4-methylphenyl)sulfonamido)propyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 3:1) in 45% yield. Viscous colorless oil; [α]_D²⁵ = +47.0 (*c* = 1.0, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.95 (s, 2H), 7.55 (d, *J* = 8.3 Hz, 2H), 7.20 – 7.13 (m, 3H), 6.84 (dt, *J* = 7.7, 1.3 Hz, 1H), 6.77 (ddd, *J* = 8.3, 2.6, 0.9 Hz, 1H), 6.75 – 6.71 (m, 1H), 5.87 (d, *J* = 6.4 Hz, 1H), 5.33 (d, *J* = 8.9 Hz, 1H), 3.82 – 3.74 (m, 2H), 3.74 – 3.65 (m, 1H), 3.72 (s, 3H), 3.71 (s, 3H), 2.49 (dd, *J* = 8.1, 4.4 Hz, 1H), 2.38 (s, 3H), 1.43 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.29, 164.66, 159.78, 144.46, 143.45, 138.40, 137.32, 129.82, 129.73, 128.69, 127.09, 123.57, 119.25, 114.28, 112.17, 74.89, 64.62, 61.37, 59.03, 55.18, 36.00, 31.97, 21.64 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₃H₄₃NO₇S [M+Na]⁺: 620.2652, found: 620.2669; **IR**: $\tilde{\nu}$ = 3509, 3284, 2961, 1717, 1599, 1491, 1455, 1410, 1363, 1299, 1261, 1227, 1158, 1135, 1116, 1093, 1043, 1008, 866, 811, 759, 702, 664, 551 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 7.09 min, t_{major} = 7.73 min, *er* = 98:2.

2k:

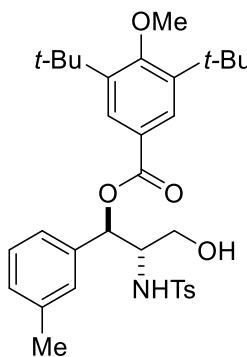
(2R,3S)-3-hydroxy-2-((4-methylphenyl)sulfonamido)-3-(m-tolyl)propyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 3:1) in 46% yield. Viscous colorless oil; $[\alpha]_D^{26} = -22.1$ ($c = 0.5$, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.82 (s, 2H), 7.65 (d, $J = 8.0$ Hz, 2H), 7.20 (t, $J = 7.7$ Hz, 1H), 7.11 – 7.03 (m, 5H), 5.33 (d, $J = 7.9$ Hz, 1H), 4.87 (t, $J = 4.3$ Hz, 1H), 4.46 (dd, $J = 11.9$, 7.1 Hz, 1H), 4.12 (dd, $J = 11.9$, 4.2 Hz, 1H), 3.85 (tt, $J = 7.8$, 4.2 Hz, 1H), 3.72 (s, 3H), 2.95 (d, $J = 4.6$ Hz, 1H), 2.30 (s, 3H), 2.26 (s, 3H), 1.44 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 167.38, 164.37, 144.22, 143.35, 139.46, 138.46, 137.41, 129.71, 128.90, 128.65, 128.62, 127.04, 126.65, 123.69, 123.16, 74.21, 64.58, 62.54, 58.78, 36.00, 32.05, 21.63, 21.60 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₃H₄₃NO₆S [M+Na]⁺: 604.2703, found: 604.2723; **IR**: $\tilde{\nu}$ = 3501, 3284, 2960, 2925, 1716, 1597, 1448, 1410, 1393, 1301, 1233, 1161, 1117, 1093, 1007, 814, 769, 665, 551, 404 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min, 210 nm) Retention time: t_{minor} = 8.97 min, t_{major} = 17.77 min, *er* = 99:1.

3k:

(1R,2S)-3-hydroxy-2-((4-methylphenyl)sulfonamido)-1-(m-tolyl)propyl 3,5-di-tert-butyl-4-methoxybenzoate

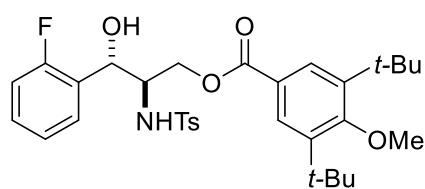


The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 3:1) in 45% yield. Viscous colorless oil; $[\alpha]_D^{25} = +40.8$ ($c = 1.0$, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.95 (s, 2H), 7.55 (d, $J = 8.0$ Hz, 2H), 7.15 (dd, $J = 12.3$, 7.8 Hz, 3H), 7.05 (d, $J = 7.7$ Hz, 2H), 7.00 (s, 1H), 5.85 (d, $J = 6.3$ Hz, 1H), 5.28 (d, $J = 8.9$ Hz, 1H), 3.77 (dq, $J = 12.8$, 4.0 Hz, 2H), 3.73 – 3.64 (m, 1H), 3.71 (s, 3H), 2.43 (d, $J = 6.1$ Hz, 1H), 2.38 (s, 3H), 2.27 (s, 3H), 1.44 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.39, 164.64, 144.47, 143.41, 138.40, 137.38, 136.80, 129.73, 129.32, 128.71, 127.60, 127.13, 123.92, 123.62, 75.17, 64.63, 61.32, 59.16, 36.02, 31.97, 21.65, 21.54

ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₃H₄₃NO₆S [M+Na]⁺: 604.2703, found: 604.2726; **IR**: $\tilde{\nu}$ = 3286, 2960, 1717, 1597, 1448, 1411, 1300, 1228, 1158, 1136, 1117, 1094, 1059, 1009, 811, 770, 705, 662, 553 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 5.58 min, t_{major} = 6.58 min, *er* = 99.5:0.5.

2I

(2*R*,3*S*)-3-(2-fluorophenyl)-3-hydroxy-2-((4-methylphenyl)sulfonamido)propyl 3,5-di-tert-butyl-4-methoxybenzoate

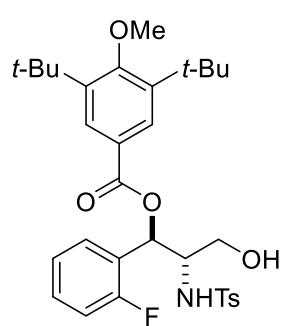


The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 3:1) in 48% yield. Viscous colorless oil; $[\alpha]_D^{25} = -35.4$ (*c* = 1.0, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.83 (s, 2H), 7.67 – 7.62 (m, 2H), 7.46 (td, *J* = 7.5, 1.8 Hz, 1H), 7.22 (tdd, *J* = 7.6, 5.2, 1.8 Hz, 1H), 7.12 – 7.04 (m, 3H), 6.96 (ddd, *J* = 10.5, 8.2, 1.2 Hz, 1H), 5.37 (d, *J* = 8.1 Hz, 1H), 5.16 (t, *J* = 4.9 Hz, 1H), 4.46 (dd, *J* = 11.9, 6.7 Hz, 1H), 4.14 (dd, *J* = 11.9, 3.7 Hz, 1H), 3.96 – 3.88 (m, 1H), 3.72 (s, 3H), 3.15 (d, *J* = 5.1 Hz, 1H), 2.28 (s, 3H), 1.44 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 167.40, 164.43, 159.60 (d, *J* = 245.4 Hz), 144.27, 143.39, 137.14, 129.75, 129.60 (d, *J* = 8.2 Hz), 128.64, 127.79 (d, *J* = 4.0 Hz), 127.09, 126.87 (d, *J* = 13.2 Hz), 124.60 (d, *J* = 3.3 Hz), 123.60, 115.36 (d, *J* = 21.7 Hz), 68.10, 64.59, 62.99, 57.59, 36.00, 32.03, 21.63 ppm; **¹⁹F NMR** (471 MHz, CDCl₃): δ -117.56 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₂H₄₀FNO₆S [M+H]⁺: 586.2633, found: 586.2644; **IR**: $\tilde{\nu}$ = 3481, 3279, 2960, 2925, 1716, 1598, 1487, 1456, 1411, 1392, 1299, 1226, 1161, 1116, 1092, 1070, 1008, 910, 887, 813, 759, 664, 571, 551, 419 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min, 210 nm) Retention time: t_{minor} = 8.89 min, t_{major} = 13.17 min, *er* = 99:1.

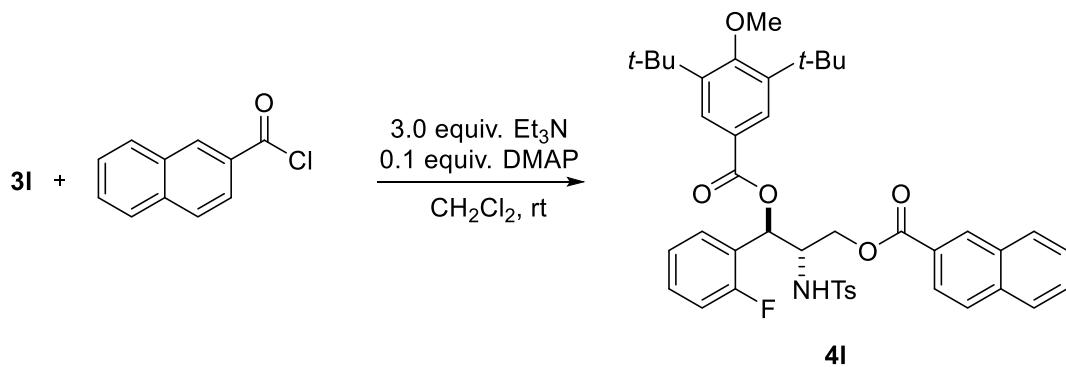
3I

(1*R*,2*S*)-1-(2-fluorophenyl)-3-hydroxy-2-((4-methylphenyl)sulfonamido)propyl 3,5-di-

tert-butyl-4-methoxybenzoate



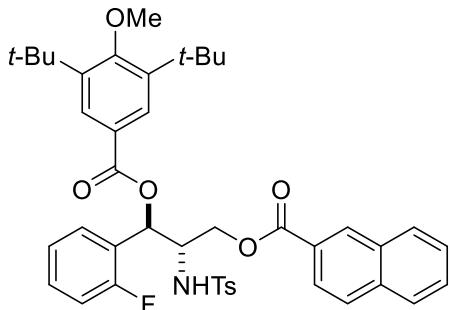
The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 3:1) in 48% yield. Viscous colorless oil; **¹H NMR** (500 MHz, CDCl₃): δ 7.96 (s, 2H), 7.58 – 7.52 (m, 2H), 7.32 (td, J = 7.4, 1.8 Hz, 1H), 7.22 (dt, J = 8.2, 2.2 Hz, 1H), 7.15 (d, J = 8.3 Hz, 2H), 7.05 (dd, J = 7.5, 1.2 Hz, 1H), 6.92 (ddd, J = 9.6, 8.3, 1.1 Hz, 1H), 6.13 (s, 1H), 5.35 (d, J = 9.5 Hz, 1H), 3.91 (ddt, J = 9.5, 7.4, 3.4 Hz, 1H), 3.77 (dt, J = 12.0, 3.8 Hz, 1H), 3.71 (s, 3H), 3.65 (ddd, J = 11.5, 7.9, 3.3 Hz, 1H), 2.57 (dd, J = 8.3, 4.6 Hz, 1H), 2.38 (s, 3H), 1.43 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 165.52 (d, J = 184.1 Hz), 160.35 (d, J = 247.5 Hz), 144.56, 143.41, 137.42, 130.18 (d, J = 8.3 Hz), 129.76, 128.76, 128.63, 128.60, 127.03, 124.43 (d, J = 13.0 Hz), 124.41 (d, J = 3.7 Hz), 123.34, 115.81 (d, J = 21.6 Hz), 69.52, 64.64, 61.28, 57.96, 36.02, 31.96, 21.64 ppm; **¹⁹F NMR** (471 MHz, CDCl₃): δ -116.54 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₂H₄₀FNO₆S [M+Na]⁺: 608.2452, found: 608.2480; **IR**: ν = 3510, 3274, 2961, 1720, 1597, 1493, 1457, 1411, 1363, 1332, 1298, 1225, 1158, 1134, 1093, 1059, 1005, 910, 888, 813, 758, 706, 666, 551 cm⁻¹;



To a solution of **3I** in CH₂Cl₂ (2 mL) was added 2-Naphthoyl chloride (1.5 equiv.), Et₃N (3.0 equiv.) and DMAP (0.1 equiv.) successively. The reaction was stirred at rt for 5 h. The solvent was removed under reduced pressure and the crude products were purified by column chromatography.

4I:

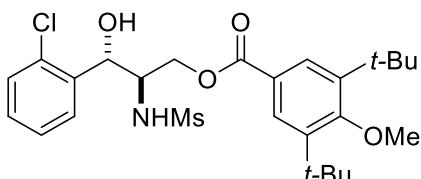
(2S,3R)-3-((3,5-di-tert-butyl-4-methoxybenzoyl)oxy)-3-(2-fluorophenyl)-2-((4-methylphenyl)sulfonamido)propyl 2-naphthoate



The title compound was isolated by column chromatography (Petroleum ether / EtOAc = 8:1) in 42% yield (2 steps). Viscous colorless oil; $[\alpha]_D^{20} = +15.1$ ($c = 0.5$, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 8.31 (s, 1H), 8.05 (s, 2H), 7.87 (dd, $J = 8.2, 4.6$ Hz, 2H), 7.83 – 7.78 (m, 2H), 7.64 – 7.58 (m, 3H), 7.54 (t, $J = 7.5$ Hz, 1H), 7.47 (t, $J = 7.4$ Hz, 1H), 7.29 – 7.25 (m, 1H), 7.12 (t, $J = 7.6$ Hz, 1H), 7.00 (dd, $J = 16.2, 8.5$ Hz, 3H), 6.40 (d, $J = 4.5$ Hz, 1H), 5.21 (d, $J = 8.9$ Hz, 1H), 4.58 (dd, $J = 11.1, 5.9$ Hz, 1H), 4.45 – 4.35 (m, 2H), 3.69 (s, 3H), 2.07 (s, 3H), 1.44 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.47, 165.61, 164.64, 160.00 (d, $J = 247.2$ Hz), 144.48, 143.38, 137.72, 135.74, 132.40, 131.51, 130.31 (d, $J = 8.3$ Hz), 129.70, 129.59, 128.80, 128.60, 128.22 (d, $J = 3.4$ Hz), 128.17, 127.86, 126.87, 126.84, 126.45, 125.29, 124.71 (d, $J = 3.4$ Hz), 124.07 (d, $J = 13.1$ Hz), 123.54, 115.93 (d, $J = 21.4$ Hz), 70.05, 64.60, 63.19, 56.16, 36.03, 31.99, 21.35 ppm; **¹⁹F NMR** (471 MHz, CDCl₃): δ -116.22 ppm; **HRMS** (ESI): (*m/z*) calcd for C₄₃H₄₆FNO₇S [M+H]⁺: 740.3052, found: 740.3085; **IR**: $\tilde{\nu}$ = 3275, 2959, 2926, 1721, 1631, 1598, 1490, 1458, 1393, 1337, 1281, 1224, 1196, 1162, 1130, 1117, 1093, 1006, 913, 888, 866, 812, 778, 762, 665, 551, 501, 475 cm⁻¹; **HPLC**: er was determined by HPLC analysis (Chiralpak IA, iPrOH/Hexane = 20/80, 1.0 mL/min, 210 nm) Retention time: t_{minor} = 12.94 min, t_{major} = 13.99 min, er = 99:1.

2m:

(2R,3S)-3-(2-chlorophenyl)-3-hydroxy-2-(methylsulfonamido)propyl 3,5-di-tert-butyl-4-methoxybenzoate

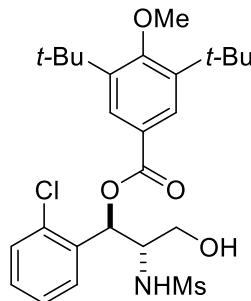


The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 2:1) in 46% yield. Viscous colorless oil; $[\alpha]_D^{25} = -6.7$ ($c = 1.0$,

CHCl_3); **$^1\text{H NMR}$** (500 MHz, CDCl_3): δ 7.92 (s, 2H), 7.69 (dd, $J = 7.7, 1.7$ Hz, 1H), 7.40 – 7.31 (m, 2H), 7.28 – 7.22 (m, 1H), 5.42 – 5.36 (m, 1H), 5.16 (d, $J = 9.4$ Hz, 1H), 4.60 (dd, $J = 11.8, 6.5$ Hz, 1H), 4.32 (dd, $J = 11.7, 3.5$ Hz, 1H), 4.14 (dtd, $J = 9.6, 6.2, 3.5$ Hz, 1H), 3.70 (s, 3H), 3.10 (d, $J = 4.4$ Hz, 1H), 2.65 (s, 3H), 1.43 (s, 18H) ppm; **$^{13}\text{C NMR}$** (126 MHz, CDCl_3): δ 167.33, 164.50, 144.44, 138.05, 132.61, 129.73, 129.62, 128.59, 128.14, 127.60, 123.65, 70.76, 64.60, 63.80, 57.58, 41.57, 36.03, 32.02 ppm; **HRMS** (ESI): (*m/z*) calcd for $\text{C}_{32}\text{H}_{40}\text{ClNO}_6\text{S} [\text{M}+\text{Na}]^+$: 548.1844, found: 548.1869; **IR**: $\tilde{\nu} = 3488, 3282, 2962, 1716, 1443, 1411, 1392, 1363, 1301, 1228, 1154, 1116, 1033, 1007, 757, 525, 472, 449, 419 \text{ cm}^{-1}$; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IC, *iPrOH*/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: $t_{\text{minor}} = 8.23$ min, $t_{\text{major}} = 15.50$ min, *er* = 98.5:1.5.

3m:

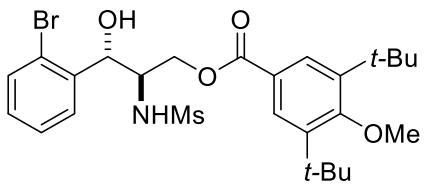
(1*R*,2*S*)-1-(2-chlorophenyl)-3-hydroxy-2-(methylsulfonamido)propyl 3,5-di-*tert*-butyl-4-methoxybenzoate



The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 2:1) in 50% yield. Viscous colorless oil; $[\alpha]_D^{24} = +61.4$ ($c = 1.0$, CHCl_3); **$^1\text{H NMR}$** (500 MHz, CDCl_3): δ 8.00 (s, 2H), 7.58 (dd, $J = 7.7, 1.8$ Hz, 1H), 7.43 (dd, $J = 7.9, 1.4$ Hz, 1H), 7.34 (td, $J = 7.6, 1.4$ Hz, 1H), 7.29 (td, $J = 7.6, 1.8$ Hz, 1H), 6.44 (d, $J = 8.5$ Hz, 1H), 5.29 (d, $J = 9.7$ Hz, 1H), 4.16 – 4.05 (m, 1H), 3.91 (dt, $J = 11.7, 3.4$ Hz, 1H), 3.86 (td, $J = 9.0, 7.8, 5.1$ Hz, 1H), 3.72 (s, 3H), 2.66 (d, $J = 6.4$ Hz, 1H), 2.40 (s, 3H), 1.44 (s, 18H) ppm; **$^{13}\text{C NMR}$** (126 MHz, CDCl_3): δ 166.20, 164.86, 144.65, 135.94, 133.90, 130.11, 130.05, 128.76, 128.69, 127.55, 123.26, 71.17, 64.66, 62.11, 58.96, 41.46, 36.04, 31.96 ppm; **HRMS** (ESI): (*m/z*) calcd for $\text{C}_{32}\text{H}_{40}\text{ClNO}_6\text{S} [\text{M}+\text{Na}]^+$: 548.1844, found: 548.1863; **IR**: $\tilde{\nu} = 3507, 3284, 2962, 1721, 1596, 1445, 1410, 1363, 1301, 1227, 1152, 1128, 1060, 1007, 888, 804, 760, 519, 419 \text{ cm}^{-1}$; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IA, *iPrOH*/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: $t_{\text{minor}} = 6.00$ min, $t_{\text{major}} = 5.14$ min, *er* = 97.5:2.5.

2n:

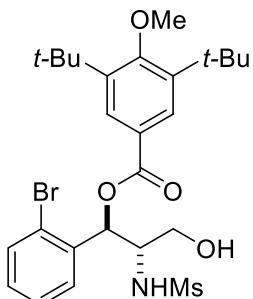
(2R,3S)-3-(2-bromophenyl)-3-hydroxy-2-(methylsulfonamido)propyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 2:1) in 45% yield. Viscous colorless oil; $[\alpha]_D^{25} = -4.7$ ($c = 0.5$, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.93 (s, 2H), 7.68 (dd, $J = 7.8, 1.7$ Hz, 1H), 7.55 (dd, $J = 8.1, 1.2$ Hz, 1H), 7.38 (td, $J = 7.6, 1.2$ Hz, 1H), 7.17 (td, $J = 7.6, 1.7$ Hz, 1H), 5.34 (dd, $J = 6.0, 4.2$ Hz, 1H), 5.17 (d, $J = 9.5$ Hz, 1H), 4.60 (dd, $J = 11.7, 6.4$ Hz, 1H), 4.34 (dd, $J = 11.7, 3.5$ Hz, 1H), 4.16 (dtd, $J = 9.6, 6.2, 3.5$ Hz, 1H), 3.70 (s, 3H), 3.10 (d, $J = 4.3$ Hz, 1H), 2.64 (s, 3H), 1.43 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 167.31, 164.48, 144.43, 139.69, 133.00, 129.97, 128.59, 128.49, 128.20, 123.68, 122.86, 72.85, 64.59, 63.83, 57.55, 41.66, 36.03, 32.04 ppm; **HRMS** (ESI): (*m/z*) calcd for C₂₆H₃₆BrNO₆S [M+Na]⁺: 592.1339, found: 592.1361; **IR**: $\tilde{\nu}$ = 3360, 2958, 2922, 2852, 1716, 1660, 1633, 1470, 1411, 1301, 1232, 1154, 1116, 1008, 767, 528 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IC, iPrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 8.66 min, t_{major} = 15.98 min, *er* = 99.5:0.5.

3n:

(1R,2S)-1-(2-bromophenyl)-3-hydroxy-2-(methylsulfonamido)propyl 3,5-di-tert-butyl-4-methoxybenzoate

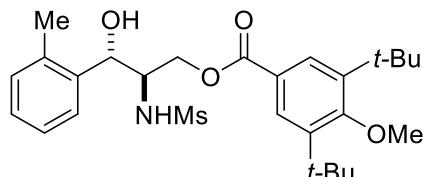


The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 2:1) in 52% yield. Viscous colorless oil; $[\alpha]_D^{25} = +77.5$ ($c = 1.0$, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 8.00 (s, 2H), 7.61 (dd, $J = 8.1, 1.3$ Hz, 1H), 7.57 (dd, $J = 7.8, 1.7$ Hz, 1H), 7.38 (td, $J = 7.6, 1.3$ Hz, 1H), 7.21 (td, $J = 7.7, 1.7$ Hz, 1H), 6.42 (d, $J = 8.5$ Hz, 1H), 5.30 (d, $J = 9.7$ Hz, 1H), 4.14 – 4.06 (m, 1H), 3.92 (dd, $J = 11.7, 3.5$ Hz, 1H), 3.86 (d, $J = 12.2$ Hz, 1H), 3.72 (s, 3H), 2.65 (d, $J = 6.7$ Hz, 1H), 2.39 (s, 3H), 1.44 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.17, 164.86, 144.66, 137.62, 133.36, 130.33,

128.88, 128.76, 128.17, 124.10, 123.25, 73.18, 64.66, 62.12, 59.11, 41.52, 36.05, 31.97
 ppm; **HRMS** (ESI): (*m/z*) calcd for C₂₆H₃₆BrNO₆S [M+Na]⁺: 592.1339, found: 592.1361;
IR: $\tilde{\nu}$ = 3496, 3283, 2958, 2925, 2854, 1721, 1595, 1467, 1410, 1363, 1300, 1227, 1152,
 1132, 1061, 1007, 888, 805, 759, 680, 525 cm⁻¹; **HPLC**: *er* was determined by HPLC
 analysis (Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor}
 = 6.47 min, t_{major} = 5.31 min, *er* = 95.5:4.5.

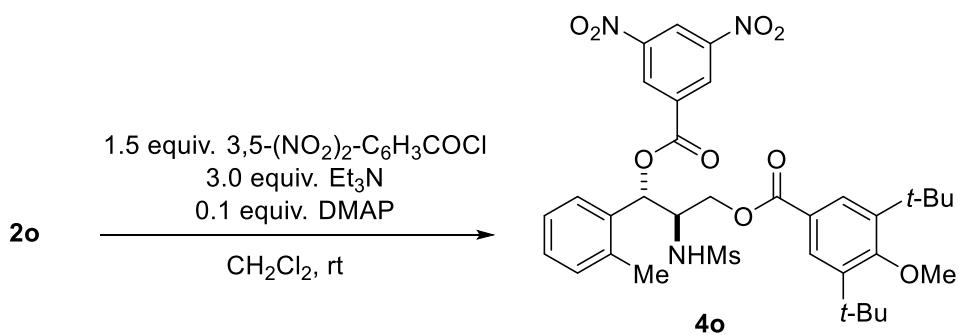
20:

(2R,3S)-3-hydroxy-2-(methylsulfonamido)-3-(o-tolyl)propyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 2:1) in 46% yield. Viscous colorless oil; **¹H NMR** (500 MHz,

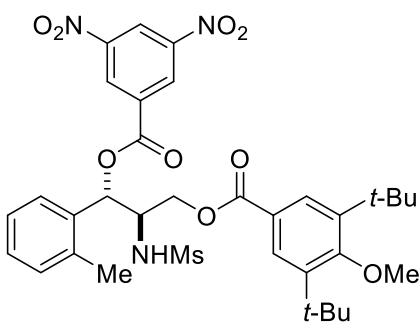
CDCl_3): δ 7.90 (s, 2H), 7.62 – 7.57 (m, 1H), 7.30 – 7.23 (m, 1H), 7.23 – 7.14 (m, 2H), 5.28 – 5.20 (m, 2H), 4.68 (dd, J = 11.8, 7.6 Hz, 1H), 4.30 (dd, J = 11.8, 3.4 Hz, 1H), 4.02 (tt, J = 8.4, 3.4 Hz, 1H), 3.70 (s, 3H), 2.81 (d, J = 3.8 Hz, 1H), 2.65 (s, 3H), 2.41 (s, 3H), 1.42 (s, 18H) ppm; ^{13}C NMR (126 MHz, CDCl_3): δ 167.33, 164.48, 144.48, 138.50, 135.31, 130.93, 128.51, 128.25, 126.62, 126.20, 123.73, 71.95, 64.59, 63.44, 57.72, 41.74, 36.03, 32.02, 19.42 ppm; HRMS (ESI): (m/z) calcd for $\text{C}_{27}\text{H}_{39}\text{NO}_6\text{S} [\text{M}+\text{Na}]^+$: 528.2390, found: 592.2414; IR: $\tilde{\nu}$ = 3280, 3104, 2961, 1717, 1629, 1597, 1547, 1460, 1411, 1392, 1344, 1329, 1296, 1274, 1226, 1153, 1076, 1006, 922, 888, 763, 730, 721, 683, 523 cm^{-1} .



To a solution of **2o** in CH₂Cl₂ (1 mL) was added 3,5-(NO₂)₂-C₆H₃COCl (1.5 equiv.), Et₃N (3.0 equiv.) and DMAP (0.1 equiv.) successively. The reaction was stirred at rt for 5 h. The solvent was removed under reduced pressure and the crude products were purified by column chromatography.

4o:

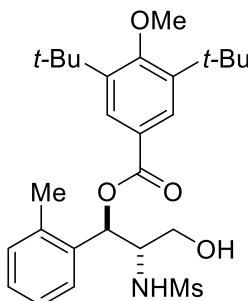
(2R,3S)-3-((3,5-dinitrobenzoyl)oxy)-2-(methylsulfonamido)-3-(o-tolyl)propyl 3,5-di-tert-butyl-4-methoxybenzoate



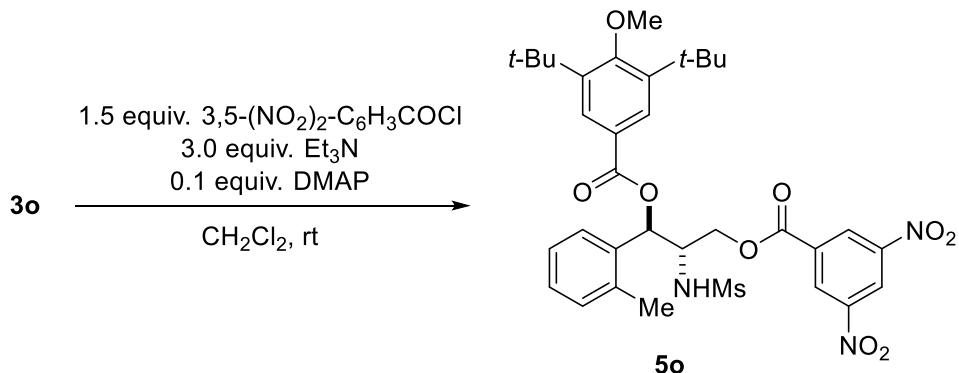
The title compound was isolated by column chromatography (Petroleum ether / EtOAc = 5:1) in 42% yield (2 steps). Viscous colorless oil; [α]_D²¹ = -35.0 (*c* = 1.0, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 9.23 (t, *J* = 2.1 Hz, 1H), 9.17 (d, *J* = 2.1 Hz, 2H), 7.92 (s, 2H), 7.61 – 7.56 (m, 1H), 7.34 – 7.28 (m, 2H), 7.28 – 7.23 (m, 1H), 6.46 (d, *J* = 7.1 Hz, 1H), 4.96 (d, *J* = 8.9 Hz, 1H), 4.66 – 4.59 (m, 1H), 4.56 – 4.47 (m, 2H), 3.72 (s, 3H), 2.59 (s, 3H), 2.42 (s, 3H), 1.44 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.87, 164.78, 161.60, 148.88, 144.70, 136.98, 134.38, 133.38, 131.26, 129.66, 129.57, 128.56, 127.15, 126.84, 123.23, 122.87, 73.07, 64.65, 63.93, 56.89, 41.73, 36.06, 32.00, 19.72 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₄H₄₁N₃O₁₁S [M+Na]⁺: 722.2354, found: 722.2390; **IR**: $\tilde{\nu}$ = 3278, 3103, 2961, 1717, 1629, 1596, 1546, 1460, 1411, 1392, 1344, 1329, 1296, 1274, 1226, 1153, 1117, 1076, 1006, 922, 888, 762, 730, 721, 684, 523 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiraldak IA, iPrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 10.58 min, t_{major} = 33.23 min, *er* = 99.5:0.5.

3o:

(1R,2S)-3-hydroxy-2-(methylsulfonamido)-1-(o-tolyl)propyl 3,5-di-tert-butyl-4-methoxybenzoate



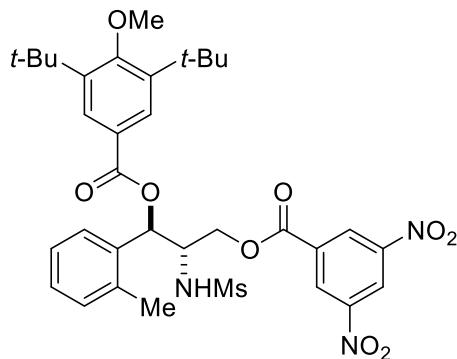
The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 2:1) in 47% yield. Viscous colorless oil; **¹H NMR** (500 MHz, CDCl₃): δ 7.97 (s, 2H), 7.52 (dd, *J* = 7.5, 1.6 Hz, 1H), 7.29 – 7.16 (m, 3H), 6.22 (d, *J* = 9.2 Hz, 1H), 5.33 (d, *J* = 9.4 Hz, 1H), 4.03 (tt, *J* = 9.3, 3.1 Hz, 1H), 3.96 (dd, *J* = 11.7, 3.2 Hz, 1H), 3.86 (dd, *J* = 11.7, 3.0 Hz, 1H), 3.71 (s, 3H), 2.72 (s, 1H), 2.54 (s, 3H), 2.16 (s, 3H), 1.43 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.53, 164.72, 144.57, 137.03, 136.71, 130.93, 128.77, 128.66, 127.24, 126.65, 123.56, 70.84, 64.65, 62.26, 59.60, 41.25, 36.03, 31.97, 19.80 ppm; **HRMS** (ESI): (*m/z*) calcd for C₂₇H₃₉NO₆S [M+Na]⁺: 528.2390, found: 592.2412; **IR**: $\tilde{\nu}$ = 3278, 3106, 2962, 1723, 1629, 1597, 1547, 1463, 1410, 1345, 1328, 1278, 1226, 1164, 1129, 1076, 1006, 921, 888, 765, 730, 721, 678, 525, 449, 432 cm⁻¹;



To a solution of **3o** in CH₂Cl₂ (1 mL) was added 3,5-(NO₂)₂-C₆H₃COCl (1.5 equiv.), Et₃N (3.0 equiv.) and DMAP (0.1 equiv.) successively. The reaction was stirred at rt for 5 h. The solvent was removed under reduced pressure and the crude products were purified by column chromatography.

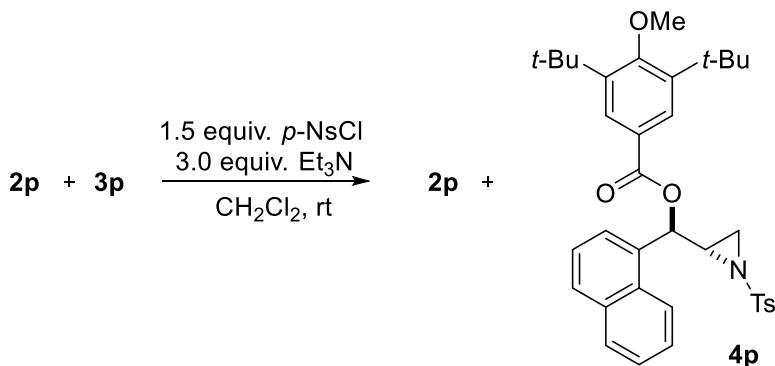
5o:

(1R,2S)-3-((3,5-dinitrobenzoyl)oxy)-2-(methylsulfonamido)-1-(o-tolyl)propyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was isolated by column chromatography (Petroleum ether / EtOAc = 5:1) in 43% yield (2 steps). Viscous colorless oil; $[\alpha]_D^{21} = +11.3$ ($c = 0.4$, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 9.22 (d, $J = 2.0$ Hz, 1H), 9.15 (d, $J = 2.2$ Hz, 2H), 7.99 (s, 2H), 7.51 (d, $J = 7.3$ Hz, 1H), 7.24 (dt, $J = 6.1, 3.5$ Hz, 3H), 6.29 (d, $J = 7.9$ Hz, 1H), 4.96 (d, $J = 9.5$ Hz, 1H), 4.77 (dd, $J = 11.6, 3.6$ Hz, 1H), 4.68 (dd, $J = 11.6, 7.2$ Hz, 1H), 4.54 – 4.47 (m, 1H), 3.72 (s, 3H), 2.59 (s, 3H), 2.36 (s, 3H), 1.45 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 165.66, 164.90, 162.77, 148.82, 144.79, 136.49, 135.73, 133.31, 131.24, 129.85, 129.13, 128.60, 126.95, 126.63, 123.32, 122.79, 71.75, 65.92, 64.69, 57.05, 41.79, 36.07, 31.99, 19.71 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₄H₄₁N₃O₁₁S [M+Na]⁺: 722.2354, found: 722.2386; **IR**: $\tilde{\nu} = 3277, 3104, 2961, 2928, 1723, 1668, 1629, 1597, 1547, 1463, 1410, 1345, 1328, 1278, 1226, 1164, 1129, 1076, 1007, 921, 888, 765, 730, 721, 524$ cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiraldak IA, iPrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 8.92 min, t_{major} = 12.67 min, *er* = 99:1.

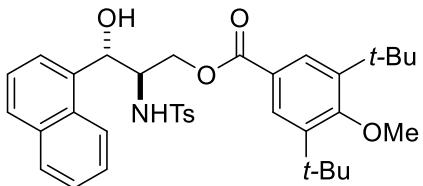
2p and **3p** were synthesized according to the general procedure (variations: **C6** as the catalyst) and isolated by a short column as a mixture.



To a solution of the mixture of **2p** and **3p** in CH₂Cl₂ (2 mL) was added *p*-NsCl (1.5 equiv.), Et₃N (3.0 equiv.) successively. The reaction was stirred at rt overnight. The solvent was removed under reduced pressure and the crude products were purified and separated (**2p** and **4p**) by column chromatography.

2p:

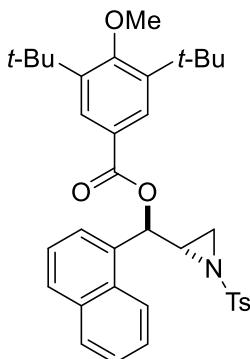
(2R,3S)-3-hydroxy-2-((4-methylphenyl)sulfonamido)-3-(naphthalen-1-yl)propyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was isolated by column chromatography (Petroleum ether / EtOAc = 3:1) in 45% yield. Viscous colorless oil; $[\alpha]_D^{19} = +31.0$ ($c = 1.0, \text{CHCl}_3$); **$^1\text{H NMR}$** (500 MHz, CDCl_3): δ 8.08 (dd, $J = 8.6, 2.6 \text{ Hz}$, 1H), 7.88 (dd, $J = 8.2, 1.5 \text{ Hz}$, 1H), 7.78 (d, $J = 8.2 \text{ Hz}$, 1H), 7.74 (d, $J = 5.3 \text{ Hz}$, 3H), 7.68 – 7.62 (m, 2H), 7.55 (dd, $J = 23.4, 7.9, 6.8, 1.3 \text{ Hz}$, 2H), 7.46 (t, $J = 7.7 \text{ Hz}$, 1H), 6.94 (d, $J = 8.0 \text{ Hz}$, 2H), 5.93 – 5.85 (m, 2H), 4.69 (ddd, $J = 11.9, 7.6, 2.2 \text{ Hz}$, 1H), 4.05 – 3.96 (m, 2H), 3.70 (s, 3H), 3.02 (dd, $J = 13.3, 4.0 \text{ Hz}$, 1H), 2.17 (s, 3H), 1.41 (s, 18H) ppm; **$^{13}\text{C NMR}$** (126 MHz, CDCl_3): $^{13}\text{C NMR}$ (126 MHz, CDCl_3) δ 167.73, 164.31, 144.15, 143.22, 137.10, 135.30, 133.78, 130.18, 129.62, 129.12, 128.68, 128.63, 127.06, 126.75, 125.92, 125.55, 123.87, 123.65, 122.99, 72.34, 64.55, 62.50, 57.75, 35.98, 32.05, 21.60 ppm; **HRMS** (ESI): (m/z) calcd for $\text{C}_{36}\text{H}_{43}\text{NO}_6\text{S}$ [M+Na] $^+$: 640.2703, found: 640.2730; **IR**: $\tilde{\nu} = 3488, 3283, 2961, 1698, 1598, 1466, 1410, 1302, 1238, 1160, 1117, 1092, 1007, 803, 781, 664, 551 \text{ cm}^{-1}$; **HPLC**: er was determined by HPLC analysis (Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: $t_{\text{minor}} = 9.29 \text{ min}$, $t_{\text{major}} = 8.42 \text{ min}$, er = 97:3.

4p:

(R)-naphthalen-1-yl((S)-1-tosylaziridin-2-yl)methyl 3,5-di-tert-butyl-4-methoxybenzoate

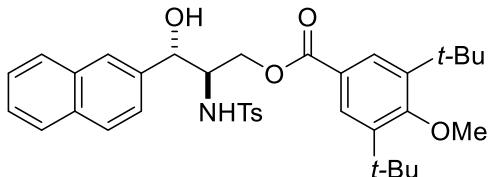


The title compound was isolated by column chromatography (Petroleum ether / EtOAc = 10:1) in 46% yield (2 steps). Viscous colorless oil; $[\alpha]_D^{20} = +20.7$ ($c = 1.0, \text{CHCl}_3$); **$^1\text{H NMR}$** (500 MHz, CDCl_3): δ 8.16 – 8.11 (m, 1H), 7.98 (s, 2H), 7.79 – 7.73 (m, 1H), 7.68 (d, $J = 8.1 \text{ Hz}$, 1H), 7.50 – 7.43 (m, 3H), 7.35 – 7.21 (m, 3H), 6.72 (d, $J = 8.0 \text{ Hz}$, 2H), 6.23 (d, $J = 7.5 \text{ Hz}$, 1H), 3.70 (s, 3H), 3.34 (td, $J = 7.1, 4.3 \text{ Hz}$, 1H), 2.96 (d, $J = 6.7 \text{ Hz}$, 1H), 2.62 (d, $J = 4.3 \text{ Hz}$, 1H), 2.25 (s, 3H), 1.43 (s, 18H) ppm; **$^{13}\text{C NMR}$** (126 MHz, CDCl_3): δ 165.65, 164.48, 144.38, 143.98,

133.87, 133.62, 133.23, 130.52, 129.01, 128.97, 128.66, 128.65, 127.36, 126.46, 125.86, 125.63, 125.16, 123.96, 123.81, 73.46, 64.61, 42.69, 36.01, 32.17, 31.98, 21.69 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₆H₄₁NO₅S [M+H]⁺: 600.2778, found: 600.2808; **IR**: $\tilde{\nu}$ = 2961, 1719, 1597, 1513, 1451, 1410, 1396, 1363, 1330, 1295, 1225, 1185, 1163, 1128, 1090, 1060, 1006, 981, 946, 896, 800, 778, 756, 714, 696, 677, 584, 563, 438 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 21.36 min, t_{major} = 19.74 min, *er* = 93.5:6.5.

2q:

(2R,3S)-3-hydroxy-2-((4-methylphenyl)sulfonamido)-3-(naphthalen-2-yl)propyl 3,5-di-tert-butyl-4-methoxybenzoate

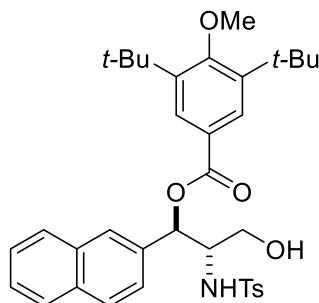


The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 3:1) in 46% yield. Viscous colorless oil;

[α]_D²⁵ = -14.7 (c = 1.0, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.81 (s, 2H), 7.80 – 7.70 (m, 4H), 7.59 – 7.53 (m, 2H), 7.50 – 7.42 (m, 2H), 7.37 (dd, *J* = 8.6, 1.7 Hz, 1H), 6.90 (d, *J* = 8.1 Hz, 2H), 5.43 (dd, *J* = 8.0, 4.1 Hz, 1H), 5.03 (d, *J* = 4.7 Hz, 1H), 4.59 (dd, *J* = 11.9, 6.9 Hz, 1H), 4.20 (dd, *J* = 12.0, 4.0 Hz, 1H), 3.95 (ddd, *J* = 7.5, 5.5, 3.5 Hz, 1H), 3.70 (s, 3H), 3.26 (s, 1H), 2.18 (s, 3H), 1.43 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 167.55, 164.38, 144.21, 143.28, 137.12, 137.07, 133.22, 133.17, 129.56, 128.63, 128.52, 128.13, 127.76, 126.89, 126.44, 126.26, 125.19, 123.84, 123.56, 74.28, 64.56, 62.79, 58.97, 35.99, 32.03, 21.59 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₆H₄₃NO₆S [M+Na]⁺: 640.2703, found: 640.2729; **IR**: $\tilde{\nu}$ = 3493, 3282, 2962, 1715, 1598, 1447, 1411, 1392, 1363, 1301, 1234, 1160, 1117, 1093, 1008, 888, 859, 813, 756, 666, 571, 551, 478 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min, 210 nm) Retention time: t_{minor} = 10.13 min, t_{major} = 16.40 min, *er* = 90:10.

3q:

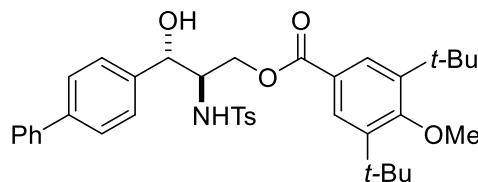
(1R,2S)-3-hydroxy-2-((4-methylphenyl)sulfonamido)-1-(naphthalen-2-yl)propyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 3:1) in 44% yield. Viscous colorless oil; $[\alpha]_D^{25} = +42.2$ ($c = 1.0$, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.98 (s, 2H), 7.80 – 7.73 (m, 1H), 7.72 – 7.62 (m, 3H), 7.52 – 7.43 (m, 2H), 7.37 – 7.29 (m, 3H), 6.75 (d, $J = 8.0$ Hz, 2H), 6.04 (d, $J = 8.0$ Hz, 1H), 5.51 (d, $J = 9.3$ Hz, 1H), 3.97 – 3.87 (m, 2H), 3.85 (td, $J = 8.0, 3.7$ Hz, 1H), 3.71 (s, 3H), 2.73 (dd, $J = 8.0, 4.6$ Hz, 1H), 2.17 (s, 3H), 1.44 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.46, 164.69, 144.48, 143.07, 137.01, 134.49, 133.40, 133.03, 129.25, 128.72, 128.55, 128.21, 127.69, 126.81, 126.73, 126.44, 126.39, 124.30, 123.58, 74.76, 64.63, 61.78, 59.16, 36.01, 31.97, 21.53 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₆H₄₃NO₆S [M+Na]⁺: 640.2703, found: 640.2728; **IR**: $\tilde{\nu}$ = 3278, 2961, 1716, 1598, 1447, 1410, 1363, 1296, 1259, 1225, 1155, 1115, 1092, 1007, 888, 857, 804, 751, 704, 665, 540, 478 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 7.23 min, t_{major} = 11.77 min, *er* = 91:9.

2r:

(2R,3S)-3-((1,1'-biphenyl)-4-yl)-3-hydroxy-2-((4-methylphenyl)sulfonamido)propyl 3,5-di-tert-butyl-4-methoxybenzoate

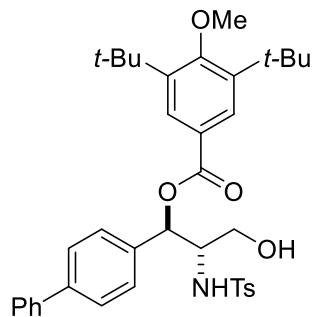


The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 3:1) in 44% yield. Viscous colorless oil; $[\alpha]_D^{21} = -9.2$ ($c = 0.5$, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.84 (s, 2H), 7.65 (d, $J = 7.9$ Hz, 2H), 7.53 (dd, $J = 12.5, 8.0$ Hz, 4H), 7.44 (t, $J = 7.5$ Hz, 2H), 7.36 (d, $J = 7.8$ Hz, 3H), 7.06 (d, $J = 8.0$ Hz, 2H), 5.25 (d, $J = 7.9$ Hz, 1H), 4.94 (t, $J = 4.6$ Hz, 1H), 4.51 (dd, $J = 11.9, 6.7$ Hz, 1H), 4.18 (dd, $J = 11.9, 4.2$ Hz, 1H), 3.90 (tt, $J = 7.8, 4.5$ Hz, 1H), 3.70 (s, 3H), 2.98 (d, $J = 4.7$ Hz, 1H), 2.21 (s, 3H), 1.44 (s, 18H) ppm; **¹³C NMR** (101 MHz, CDCl₃) δ 167.44, 164.46, 144.31, 143.49, 141.06, 140.57, 138.44, 137.26, 129.75, 128.97, 128.65, 127.62,

127.39, 127.15, 127.05, 126.59, 123.58, 73.91, 64.59, 62.73, 58.80, 36.03, 32.05, 29.85 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₈H₄₅NO₆S [M+Na]⁺: 666.2860, found: 666.2892; **IR**: $\tilde{\nu}$ = 3478, 3279, 2961, 2924, 2855, 1714, 1598, 1487, 1448, 1410, 1363, 1299, 1259, 1226, 1159, 1115, 1091, 1008, 886, 800, 752, 697, 664, 569, 550, 497 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 11.16 min, t_{major} = 16.50 min, *er* = 99:1.

3r:

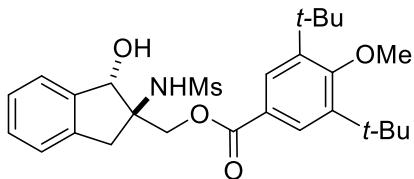
(1R,2S)-1-([1,1'-biphenyl]-4-yl)-3-hydroxy-2-((4-methylphenyl)sulfonamido)propyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 3:1) in 46% yield. Viscous colorless oil; $[\alpha]_D^{21} = +21.8$ (*c* = 0.5, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.97 (s, 2H), 7.54 (ddd, *J* = 10.2, 7.8, 1.6 Hz, 4H), 7.48 – 7.40 (m, 4H), 7.40 – 7.32 (m, 1H), 7.32 – 7.28 (m, 2H), 7.10 (d, *J* = 8.1 Hz, 2H), 5.93 (d, *J* = 7.0 Hz, 1H), 5.25 (d, *J* = 9.2 Hz, 1H), 3.90 – 3.79 (m, 2H), 3.75 (dd, *J* = 7.5, 3.6 Hz, 1H), 3.71 (s, 3H), 2.42 (q, *J* = 4.4, 3.9 Hz, 1H), 2.21 (s, 3H), 1.44 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 166.42, 164.72, 144.53, 143.46, 141.38, 140.44, 137.40, 135.89, 129.69, 128.99, 128.72, 127.71, 127.48, 127.29, 127.13, 127.05, 123.56, 74.70, 64.65, 61.51, 59.07, 36.04, 31.99, 21.45 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₈H₄₅NO₆S [M+Na]⁺: 666.2860, found: 666.2893; **IR**: $\tilde{\nu}$ = 3281, 2959, 2925, 1717, 1598, 1488, 1449, 1411, 1363, 1297, 1227, 1158, 1136, 1117, 1094, 1058, 1007, 911, 888, 835, 813, 765, 698, 665, 550, 519 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 5.96 min, t_{major} = 8.64 min, *er* = 98:2.

2s:

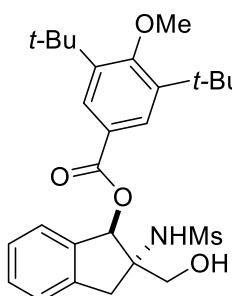
((1S,2R)-1-hydroxy-2-(methylsulfonamido)-2,3-dihydro-1H-inden-2-yl)methyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 2:1) in 48% yield. Viscous colorless oil; $[\alpha]_D^{20} = -37.4$ ($c = 0.5$, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.91 (s, 2H), 7.39 (dd, $J = 6.2, 2.2$ Hz, 1H), 7.25 – 7.19 (m, 2H), 7.19 – 7.13 (m, 1H), 5.40 (s, 1H), 5.19 (s, 1H), 4.79 (dd, $J = 12.4, 1.1$ Hz, 1H), 4.45 (d, $J = 12.5$ Hz, 1H), 3.87 – 3.82 (m, 1H), 3.71 (s, 3H), 3.39 (d, $J = 15.7$ Hz, 1H), 3.15 (d, $J = 15.6$ Hz, 1H), 3.08 (s, 3H), 1.44 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 167.09, 164.60, 144.48, 141.54, 137.11, 128.92, 128.53, 127.73, 124.73, 124.50, 123.58, 81.80, 70.39, 64.64, 63.88, 44.30, 39.78, 36.03, 32.01 ppm; **HRMS** (ESI): (*m/z*) calcd for C₂₇H₃₇NO₆S [M+Na]⁺: 526.2234, found: 526.2258; **IR**: $\tilde{\nu}$ = 3275, 2961, 1715, 1595, 1447, 1410, 1376, 1300, 1224, 1145, 1116, 1065, 1005, 887, 810, 753, 671, 523 cm⁻¹; **HPLC**: er was determined by HPLC analysis (Chiralpak IA, iPrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 6.94 min, t_{major} = 5.67 min, er = 90:10.

3s:

(1R,2S)-2-(hydroxymethyl)-2-(methylsulfonamido)-2,3-dihydro-1H-inden-1-yl 3,5-di-tert-butyl-4-methoxybenzoate

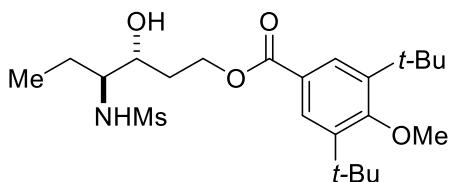


The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 2:1) in 47% yield. Viscous colorless oil; $[\alpha]_D^{20} = +4.8$ ($c = 1.0$, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.98 (s, 2H), 7.41 – 7.32 (m, 2H), 7.32 – 7.26 (m, 2H), 6.45 (s, 1H), 6.12 (s, 1H), 3.95 (dd, $J = 12.1, 5.6$ Hz, 1H), 3.85 (dd, $J = 12.1, 7.4$ Hz, 1H), 3.72 (s, 3H), 3.51 – 3.40 (m, 2H), 3.10 (s, 3H), 2.55 (dd, $J = 7.5, 5.9$ Hz, 1H), 1.44 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 167.99, 164.88, 144.66, 139.74, 137.97, 129.62, 128.76, 127.73, 125.13, 125.02, 123.40, 84.16, 70.80, 64.66, 64.58, 44.05, 39.61, 36.05, 31.99 ppm; **HRMS** (ESI): (*m/z*) calcd for C₂₇H₃₇NO₆S [M+Na]⁺: 526.2234, found: 526.2259; **IR**: $\tilde{\nu}$ = 3506, 3278, 2960, 1713, 1595, 1463, 1409, 1362, 1296, 1224, 1133, 1071, 1005, 888, 753, 671, 523 cm⁻¹; **HPLC**: er was determined by HPLC analysis

(Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: $t_{\text{minor}} = 11.24$ min, $t_{\text{major}} = 19.25$ min, *er* = 90:10.

2t:

(3*R*,4*S*)-3-hydroxy-4-(methylsulfonamido)hexyl 3,5-di-tert-butyl-4-methoxybenzoate

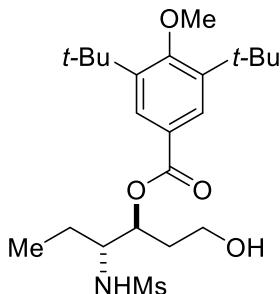


The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 2:1) in 45% yield. Viscous colorless oil; $[\alpha]_D^{20} = -3.6$ ($c = 1.0$, CHCl₃); **1H NMR** (500 MHz, CDCl₃): δ 7.92 (s, 2H), 4.70 (d, $J = 8.8$ Hz, 1H), 4.63 (ddd, $J = 11.2, 9.3, 4.7$ Hz, 1H), 4.39 (ddd, $J = 10.9, 5.9, 4.6$ Hz, 1H), 3.89 – 3.83 (m, 1H), 3.70 (s, 3H), 3.45 – 3.36 (m, 1H), 3.07 (d, $J = 5.2$ Hz, 1H), 3.03 (s, 3H), 1.98 – 1.88 (m, 1H), 1.80 (ddt, $J = 14.9, 10.5, 4.6$ Hz, 1H), 1.60 (ttd, $J = 13.8, 7.0, 6.5, 4.7$ Hz, 1H), 1.50 (ddd, $J = 14.3, 9.6, 7.3$ Hz, 1H), 1.43 (s, 18H), 1.03 (t, $J = 7.4$ Hz, 3H) ppm; **13C NMR** (126 MHz, CDCl₃): δ 167.66, 164.32, 144.33, 128.45, 124.13, 70.53, 64.59, 61.89, 60.57, 41.93, 36.00, 32.02, 23.40, 11.04 ppm; **HRMS** (ESI): (*m/z*) calcd for C₂₃H₃₉NO₆S [M+Na]⁺: 480.2390, found: 480.2413; **IR**: $\tilde{\nu}$ = 3501, 3288, 2964, 1714, 1596, 1454, 1411, 1392, 1363, 1301, 1236, 1140, 1117, 1084, 1009, 978, 911, 888, 770, 756, 678, 520 cm⁻¹;

HPLC: *er* was determined by HPLC analysis (Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: $t_{\text{minor}} = 16.18$ min, $t_{\text{major}} = 24.71$ min, *er* = 95:5.

3t:

(3*S*,4*R*)-1-hydroxy-4-(methylsulfonamido)hexan-3-yl 3,5-di-tert-butyl-4-methoxybenzoate

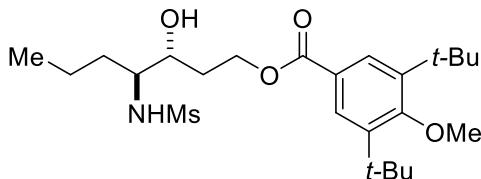


The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 2:1) in 50% yield. Viscous colorless oil; $[\alpha]_D^{20} = -4.6$ ($c = 1.0$, CHCl₃); **1H NMR** (500 MHz, CDCl₃): δ 7.95 (s, 2H), 5.37 – 5.30 (m, 1H), 4.92 (d, $J = 9.3$ Hz, 1H), 3.81 – 3.74 (m, 1H), 3.72 (s, 3H), 3.71 – 3.60 (m, 2H), 3.02 (s, 3H), 2.54 (s, 1H), 1.99 (dddd, $J = 14.6, 9.1, 5.3, 3.6$ Hz, 1H), 1.89 (ddt, $J = 14.1, 8.8, 4.2$ Hz, 1H), 1.76 (ttd,

J = 14.7, 7.3, 4.3 Hz, 1H), 1.64 – 1.50 (m, 1H), 1.44 (s, 18H), 1.08 (t, *J* = 7.4 Hz, 3H) ppm; **13C NMR** (126 MHz, CDCl₃): δ 167.46, 164.64, 144.56, 128.64, 123.66, 73.56, 64.63, 58.56, 58.39, 42.58, 36.04, 33.57, 31.99, 24.43, 10.73 ppm; **HRMS** (ESI): (*m/z*) calcd for C₂₃H₃₉NO₆S [M+Na]⁺: 480.2390, found: 480.2400; **IR**: $\tilde{\nu}$ = 3284, 2964, 1709, 1626, 1595, 1453, 1412, 1363, 1299, 1278, 1227, 1135, 1082, 1061, 1010, 974, 908, 890, 755, 684, 622, 521 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IC, iPrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 20.67 min, t_{major} = 13.19 min, *er* = 93:7.

2u:

(3R,4S)-3-hydroxy-4-(methylsulfonamido)heptyl 3,5-di-tert-butyl-4-methoxybenzoate



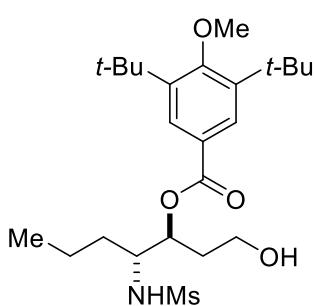
The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 2:1) in 47% yield. Viscous colorless oil;

[α]_D²⁴ = -5.1 (*c* = 0.5, CHCl₃); **1H NMR** (500 MHz, CDCl₃): δ 7.93 (s, 2H), 4.70 – 4.64 (m, 1H), 4.64 – 4.59 (m, 1H), 4.39 (ddd, *J* = 10.9, 5.8, 4.5 Hz, 1H), 3.85 (dt, *J* = 10.6, 2.7 Hz, 1H), 3.70 (s, 3H), 3.52 – 3.47 (m, 1H), 3.02 (s, 3H), 2.71 (s, 1H), 1.92 (dddd, *J* = 15.0, 8.8, 5.8, 2.4 Hz, 1H), 1.80 (ddt, *J* = 14.9, 10.6, 4.7 Hz, 1H), 1.60 – 1.51 (m, 1H), 1.51 – 1.40 (m, 2H), 1.43 (s, 18H), 1.40 – 1.32 (m, 1H), 0.94 (t, *J* = 7.1 Hz, 3H) ppm; **13C NMR** (126 MHz, CDCl₃): δ 167.66, 164.33, 144.33, 128.46, 124.13, 70.72, 64.59, 61.87, 58.83, 41.99, 36.01, 32.60, 32.02, 31.97, 19.62, 14.01 ppm; **HRMS** (ESI): (*m/z*) calcd for C₂₄H₄₁NO₆S [M+H]⁺: 472.2728, found: 472.2748; **IR**: $\tilde{\nu}$ = 3502, 3286, 2960, 2873, 1716, 1596, 1457, 1412, 1363, 1302, 1237, 1141, 1116, 1036, 1009, 980, 889, 771, 523, 456, 444, 423, 406 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IC, iPrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 15.78 min, t_{major} = 24.16 min, *er* = 94:6.

3u:

(3S,4R)-1-hydroxy-4-(methylsulfonamido)heptan-3-yl 3,5-di-tert-butyl-4-

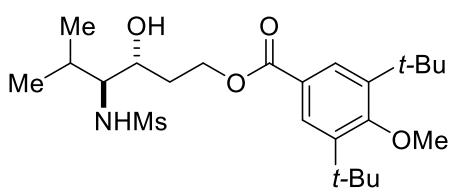
methoxybenzoate



The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 2:1) in 49% yield. Viscous colorless oil; $[\alpha]_D^{25} = +6.1$ ($c = 1.0$, CHCl_3); **$^1\text{H NMR}$** (500 MHz, CDCl_3): δ 7.95 (s, 2H), 5.31 (dt, $J = 9.4, 3.6$ Hz, 1H), 4.92 (s, 1H), 3.80 – 3.73 (m, 2H), 3.72 (s, 3H), 3.63 (ddd, $J = 11.5, 9.3, 3.9$ Hz, 1H), 3.00 (s, 3H), 2.37 (m, 1H), 1.97 (dd, $J = 14.5, 9.1, 5.3, 3.8$ Hz, 1H), 1.88 (ddt, $J = 14.1, 8.8, 4.2$ Hz, 1H), 1.69 – 1.55 (m, 2H), 1.55 – 1.37 (m, 2H), 1.44 (s, 18H), 0.96 (t, $J = 7.1$ Hz, 3H) ppm; **$^{13}\text{C NMR}$** (126 MHz, CDCl_3): δ 167.47, 164.64, 144.55, 128.65, 123.68, 73.83, 64.63, 58.39, 56.86, 42.61, 36.04, 33.58, 33.49, 31.99, 19.30, 13.96 ppm; **HRMS** (ESI): (m/z) calcd for $\text{C}_{24}\text{H}_{41}\text{NO}_6\text{S}$ [$\text{M}+\text{Na}]^+$: 494.2547, found: 494.2570; **IR**: $\tilde{\nu} = 3287, 2960, 2873, 1713, 1596, 1457, 1411, 1363, 1299, 1228, 1139, 1116, 1055, 1009, 977, 889, 806, 768, 520, 464, 431, 418 \text{ cm}^{-1}$; **HPLC**: er was determined by HPLC analysis (Chiraldak IC, $i\text{PrOH}/\text{Hexane} = 20/80$, 1.0 mL/min, 254 nm) Retention time: $t_{\text{minor}} = 16.62$ min, $t_{\text{major}} = 10.96$ min, er = 90.5:9.5.

2v:

(3R,4S)-3-hydroxy-5-methyl-4-(methylsulfonamido)hexyl 3,5-di-tert-butyl-4-methoxybenzoate

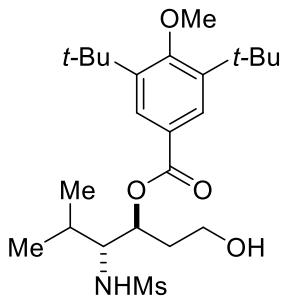


The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 2:1) in 50% yield. Viscous colorless oil; $[\alpha]_D^{27} = +1.8$ ($c = 1.0$, CHCl_3); **$^1\text{H NMR}$** (500 MHz, CDCl_3): δ 7.92 (s, 2H), 4.64 (td, $J = 10.7, 4.1$ Hz, 1H), 4.58 (dd, $J = 13.3, 9.8$ Hz, 1H), 4.41 (ddd, $J = 11.3, 5.6, 4.2$ Hz, 1H), 3.94 (dt, $J = 9.2, 3.6$ Hz, 1H), 3.70 (s, 3H), 3.32 (ddd, $J = 9.3, 7.2, 3.9$ Hz, 1H), 3.07 (s, 3H), 3.01 (dd, $J = 5.7, 3.7$ Hz, 1H), 1.96 (dd, $J = 14.3, 9.9, 5.6, 2.0$ Hz, 1H), 1.89 – 1.73 (m, 2H), 1.43 (s, 18H), 1.03 (d, $J = 6.7$ Hz, 3H), 1.00 (d, $J = 6.7$ Hz, 3H) ppm; **$^{13}\text{C NMR}$** (126 MHz, CDCl_3): δ 167.59, 164.28, 144.32, 128.41, 124.20, 68.87, 64.58, 64.53, 61.84, 42.18, 36.00, 32.02, 31.46, 29.68, 20.63, 19.32 ppm; **HRMS** (ESI): (m/z) calcd for $\text{C}_{24}\text{H}_{41}\text{NO}_6\text{S}$ [$\text{M}+\text{Na}]^+$:

494.2547, found: 494.2572; **IR**: $\tilde{\nu}$ = 3502, 3287, 2962, 1698, 1596, 1448, 1411, 1365, 1299, 1225, 1136, 1115, 1076, 1005, 911, 888, 862, 801, 753, 665, 518, 435 cm⁻¹; **HPLC**: er was determined by HPLC analysis (Chiralpak IC, iPrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: $t_{\text{minor}} = 15.60$ min, $t_{\text{major}} = 28.14$ min, er = 90:10.

3v:

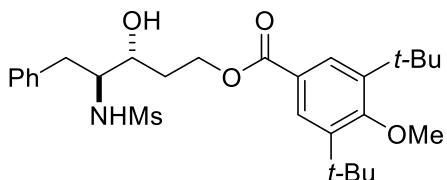
(3S,4R)-1-hydroxy-5-methyl-4-(methylsulfonamido)hexan-3-yl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 2:1) in 46% yield. Viscous colorless oil; $[\alpha]_D^{25} = +3.3$ ($c = 1.0$, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.95 (s, 2H), 5.43 (ddd, $J = 9.8, 4.9, 3.2$ Hz, 1H), 4.94 (s, 1H), 3.82 – 3.74 (m, 1H), 3.72 (s, 3H), 3.66 – 3.56 (m, 2H), 3.02 (s, 3H), 2.38 (s, 1H), 2.04 (dddd, $J = 15.1, 10.0, 5.4, 3.3$ Hz, 1H), 1.97 (td, $J = 6.9, 5.7$ Hz, 1H), 1.88 (ddt, $J = 14.0, 9.7, 3.9$ Hz, 1H), 1.43 (s, 18H), 1.08 (d, $J = 6.8$ Hz, 3H), 1.02 (d, $J = 6.8$ Hz, 3H) ppm; **¹³C NMR** (126 MHz, CDCl₃): **¹³C NMR** (126 MHz, CDCl₃) δ 167.47, 164.63, 144.56, 128.69, 123.62, 72.21, 64.61, 61.81, 58.35, 42.89, 36.05, 33.69, 31.99, 29.38, 20.75, 18.22 ppm; **HRMS** (ESI): (*m/z*) calcd for C₂₄H₄₁NO₆S [M+Na]⁺: 494.2547, found: 494.2572; **IR**: $\tilde{\nu}$ = 3290, 2962, 1712, 1595, 1466, 1411, 1394, 1363, 1298, 1227, 1135, 1116, 1044, 1009, 975, 888, 804, 756, 665, 519 cm⁻¹; **HPLC**: er was determined by HPLC analysis (Chiralpak IA, iPrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: $t_{\text{minor}} = 4.78$ min, $t_{\text{major}} = 4.42$ min, er = 93:7.

2w:

(3R,4S)-3-hydroxy-4-(methylsulfonamido)-5-phenylpentyl 3,5-di-tert-butyl-4-methoxybenzoate

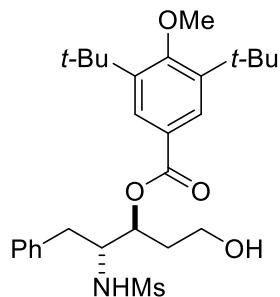


The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 2:1) in 46% yield. Viscous colorless oil; $[\alpha]_D^{25} = -17.0$ ($c =$

0.5, CHCl_3); **$^1\text{H NMR}$** (500 MHz, CDCl_3): δ 7.95 (s, 2H), 7.32 – 7.27 (m, 2H), 7.25 – 7.19 (m, 3H), 4.82 (d, J = 8.9 Hz, 1H), 4.70 (ddd, J = 11.3, 9.3, 4.7 Hz, 1H), 4.42 (ddd, J = 10.9, 5.9, 4.5 Hz, 1H), 3.89 (dq, J = 10.9, 3.0 Hz, 1H), 3.71 (s, 3H), 3.61 (ddt, J = 10.9, 8.9, 3.8 Hz, 1H), 3.32 (d, J = 5.1 Hz, 1H), 3.00 (dd, J = 13.9, 3.9 Hz, 1H), 2.66 (dd, J = 13.9, 10.9 Hz, 1H), 2.16 (s, 3H), 2.11 (dddd, J = 15.2, 8.8, 5.9, 2.4 Hz, 1H), 1.92 (ddt, J = 14.9, 10.5, 4.6 Hz, 1H), 1.44 (s, 18H) ppm; **$^{13}\text{C NMR}$** (126 MHz, CDCl_3): δ 167.81, 164.37, 144.32, 138.31, 129.83, 128.94, 128.55, 127.12, 124.09, 71.14, 64.60, 61.86, 61.12, 40.55, 36.01, 36.00, 33.03, 32.03 ppm; **HRMS** (ESI): (m/z) calcd for $\text{C}_{28}\text{H}_{41}\text{NO}_6\text{S}$ $[\text{M}+\text{Na}]^+$: 542.2547, found: 542.2570; **IR**: $\tilde{\nu}$ = 3495, 3288, 2961, 1715, 1596, 1455, 1410, 1363, 1302, 1237, 1149, 1116, 1075, 1031, 1007, 912, 888, 770, 751, 703, 679, 516 cm^{-1} ; **HPLC**: er was determined by HPLC analysis (Chiralpak IA, $i\text{PrOH}/\text{Hexane}$ = 20/80, 1.0 mL/min, 254 nm) Retention time: $t_{\text{minor}} = 4.96$ min, $t_{\text{major}} = 5.39$ min, er = 95:5.

3w:

(2R,3S)-5-hydroxy-2-(methylsulfonamido)-1-phenylpentan-3-yl 3,5-di-*tert*-butyl-4-methoxybenzoate

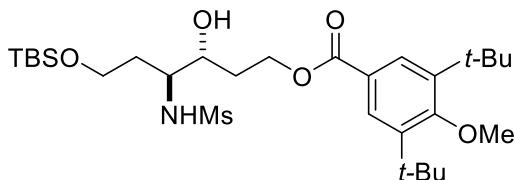


The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 2:1) in 50% yield. Viscous colorless oil; $[\alpha]_D^{25} = +21.5$ (c = 1.0, CHCl_3); **$^1\text{H NMR}$** (500 MHz, CDCl_3): δ 8.02 (s, 2H), 7.32 (t, J = 7.6 Hz, 2H), 7.29 – 7.18 (m, 3H), 5.42 – 5.26 (m, 2H), 3.97 (dq, J = 9.6, 4.8 Hz, 1H), 3.82 (dt, J = 10.4, 4.9 Hz, 1H), 3.73 (s, 3H), 3.72 – 3.63 (m, 1H), 3.12 (dd, J = 13.9, 4.0 Hz, 1H), 2.67 (dd, J = 13.9, 10.6 Hz, 1H), 2.23 – 2.17 (m, 1H), 2.19 (s, 3H), 1.97 (ddt, J = 13.6, 8.4, 4.0 Hz, 1H), 1.46 (s, 18H) ppm; **$^{13}\text{C NMR}$** (126 MHz, CDCl_3): δ 167.39, 164.62, 144.50, 137.88, 129.87, 128.96, 128.74, 127.25, 123.72, 74.12, 64.62, 59.42, 58.40, 41.31, 38.02, 36.05, 33.84, 32.01 ppm; **HRMS** (ESI): (m/z) calcd for $\text{C}_{28}\text{H}_{41}\text{NO}_6\text{S}$ $[\text{M}+\text{Na}]^+$: 542.2547, found: 542.2572; **IR**: $\tilde{\nu}$ = 3283, 2961, 1712, 1596, 1456, 1410, 1363, 1299, 1228, 1152, 1116, 1051, 1009, 911, 888, 804, 754, 703, 679, 521 cm^{-1} ; **HPLC**: er was determined by HPLC analysis (Chiralpak IA, $i\text{PrOH}/\text{Hexane}$ = 08/92, 1.0 mL/min, 254 nm) Retention time: t_{minor}

= 20.05 min, $t_{\text{major}} = 18.96$ min, $er = 90:10$.

2x:

(3R,4S)-6-((tert-butyldimethylsilyl)oxy)-3-hydroxy-4-(methylsulfonamido)hexyl 3,5-di-tert-butyl-4-methoxybenzoate

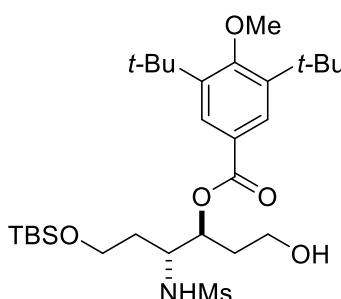


The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 2:1) in 45% yield.

Viscous colorless oil; $[\alpha]_D^{20} = -2.1$ ($c = 1.0$, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.93 (s, 2H), 5.27 (d, $J = 7.9$ Hz, 1H), 4.59 (ddd, $J = 11.2, 9.0, 5.1$ Hz, 1H), 4.42 (ddd, $J = 11.0, 6.1, 4.7$ Hz, 1H), 3.87 – 3.79 (m, 3H), 3.70 (s, 3H), 3.68 – 3.60 (m, 2H), 3.00 (s, 3H), 2.00 (dddd, $J = 14.9, 8.8, 6.1, 2.8$ Hz, 1H), 1.91 – 1.81 (m, 3H), 1.44 (s, 18H), 0.88 (s, 9H), 0.08 (s, 3H), 0.06 (s, 3H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 167.51, 164.26, 144.27, 128.46, 124.24, 70.37, 64.59, 61.80, 59.48, 56.48, 41.12, 36.01, 33.33, 32.17, 32.03, 25.95, 18.25, -5.35, -5.37 ppm; **HRMS** (ESI): (*m/z*) calcd for C₂₉H₅₃NO₇SSi [M+Na]⁺: 610.3204, found: 610.3232; **IR**: $\tilde{\nu}$ = 3496, 3287, 2955, 2929, 2858, 1716, 1596, 1471, 1411, 1390, 1362, 1301, 1236, 1143, 1115, 1089, 1008, 979, 888, 836, 809, 774, 678, 520 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiraldak IC, iPrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: $t_{\text{minor}} = 8.40$ min, $t_{\text{major}} = 9.44$ min, $er = 95:5$.

3x:

(3S,4R)-6-((tert-butyldimethylsilyl)oxy)-1-hydroxy-4-(methylsulfonamido)hexan-3-yl 3,5-di-tert-butyl-4-methoxybenzoate

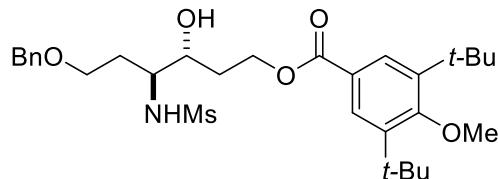


The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 2:1) in 50% yield. Viscous colorless oil; $[\alpha]_D^{19} = +7.8$ ($c = 1.0$, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.95 (s, 2H), 5.49 (d, $J = 8.3$ Hz, 1H), 5.37 (dt, $J = 8.8, 4.1$ Hz, 1H), 3.94 (tq, $J = 8.4, 3.7$ Hz, 1H), 3.90 – 3.73 (m, 3H), 3.72 (s, 3H), 3.64 (ddt, $J = 11.9, 9.0, 4.4$ Hz, 1H), 3.02 (s, 3H), 2.53 (dd, $J = 7.4, 5.0$ Hz, 1H), 2.08 (dddd, $J = 14.6, 9.2, 5.3, 3.8$ Hz, 1H), 1.98 (dddd, $J = 14.4, 8.9,$

5.2, 3.6 Hz, 1H), 1.89 (ddt, J = 14.0, 8.9, 4.3 Hz, 1H), 1.79 – 1.66 (m, 1H), 1.44 (s, 18H), 0.90 (s, 9H), 0.08 (s, 3H), 0.08 (s, 3H) ppm; **^{13}C NMR** (126 MHz, CDCl_3): δ 167.42, 164.63, 144.53, 128.64, 123.67, 73.51, 64.63, 59.92, 58.46, 54.91, 41.99, 36.03, 34.20, 32.86, 32.00, 26.00, 18.24, -5.27, -5.34 ppm; **HRMS** (ESI): (*m/z*) calcd for $\text{C}_{29}\text{H}_{53}\text{NO}_7\text{SSI}$ [$\text{M}+\text{Na}]^+$: 610.3204, found: 610.3233; **IR**: $\tilde{\nu}$ = 3282, 2955, 2929, 1713, 1595, 1471, 1411, 1393, 1362, 1298, 1226, 1139, 1115, 1095, 1052, 1007, 976, 887, 836, 808, 757, 665, 519 cm^{-1} ; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IC, *iPrOH*/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: $t_{\text{minor}} = 11.36$ min, $t_{\text{major}} = 8.09$ min, *er* = 91:9.

2y:

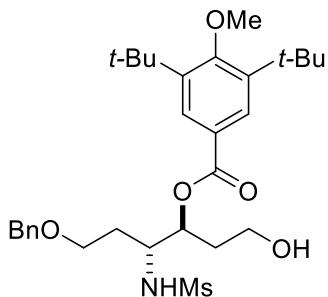
(3R,4S)-6-(benzyloxy)-3-hydroxy-4-(methylsulfonamido)hexyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 2:1) in 43% yield. Viscous colorless oil; $[\alpha]_D^{20} = -3.9$ ($c = 1.0$, CHCl_3); **^1H NMR** (500 MHz, CDCl_3): δ 7.93 (s, 2H), 7.37 – 7.27 (m, 5H), 5.15 (d, J = 8.1 Hz, 1H), 4.57 (ddd, J = 11.2, 8.9, 5.1 Hz, 1H), 4.50 (s, 2H), 4.39 (ddd, J = 11.1, 6.1, 4.8 Hz, 1H), 3.83 (dp, J = 10.1, 3.1 Hz, 1H), 3.70 (s, 3H), 3.69 – 3.61 (m, 3H), 3.41 (d, J = 6.4 Hz, 1H), 2.93 (s, 3H), 2.03 – 1.79 (m, 4H), 1.44 (s, 18H) ppm; **^{13}C NMR** (126 MHz, CDCl_3): δ 167.54, 164.27, 144.29, 137.48, 128.70, 128.45, 128.14, 128.02, 124.21, 73.55, 70.59, 66.51, 64.58, 61.90, 56.44, 41.21, 36.00, 32.97, 32.03, 29.75 ppm; **HRMS** (ESI): (*m/z*) calcd for $\text{C}_{30}\text{H}_{45}\text{NO}_7\text{S}$ [$\text{M}+\text{Na}]^+$: 586.2809, found: 586.2838; **IR**: $\tilde{\nu}$ = 3495, 3288, 2959, 2869, 1713, 1596, 1454, 1411, 1392, 1363, 1301, 1235, 1140, 1115, 1028, 1007, 978, 911, 888, 753, 699, 677, 520 cm^{-1} ; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IC, *iPrOH*/Hexane = 10/90, 1.0 mL/min, 210 nm) Retention time: $t_{\text{minor}} = 46.56$ min, $t_{\text{major}} = 42.60$ min, *er* = 95:5.

3y:

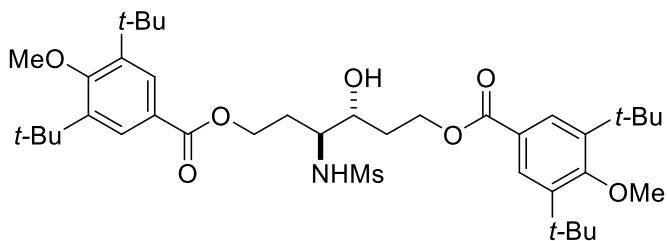
(3S,4R)-6-(benzyloxy)-1-hydroxy-4-(methylsulfonamido)hexan-3-yl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 2:1) in 48% yield. Viscous colorless oil; $[\alpha]_D^{20} = +8.9$ ($c = 1.0$, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.95 (s, 2H), 7.38 – 7.31 (m, 4H), 7.29 (tt, $J = 7.2, 2.2$ Hz, 1H), 5.40 – 5.32 (m, 2H), 4.52 (s, 2H), 3.96 (tt, $J = 8.5, 3.9$ Hz, 1H), 3.79 – 3.68 (m, 2H), 3.71 (s, 3H), 3.64 (dt, $J = 9.8, 4.9$ Hz, 2H), 2.97 (s, 3H), 2.52 (dd, $J = 7.1, 4.9$ Hz, 1H), 2.13 – 2.00 (m, 2H), 1.88 (ddt, $J = 14.1, 8.9, 4.2$ Hz, 1H), 1.79 (dddd, $J = 14.5, 9.1, 5.1, 3.9$ Hz, 1H), 1.44 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 167.44, 164.67, 144.55, 137.81, 128.64, 128.05, 128.00, 123.60, 73.56, 73.51, 66.88, 64.64, 58.43, 55.05, 42.10, 36.03, 34.02, 31.99, 30.59 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₀H₄₅NO₇S [M+Na]⁺: 586.2809, found: 586.2836; **IR**: $\tilde{\nu}$ = 3281, 2959, 1711, 1595, 1454, 1411, 1363, 1299, 1227, 1139, 1115, 1052, 1007, 911, 888, 753, 698, 678, 520 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiraldak IC, iPrOH/Hexane = 20/80, 1.0 mL/min, 210 nm) Retention time: t_{minor} = 26.07 min, t_{major} = 17.00 min, *er* = 92.5:7.5.

2z:

(3R,4S)-3-hydroxy-4-(methylsulfonamido)hexane-1,6-diyl bis(3,5-di-tert-butyl-4-methoxybenzoate)



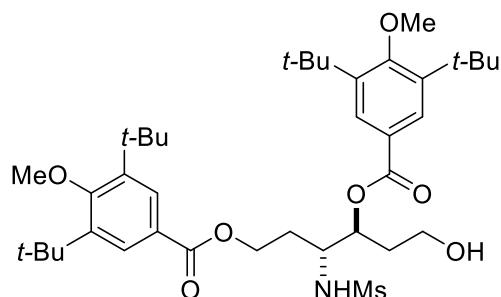
The title compound was synthesized according to the general procedure (variations: **C7** as the catalyst) and isolated

by column chromatography (Petroleum ether / EtOAc = 2:1) in 41% yield. Viscous colorless oil; $[\alpha]_D^{19} = -14.1$ ($c = 1.0$, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.93 (s, 2H), 7.92 (s, 2H), 5.08 (d, $J = 9.3$ Hz, 1H), 4.67 (ddd, $J = 11.3, 9.1, 4.7$ Hz, 1H), 4.57 (ddd, $J = 11.0, 6.4, 4.3$ Hz, 1H), 4.45 – 4.33 (m, 2H), 3.95 (dq, $J = 7.8, 2.5$ Hz, 1H), 3.70 (s, 6H), 3.67 (td, $J = 6.7, 3.5$ Hz, 1H), 3.38 (d, $J = 4.9$ Hz, 1H), 3.03 (s, 3H), 2.09 (dddd, $J = 15.0, 9.5, 6.4, 3.4$ Hz, 1H), 2.02 – 1.80 (m, 3H), 1.43 (s, 36H) ppm; **¹³C NMR** (126 MHz, CDCl₃):

δ 167.82, 166.96, 164.41, 164.29, 144.37, 144.35, 128.53, 128.38, 124.16, 123.95, 70.95, 64.58, 61.78, 61.46, 55.57, 41.98, 36.01, 32.91, 32.01, 28.83 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₉H₆₁NO₉S [M+Na]⁺: 742.3959, found: 742.3994; **IR**: $\tilde{\nu}$ = 2961, 1716, 1596, 1448, 1410, 1393, 1363, 1300, 1227, 1132, 1007, 938, 888, 788, 769, 678, 601, 508 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IA, iPrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 4.10 min, t_{major} = 4.69 min, *er* = 97:3.

3z:

(3*R*,4*S*)-6-hydroxy-3-(methylsulfonamido)hexane-1,4-diyl bis(3,5-di-tert-butyl-4-methoxybenzoate)

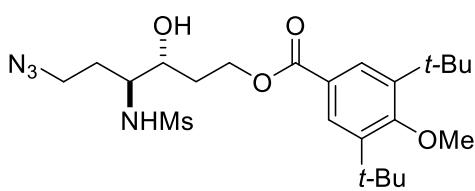


The title compound was synthesized according to the general procedure (variations: **C7** as the catalyst) and isolated by column chromatography (Petroleum ether / EtOAc = 2:1) in 50% yield. Viscous colorless oil; $[\alpha]_D^{20}$ = +5.2 (*c* = 1.0, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.95 (s, 2H), 7.95 (s, 2H), 5.43 – 5.37 (m, 1H), 5.36 (d, *J* = 9.5 Hz, 1H), 4.60 (ddd, *J* = 11.0, 6.4, 4.3 Hz, 1H), 4.43 (ddd, *J* = 11.2, 9.0, 5.4 Hz, 1H), 4.00 (tt, *J* = 10.0, 3.4 Hz, 1H), 3.80 (dt, *J* = 10.7, 5.3 Hz, 1H), 3.72 (s, 3H), 3.71 (s, 3H), 3.67 (dt, *J* = 12.1, 4.0 Hz, 1H), 3.03 (s, 3H), 2.54 – 2.48 (m, 1H), 2.24 (dddd, *J* = 14.8, 9.6, 6.4, 3.4 Hz, 1H), 2.07 – 1.94 (m, 2H), 1.93 – 1.85 (m, 1H), 1.43 (s, 18H), 1.43 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 167.35, 166.89, 164.72, 164.25, 144.57, 144.31, 128.67, 128.45, 124.19, 123.50, 74.34, 64.64, 64.57, 61.09, 58.35, 54.16, 42.40, 36.02, 36.00, 33.89, 32.01, 31.98, 30.30 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₉H₆₁NO₉S [M+Na]⁺: 742.3959, found: 742.3993; **IR**: $\tilde{\nu}$ = 3282, 2961, 1715, 1596, 1450, 1411, 1394, 1363, 1299, 1226, 1137, 1116, 1054, 1009, 974, 888, 757, 679, 519 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IC, iPrOH/Hexane = 15/85, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 19.71 min, t_{major} = 21.61 min, *er* = 90:10.

2aa:

(3*R*,4*S*)-6-azido-3-hydroxy-4-(methylsulfonamido)hexyl 3,5-di-tert-butyl-4-

methoxybenzoate

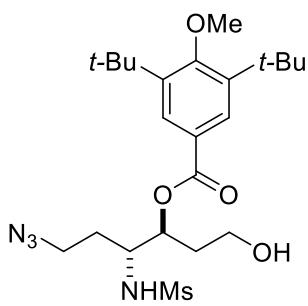


The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 1:1) in 45% yield. Viscous colorless oil; $[\alpha]_D^{20} = -17.0$

($c = 0.5$, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.92 (s, 2H), 5.09 (d, $J = 9.3$ Hz, 1H), 4.70 – 4.60 (m, 1H), 4.33 (dt, $J = 10.8, 5.1$ Hz, 1H), 3.88 – 3.82 (m, 1H), 3.71 (s, 3H), 3.60 – 3.34 (m, 4H), 2.97 (s, 3H), 1.96 – 1.86 (m, 1H), 1.83 – 1.71 (m, 2H), 1.67 (tt, $J = 9.4, 5.0$ Hz, 1H), 1.43 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 167.92, 164.49, 144.42, 128.52, 123.88, 70.72, 64.61, 61.72, 55.74, 48.17, 41.79, 36.02, 32.79, 32.01, 28.82 ppm; **HRMS** (ESI): (*m/z*) calcd for C₂₃H₃₈N₄O₆S [M+Na]⁺: 521.2404, found: 521.2428; **IR**: $\tilde{\nu}$ = 3492, 3285, 2957, 2926, 2870, 2100, 1714, 1596, 1457, 1412, 1363, 1301, 1278, 1237, 1142, 1116, 1082, 1062, 1006, 910, 889, 771, 677, 521 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IC, iPrOH/Hexane = 20/80, 1.0 mL/min, 210 nm) Retention time: t_{minor} = 11.69 min, t_{major} = 14.17 min, *er* = 93.5:6.5.

3aa:

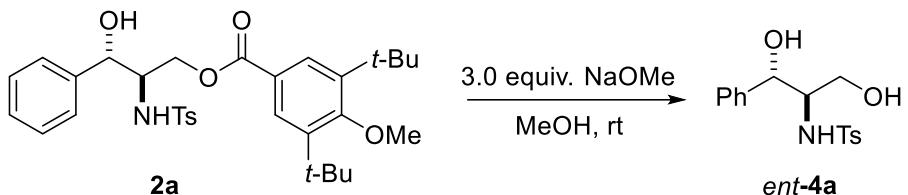
(3S,4R)-6-azido-1-hydroxy-4-(methylsulfonamido)hexan-3-yl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was synthesized according to the general procedure and isolated by column chromatography (Petroleum ether / EtOAc = 1:1) in 47% yield. Viscous colorless oil; $[\alpha]_D^{20} = +7.0$ ($c = 0.5$, CHCl₃); **¹H NMR** (500 MHz, CDCl₃): δ 7.93 (s, 2H), 5.35 (dq, $J = 11.2, 7.3, 5.6$ Hz, 2H), 3.82 (ddt, $J = 25.2, 10.2, 5.5$ Hz, 2H), 3.74 – 3.64 (m, 1H), 3.72 (s, 3H), 3.61 – 3.45 (m, 2H), 3.02 (s, 3H), 2.51 (d, $J = 16.8$ Hz, 1H), 2.06 – 1.98 (m, 1H), 1.91 (ddt, $J = 14.2, 9.1, 4.5$ Hz, 2H), 1.66 (dt, $J = 9.4, 4.9$ Hz, 1H), 1.43 (s, 18H) ppm; **¹³C NMR** (126 MHz, CDCl₃): δ 167.39, 164.86, 144.70, 128.65, 123.33, 74.07, 64.67, 58.34, 54.50, 48.02, 42.27, 36.04, 34.06, 31.98, 30.36 ppm; **HRMS** (ESI): (*m/z*) calcd for C₂₃H₃₈N₄O₆S [M+Na]⁺: 521.2404, found: 521.2430; **IR**: $\tilde{\nu}$ = 3283, 2958, 2926, 2100, 1712, 1595, 1456, 1412, 1363, 1298, 1228, 1138, 1116, 1054, 1007, 889, 768, 683, 520 cm⁻¹;

HPLC: *er* was determined by HPLC analysis (Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: $t_{\text{minor}} = 19.96 \text{ min}$, $t_{\text{major}} = 12.97 \text{ min}$, $\text{er} = 90.5:9.5$.

Absolute Configuration Determination and X-Ray Analysis Data



To a solution of **2a** (0.5 mmol, 1.0 equiv.) in MeOH (1 mL) was added NaOMe (1.5 mmol, 3.0 equiv.) and the mixture was stirred at rt. After the reaction was complete, the solvent was removed under reduced pressure and the residue was purified by column chromatography directly to afford *ent*-**4a** (Petroleum ether / EtOAc = 1:1).

X-Ray: CCDC 2244430

Bond precision: C-C = 0.0043 Å Wavelength=1.54178

Cell: a=7.5487(1) b=11.1741(2) c=18.1225(3)

alpha=90 beta=90 qamma=90

Temperature: 150 K

	Calculated	Reported
Volume	1528.63(4)	1528.63(4)
Space group	P 21 21 21	P 21 21 21
Hall group	P 2ac 2ab	P 2ac 2ab
Moiety formula	C16 H19 N O4 S	C16 H19 N O4 S
Sum formula	C16 H19 N O4 S	C16 H19 N O4 S
Mr	321.38	321.38
Dx,g cm-3	1.396	1.396
Z	4	4
Mu (mm-1)	2.044	2.044
F000	680.0	680.0
F000'	683.32	

h,k,lmax	9,13,22	9,13,22
Nref	3128[1812]	3070
Tmin,Tmax	0.822,0.903	0.018,0.116
Tmin'	0.782	

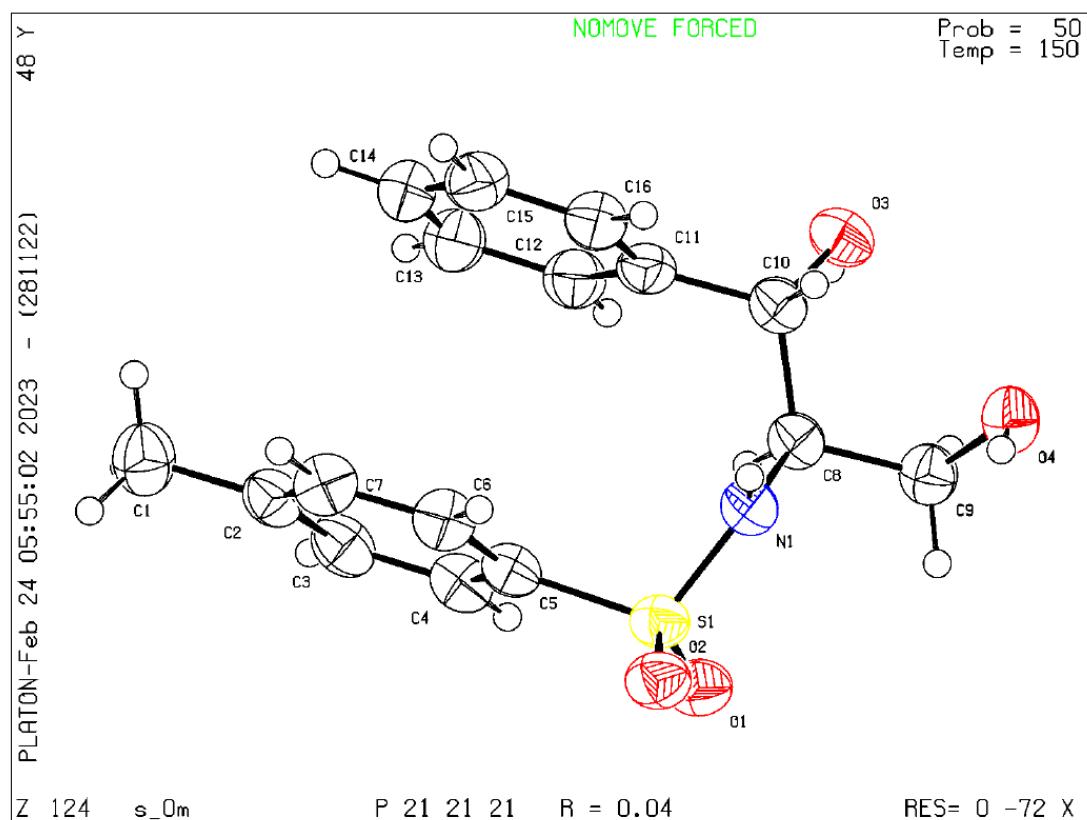
Correction method = # Reported T Limits: Tmin = 0.018 Tmax = 0.116

AbsCorr = MULTI-SCAN

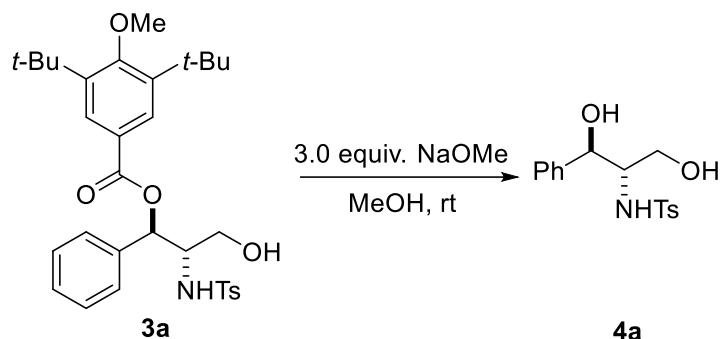
Data completeness = 1.69/0.98 Theta(max) = 74.450

R(reflections) = 0.0429(2991) wR2(reflections) = 0.1110(3070)

S = 1.089 Npar = 206



Procedures and Characterization Data for the Derivatizations

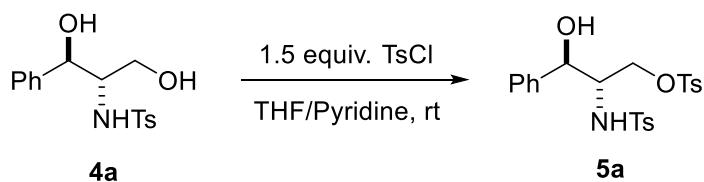


To a solution of **3a** (0.5 mmol, 1.0 equiv.) in MeOH (1 mL) was added NaOMe (1.5 mmol, 3.0 equiv.) and the mixture was stirred at rt. After the reaction was complete, the solvent was removed under reduced pressure and the residue was purified by column chromatography directly to afford **4a** (Petroleum ether / EtOAc = 1:1).

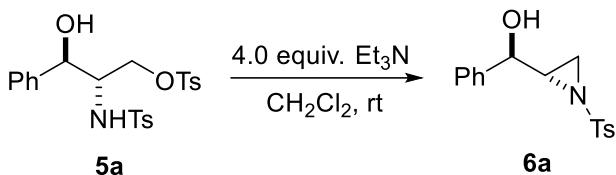
4a:

N-((1R,2S)-1,3-dihydroxy-1-phenylpropan-2-yl)-4-methylbenzenesulfonamide

The title compound was isolated by column chromatography (Petroleum ether / EtOAc = 1:1) in 82% yield. Colorless crystal; **HPLC:** er was determined by HPLC analysis (Chiralpak IC, iPrOH/Hexane = 30/70, 1.0 mL/min, 210 nm) Retention time: $t_{\text{minor}} = 24.57 \text{ min}$, $t_{\text{major}} = 17.00 \text{ min}$, er = 98:2.



A solution of *p*-toluenesulfonyl chloride (0.525 mmol, 1.0 equiv.) in THF (1 mL) was added to a suspension of **4a** (0.35 mmol, 1.0 equiv.) in pyridine (2 mL) under stirring at 0 °C. The mixture was stirred for 24 h at rt, poured into 5 mL of 2 M hydrochloric acid, and extracted with ethyl acetate. The combined organics were washed with brine, dried over sodium sulfate, and concentrated under reduced pressure. The crude was purified by silica gel column chromatography (Petroleum ether / EtOAc = 2:1) to give **5a**.

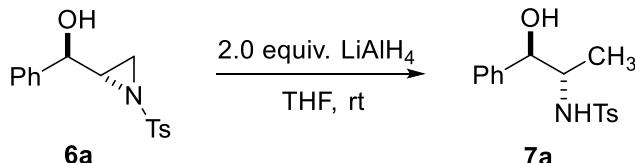


To a solution of **5a** (0.32 mmol, 1.0 equiv.) in CH_2Cl_2 (2 mL) was added Et_3N (1.28 mmol, 4.0 equiv.) and was stirred at rt. After the reaction was complete, the mixture was purified by column chromatography directly to afford **6a** (Petroleum ether / EtOAc = 2:1).

6a:

(R)-phenyl((S)-1-tosylaziridin-2-yl)methanol

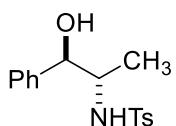
The title compound was isolated by column chromatography (Petroleum ether / EtOAc = 2:1) in 86% yield (2 steps). Viscous colorless oil; **HPLC**: er was determined by HPLC analysis (Chiralpak IC, *i*PrOH/Hexane = 30/70, 1.0 mL/min, 210 nm) Retention time: $t_{\text{minor}} = 21.21$ min, $t_{\text{major}} = 12.85$ min, er = 97.5:2.5.



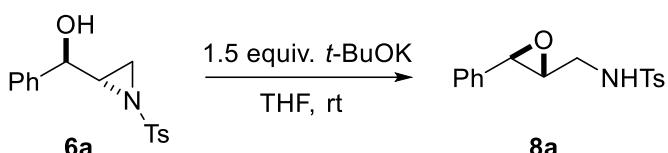
A solution of compound **6a** (0.15 mmol, 1.0 equiv.) in anhydrous THF (1 mL) was added over a period of 5 min to a suspension of LiAlH_4 (0.30 mmol, 2.0 equiv.) in anhydrous THF (1 mL) on cooling to -40 °C. The mixture was stirred for 2 h at -40 °C, allowed to warm up to room temperature, and stirred for 12 h. Water was added to decompose excess LiAlH_4 , the aqueous layer was extracted with ethyl acetate. The combined organics were washed with brine, dried over sodium sulfate, and concentrated under reduced pressure. The crude was purified by silica gel column chromatography (Petroleum ether / EtOAc = 2:1) to give **7a**.

7a:

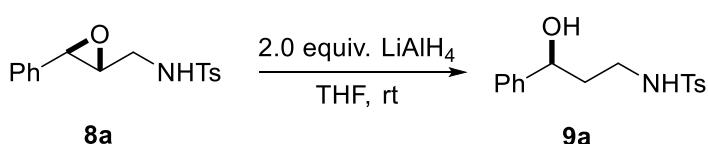
N-((1R,2S)-1-hydroxy-1-phenylpropan-2-yl)-4-methylbenzenesulfonamide



The title compound was isolated by column chromatography (Petroleum ether / EtOAc = 2:1) in 95% yield. Viscous colorless oil; **HPLC:** *er* was determined by HPLC analysis (Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min, 210 nm) Retention time: $t_{\text{minor}} = 14.43$ min, $t_{\text{major}} = 13.05$ min, *er* = 99:1.



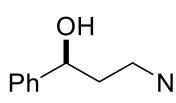
A mixture of compound **6a** (0.15 mmol, 1.0 equiv.) and *t*-BuOK (0.225 mmol, 1.5 equiv.) in anhydrous THF (1 mL) was stirred at rt. After the reaction was complete, the crude was purified by column chromatography directly to afford **8a** (Petroleum ether / EtOAc = 2:1).



A solution of compound **8a** (0.12 mmol, 1.0 equiv.) in anhydrous THF (1 mL) was added over a period of 5 min to a suspension of LiAlH₄ (0.24 mmol, 2.0 equiv.) in anhydrous THF (1 mL) on cooling to -40 °C. The mixture was stirred for 2 h at -40 °C, allowed to warm up to room temperature, and stirred for 12 h. Water was added to decompose excess LiAlH₄, the aqueous layer was extracted with ethyl acetate. The combined organics were washed with brine, dried over sodium sulfate, and concentrated under reduced pressure. The crude was purified by silica gel column chromatography (Petroleum ether / EtOAc = 2:1) to give **9a**.

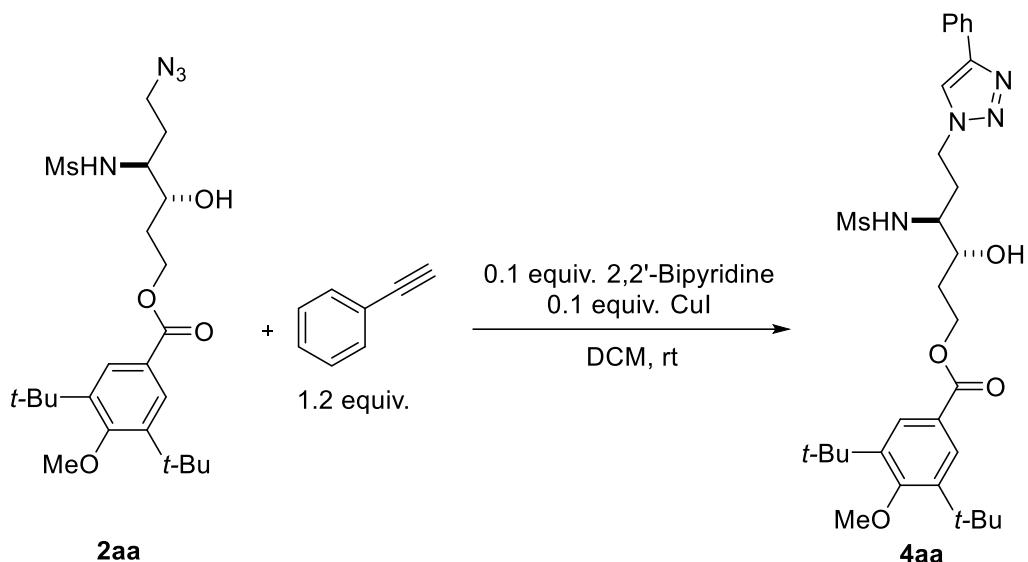
9a:

(S)-N-(3-hydroxy-3-phenylpropyl)-4-methylbenzenesulfonamide



The title compound was isolated by column chromatography (Petroleum ether / EtOAc = 2:1) in 92% yield. Viscous colorless oil; **HPLC:** *er* was determined by HPLC analysis (Chiralpak IC, *i*PrOH/Hexane = 30/70, 1.0 mL/min, 210 nm) Retention time: $t_{\text{minor}} = 23.95$ min, $t_{\text{major}} = 20.82$ min, *er* = 97:3.

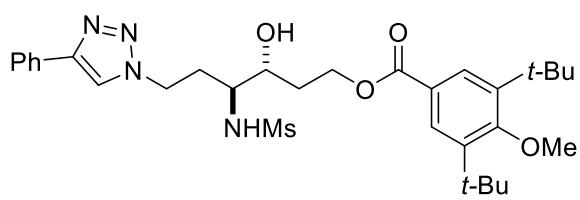
Compounds **4a**, **5a**, **6a**, **7a**, **8a**, and **9a** are known and consistent with reported literature⁴.



To a solution of **2aa** (0.05 mmol, 1.0 equiv.) in DCM (0.5 mL) was added 2,2'-bipyridine (0.005 mmol, 0.1 equiv.), CuI (0.005 mmol, 0.1 equiv.) and Phenylacetylene (0.06 mmol, 1.2 equiv.) at rt. After stirring for 6h, the mixture was concentrated under reduced pressure to give a residue, which was purified by flash column chromatography ($\text{CH}_2\text{Cl}_2 / \text{CH}_3\text{OH} = 10:1$) to give the product **4aa**.

4aa:

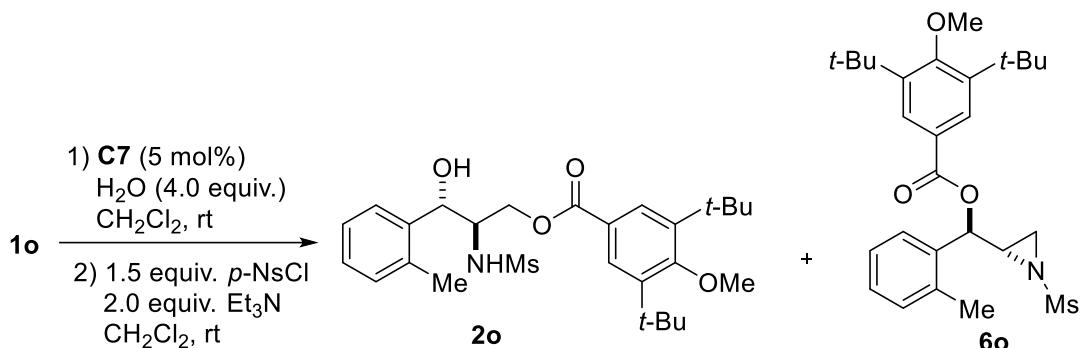
(3R,4S)-3-hydroxy-4-(methylsulfonamido)-6-(4-phenyl-1H-1,2,3-triazol-1-yl)hexyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was isolated by column chromatography ($\text{CH}_2\text{Cl}_2 / \text{CH}_3\text{OH} = 10:1$) in 90% yield. Viscous colorless oil; $[\alpha]_D^{19} = -6.4$ ($c = 0.4$,

CHCl_3); **$^1\text{H NMR}$** (500 MHz, CDCl_3): δ 7.95 (s, 1H), 7.90 (s, 2H), 7.83 – 7.77 (m, 2H), 7.40 (dd, $J = 8.3, 7.0$ Hz, 2H), 7.34 – 7.28 (m, 1H), 5.25 (d, $J = 9.5$ Hz, 1H), 4.67 (ddd, $J = 11.6, 9.3, 4.5$ Hz, 1H), 4.64 – 4.54 (m, 2H), 4.32 (dt, $J = 11.5, 5.1$ Hz, 1H), 3.89 – 3.83 (m, 2H), 3.70 (s, 3H), 3.52 – 3.44 (m, 1H), 3.03 (s, 3H), 2.32 (dtd, $J = 15.0, 7.9, 6.9, 2.7$ Hz, 1H), 2.09 (ddt, $J = 14.5, 11.0, 5.5$ Hz, 1H), 1.92 – 1.85 (m, 1H), 1.81 (tt, $J = 9.6, 4.6$ Hz, 1H), 1.42 (s, 18H) ppm; **$^{13}\text{C NMR}$** (126 MHz, CDCl_3): δ 168.00, 164.54, 147.73,

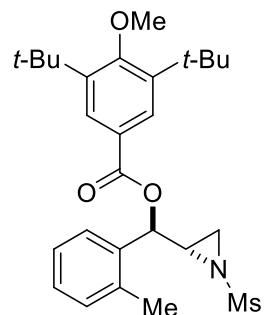
144.46, 130.54, 129.01, 128.51, 128.35, 125.86, 123.77, 121.23, 70.37, 64.62, 61.62, 55.82, 47.18, 42.11, 36.02, 32.84, 32.01, 30.77 ppm; **HRMS** (ESI): (*m/z*) calcd for C₃₁H₄₄N₄O₆S [M+H]⁺: 601.3055, found: 601.3082; **IR**: $\tilde{\nu}$ = 3289, 2959, 2926, 2855, 1713, 1465, 1412, 1363, 1302, 1236, 1144, 1116, 1081, 1007, 980, 766, 695, 519 cm⁻¹; **HPLC**: er was determined by HPLC analysis (Chiralpak IA, iPrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 10.04 min, t_{major} = 10.96 min, er = 92.5:7.5.



1o was subjected to the standard catalytic condition. When the starting material was fully converted, to the reaction was added *p*-NsCl (0.15 mmol, 1.5 equiv.), Et₃N (0.20 mmol, 2.0 equiv.) successively. The reaction was stirred at rt for 6 h. The solvent was removed under reduced pressure and the crude products were purified and separated (**2o** and **6o**) by column chromatography.

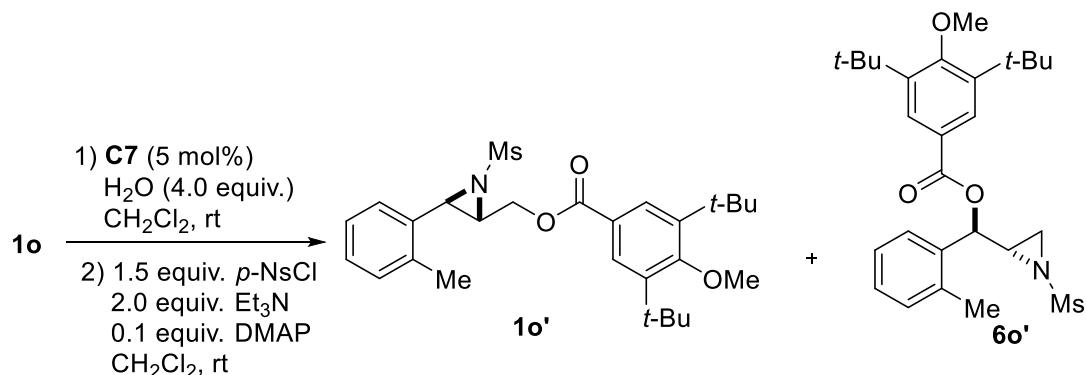
6o:

(R)-((S)-1-(methylsulfonyl)aziridin-2-yl)(o-tolyl)methyl 3,5-di-tert-butyl-4-methoxybenzoate



The title compound was isolated by column chromatography (Petroleum ether / EtOAc = 10:1) in 90% yield. Viscous colorless oil; $[\alpha]_D^{20} = +1.8$ (*c* = 1.0, CHCl₃); **1H NMR** (500 MHz, CDCl₃): δ 7.99 (s, 2H), 7.55 – 7.49 (m, 1H), 7.26 – 7.16 (m, 3H), 6.08 (d, *J* = 6.6 Hz, 1H), 3.71 (s, 3H), 3.17 (td, *J* = 6.7, 4.4 Hz, 1H), 2.79 (d, *J* = 6.8 Hz, 1H), 2.65 (s, 3H), 2.51 (s, 3H), 2.43 (d, *J* = 4.4 Hz, 1H), 1.44 (s, 18H) ppm; **13C NMR** (126 MHz, CDCl₃): δ 165.79, 164.56, 144.49, 136.08, 135.66, 130.88, 128.90, 128.58, 127.07, 126.62, 123.81, 71.33, 64.65, 42.65, 39.17,

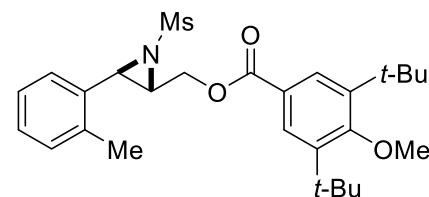
36.04, 32.01, 31.08, 19.67 ppm; **HRMS** (ESI): (*m/z*) calcd for C₂₇H₃₇NO₅S [M+Na]⁺: 510.2284, found: 510.2304; **IR**: $\tilde{\nu}$ = 2961, 1718, 1596, 1465, 1410, 1362, 1325, 1226, 1157, 1129, 1065, 1004, 953, 899, 785, 766, 728, 676, 637, 545, 511, 459 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 11.12 min, t_{major} = 11.84 min, *er* = 97.5:2.5.



1o was subjected to the standard catalytic condition. When the starting material was fully converted, to the reaction was added *p*-NsCl (0.15 mmol, 1.5 equiv.), Et₃N (0.20 mmol, 2.0 equiv.), DMAP (0.01 mmol, 0.1 equiv.) successively. The reaction was stirred at rt for 20 h. The solvent was removed under reduced pressure and the crude products were purified and separated (**1o'** and **6o'**) by column chromatography.

1o':

((2S,3R)-1-(methylsulfonyl)-3-(*o*-tolyl)aziridin-2-yl)methyl 3,5-di-*tert*-butyl-4-methoxybenzoate

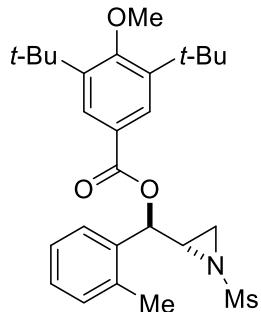


The title compound was isolated by column chromatography (Petroleum ether / EtOAc = 2:1) in 60% yield. Viscous colorless oil; $[\alpha]_D^{20} = -35.2$ (*c* = 0.4, CHCl₃); **HPLC**: *er* was determined by HPLC

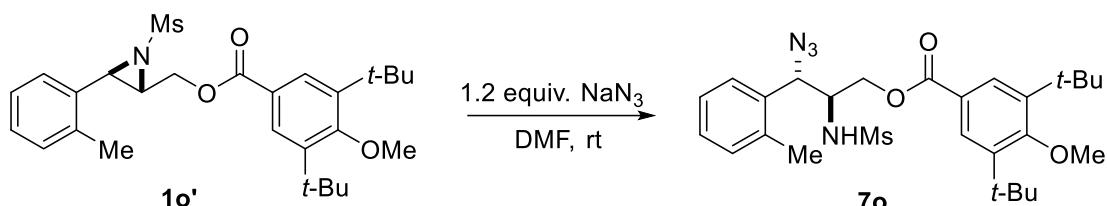
analysis (Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 11.85 min, t_{major} = 13.45 min, *er* = 96:4.

6o':

(R)-((S)-1-(methylsulfonyl)aziridin-2-yl)(o-tolyl)methyl 3,5-di-tert-butyl-4-methoxybenzoate



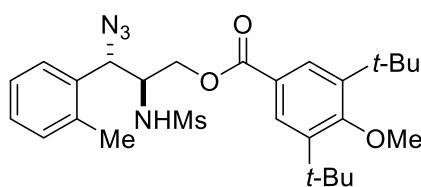
The title compound was isolated by column chromatography (Petroleum ether / EtOAc = 10:1) in 90% yield. Viscous colorless oil; $[\alpha]_D^{20} = +1.8$ ($c = 1.0$, CHCl₃); **HPLC**: er was determined by HPLC analysis (Chiralpak IC, iPrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: $t_{\text{minor}} = 11.11$ min, $t_{\text{major}} = 11.83$ min, er = 97.5:2.5.



To a solution of **1o'** (0.05 mmol, 1.0 equiv.) in DMF (0.5 mL) was added NaN₃(0.06 mmol, 1.2 equiv.) at rt. After stirring for 12h, the aqueous layer was extracted with ethyl acetate. The combined organics were washed with brine, dried over sodium sulfate, and concentrated under reduced pressure. The crude was purified by silica gel column chromatography (Petroleum ether / EtOAc = 5:1) to give the product **5o**.

7o:

(2S,3S)-3-azido-2-(methylsulfonamido)-3-(o-tolyl)propyl 3,5-di-tert-butyl-4-methoxybenzoate

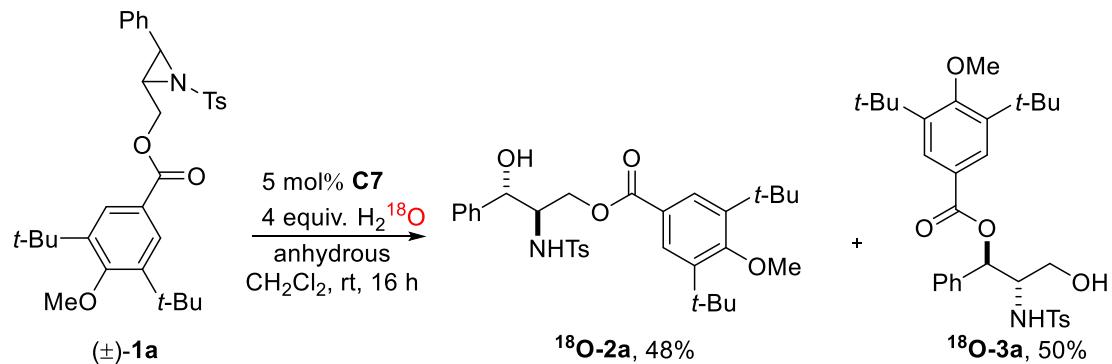


The title compound was isolated by column chromatography (Petroleum ether / EtOAc = 5:1) in 97% yield. Viscous colorless oil; $[\alpha]_D^{21} = +27.3$ ($c = 0.4$, CHCl₃); **1H NMR** (500 MHz, CDCl₃): δ 7.86 (s, 2H), 7.39 (d, $J = 7.5$ Hz, 1H), 7.27 – 7.15 (m, 3H), 5.10 (d, $J = 6.3$ Hz, 1H), 4.94 (d, $J = 9.1$ Hz, 1H), 4.44 (dd, $J = 11.8, 6.9$ Hz, 1H), 4.29 (dd, $J = 11.9, 3.3$ Hz, 1H), 3.95 (dtd, $J = 9.7, 6.6, 3.2$ Hz, 1H), 3.64 (s, 3H), 2.48 (s, 3H), 2.39 (s, 3H), 1.36 (s, 18H) ppm; **13C NMR** (126 MHz, CDCl₃): δ 166.92, 164.59, 144.57, 136.34, 134.38, 131.47, 129.00, 128.53, 127.21, 127.06, 123.58, 64.69, 64.63, 63.48, 56.64, 41.85, 36.05, 32.02, 19.61 ppm;

HRMS (ESI): (*m/z*) calcd for C₂₇H₃₈N₄O₅S [M+Na]⁺: 553.2455, found: 553.2476; **IR**: $\tilde{\nu}$ = 3271, 2962, 2106, 1718, 1595, 1446, 1411, 1387, 1324, 1299, 1227, 1155, 1117, 1007, 888, 767, 729, 681, 523 cm⁻¹; **HPLC**: *er* was determined by HPLC analysis (Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min, 254 nm) Retention time: t_{minor} = 4.17 min, t_{major} = 5.10 min, *er* = 97.5:2.5.

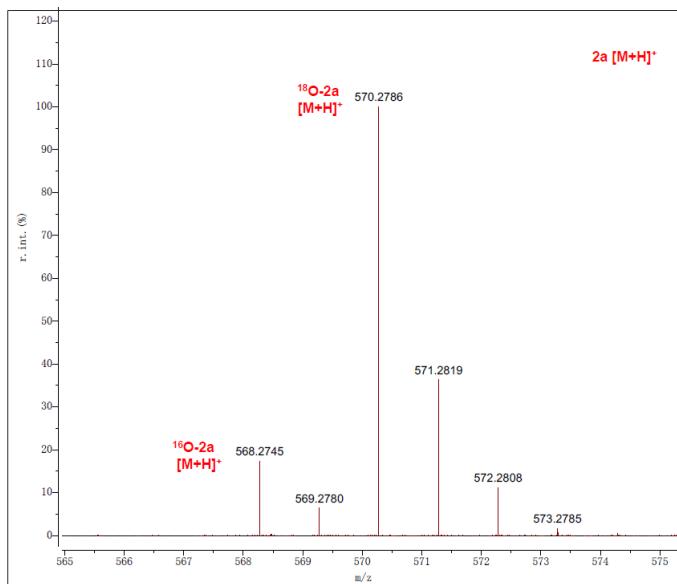
Mechanism Studies

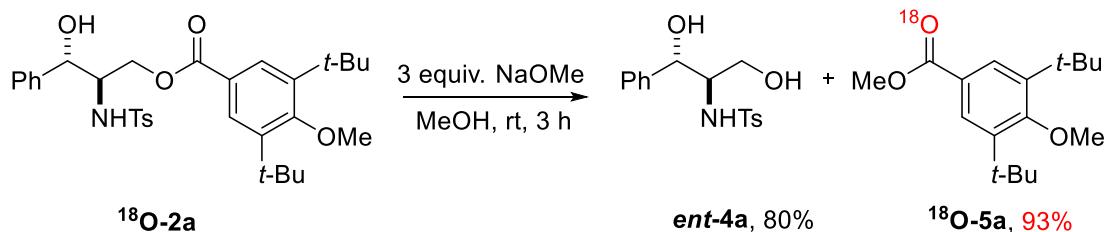
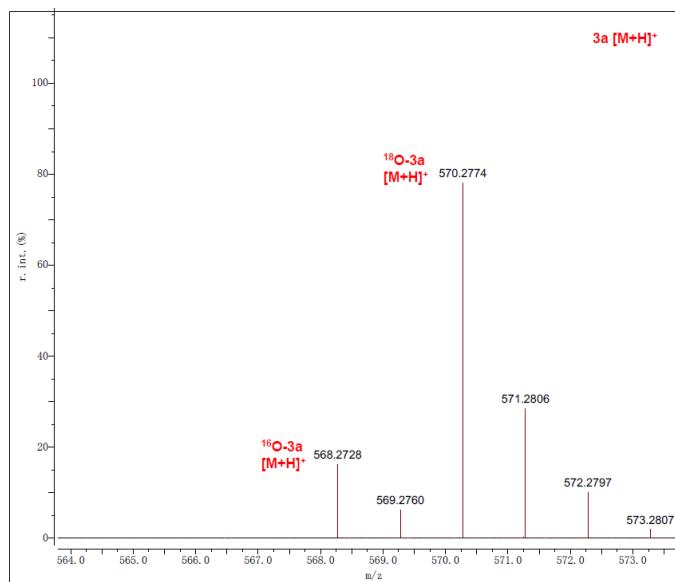
¹⁸O labeling experiment⁵



^{18}O -2a: MS (ESI): (m/z) calcd for $\text{C}_{32}\text{H}_{41}\text{NO}_5\text{S}^{18}\text{O} [\text{M}+\text{H}]^+$: 570.2770, found: 570.2786;
 ^{18}O % incorporation: 85%

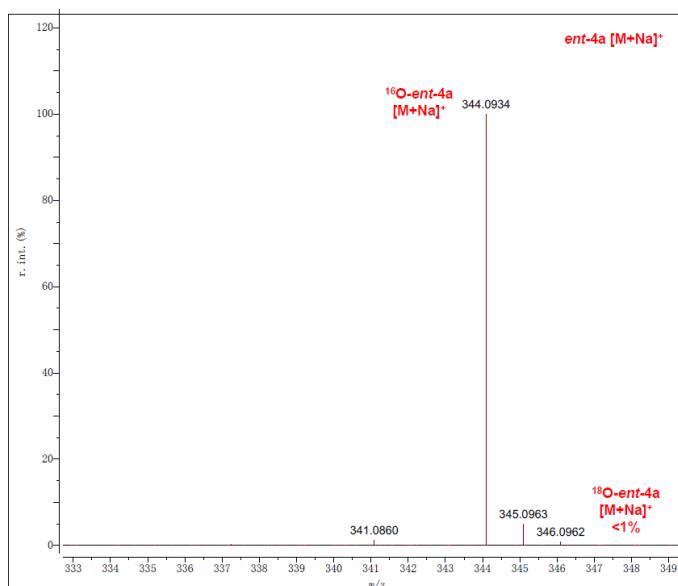
^{18}O -3a: MS (ESI): (m/z) calcd for $\text{C}_{32}\text{H}_{41}\text{NO}_5\text{S}^{18}\text{O} [\text{M}+\text{H}]^+$: 570.2770, found: 570.2774;
 ^{18}O % incorporation: 83%

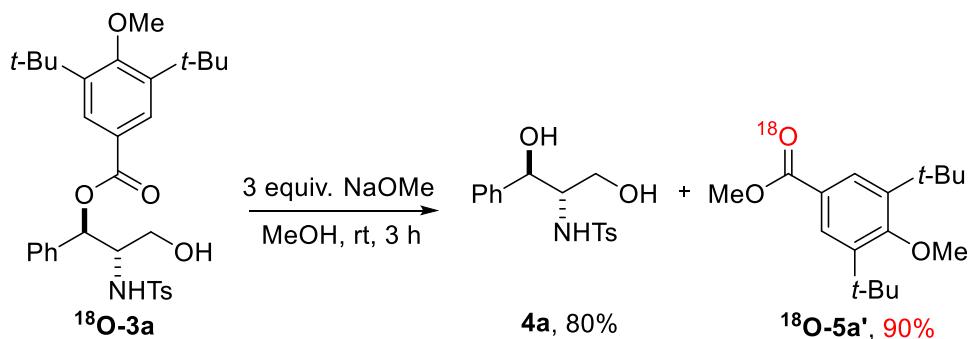
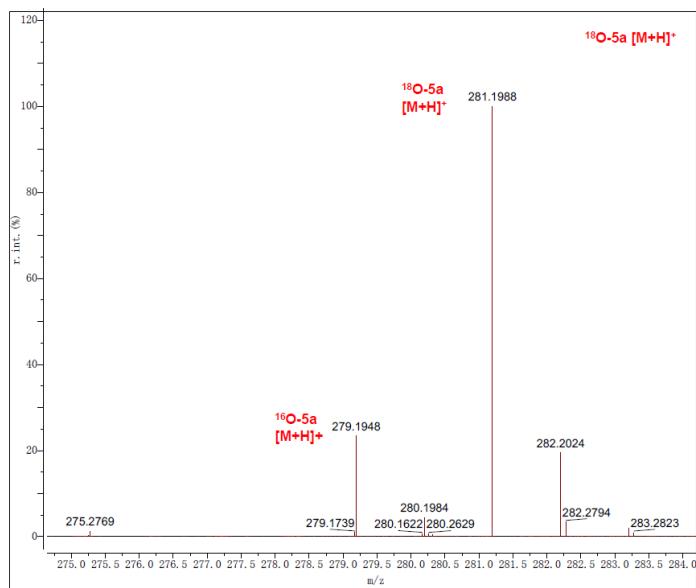




ent-4a: MS (ESI): (m/z) calcd for $C_{16}H_{19}NO_4S$ $[M+Na]^+$: 344.0927, found: 344.0934;
¹⁸O% incorporation: <1%

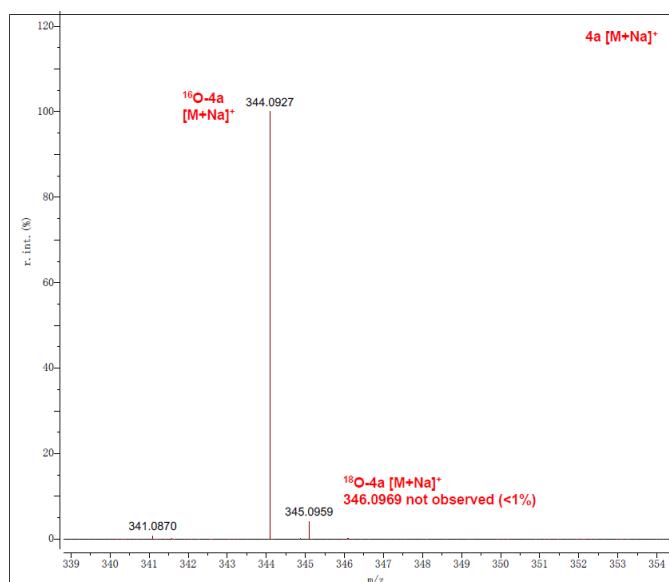
¹⁸O-5a: MS (ESI): (m/z) calcd for $C_{17}H_{26}O_2^{18}O$ $[M+H]^+$: 281.1997, found: 281.1988;
¹⁸O% incorporation: 81%

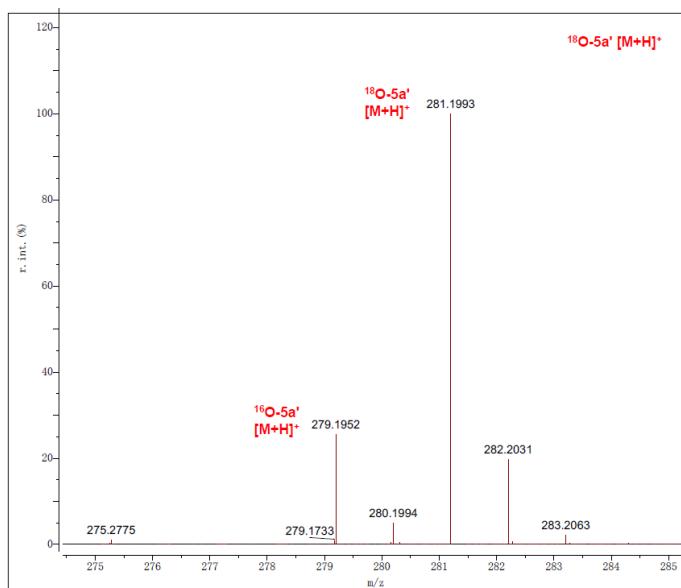




4a: MS (ESI): (m/z) calcd for $C_{16}H_{19}NO_4S [M+Na]^+$: 344.0927, found: 344.0927;
 ^{18}O % incorporation: <1%

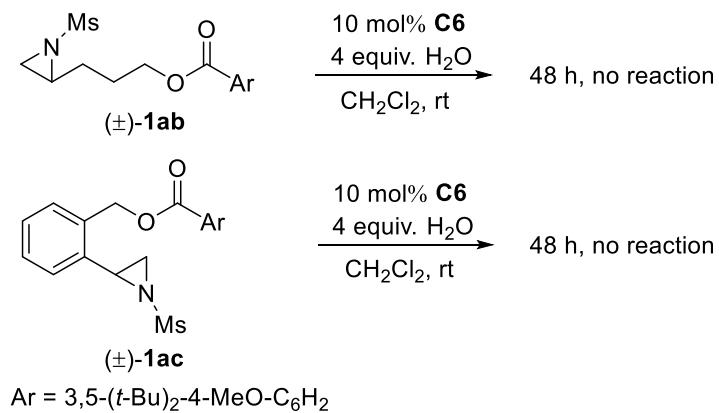
$^{18}\text{O-5a}'$: MS (ESI): (m/z) calcd for $C_{17}H_{26}O_2^{18}\text{O} [M+\text{H}]^+$: 281.1997, found: 281.1993;
 ^{18}O % incorporation: 80%



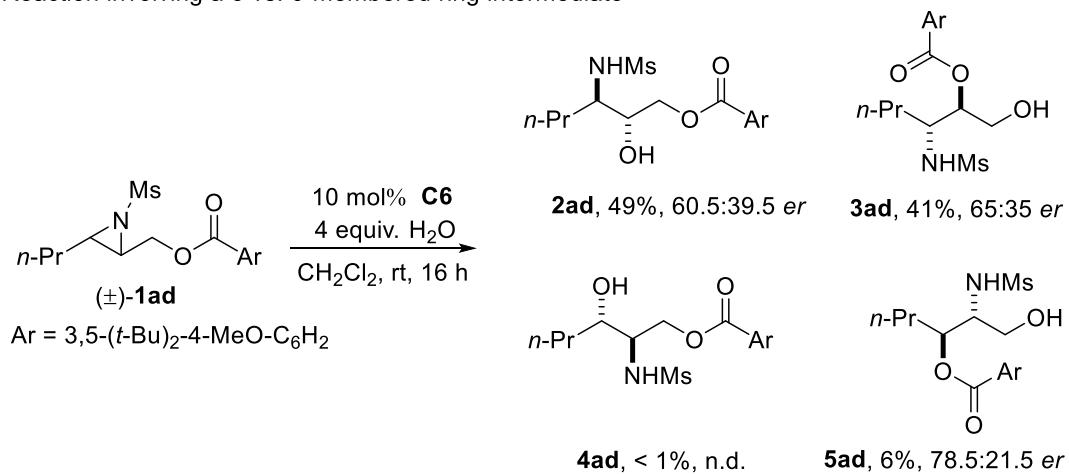


Additional control experiments

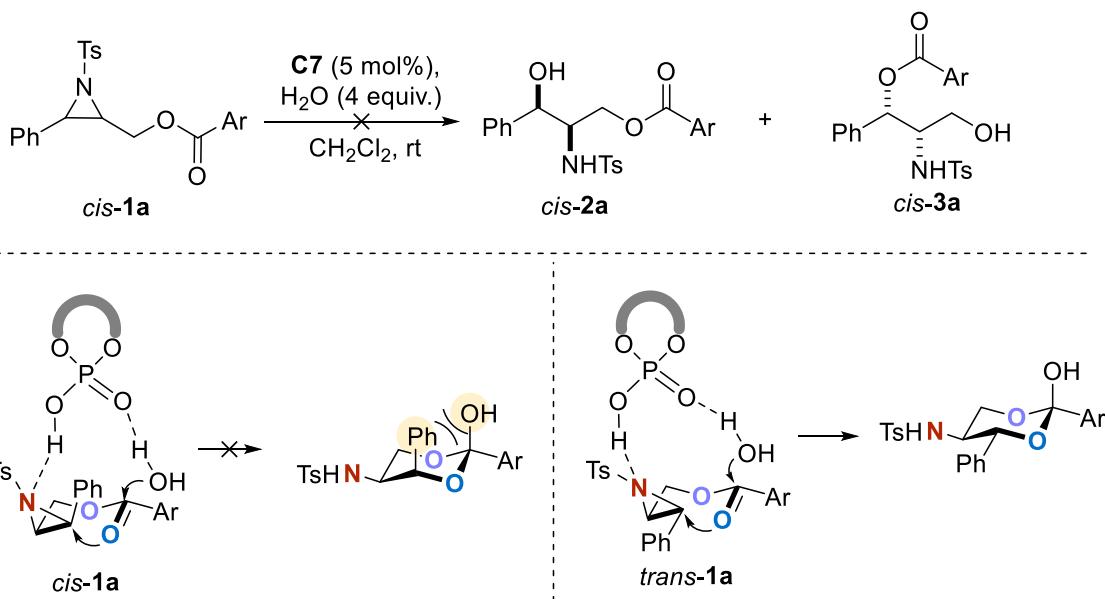
Reaction involving a 7 vs. 8-membered ring intermediate



Reaction involving a 5 vs. 6-membered ring intermediate

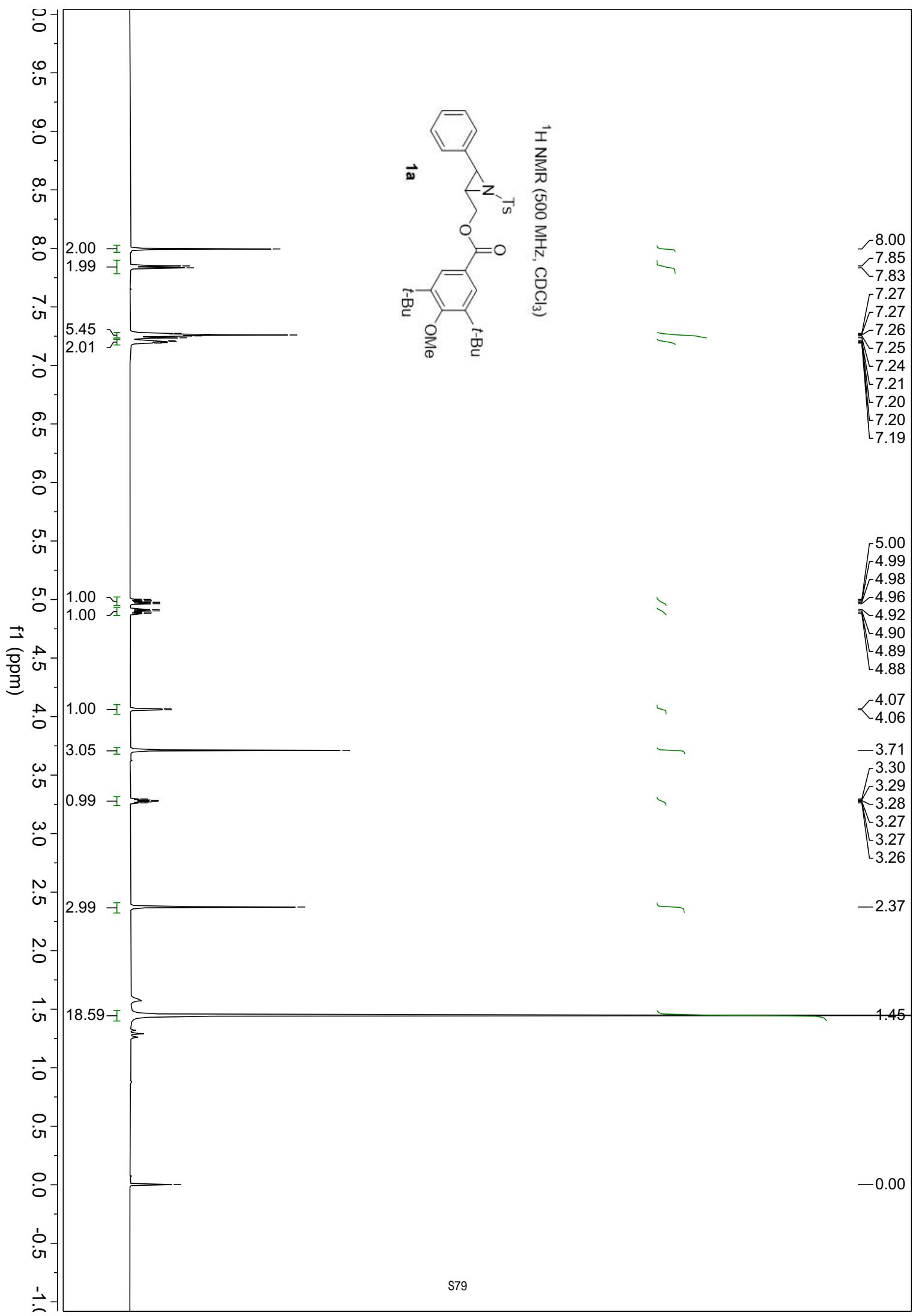


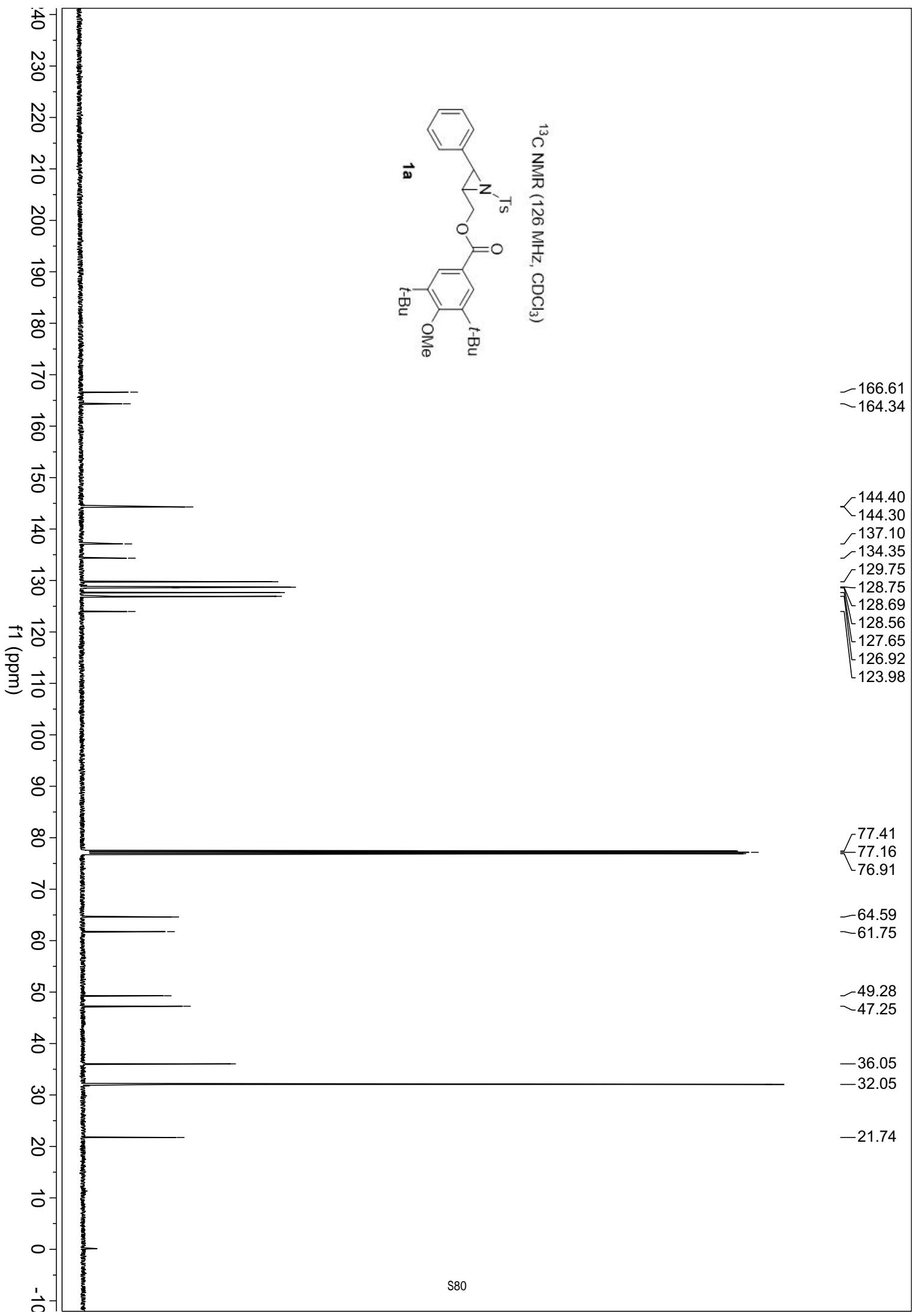
Parallel kinetic resolution of *cis*-1a

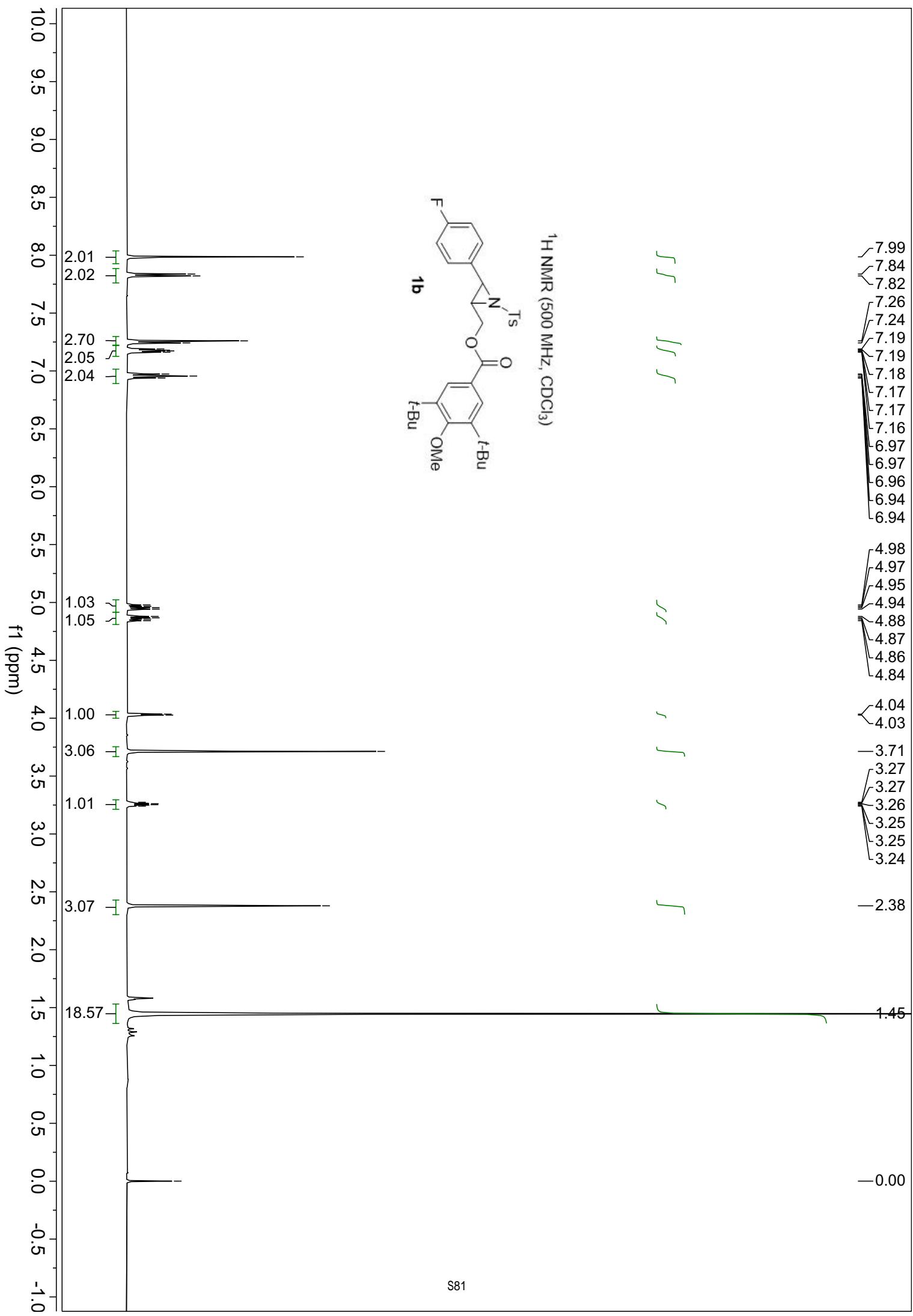


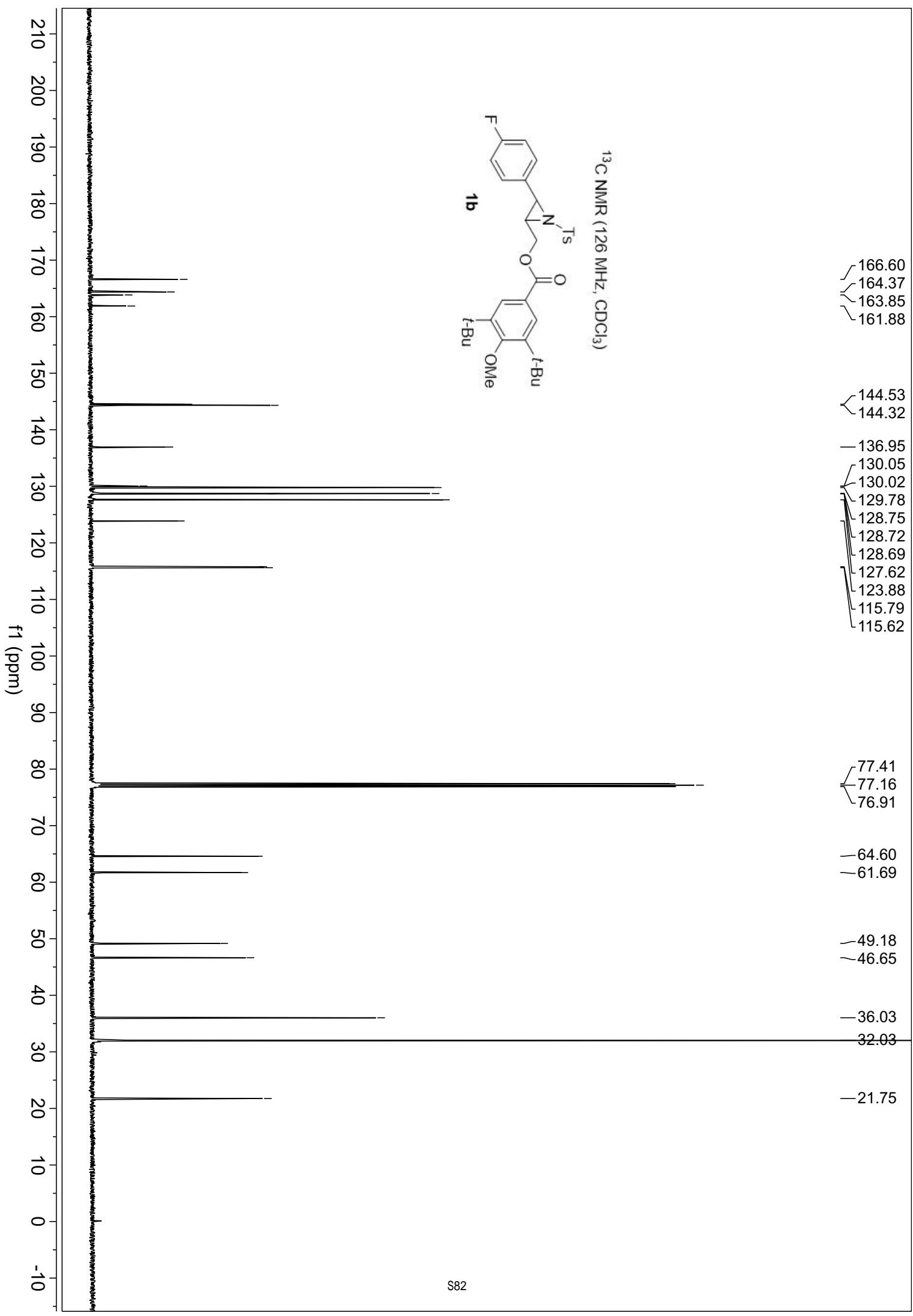
References

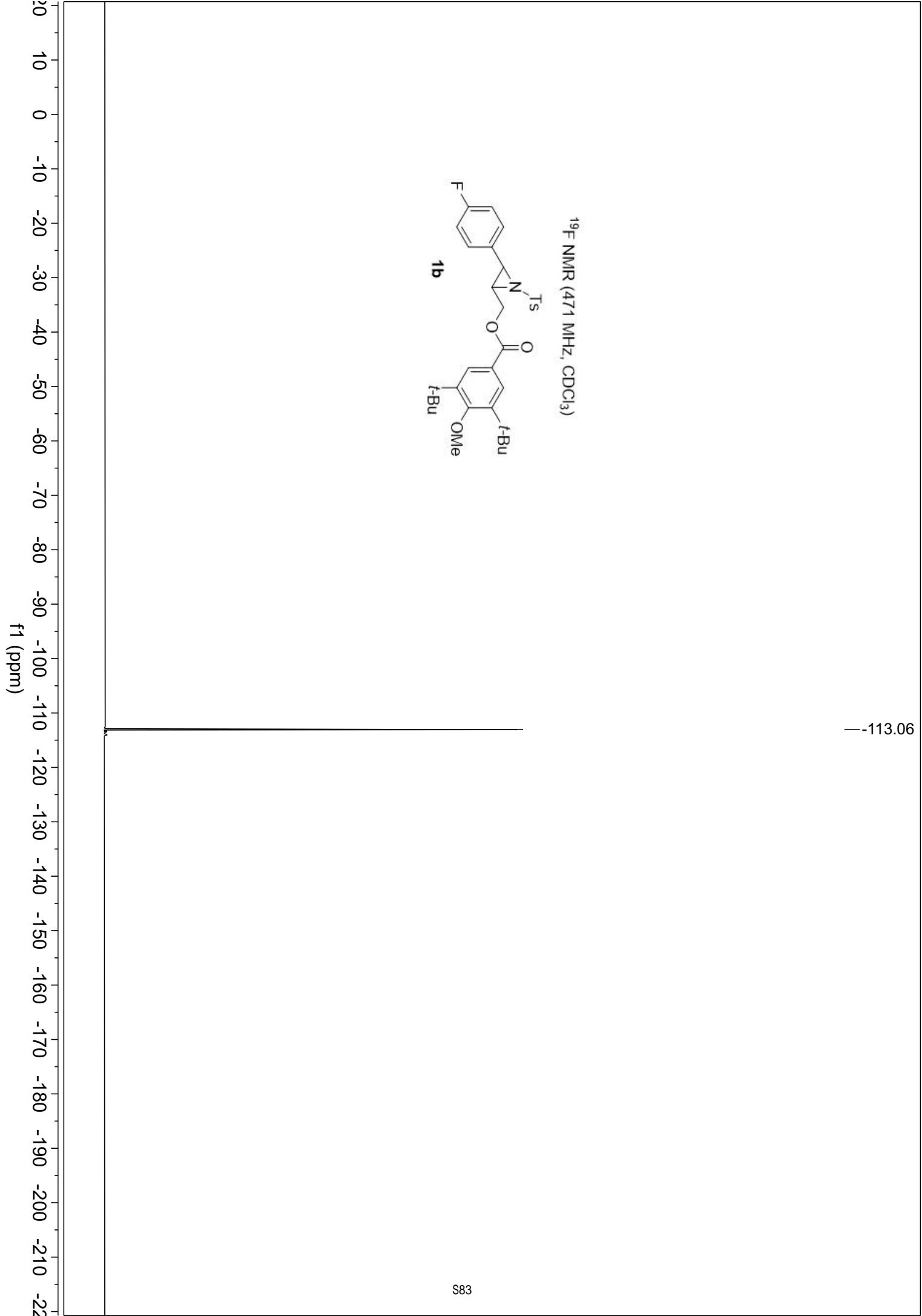
- (1) C. Schütt, G. Heitmann, T. Wendler, B. Krahwinkel, R. Herges, *J. Org. Chem.* **2016**, *81*, 1206-1215.
- (2) D. X. Hu, G. M. Shibuya, N. Z. Burns, *J. Am. Chem. Soc.* **2013**, *135*, 12960-12963.
- (3) J. U. Jeong, B. Tao, I. Sagasser, H. Henniges, K. B. Sharpless, *J. Am. Chem. Soc.* **1998**, *120*, 6844-6845.
- (4) X. Feng, G. Qiu, S. Liang, J. Su, H. Teng, L. Wu, X. Hu, *Russ. J. Org Chem.* **2006**, *42*, 496-500.
- (5) S.-T. Yuan, H. Zhou, L. Gao, J.-B. Liu, G. Qiu, *Org. Lett.* **2018**, *20*, 562-565.

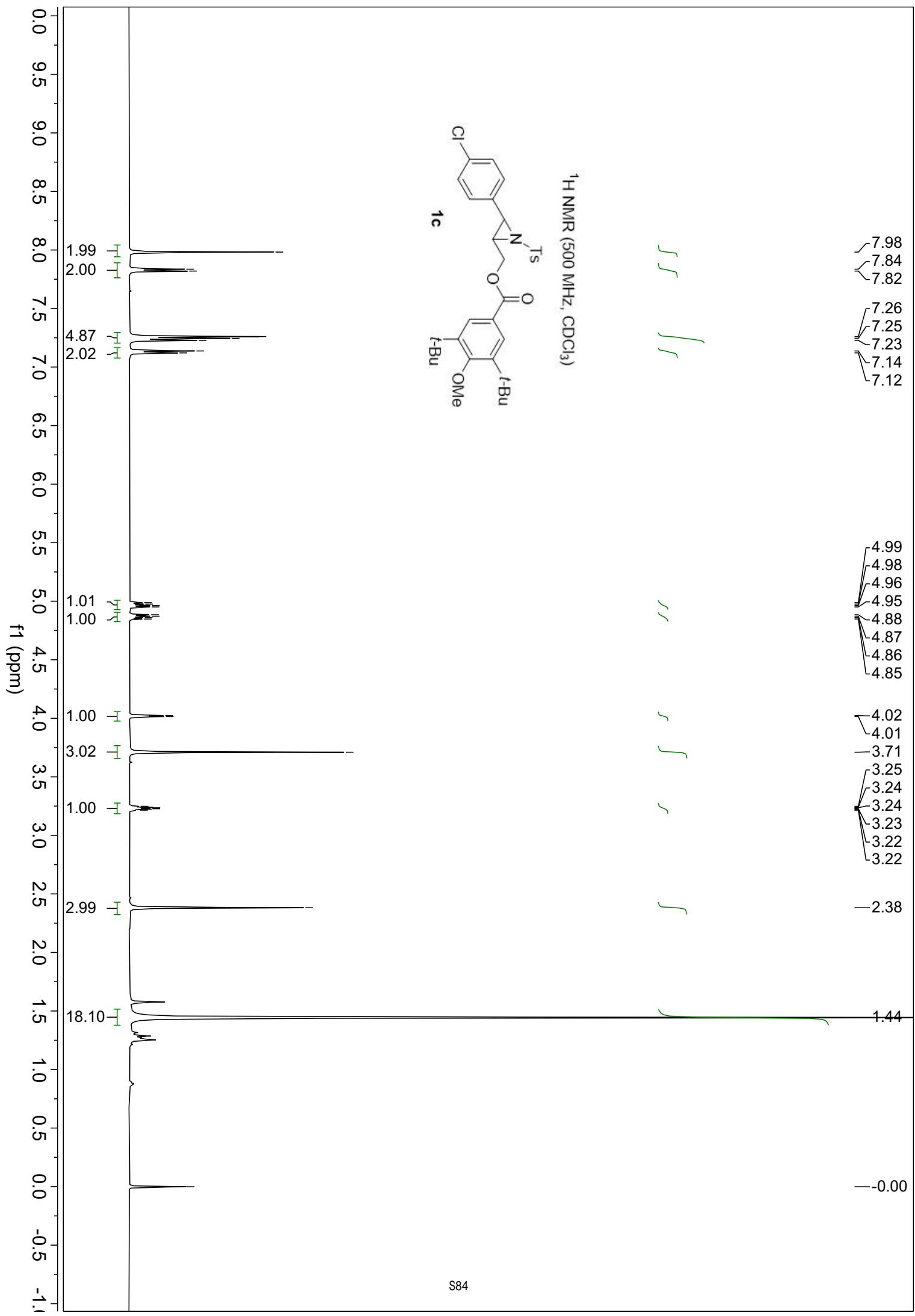


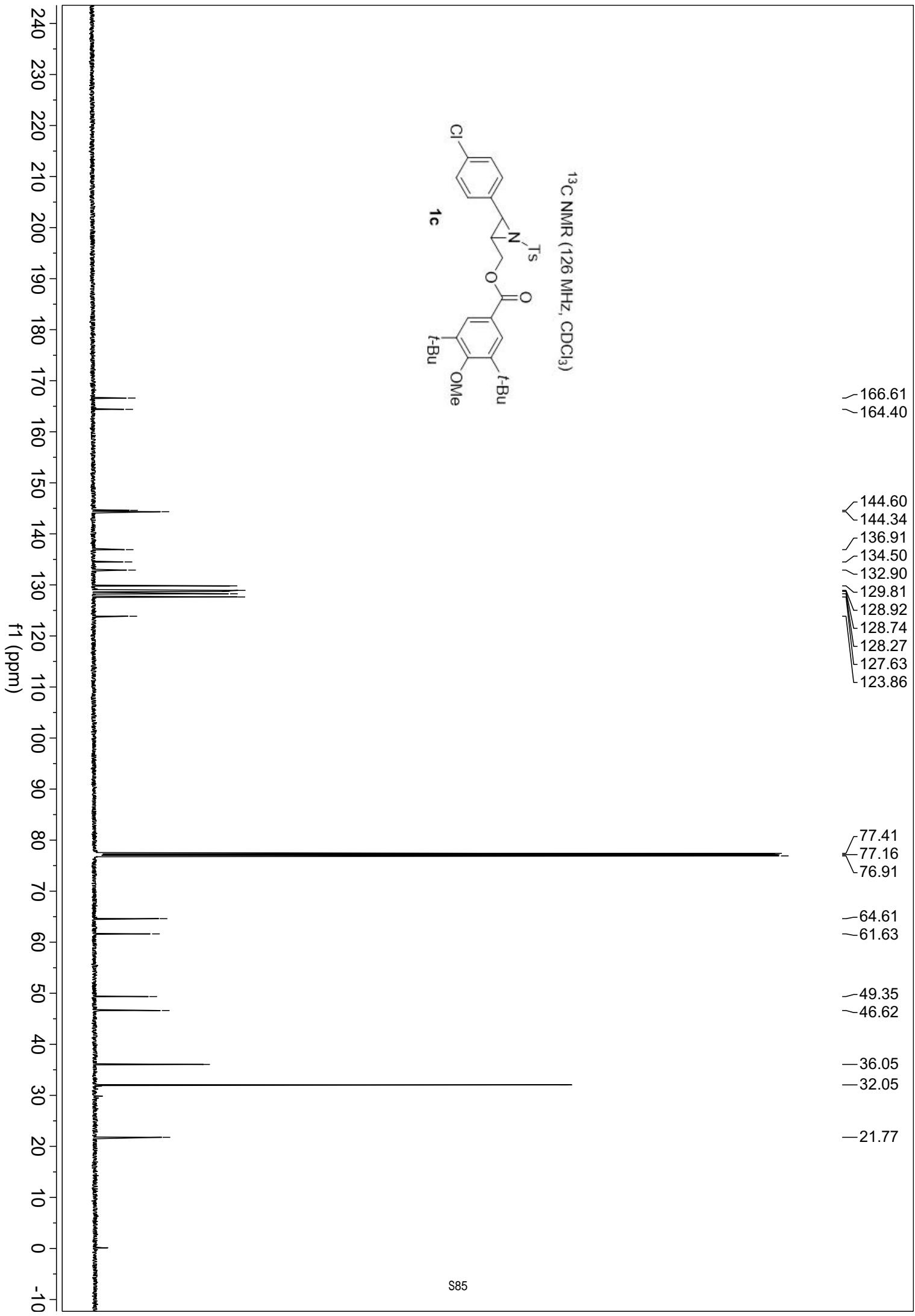


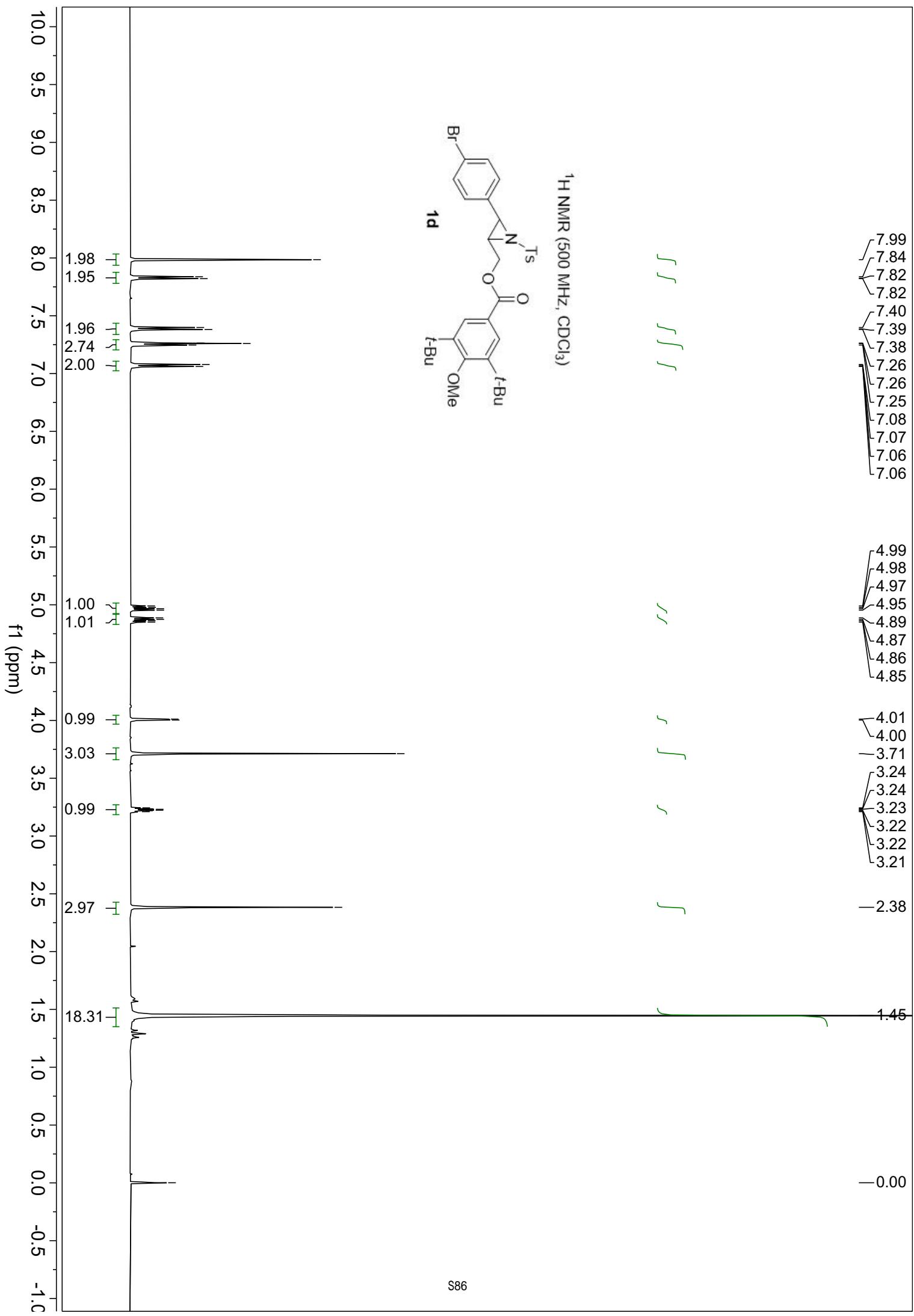


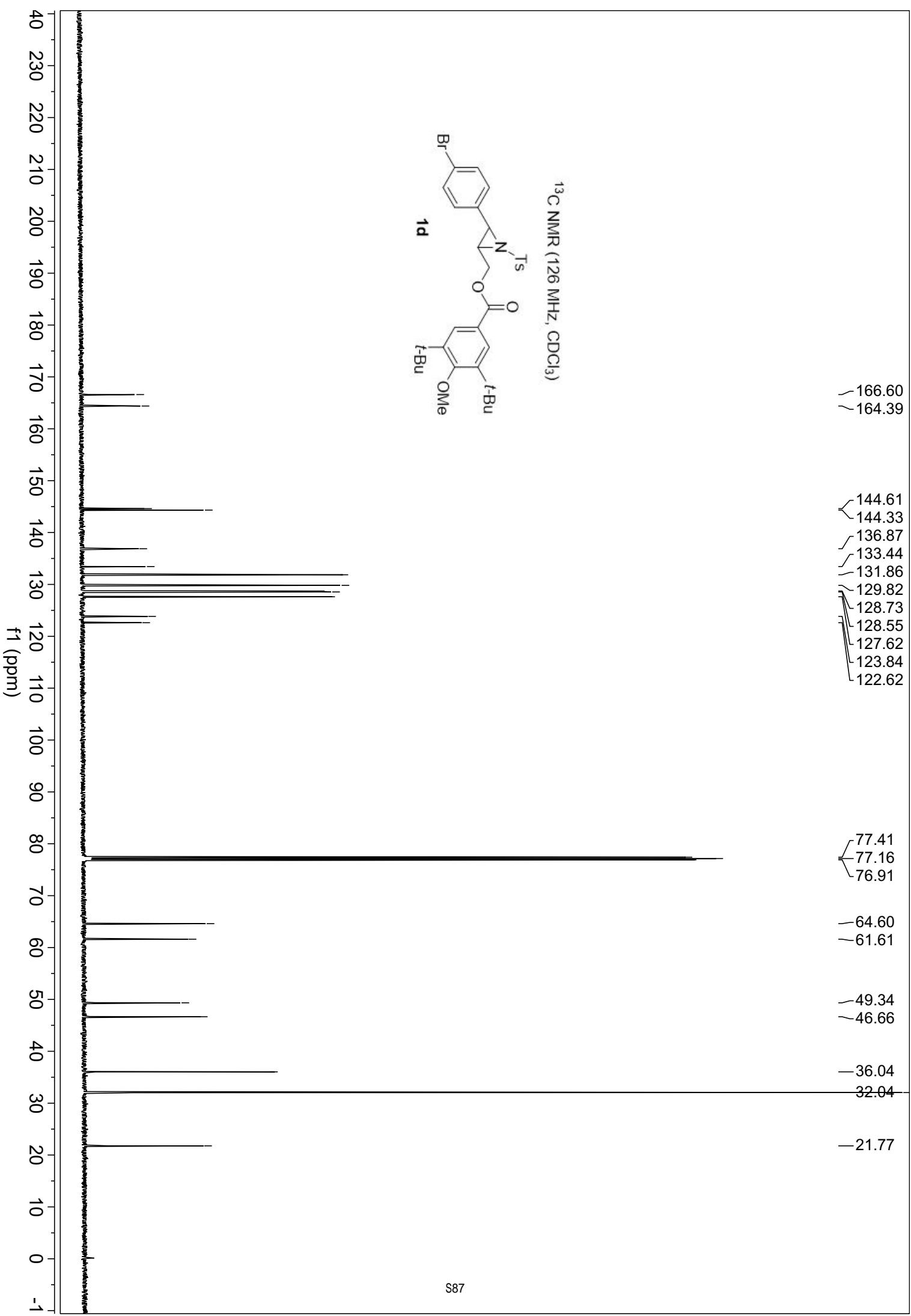


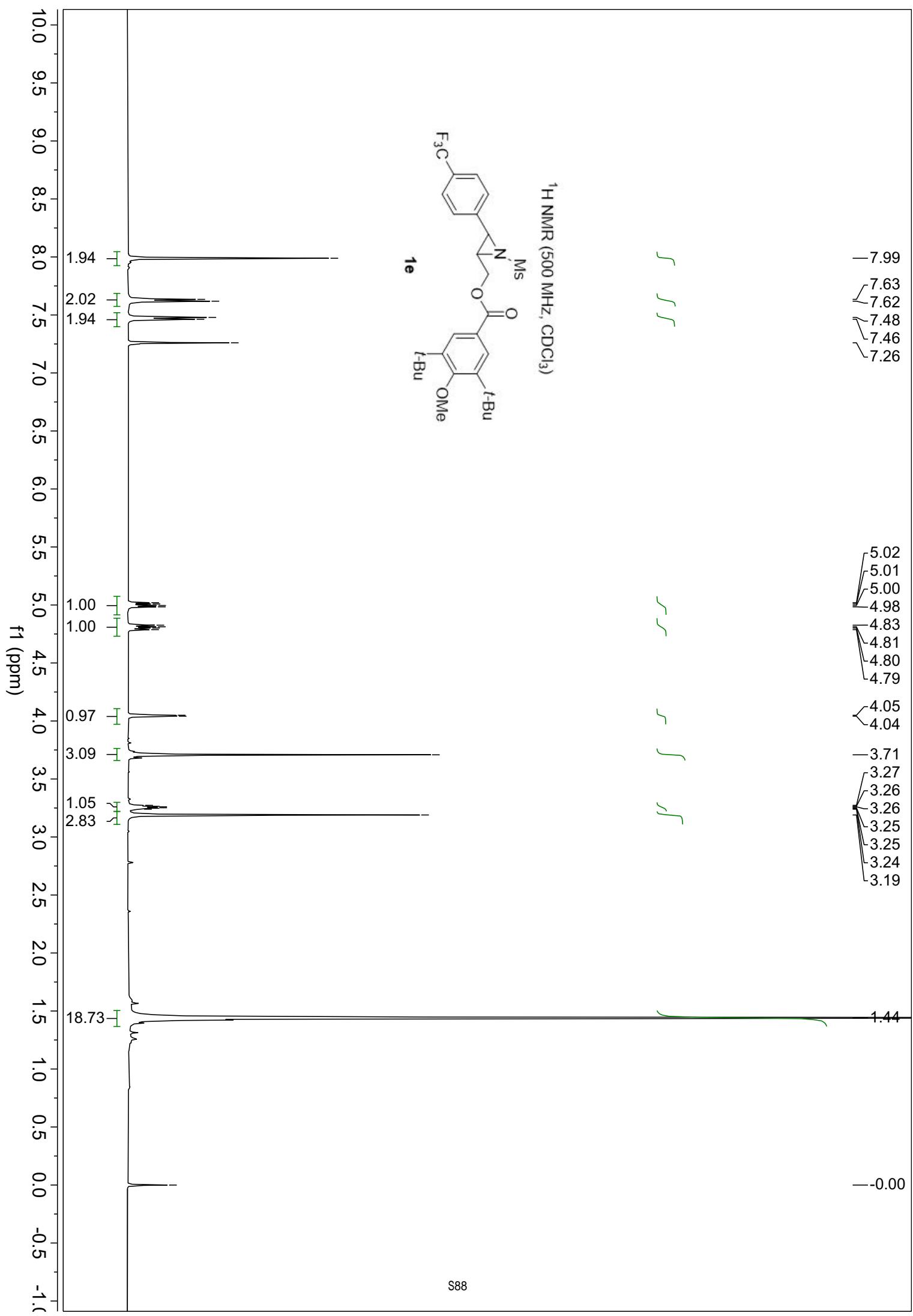


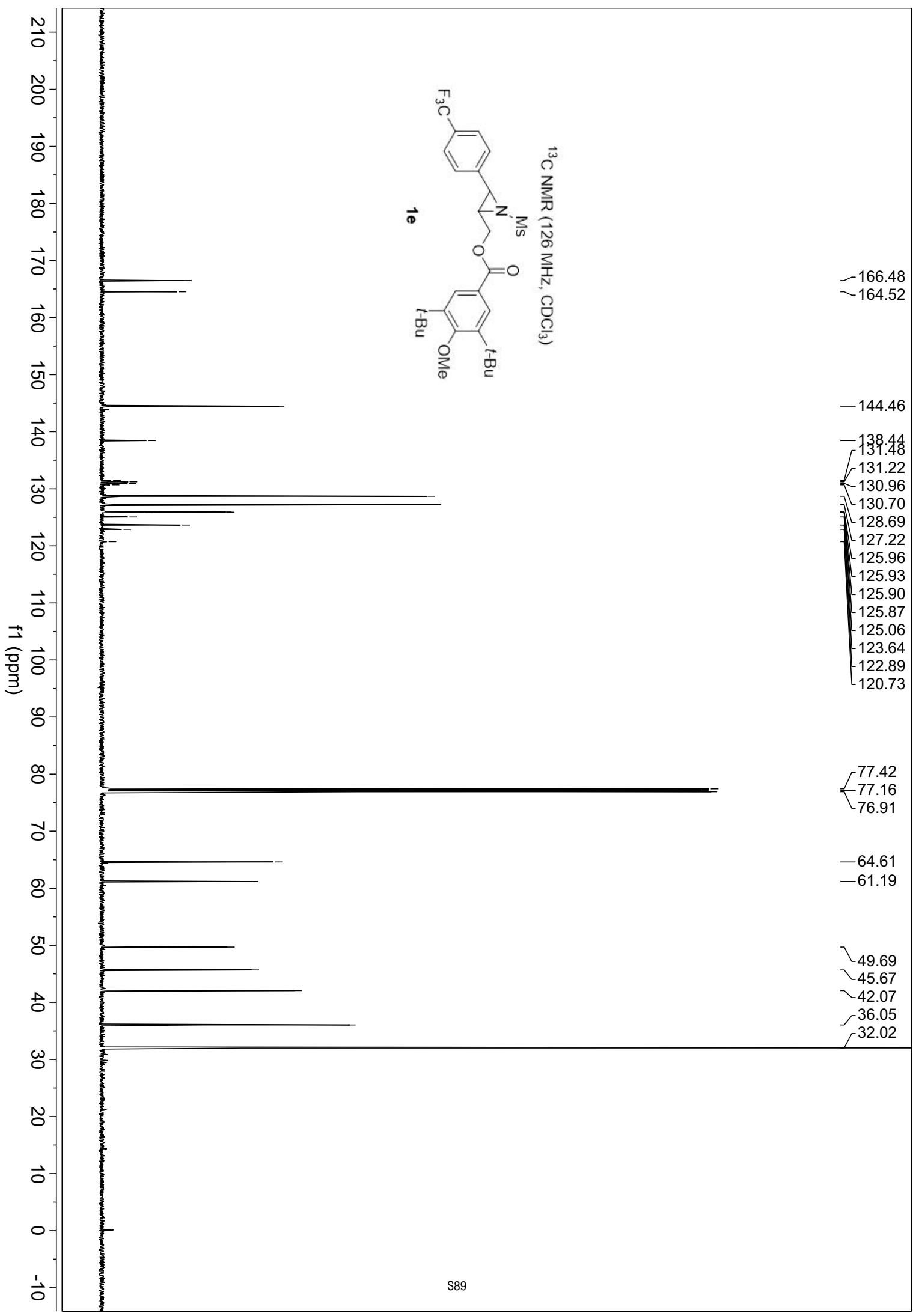


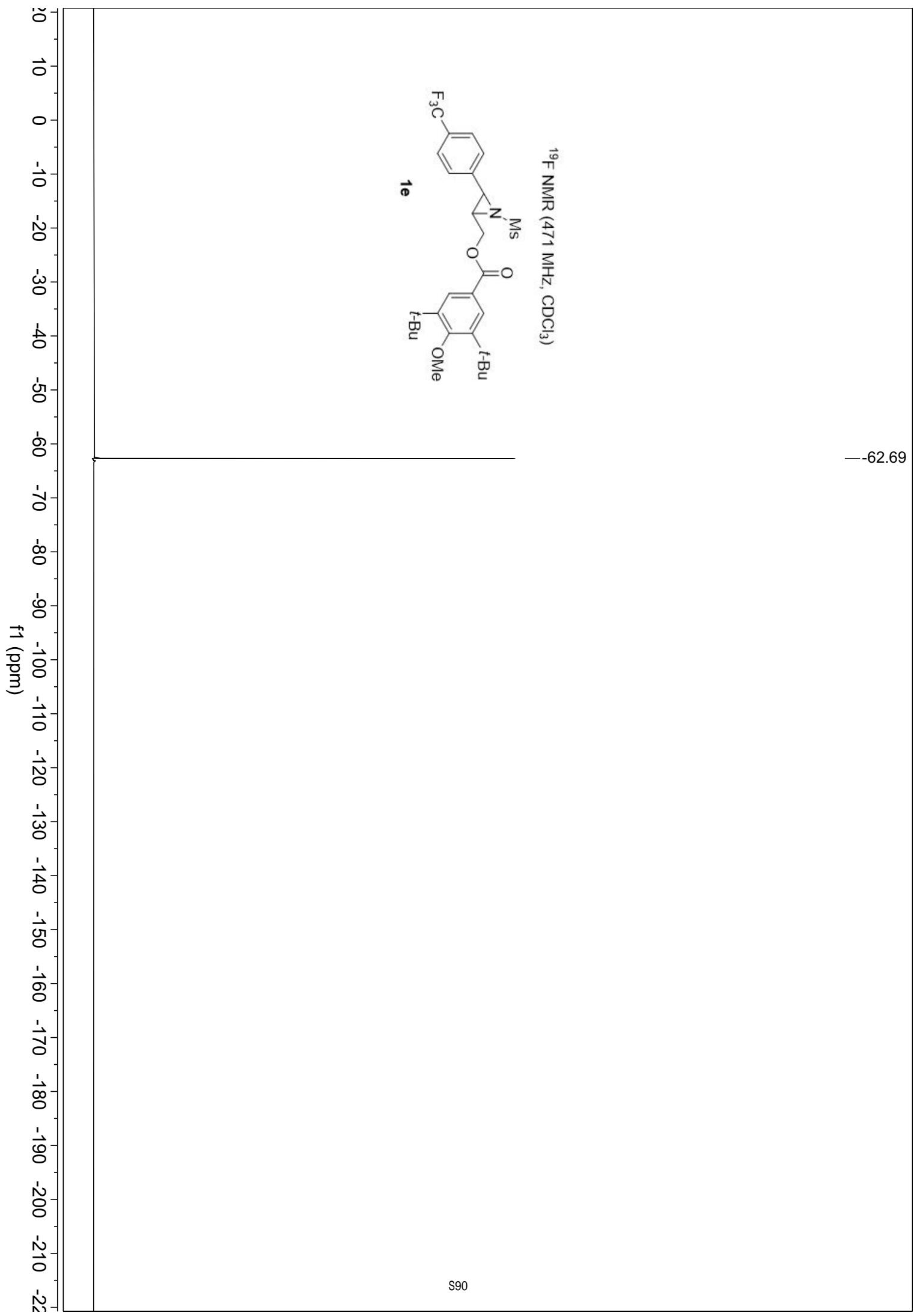


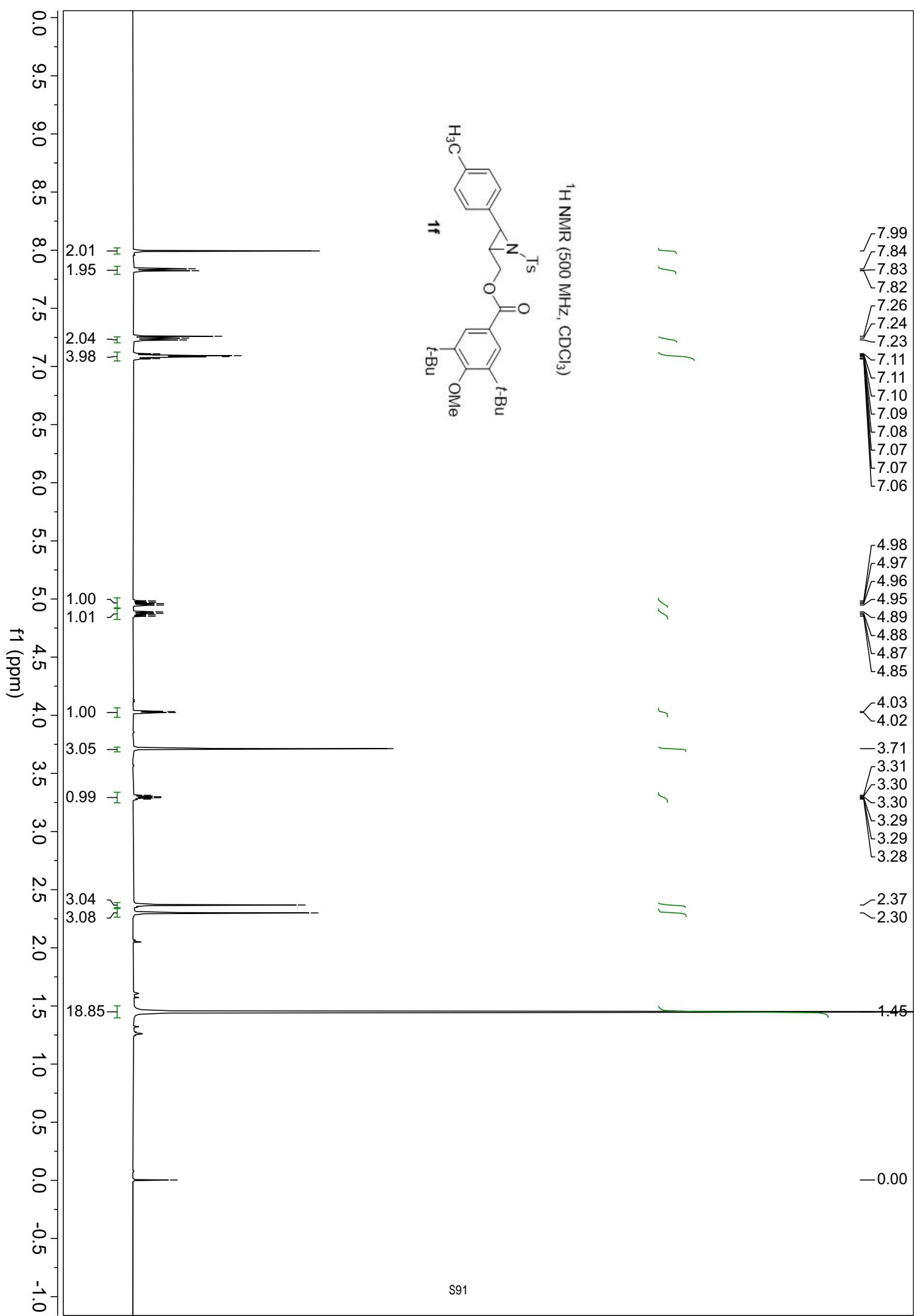


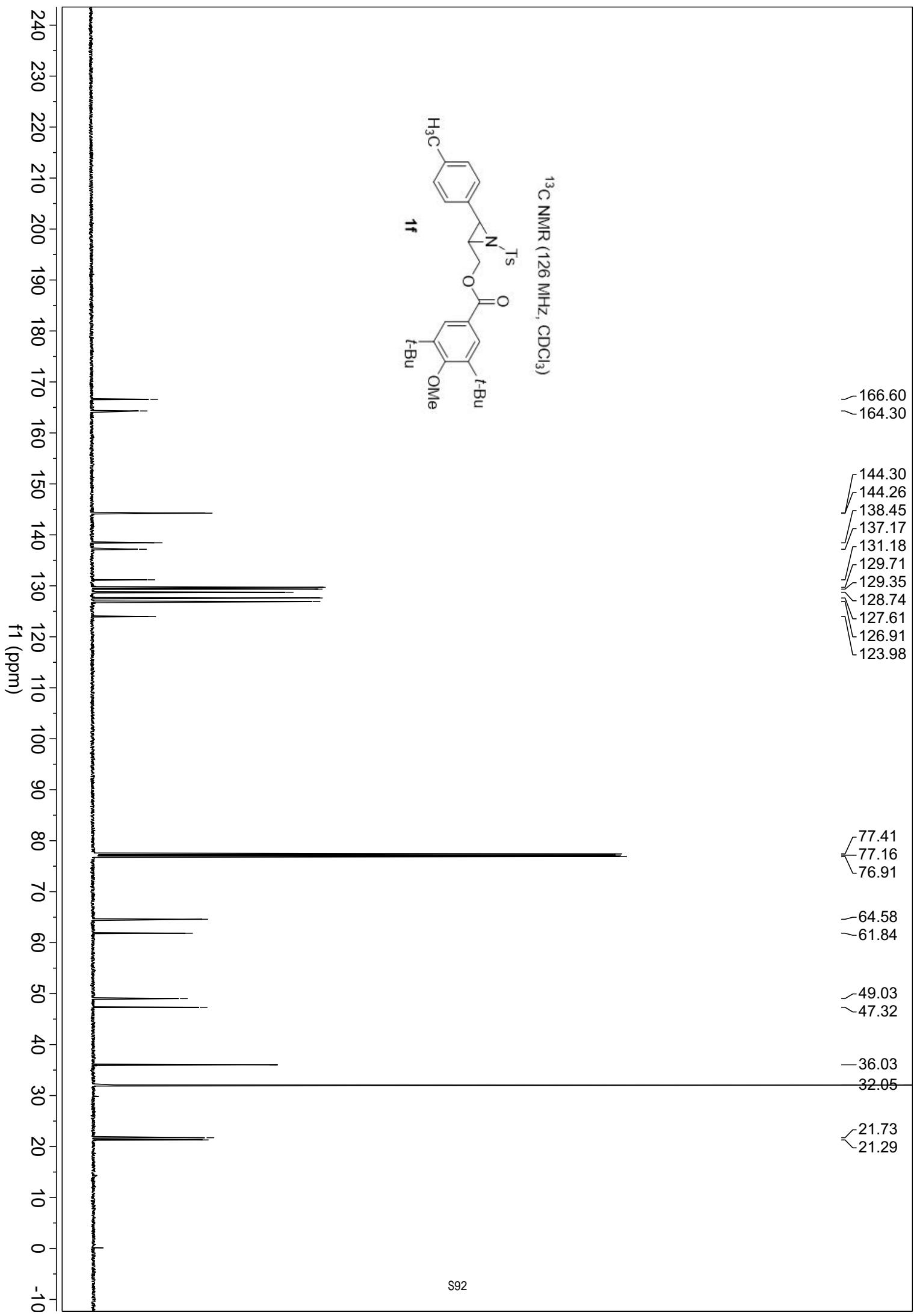


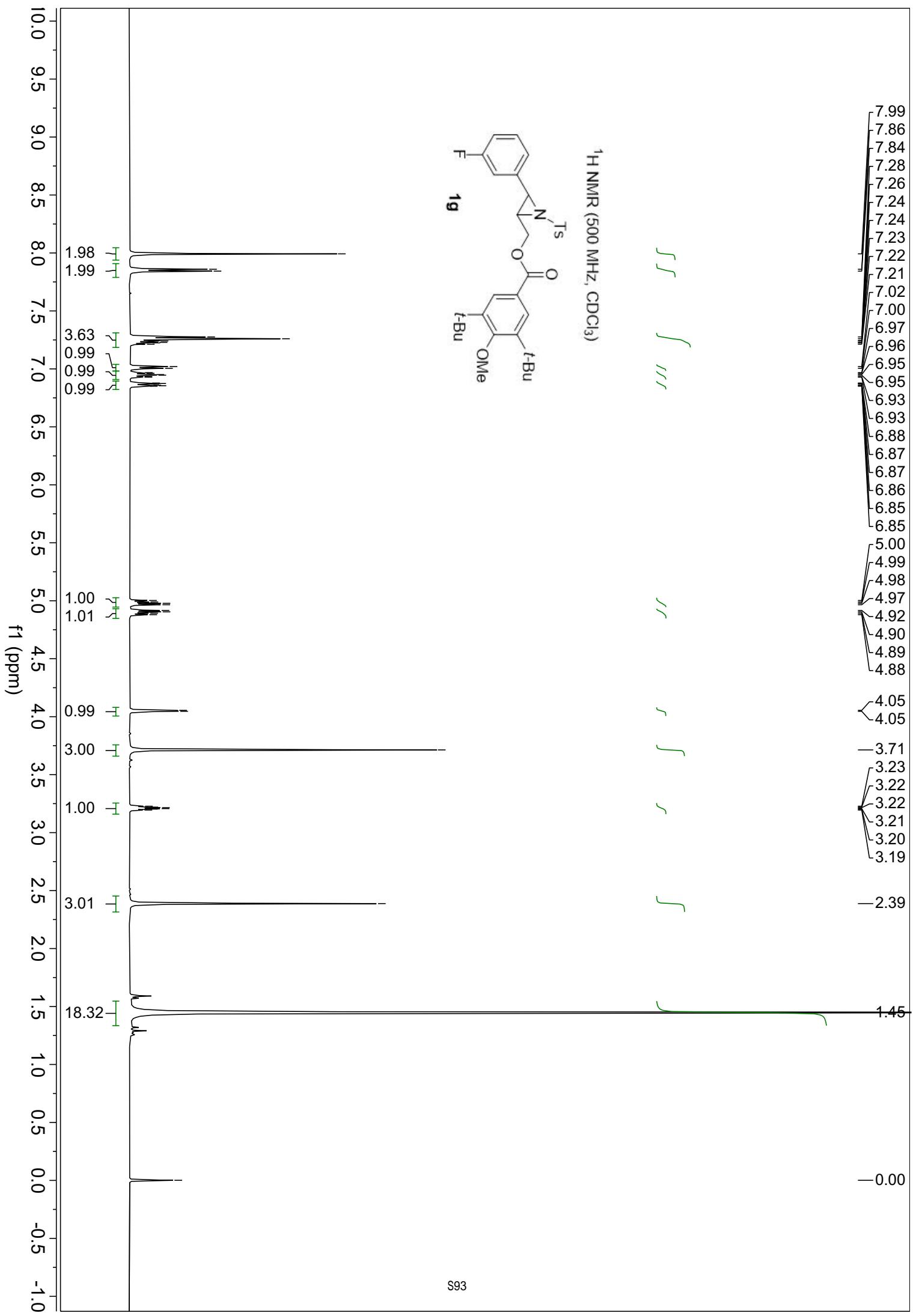


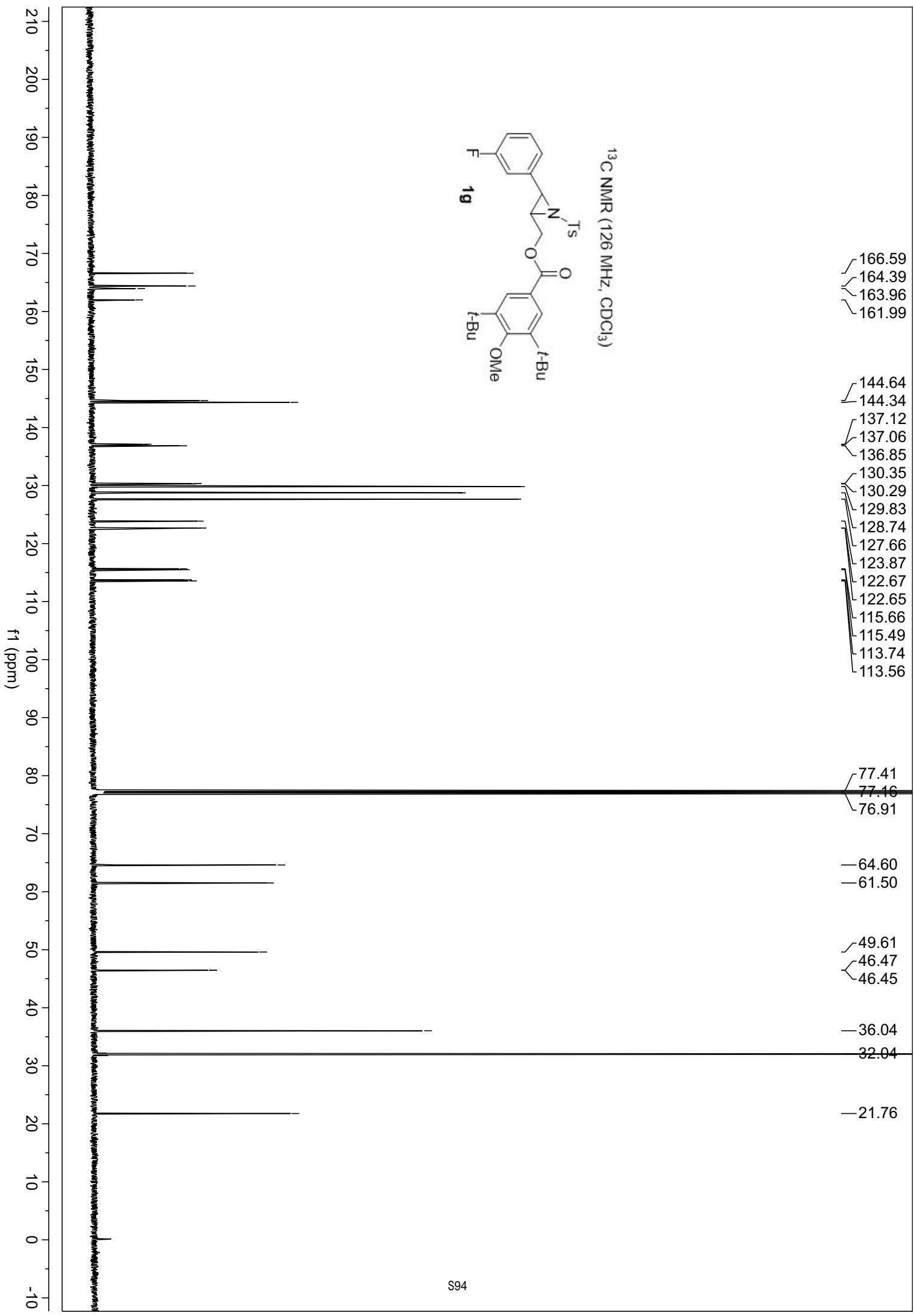


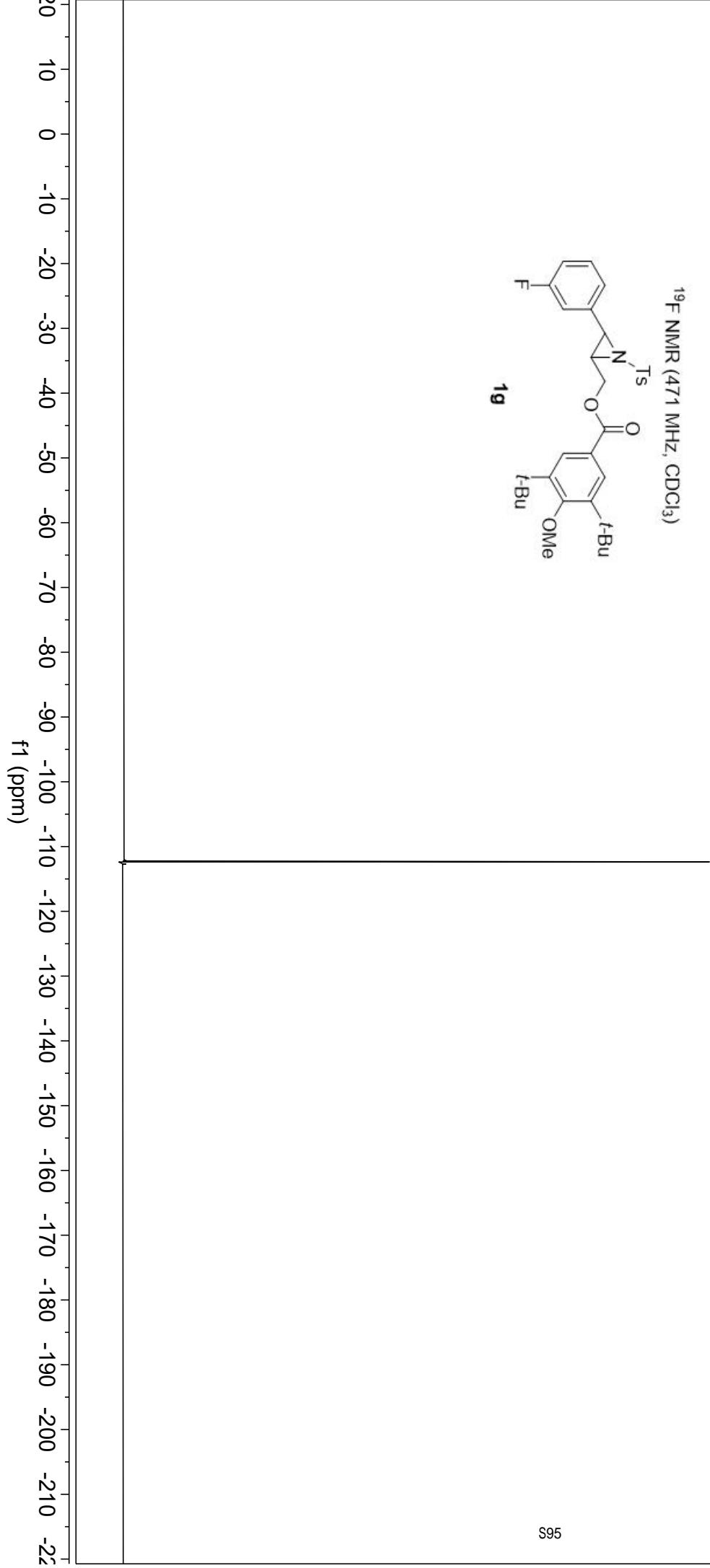


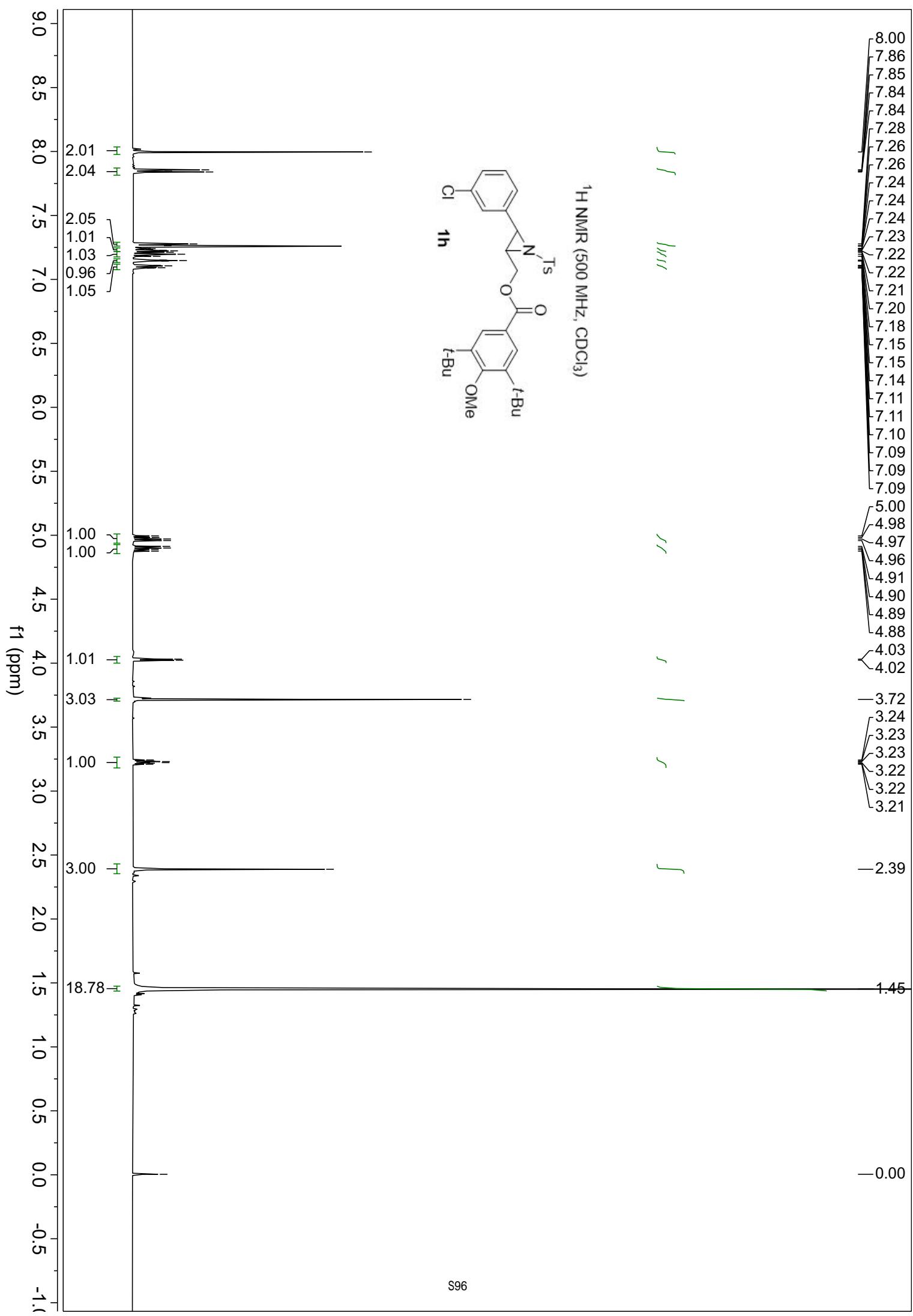


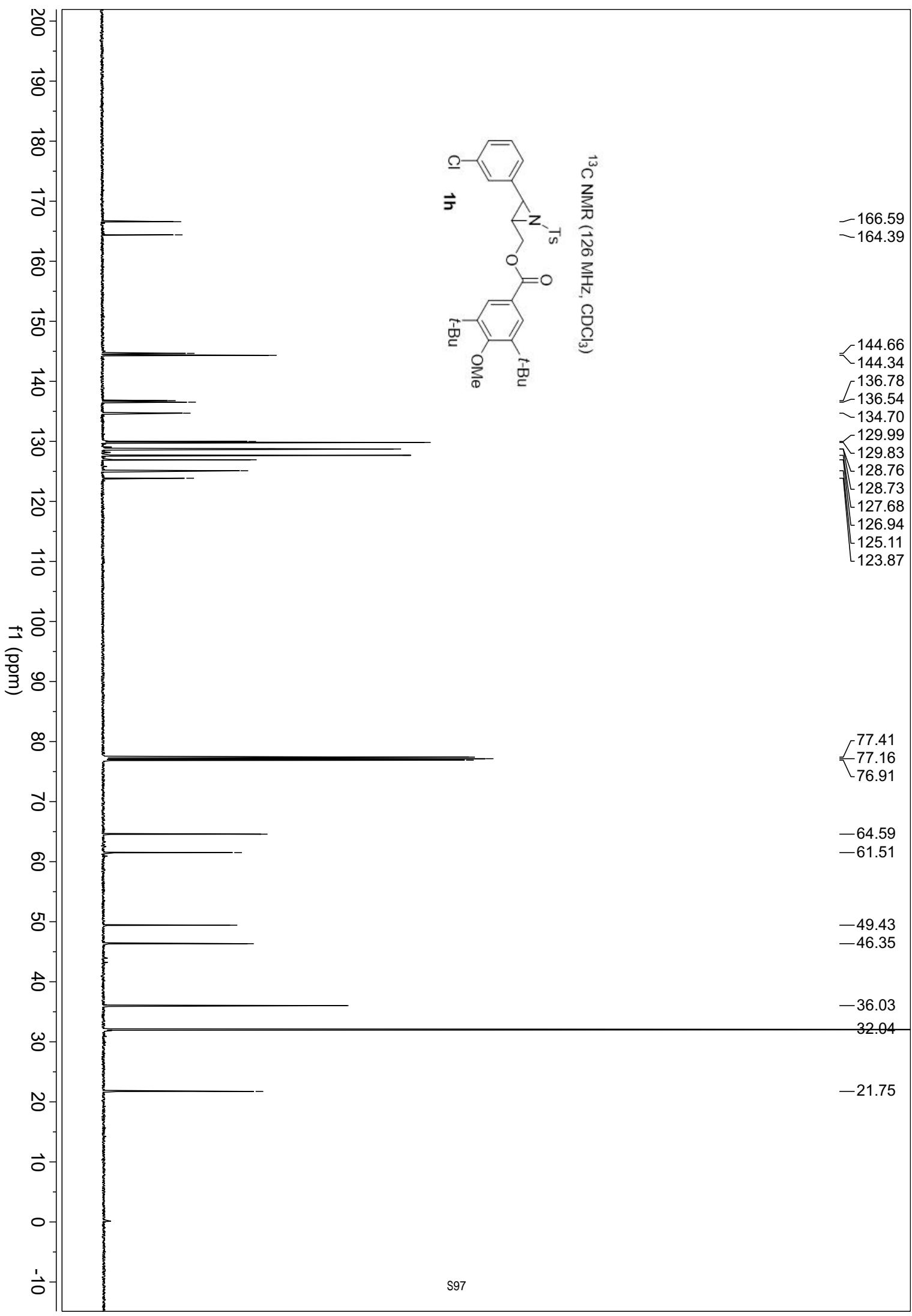


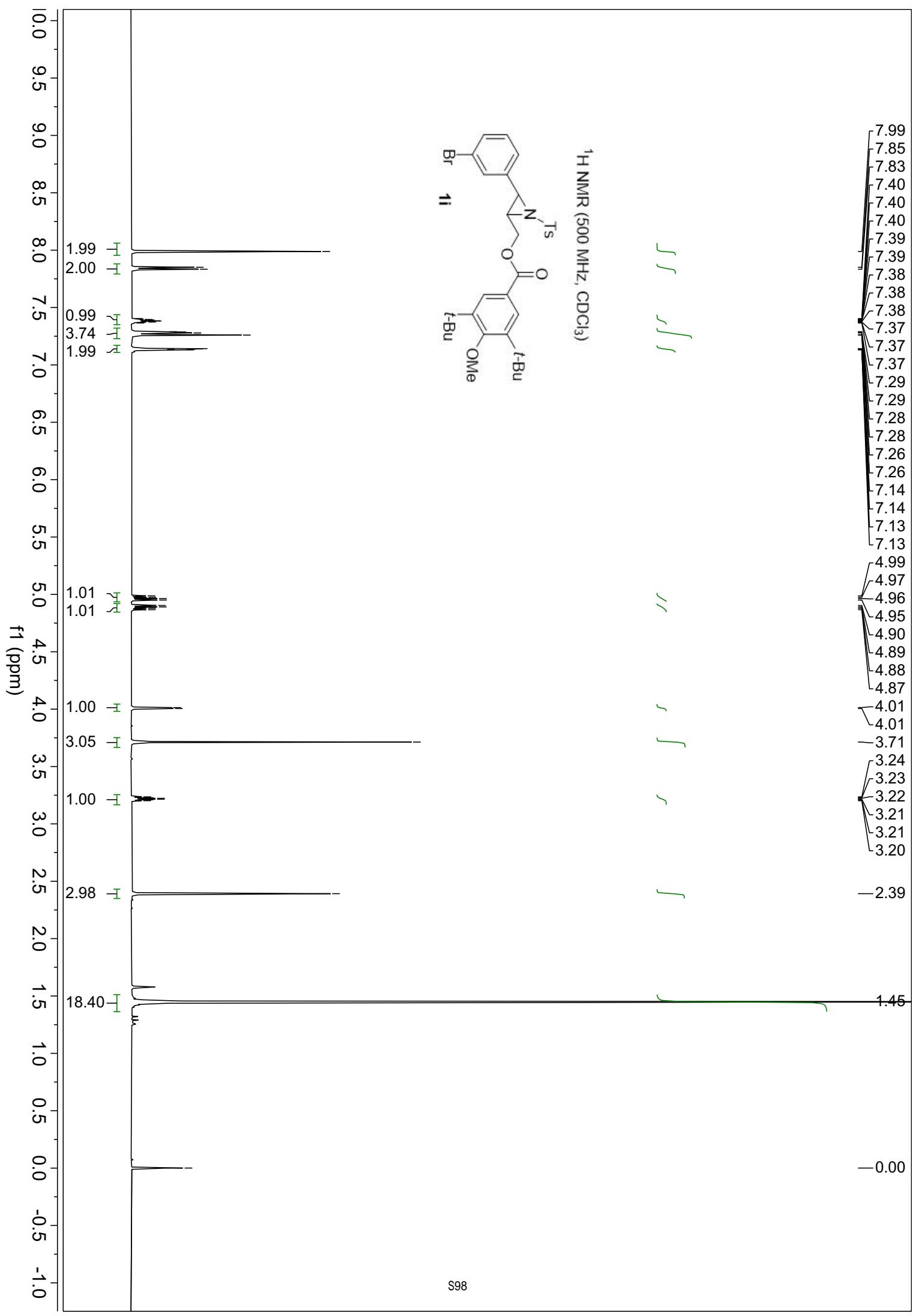


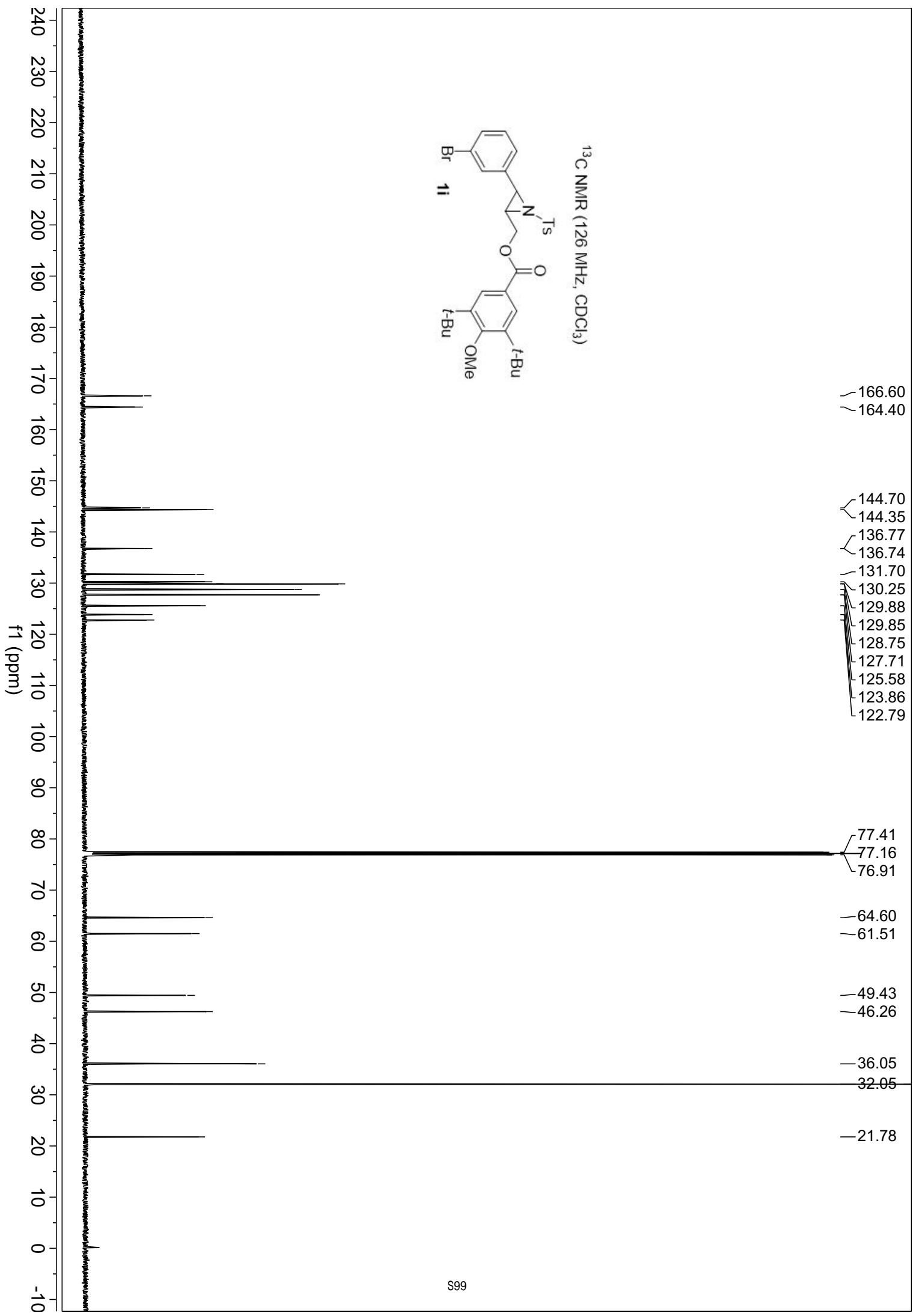


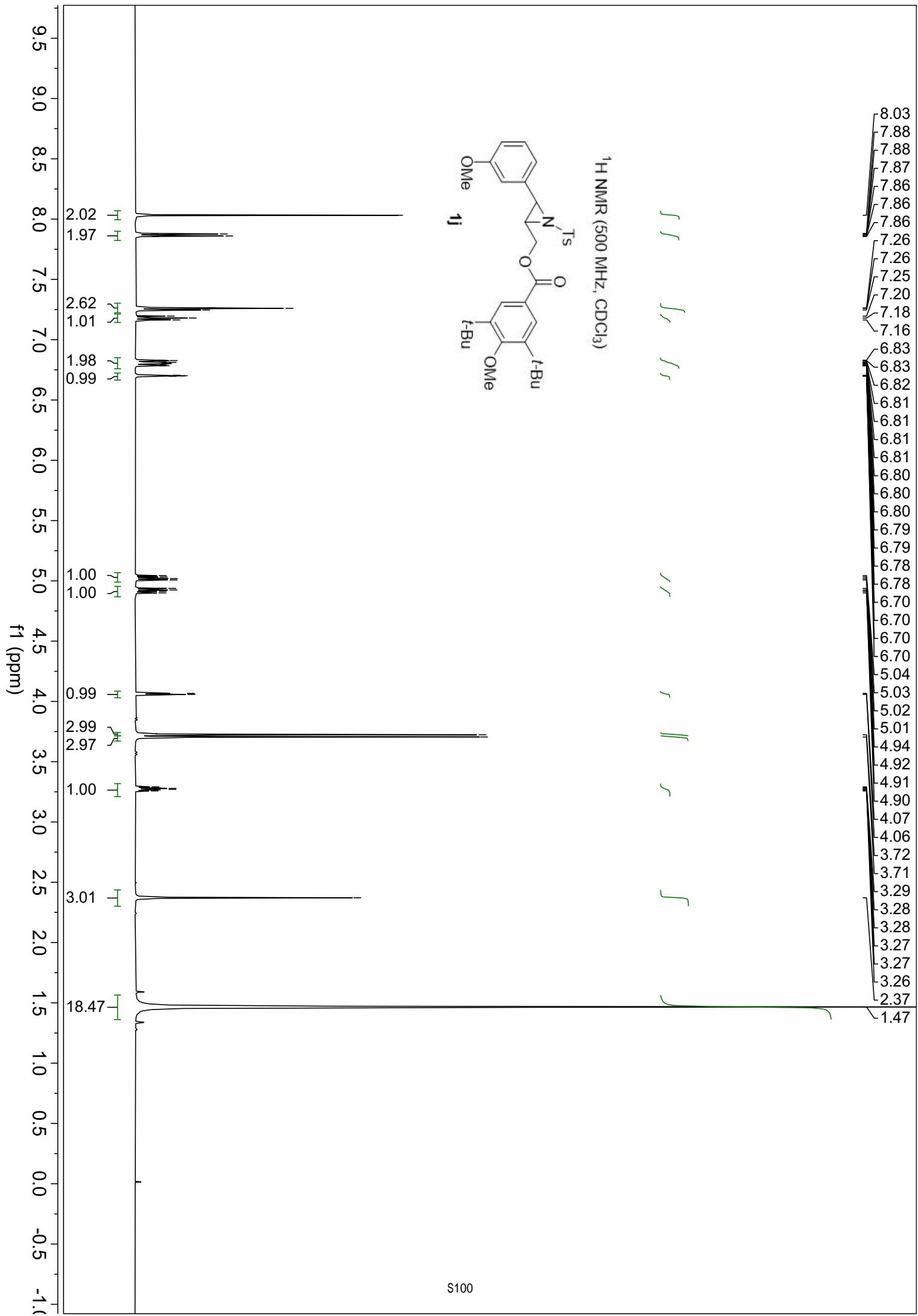




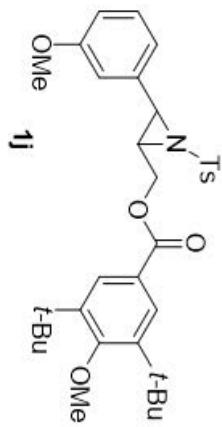




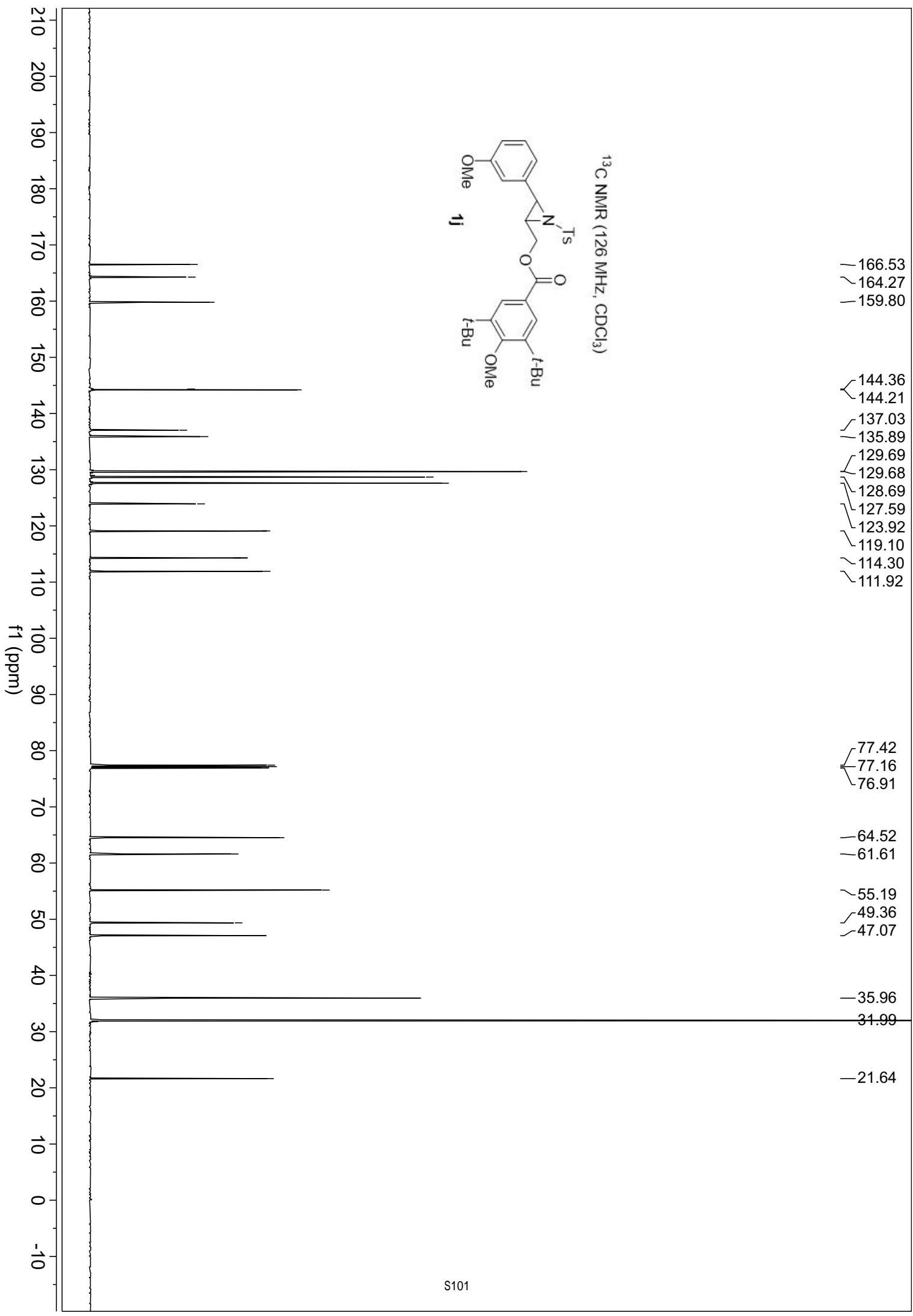


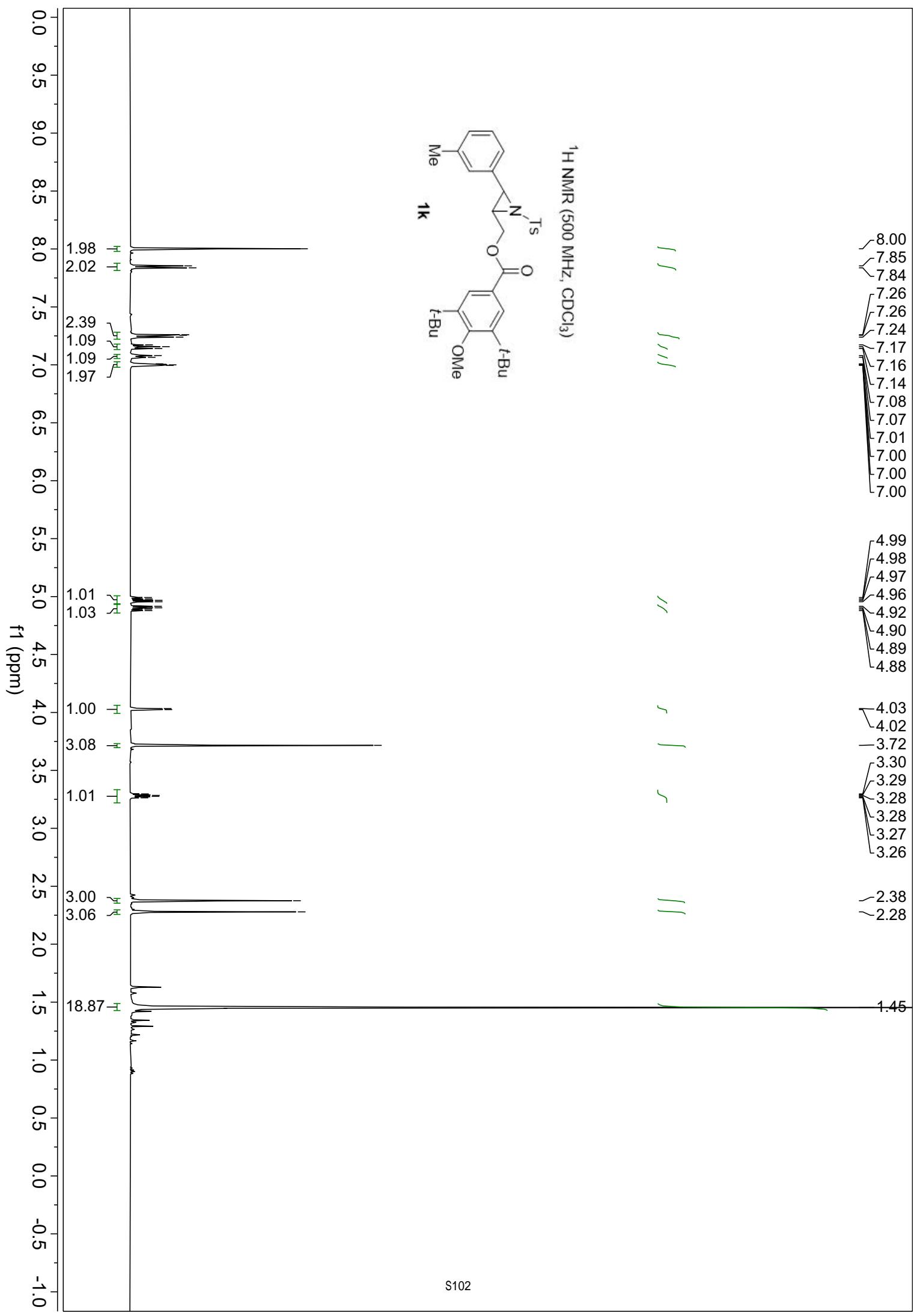


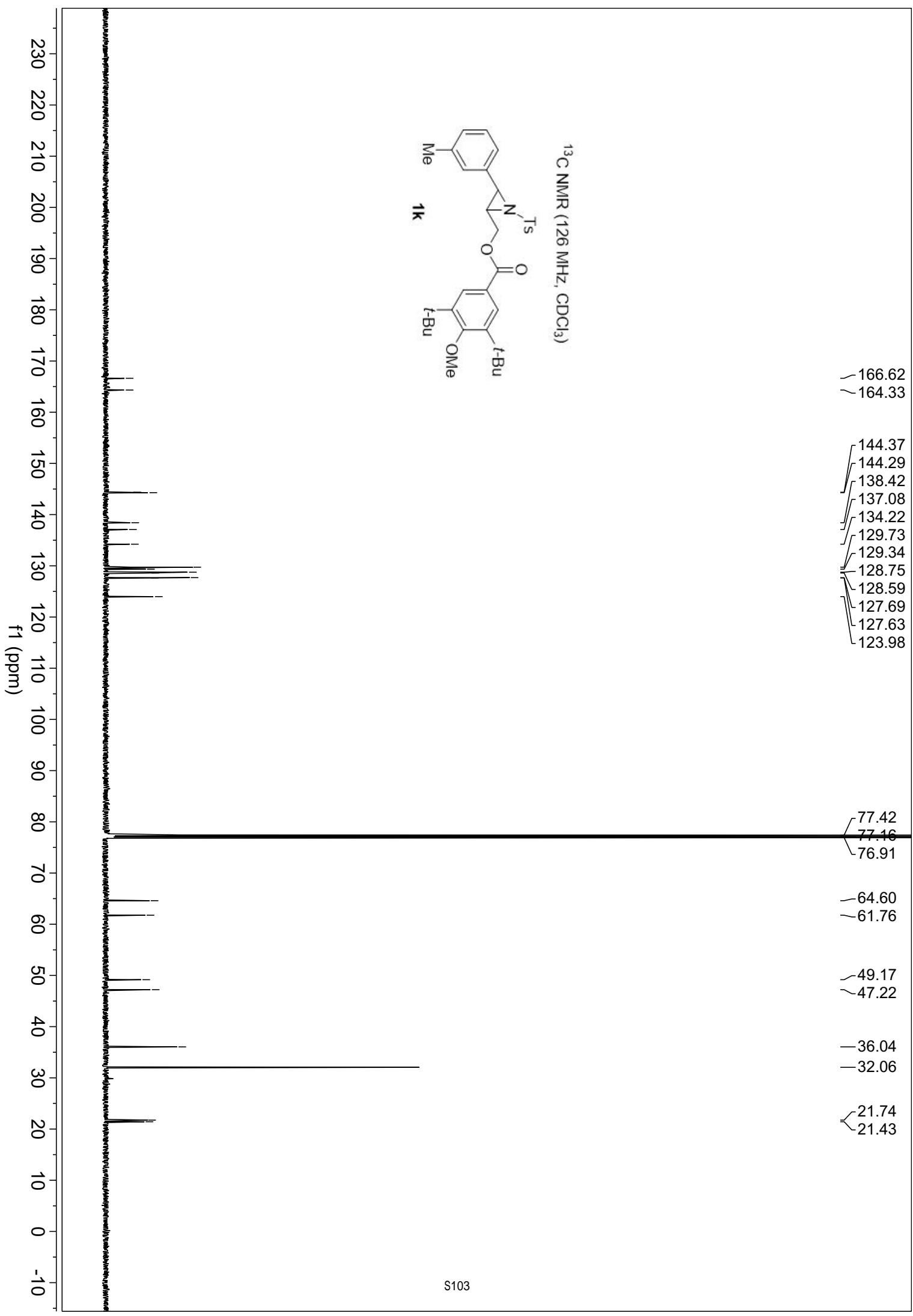
¹H NMR (500 MHz, CDCl₃)

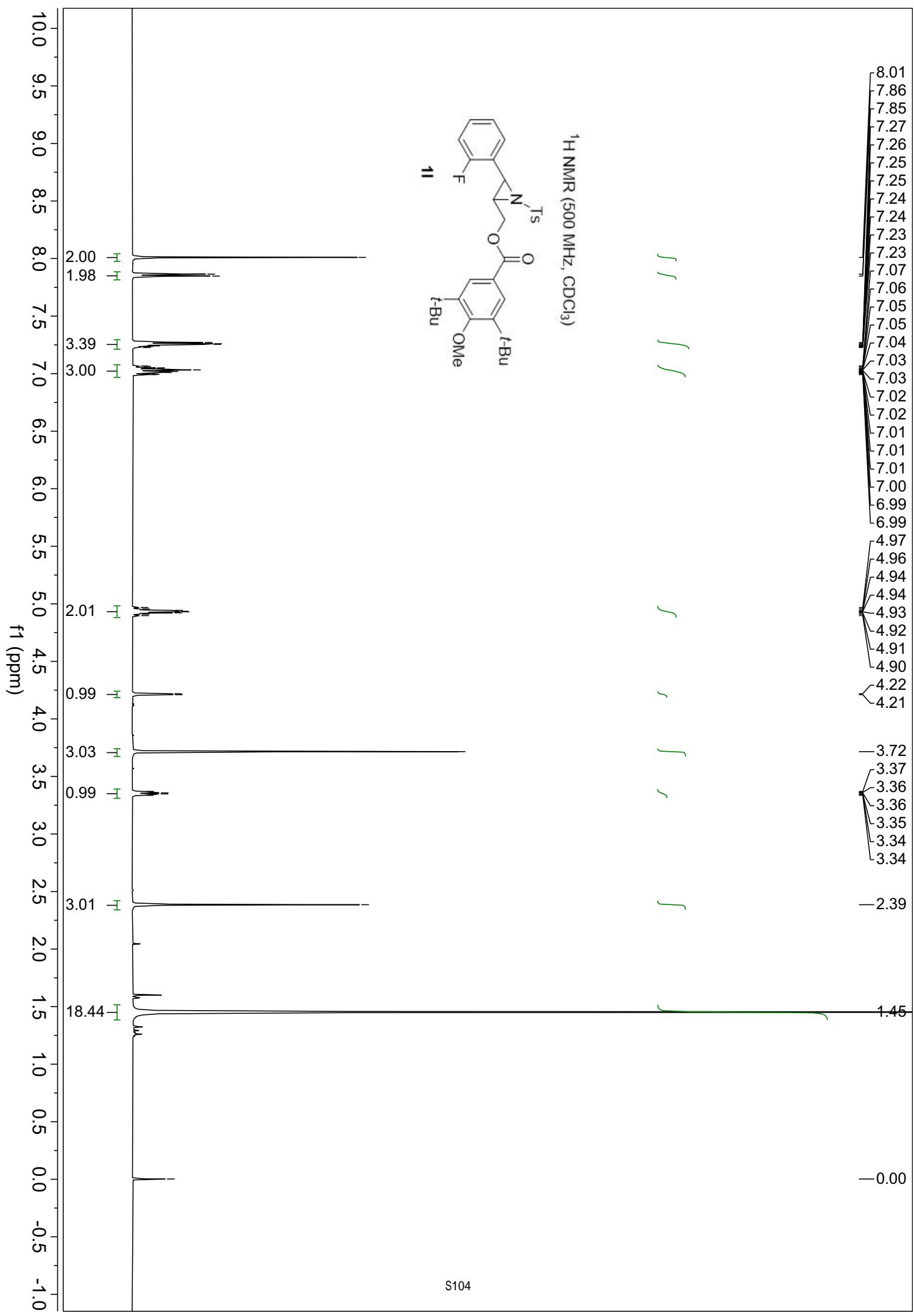


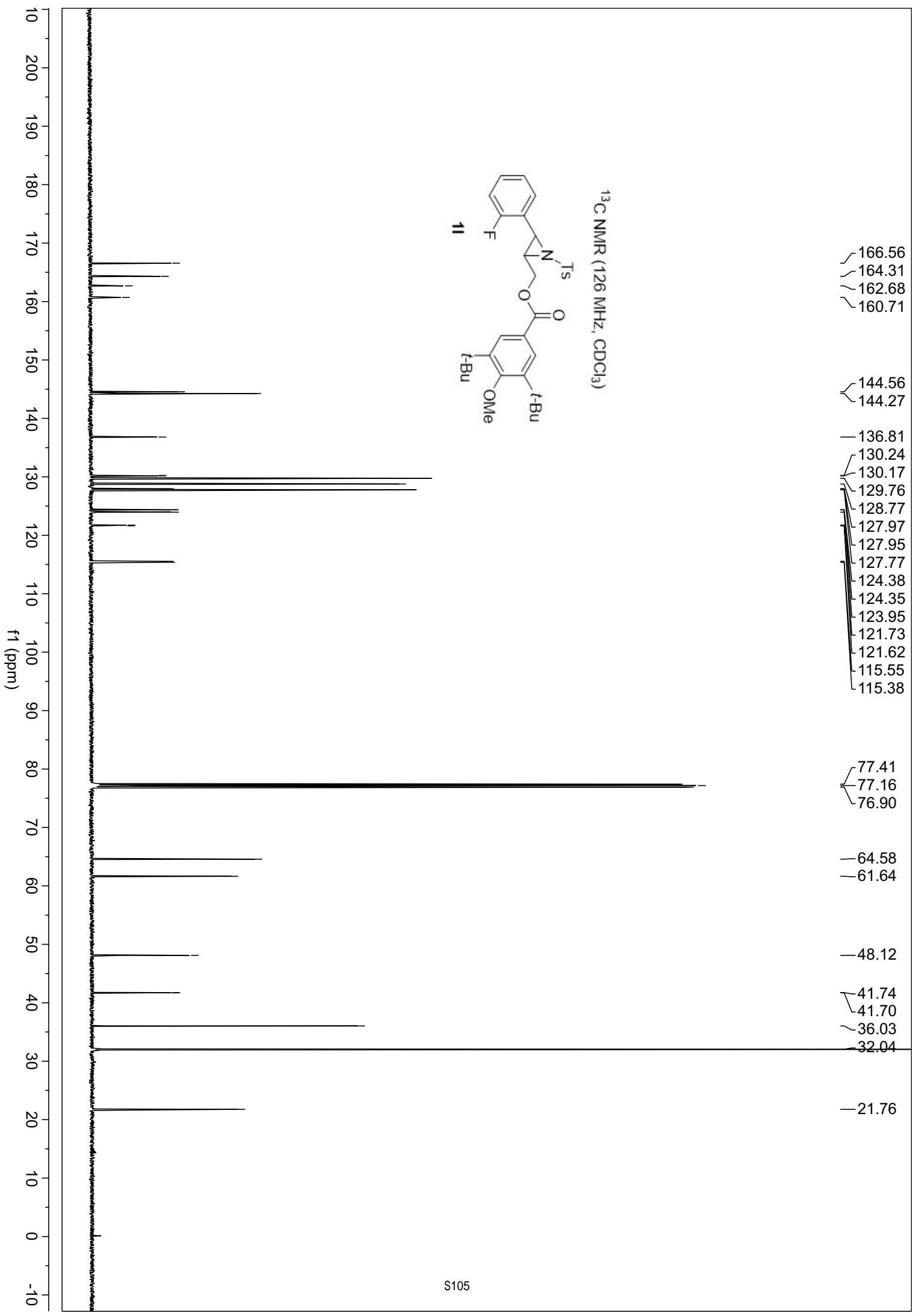
S100

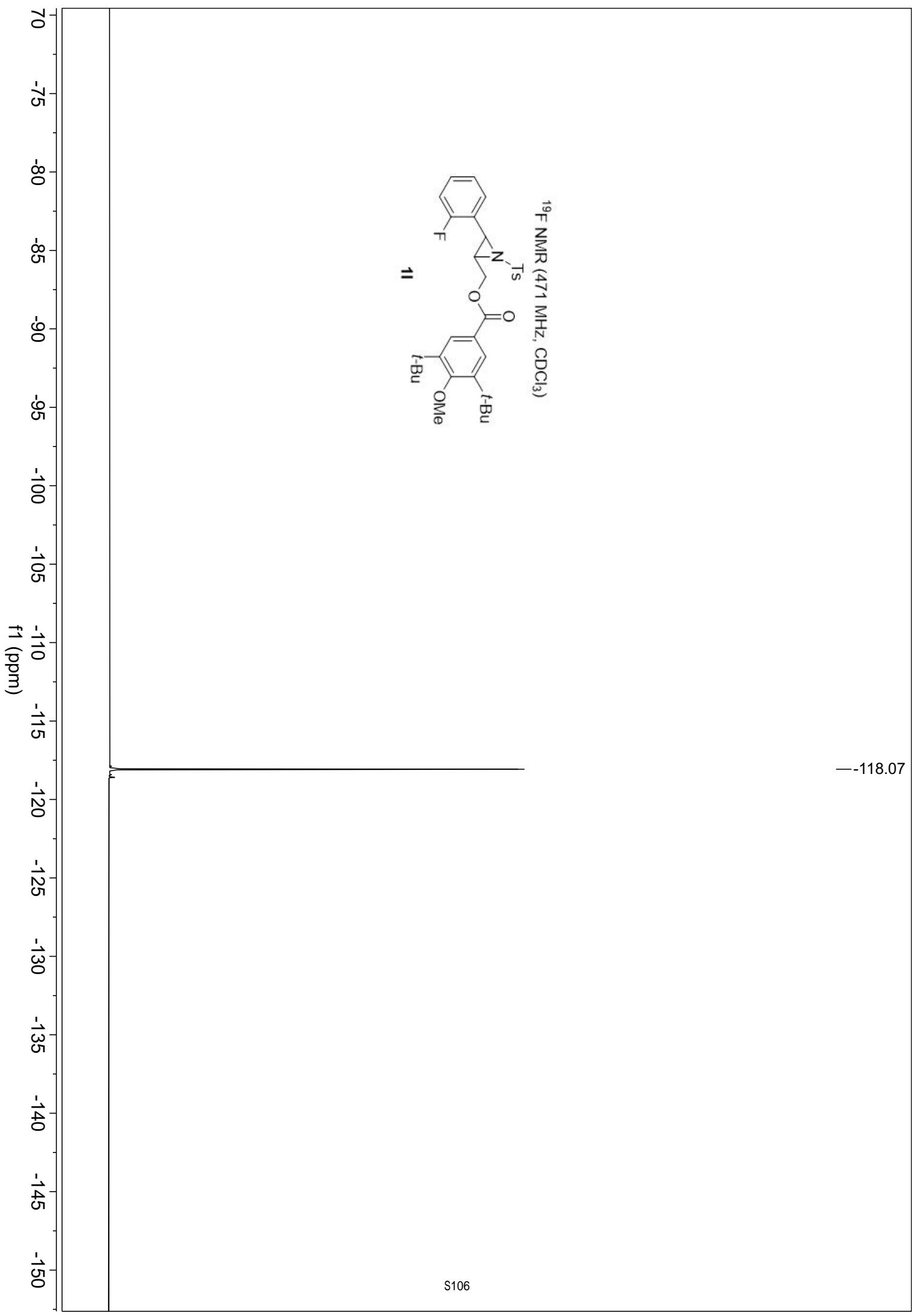


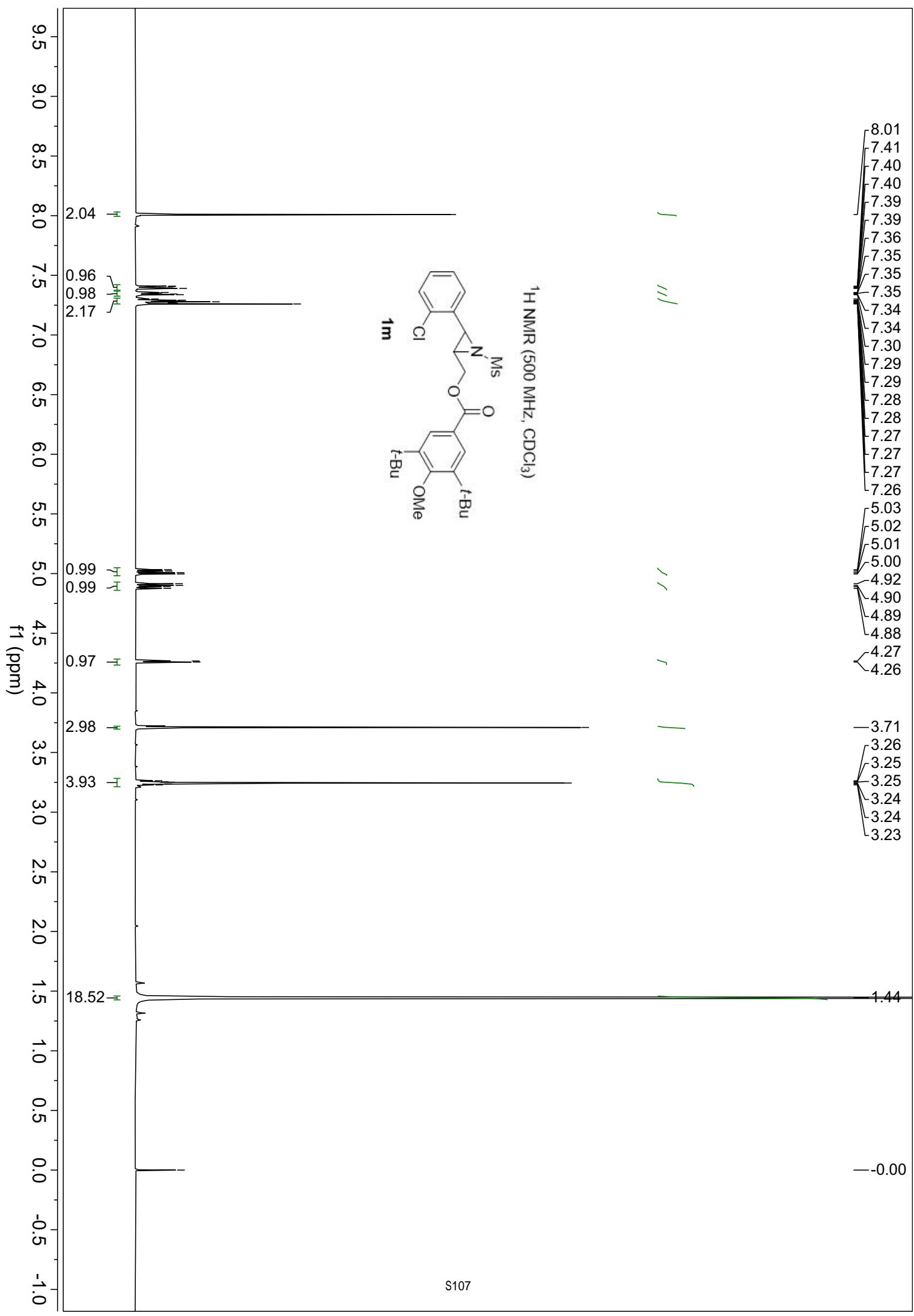


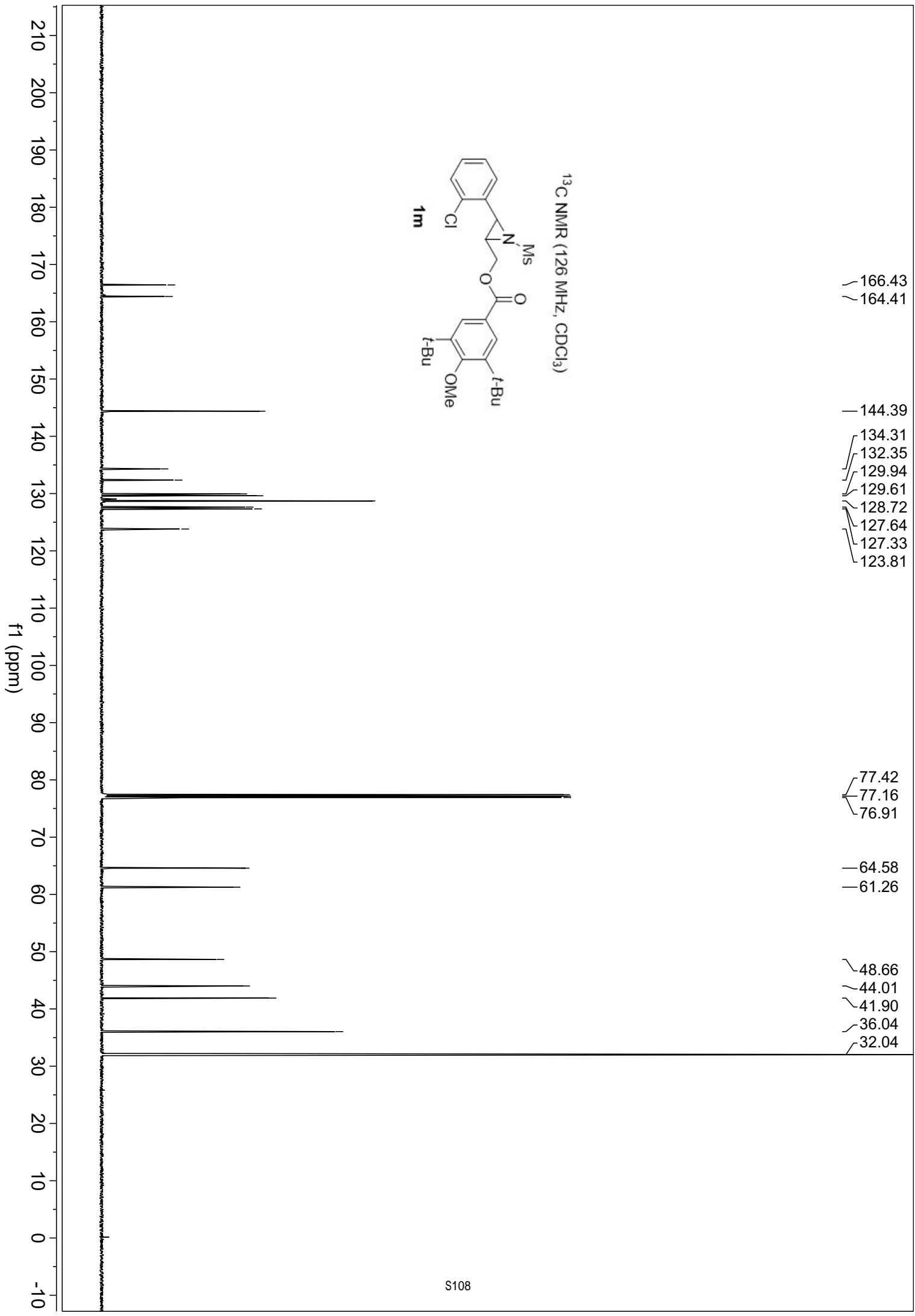


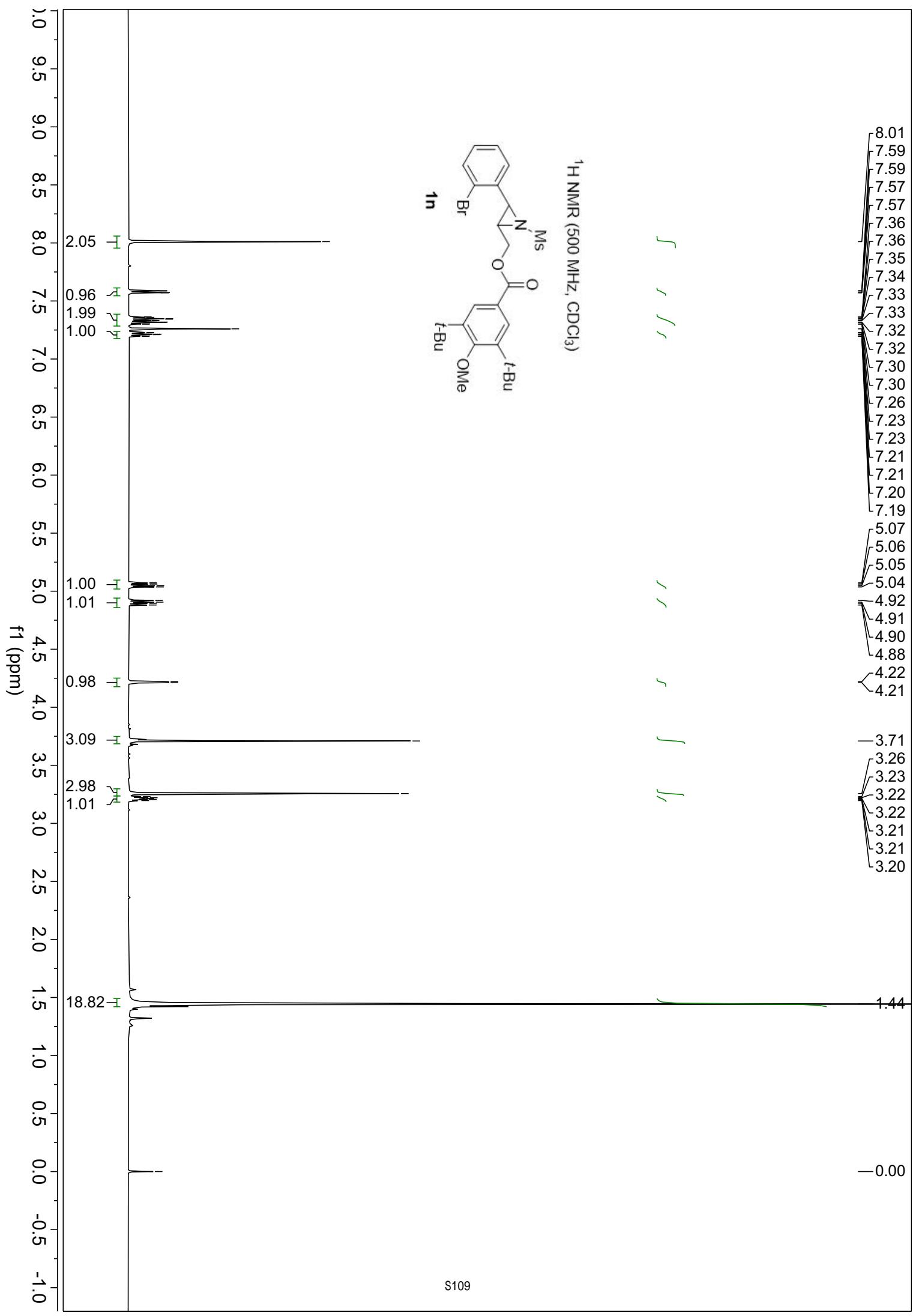


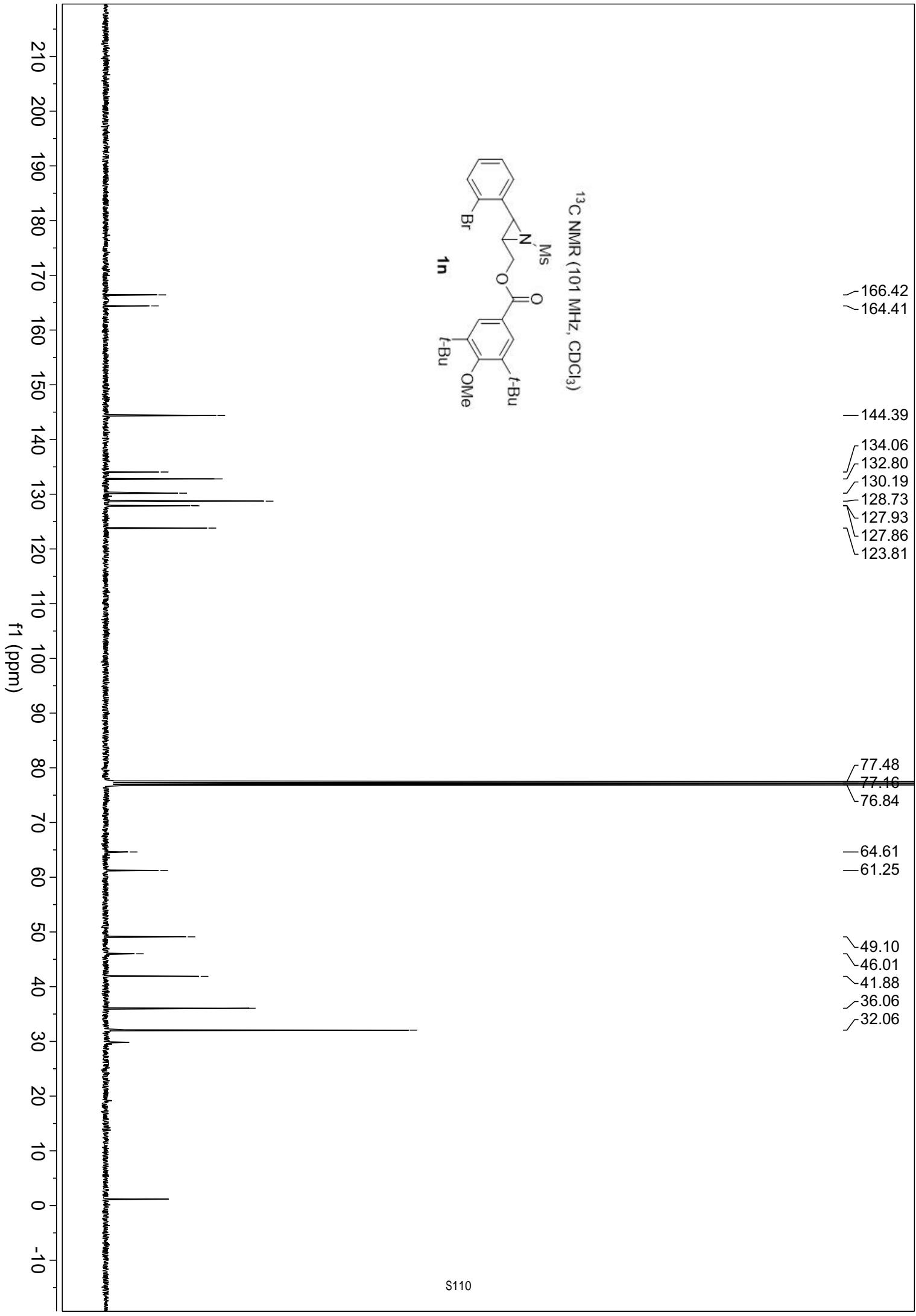


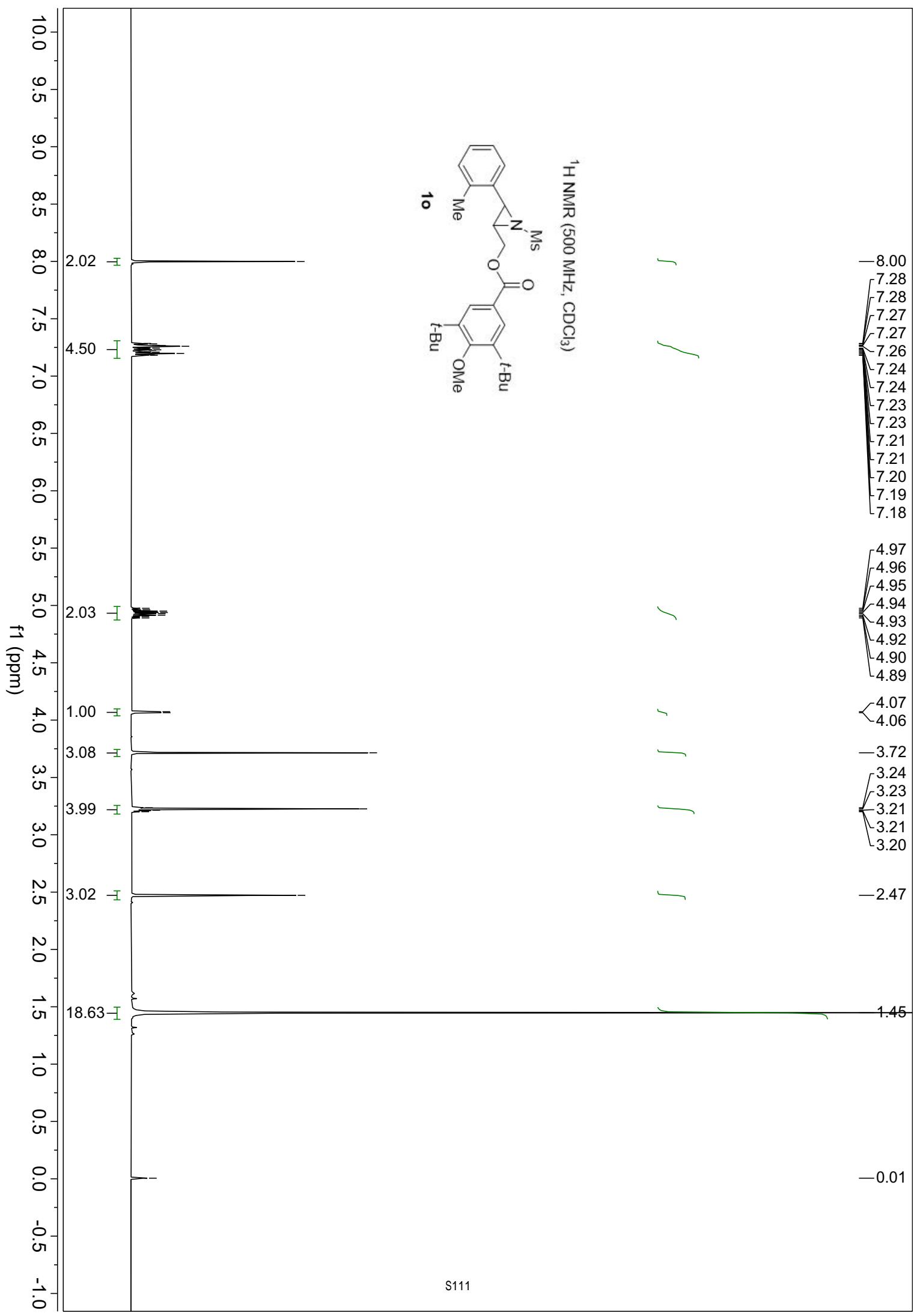


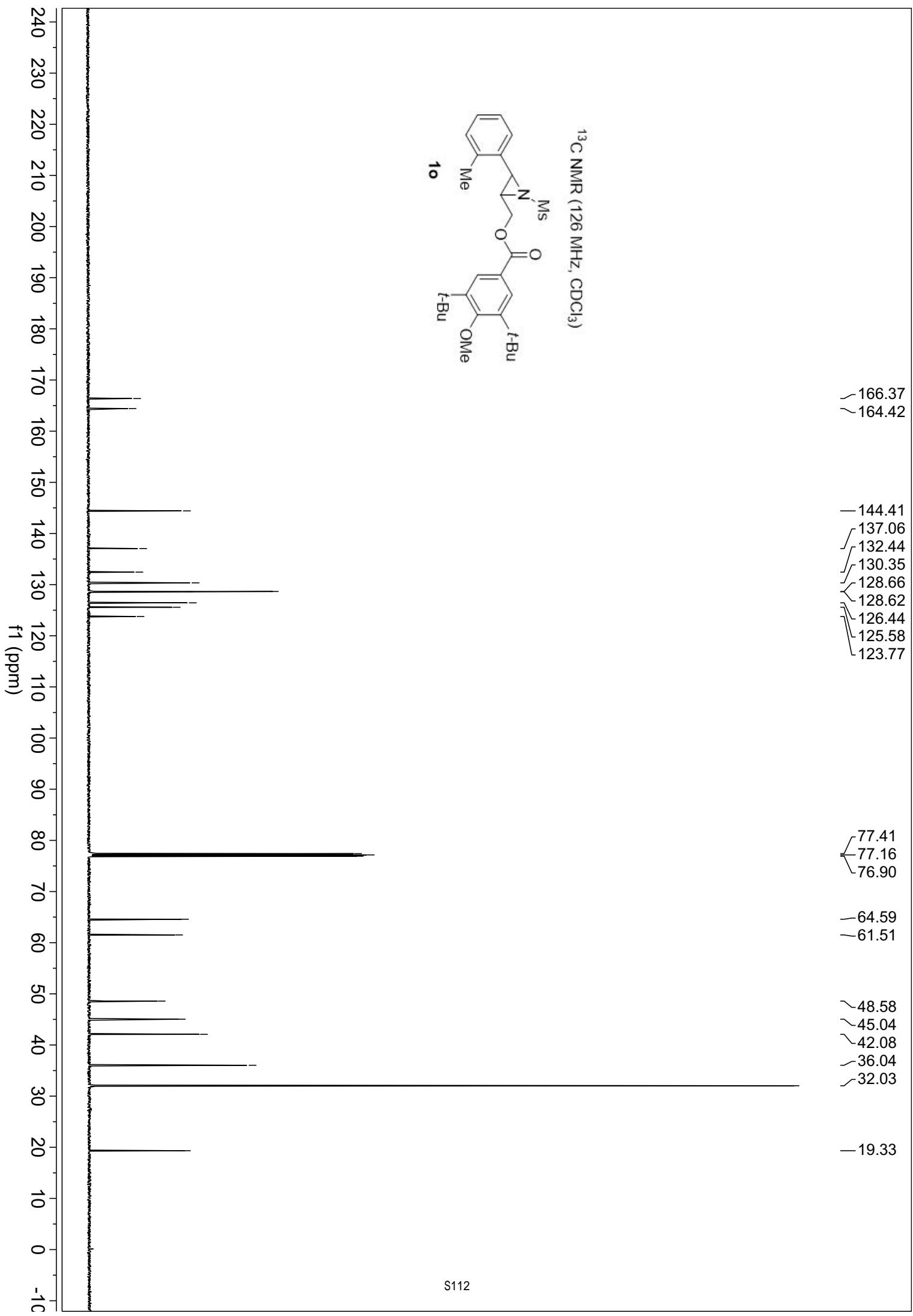


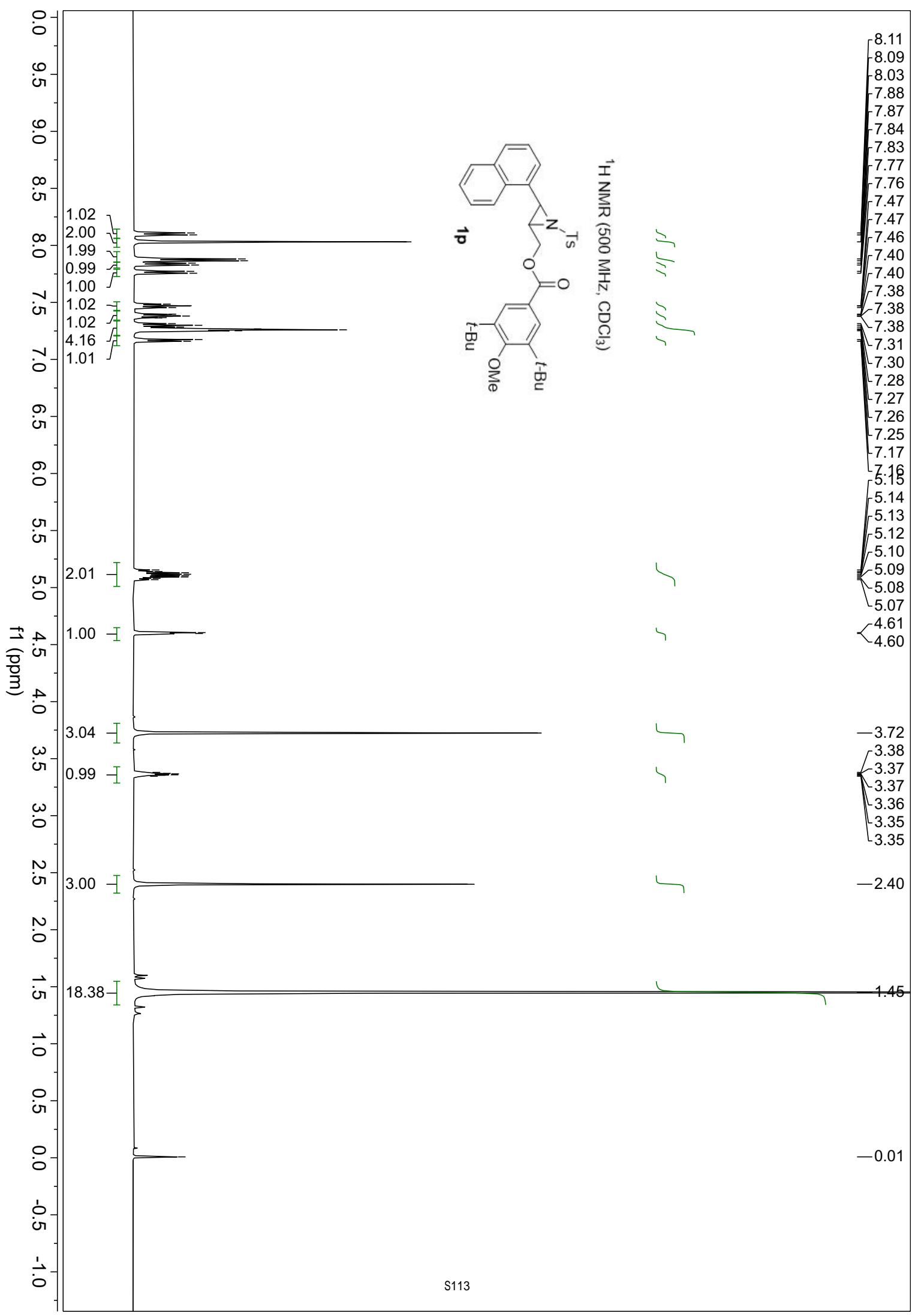


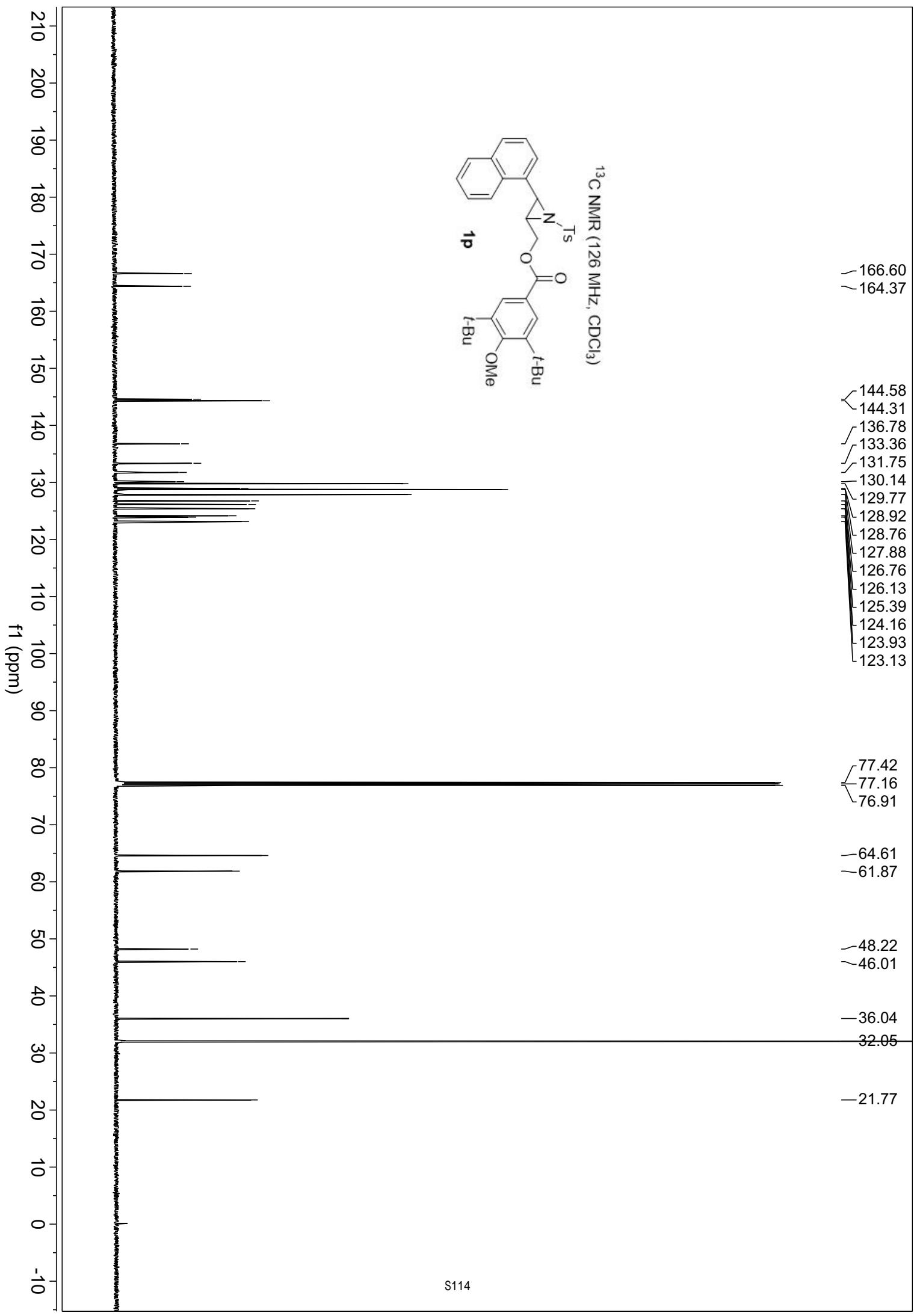


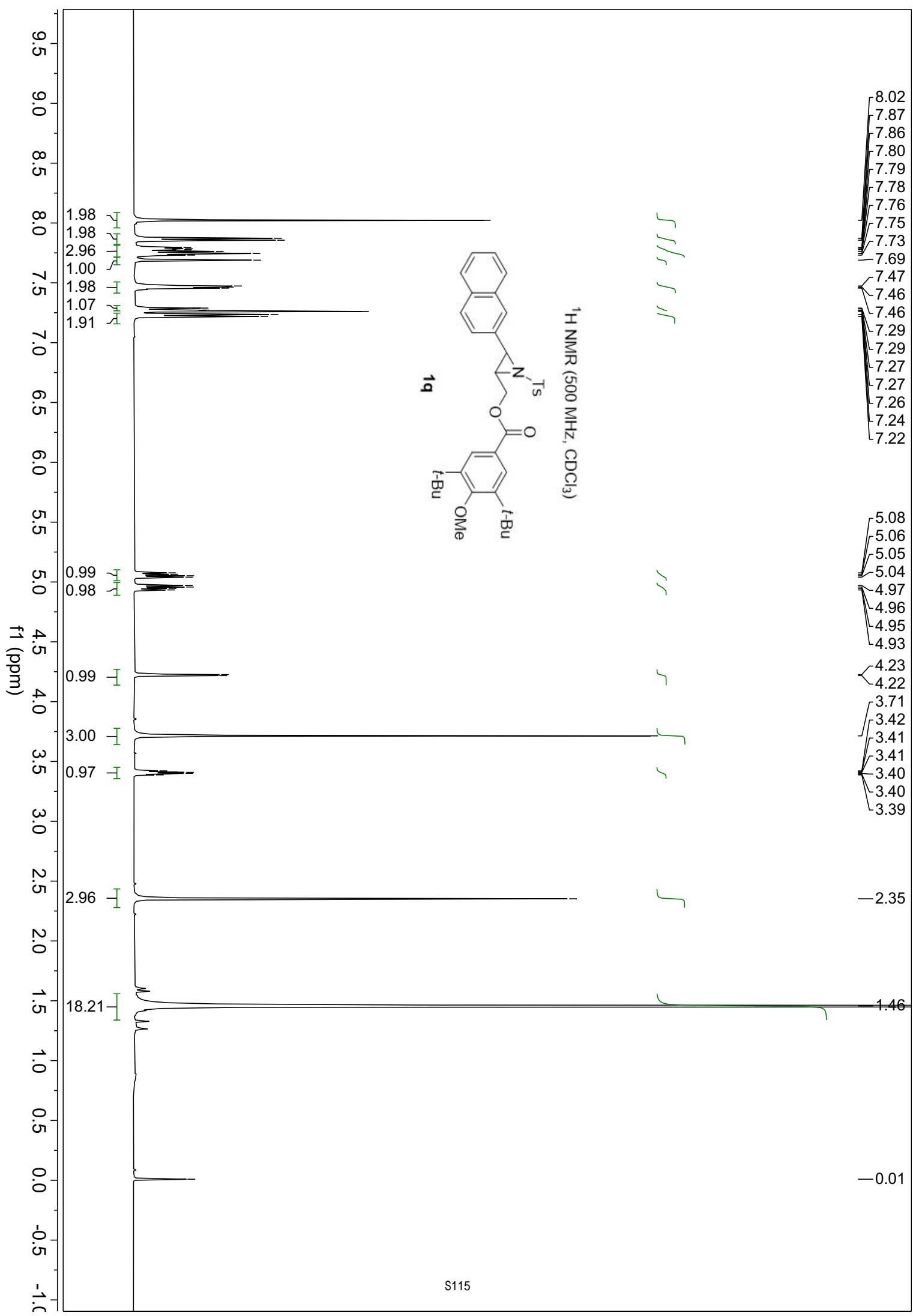


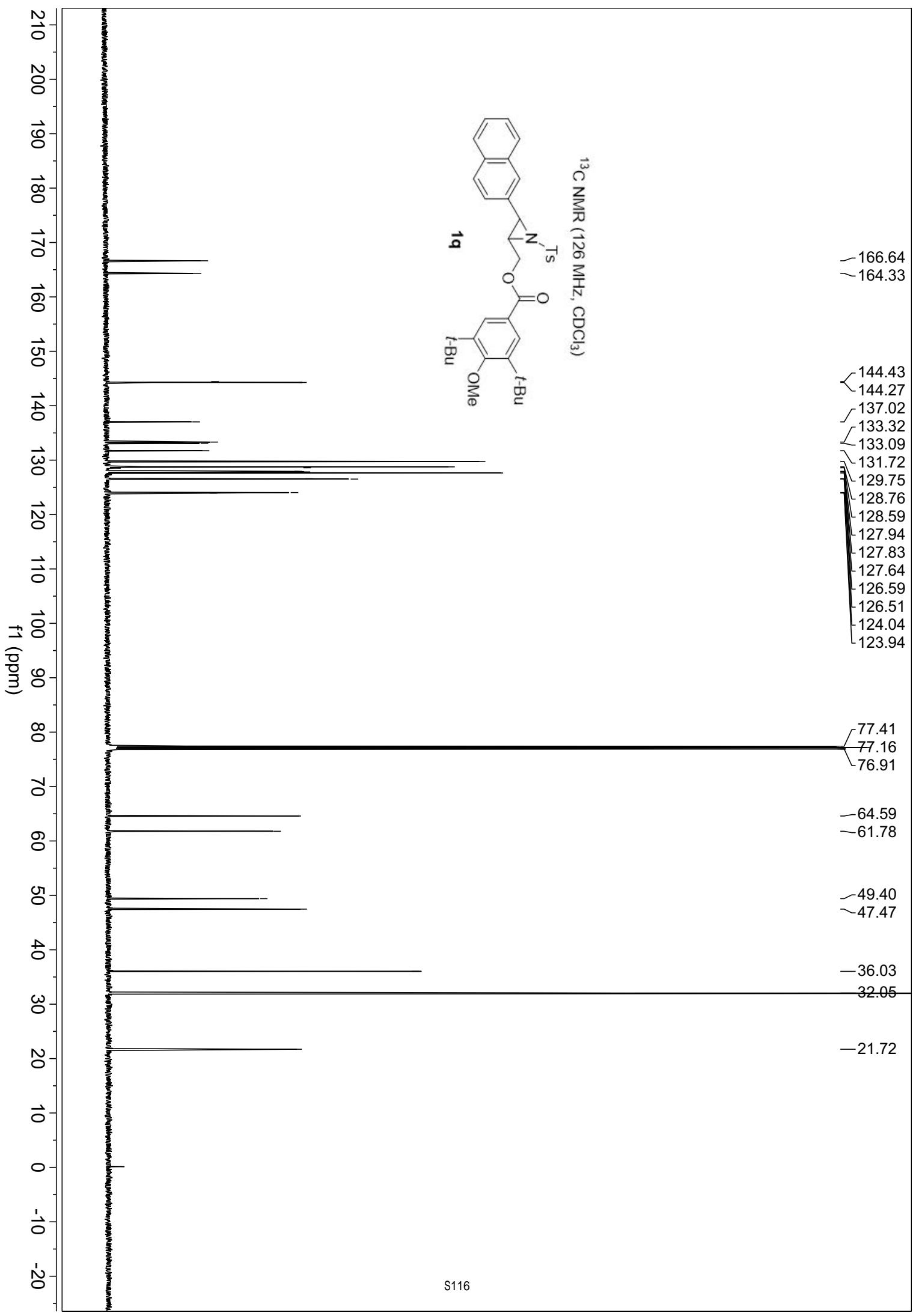


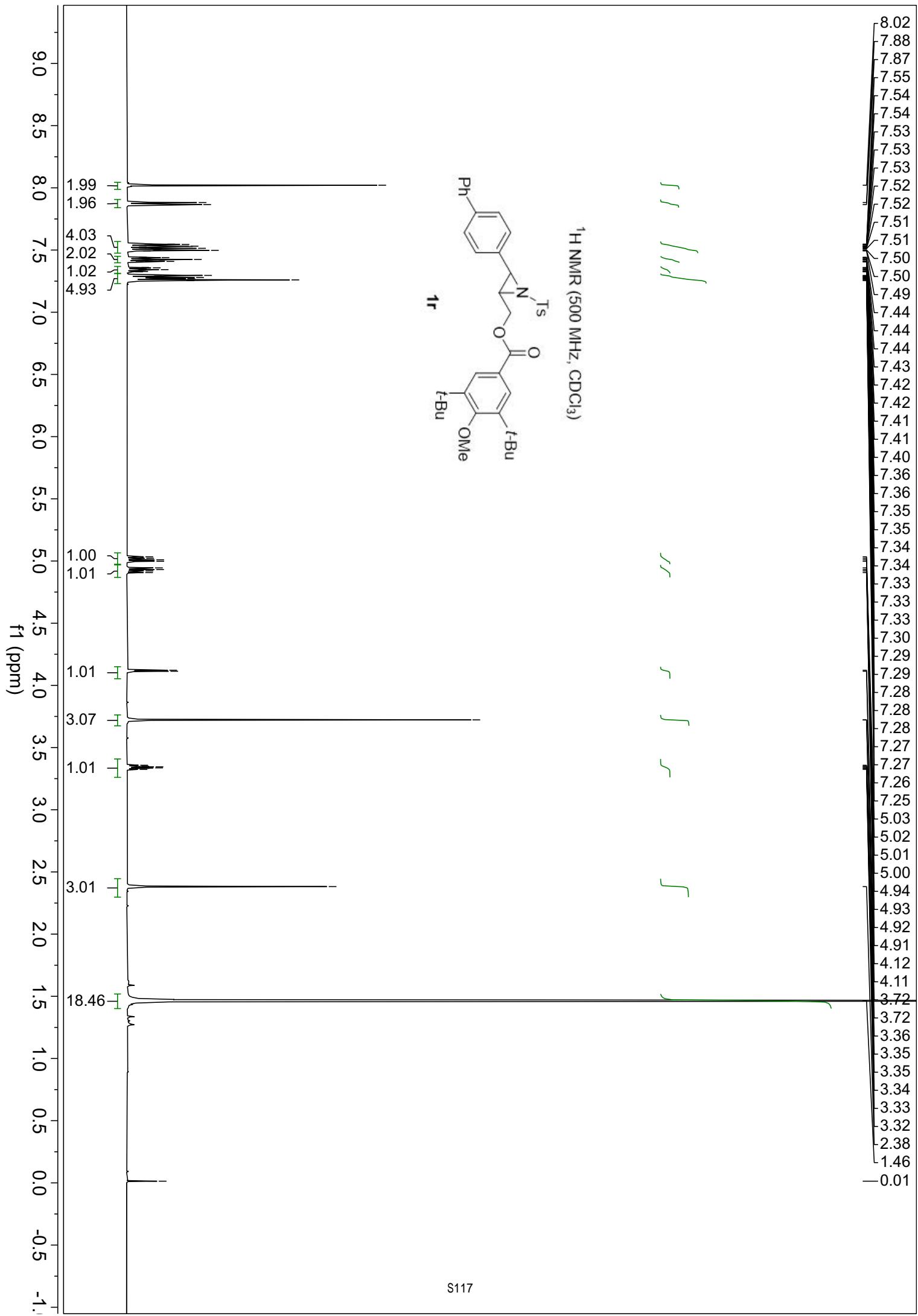


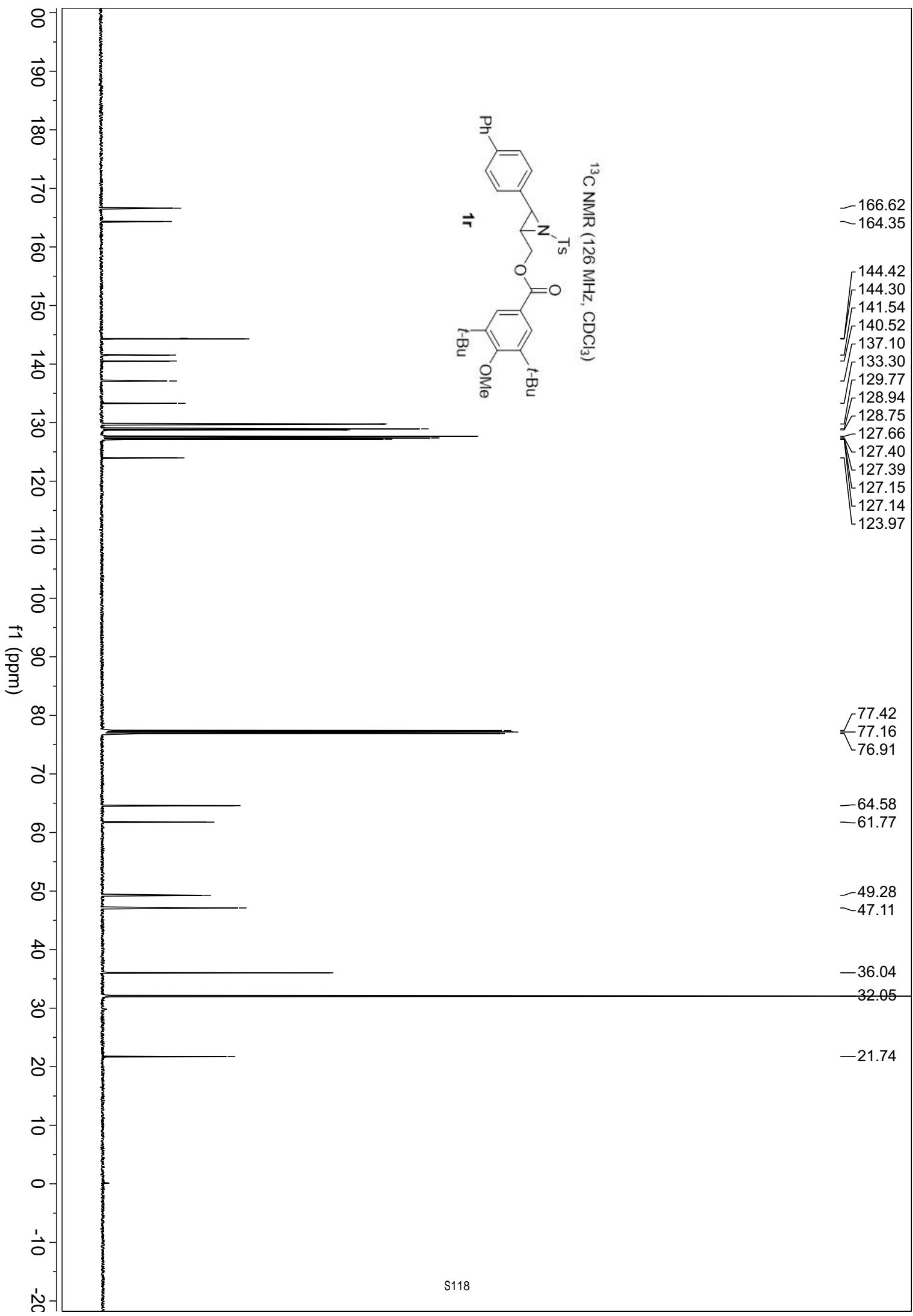


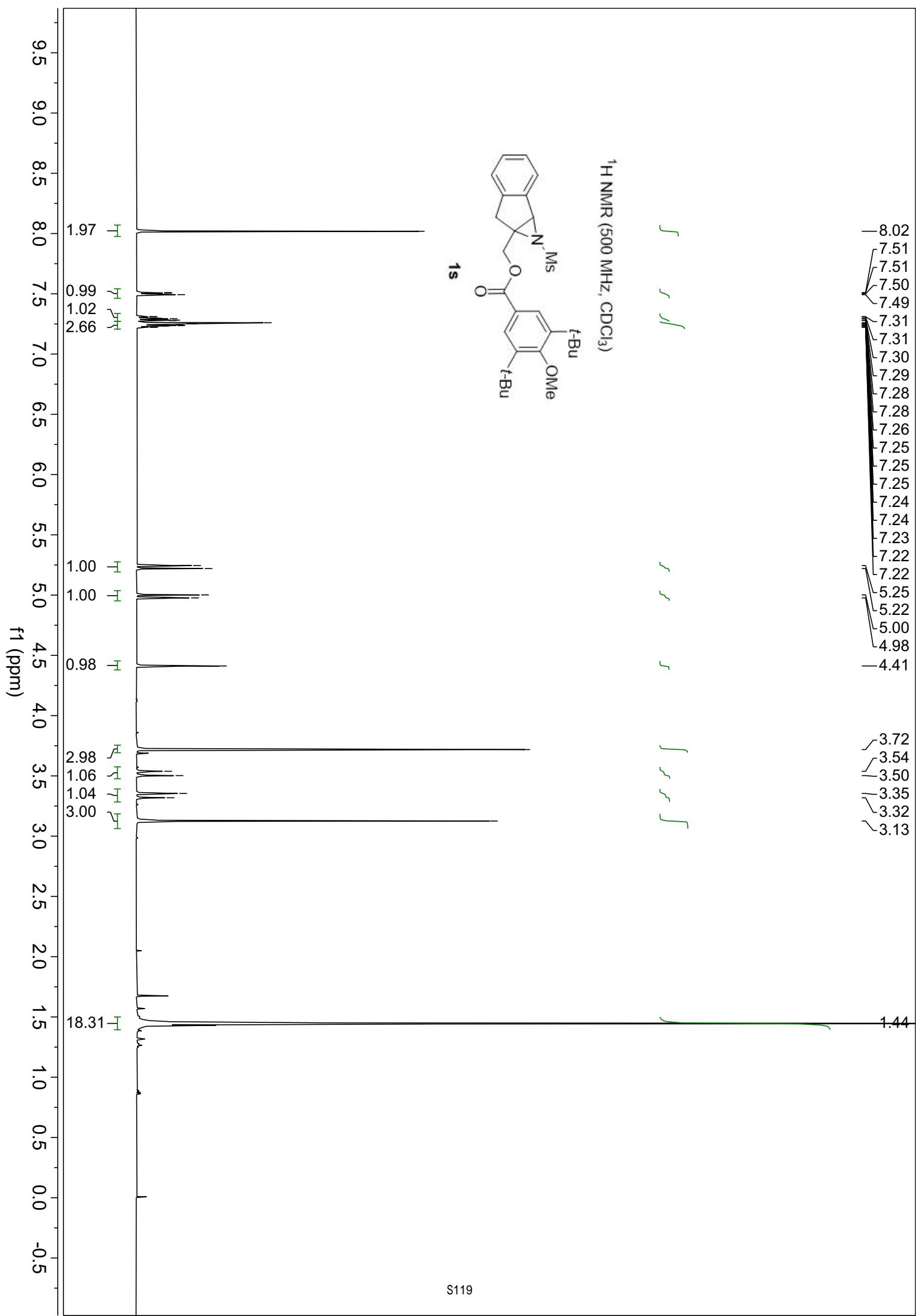


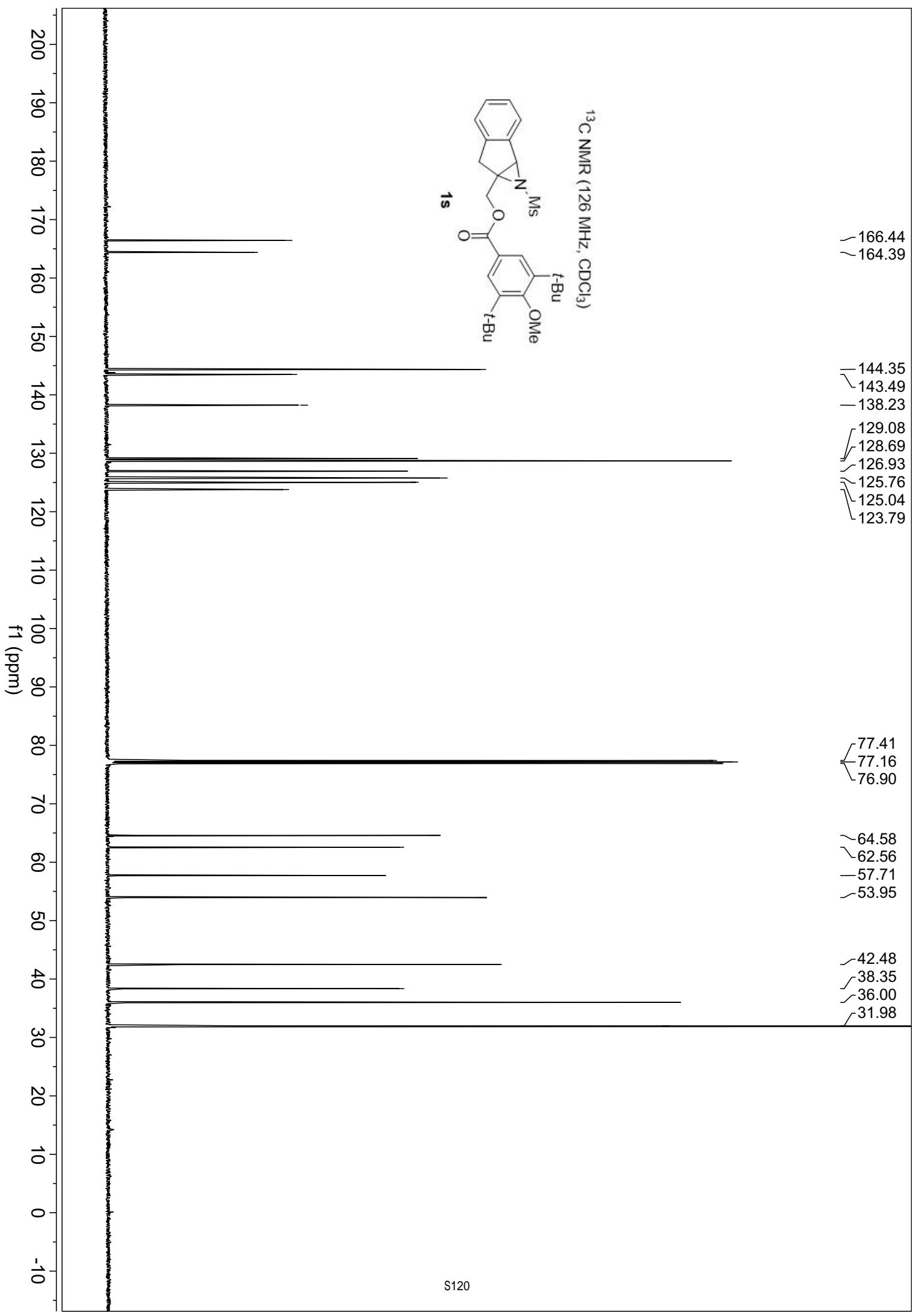


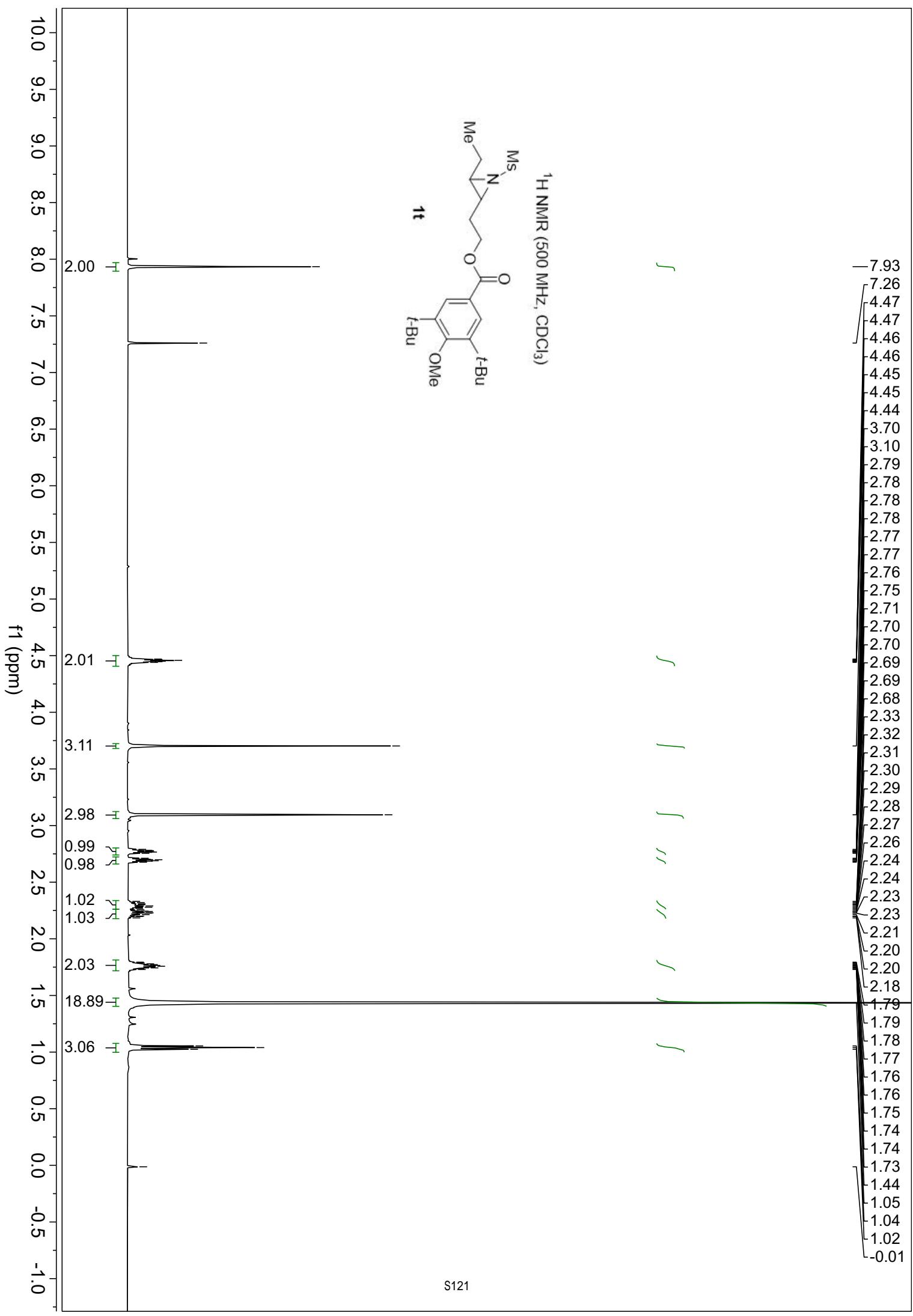


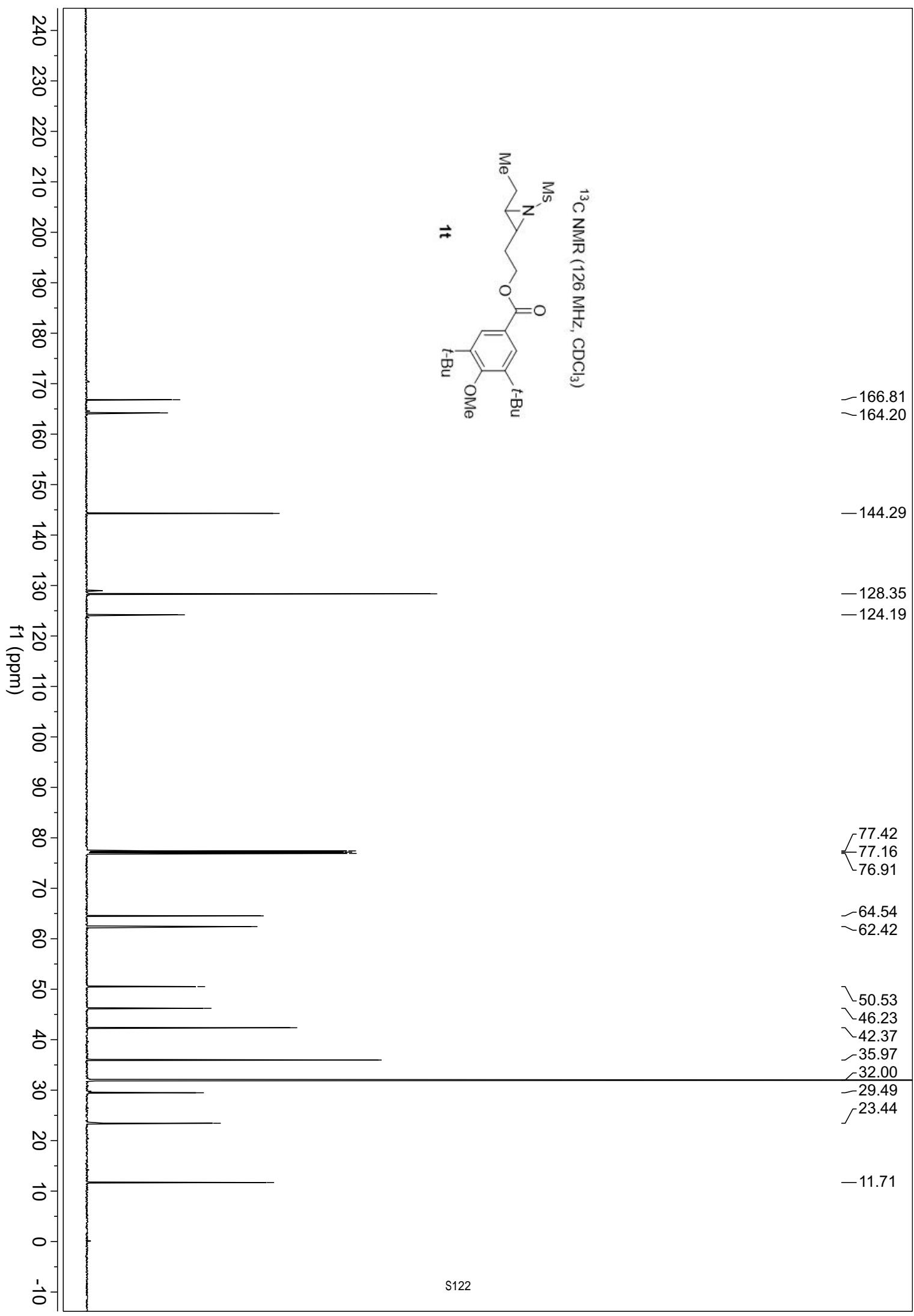


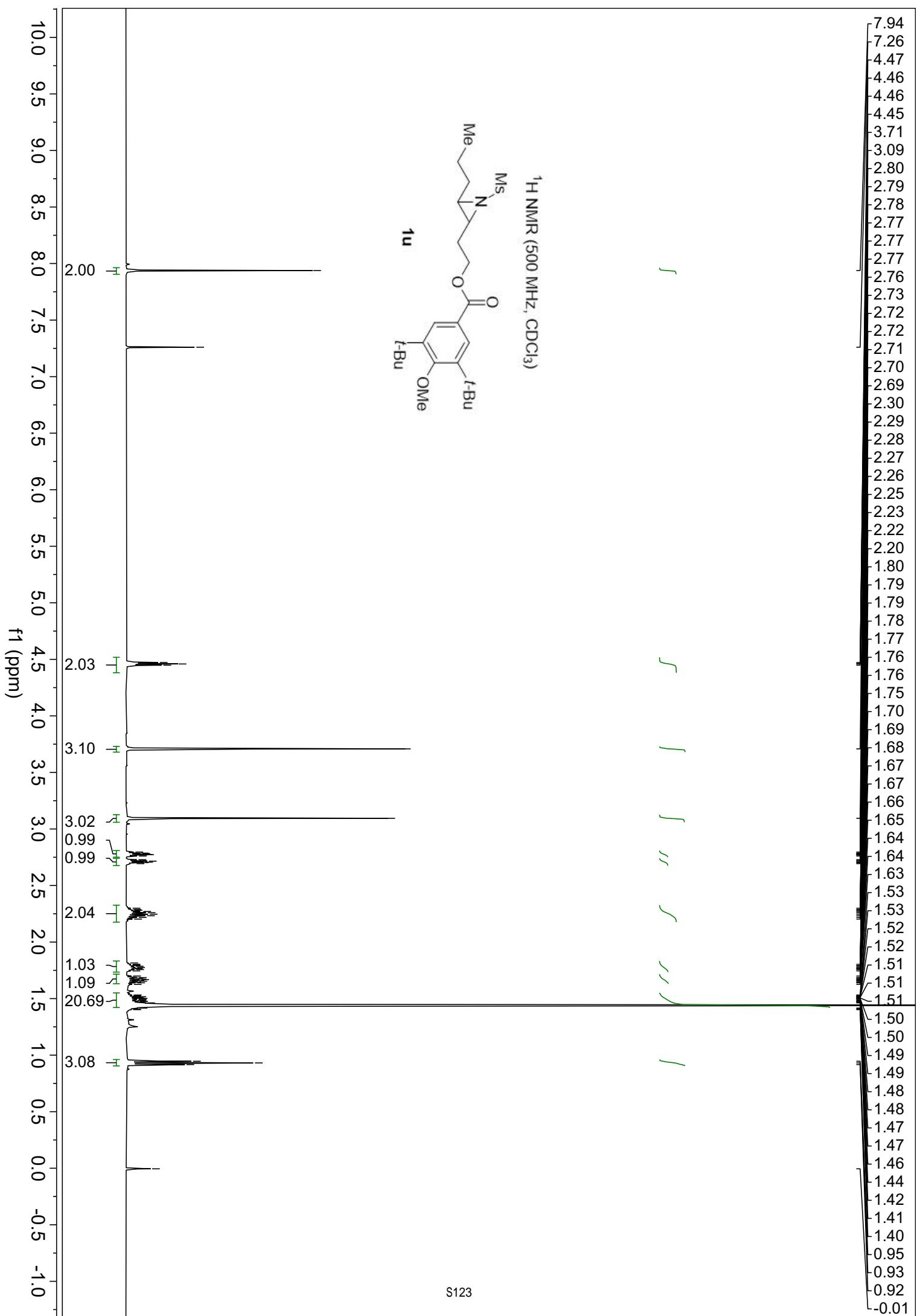


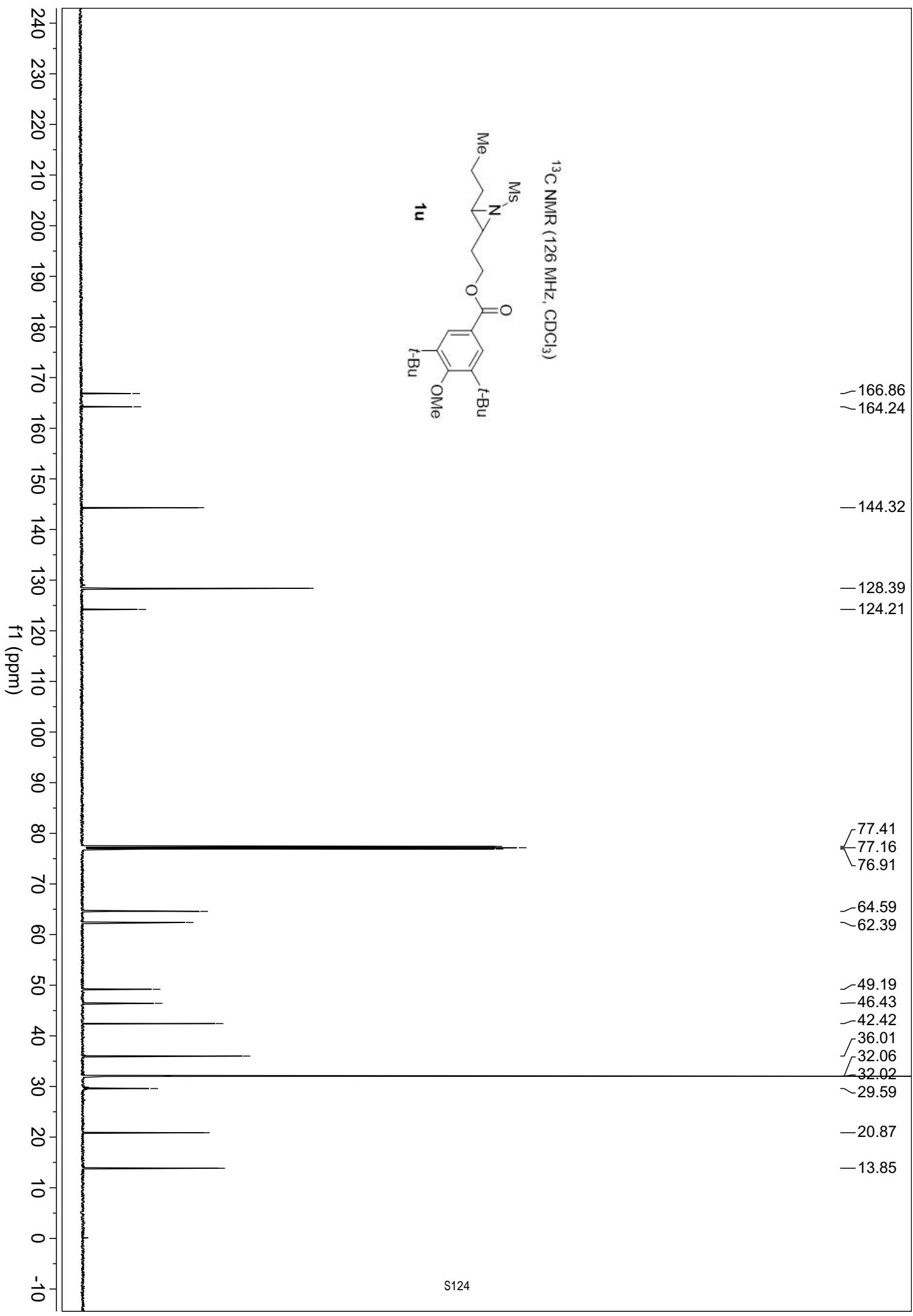


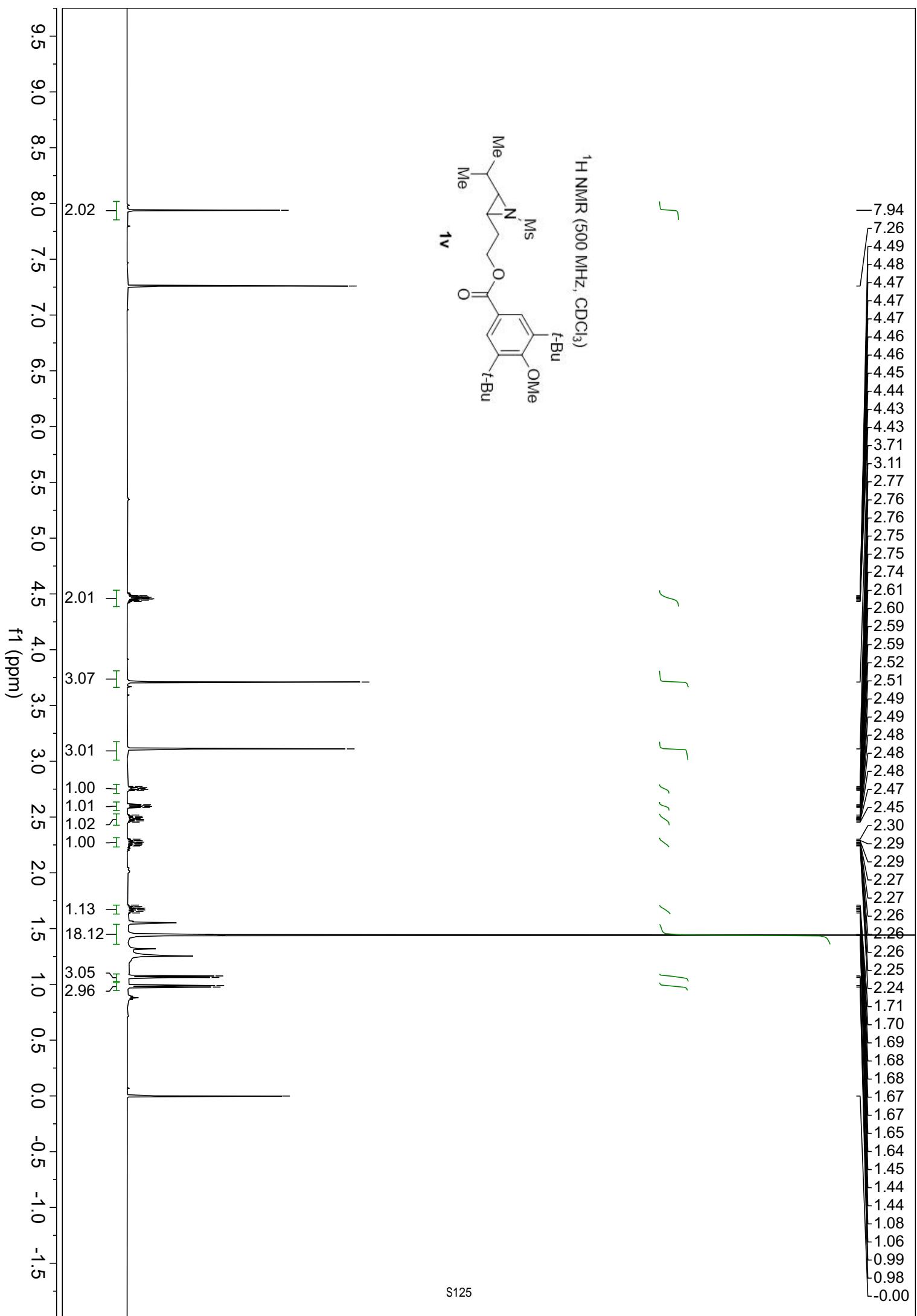


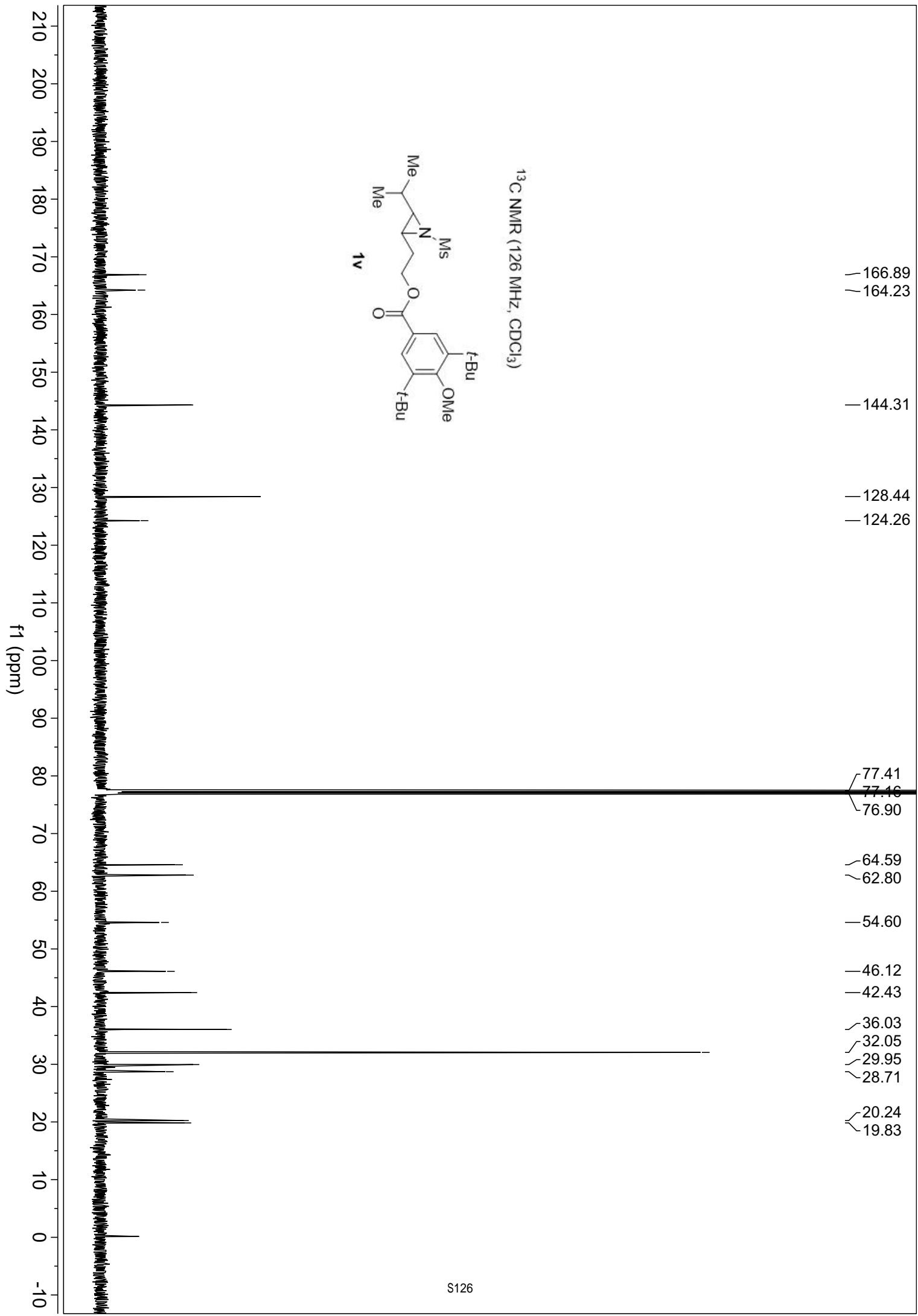


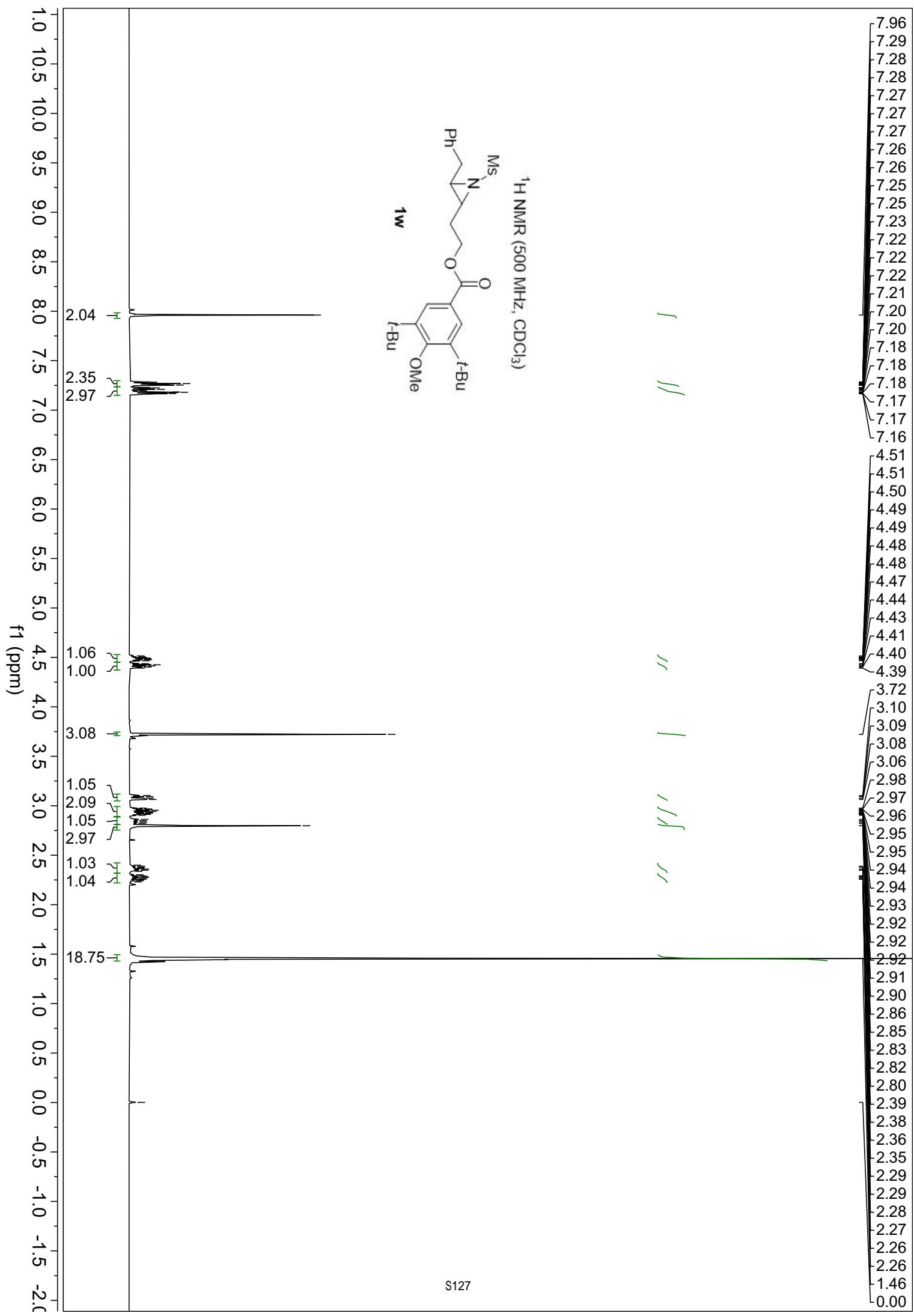


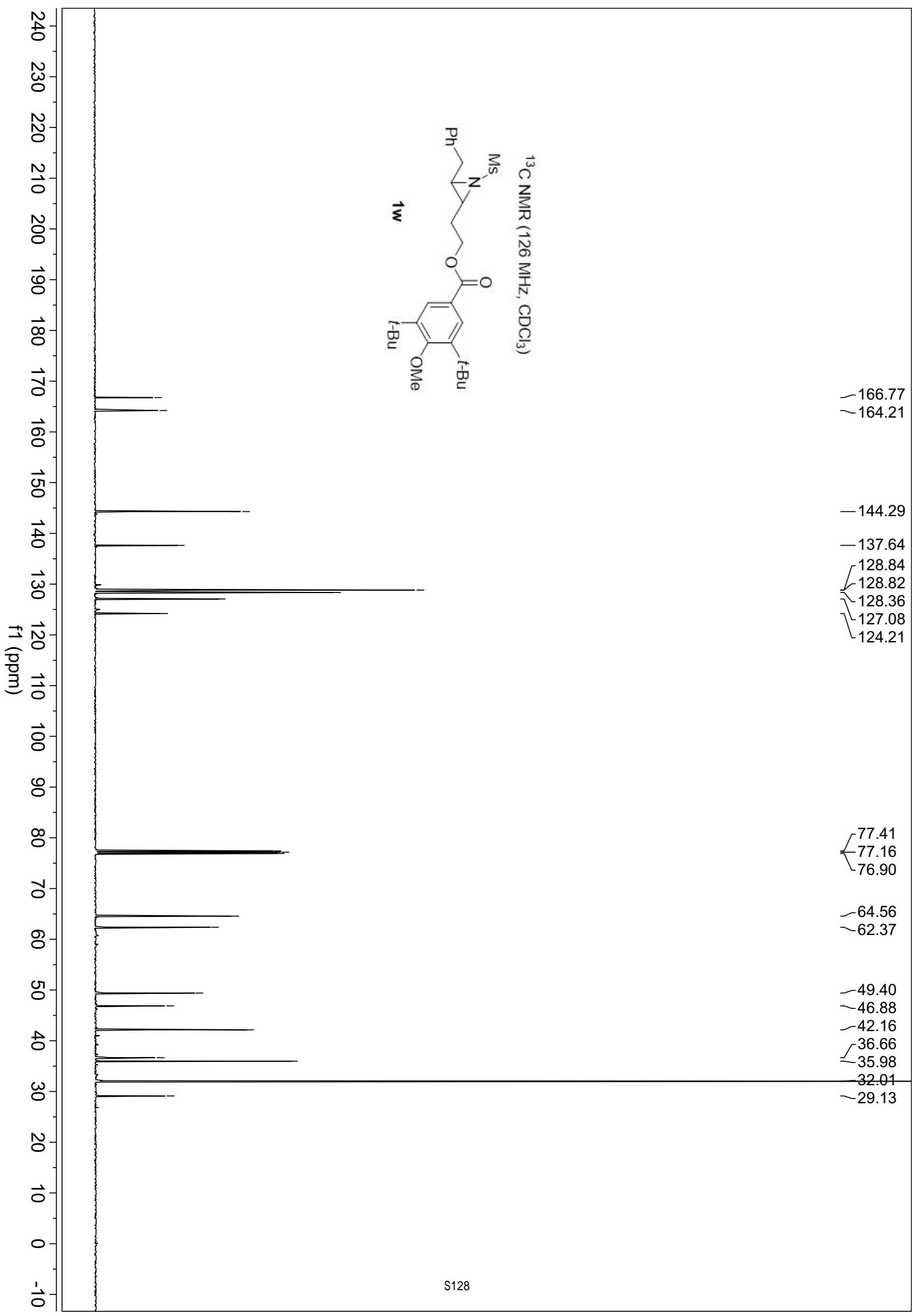


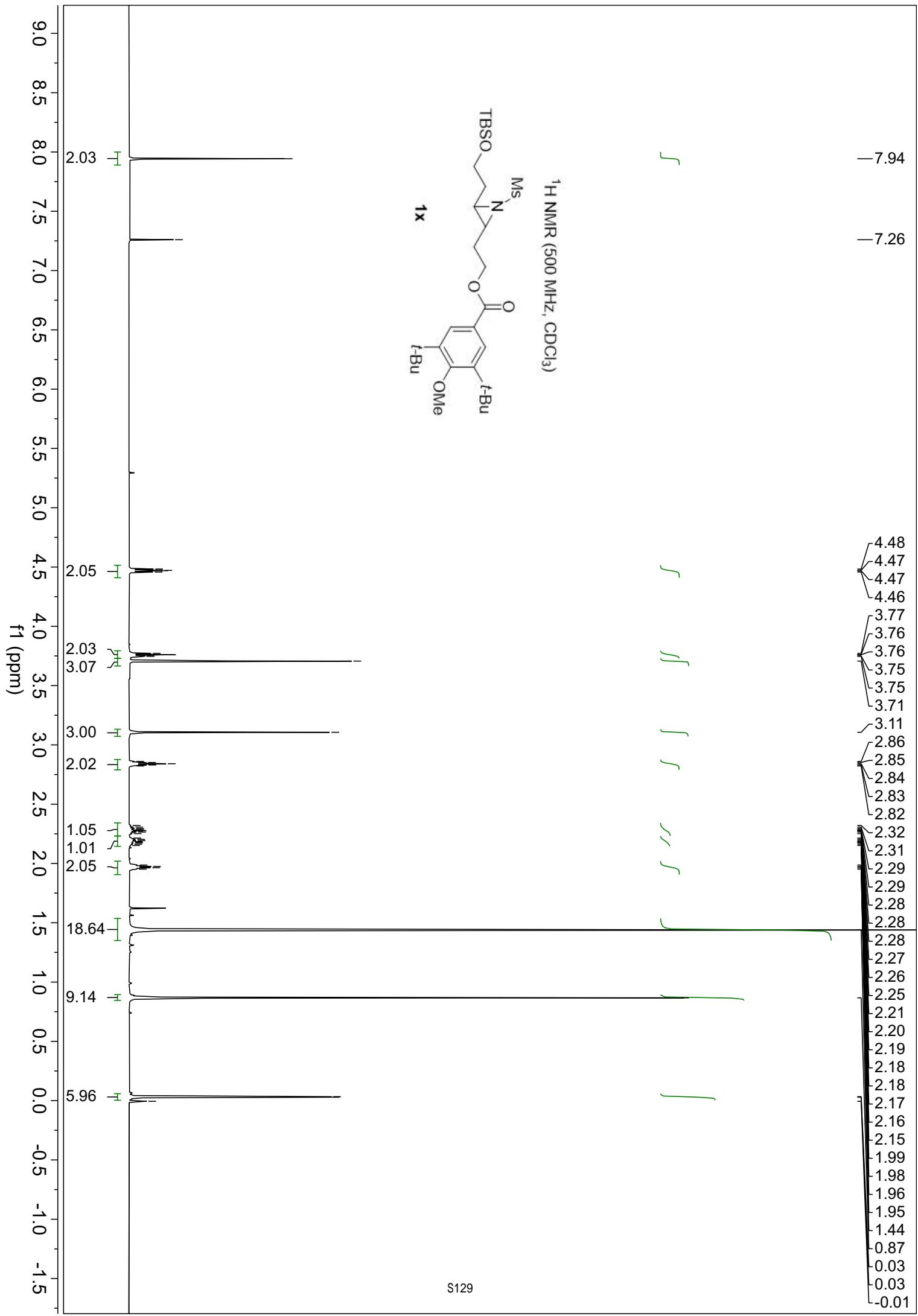


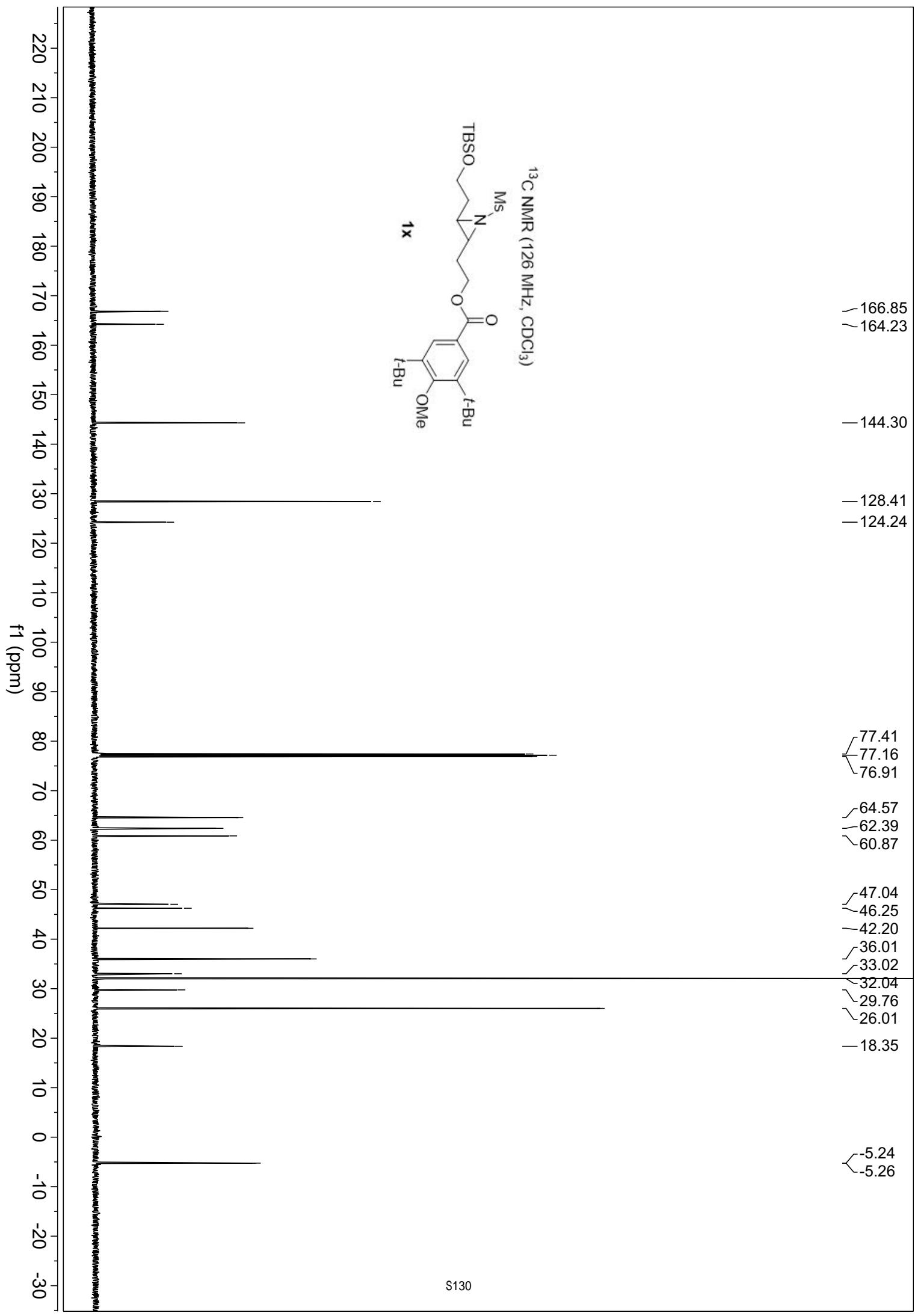


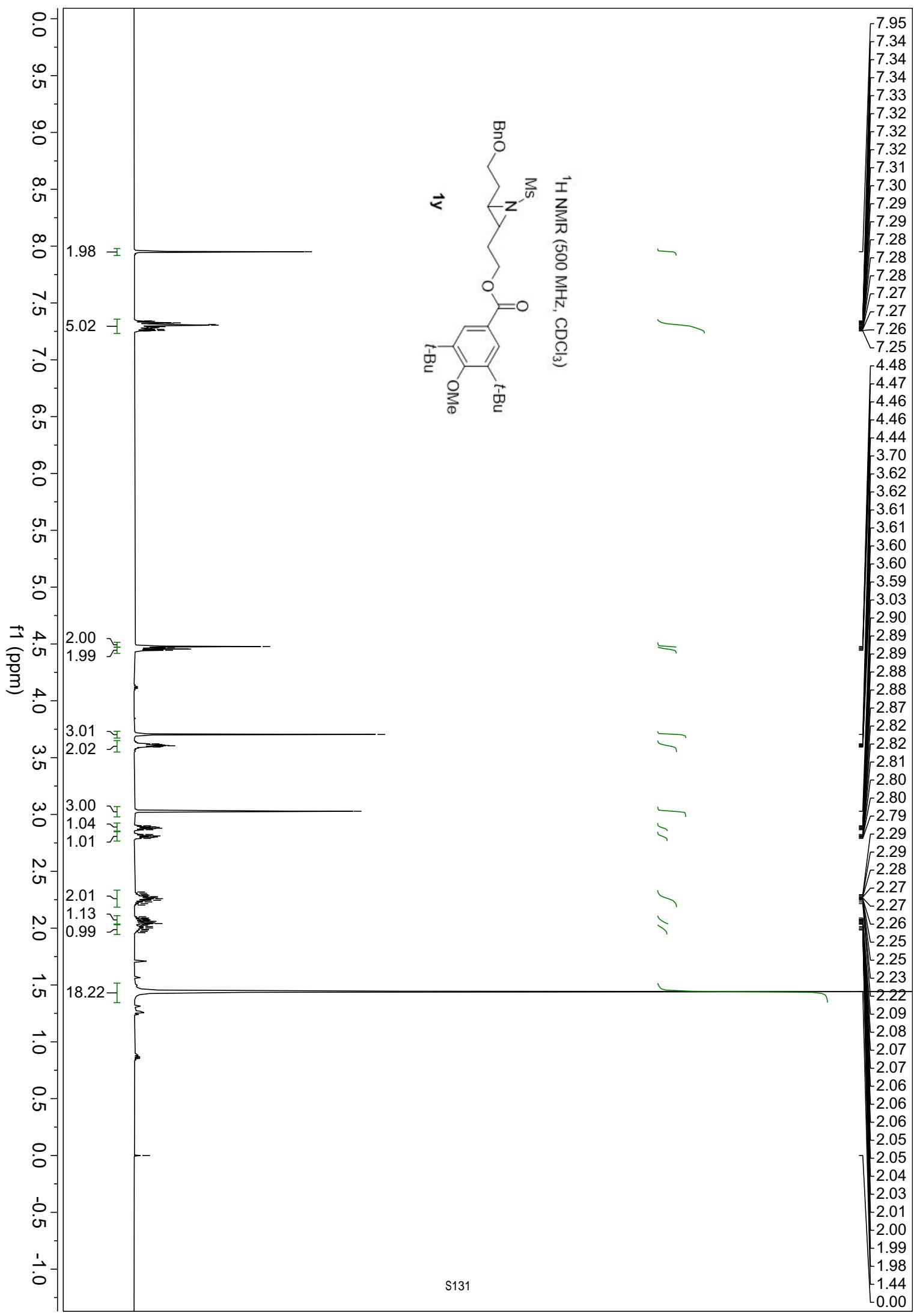


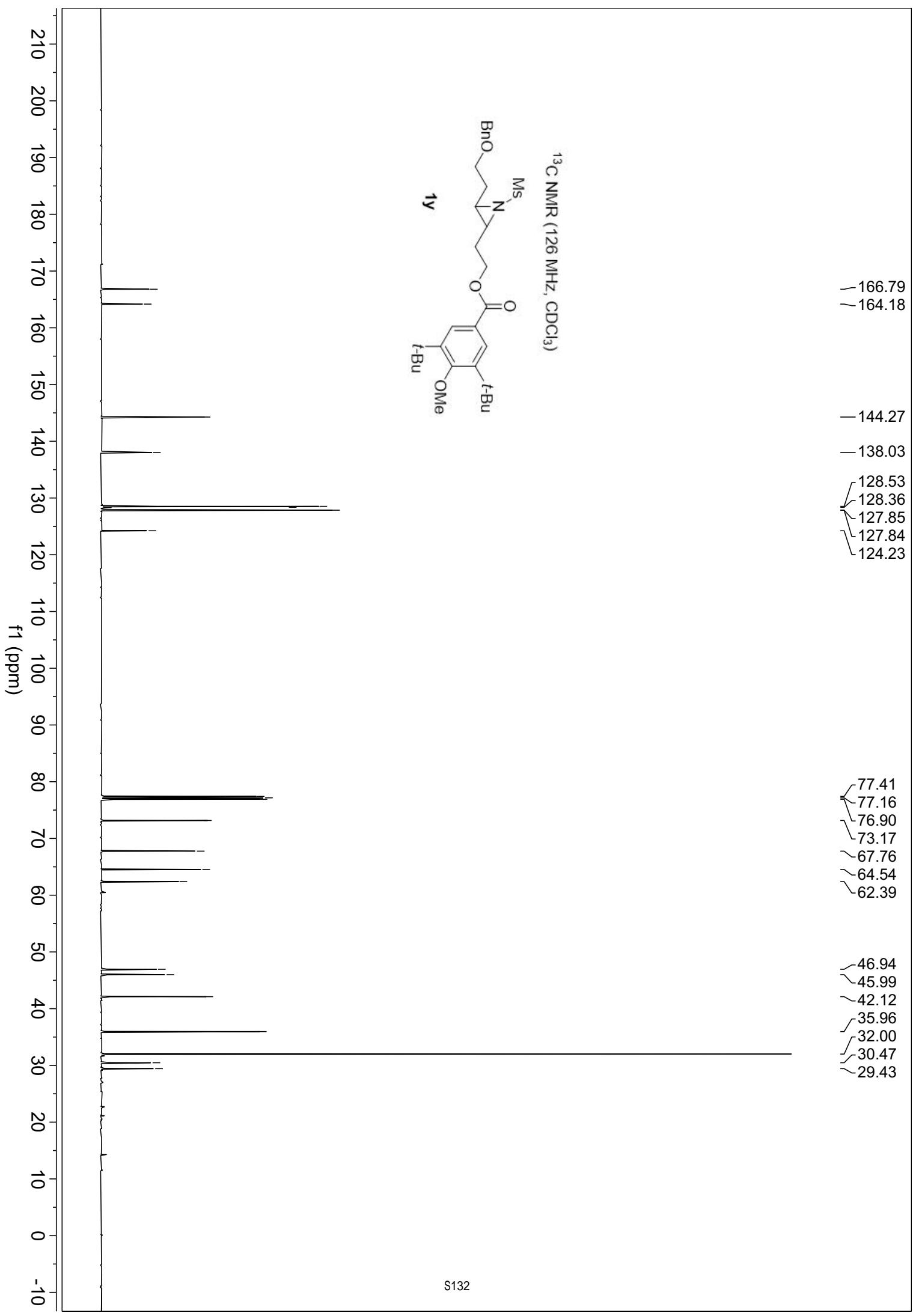


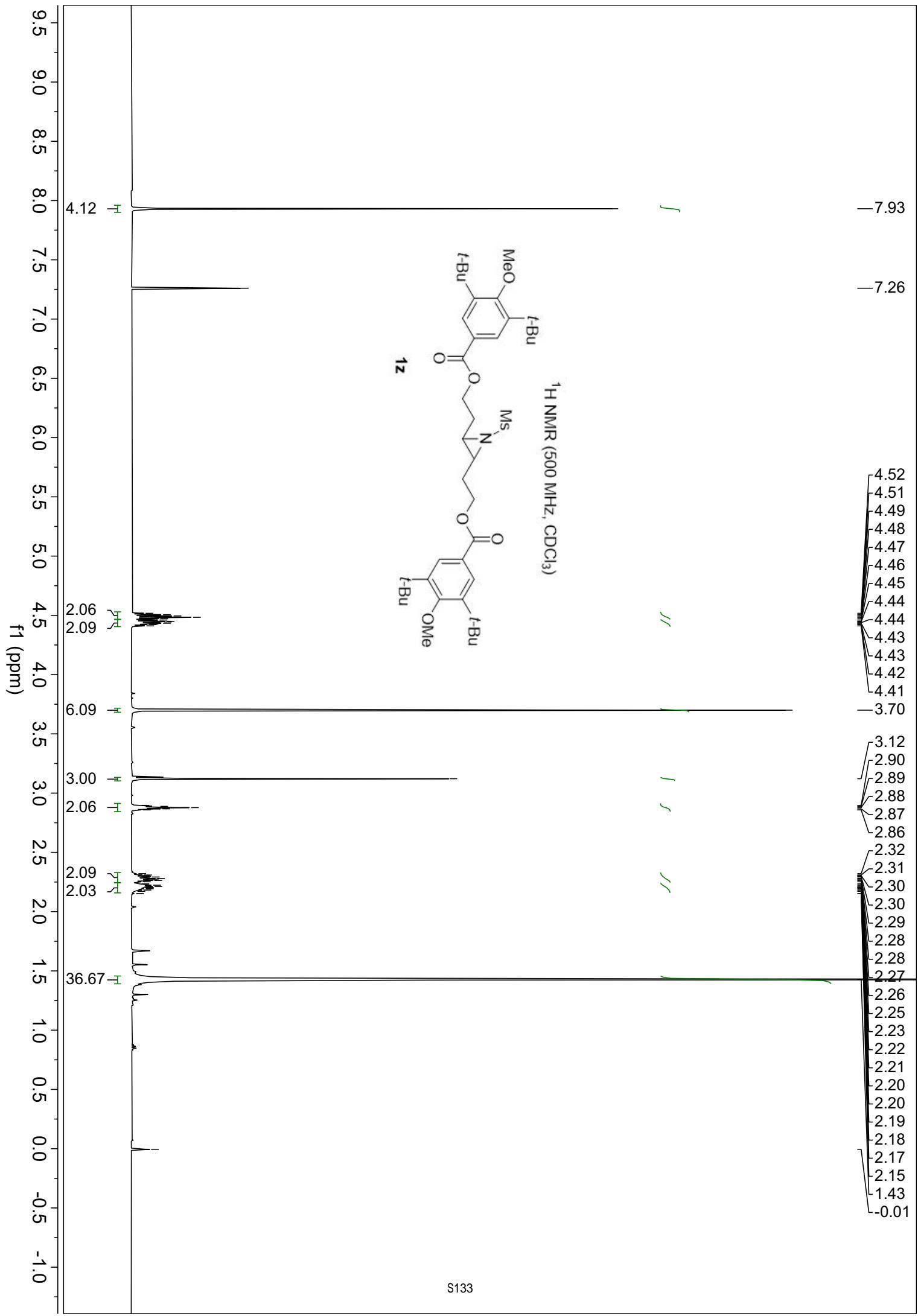


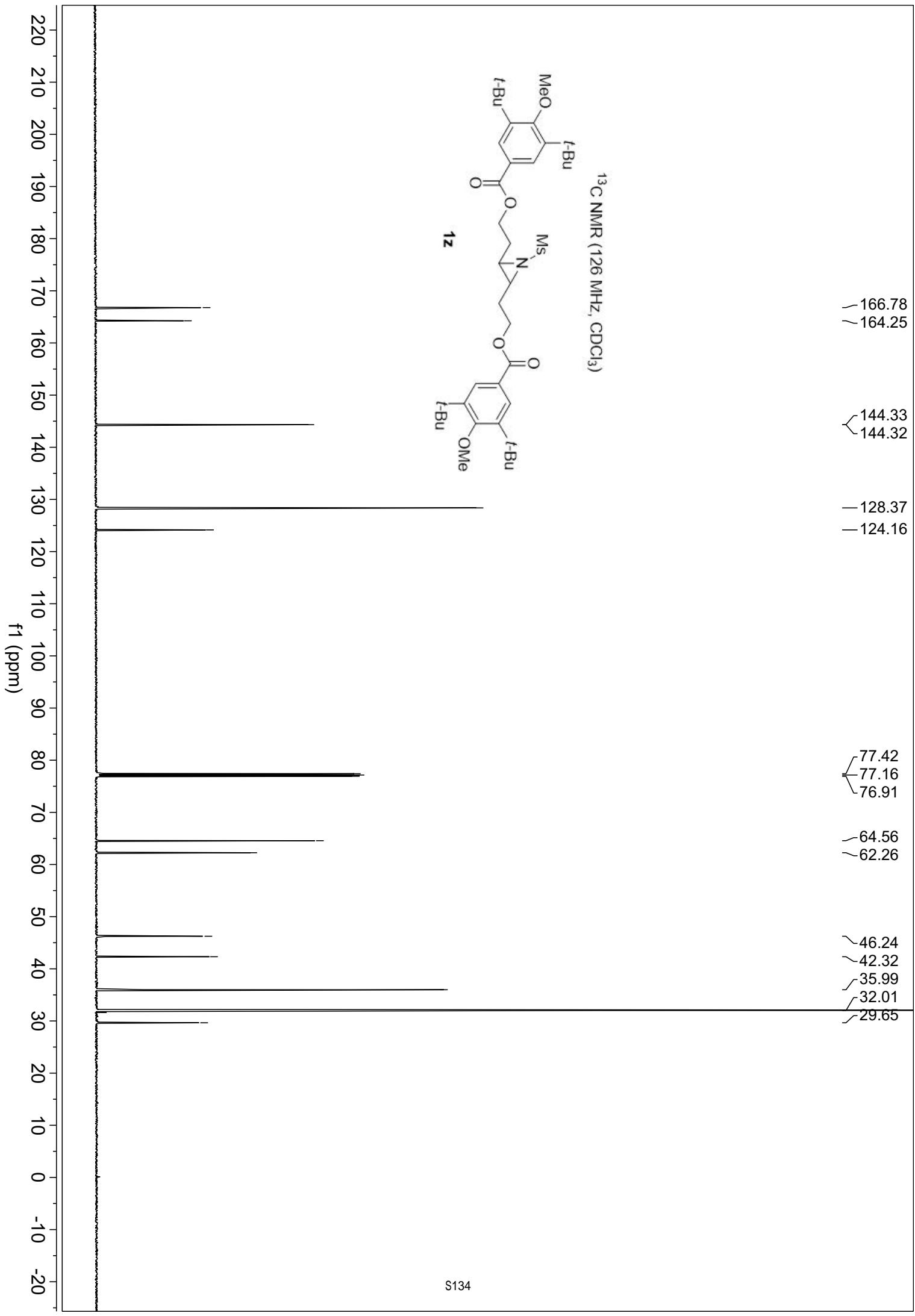


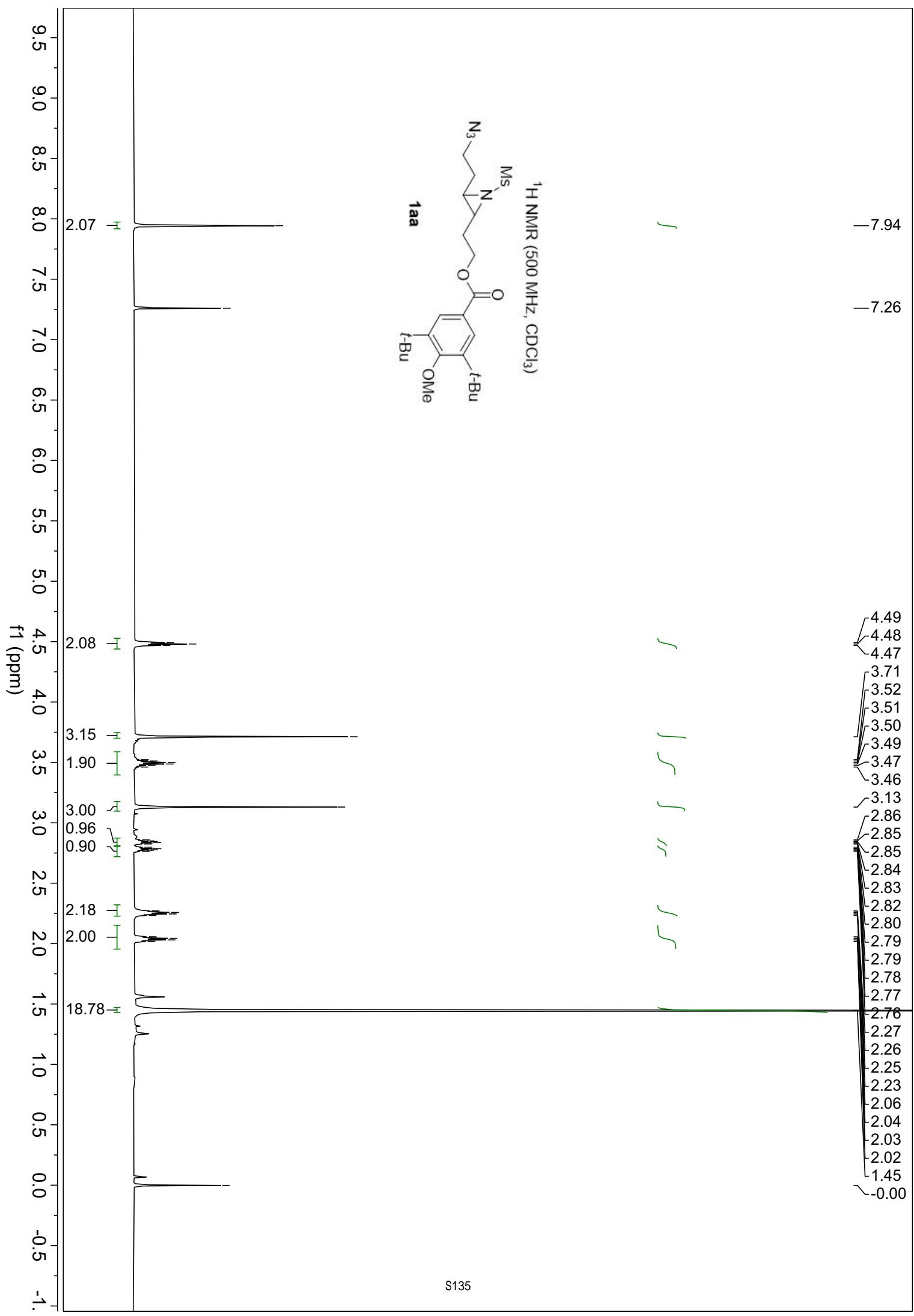


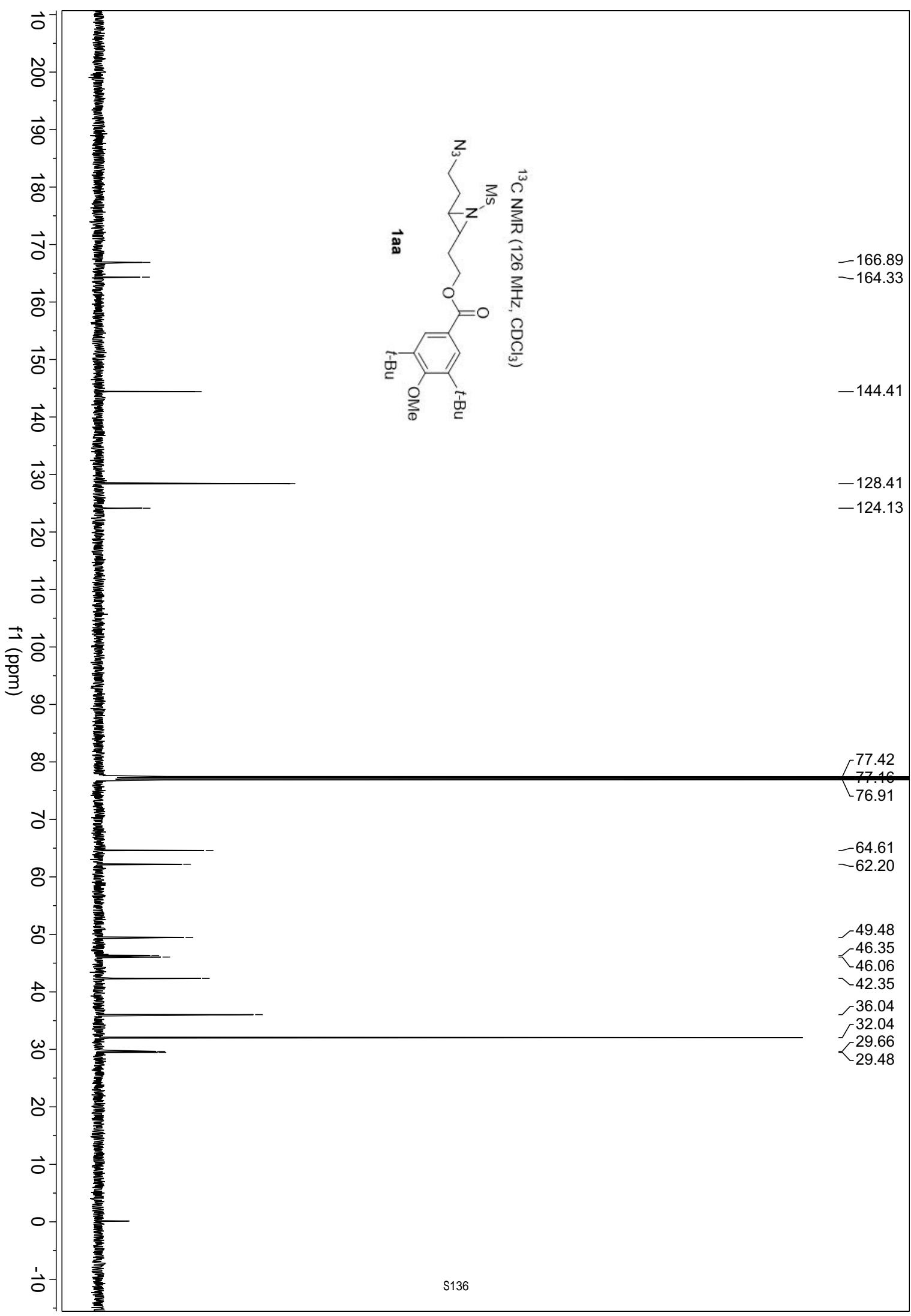


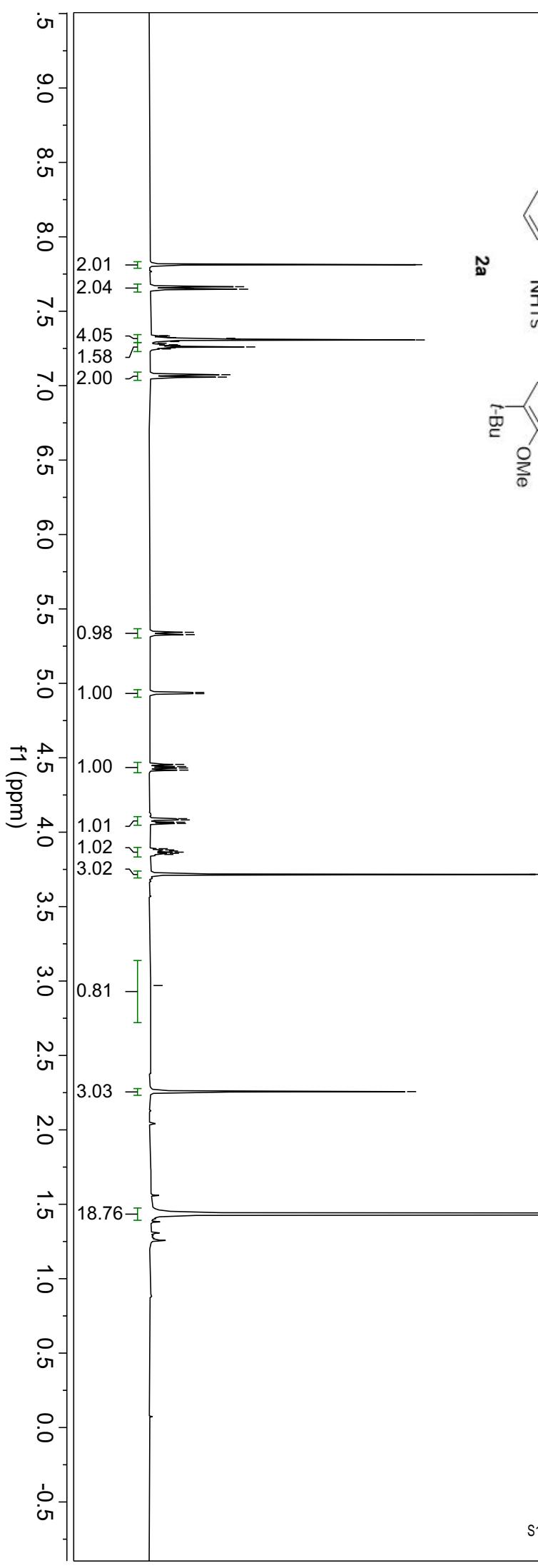




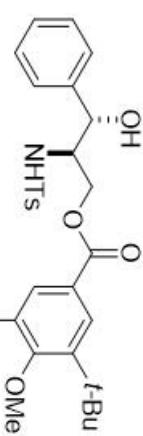




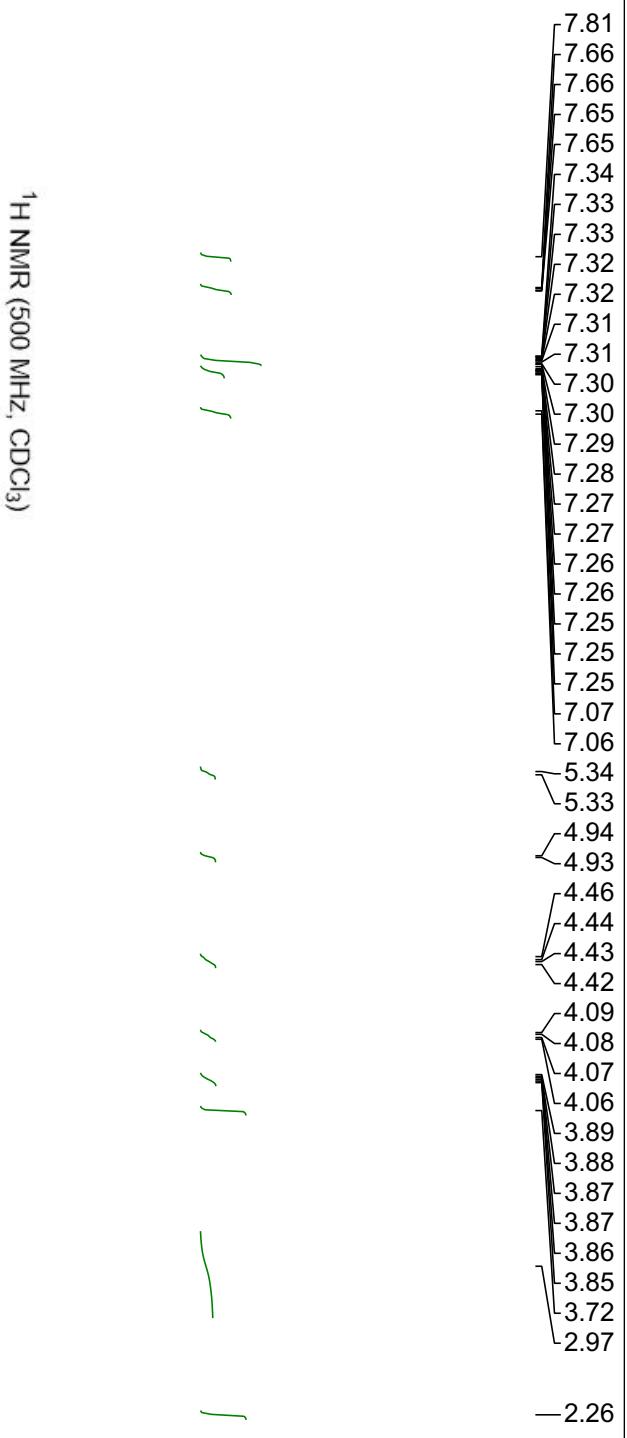


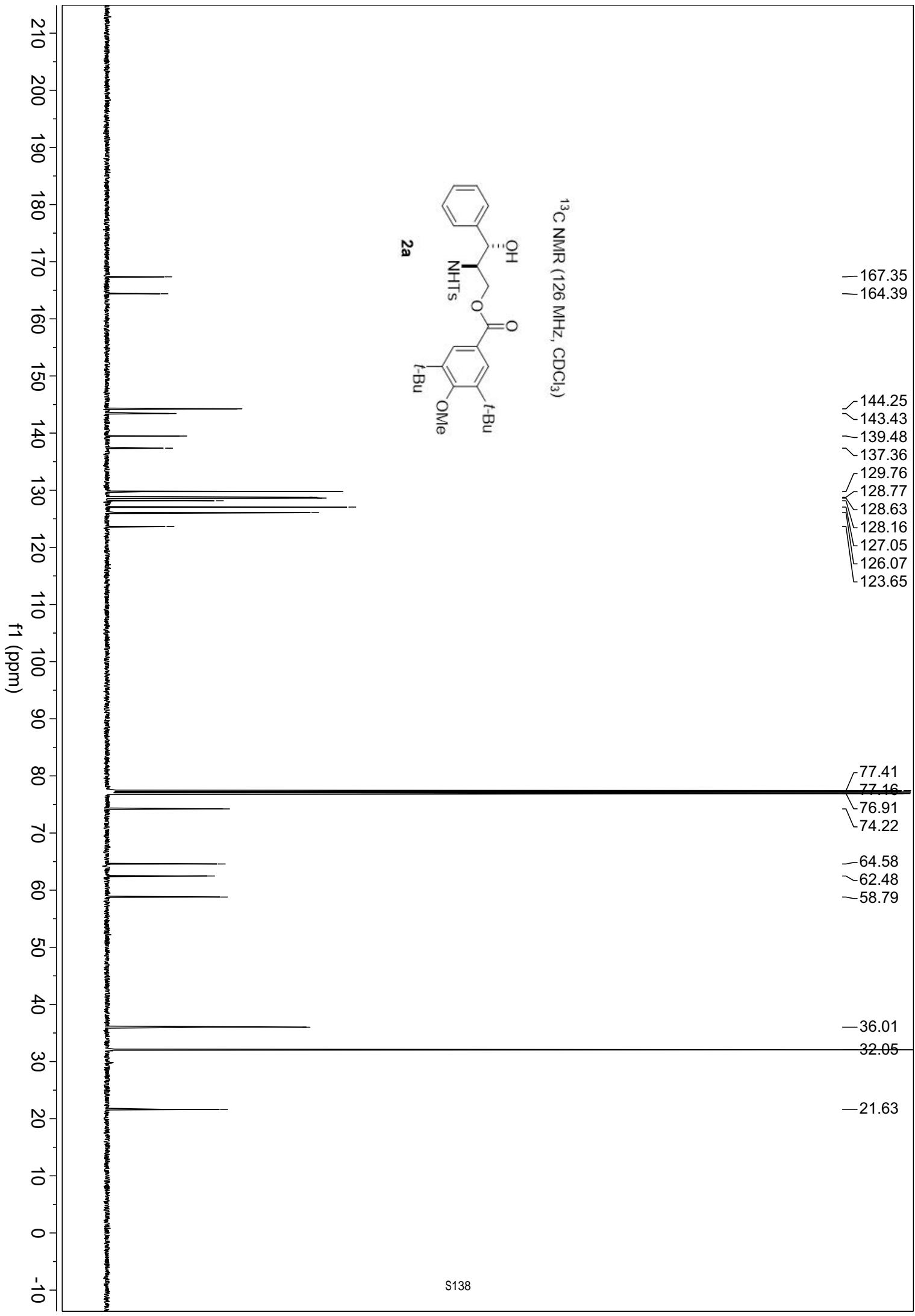


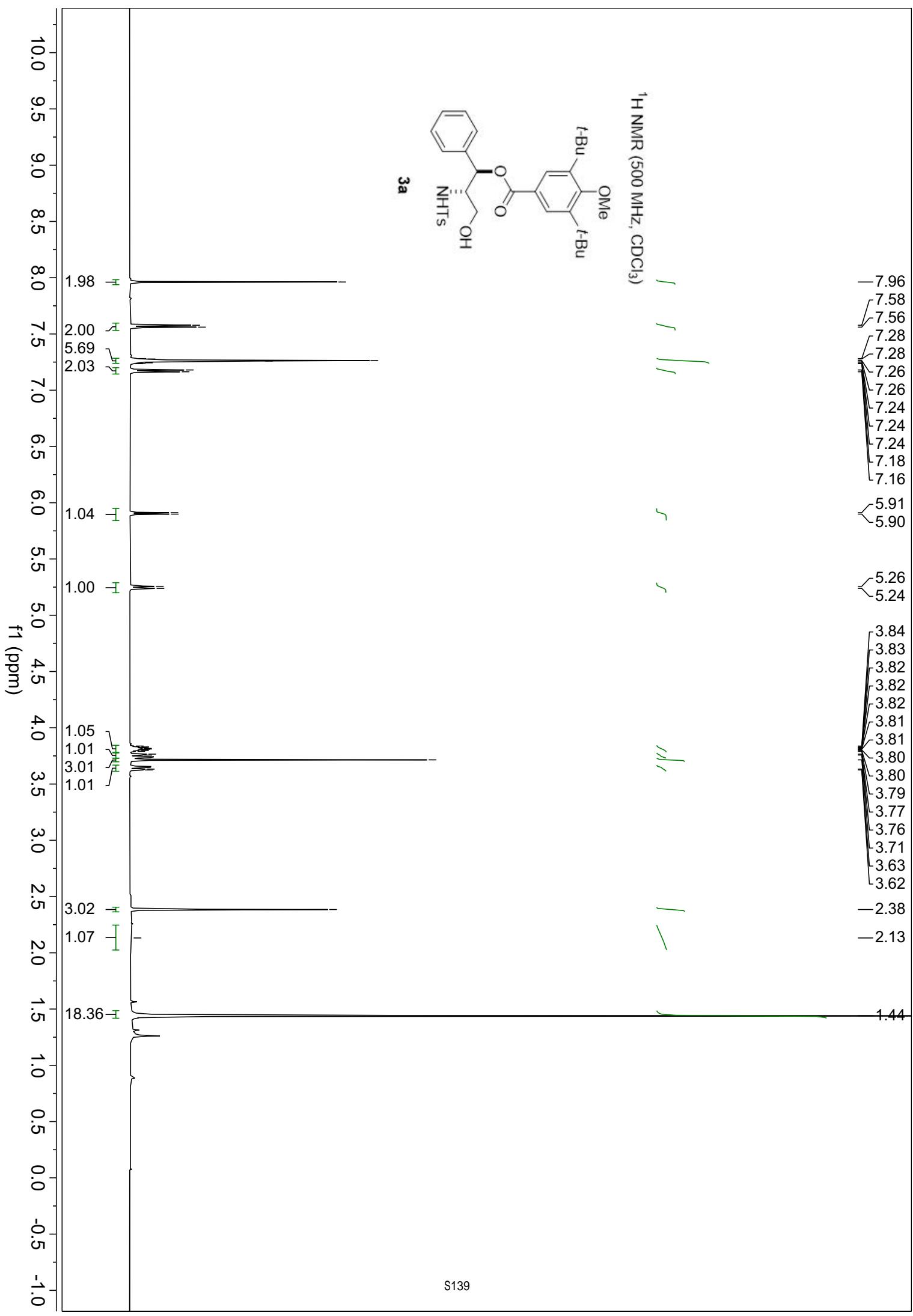
2a

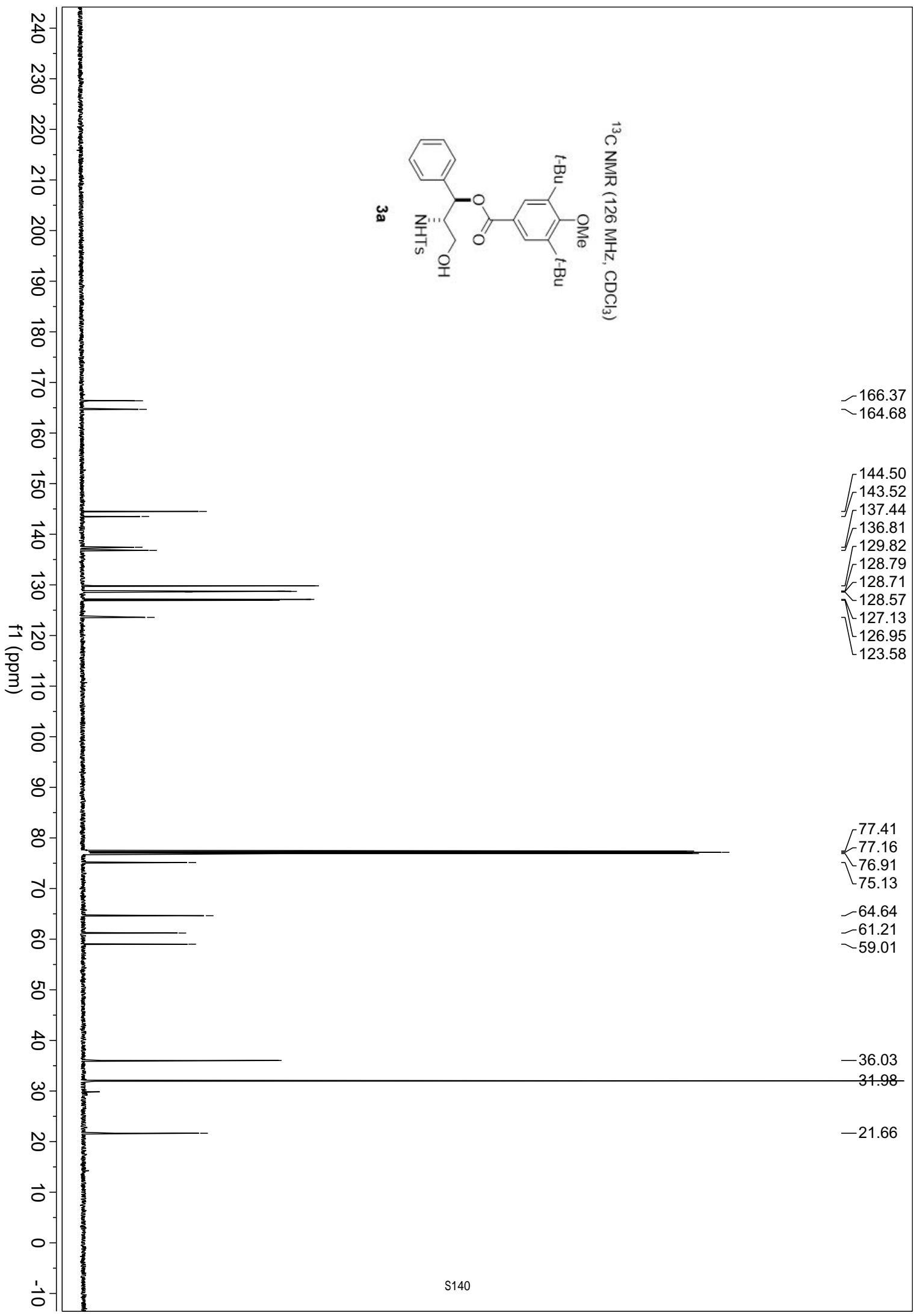


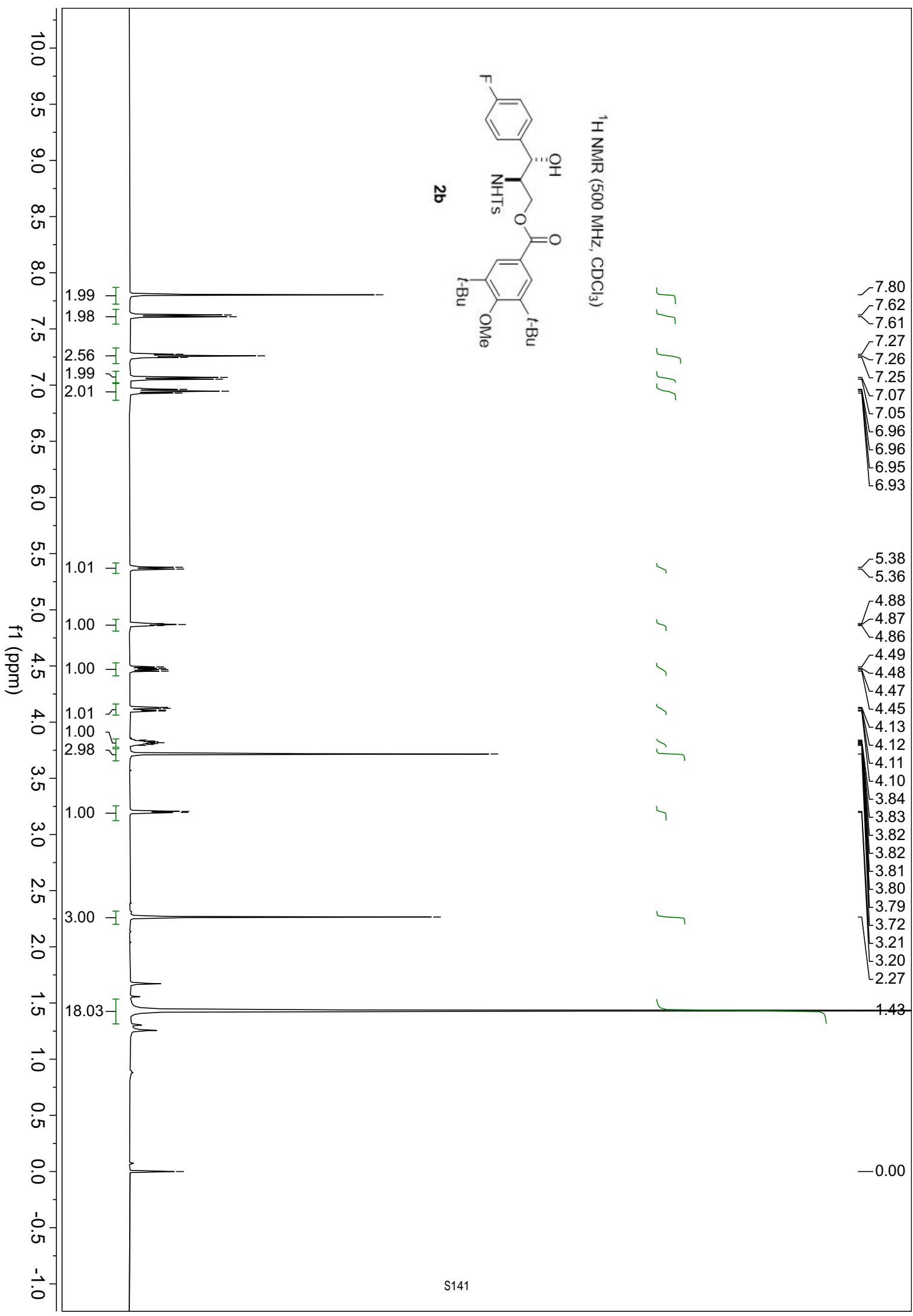
¹H NMR (500 MHz, CDCl₃)

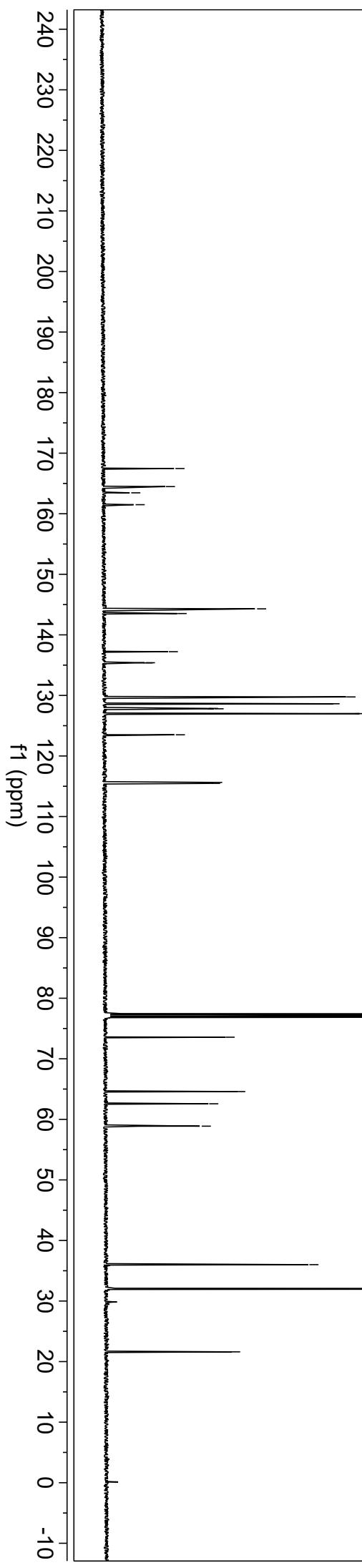




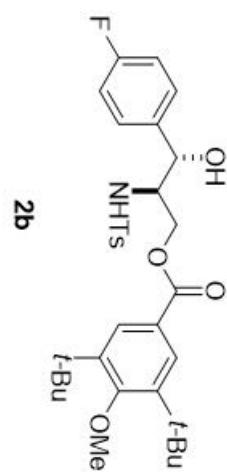


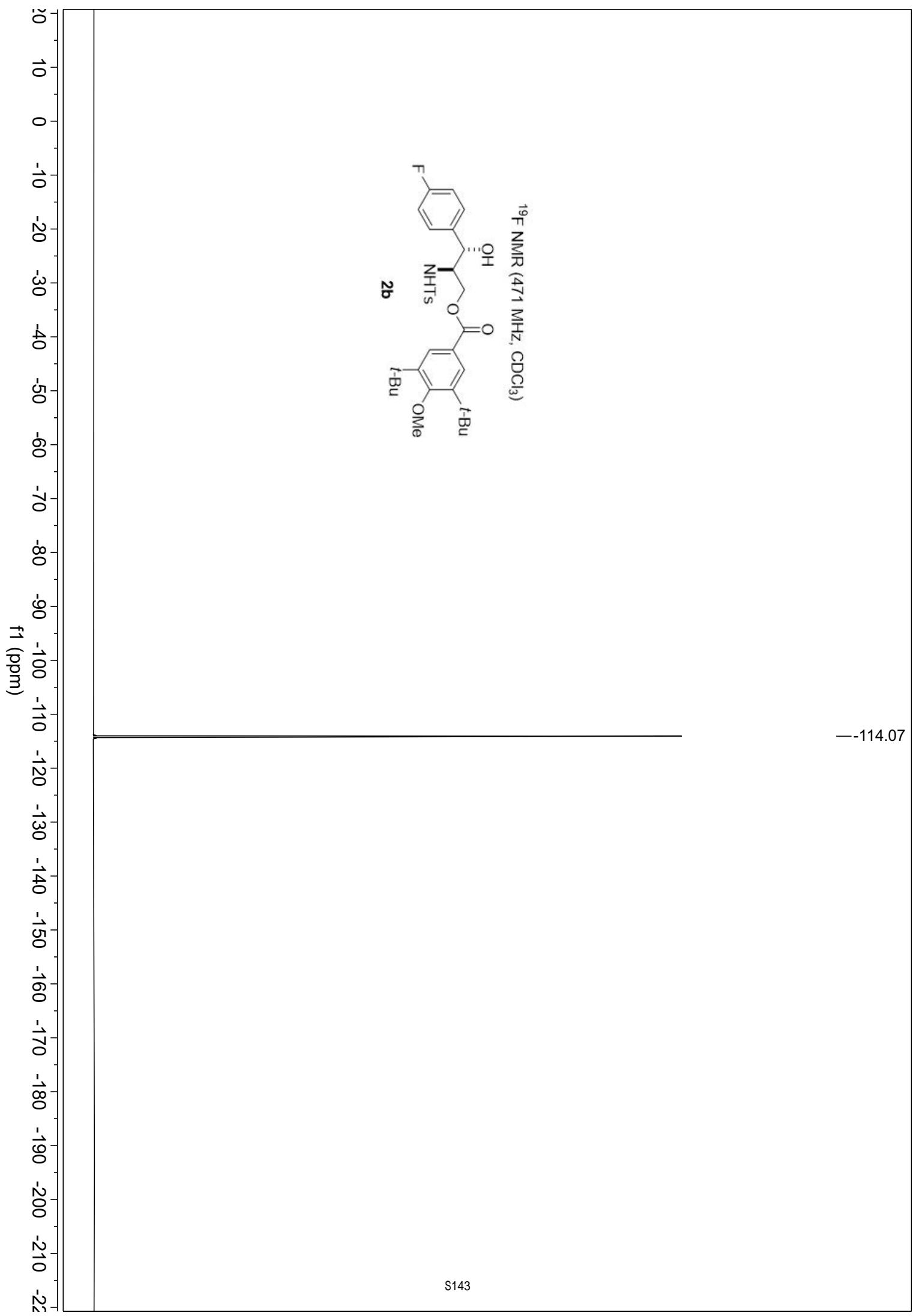


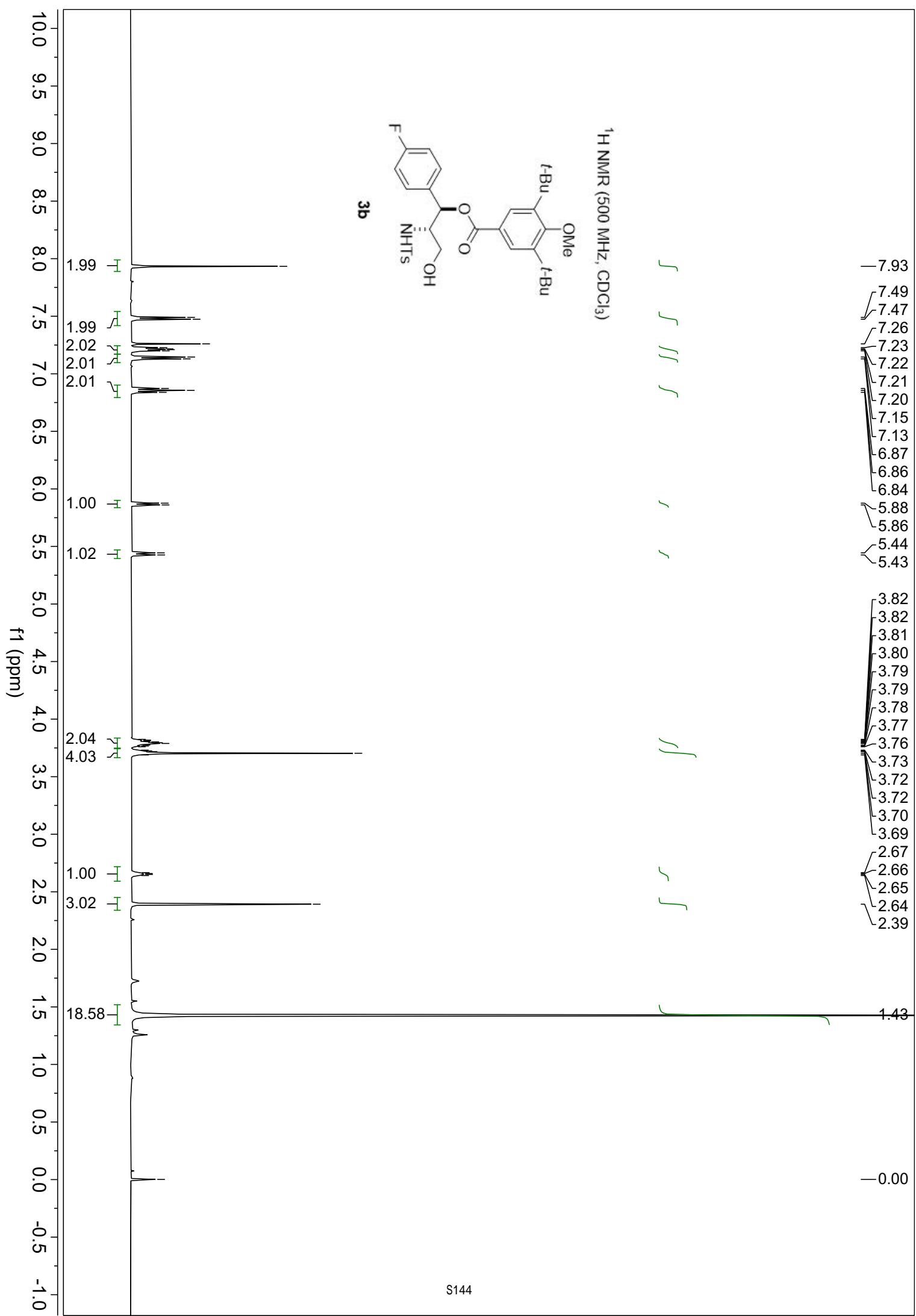


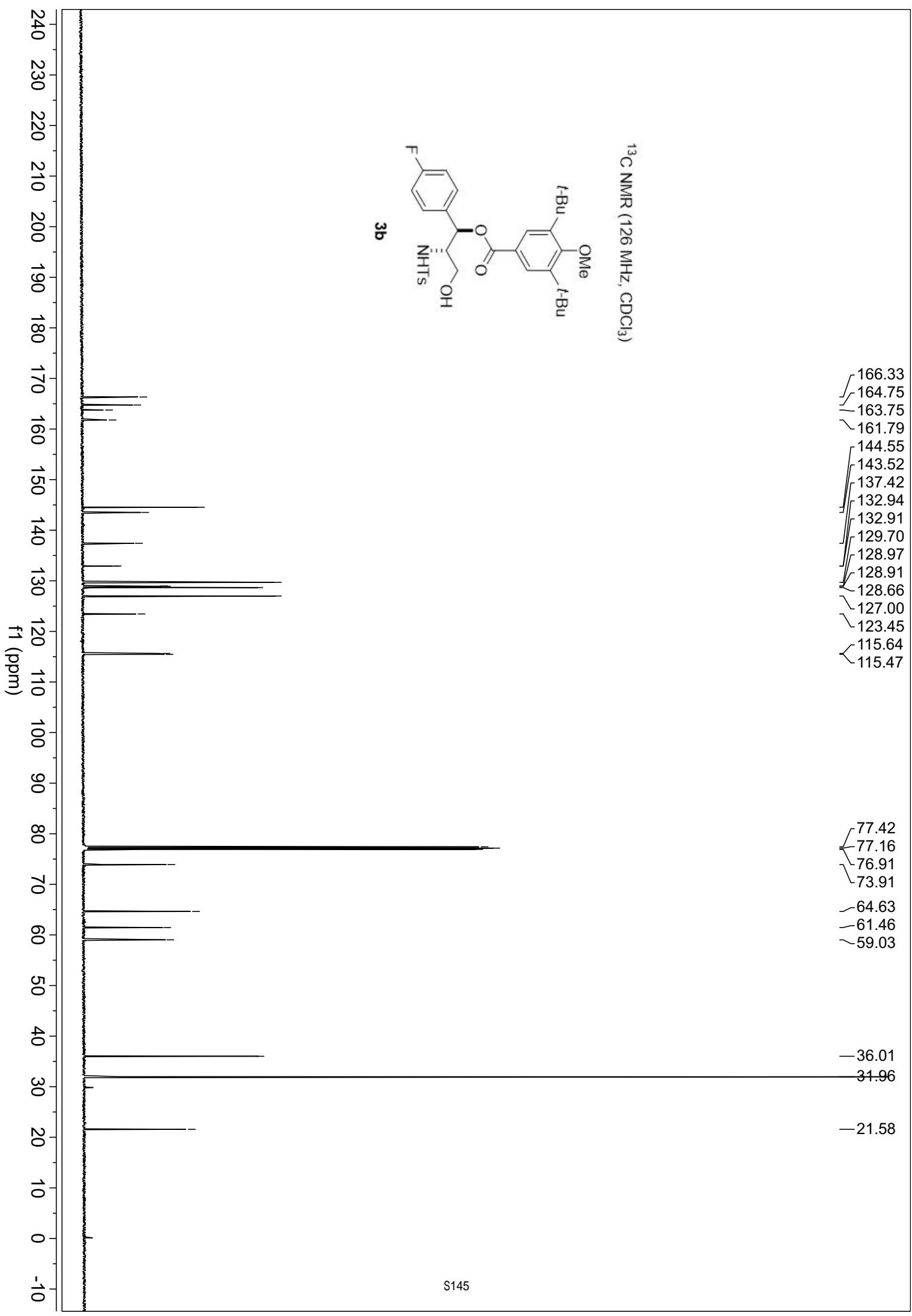


¹³C NMR (126 MHz, CDCl₃)

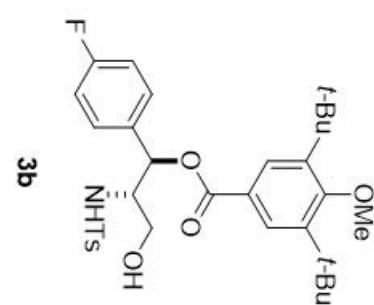






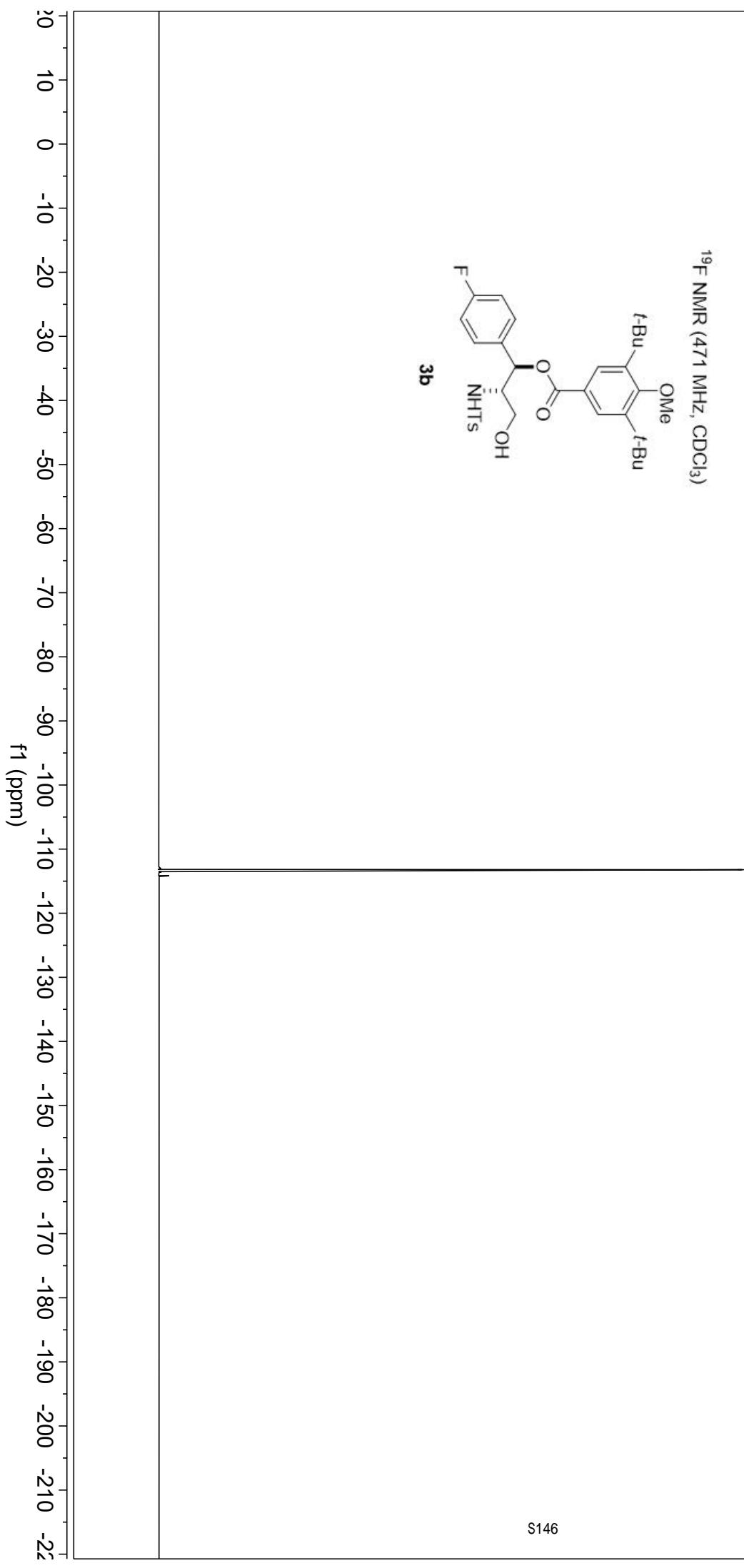


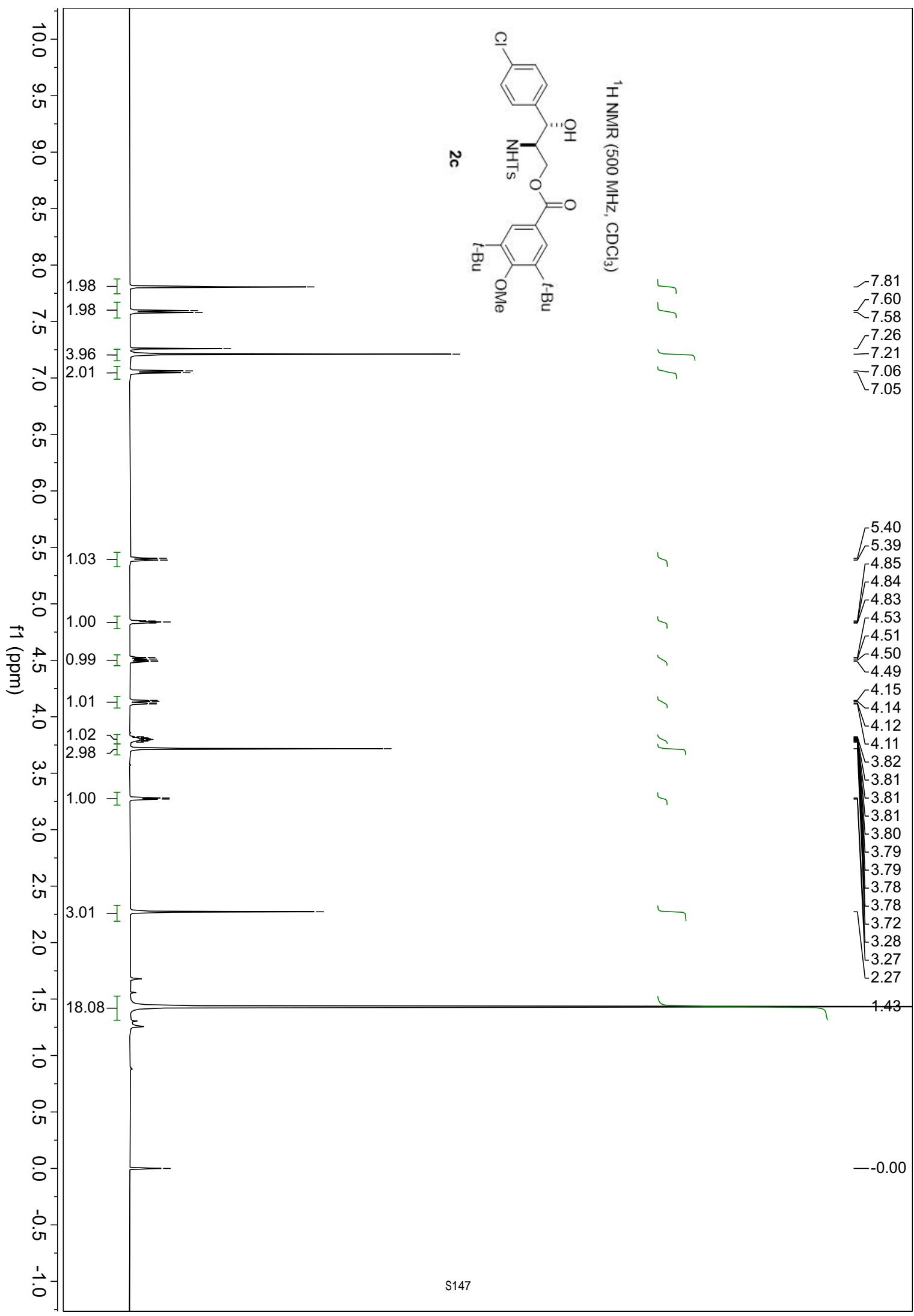
¹⁹F NMR (471 MHz, CDCl₃)

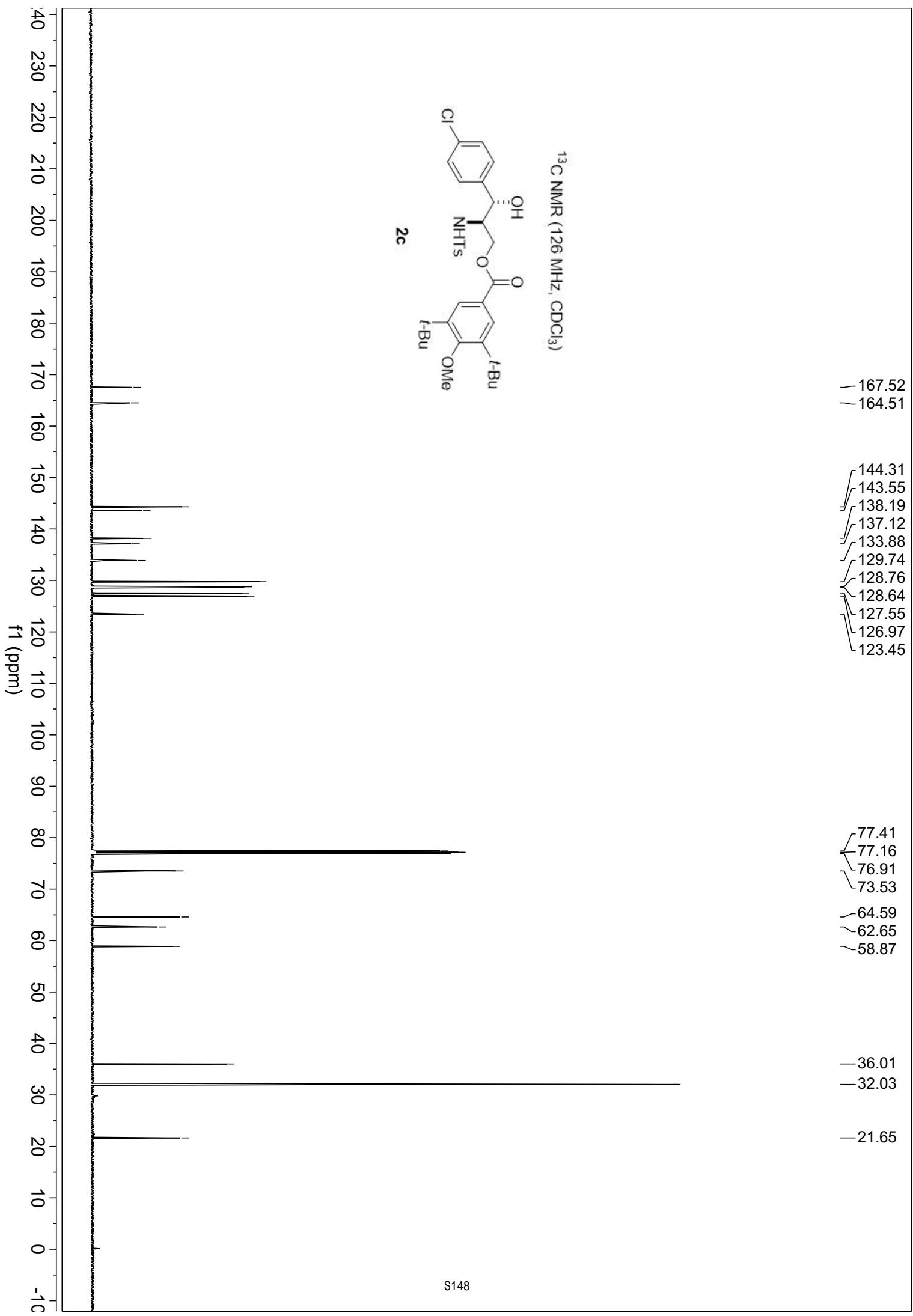


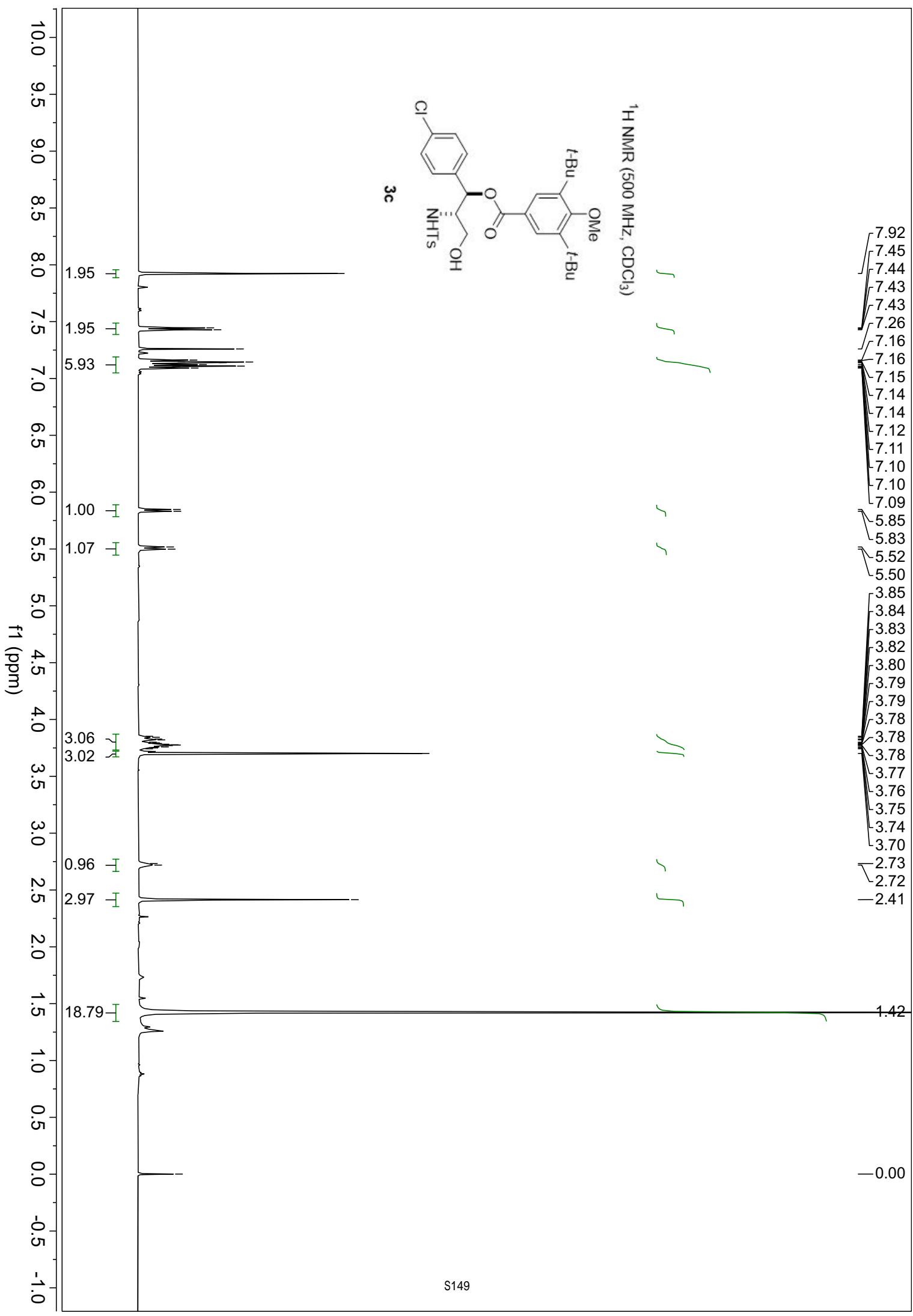
3b

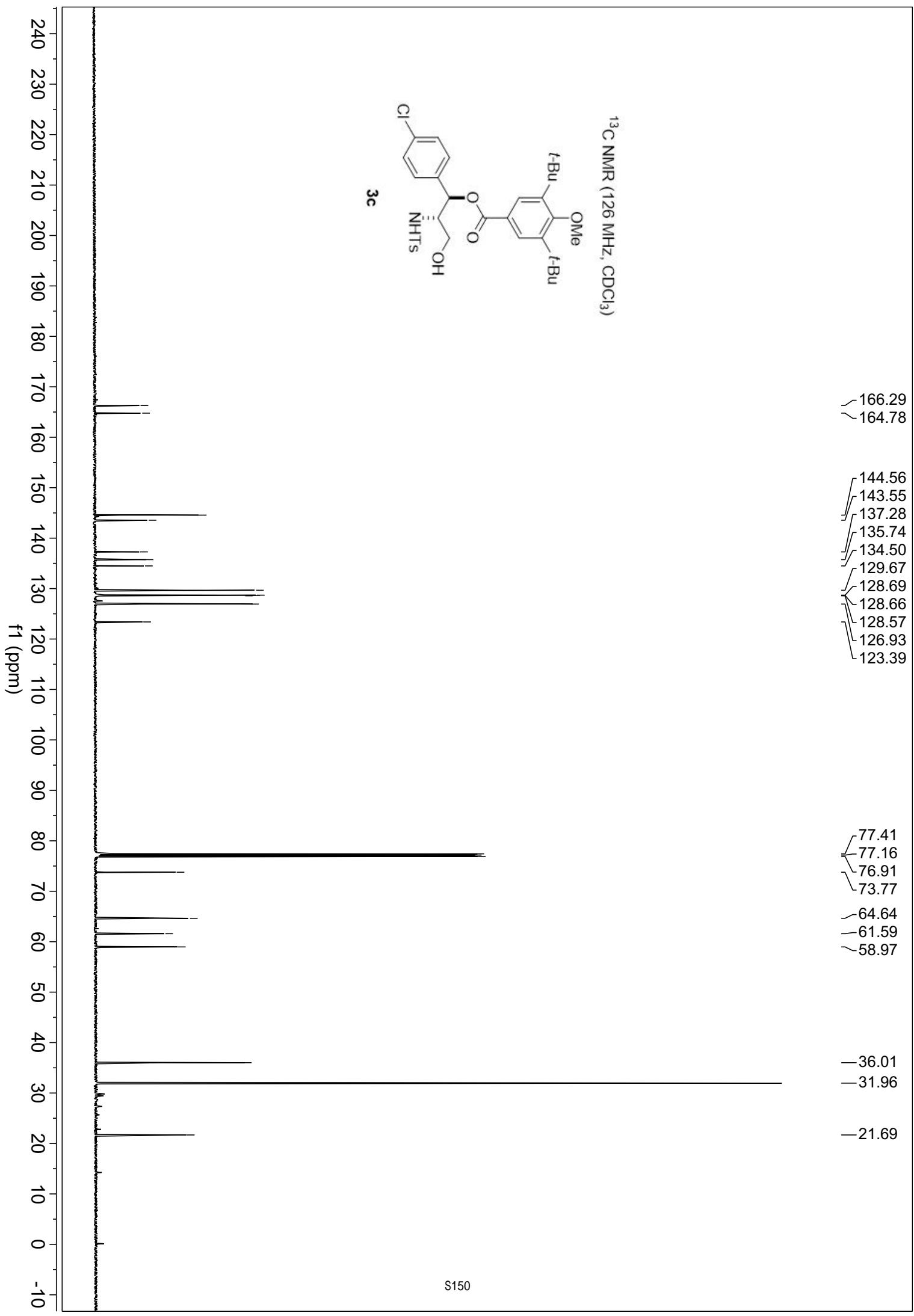
—113.21

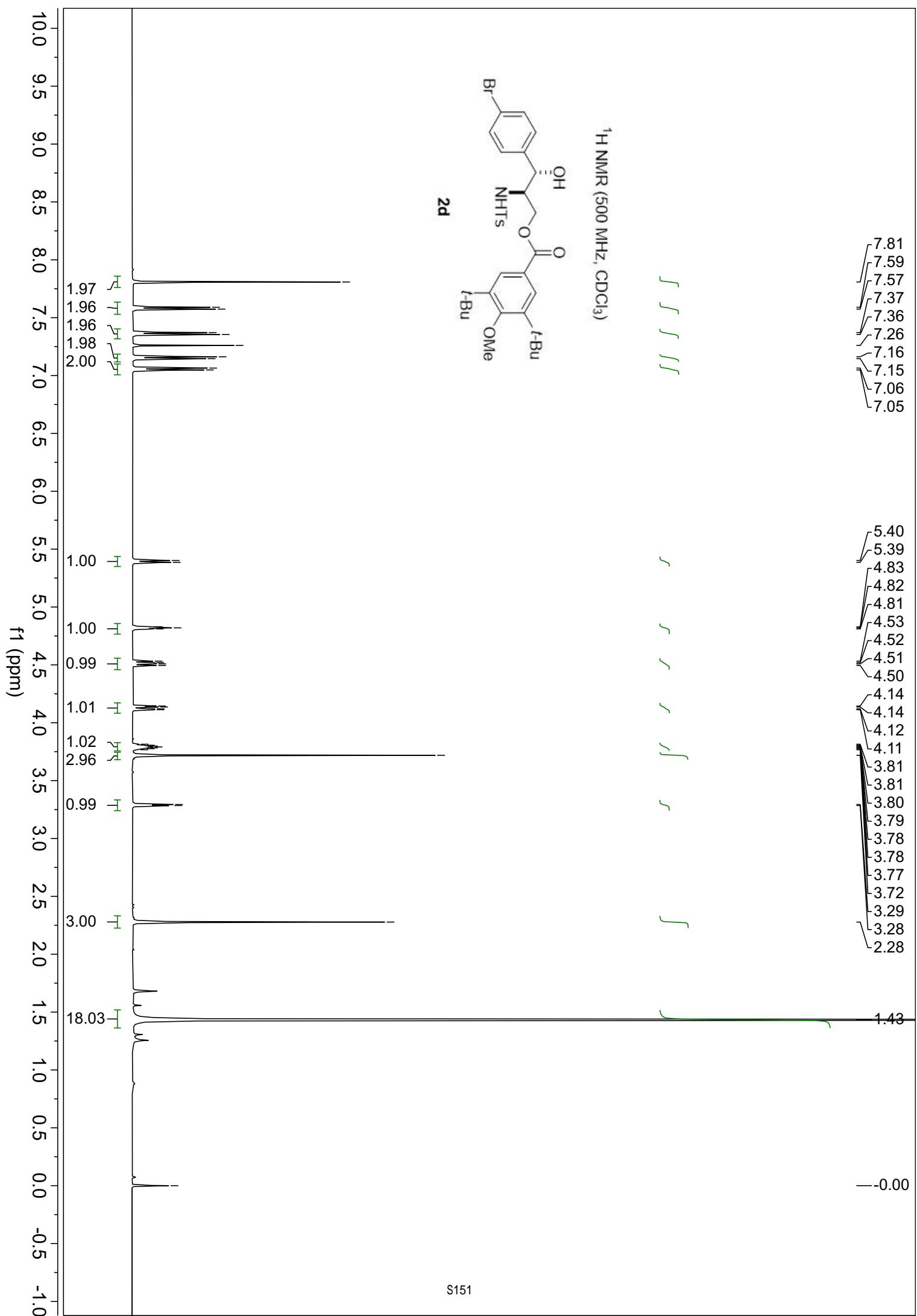


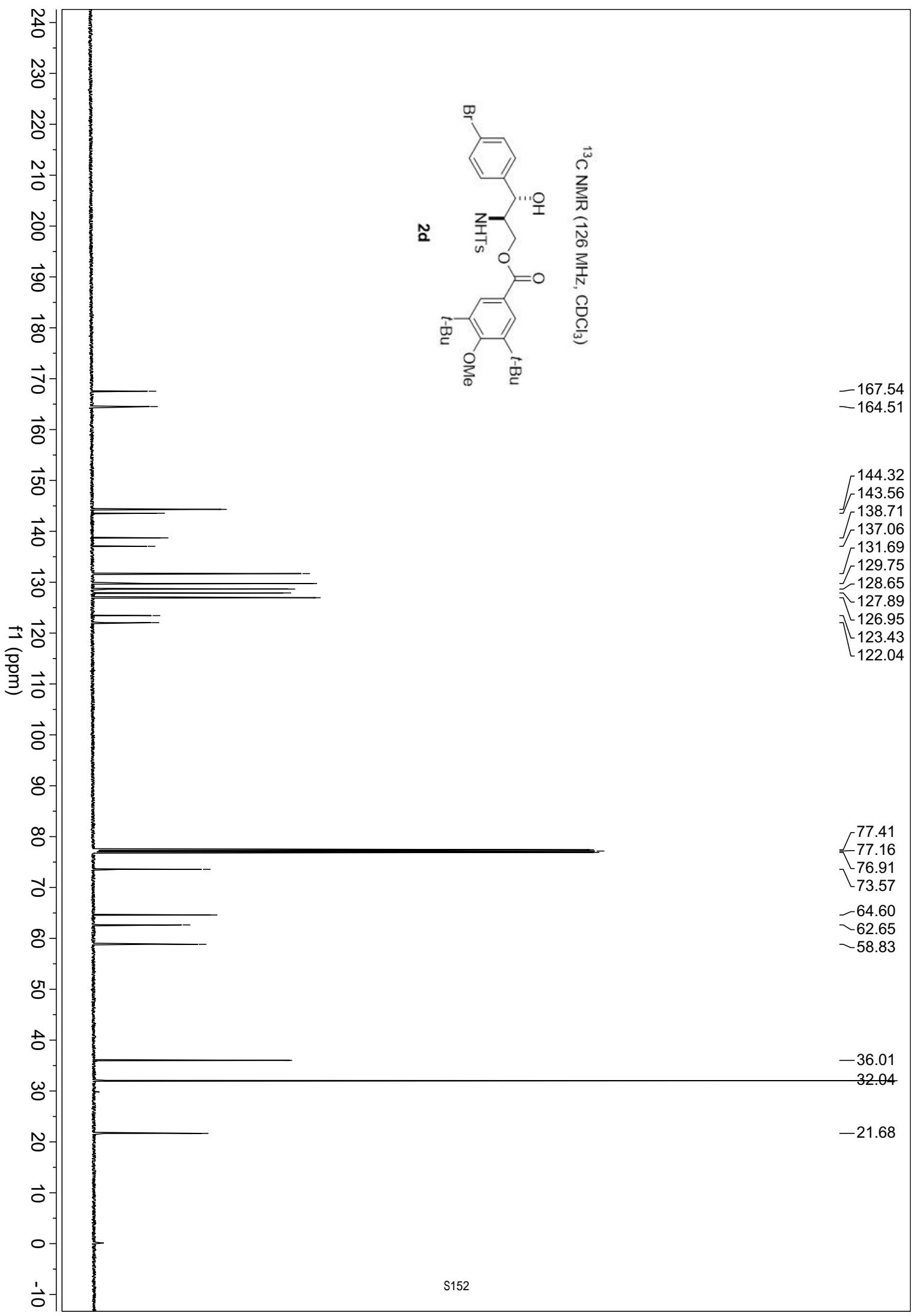


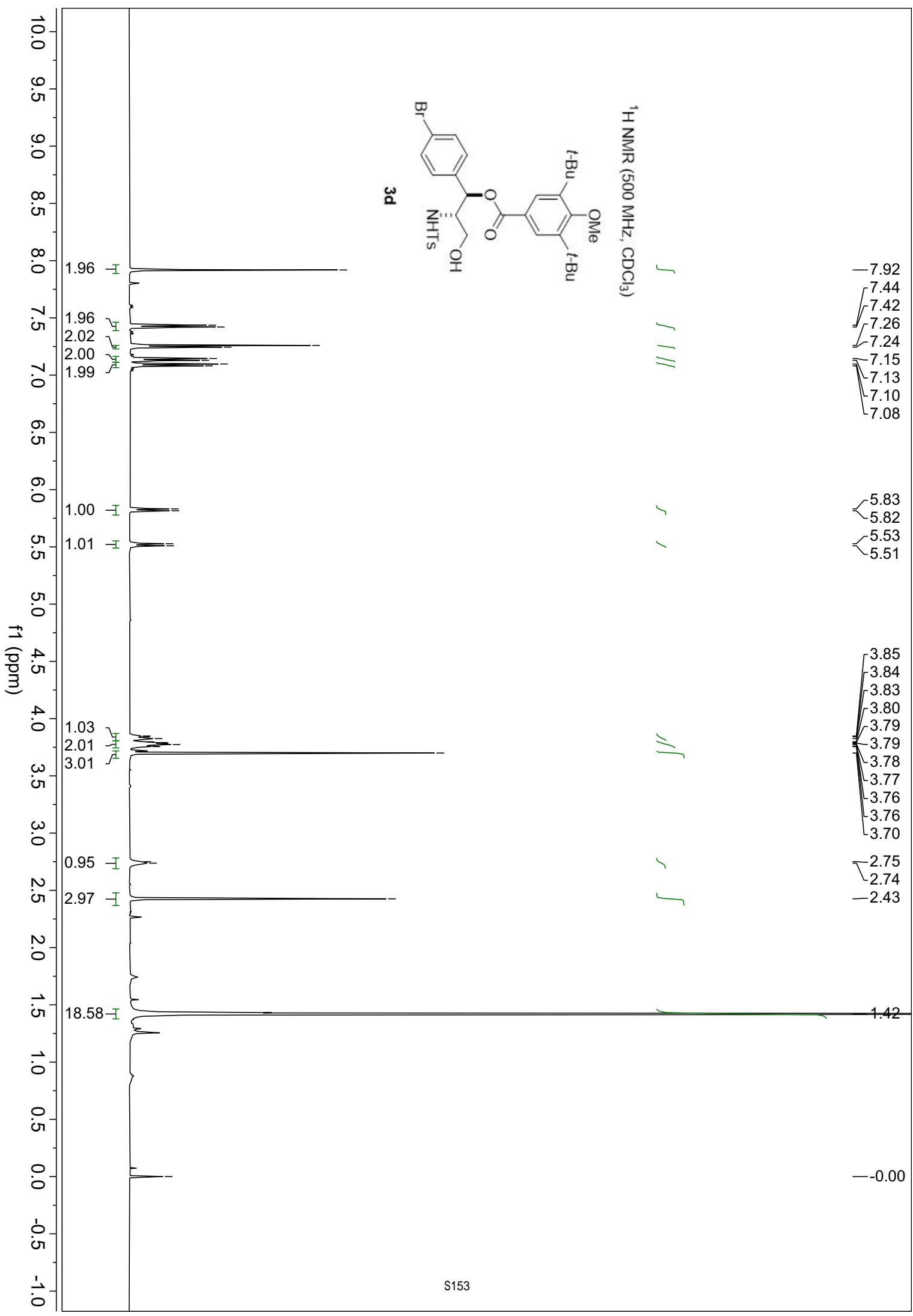


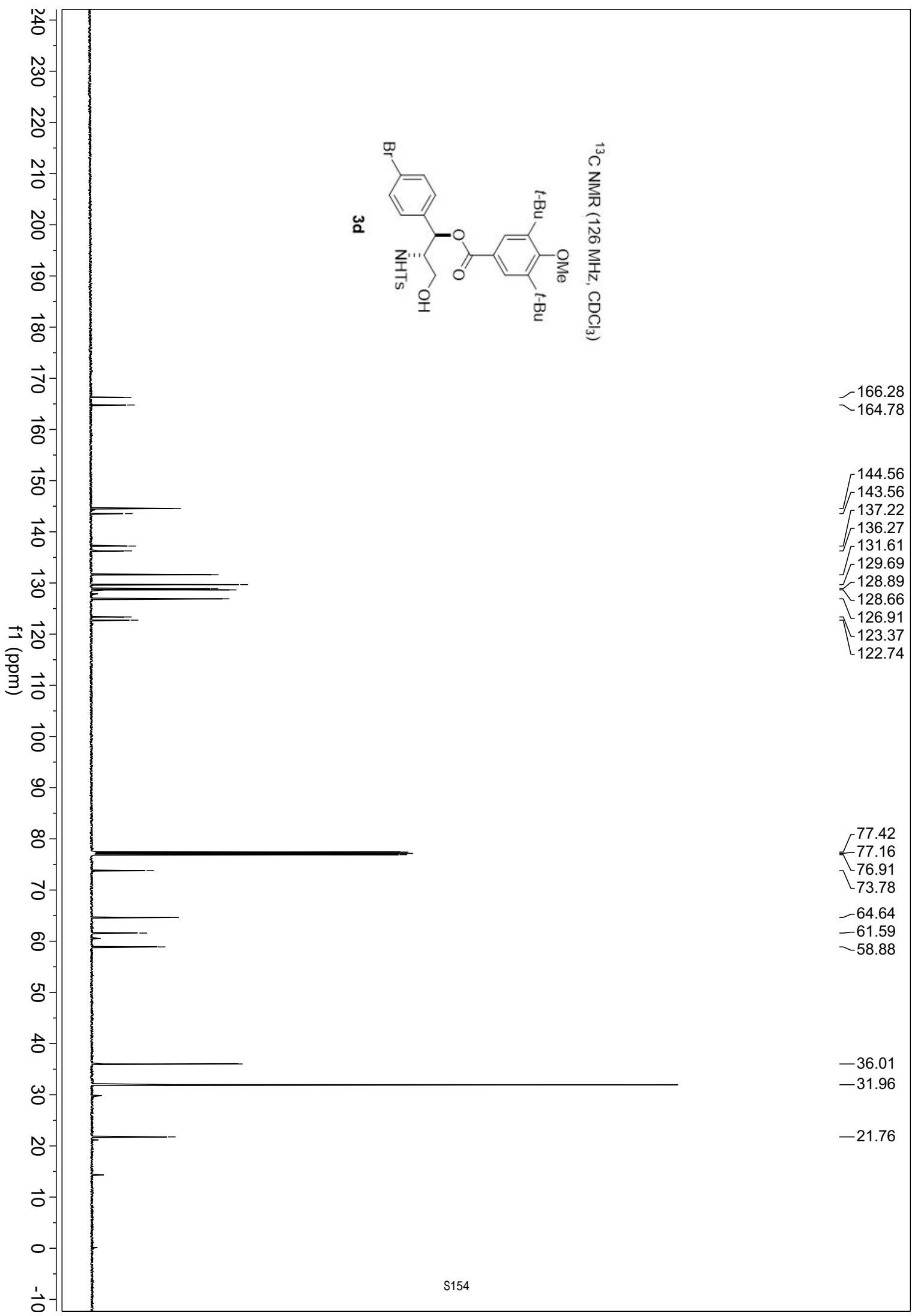


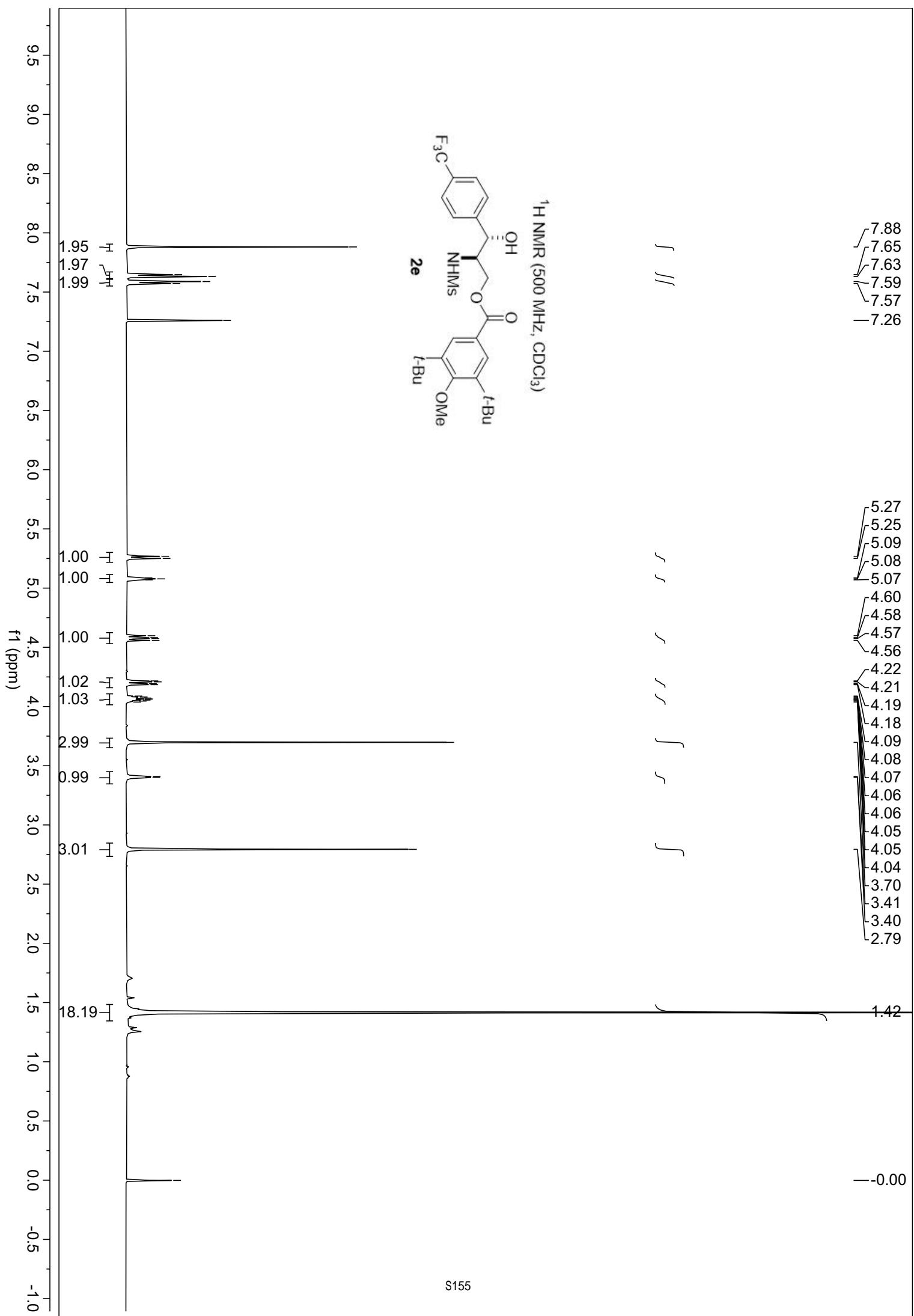


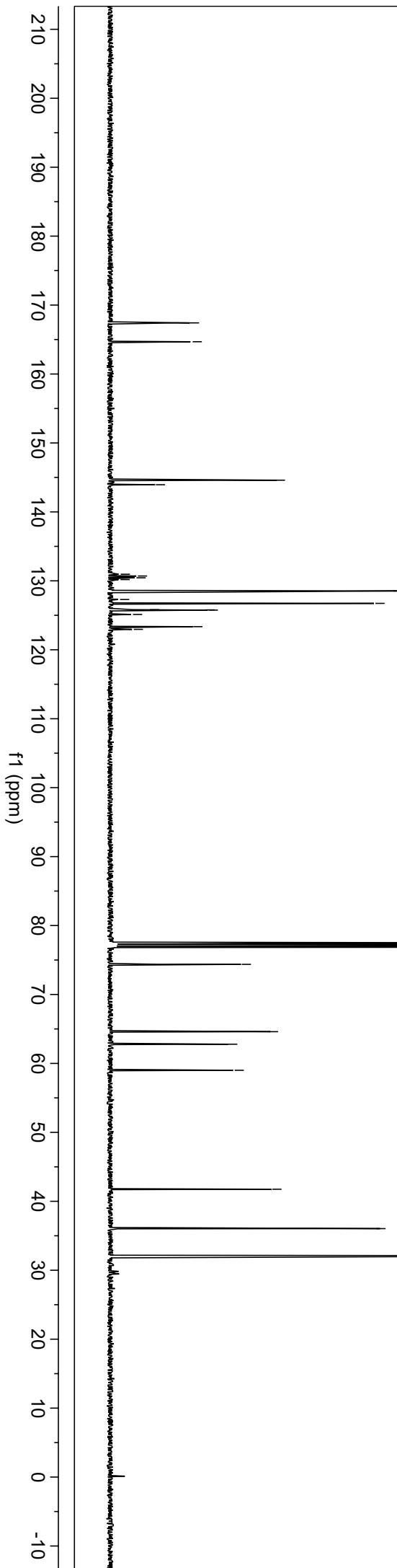




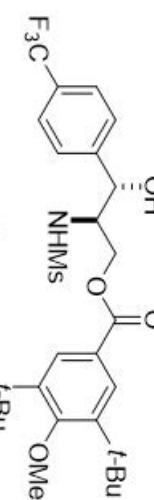






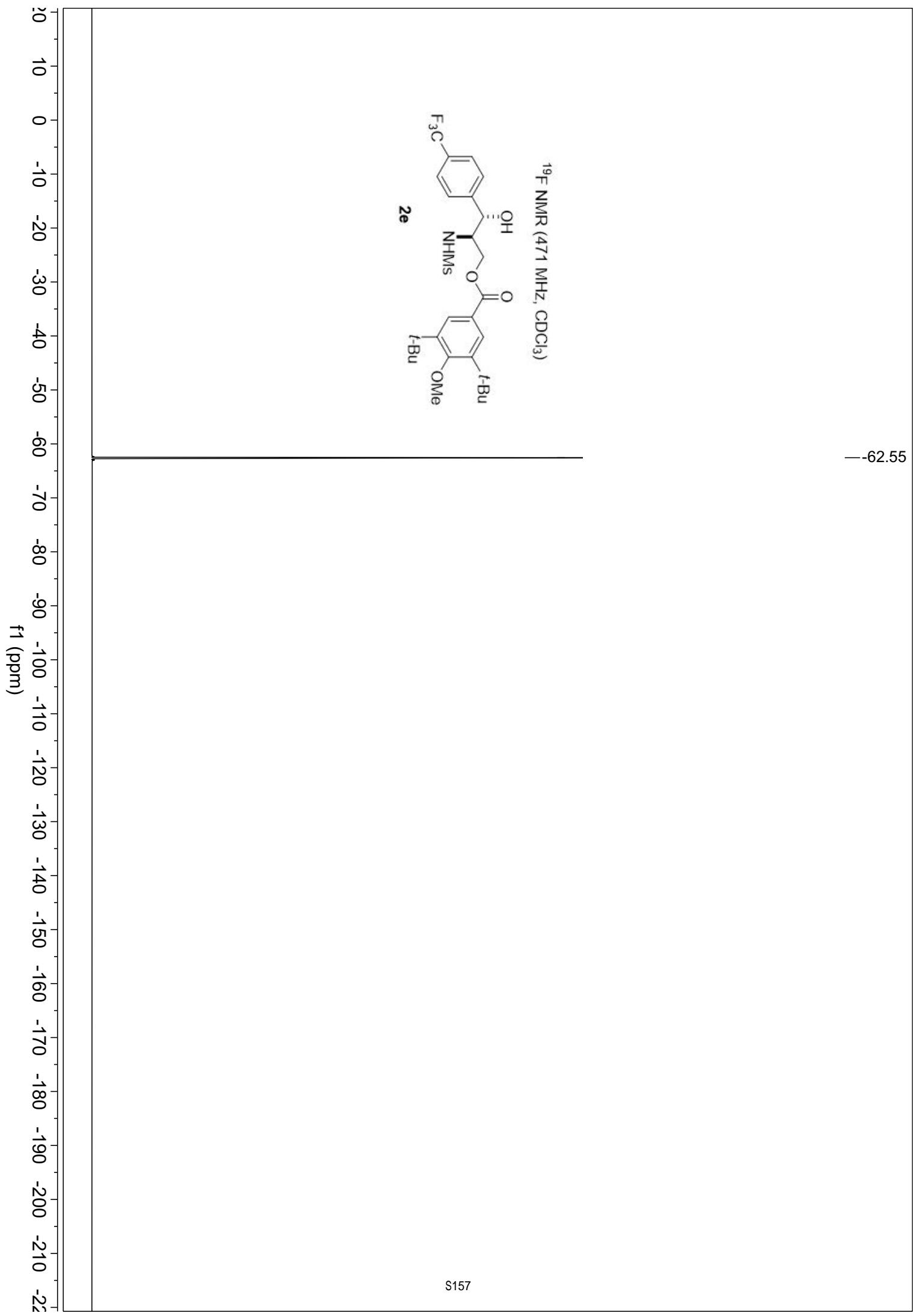


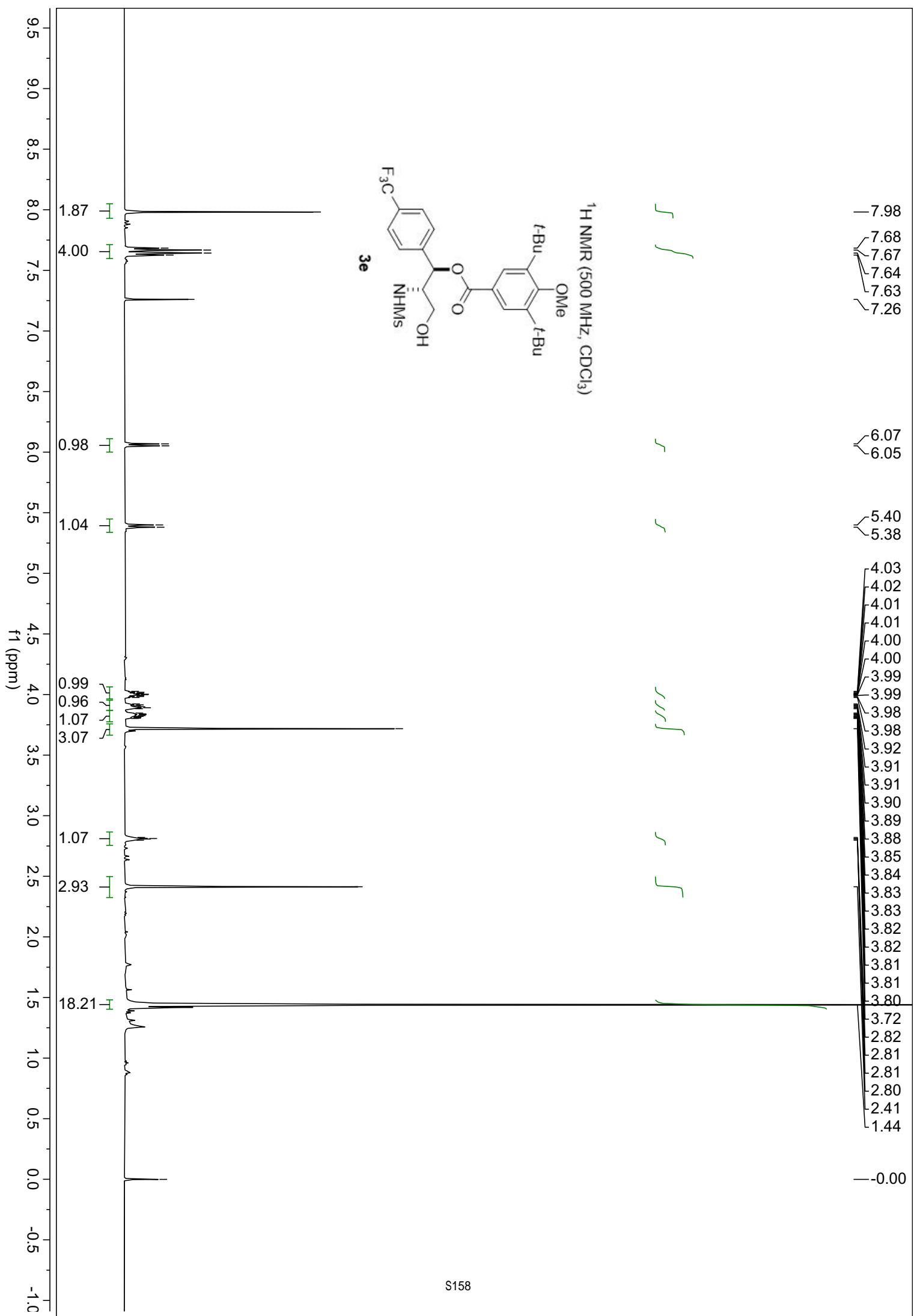
2e

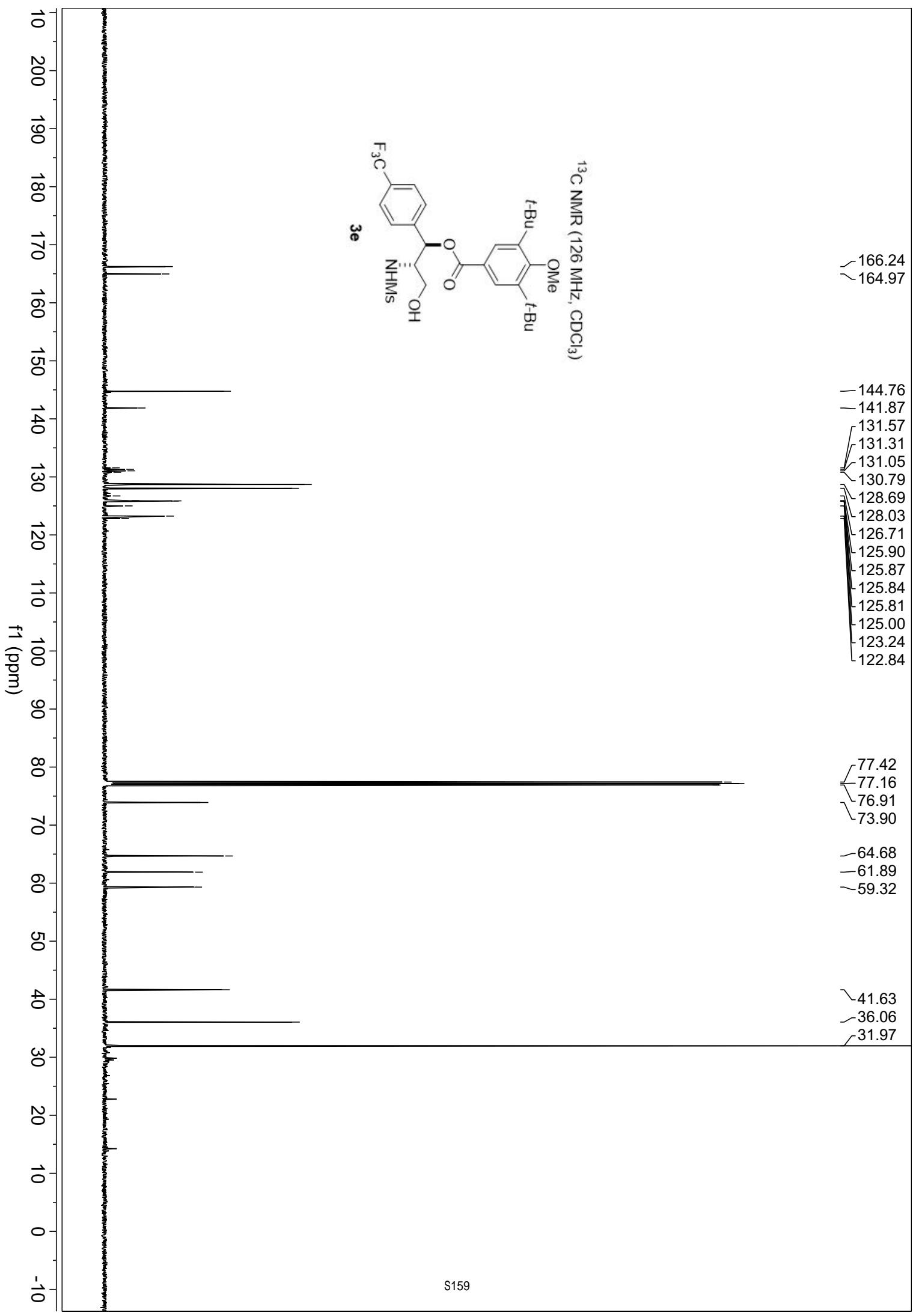


¹³C NMR (126 MHz, CDCl₃)

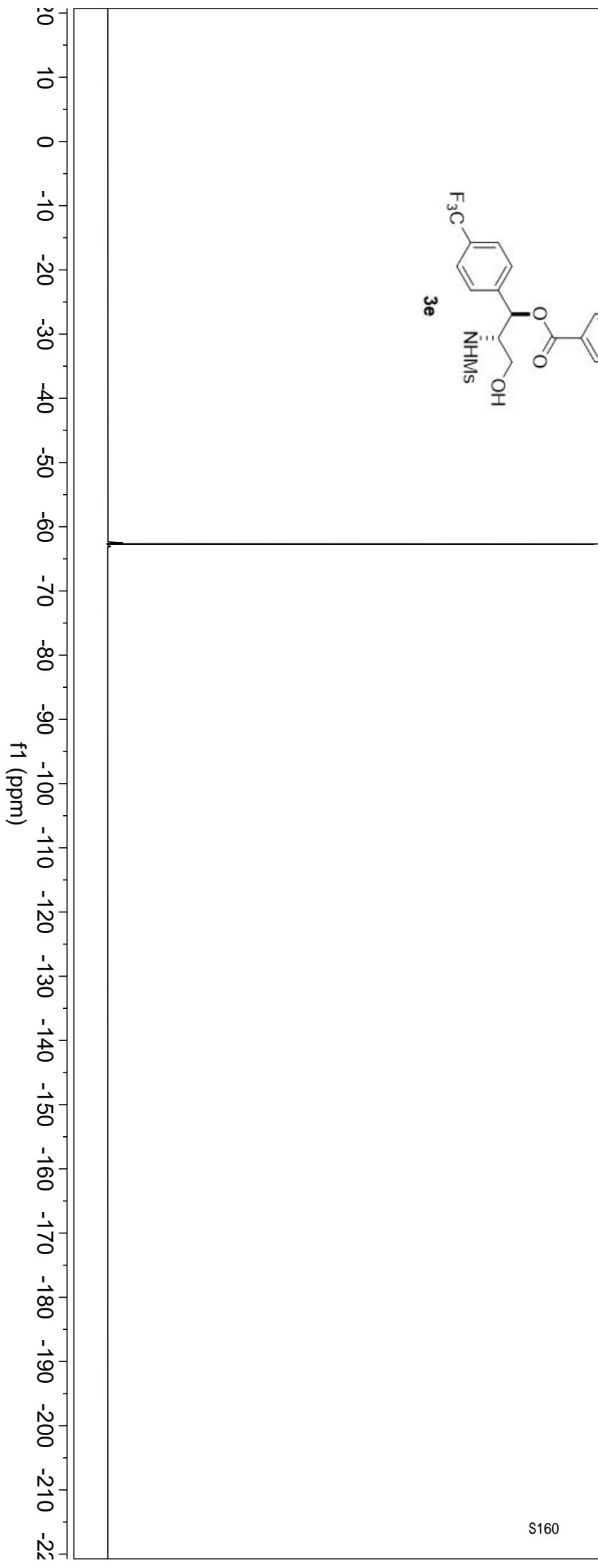
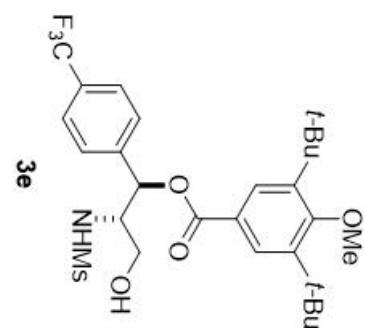
~167.42
~164.68
144.60
~143.94
130.96
130.70
130.44
130.18
128.53
~127.29
126.73
125.81
125.78
125.75
125.72
125.13
123.35
122.96
77.42
77.16
~76.91
74.37
~64.60
~62.79
~59.00
~41.75
~36.04
~31.99

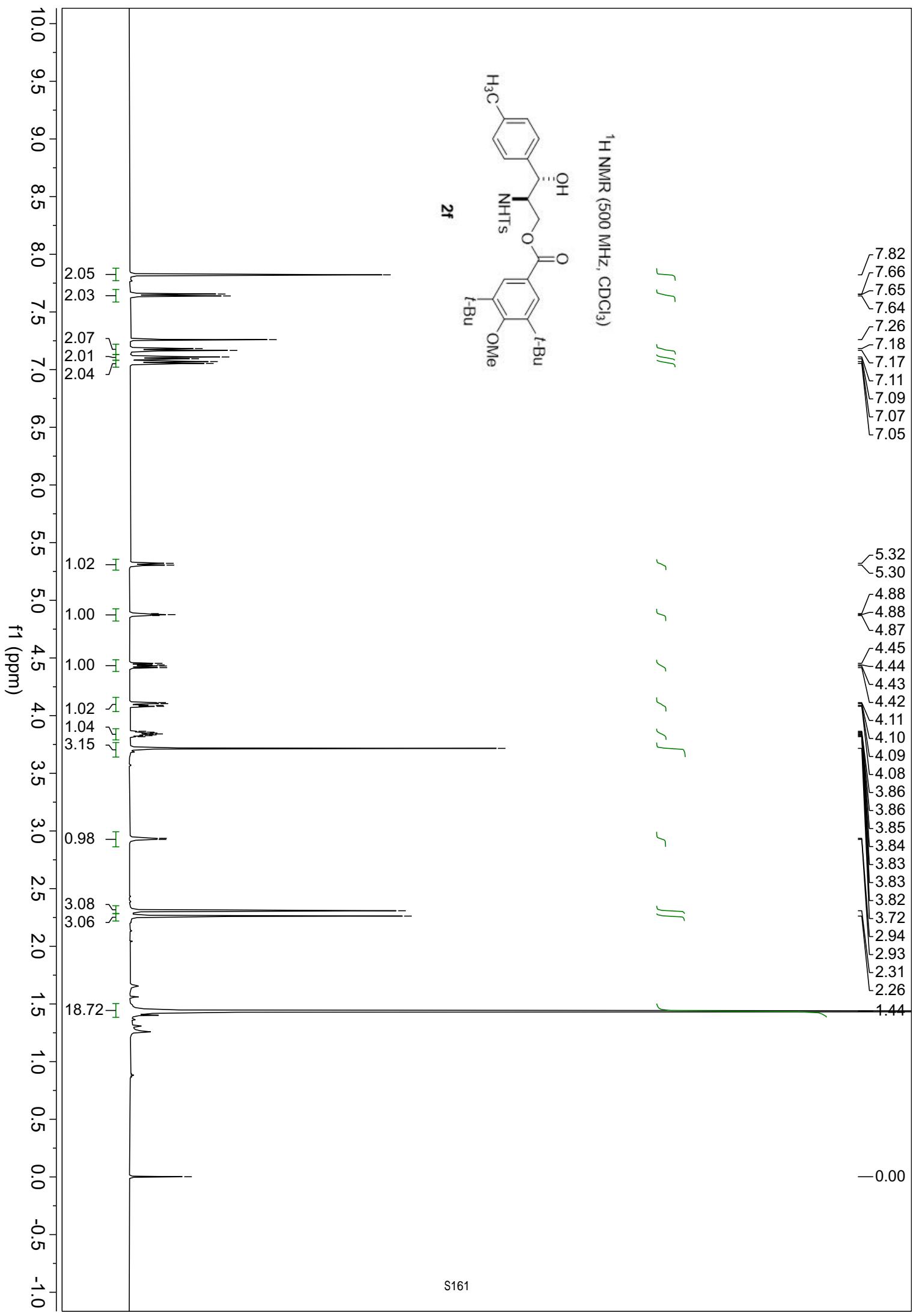


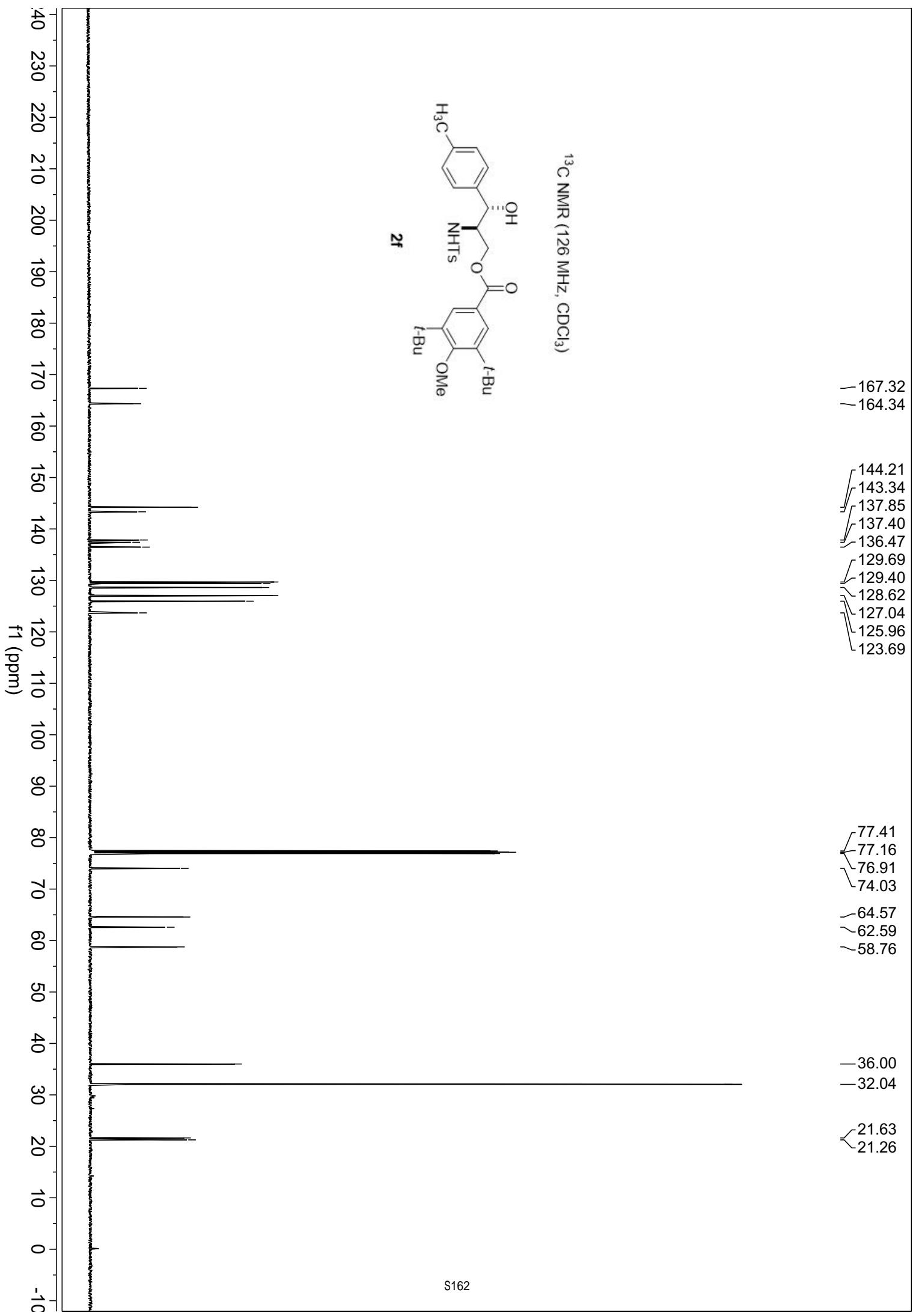


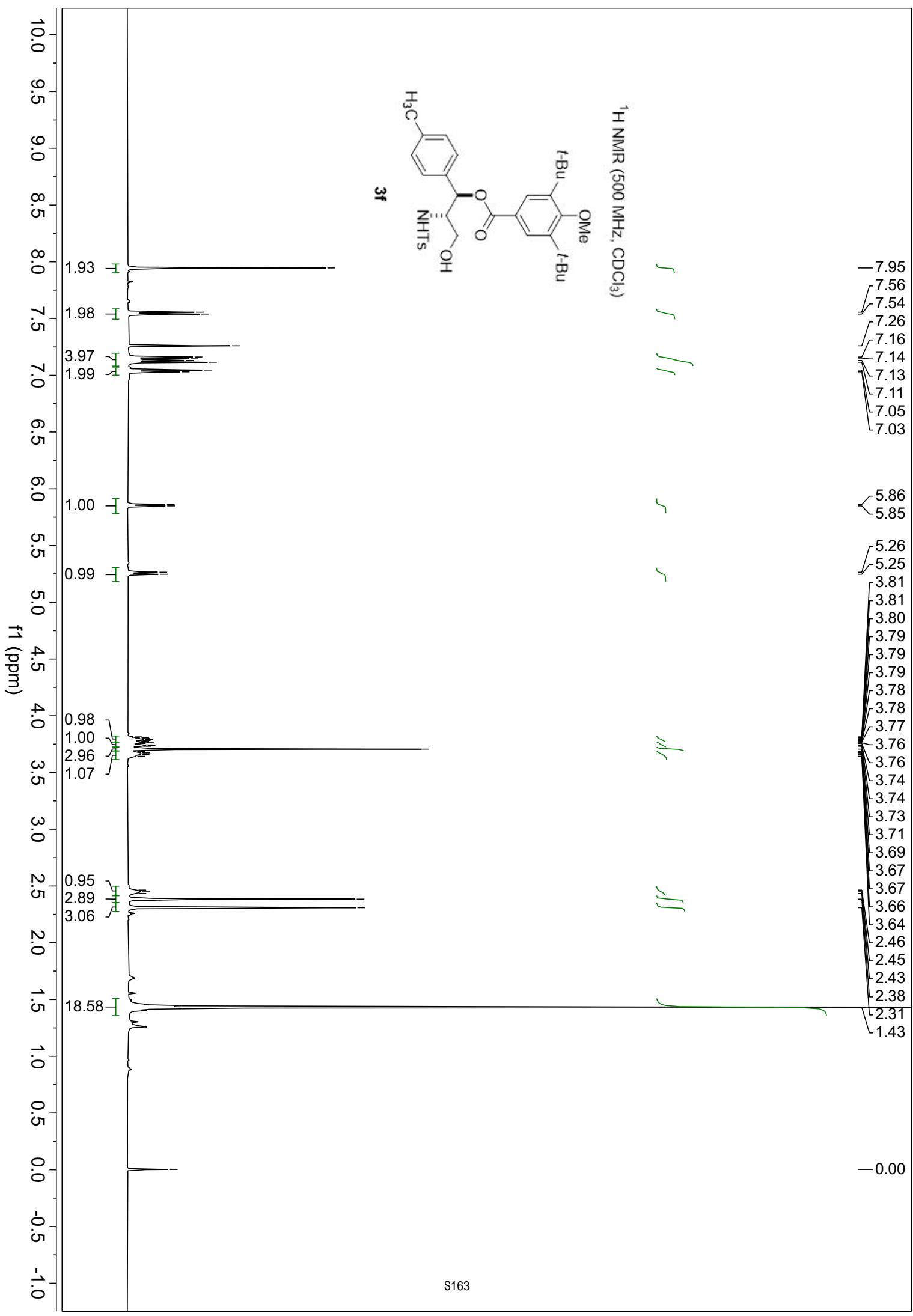


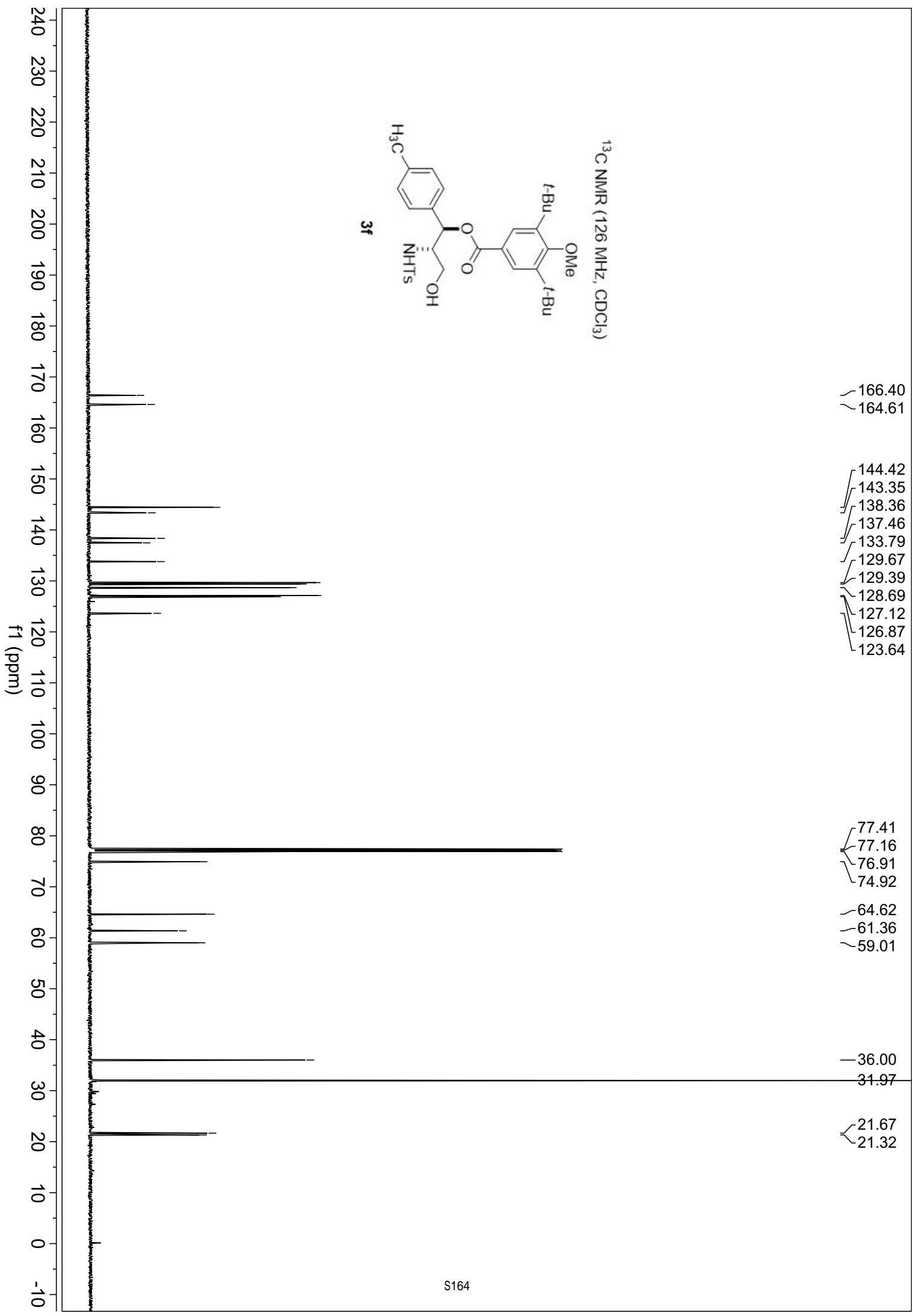
¹⁹F NMR (471 MHz, CDCl₃)

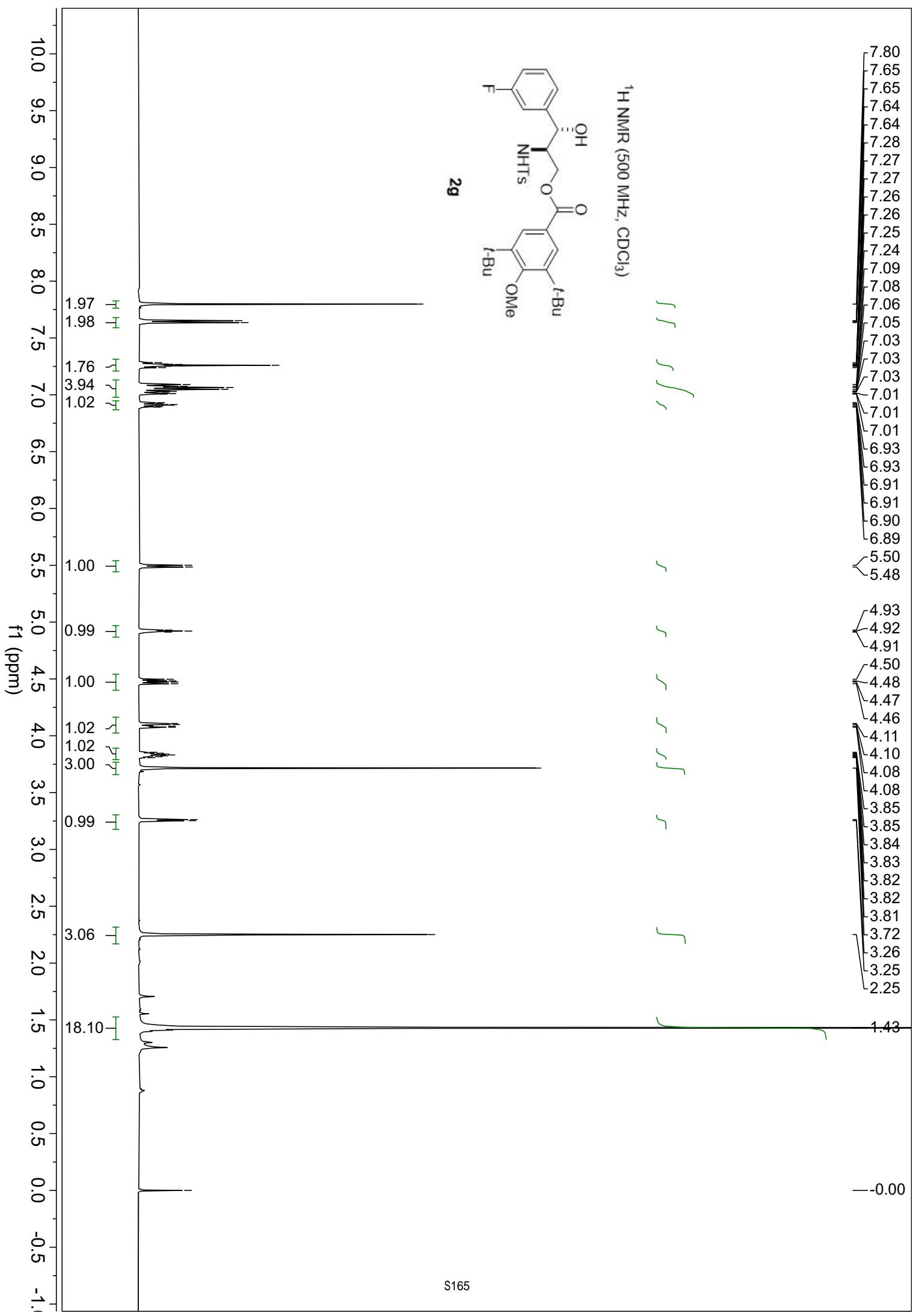


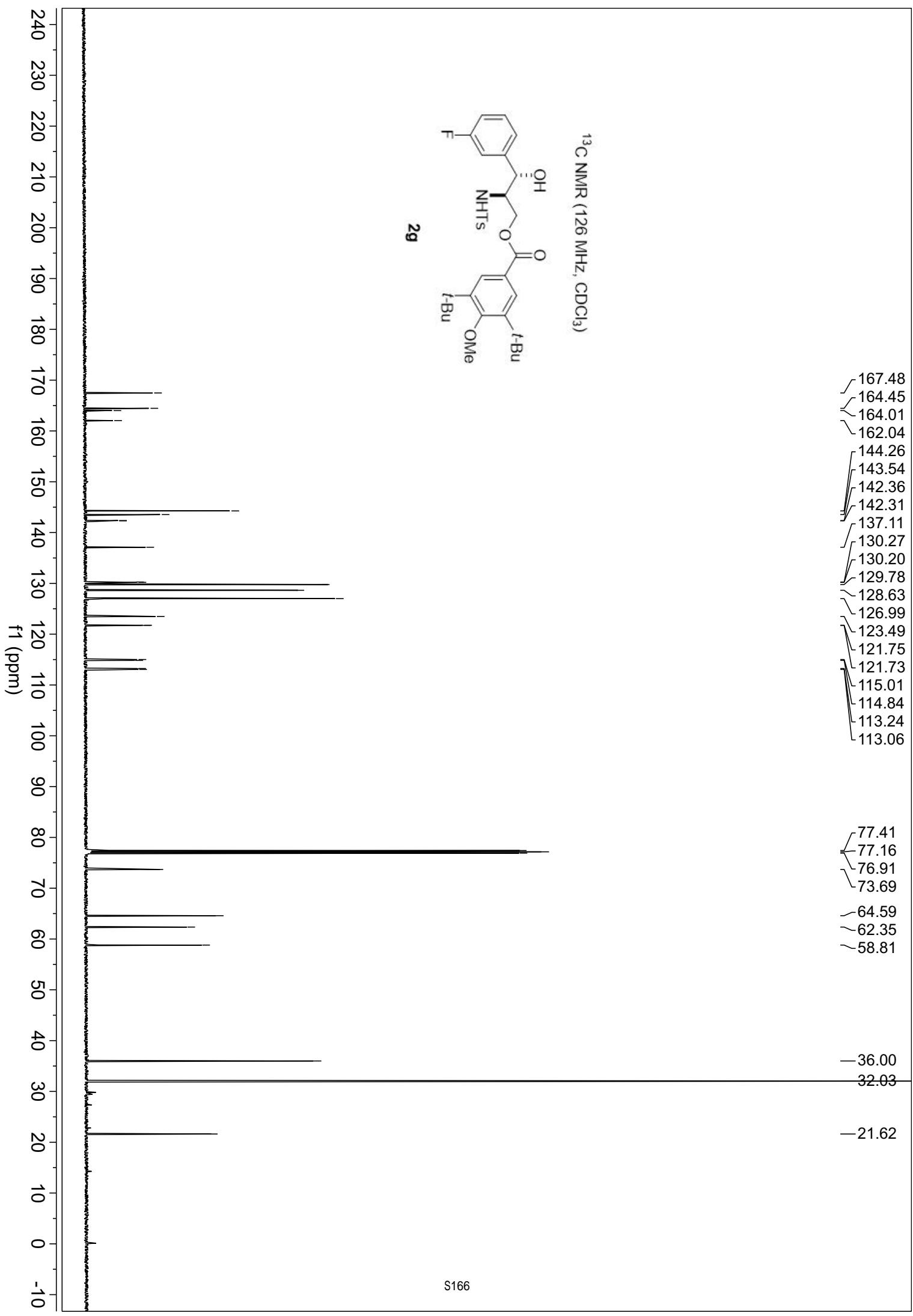




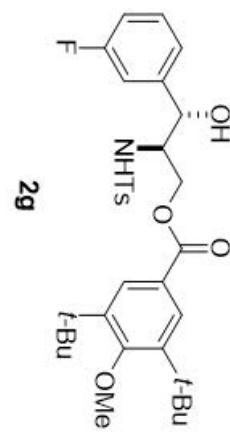






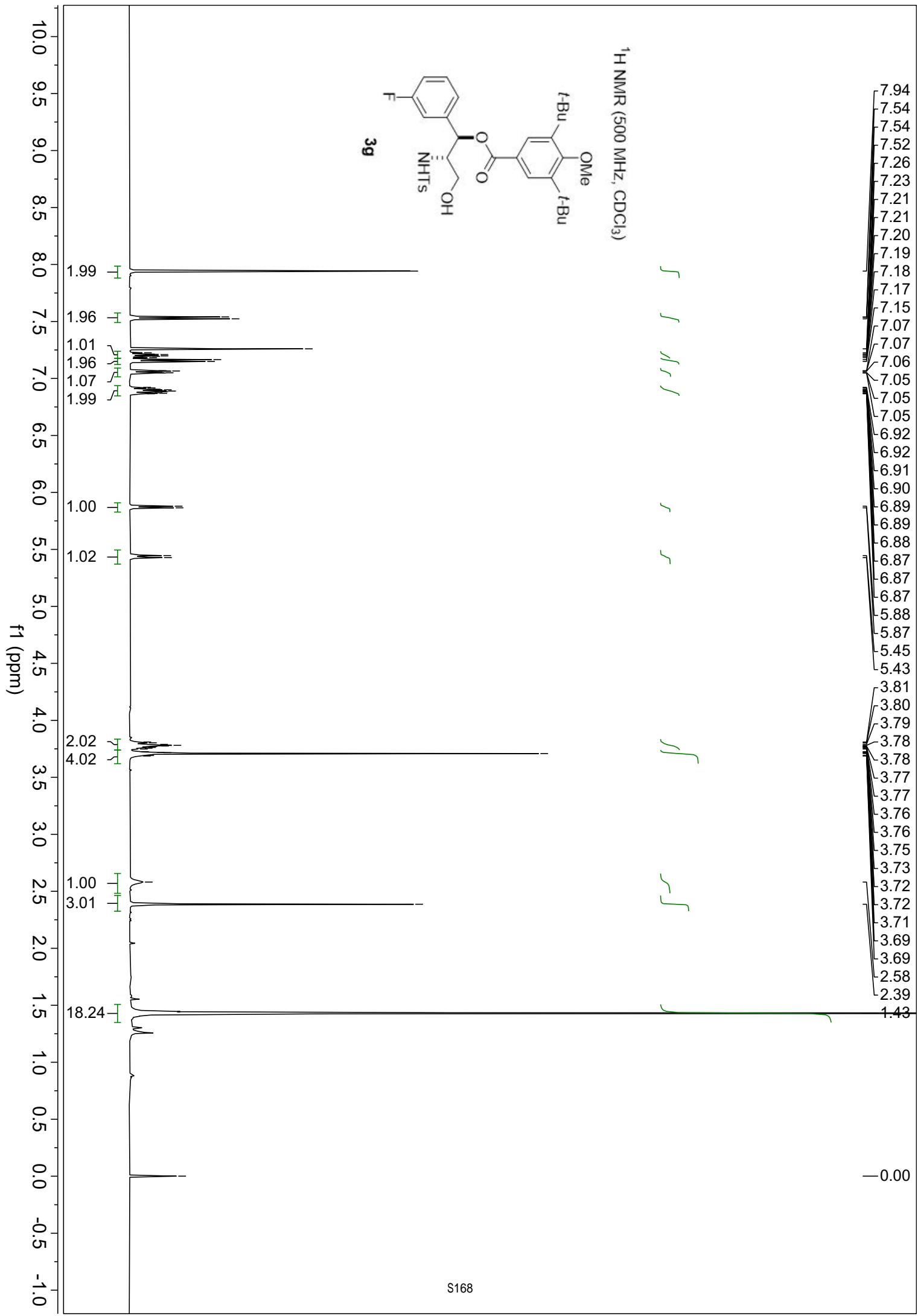


¹⁹F NMR (471 MHz, CDCl₃)

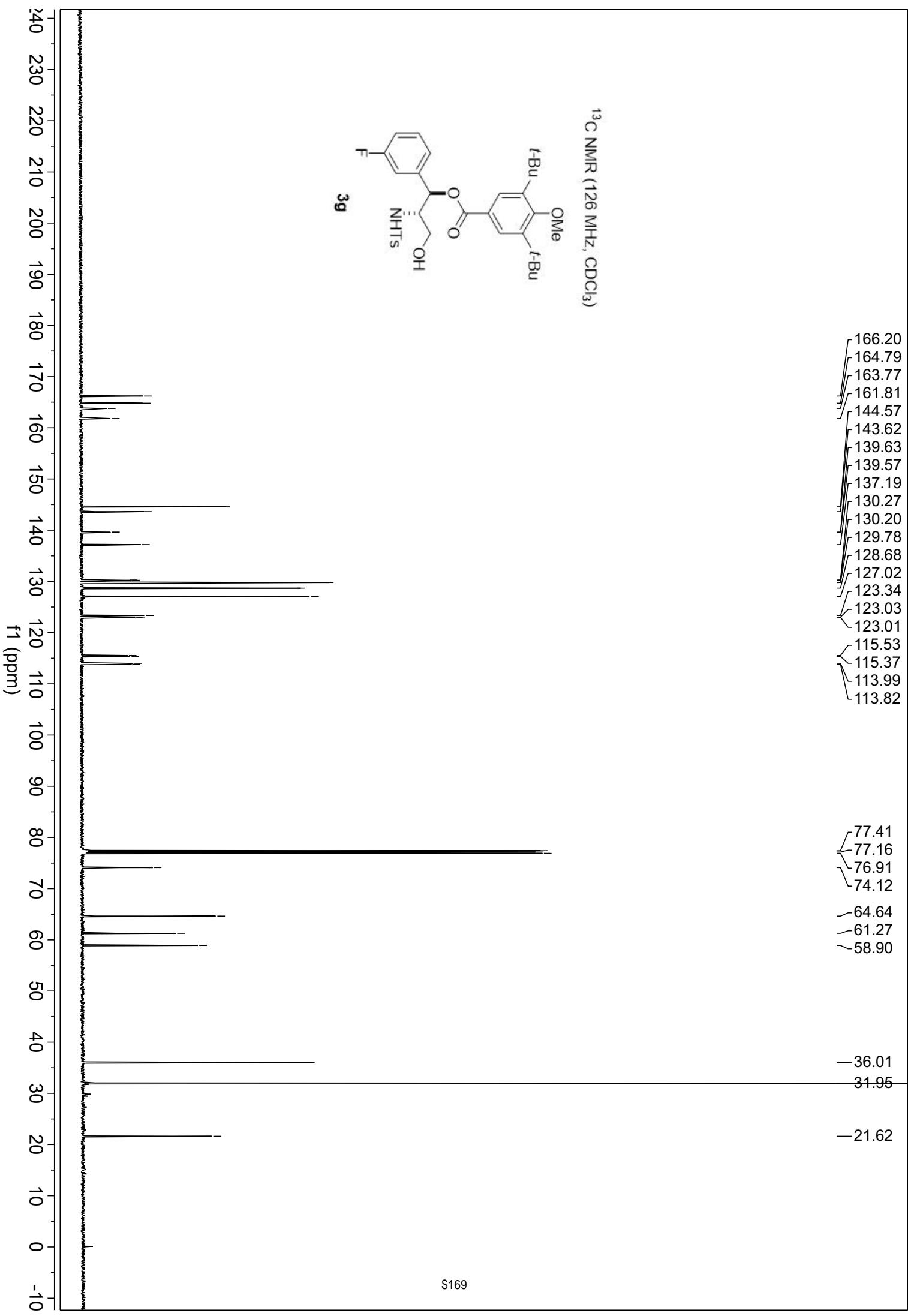
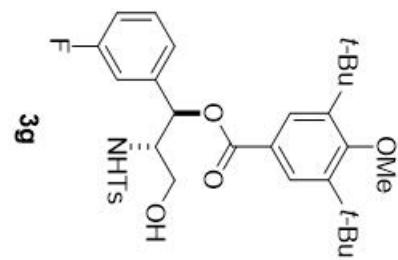


—112.00

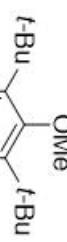
-20 -10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210 -220



¹³C NMR (126 MHz, CDCl₃)

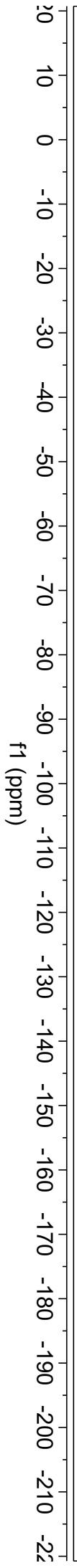


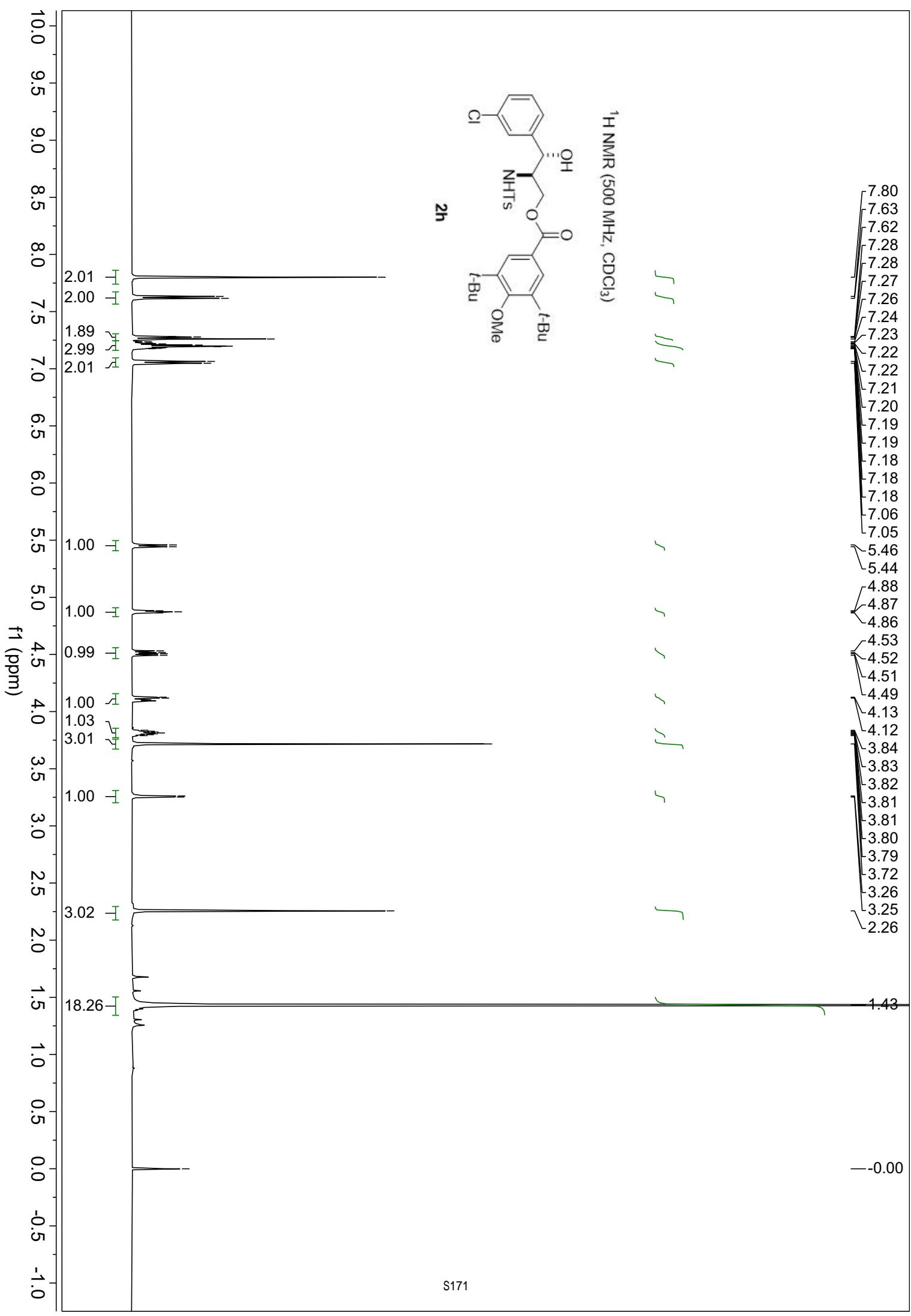
¹⁹F NMR (471 MHz, CDCl₃)

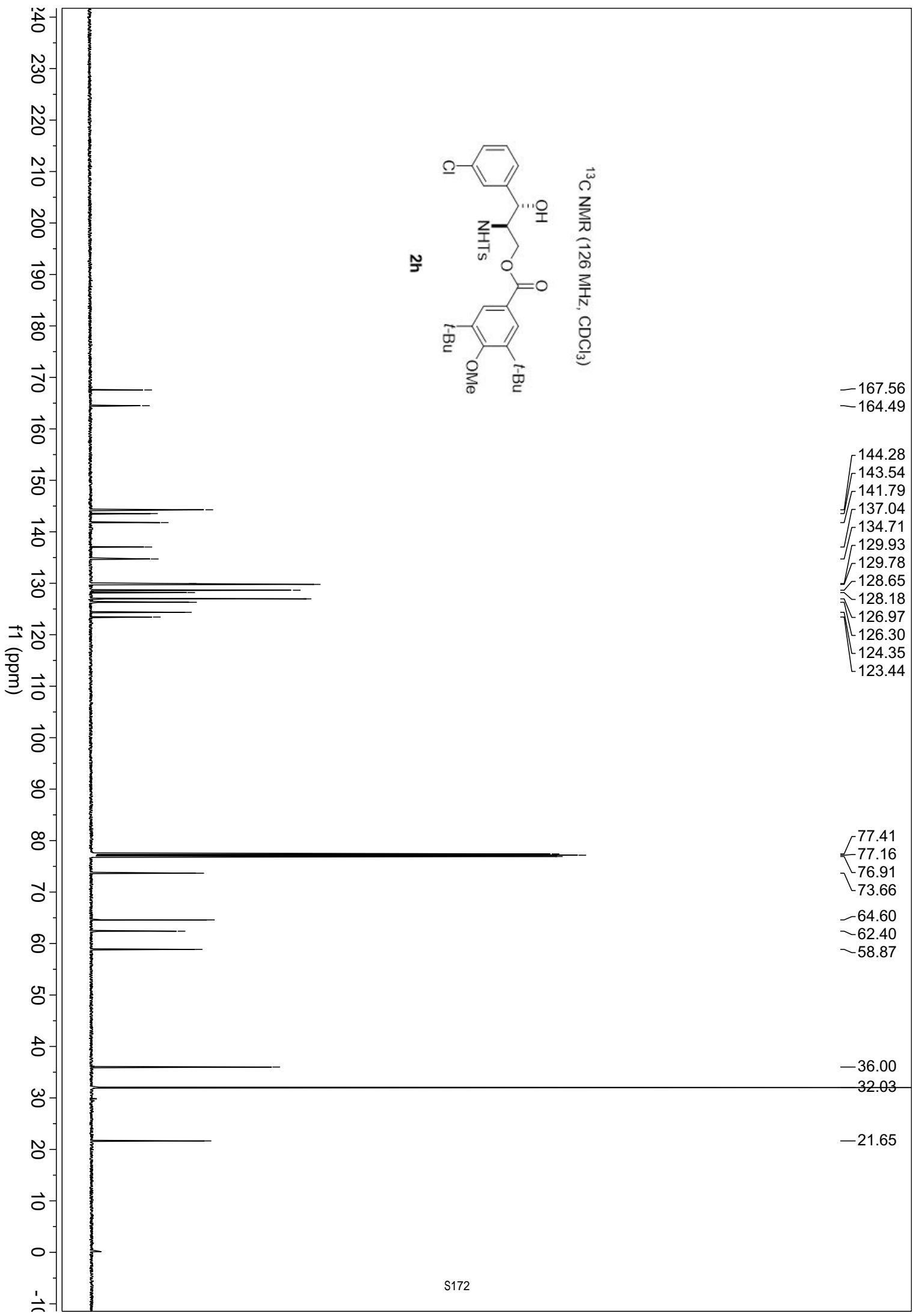


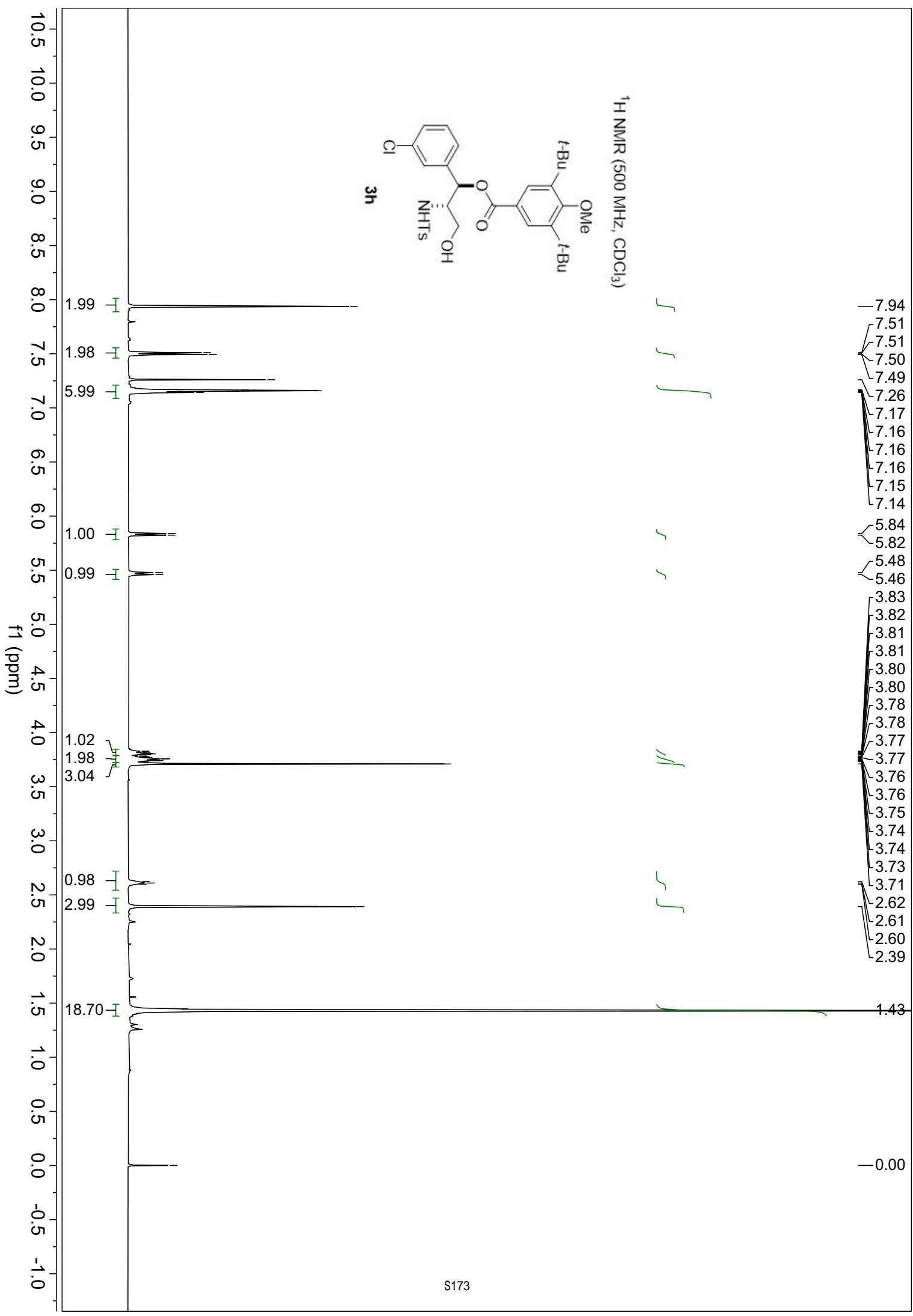
3g

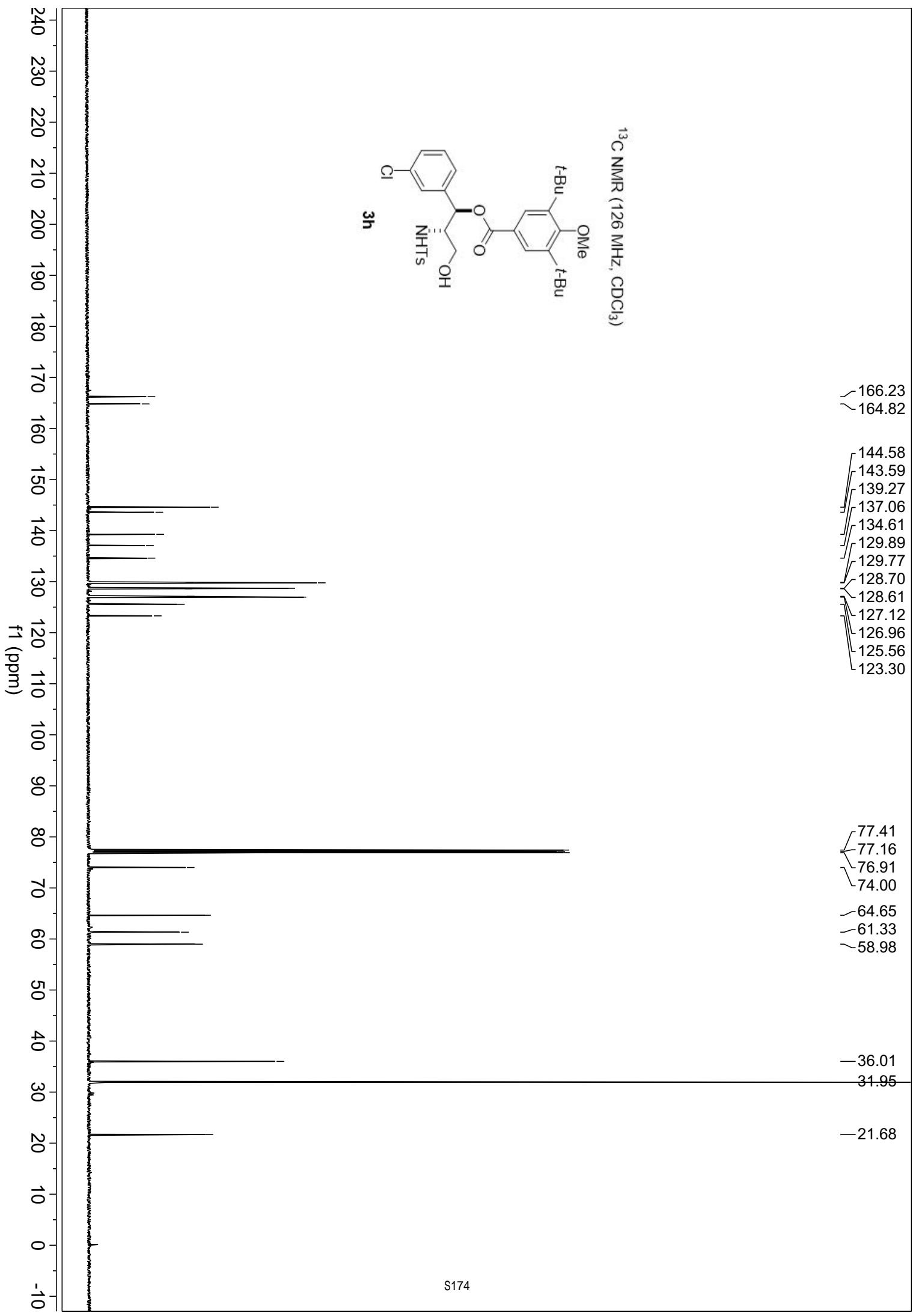
--112.06

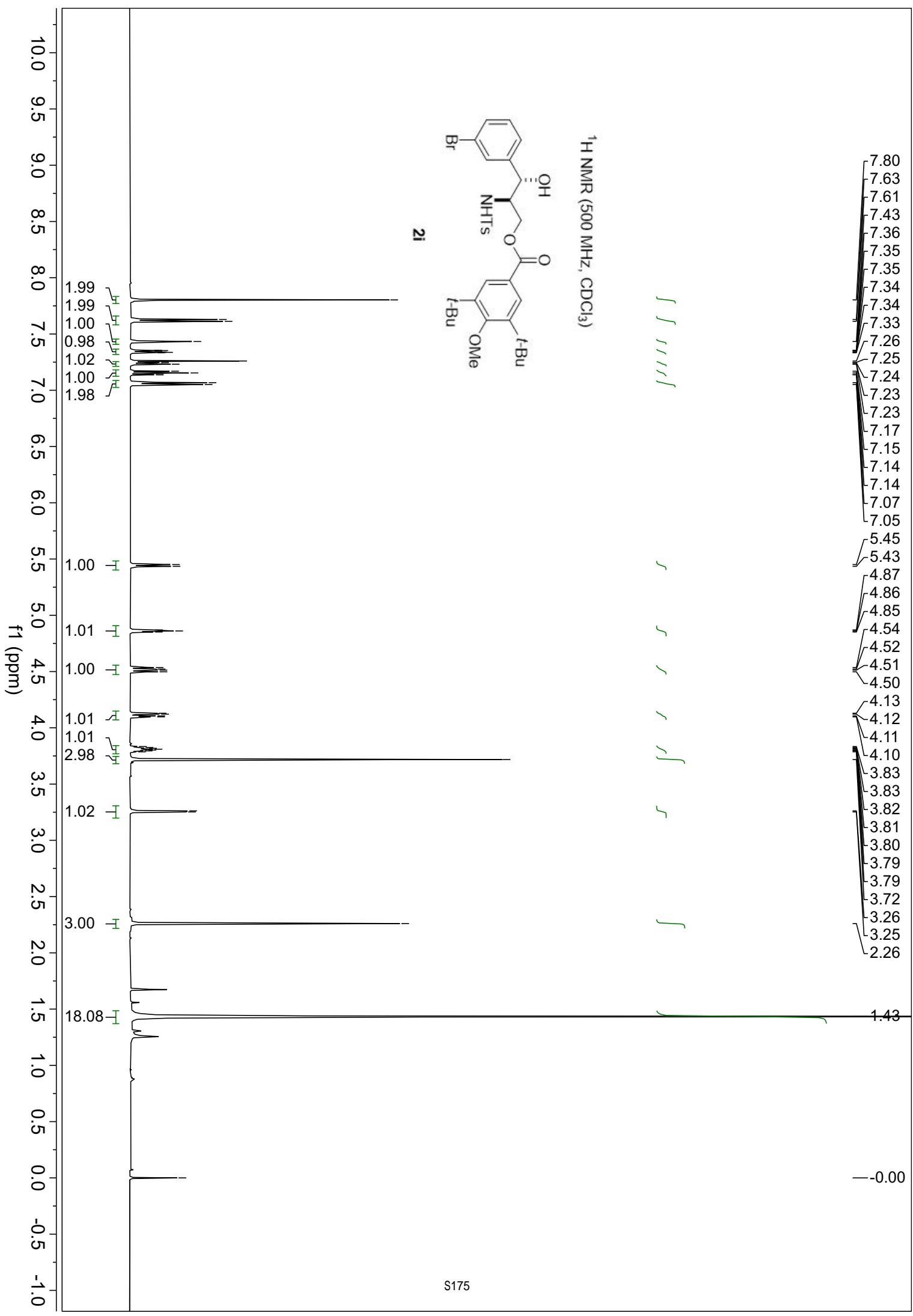


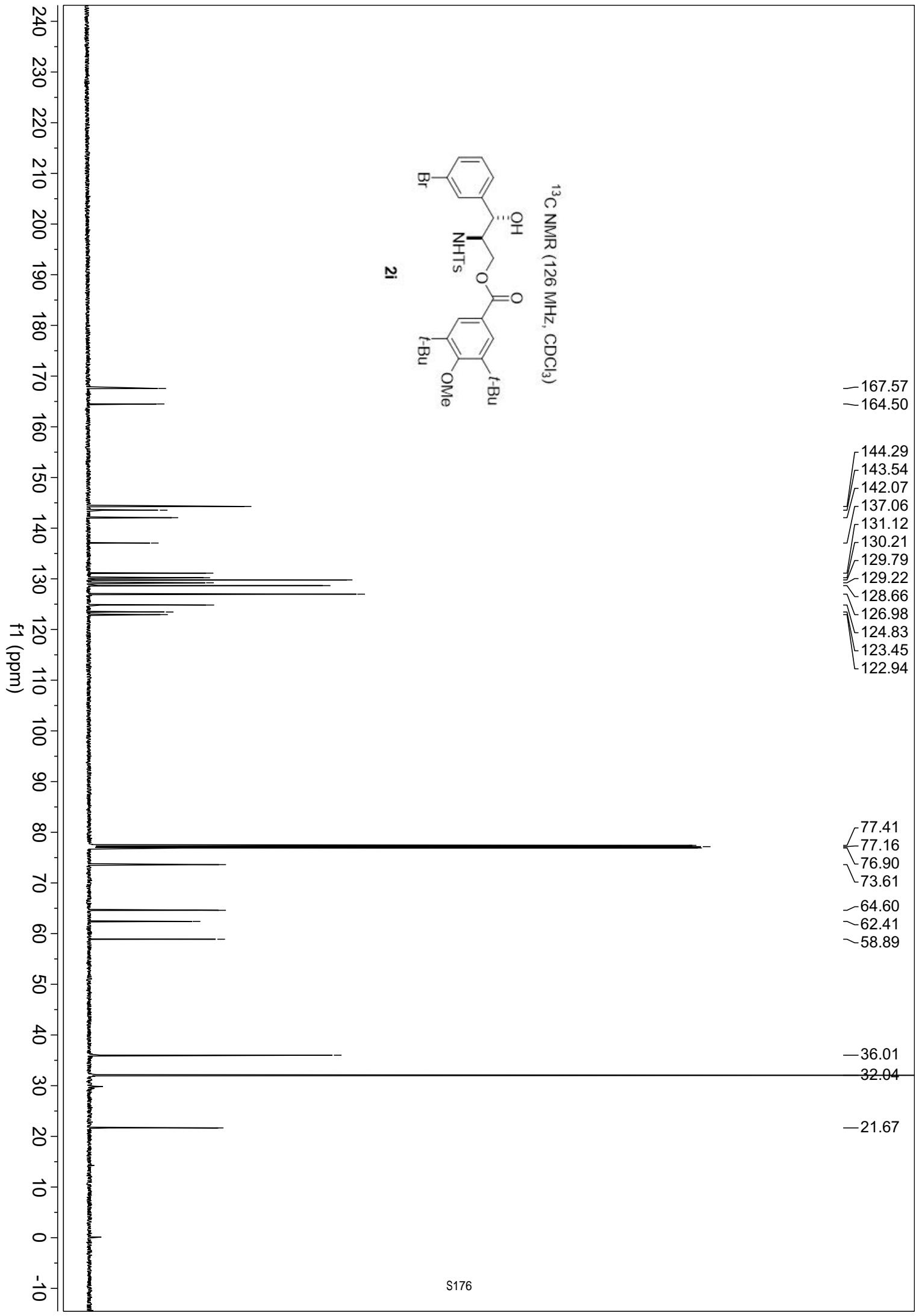


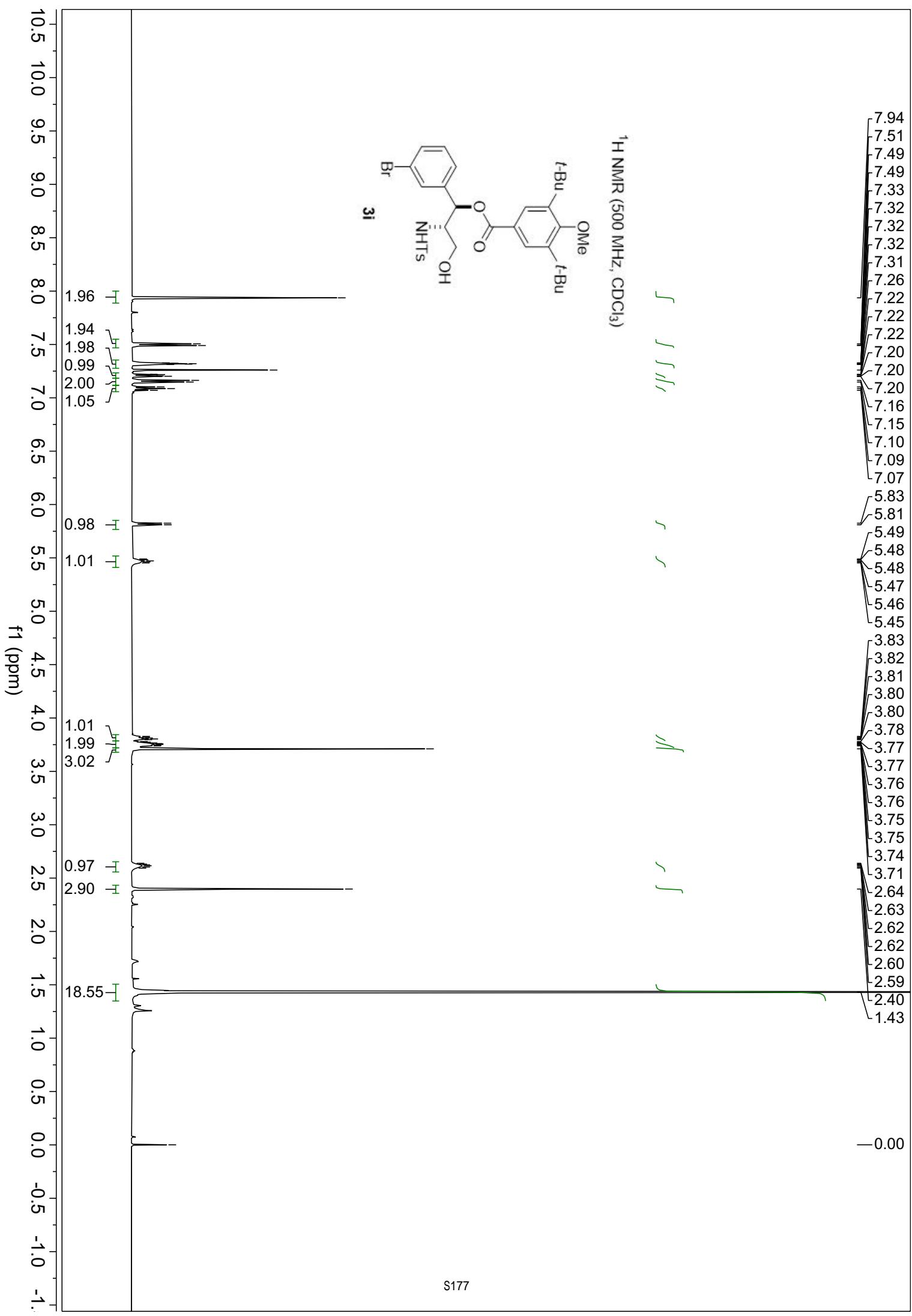


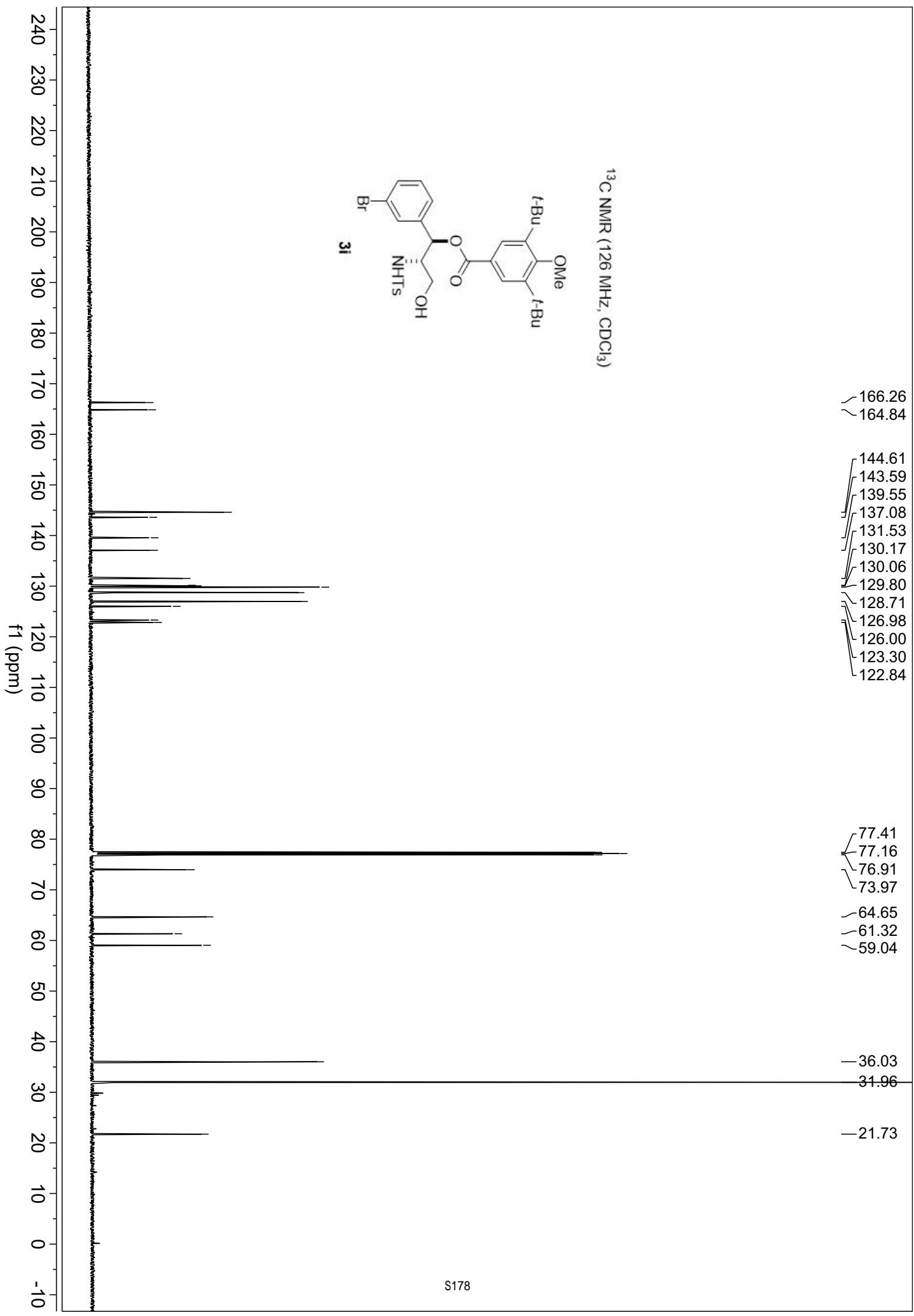


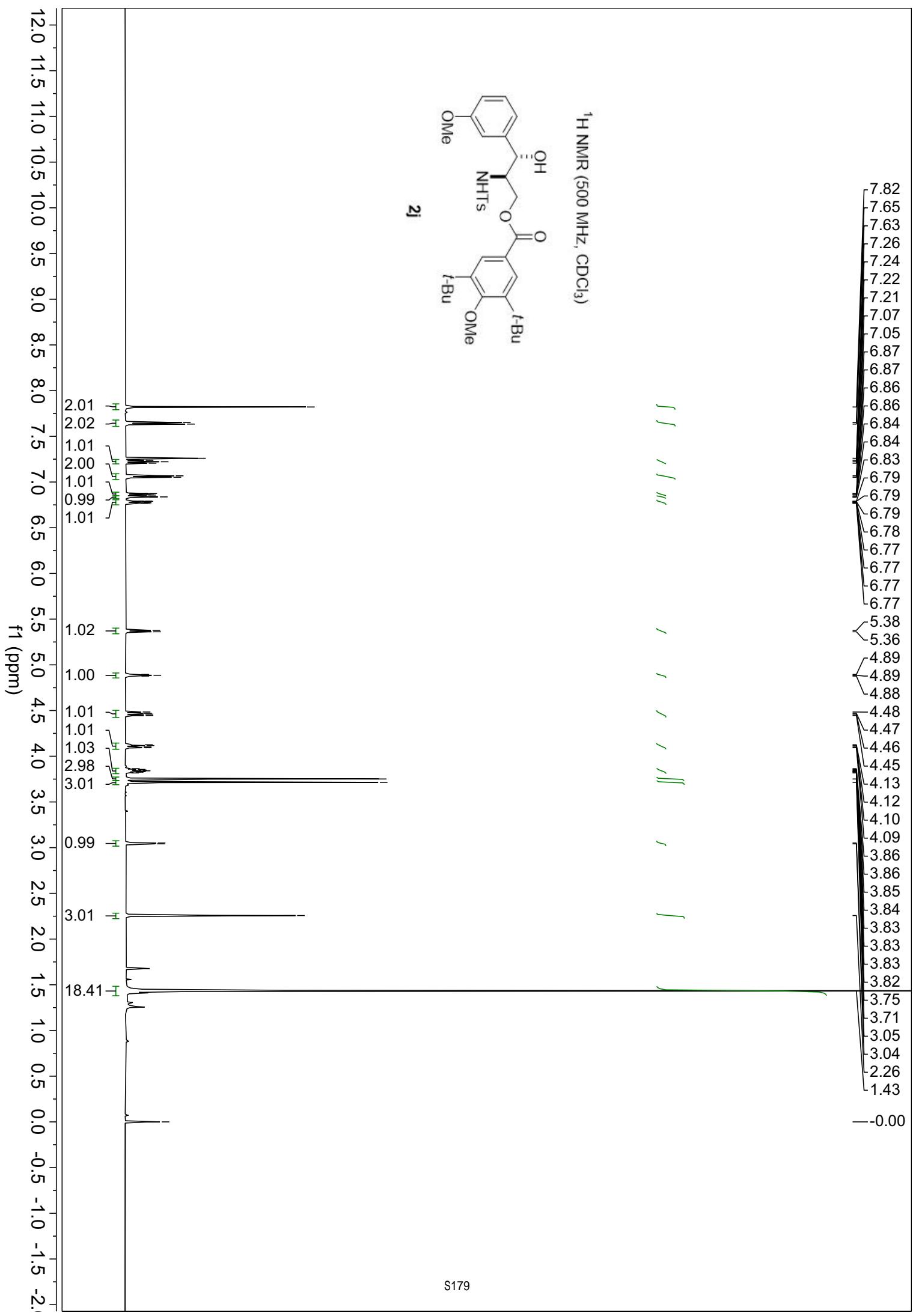


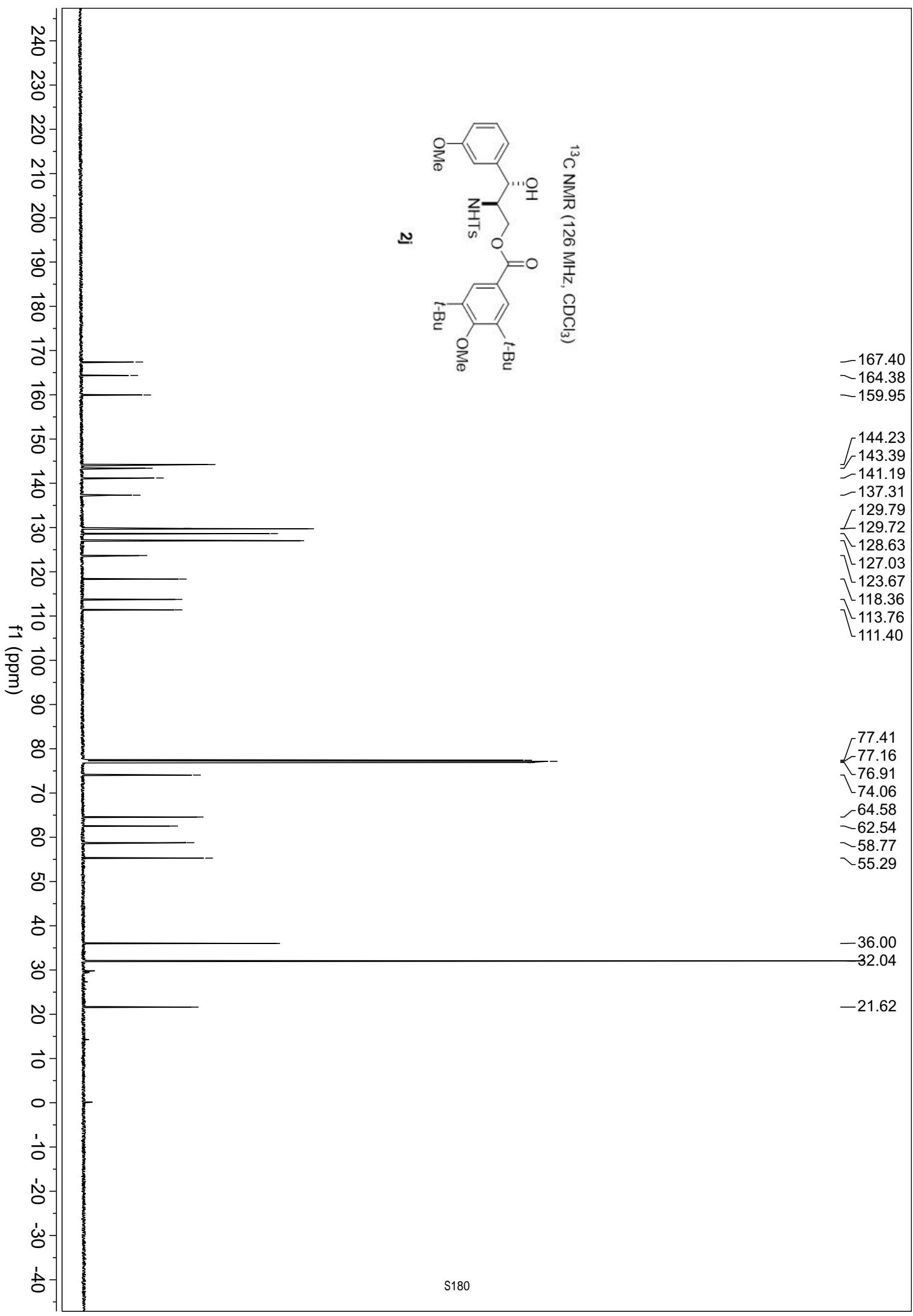


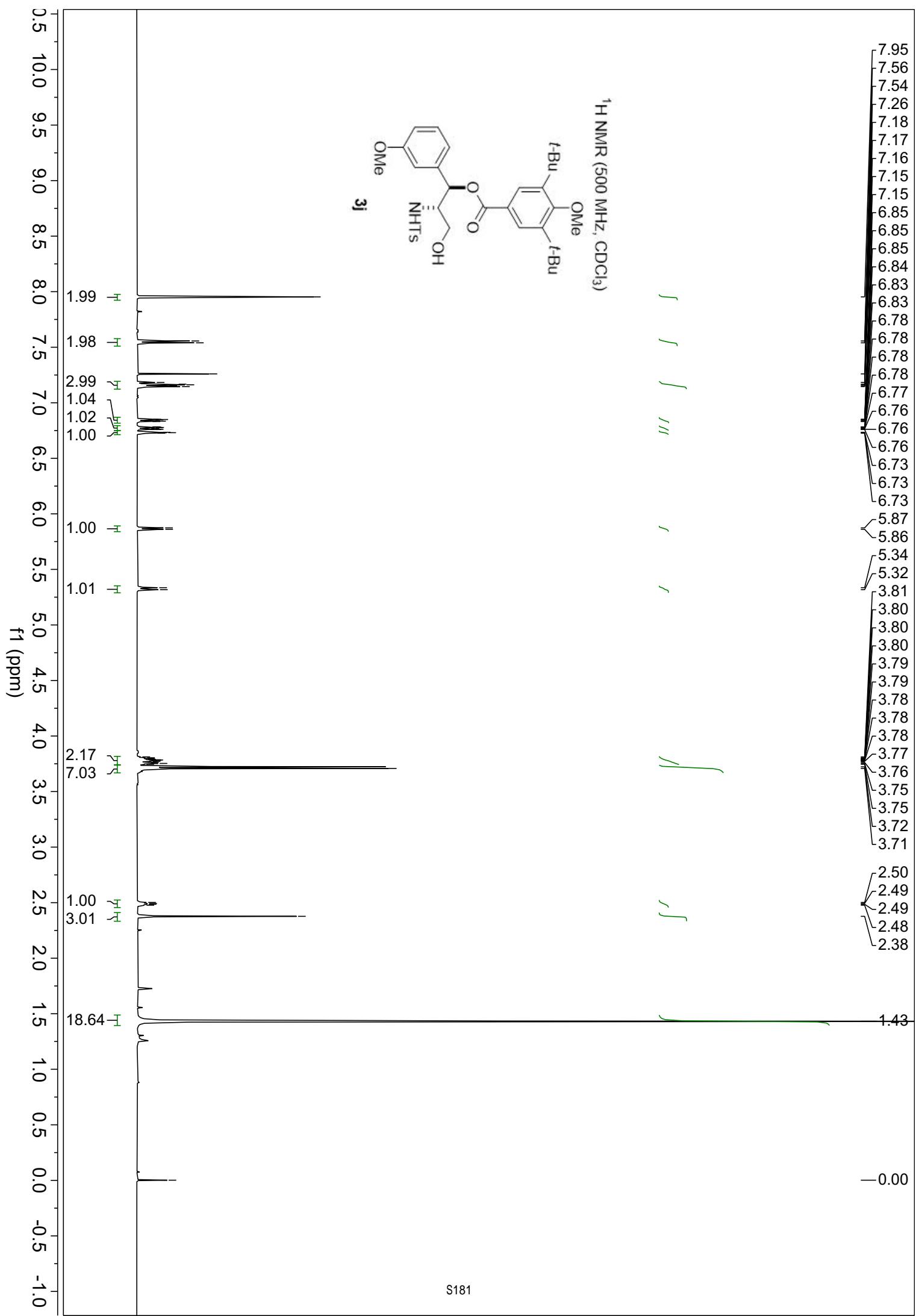


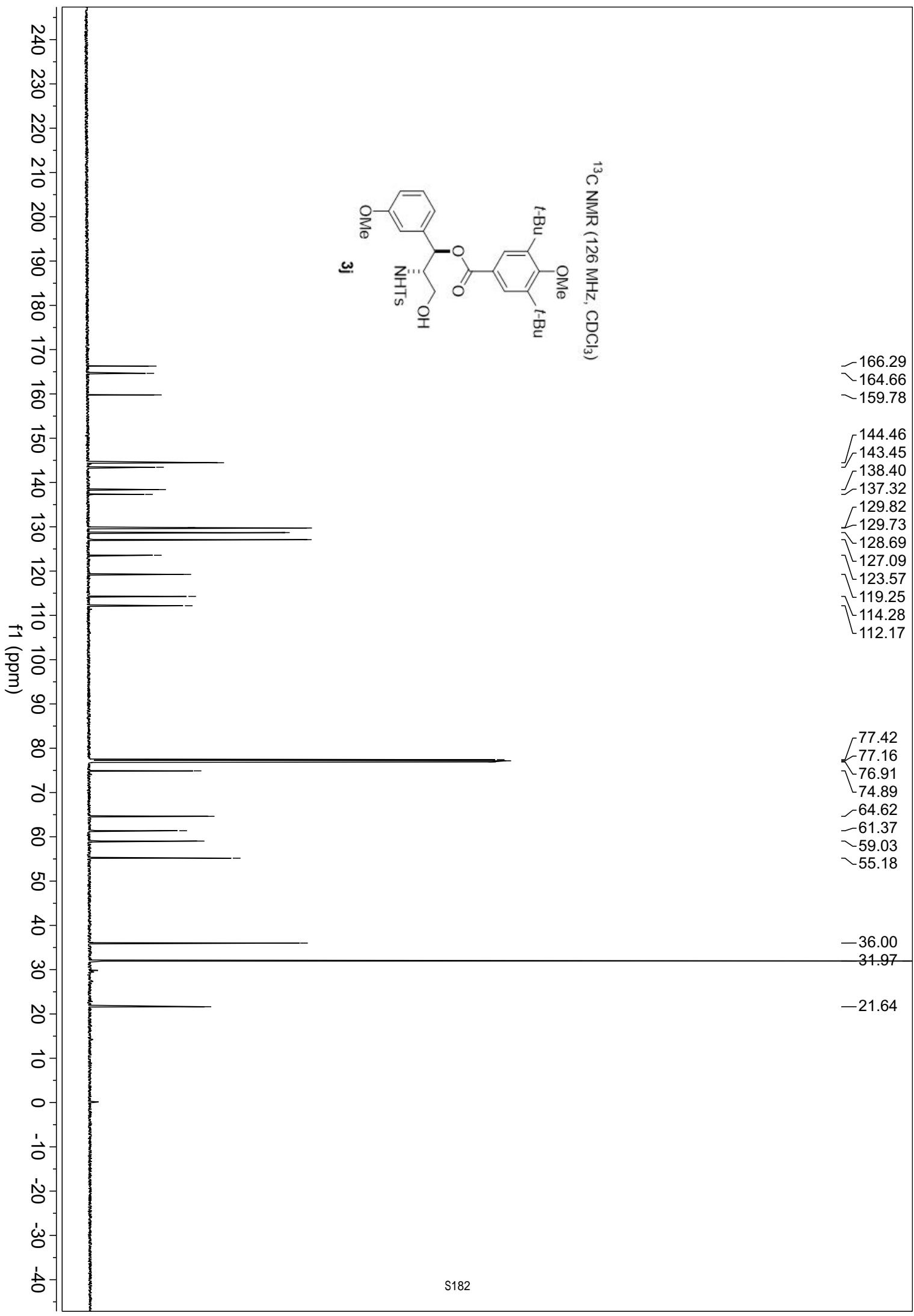


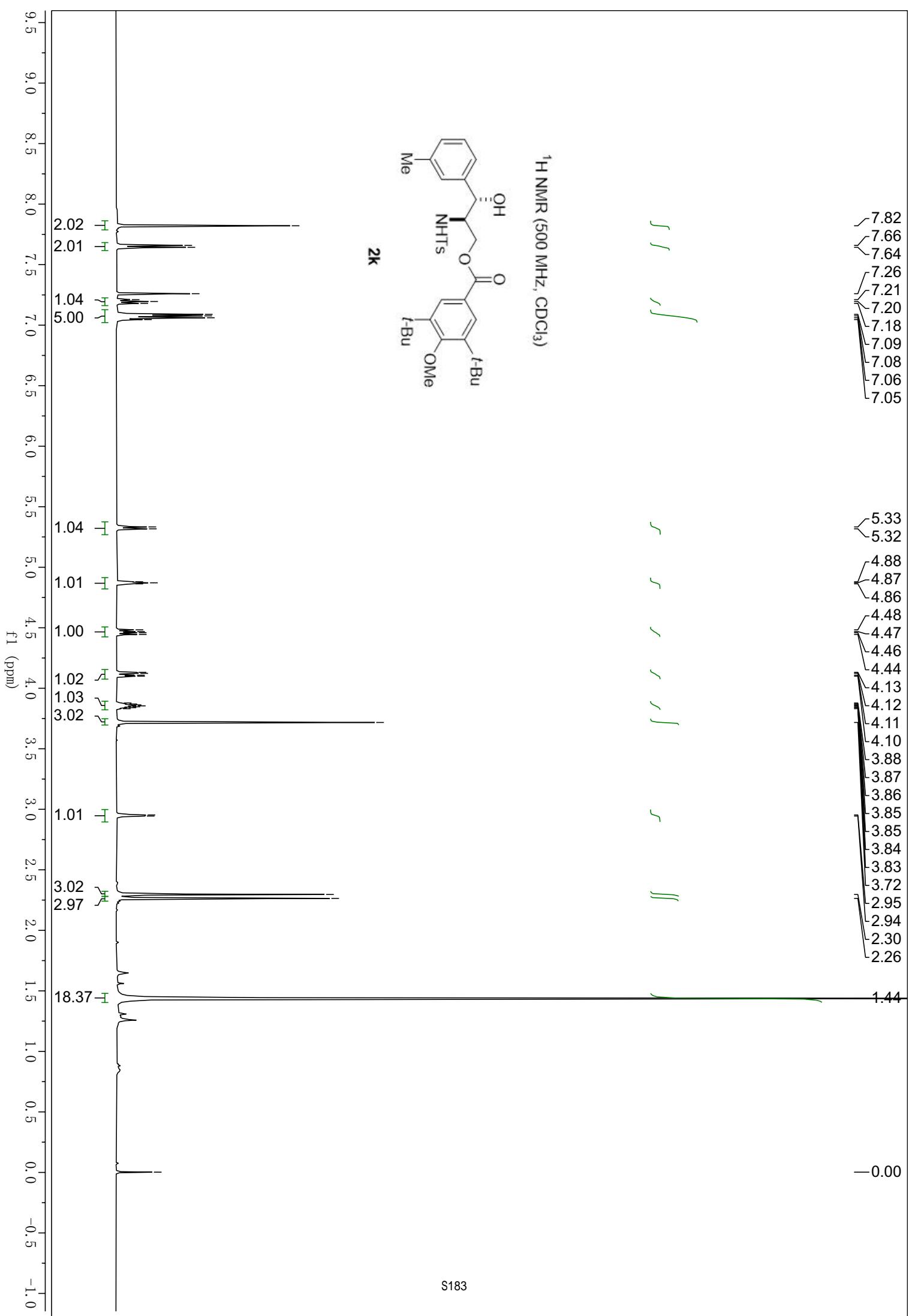


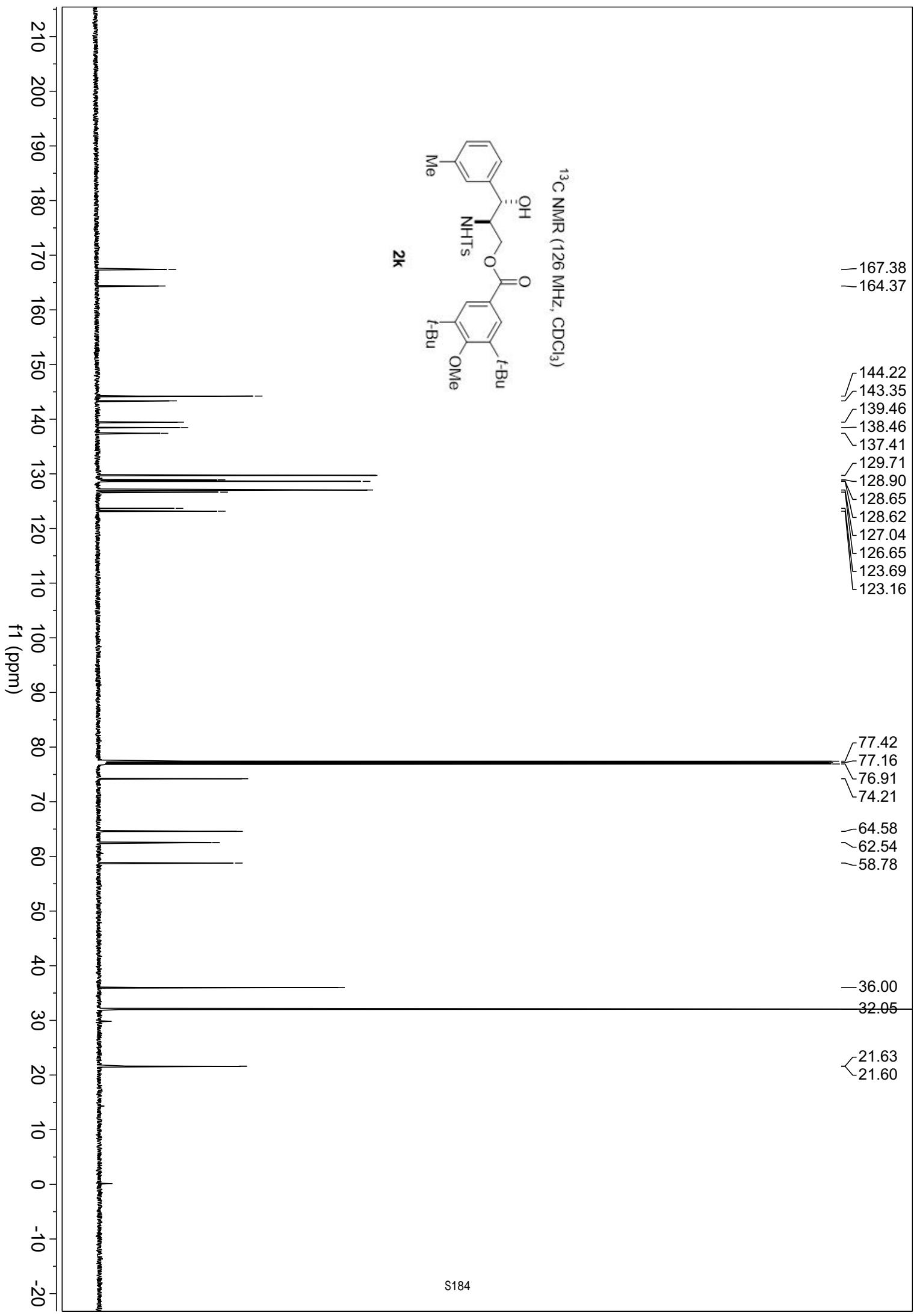


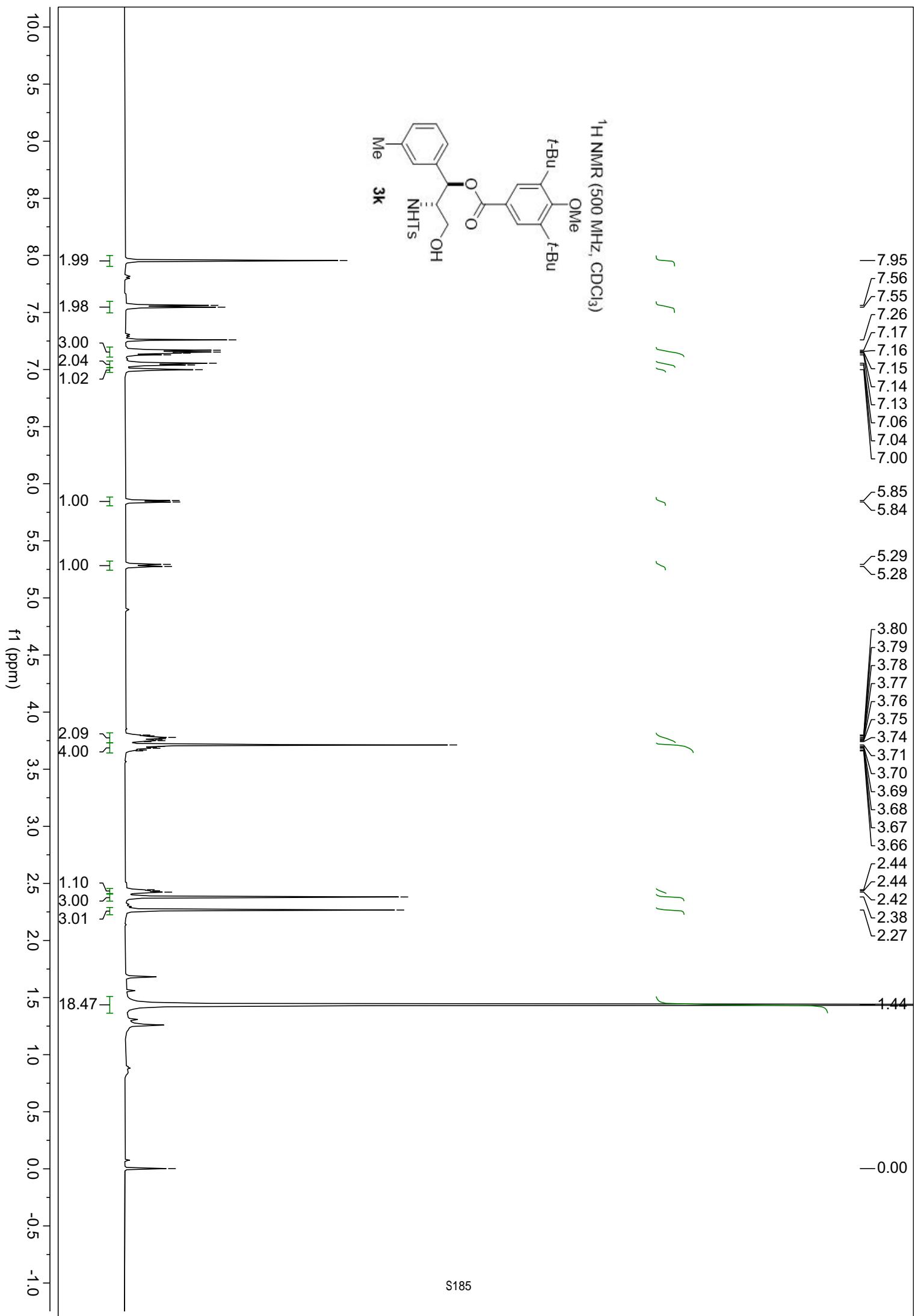


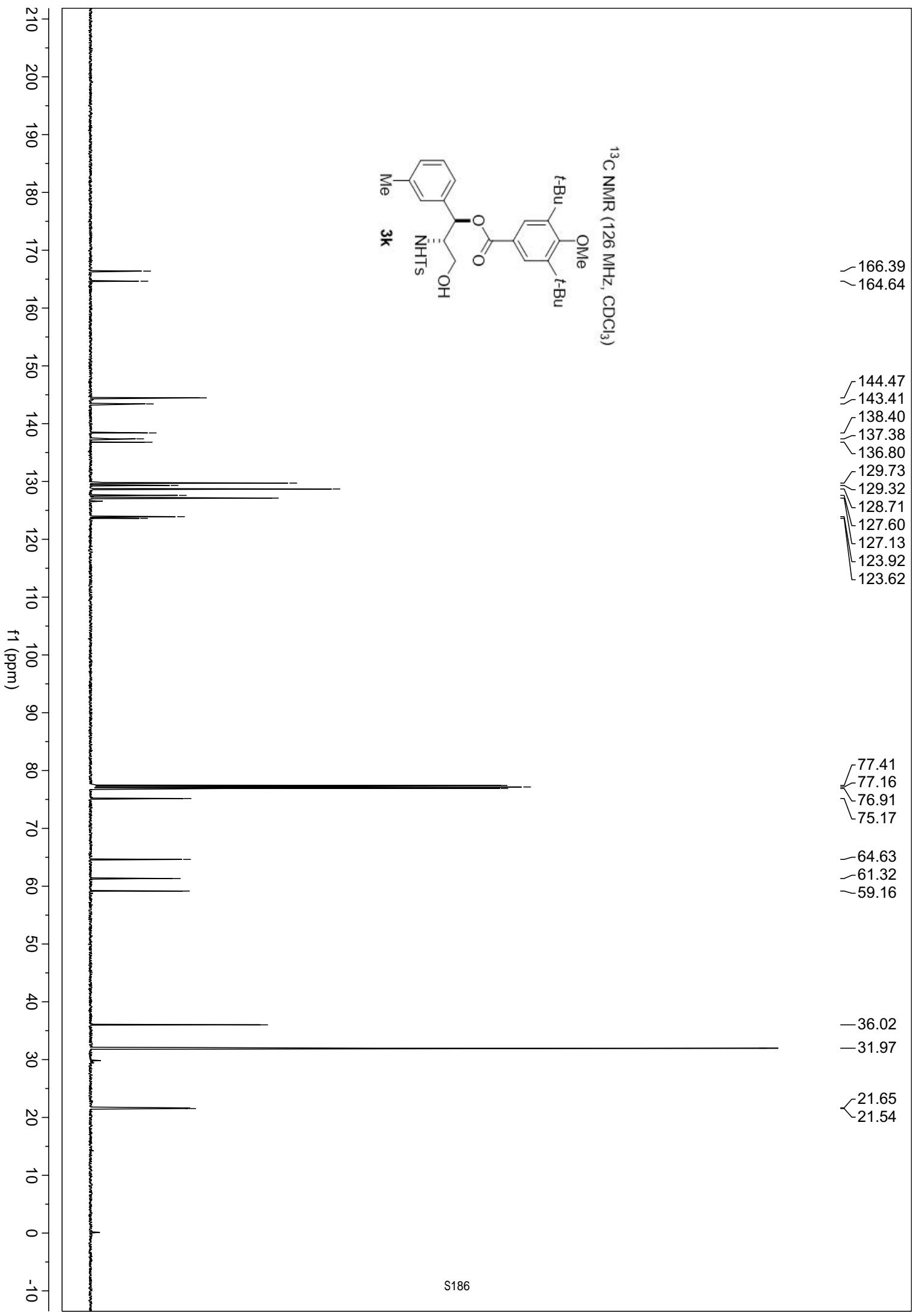


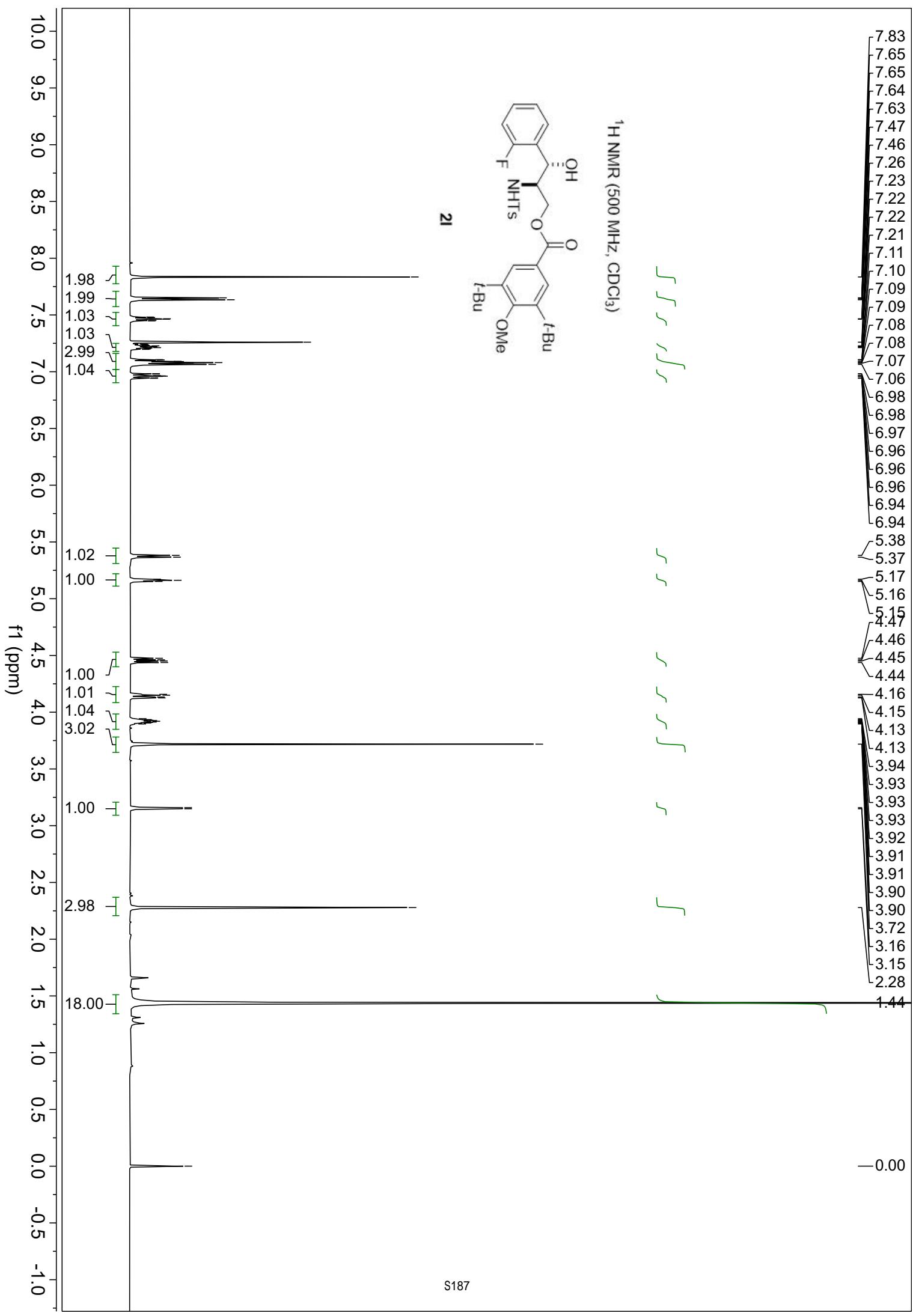


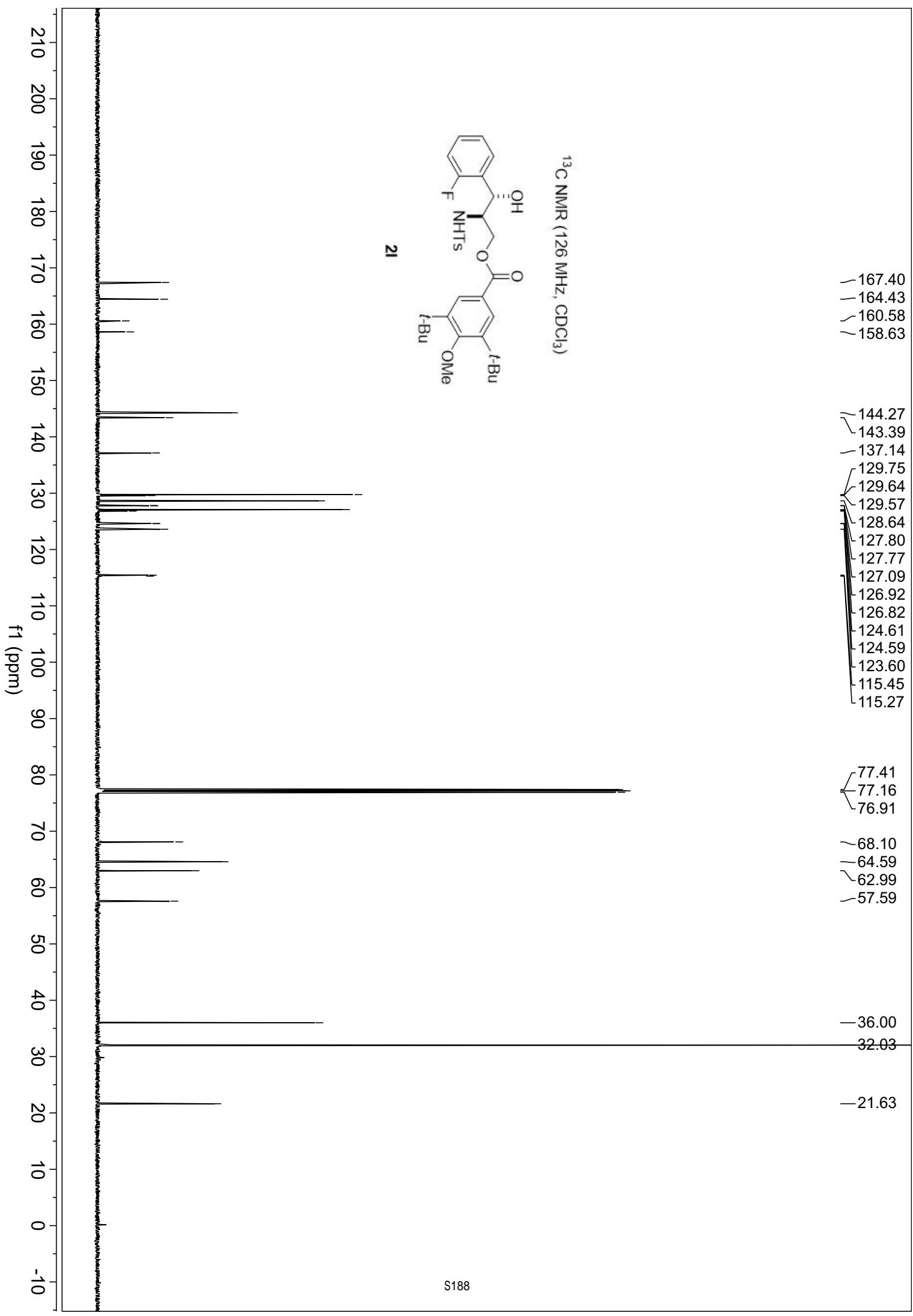


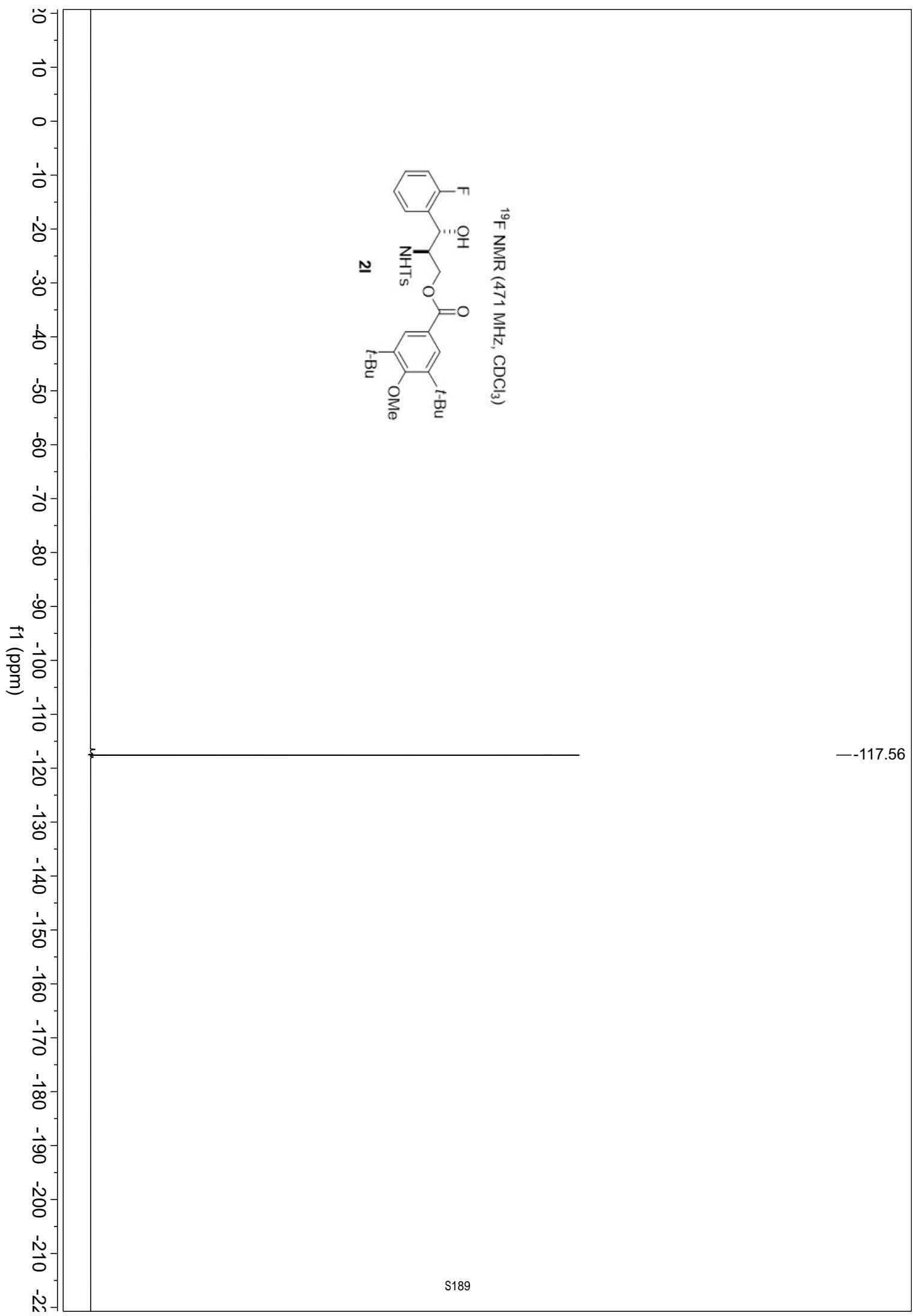


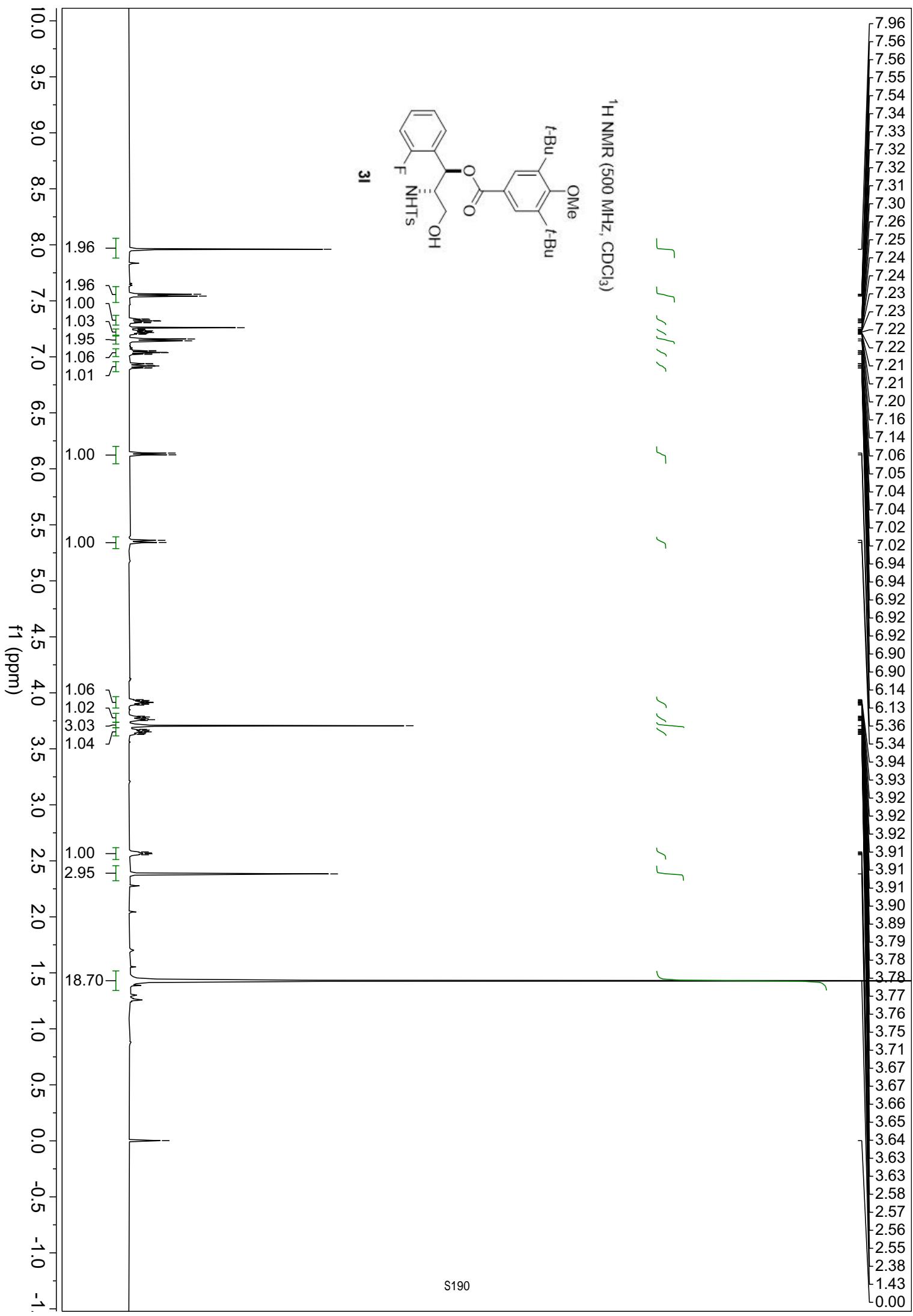


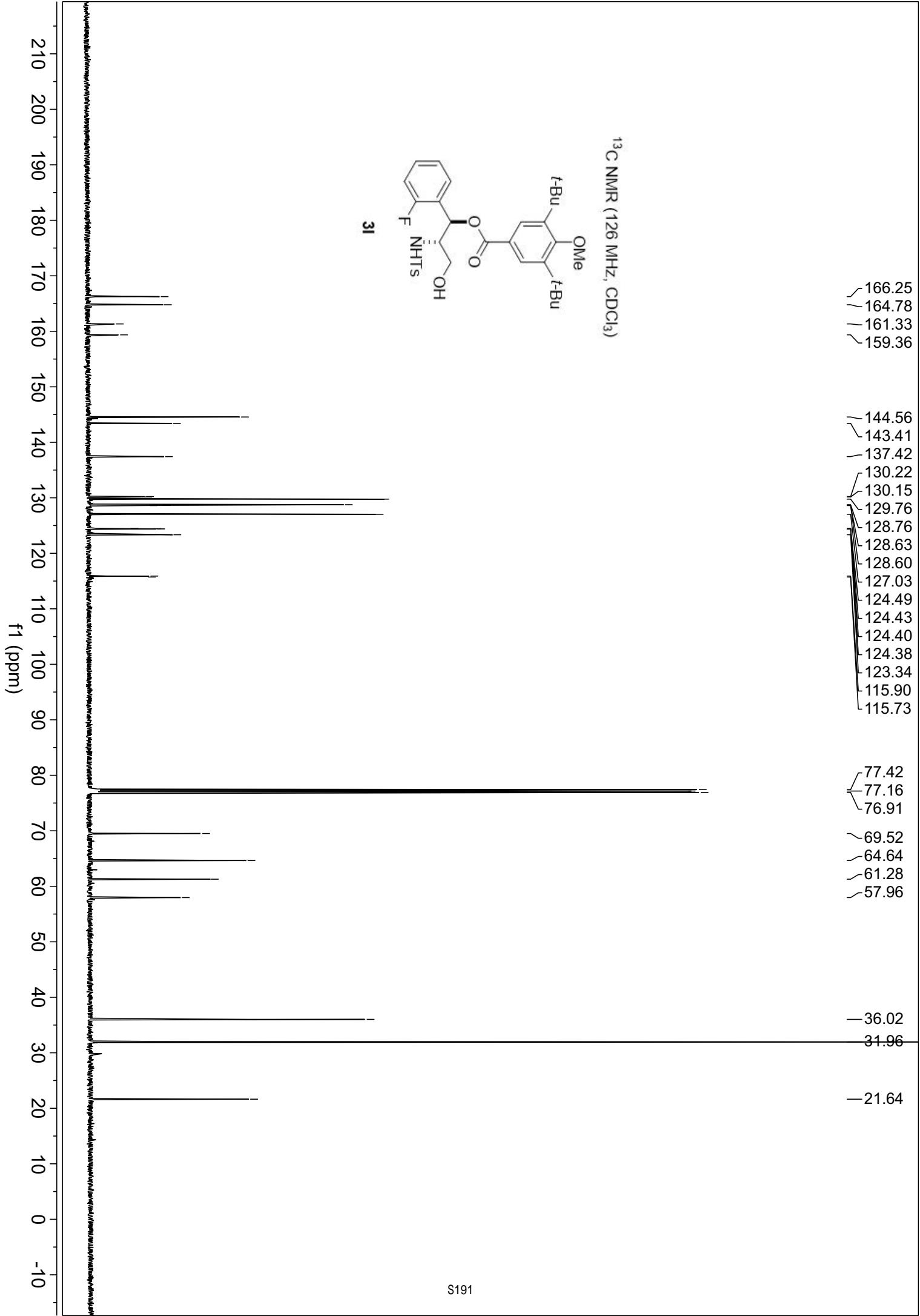




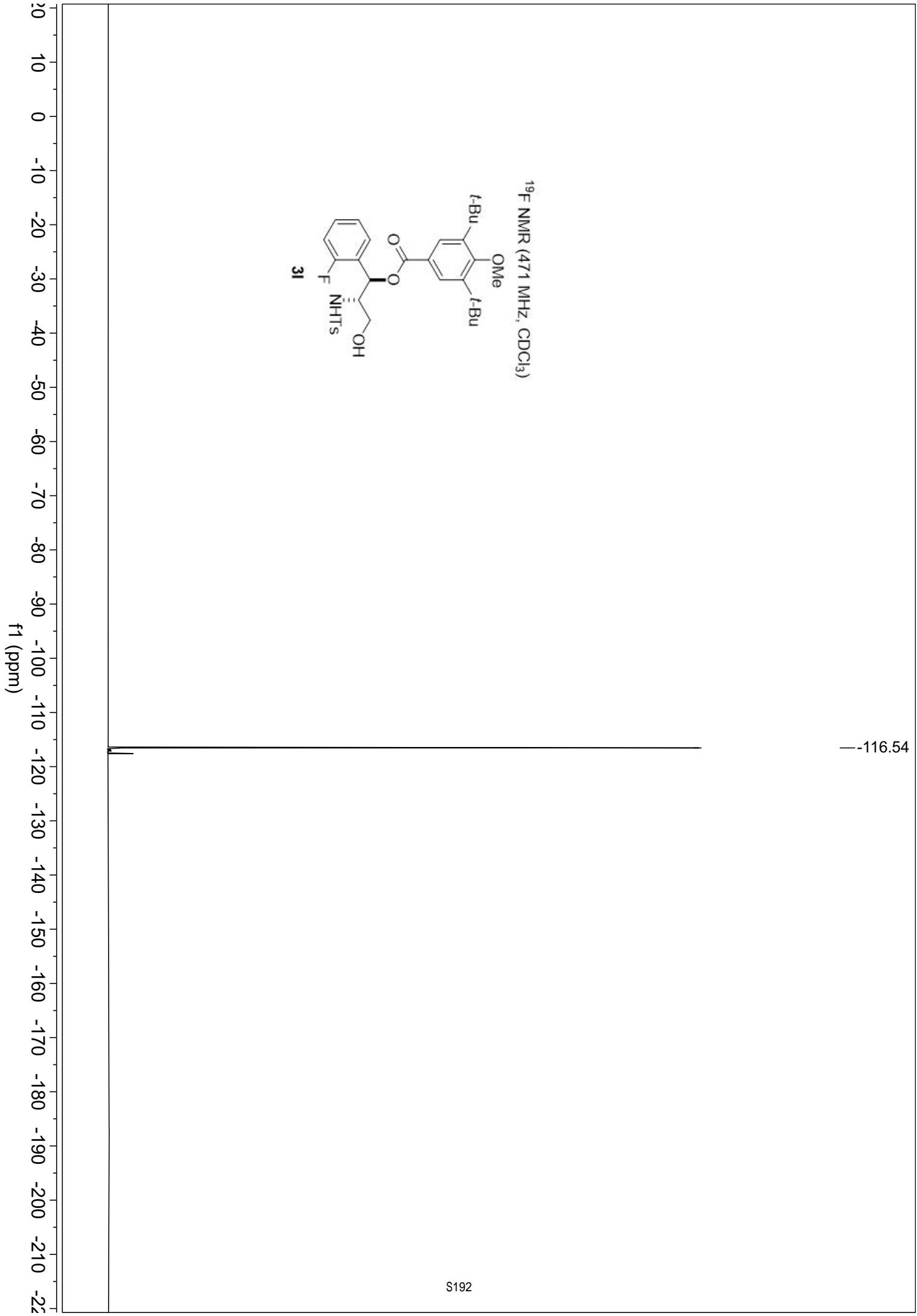
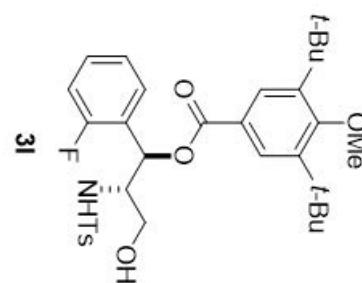


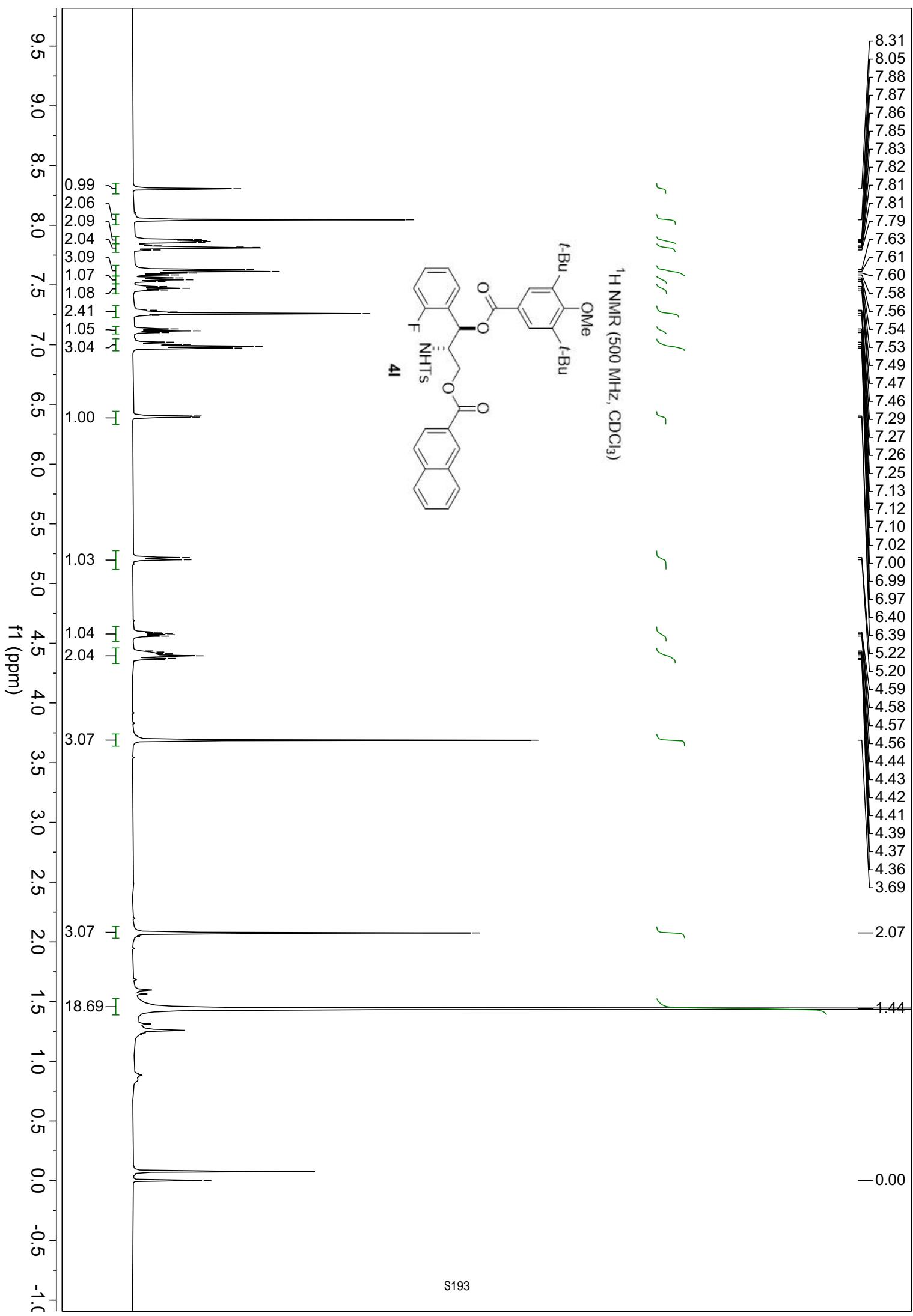


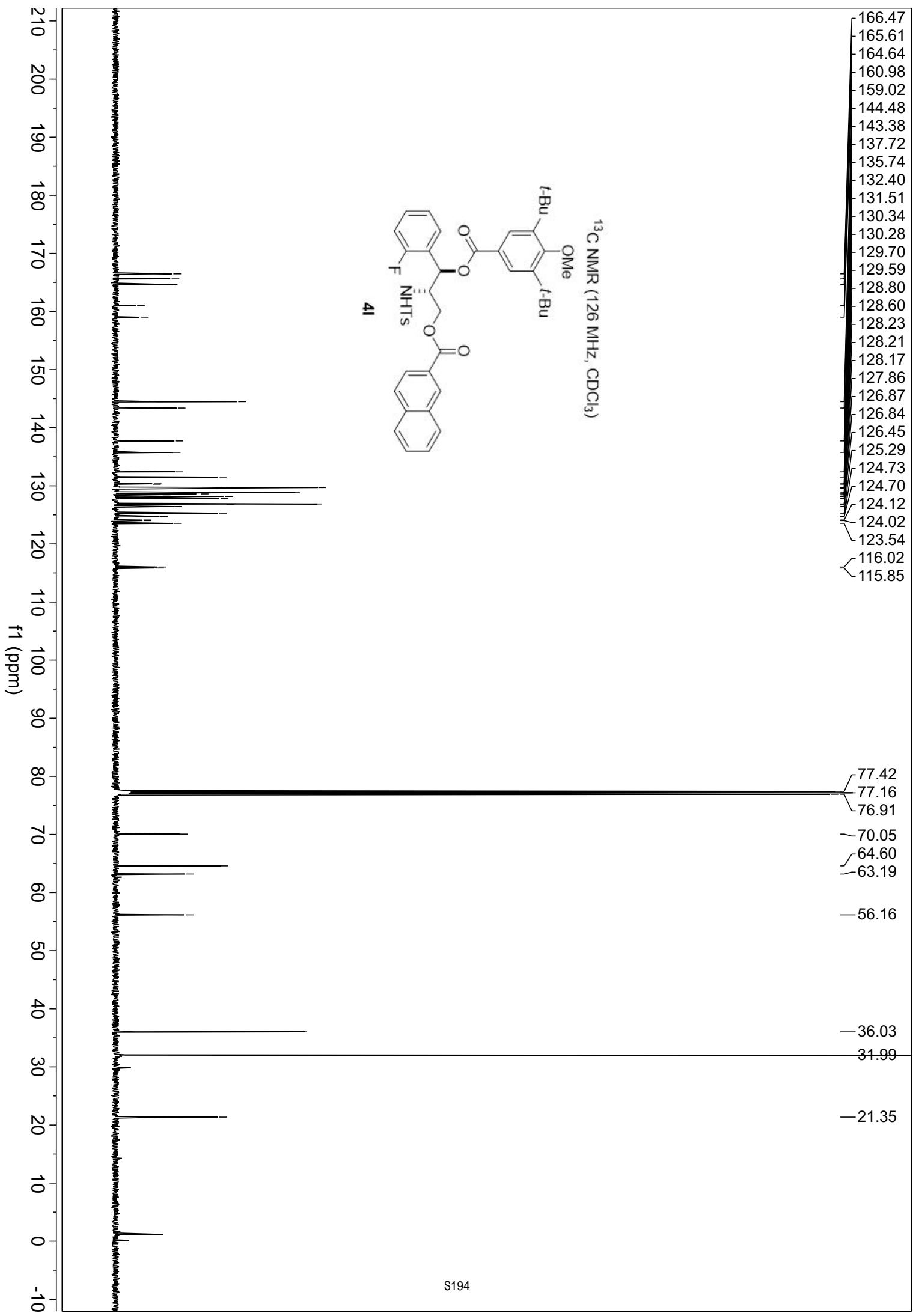




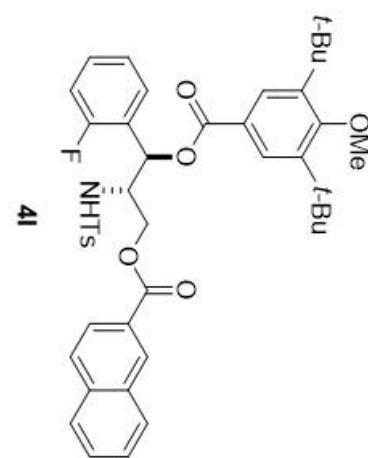
¹⁹F NMR (471 MHz, CDCl₃)



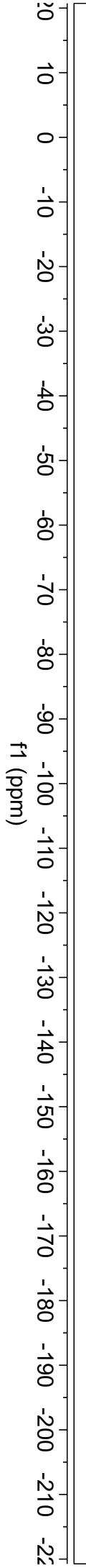


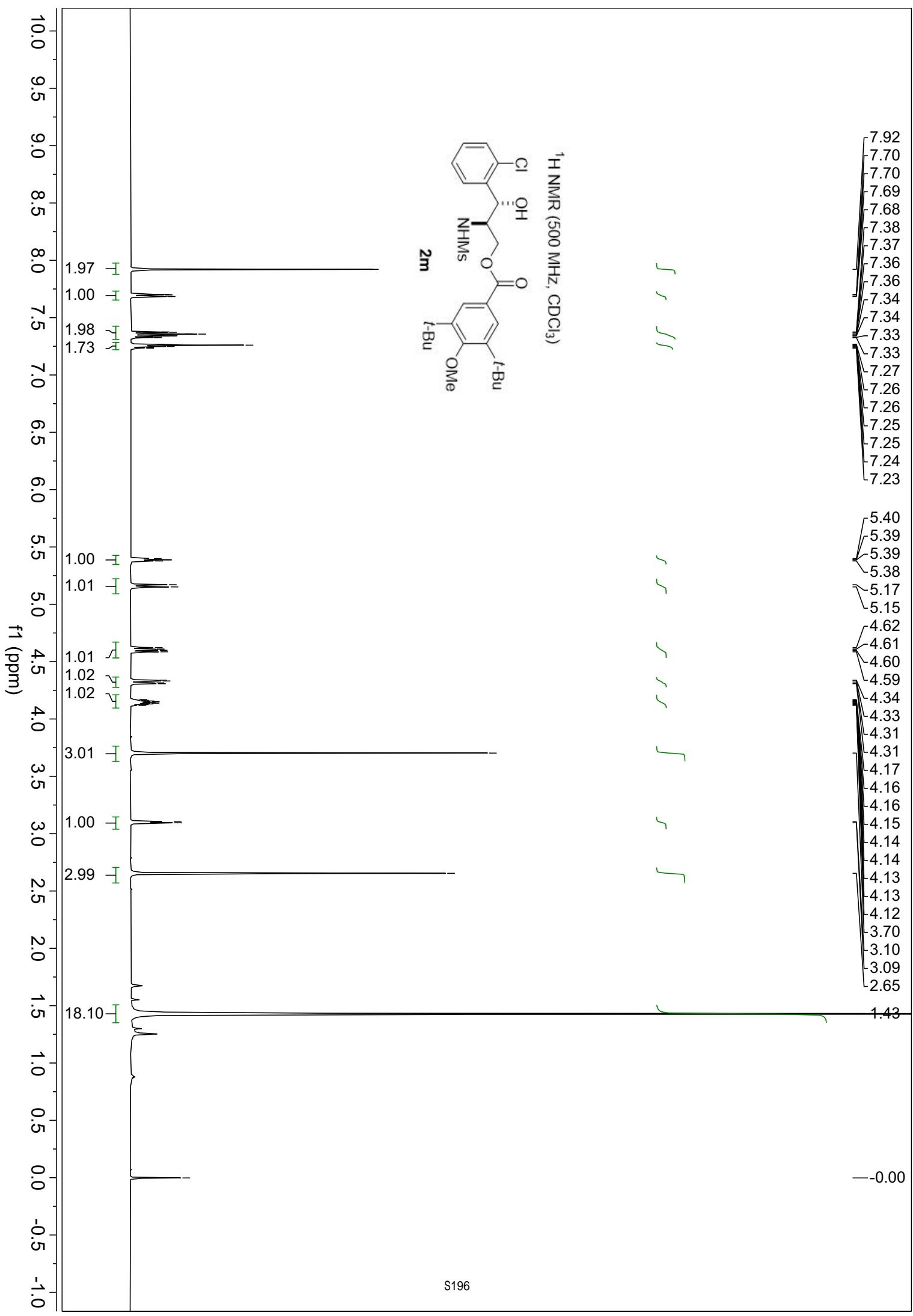


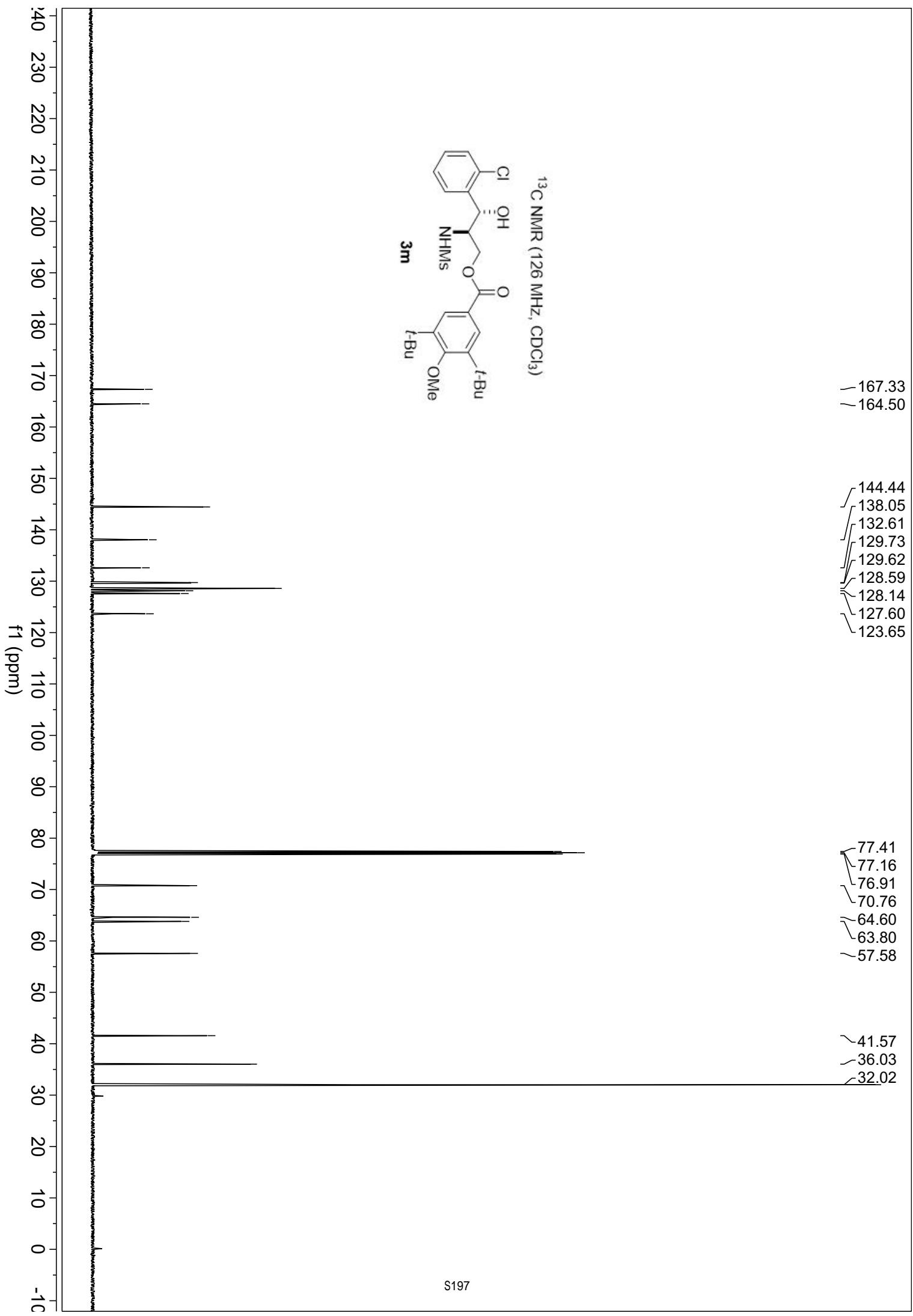
¹⁹F NMR (471 MHz, CDCl₃)

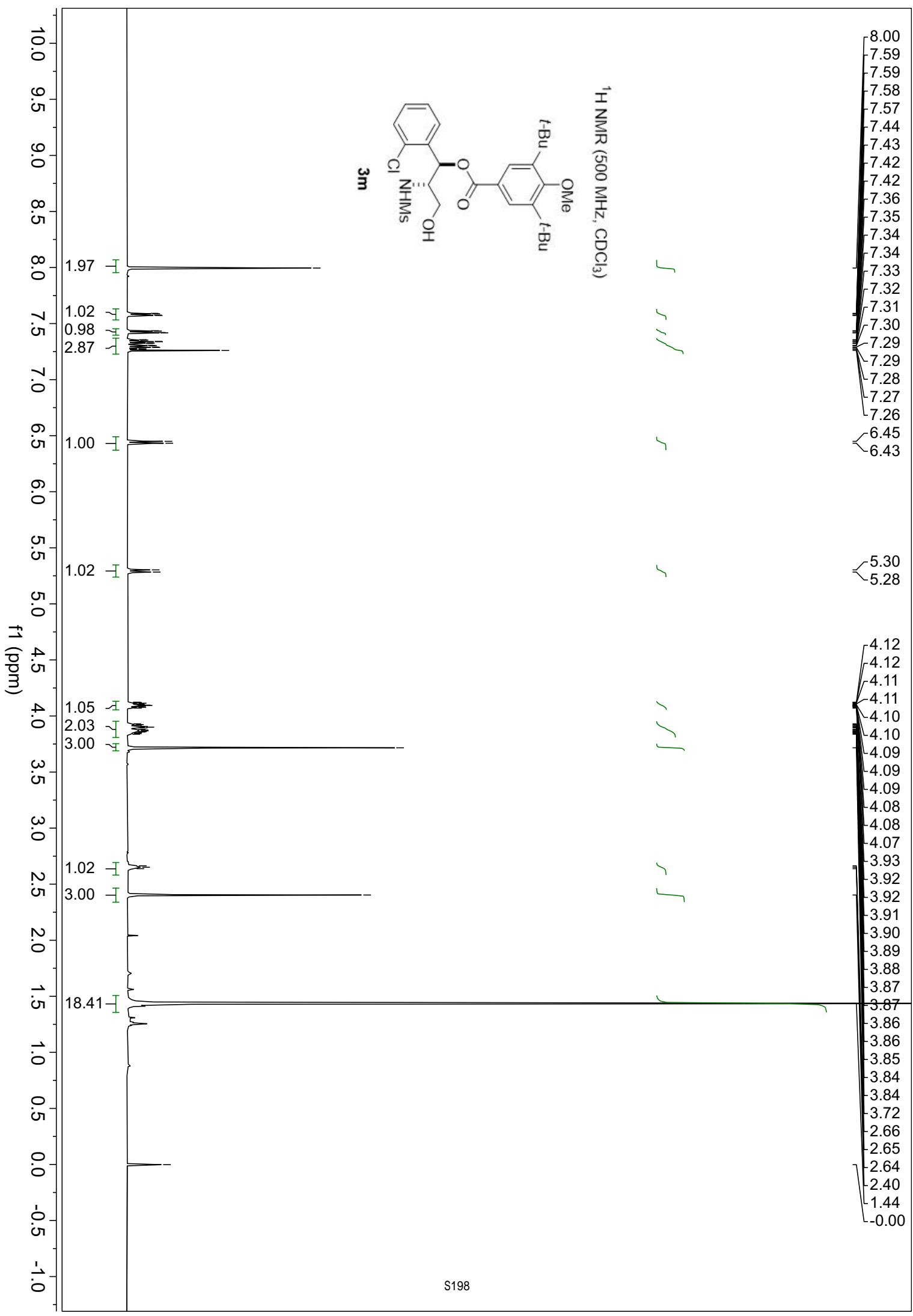


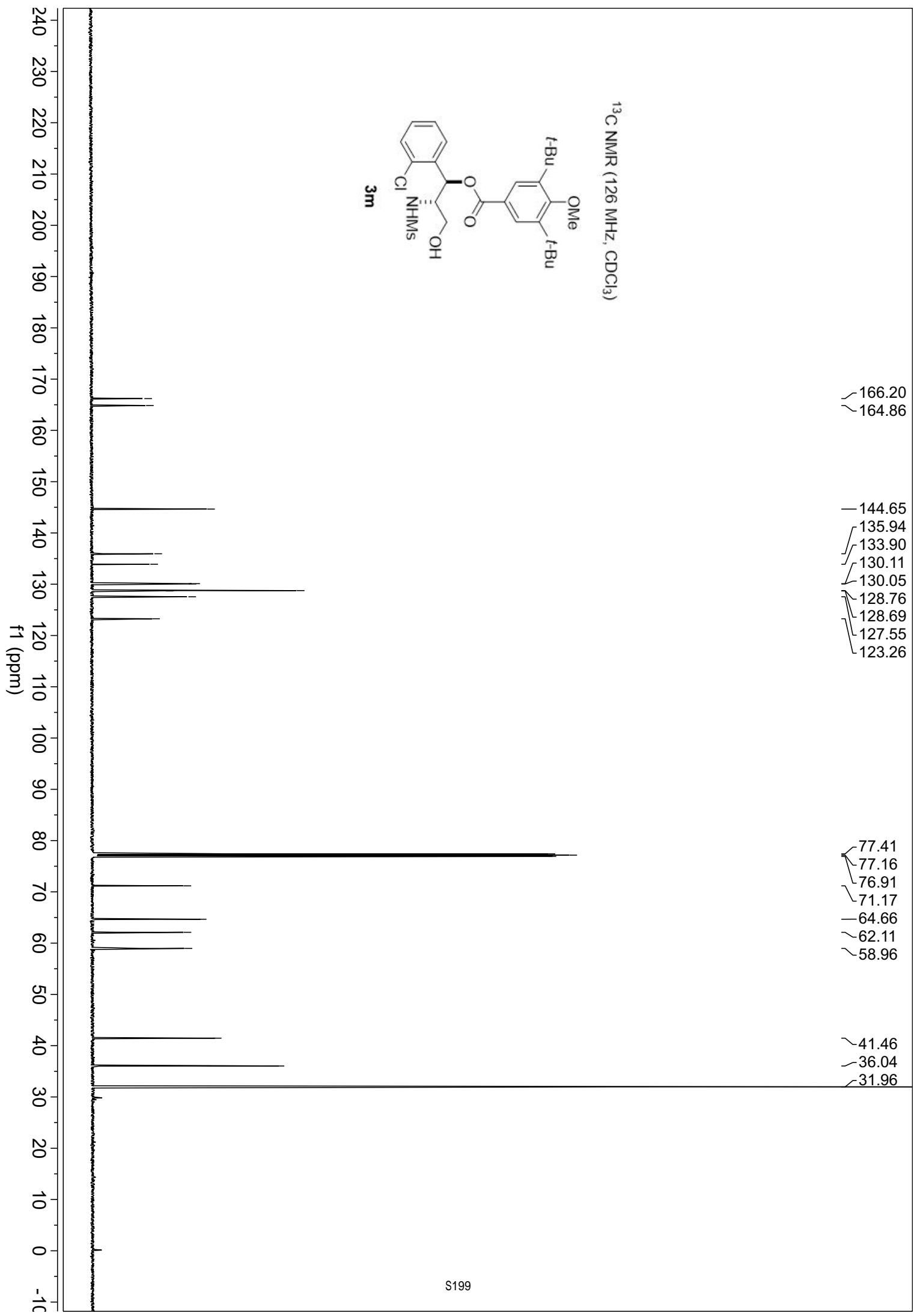
—116.22

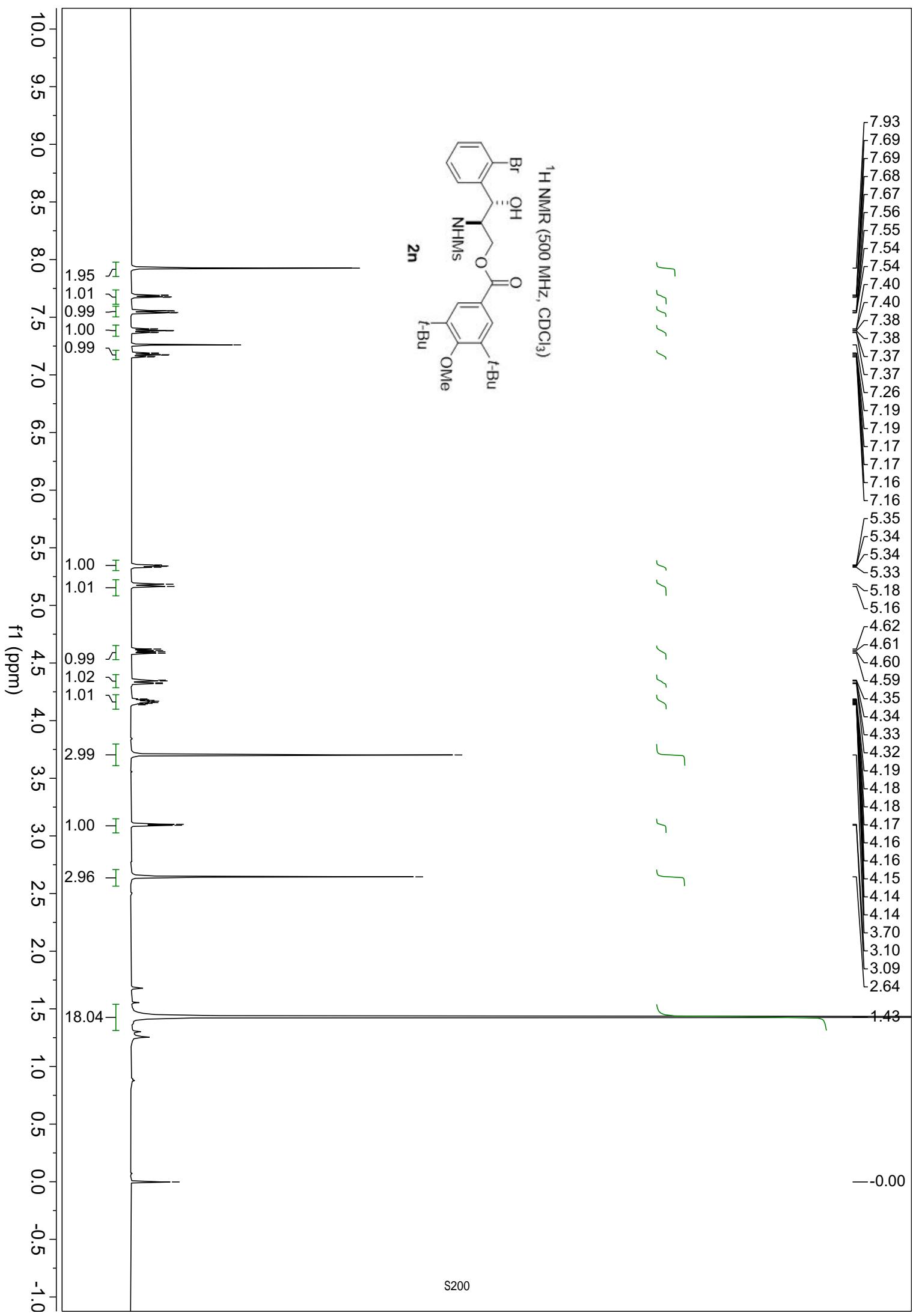


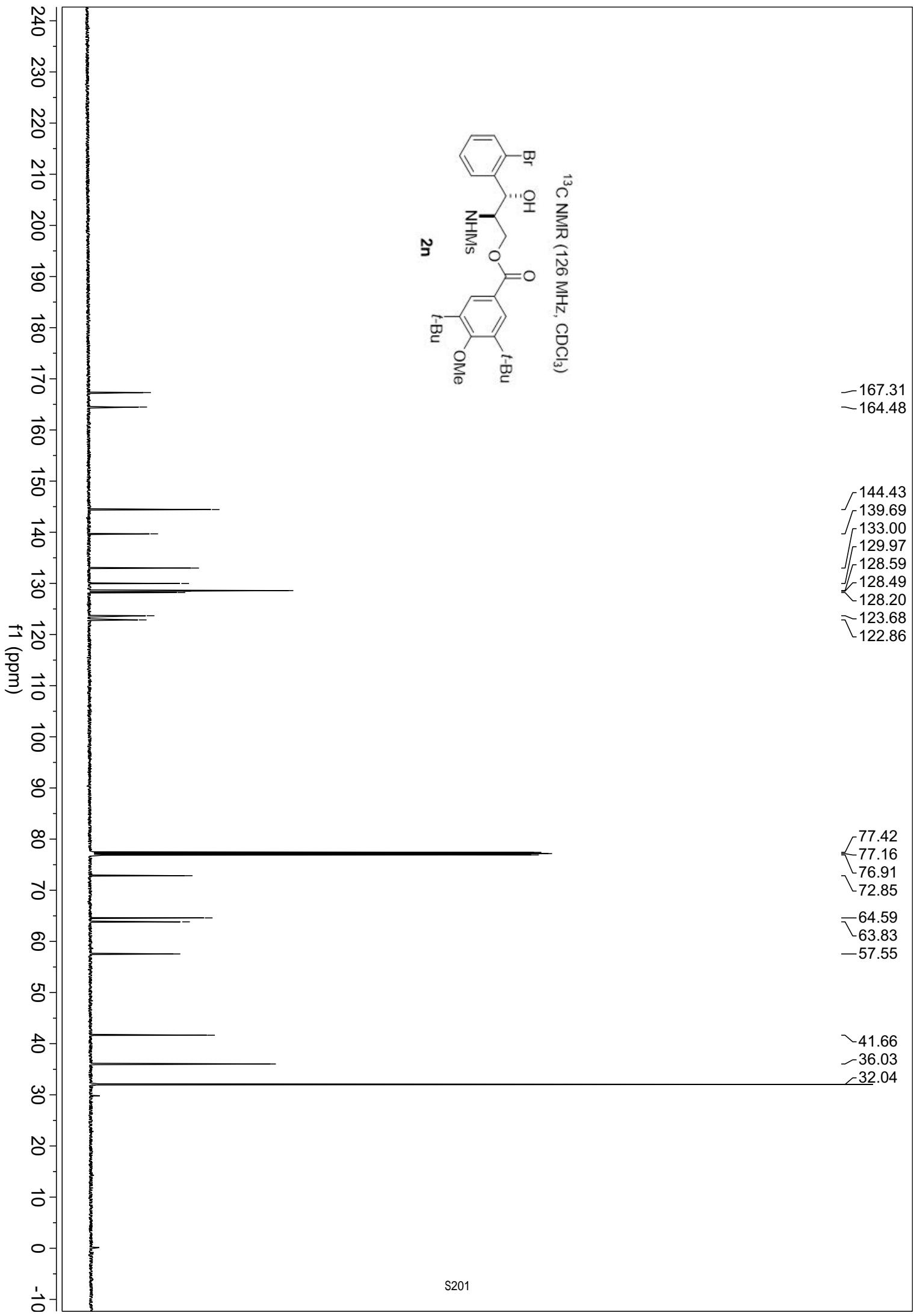


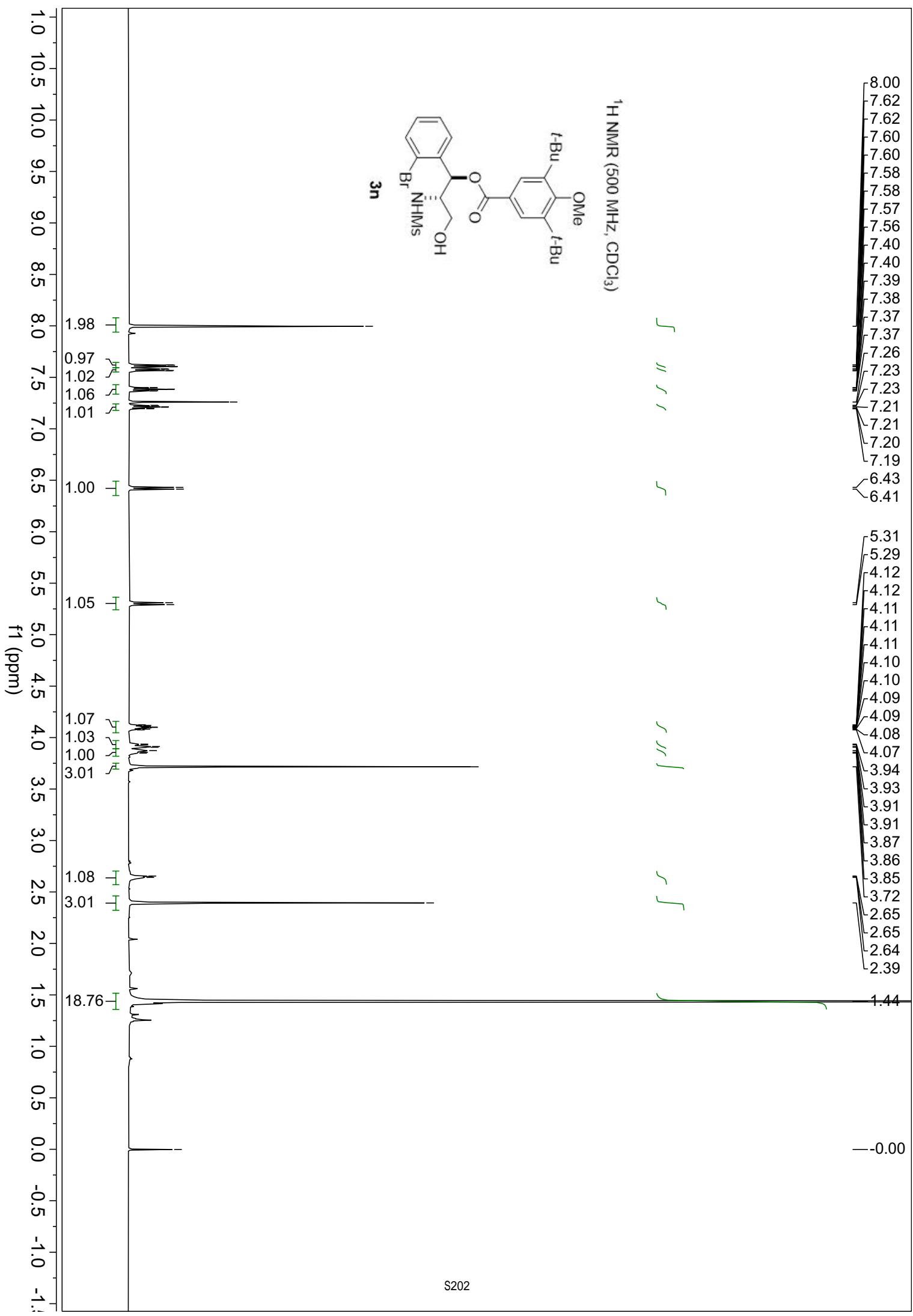






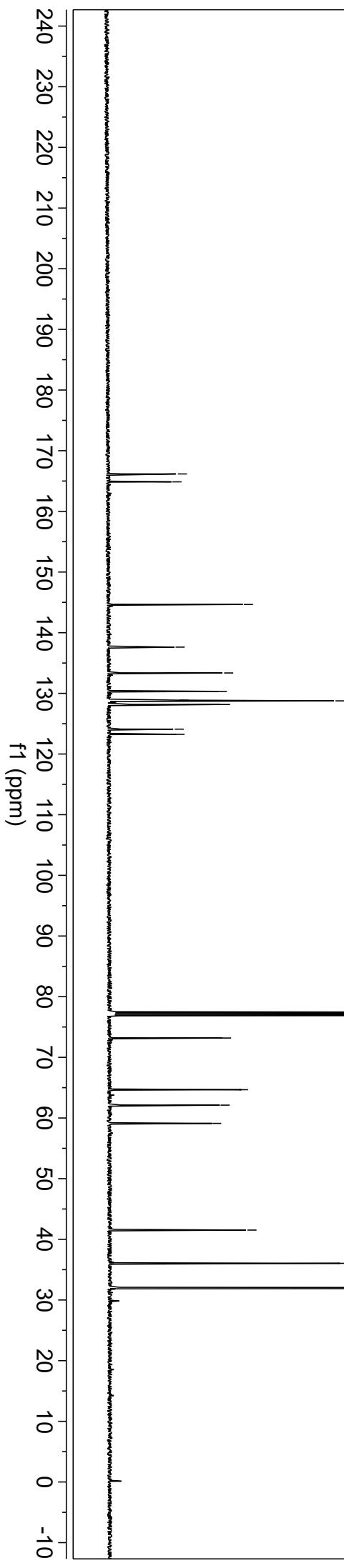
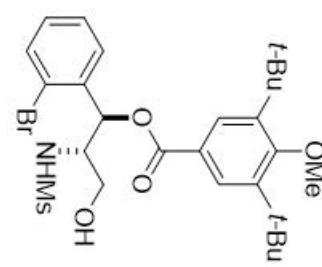


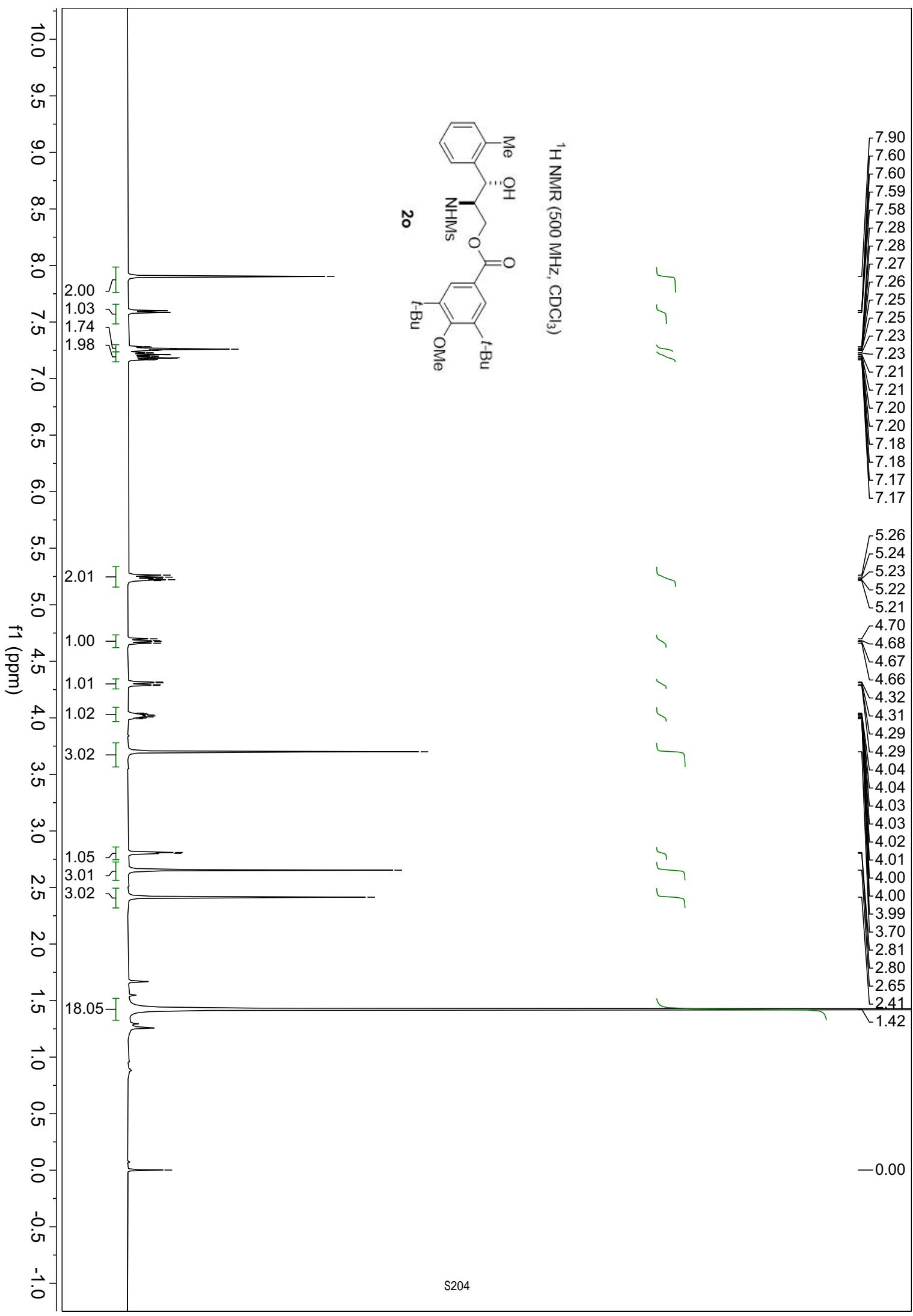


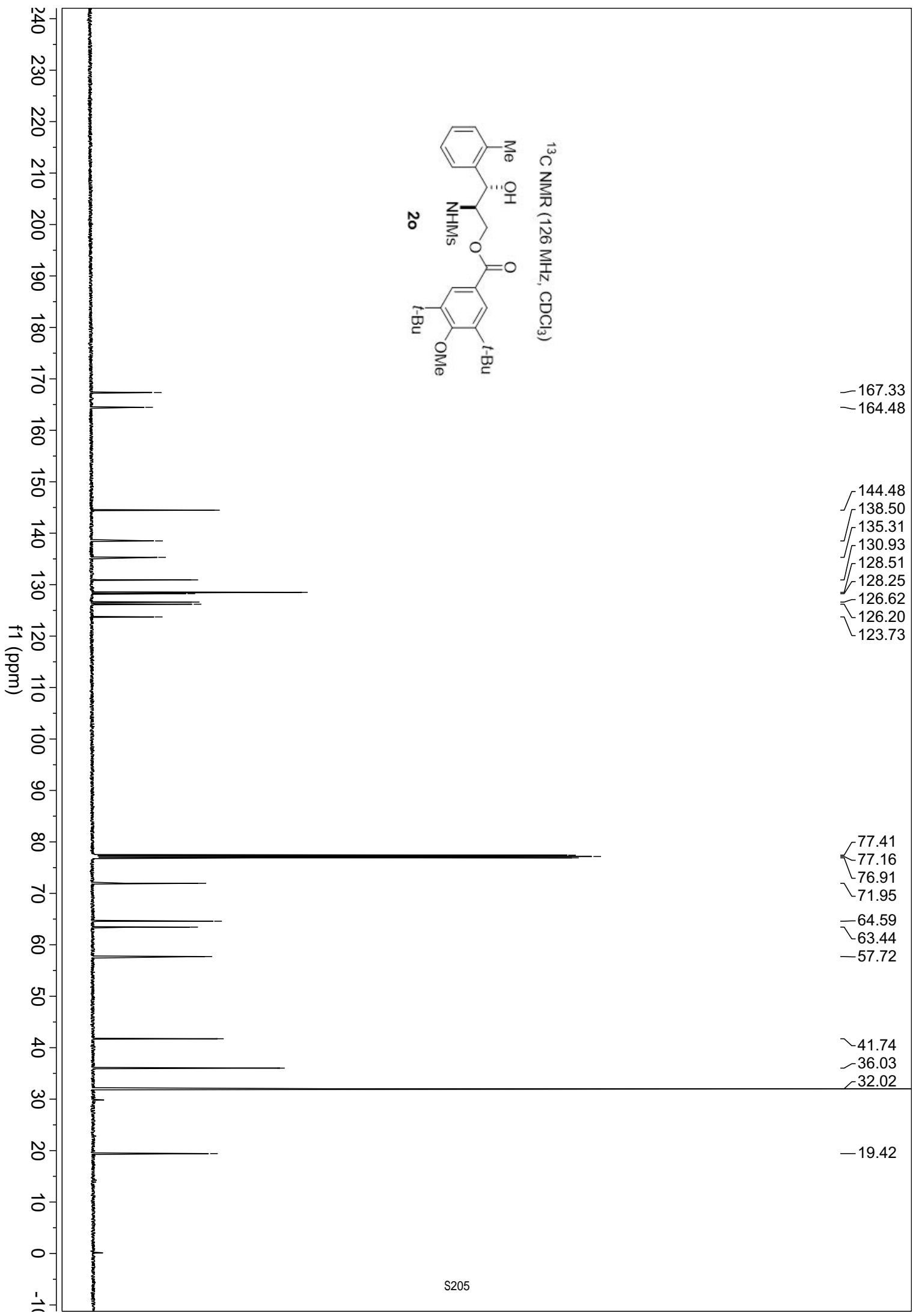


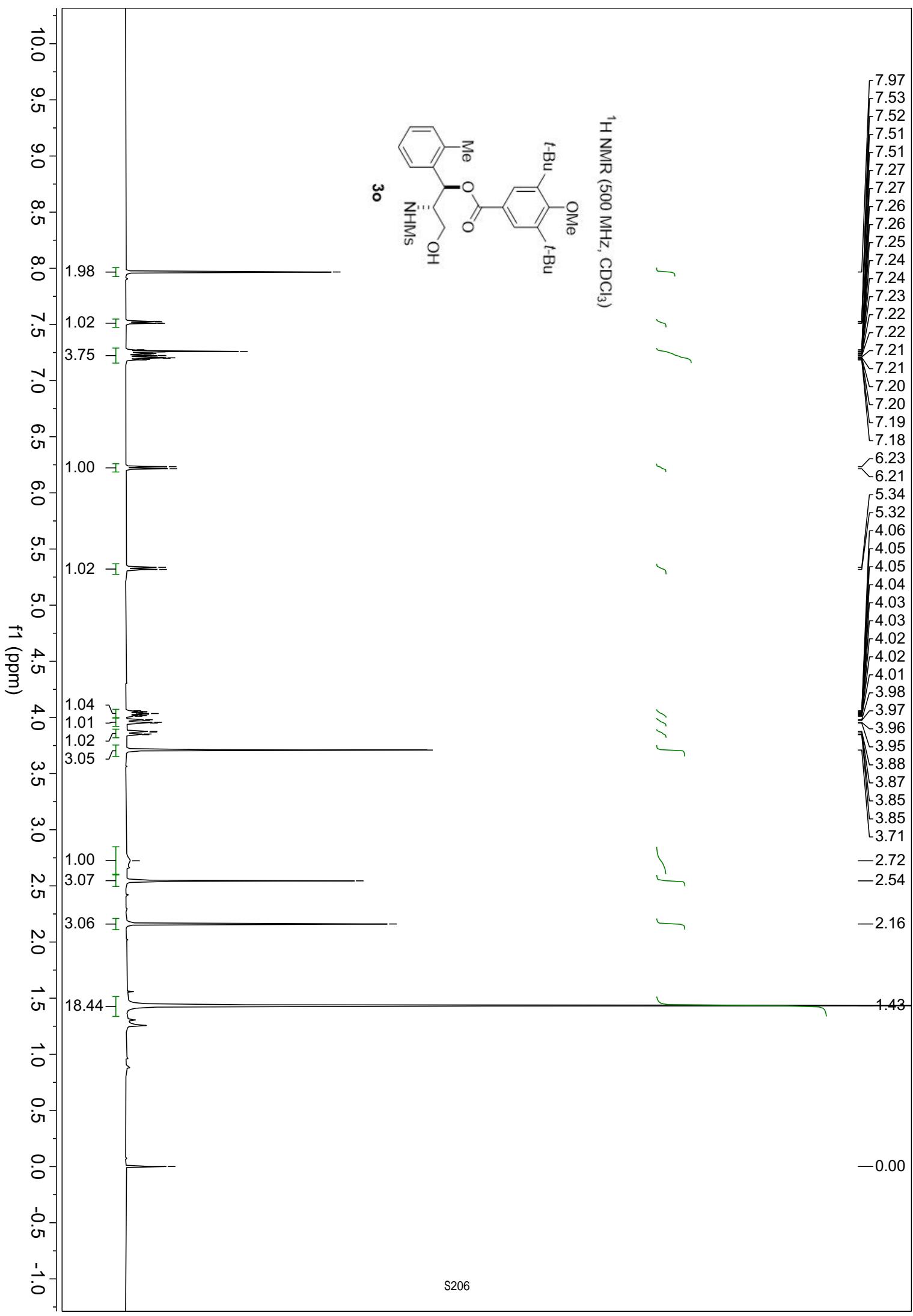
¹³C NMR (126 MHz, CDCl₃)

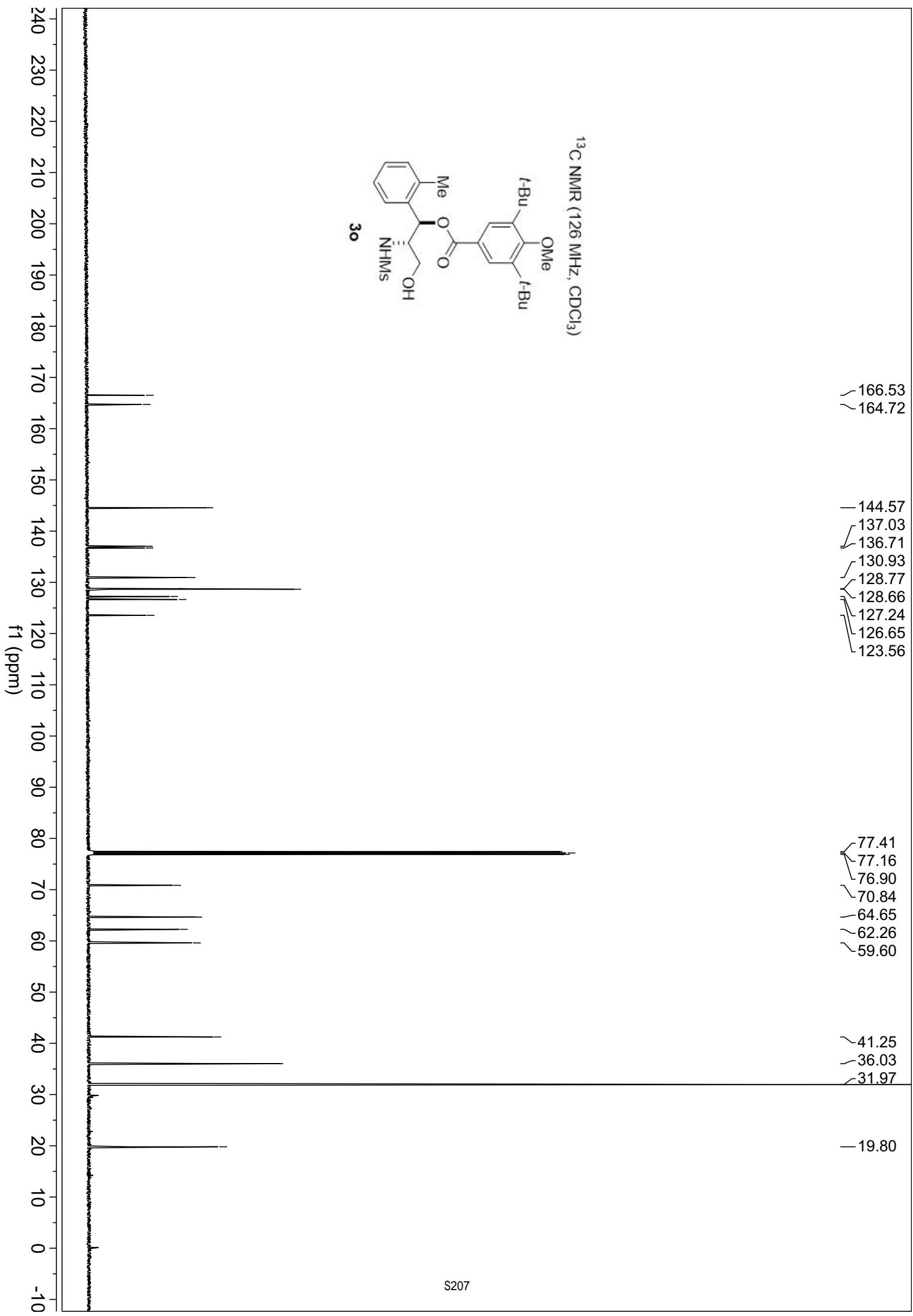
3n

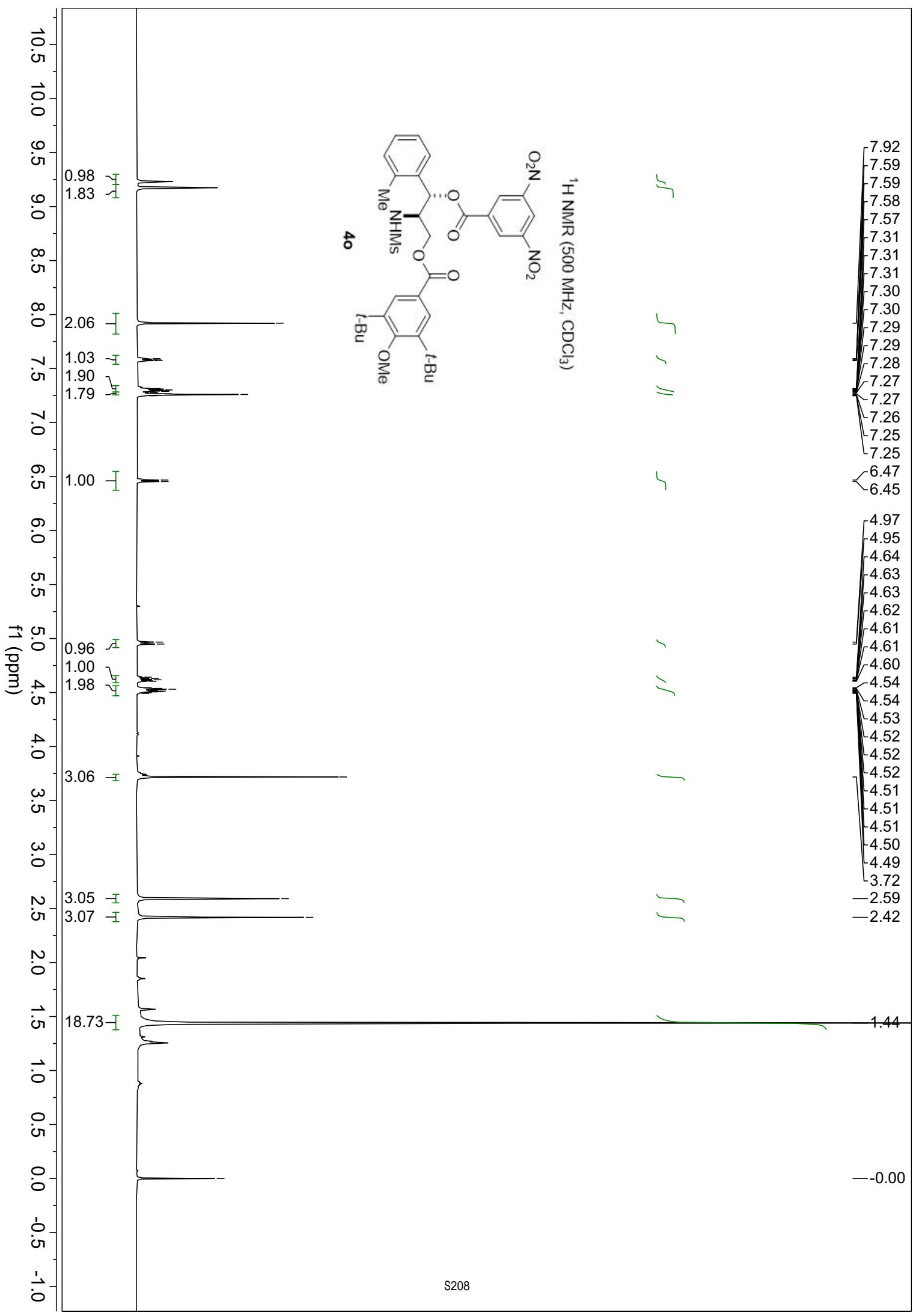


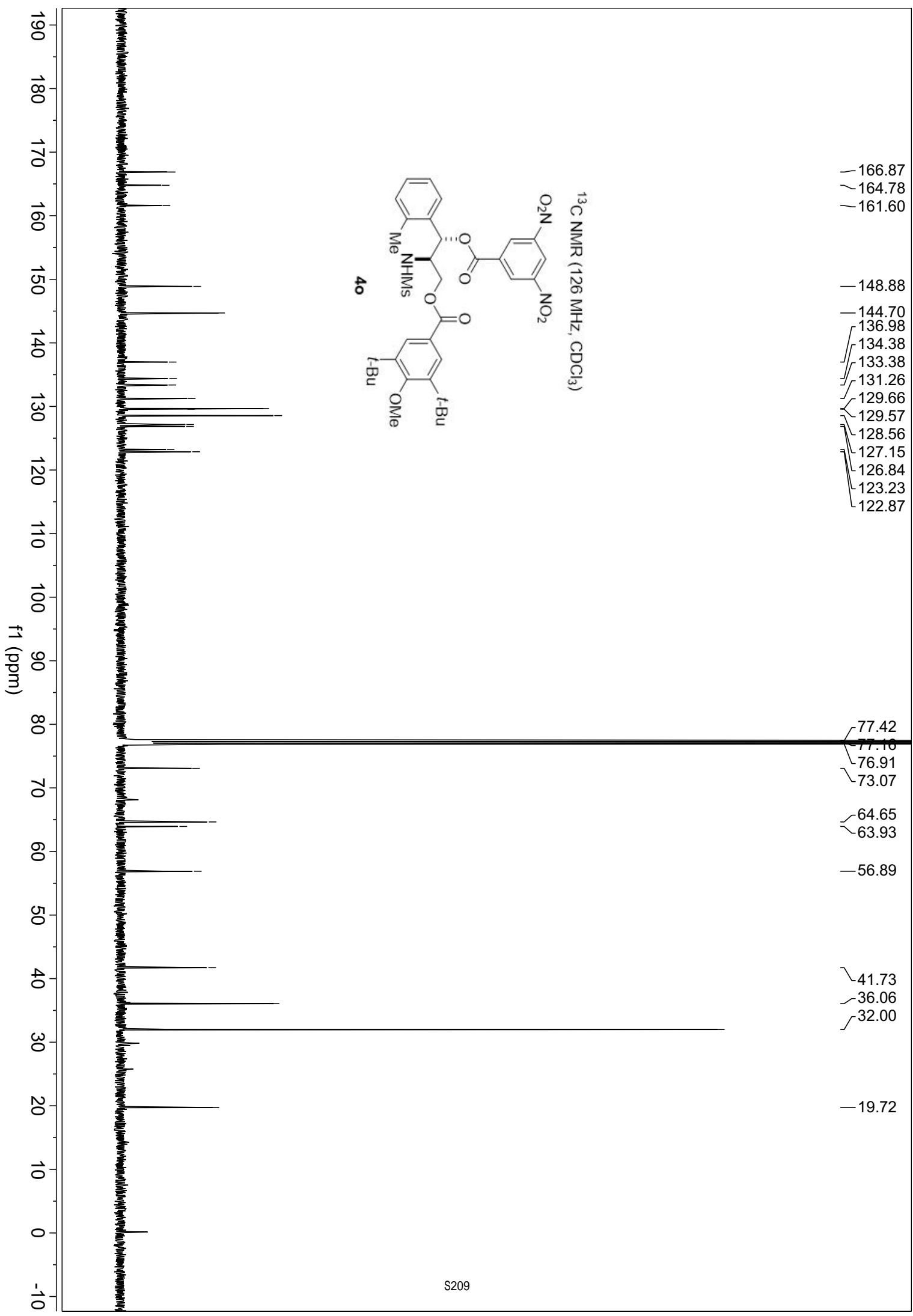


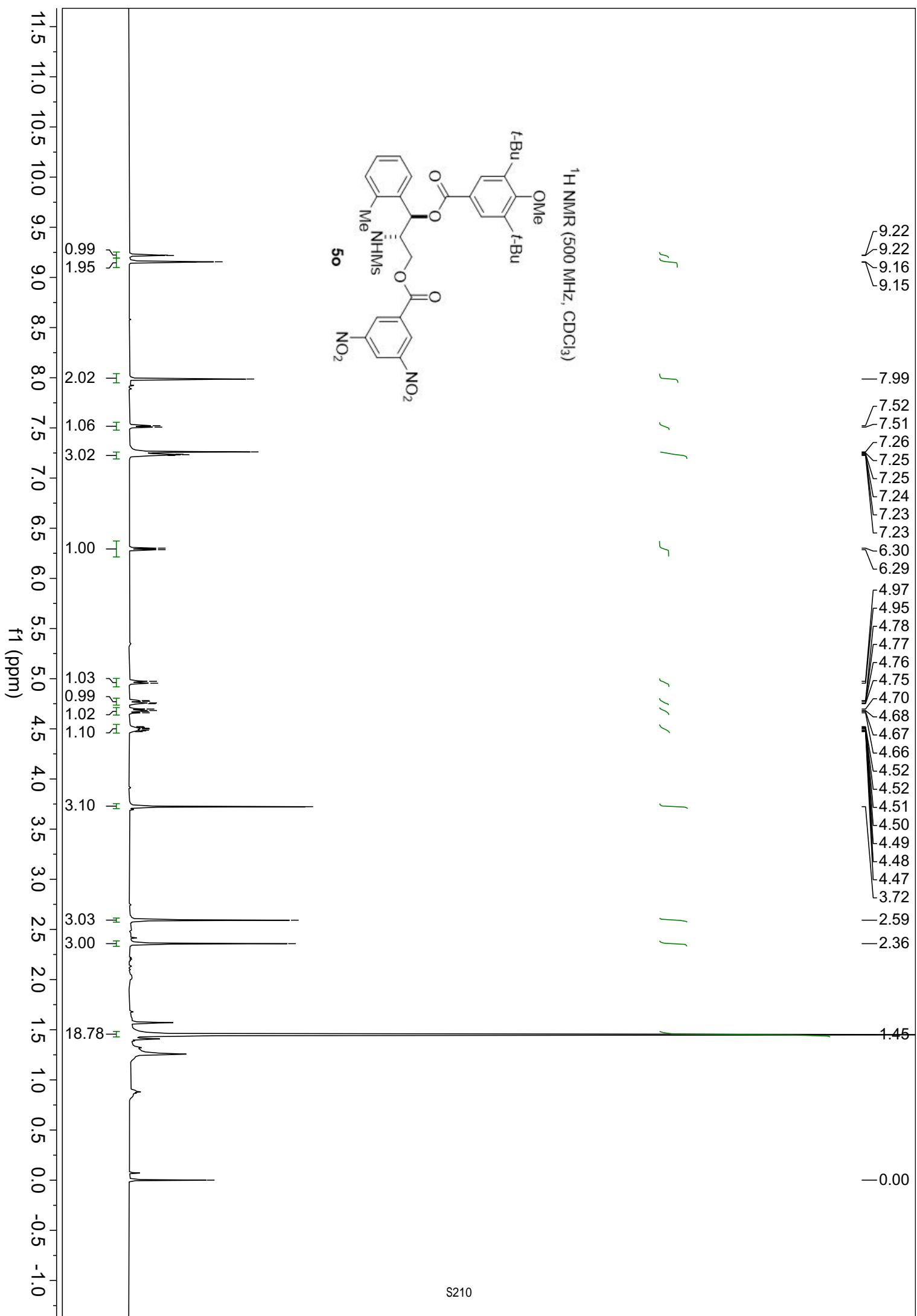


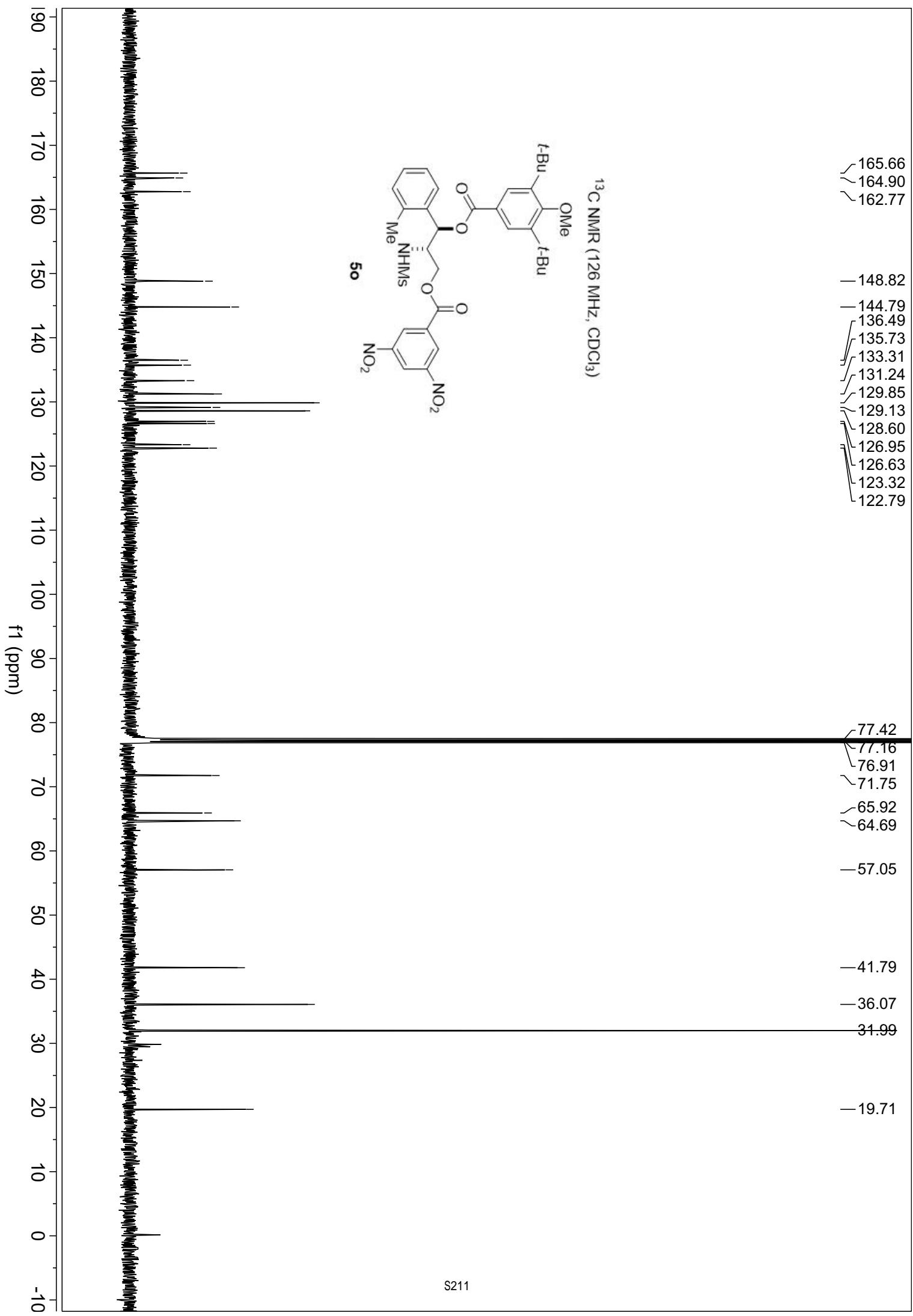


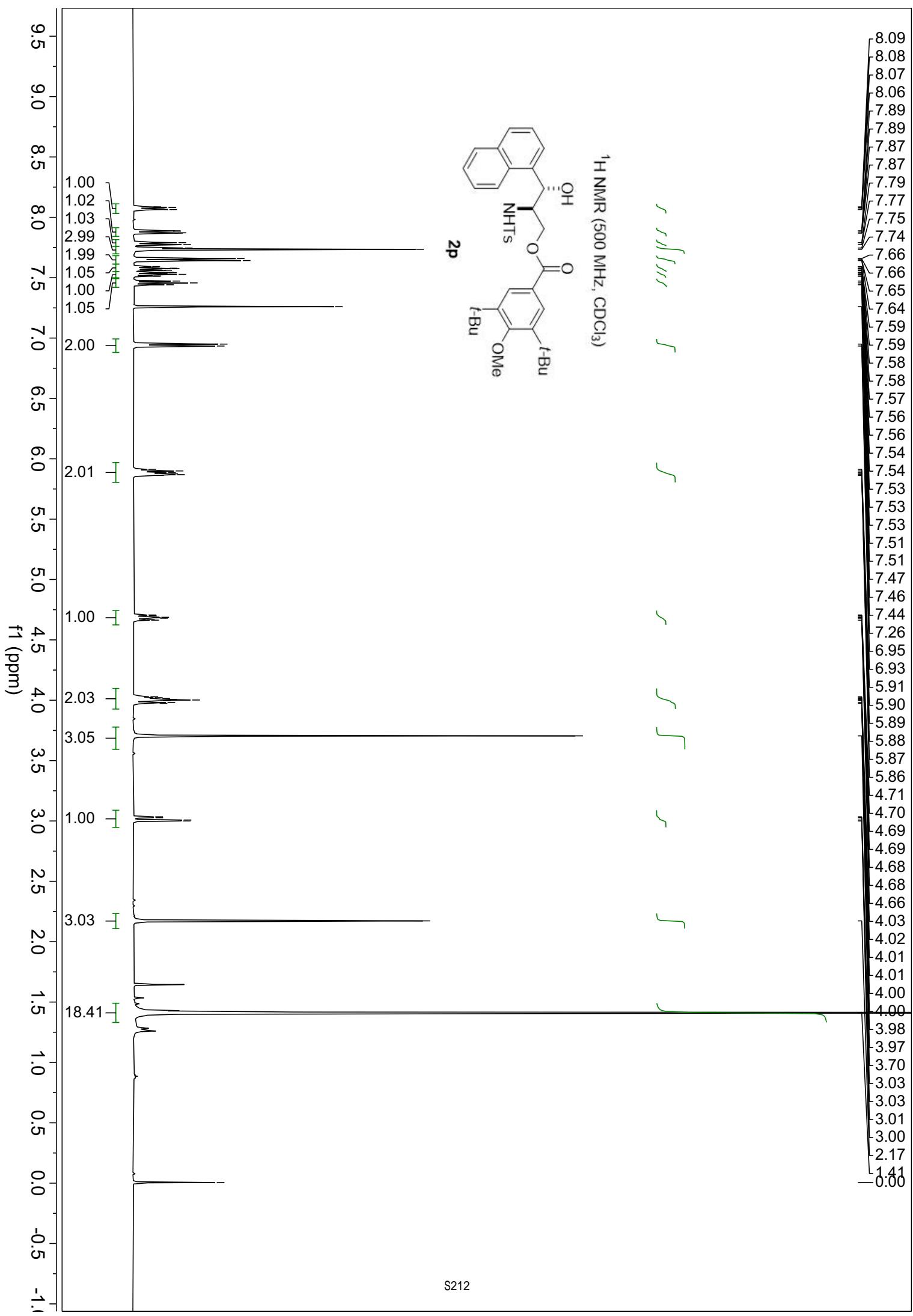


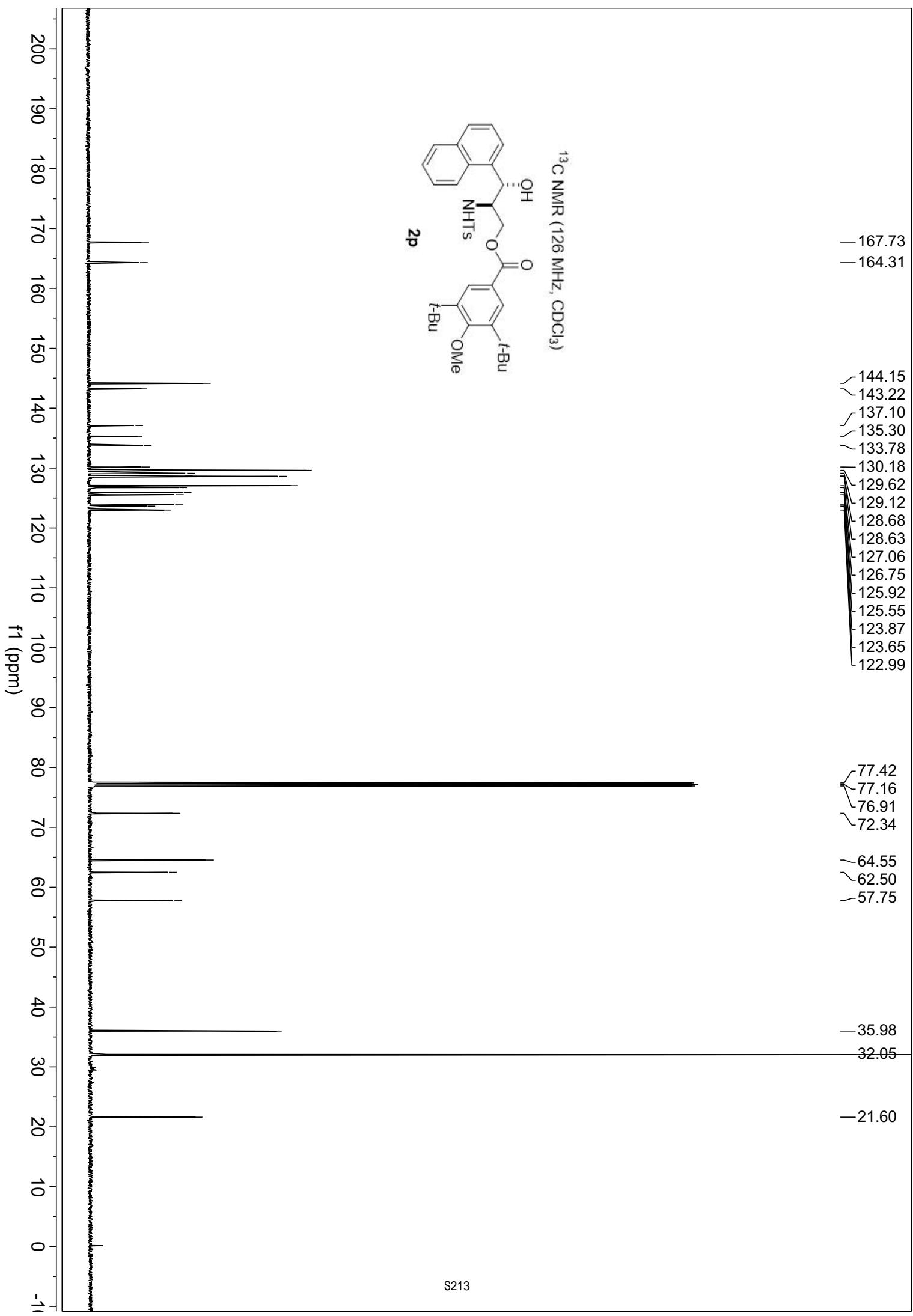


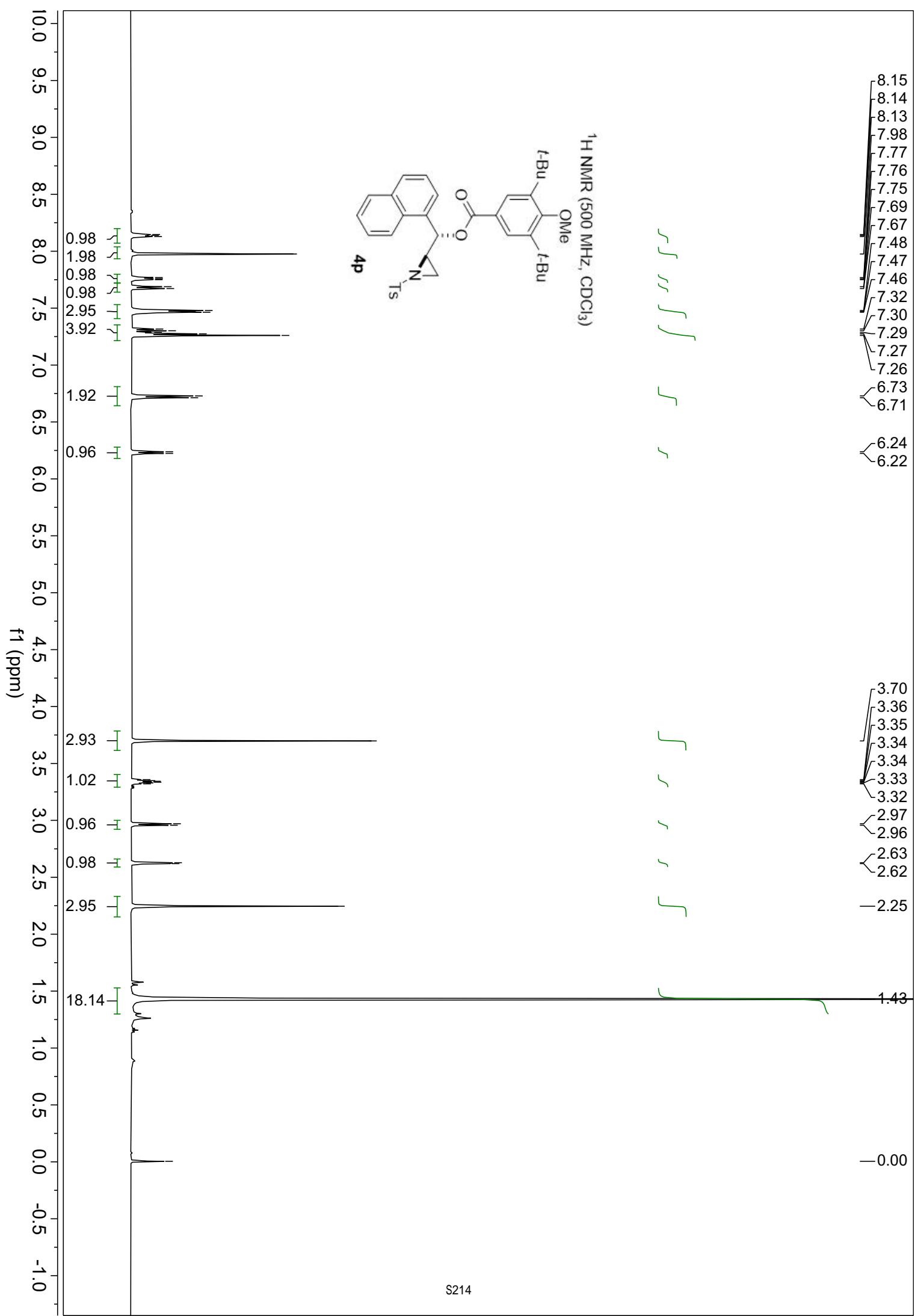


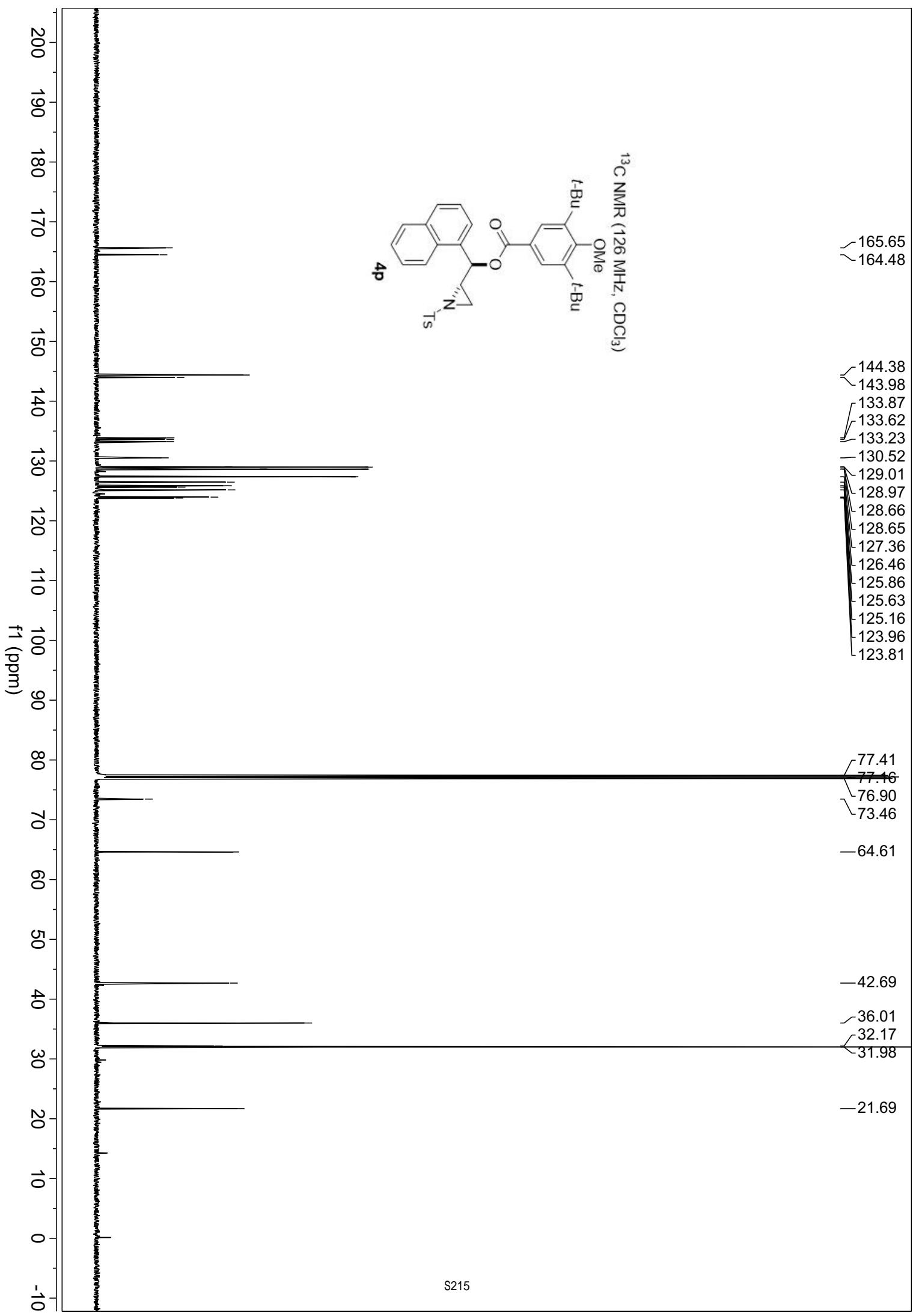


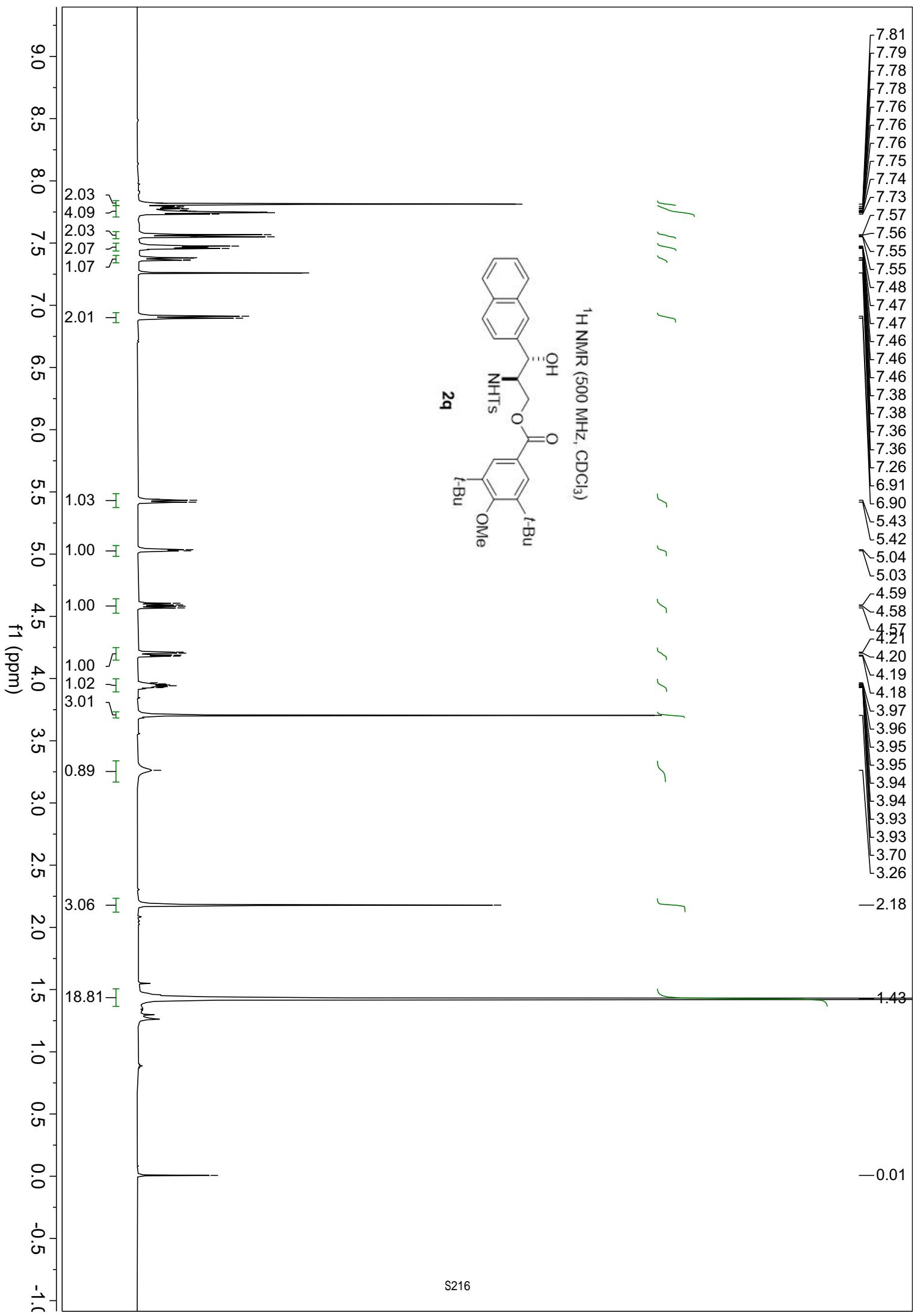


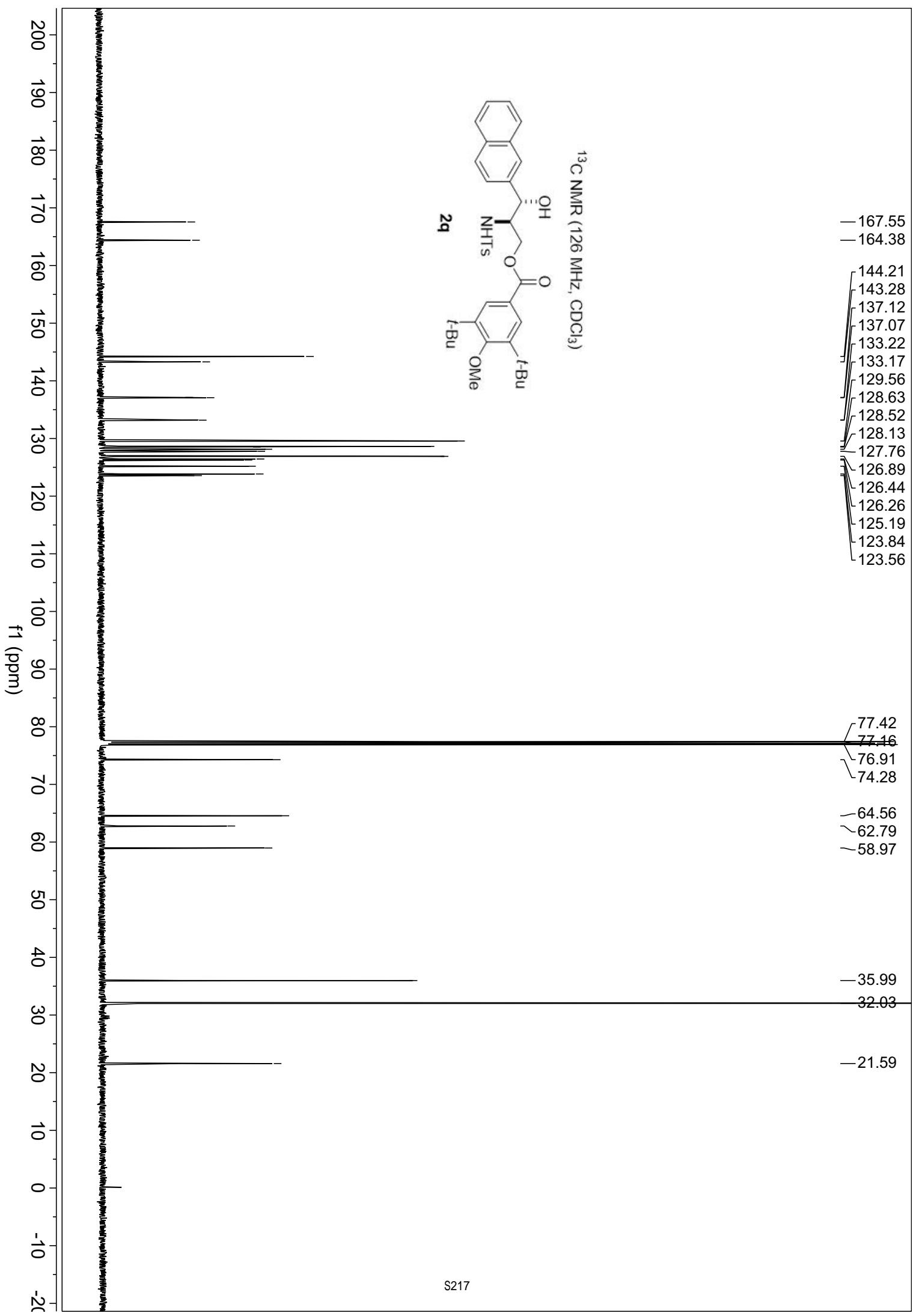


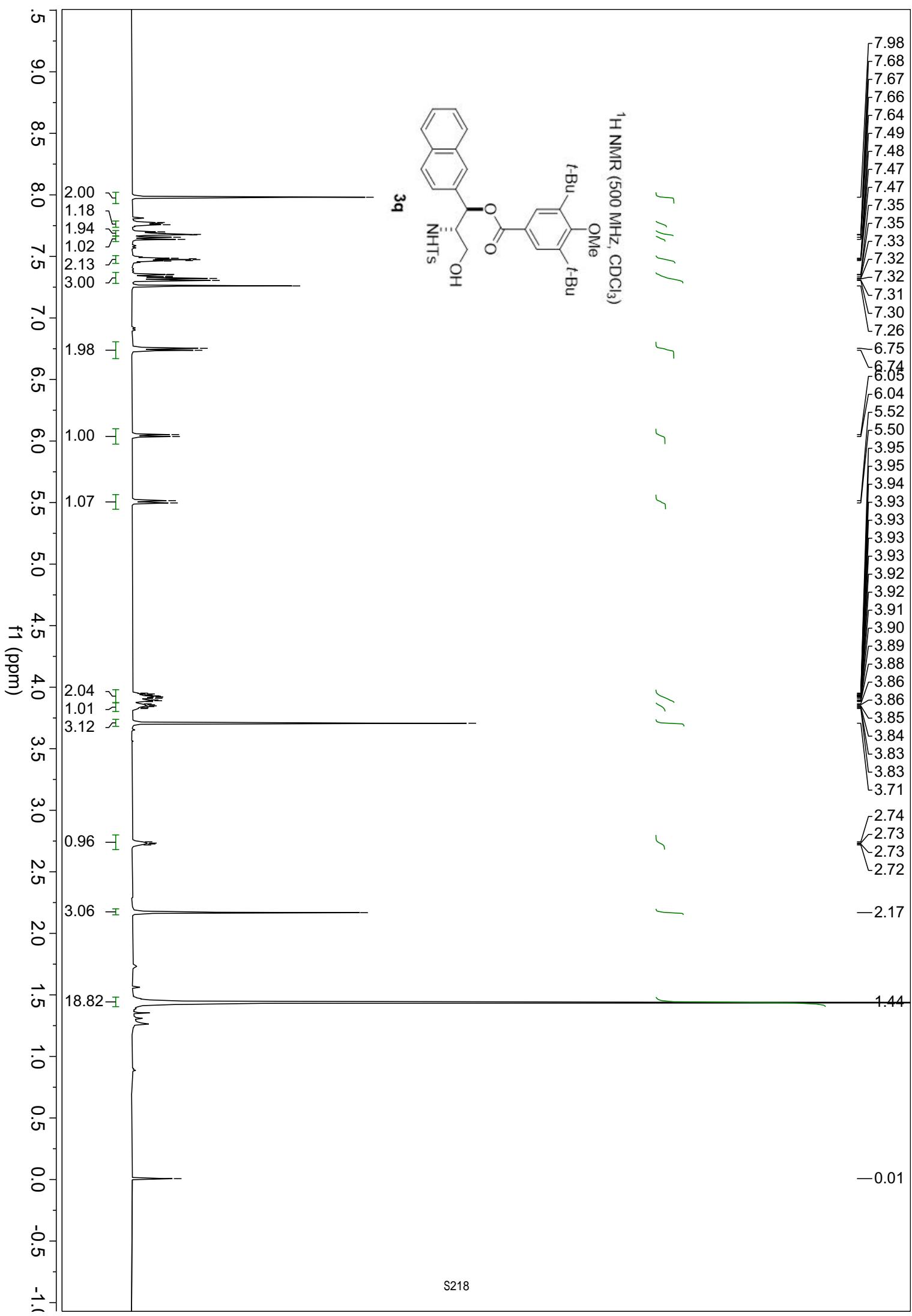


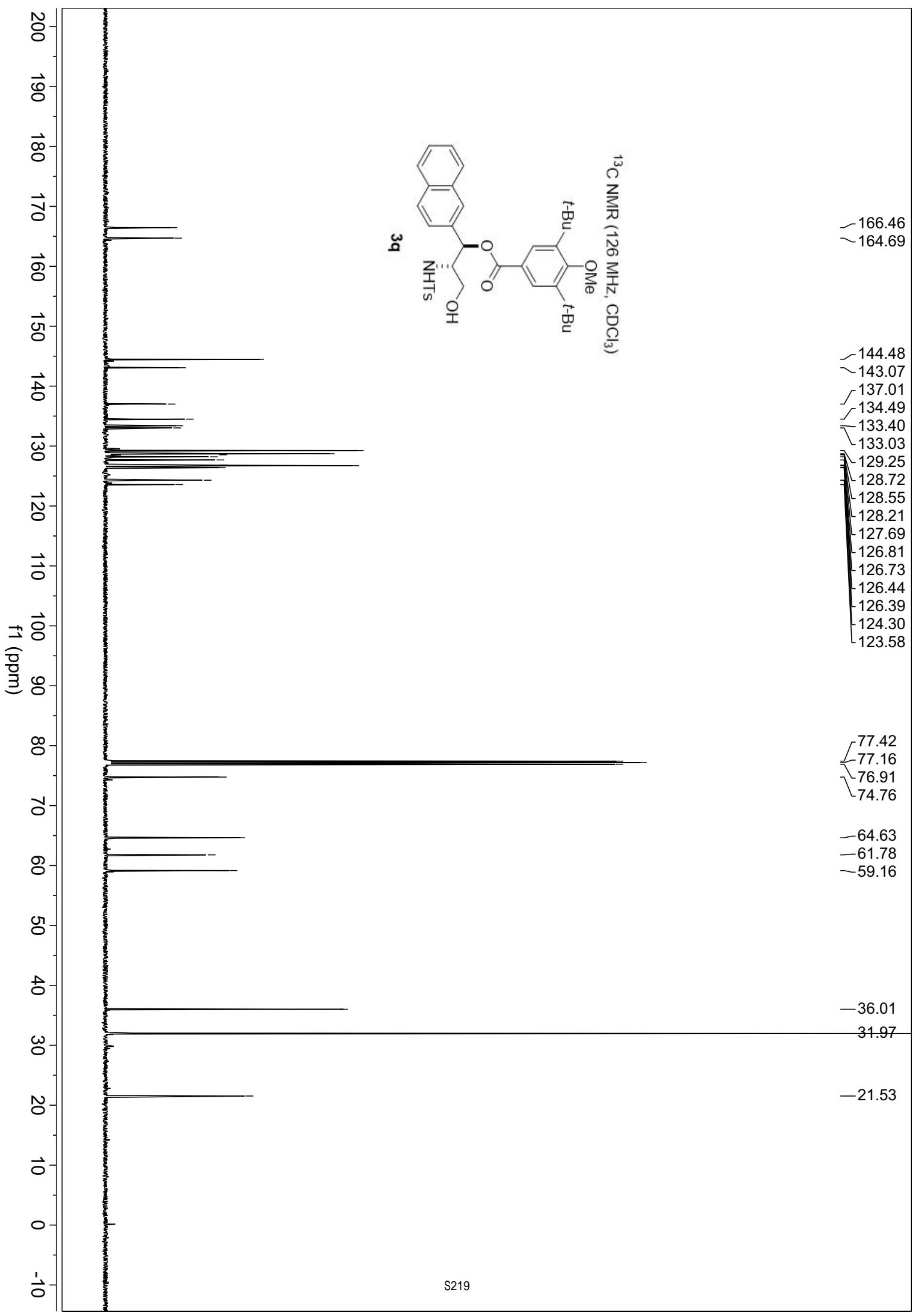


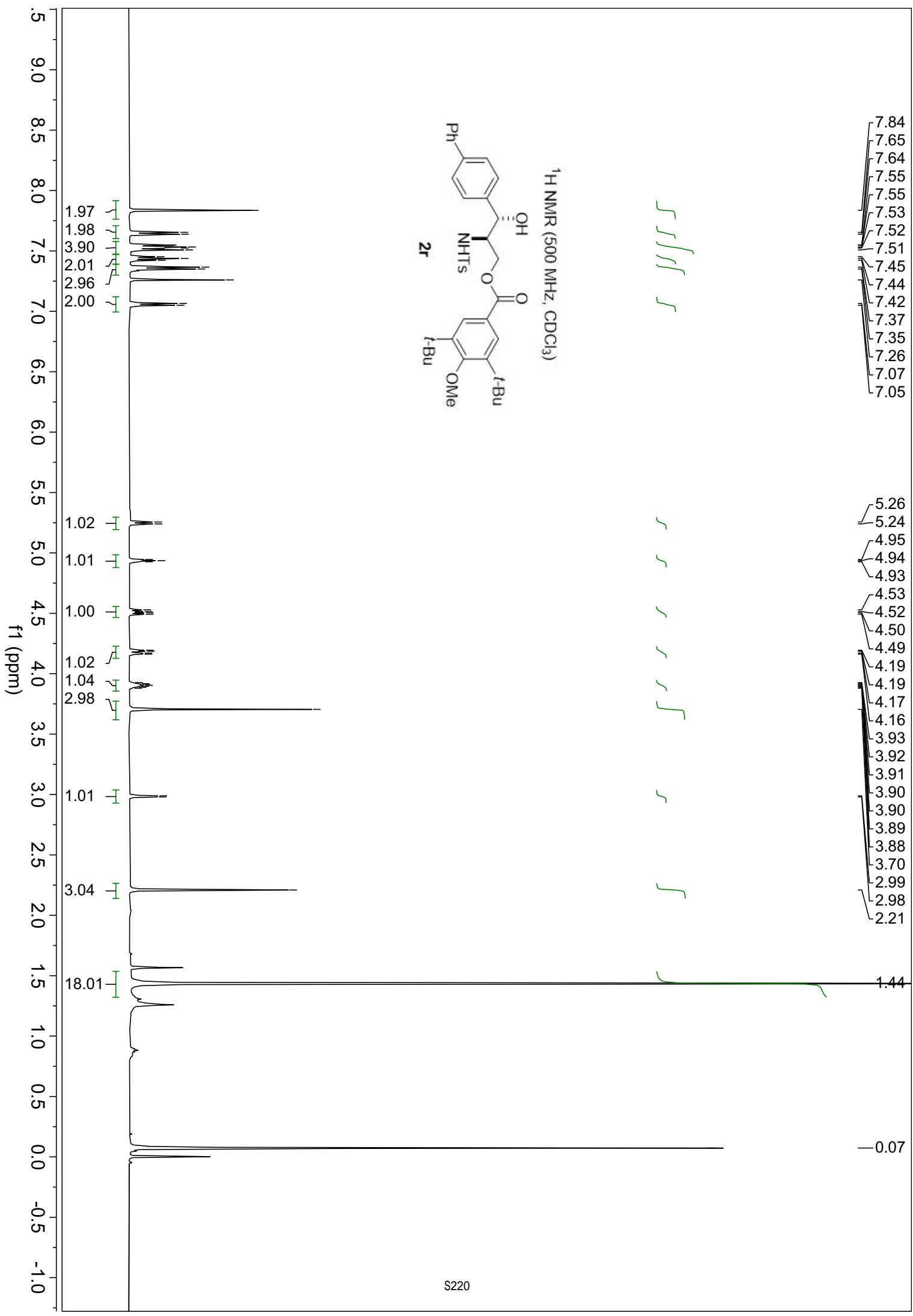


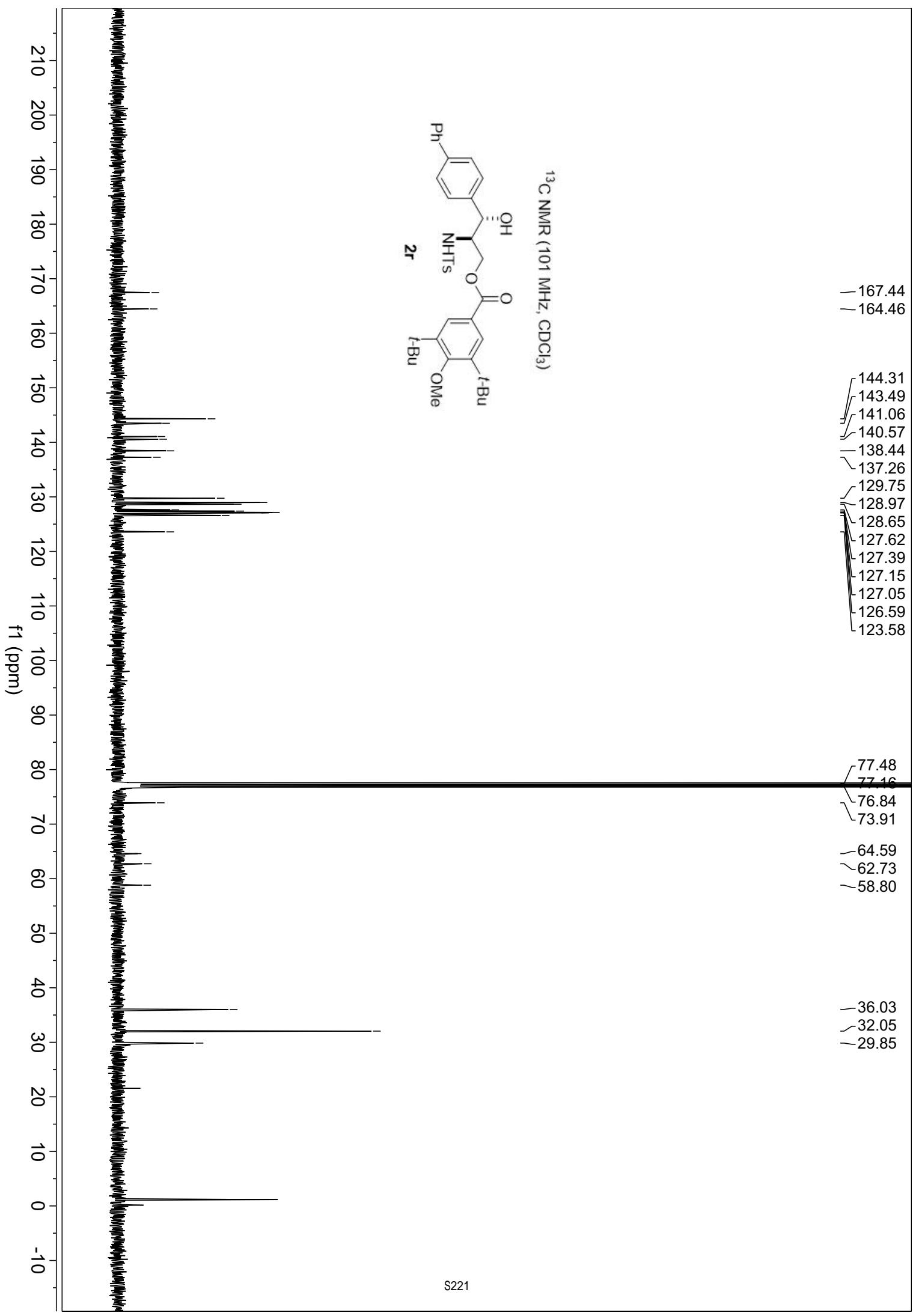


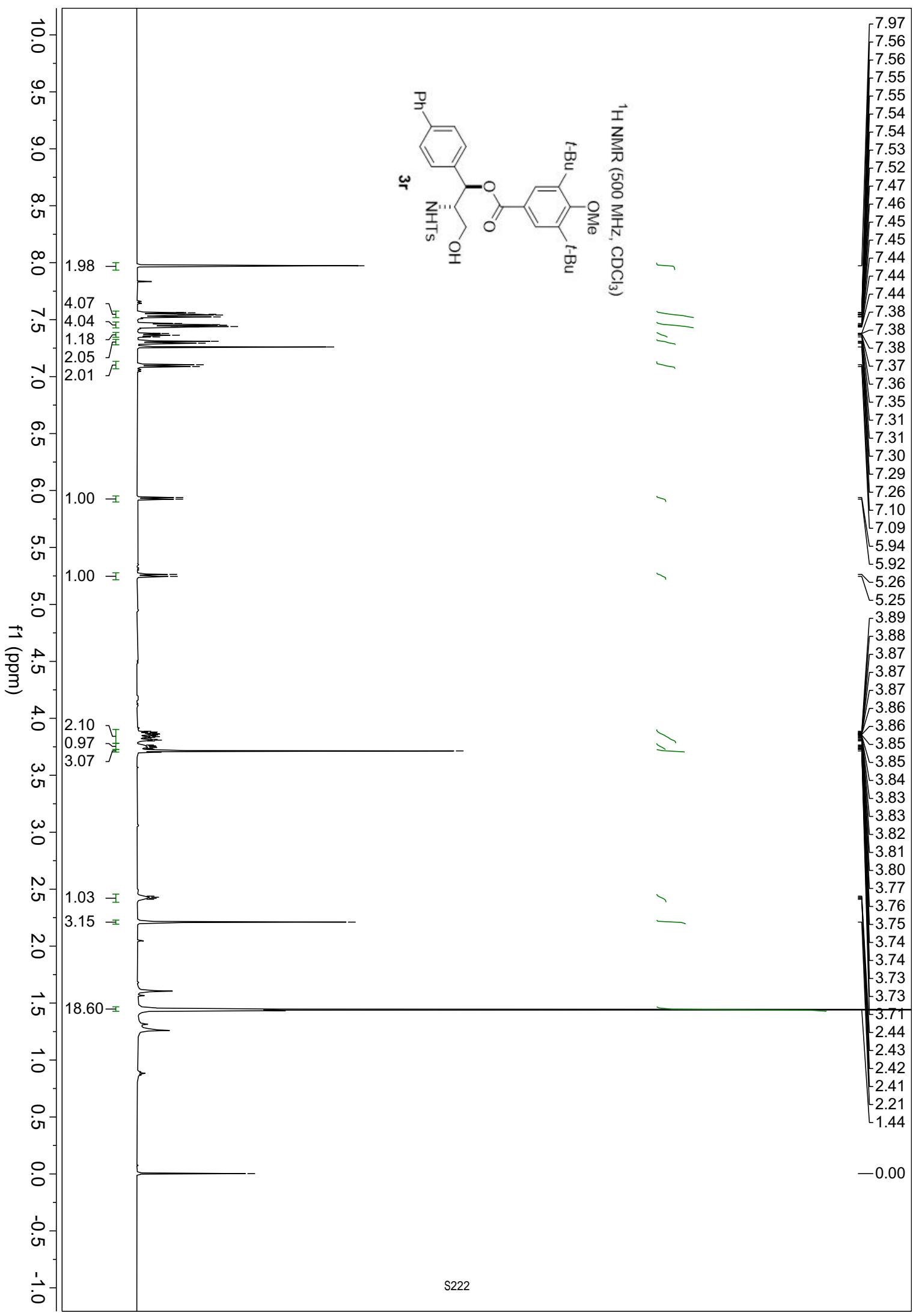


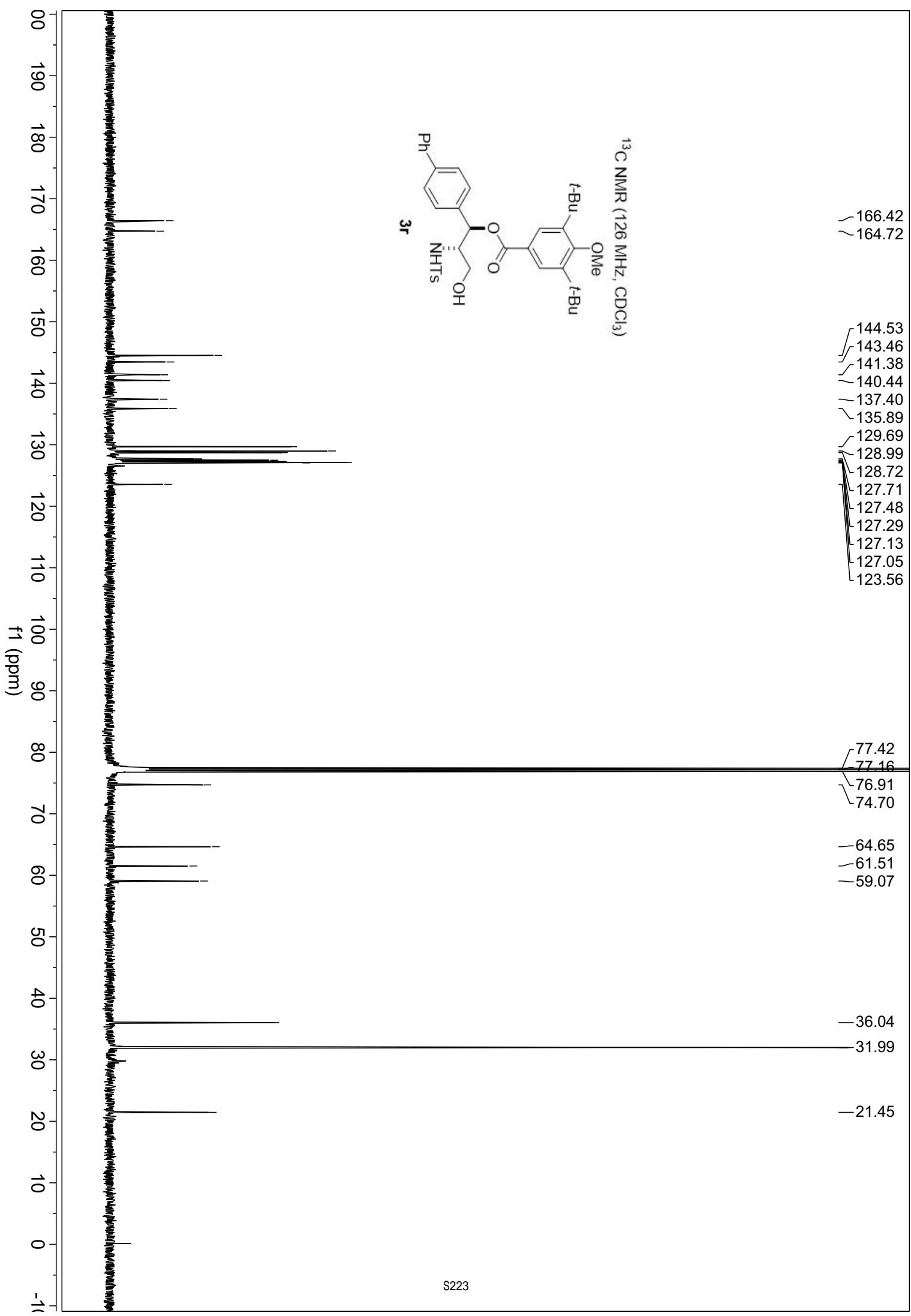


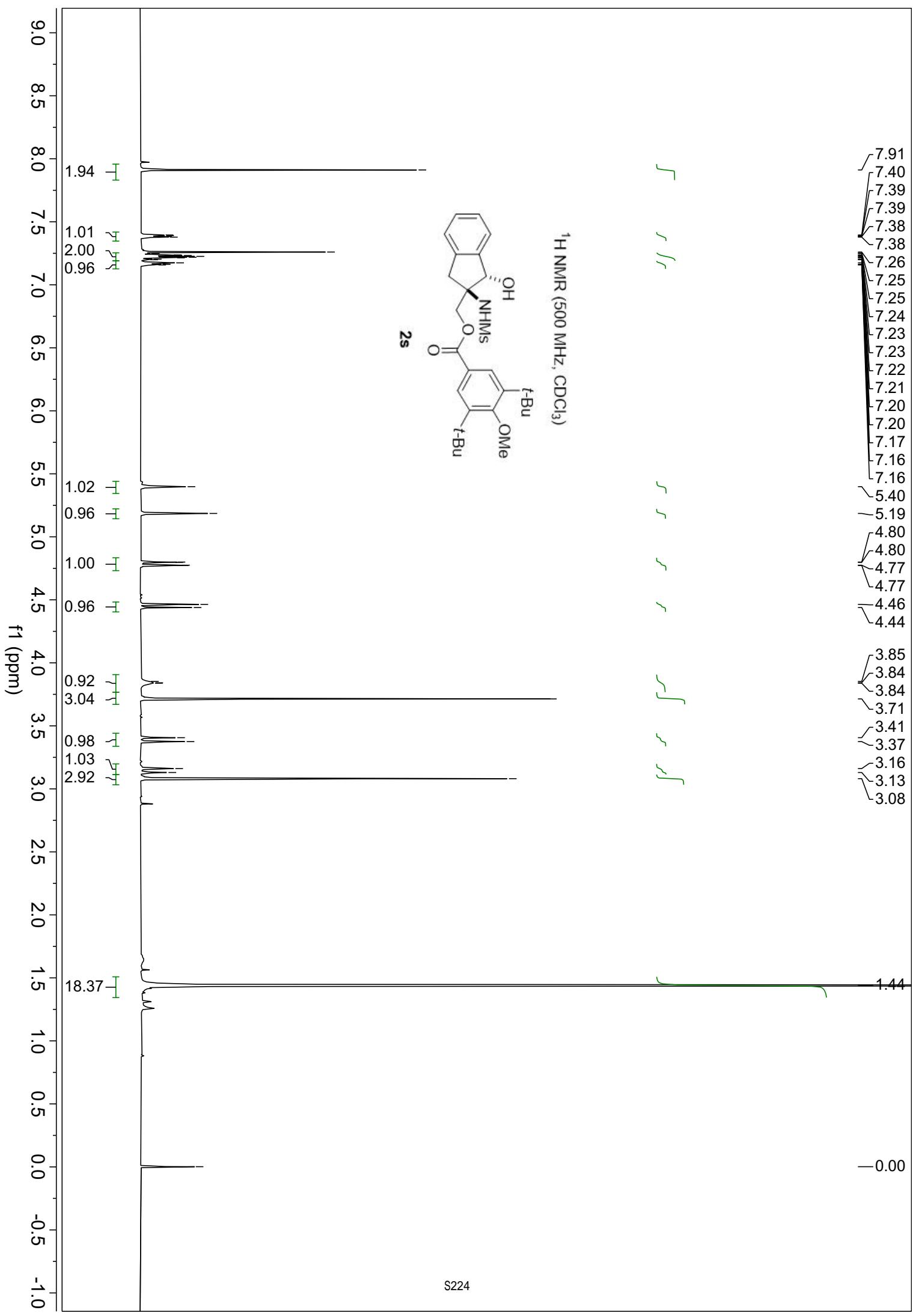


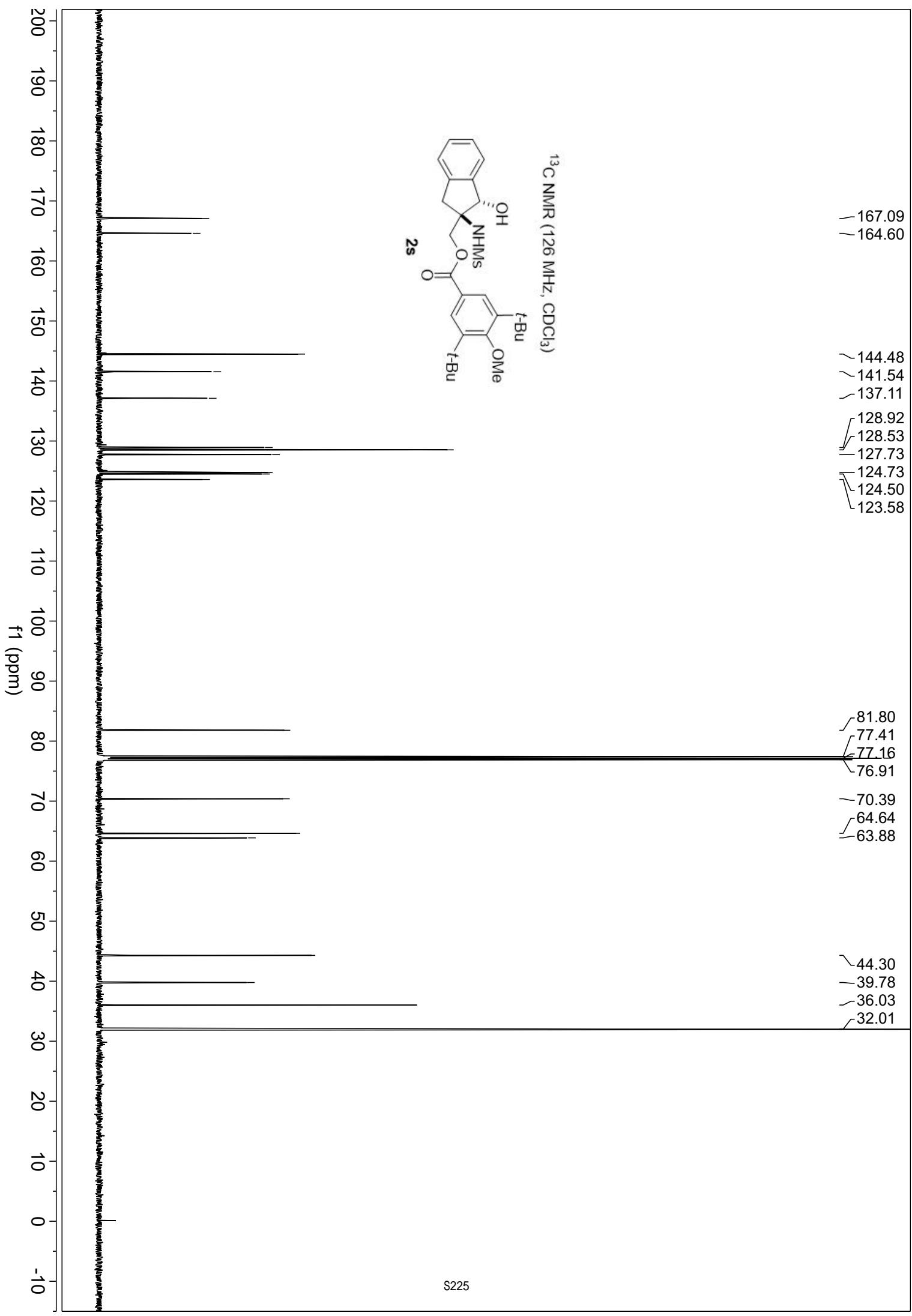


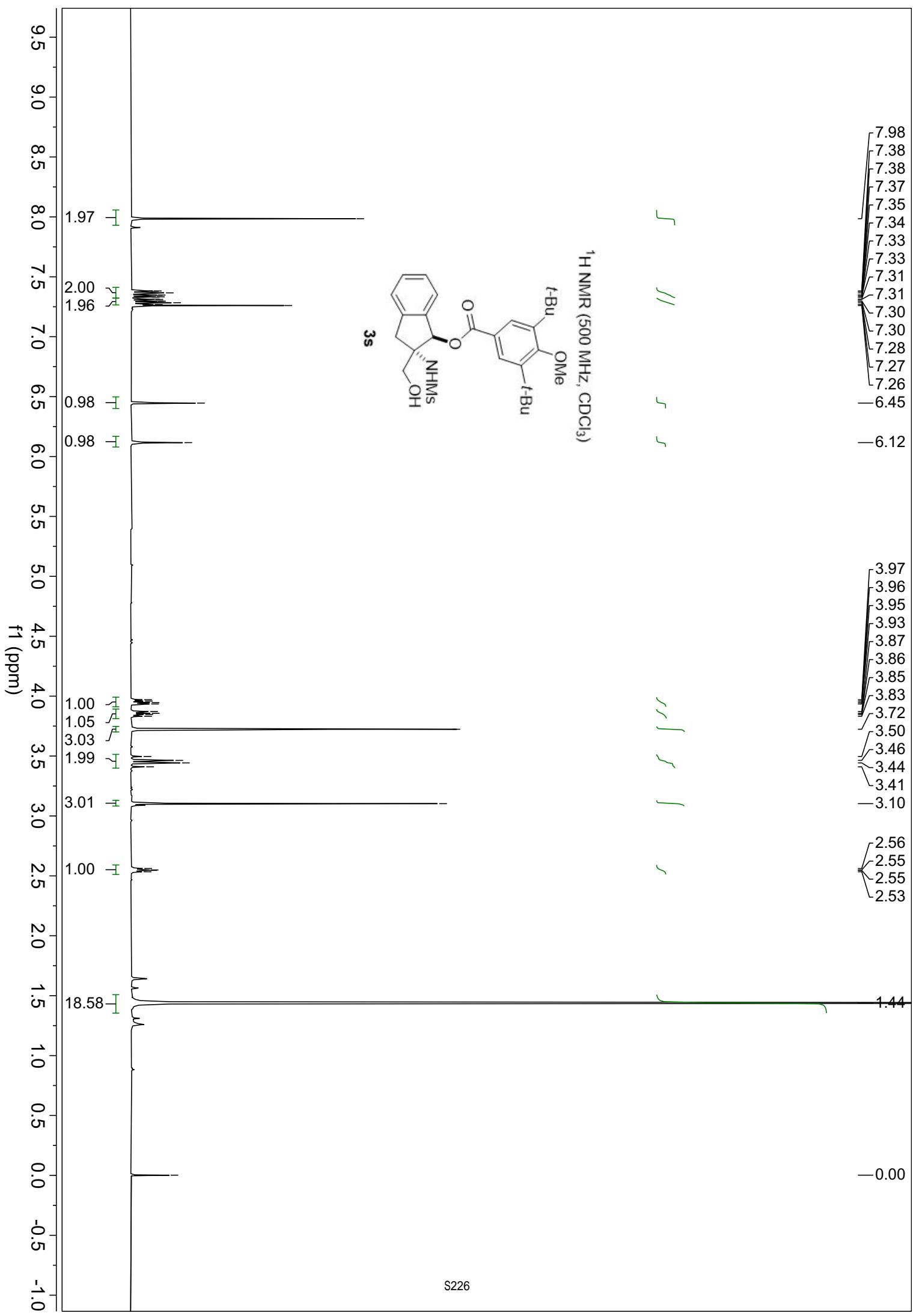


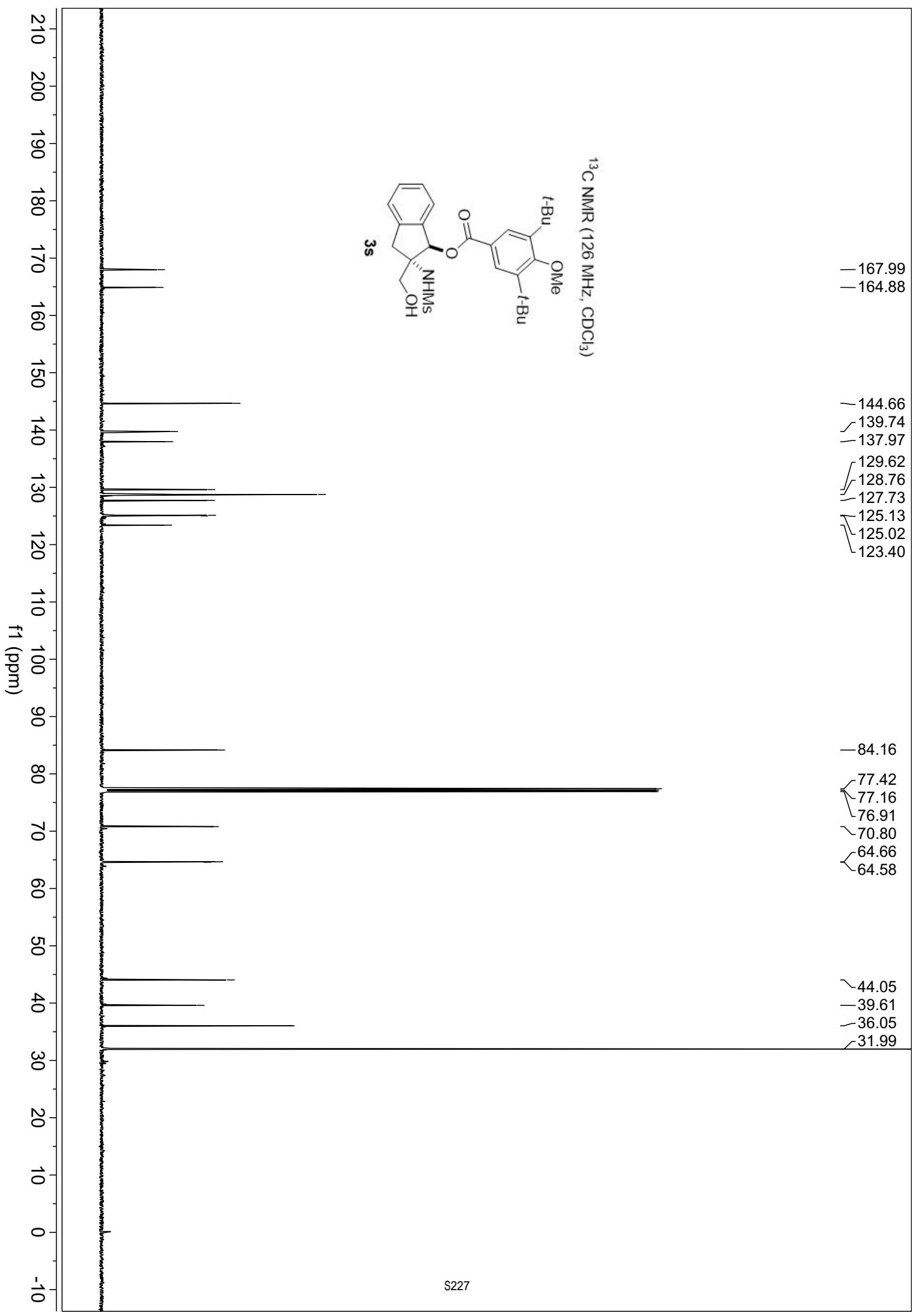


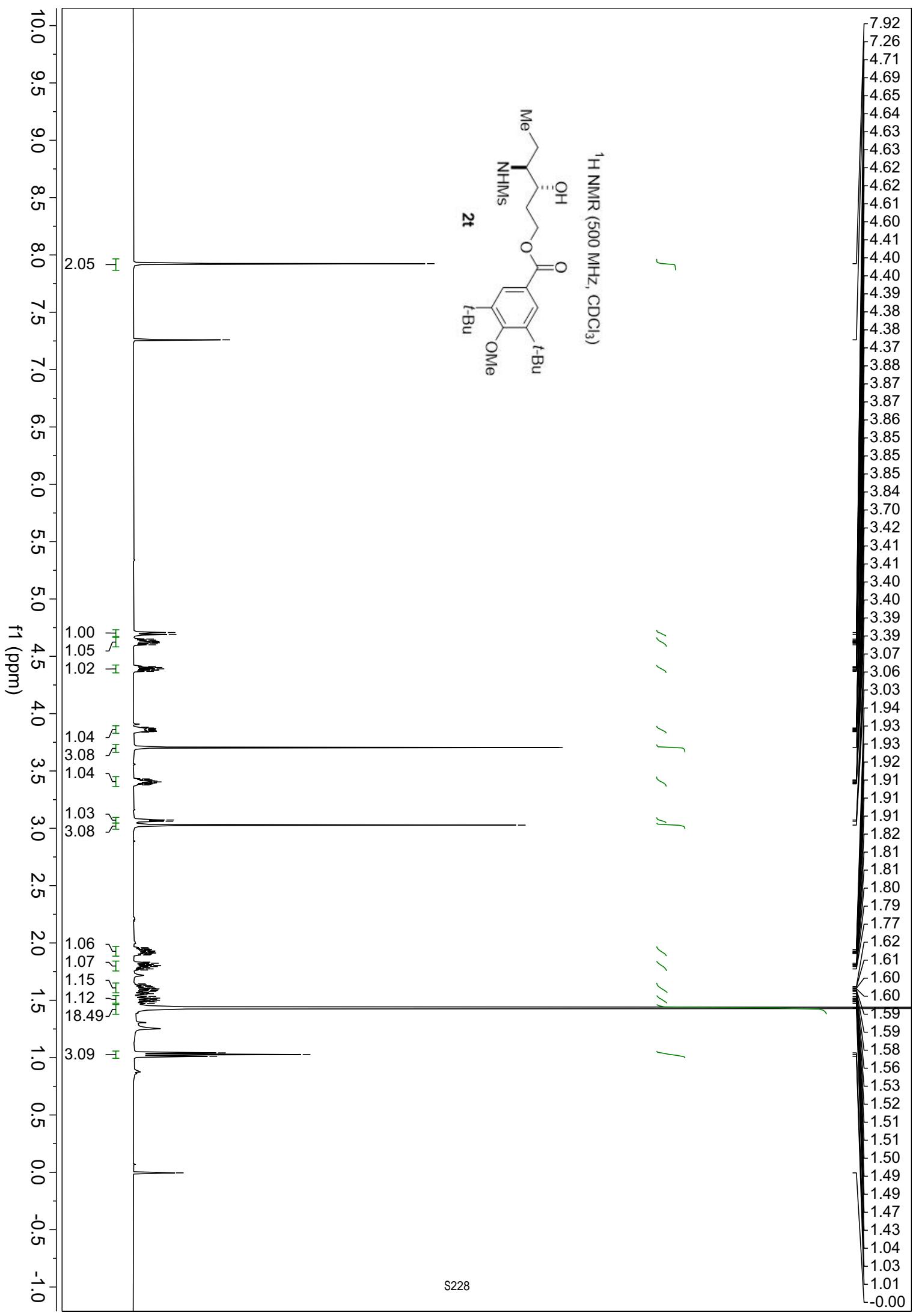


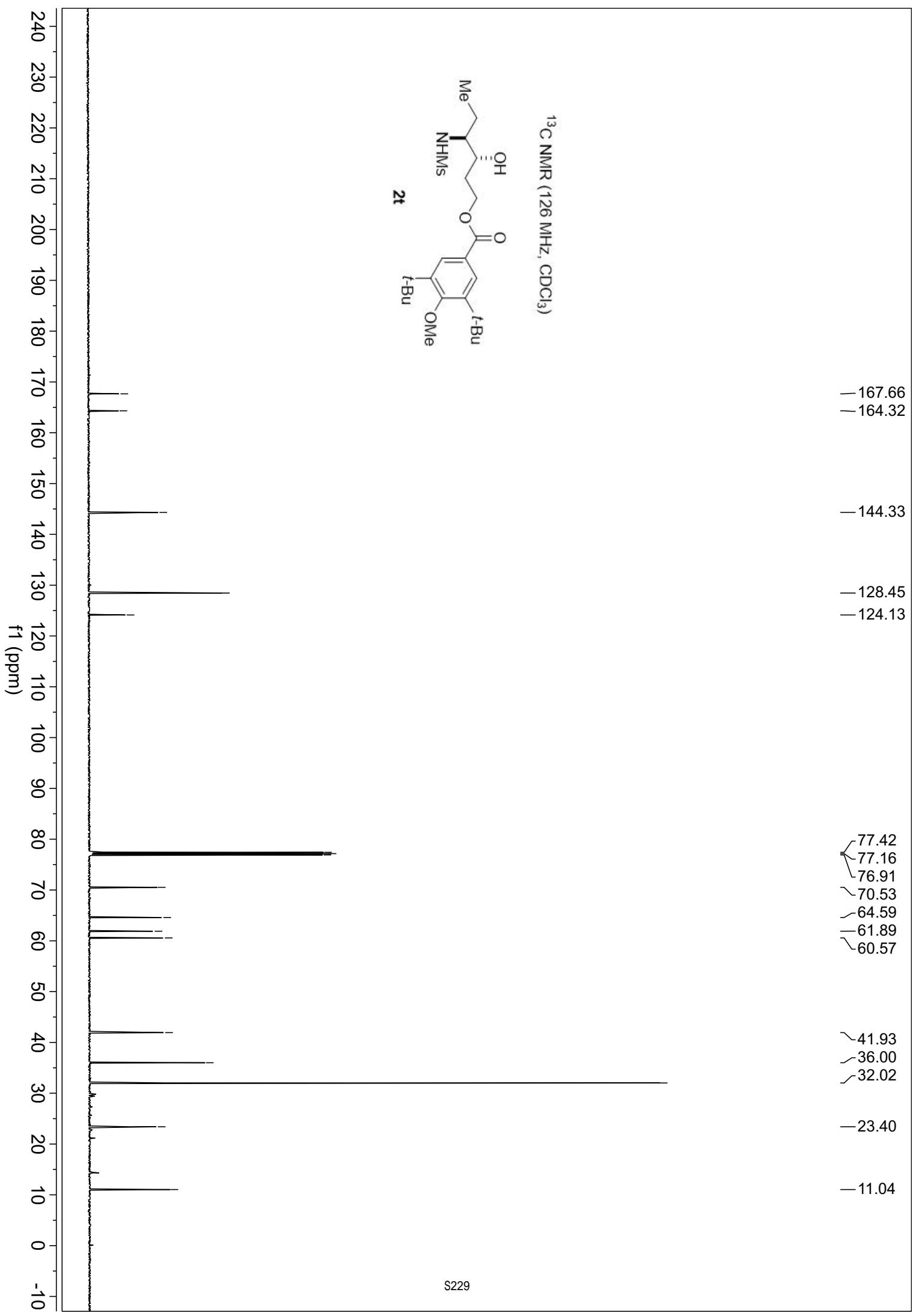


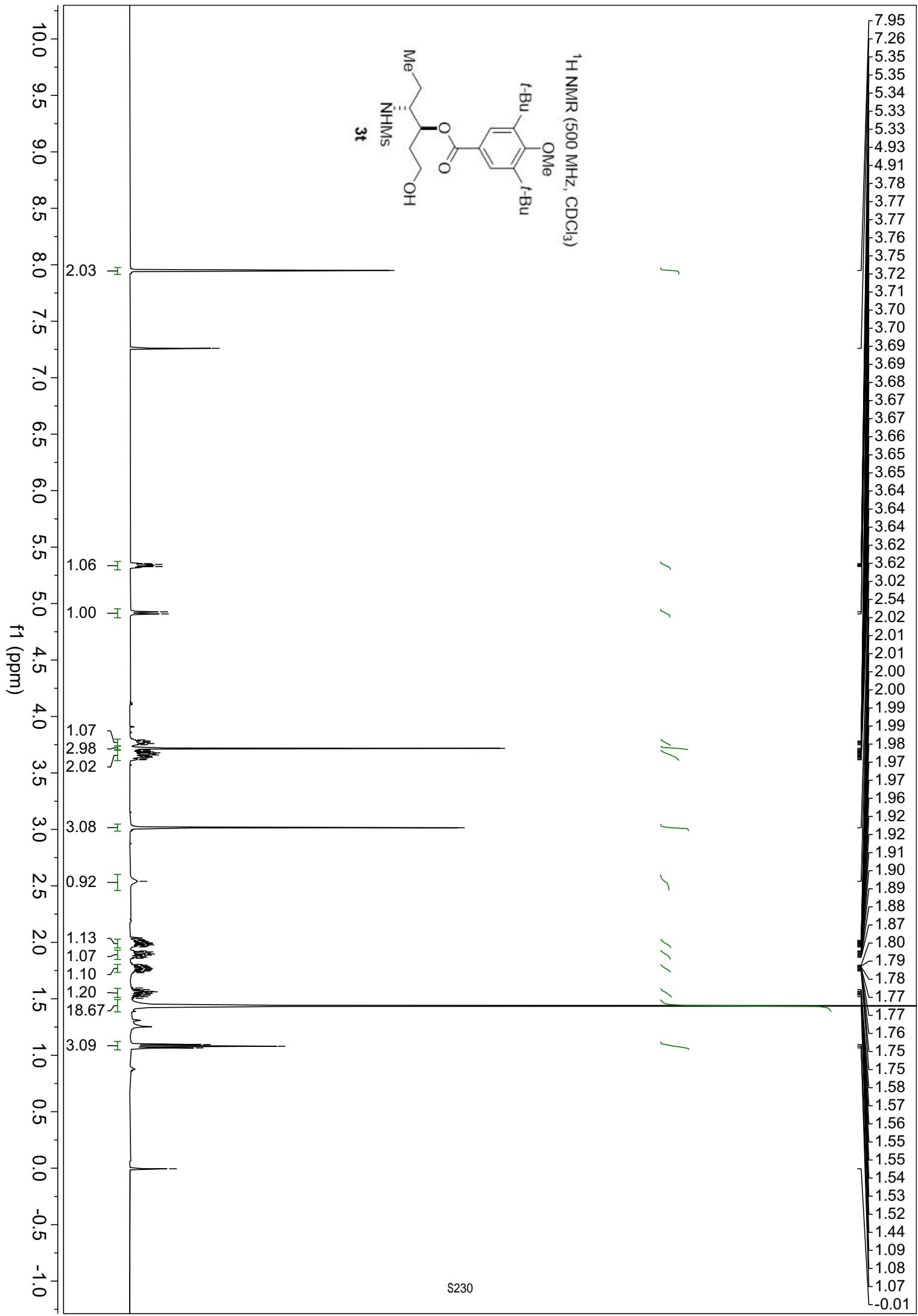




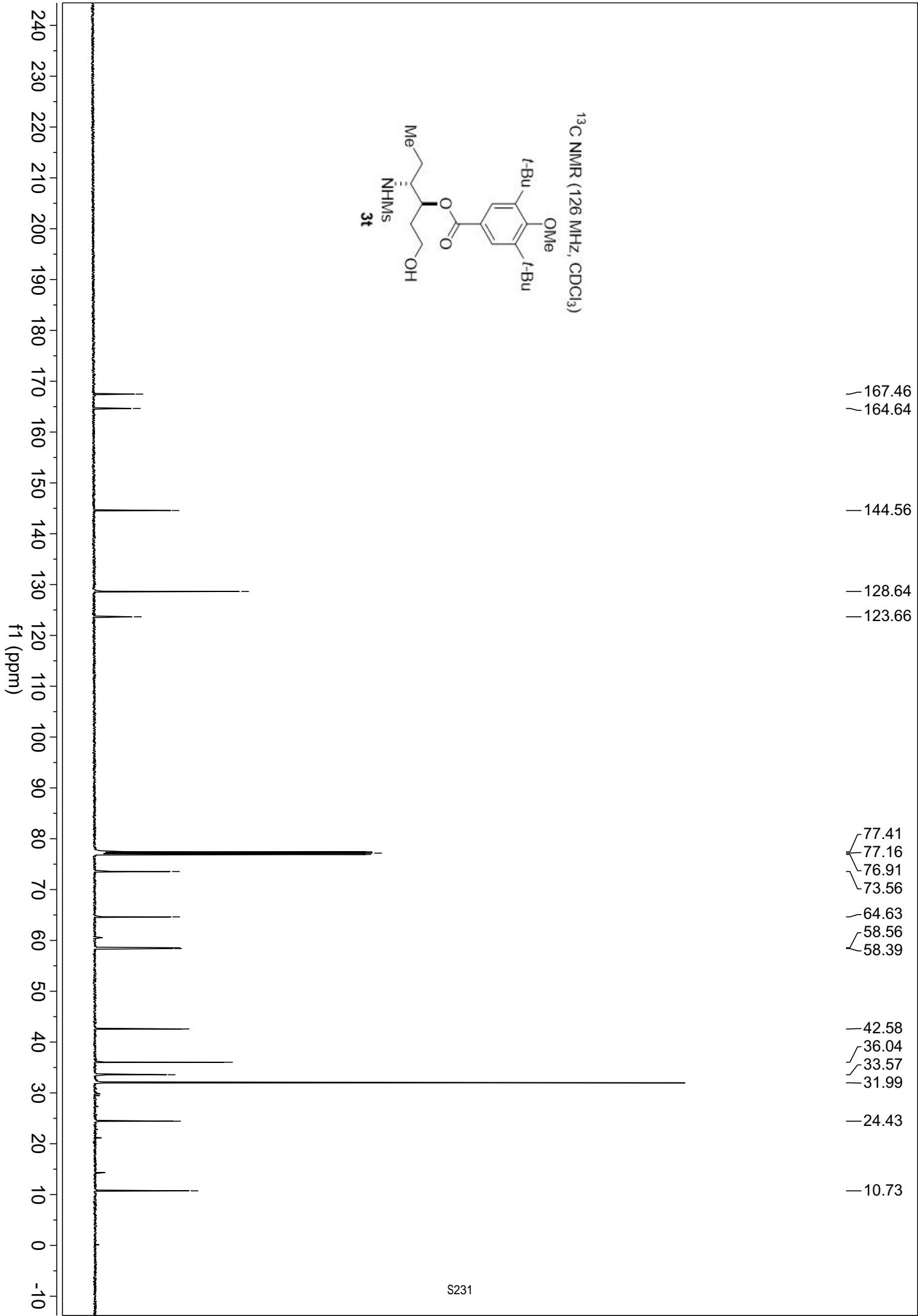
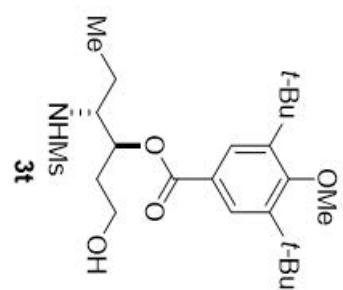


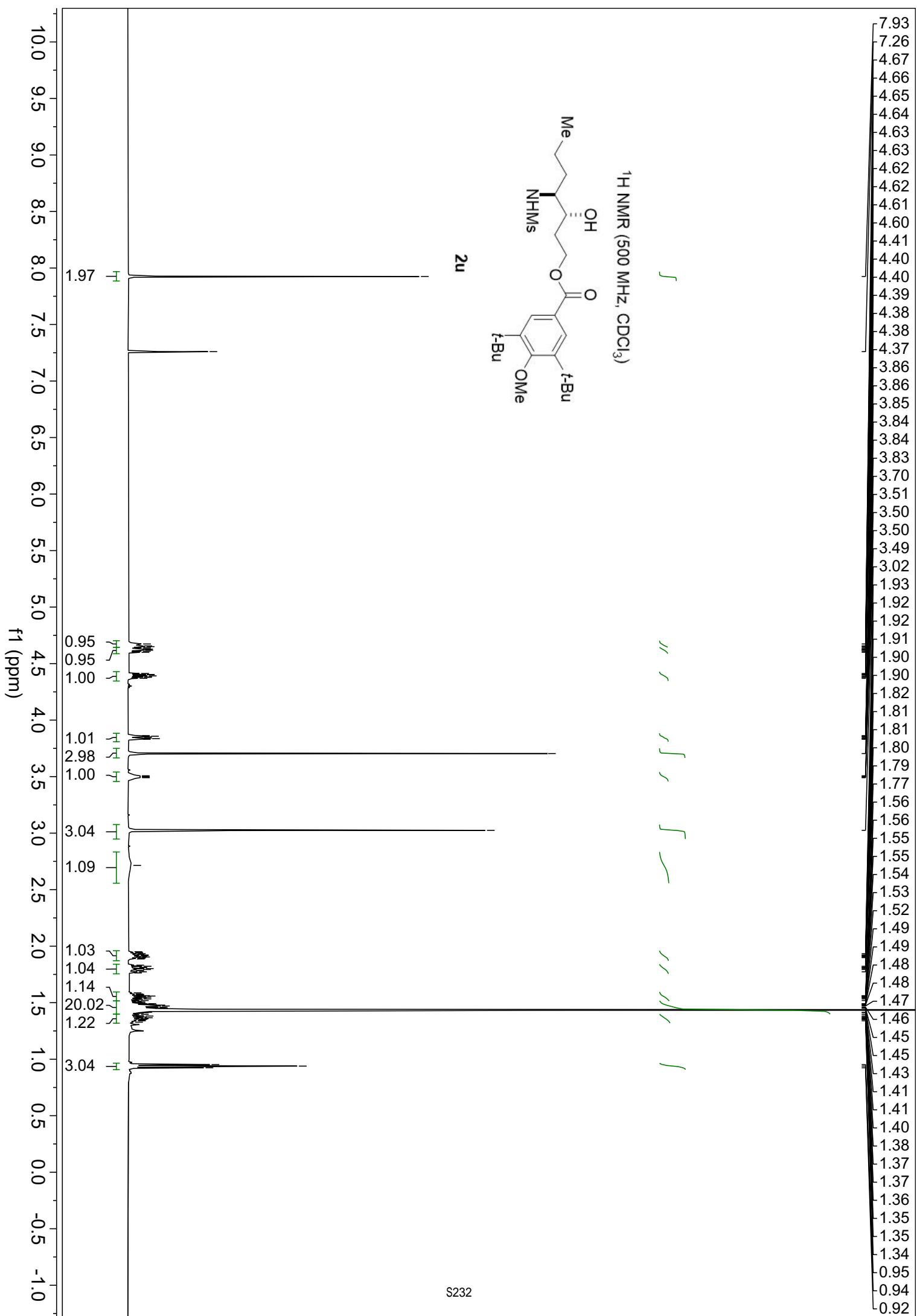


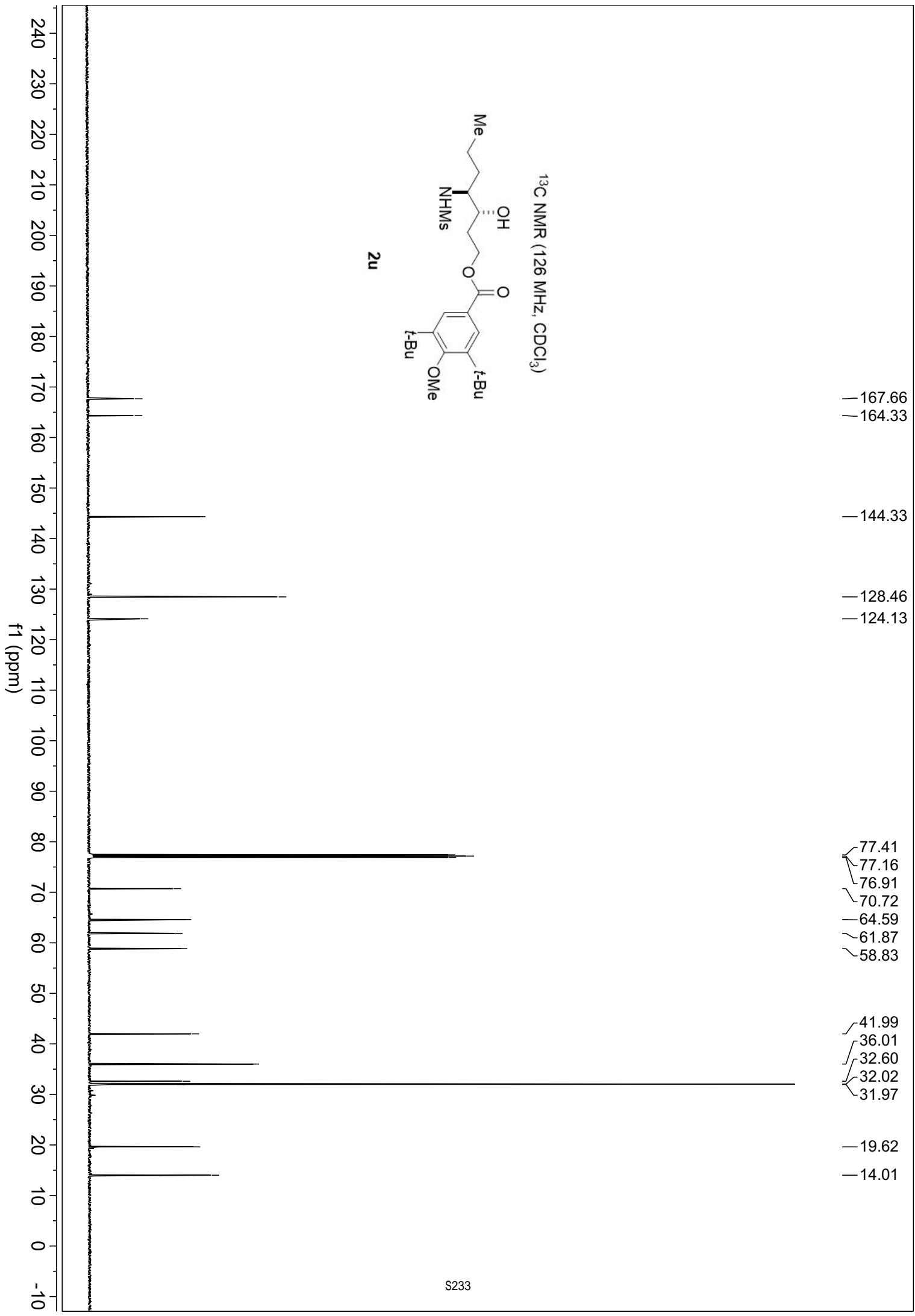




¹³C NMR (126 MHz, CDCl₃)

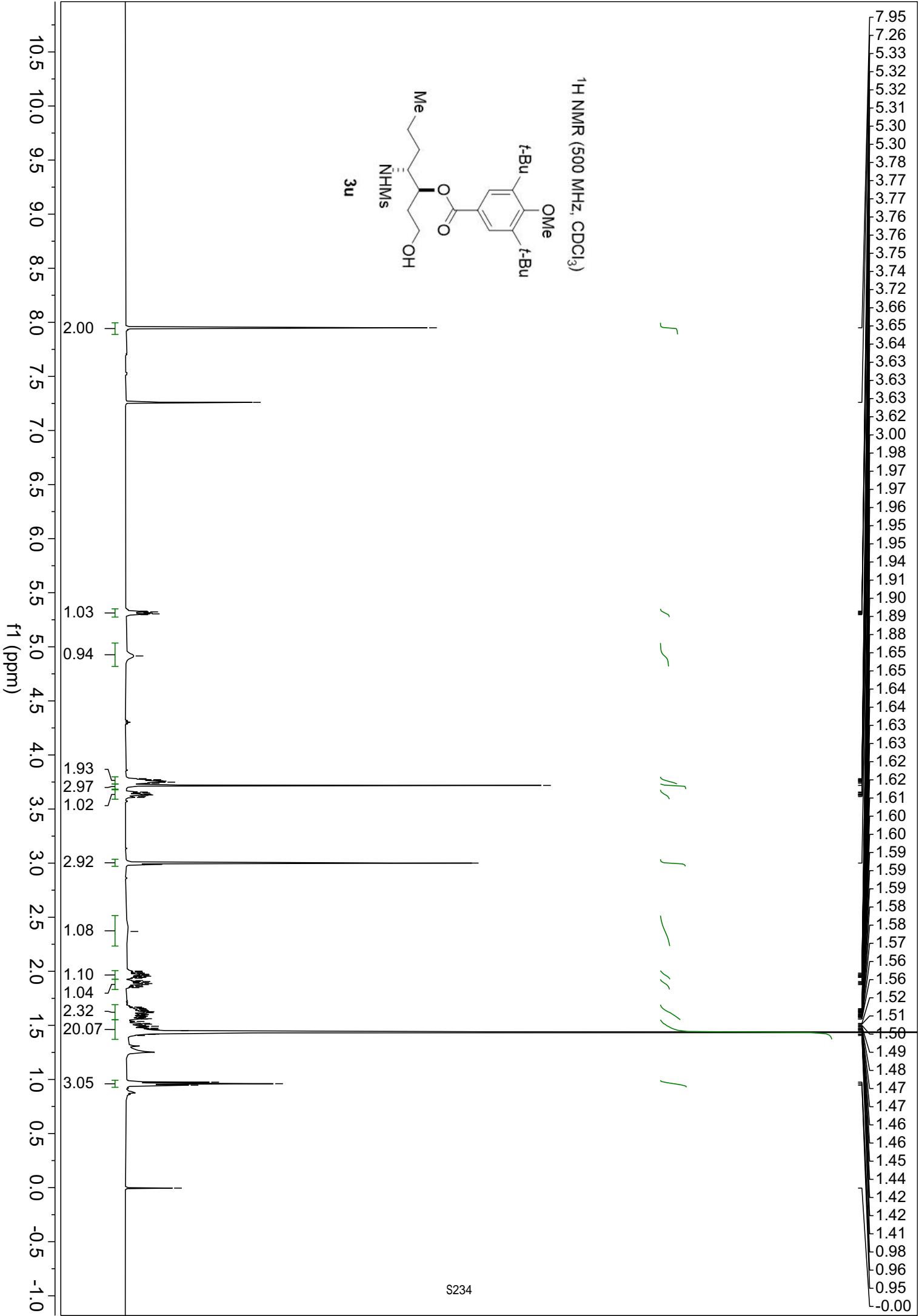
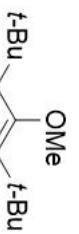




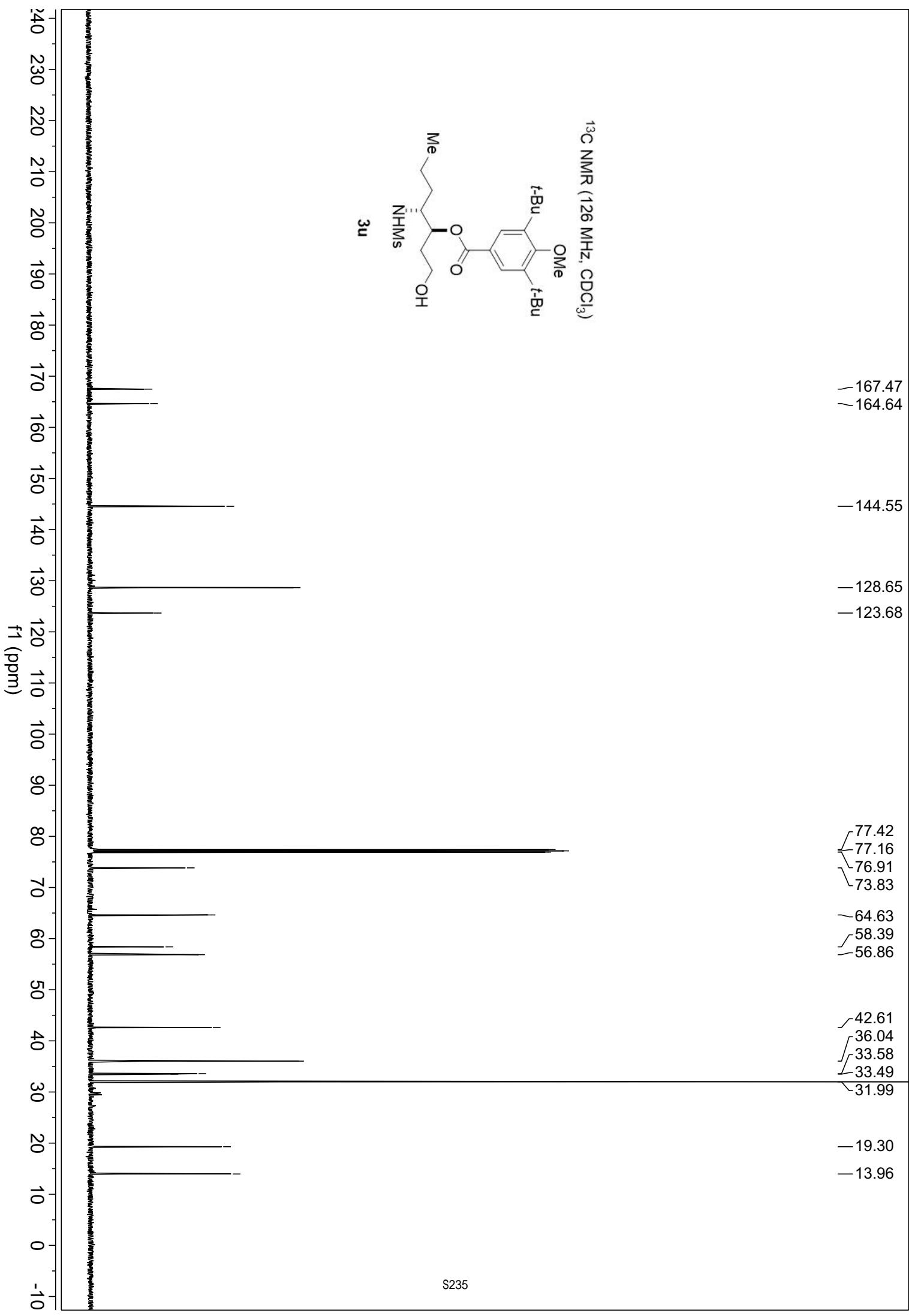
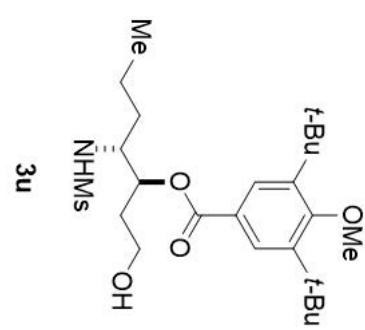


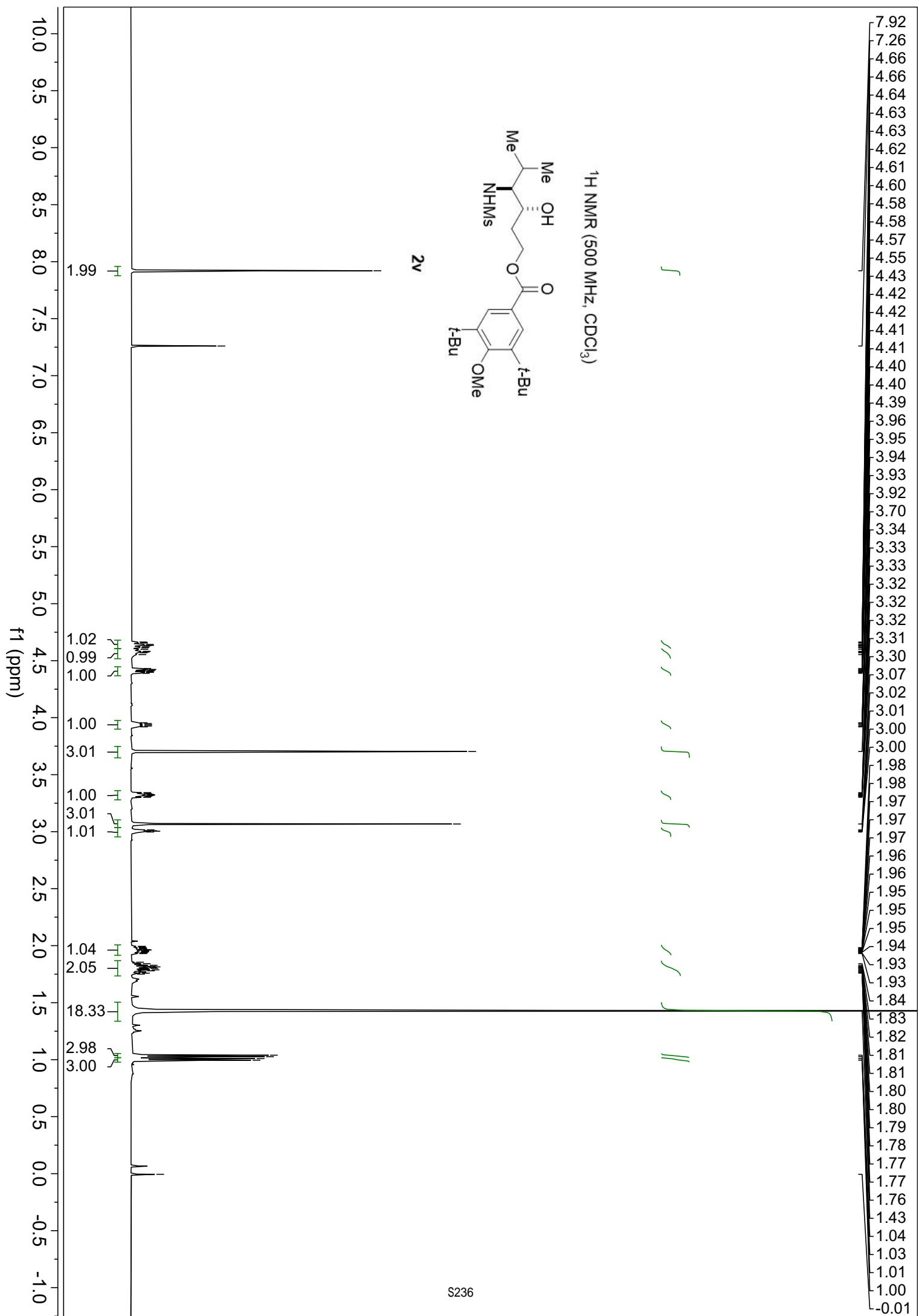
¹H NMR (500 MHz, CDCl₃)

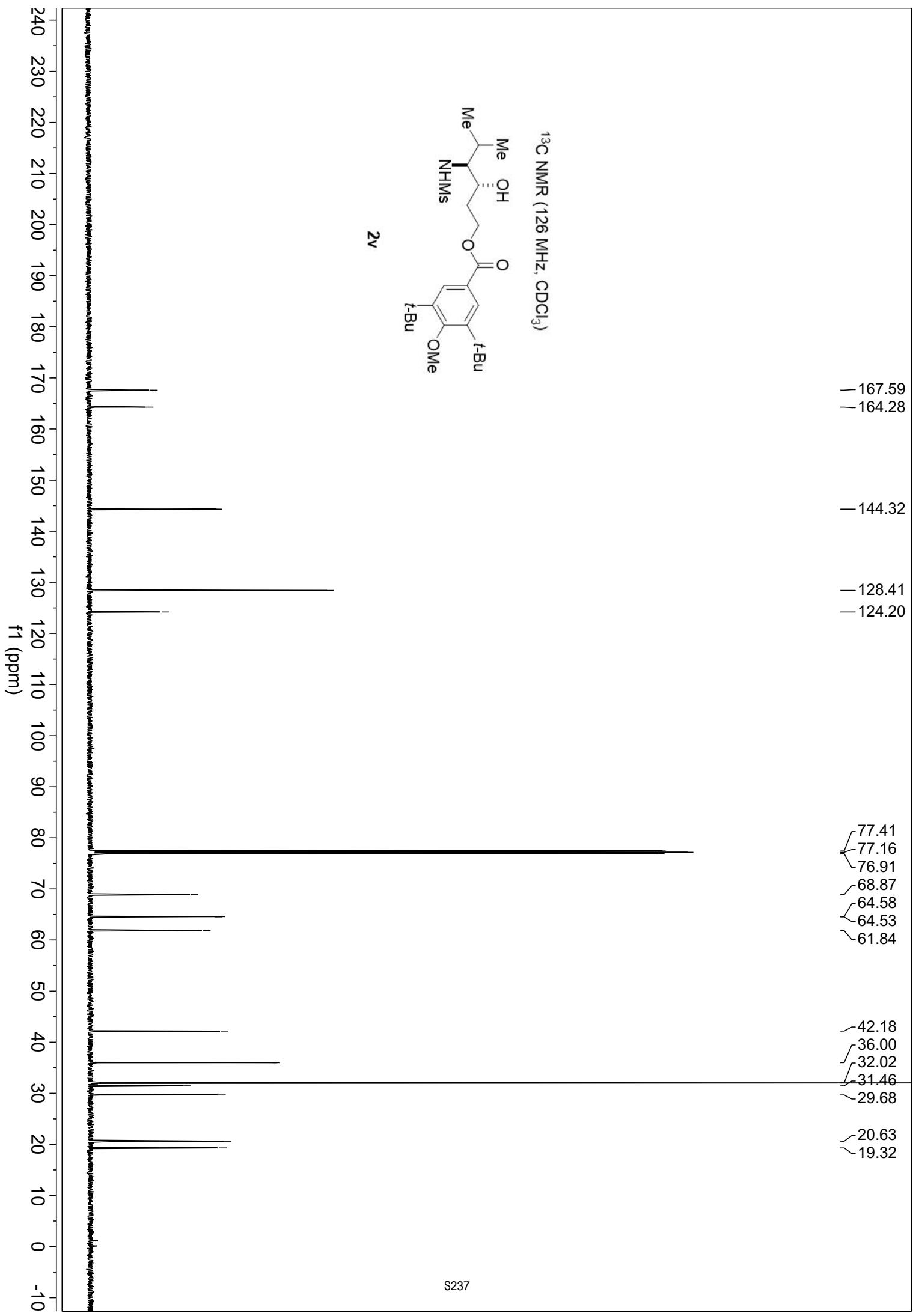
t-Bu

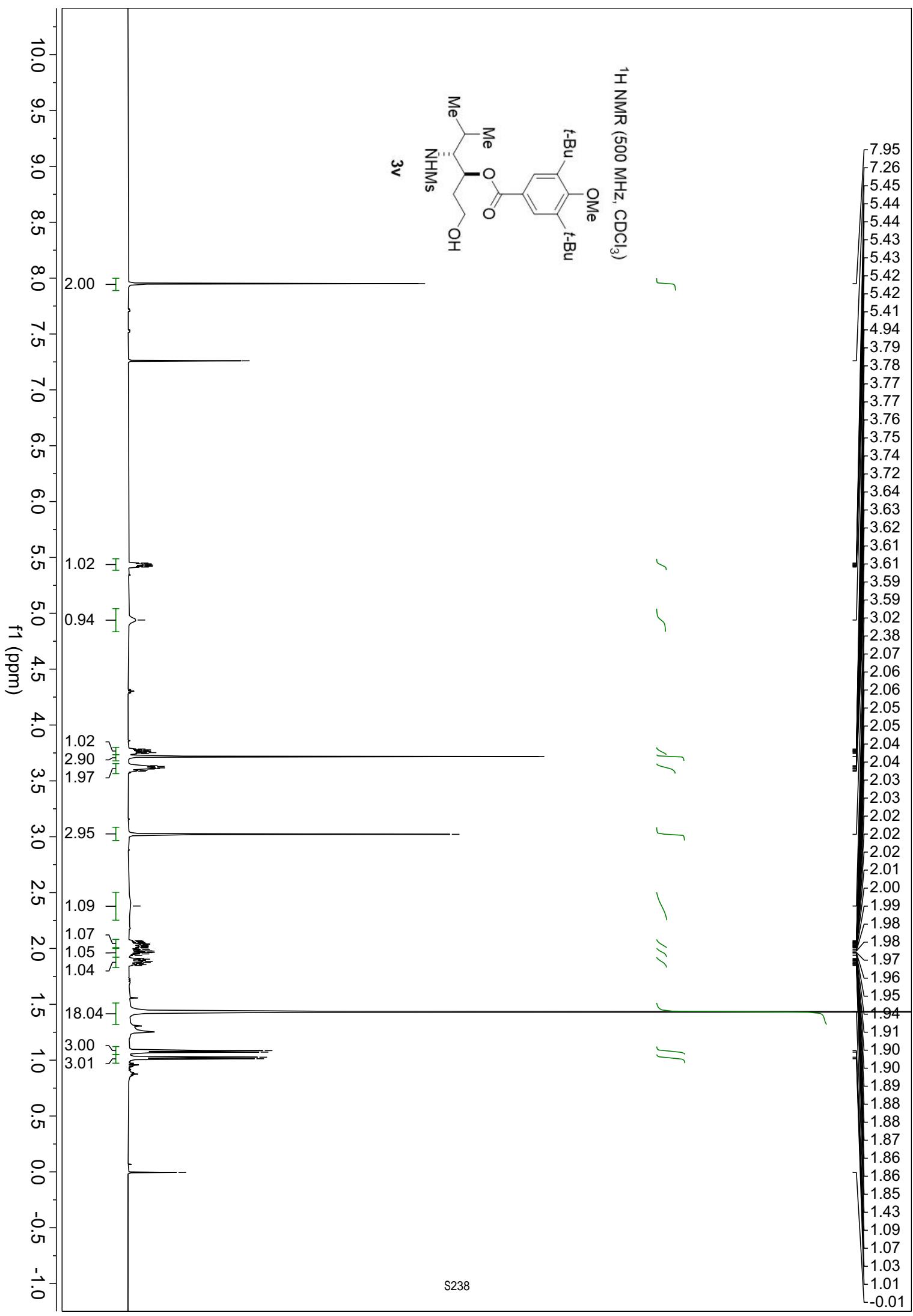


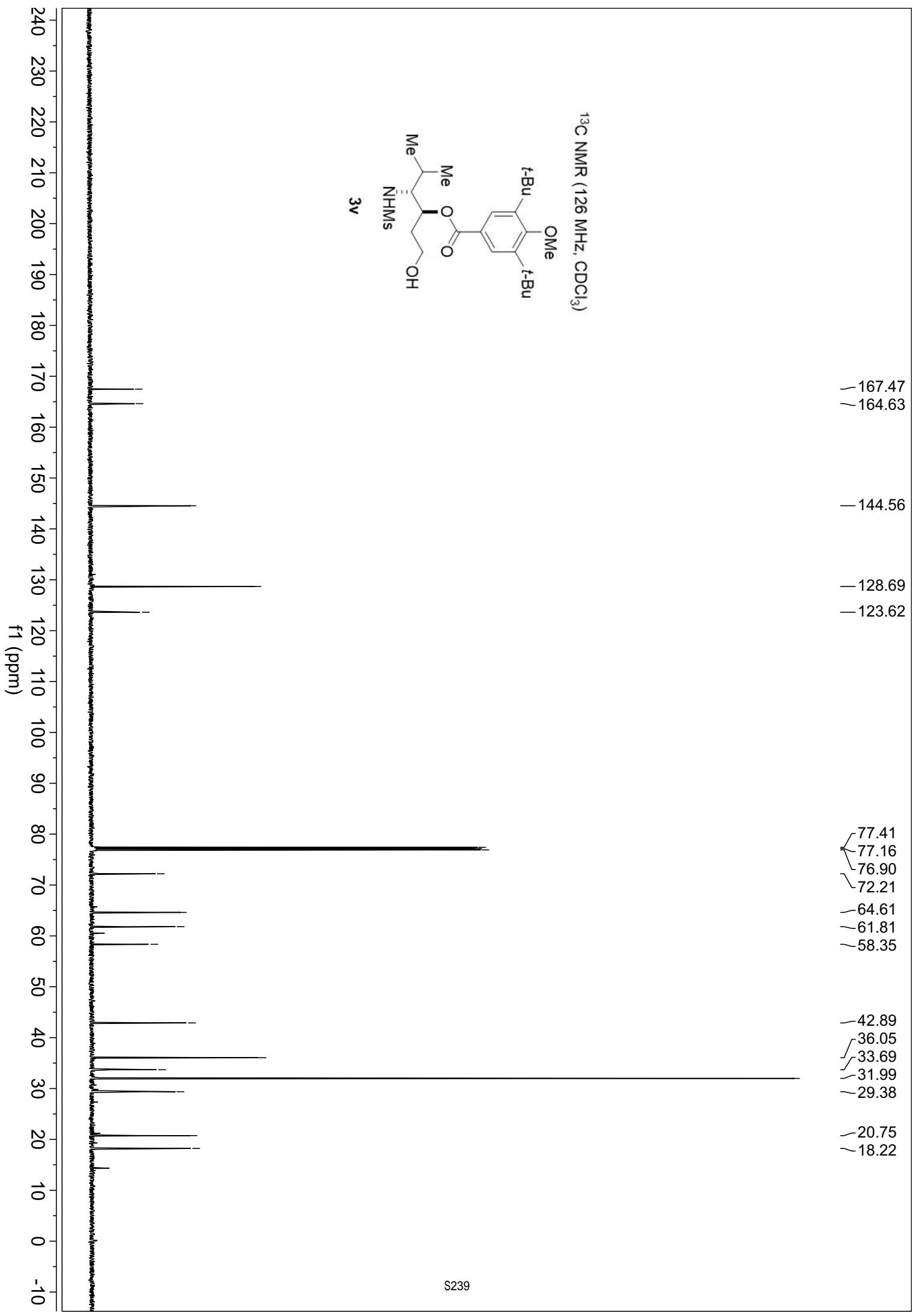
^{13}C NMR (126 MHz, CDCl_3)

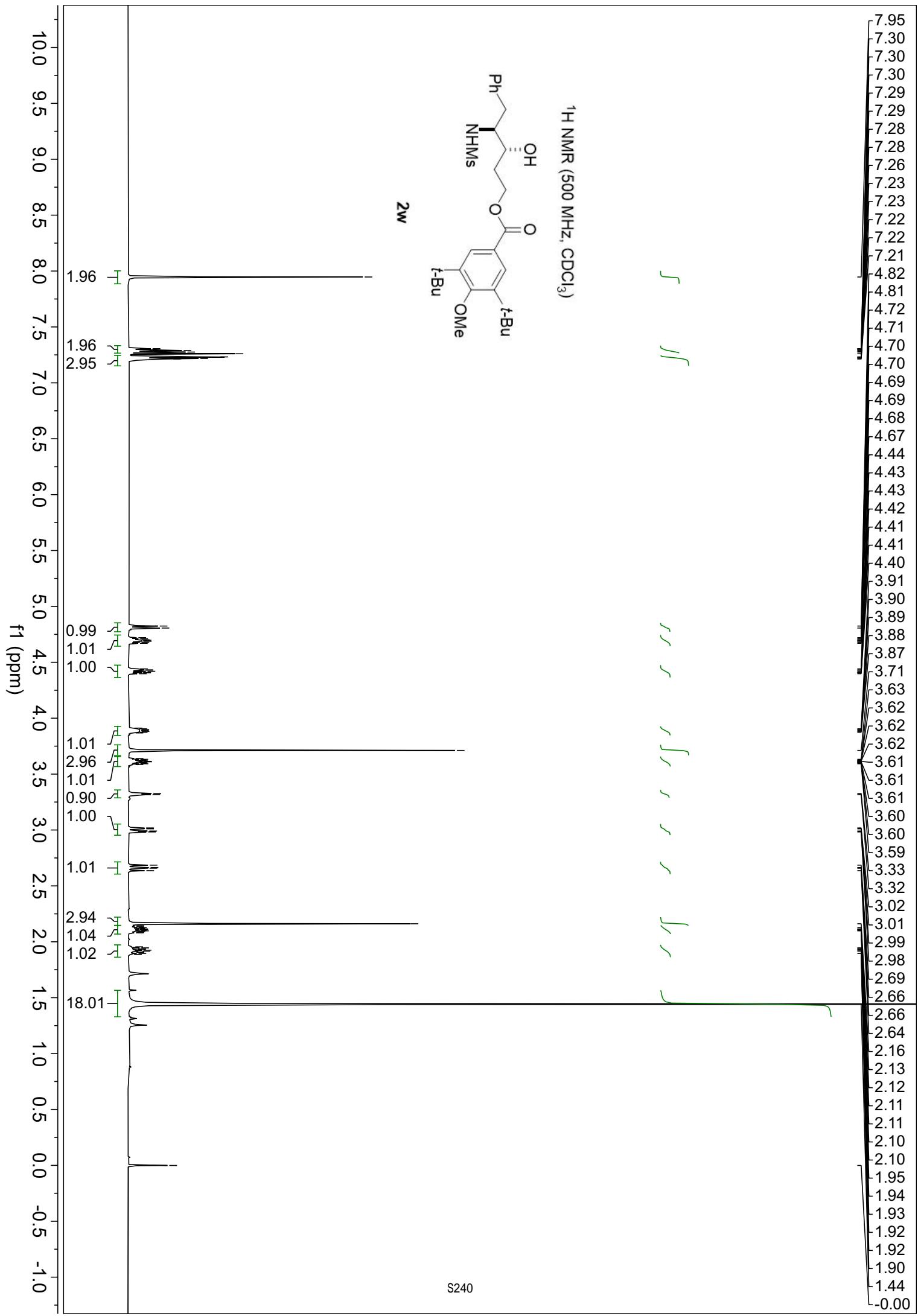


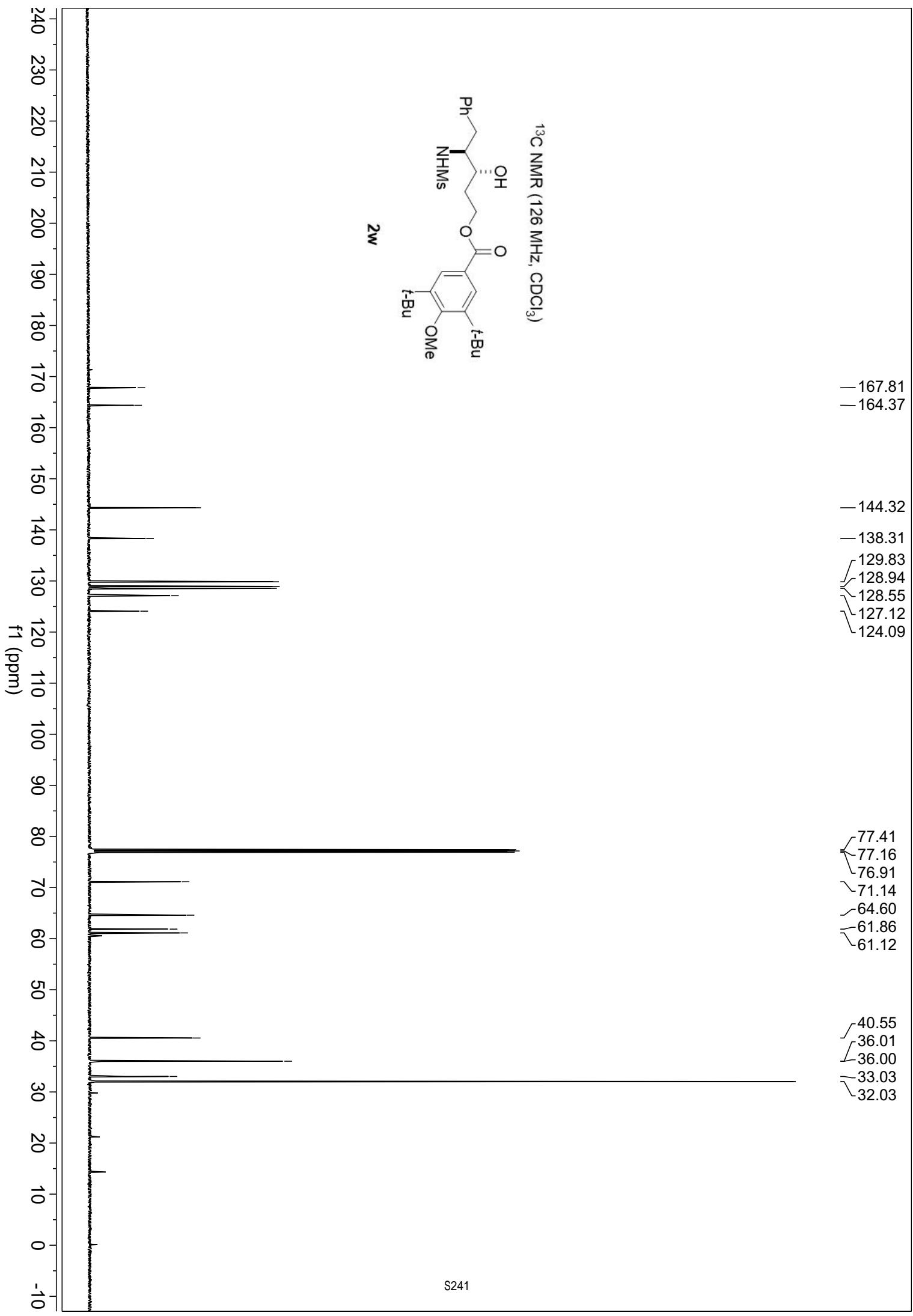




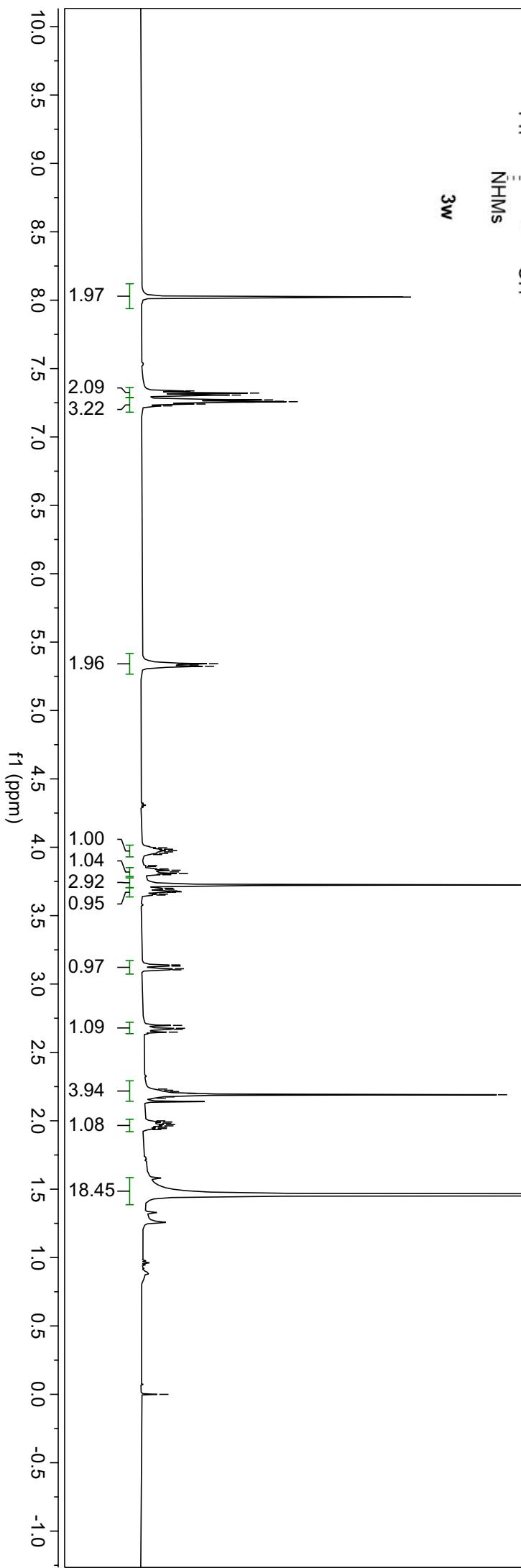
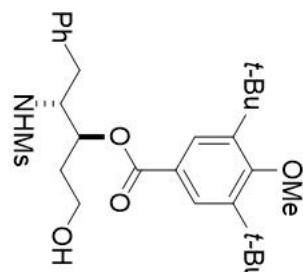


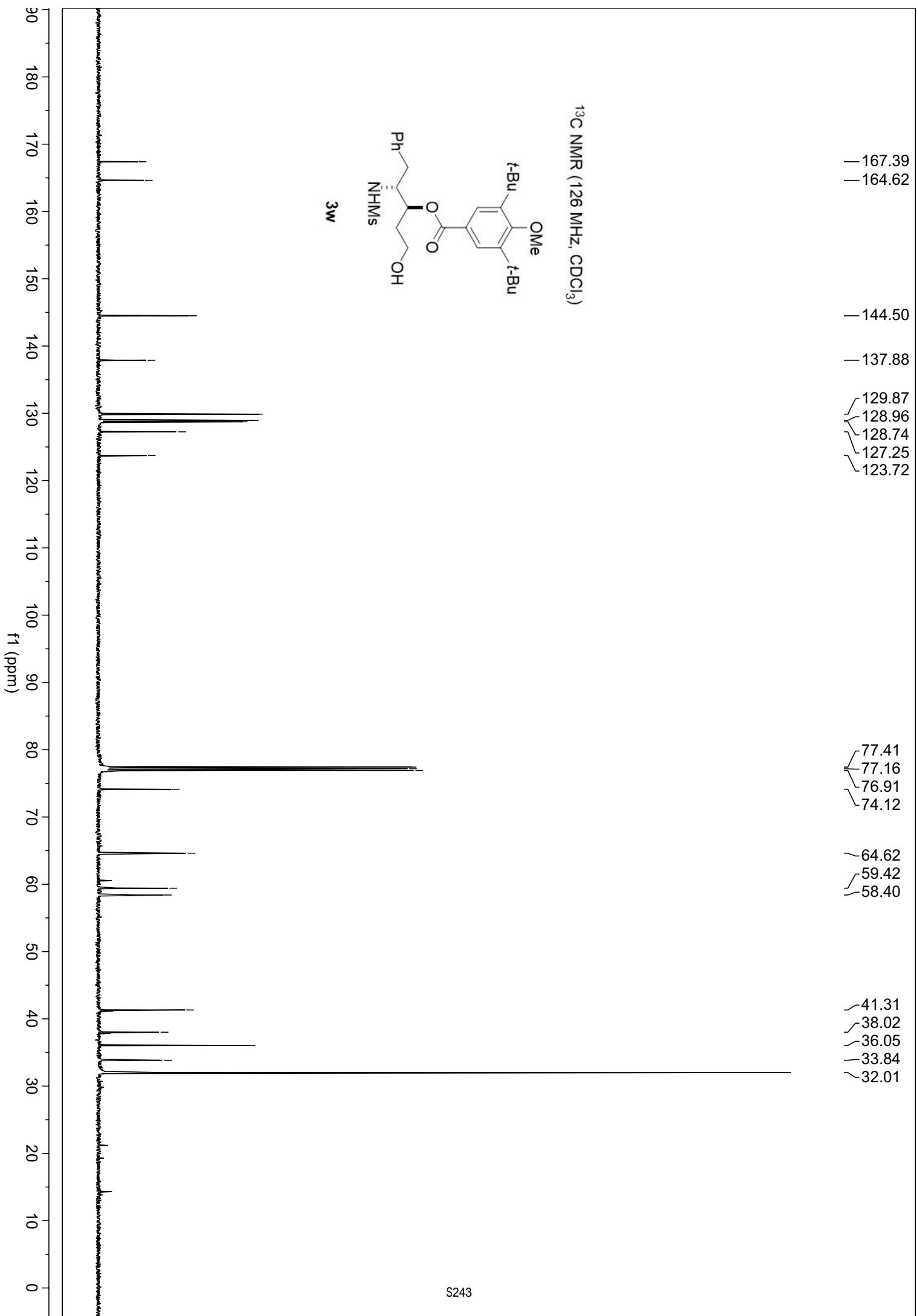


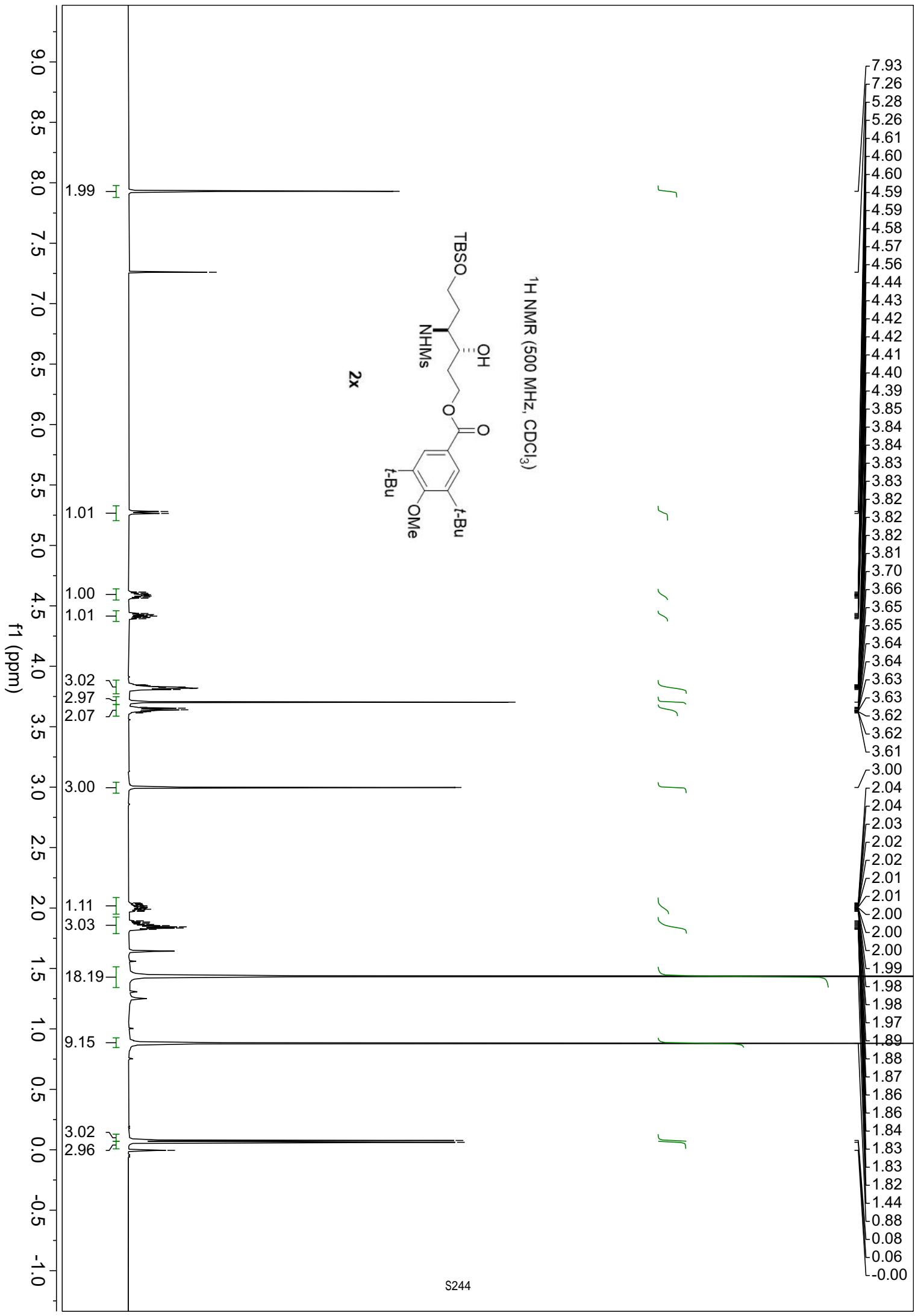


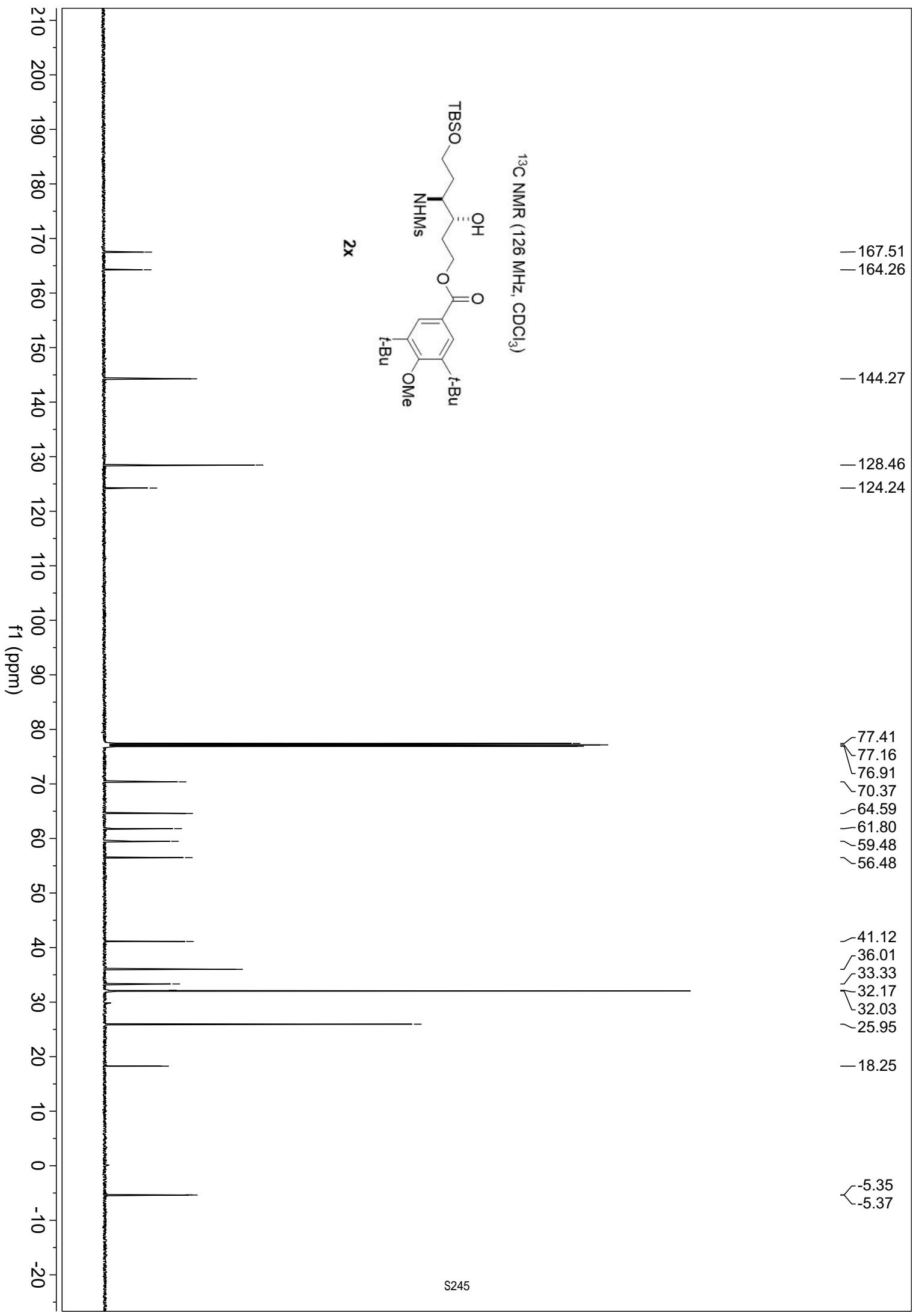


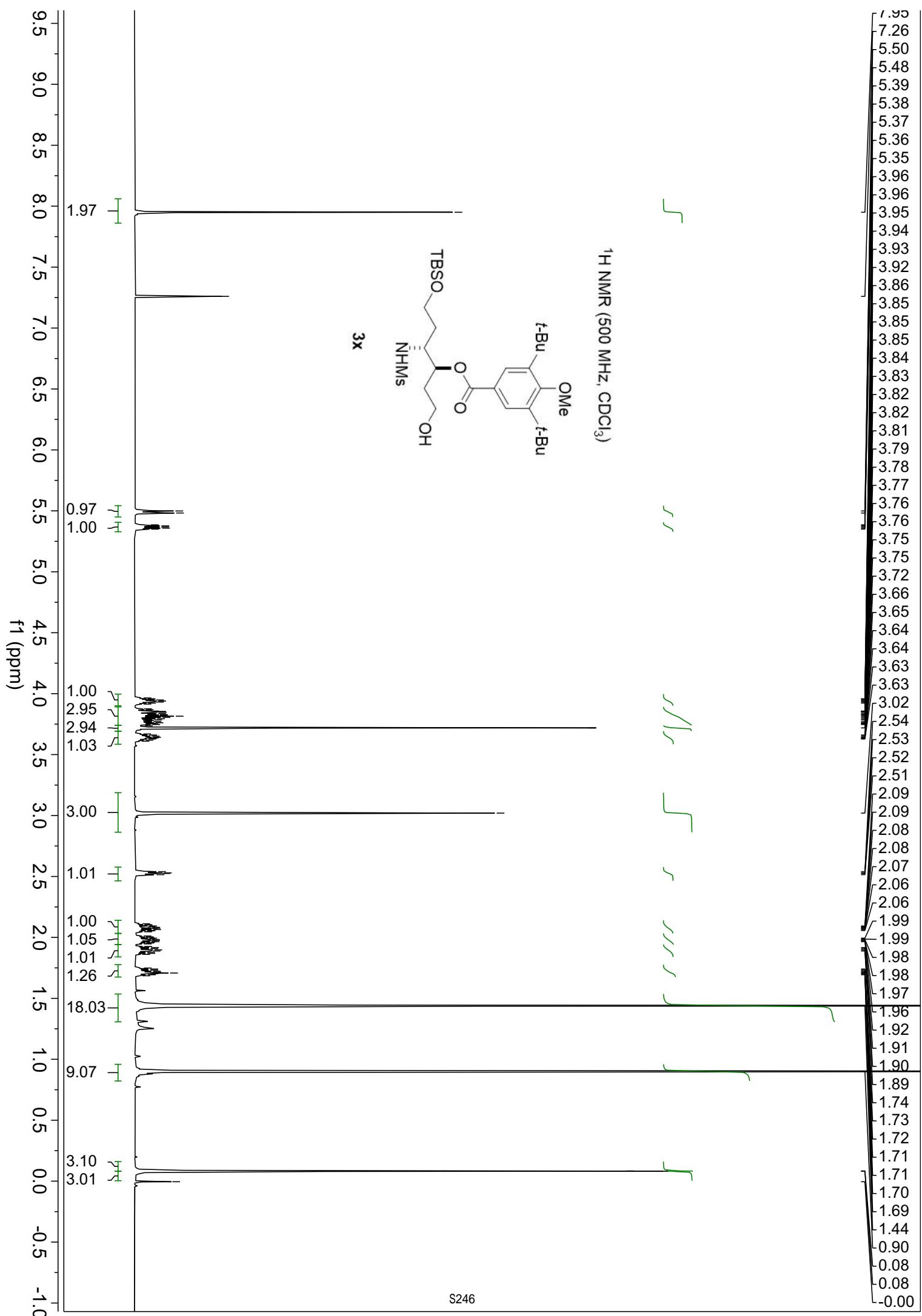
¹H NMR (500 MHz, CDCl₃)

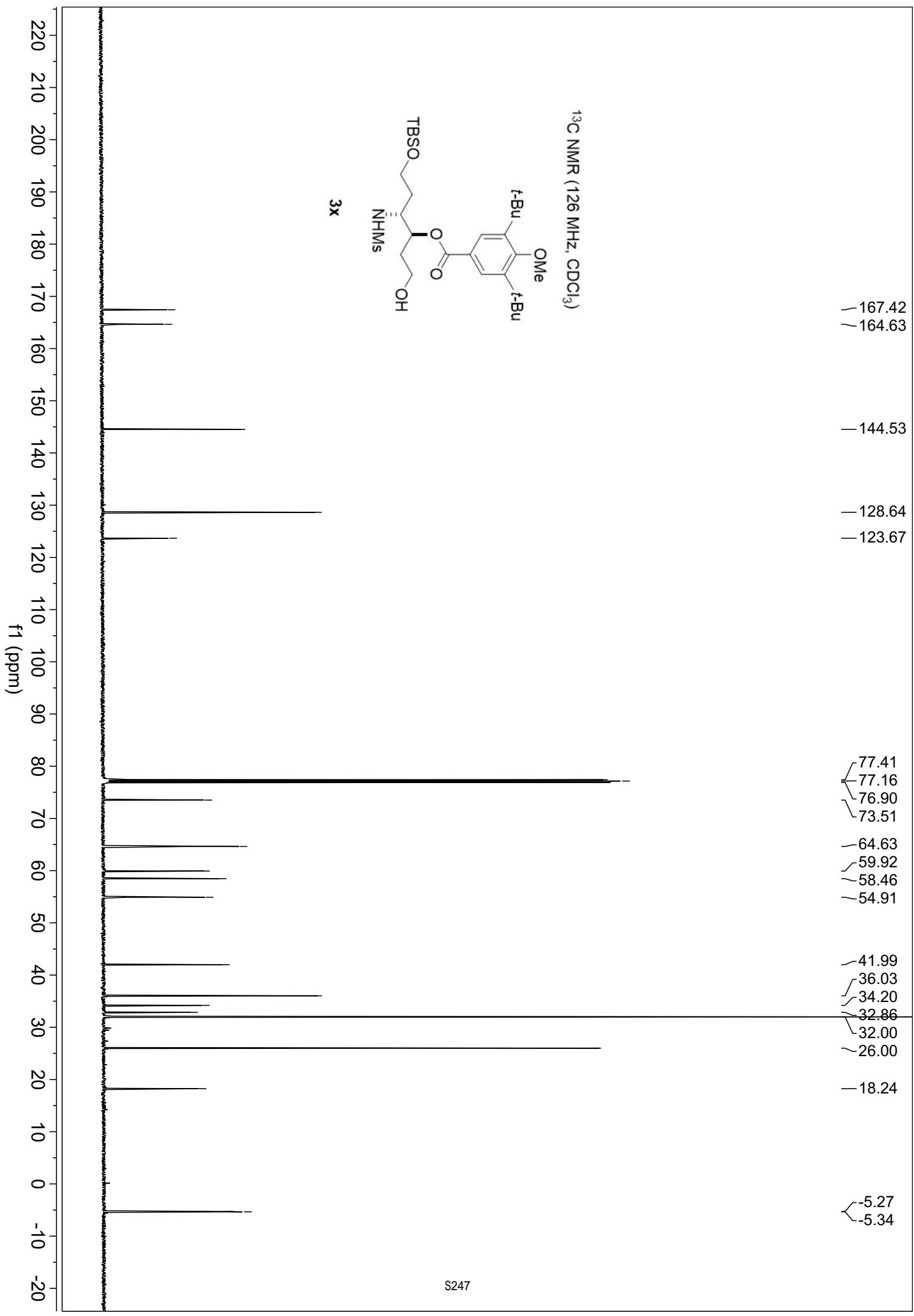


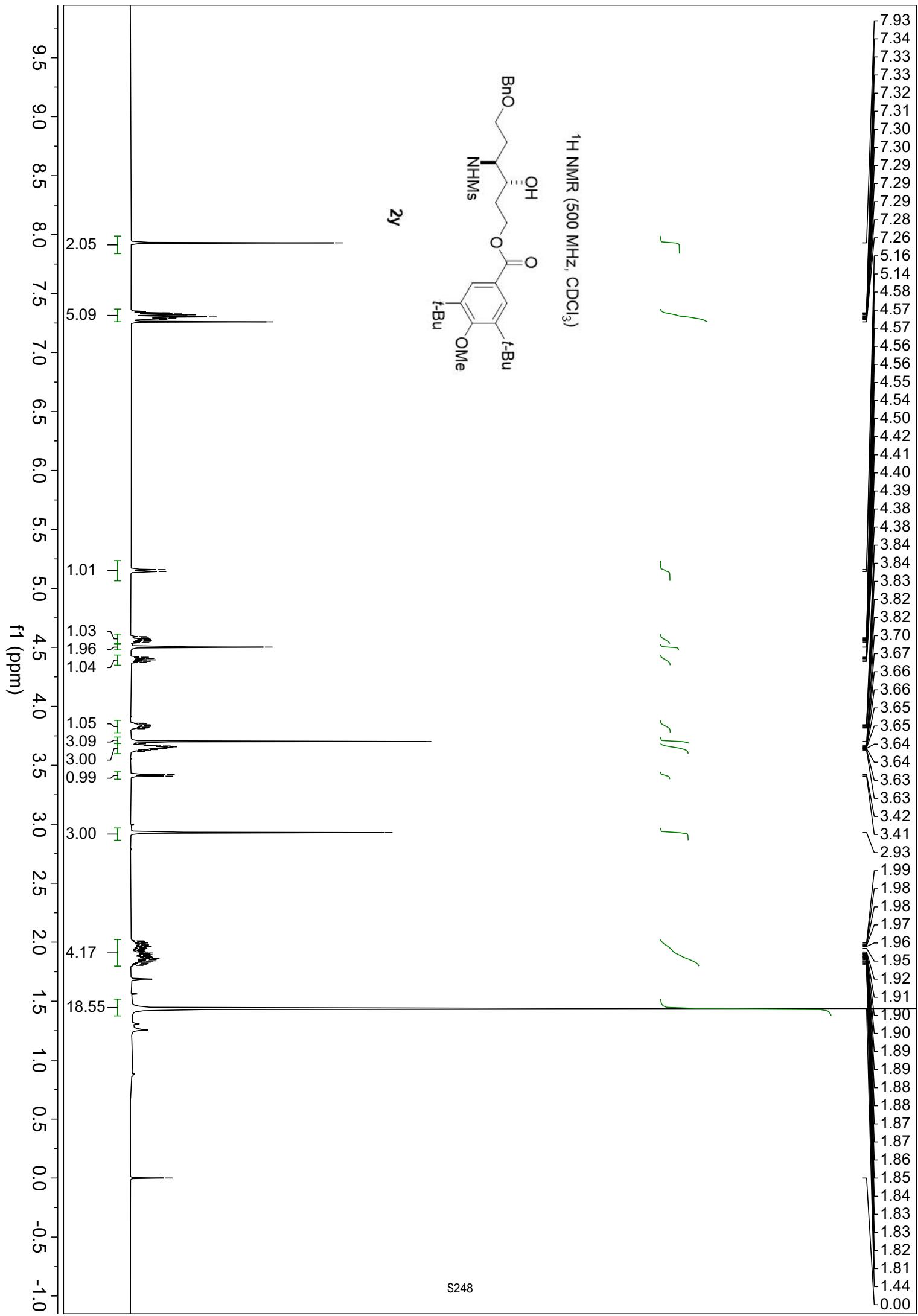


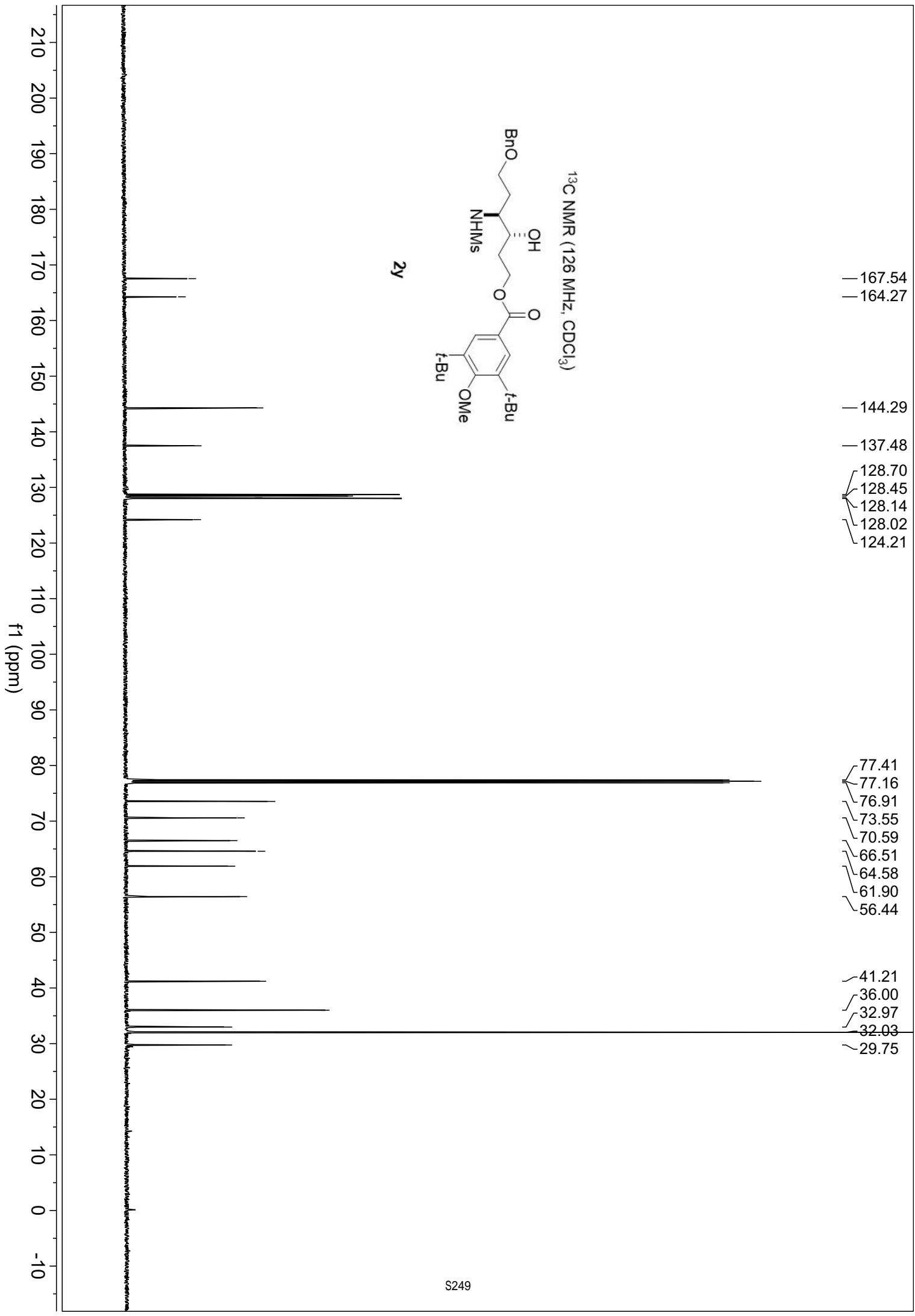


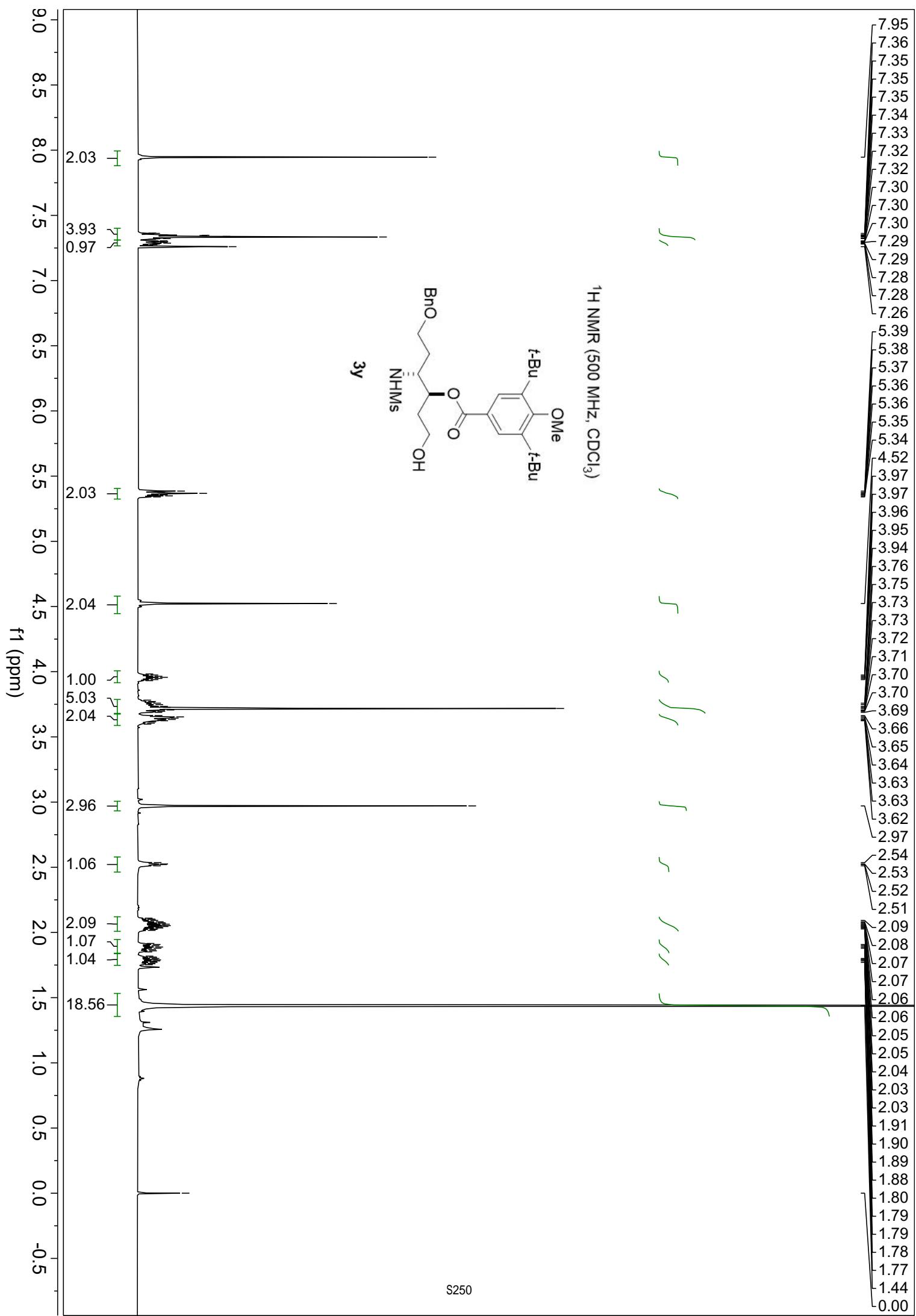


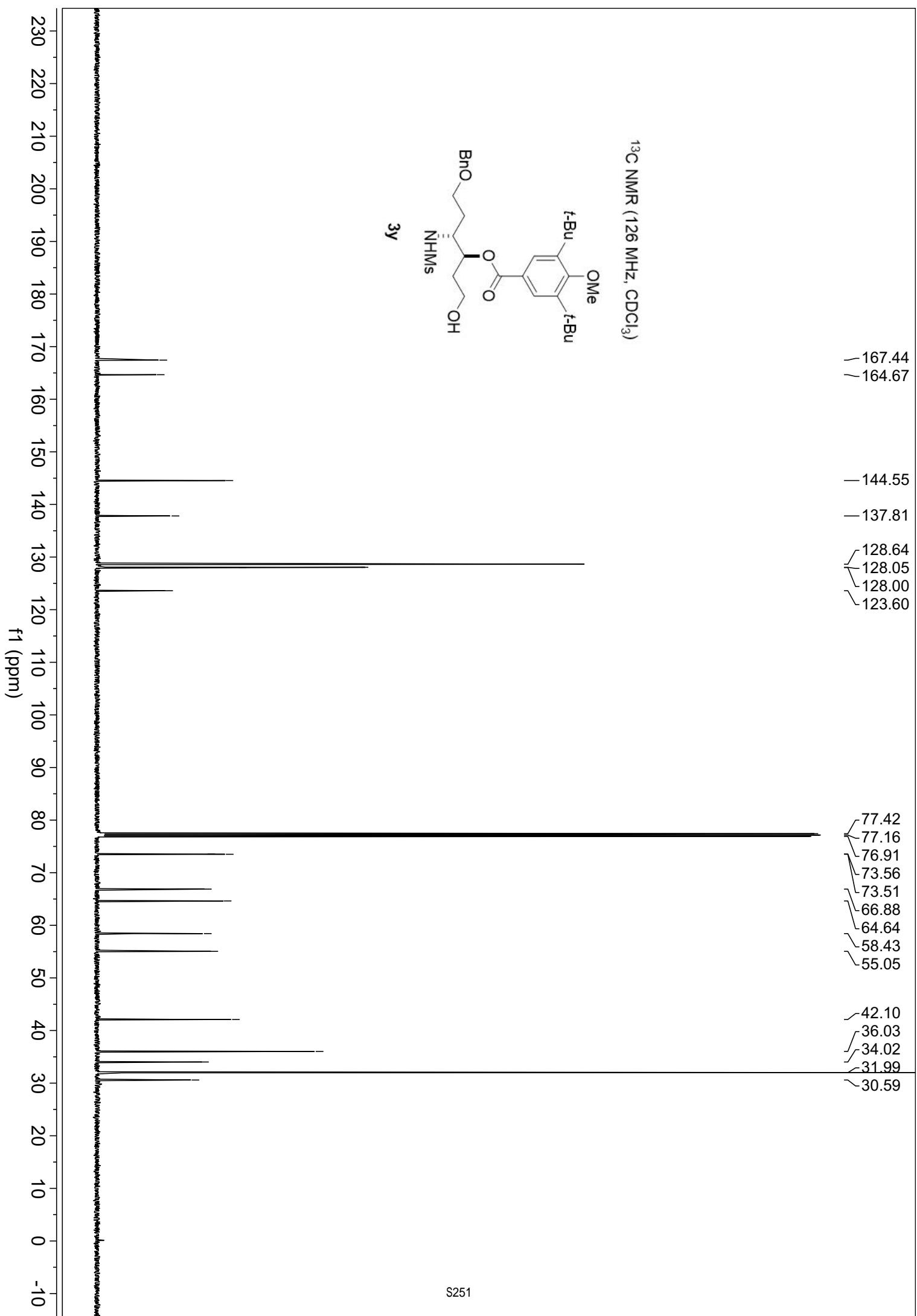


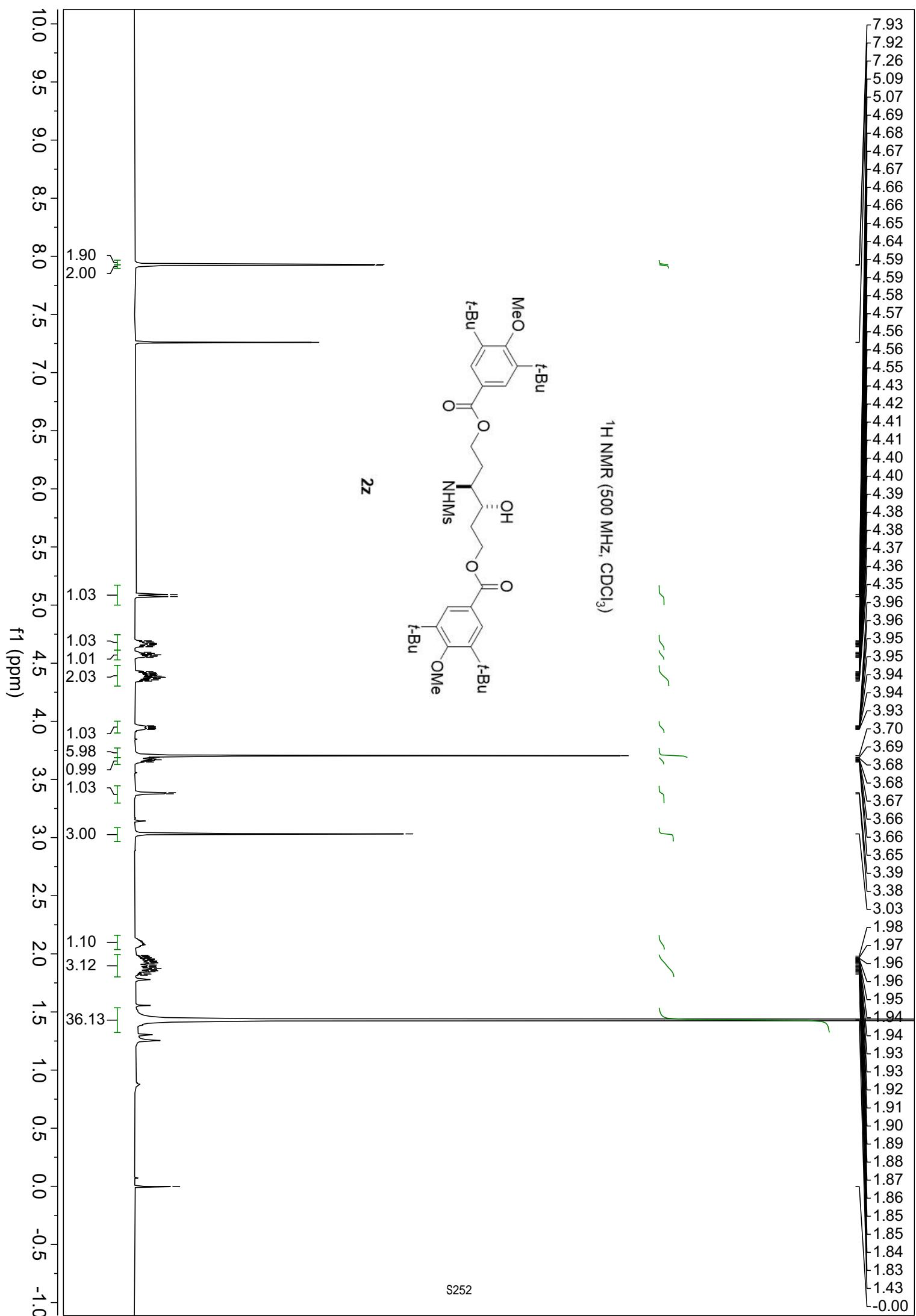


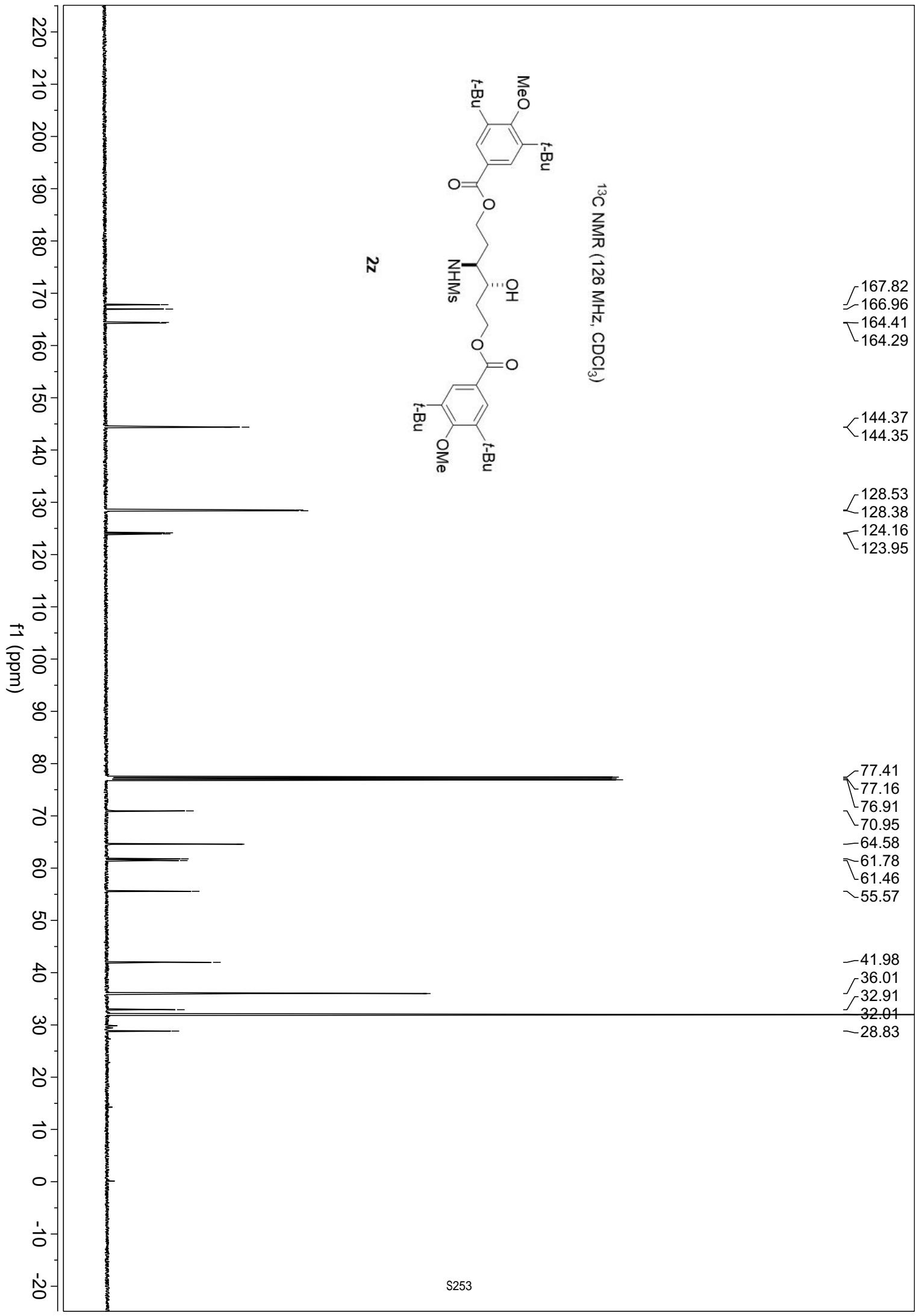


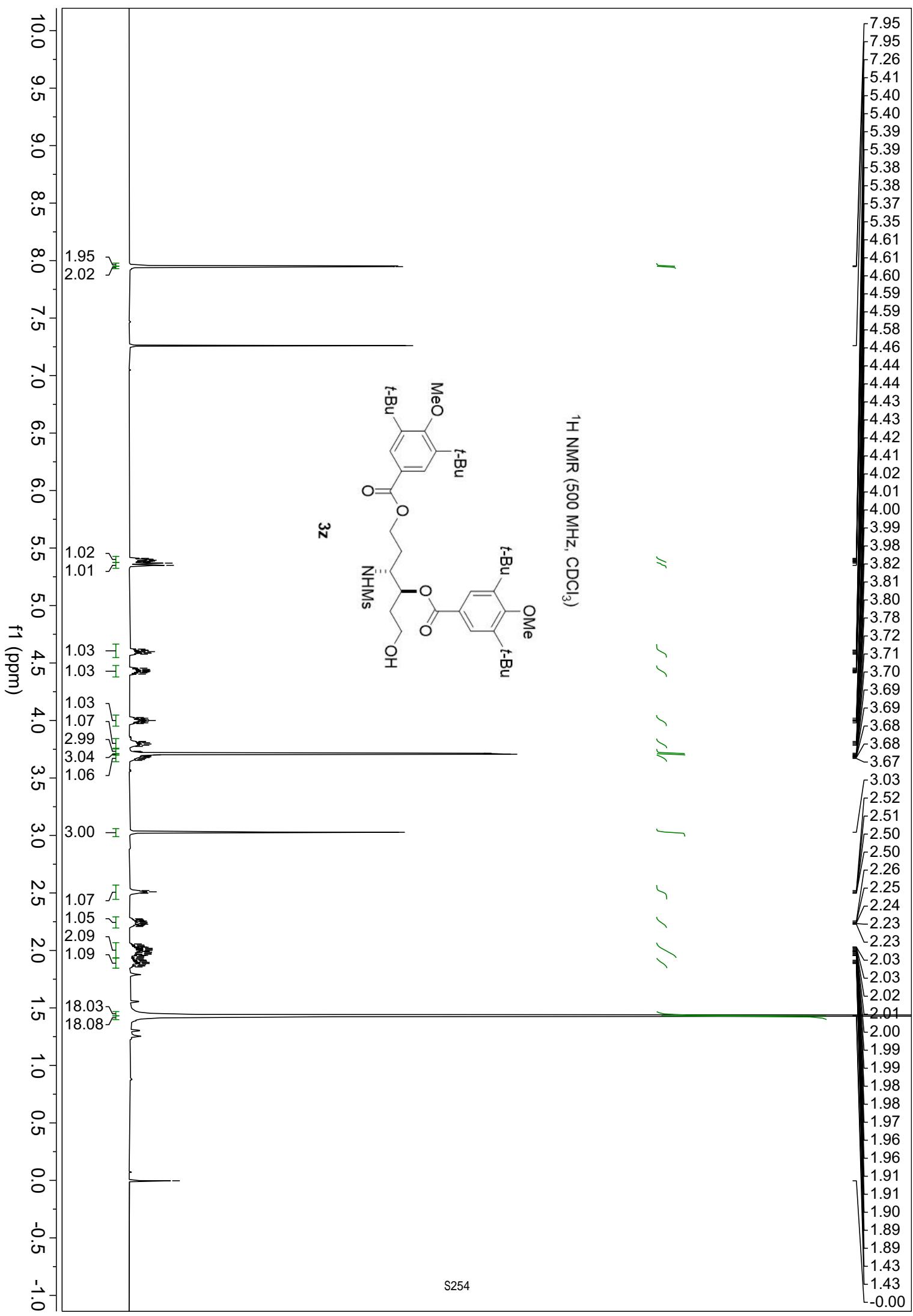


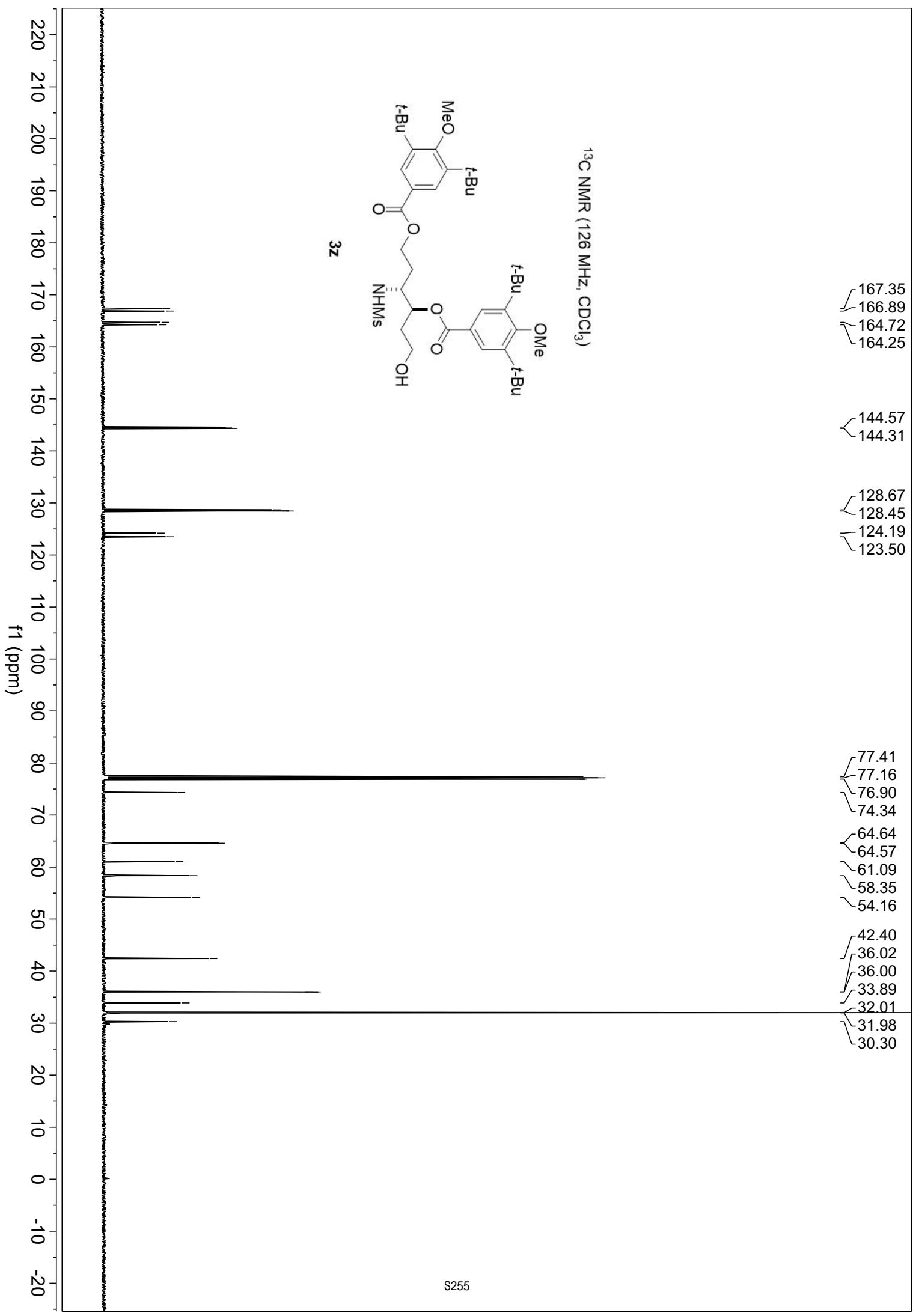


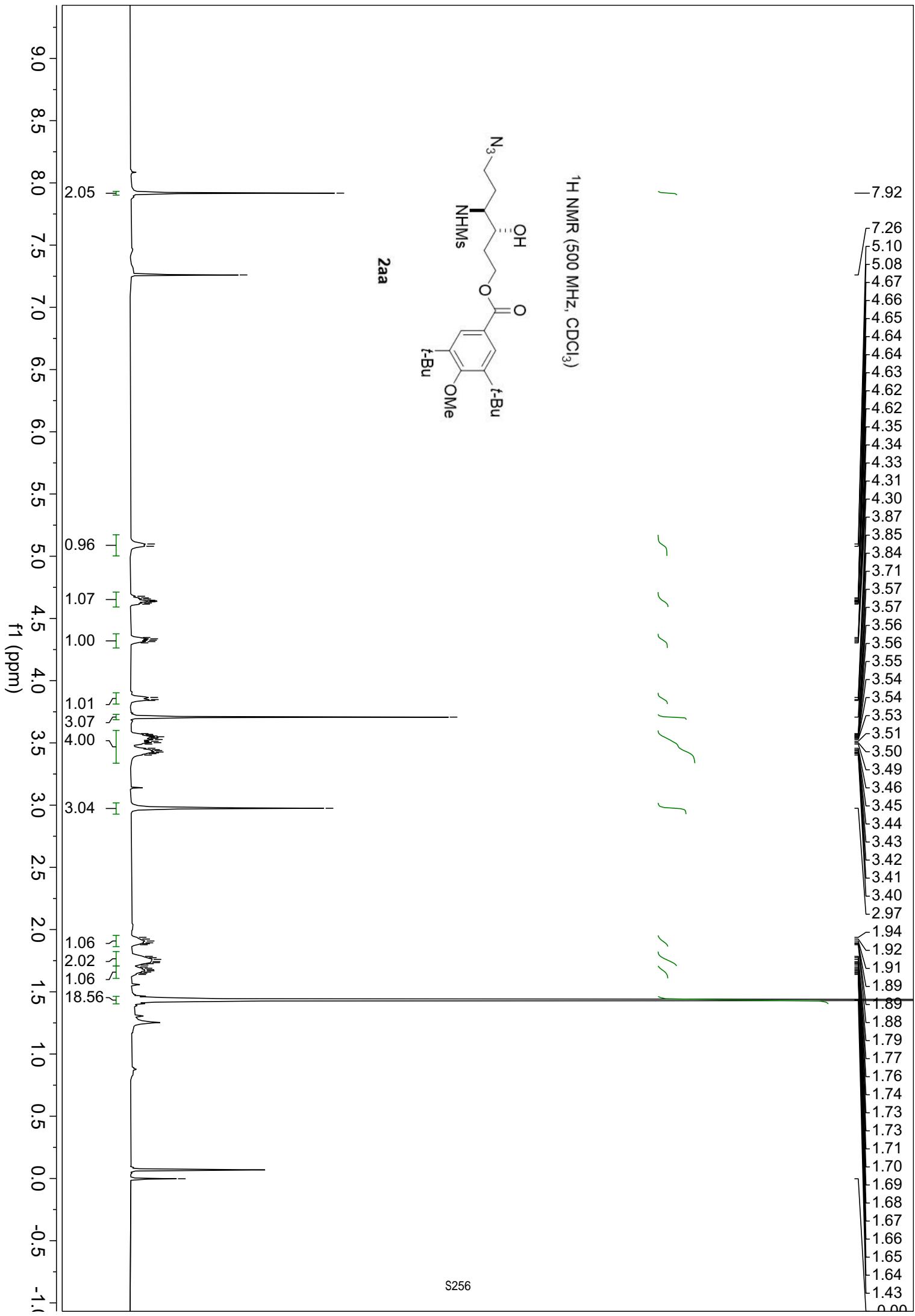


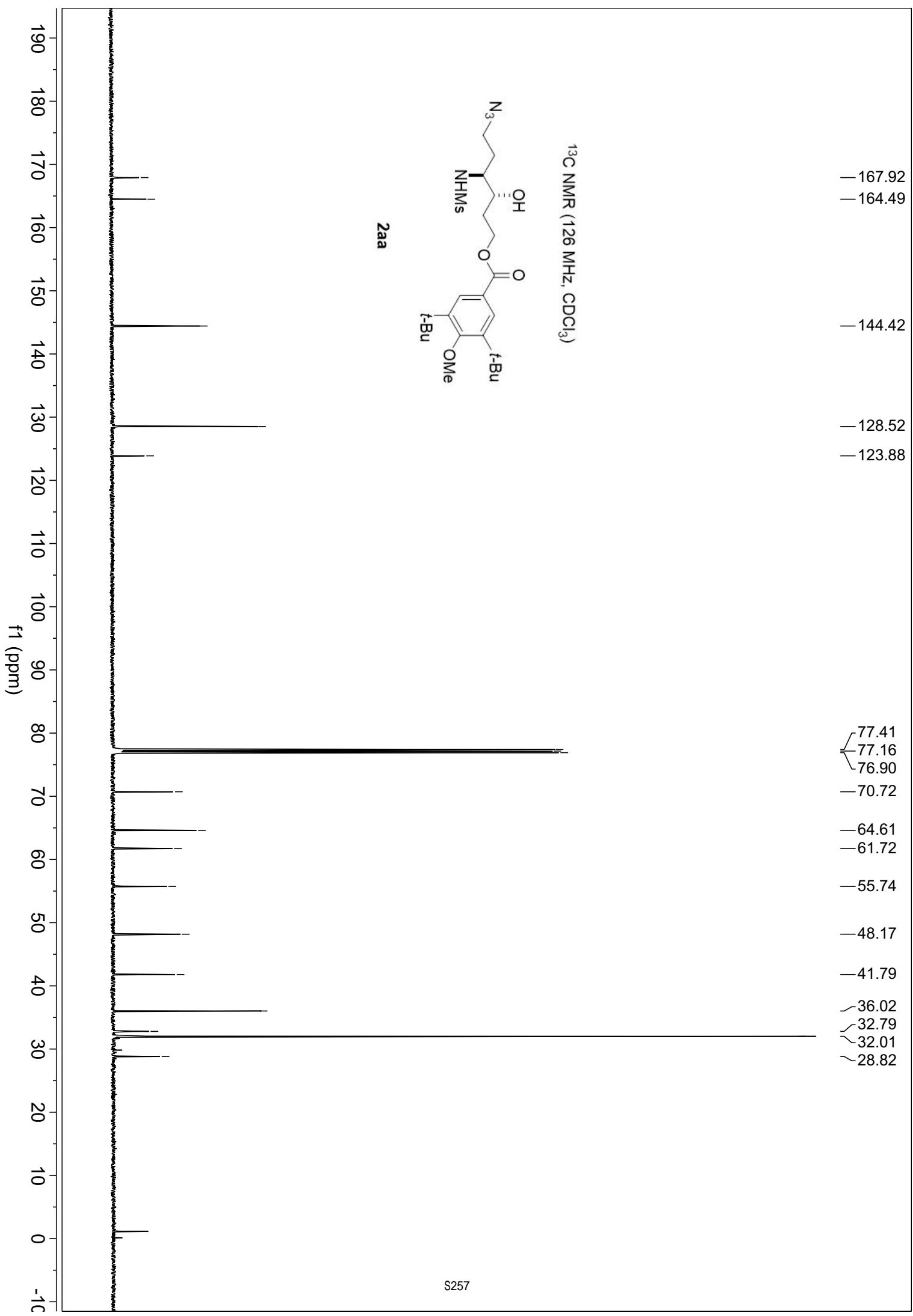


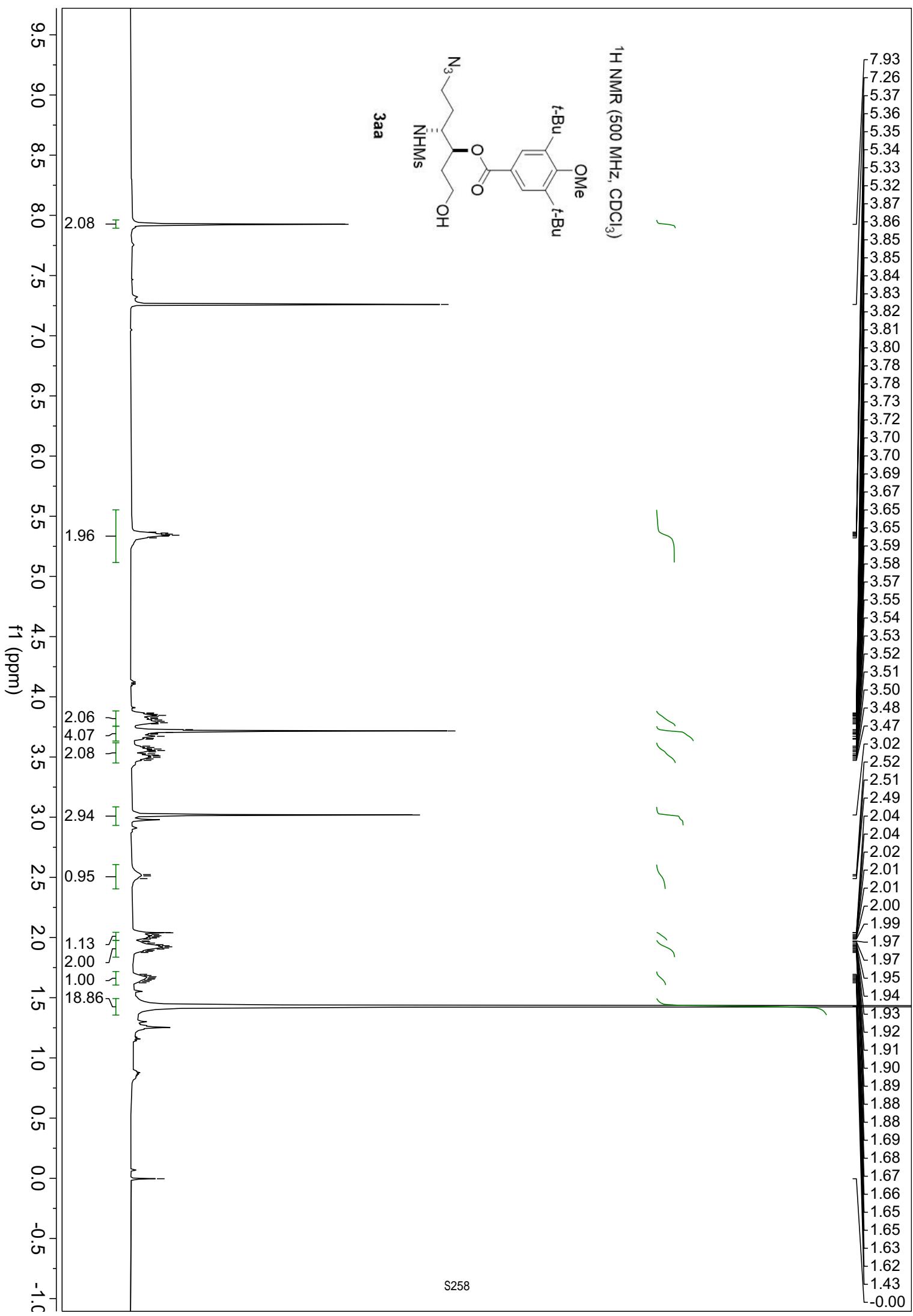


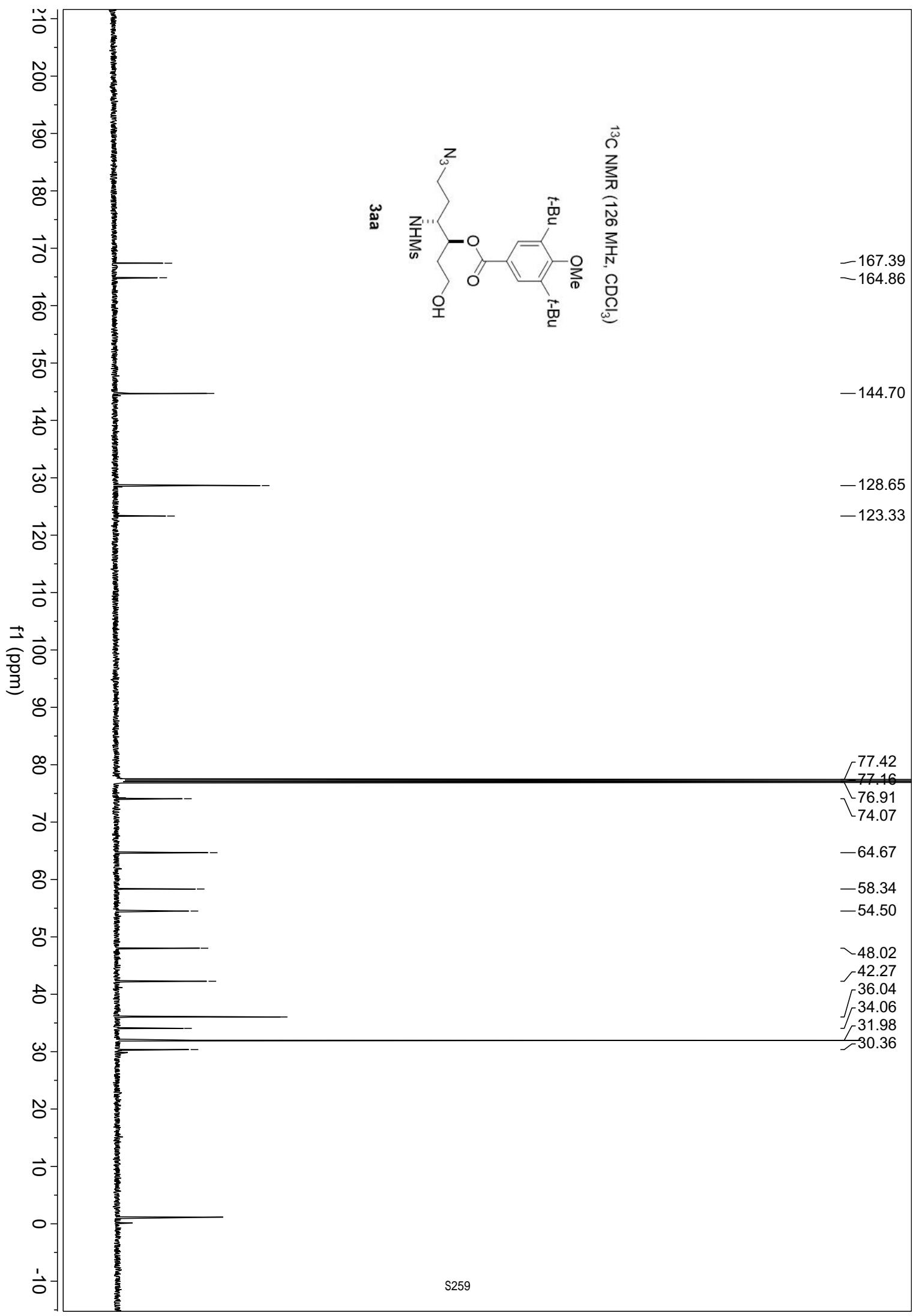


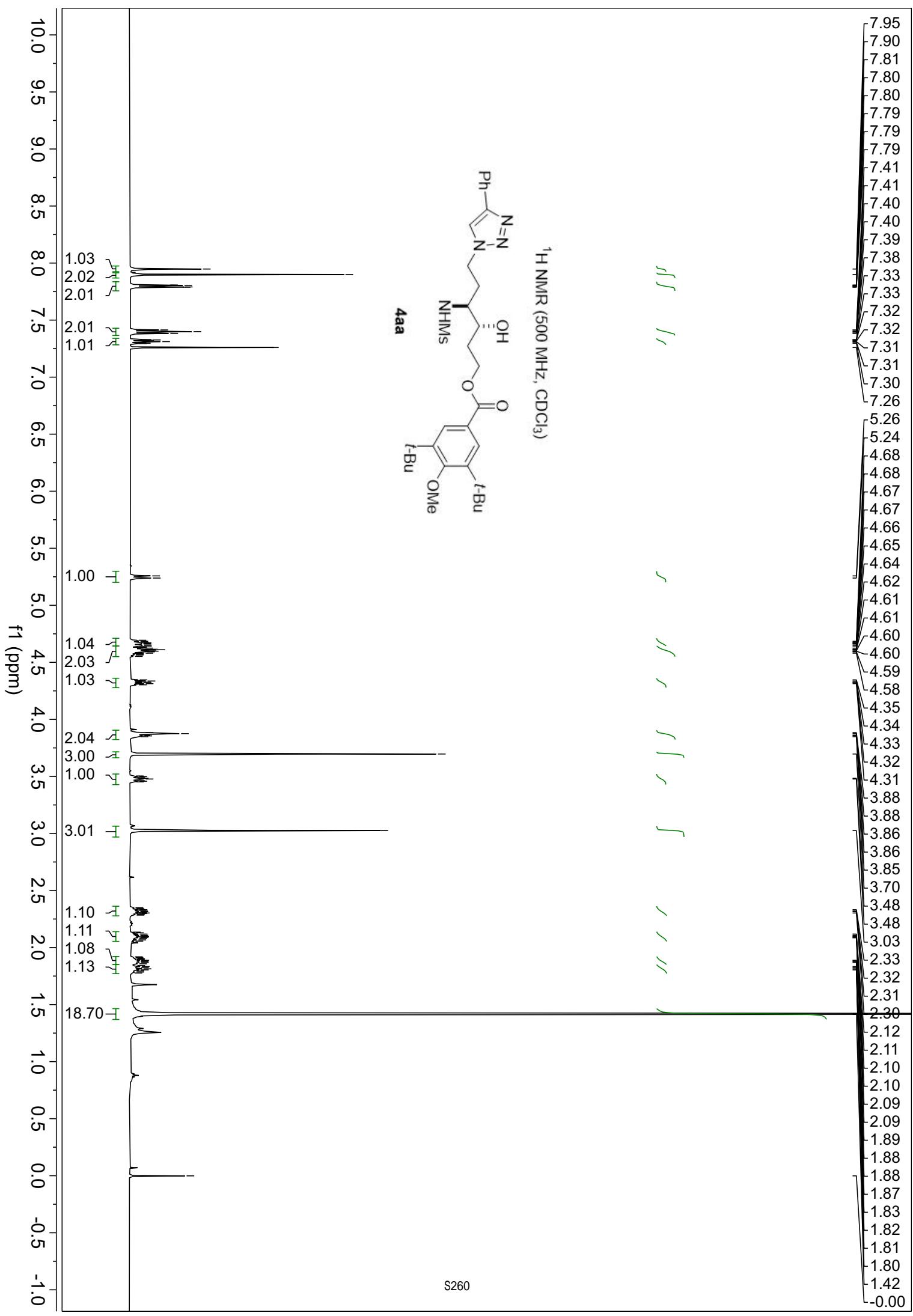


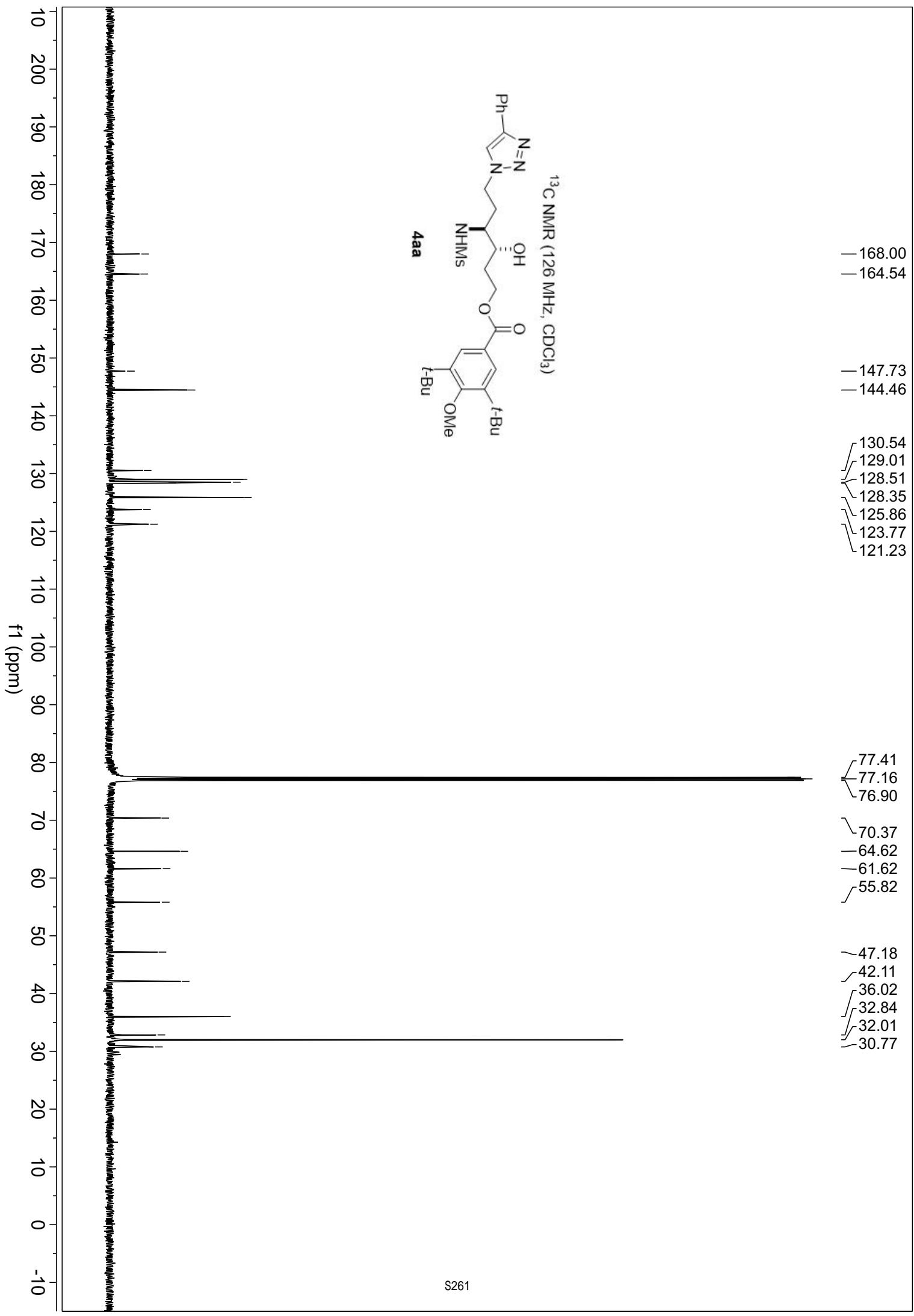


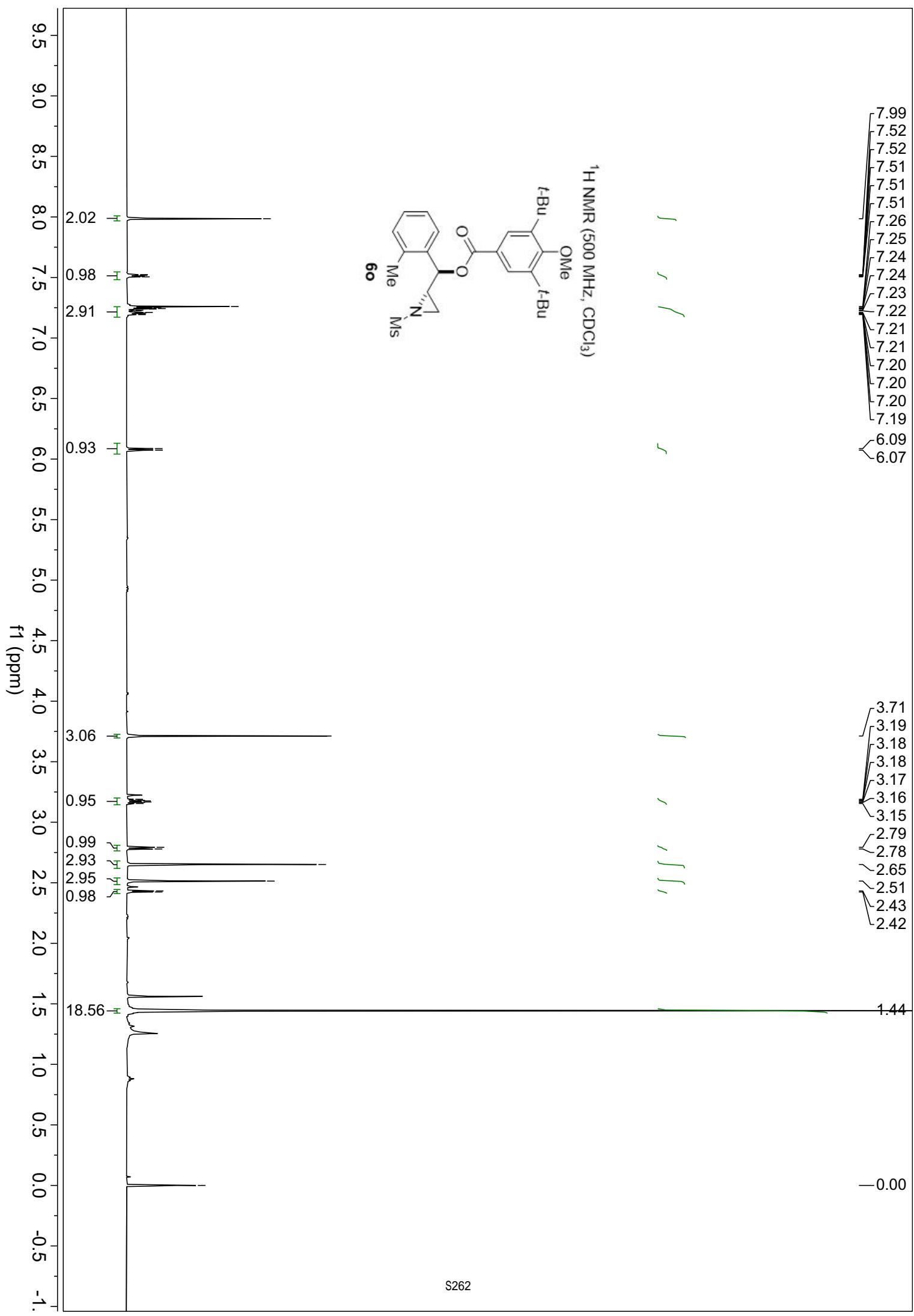


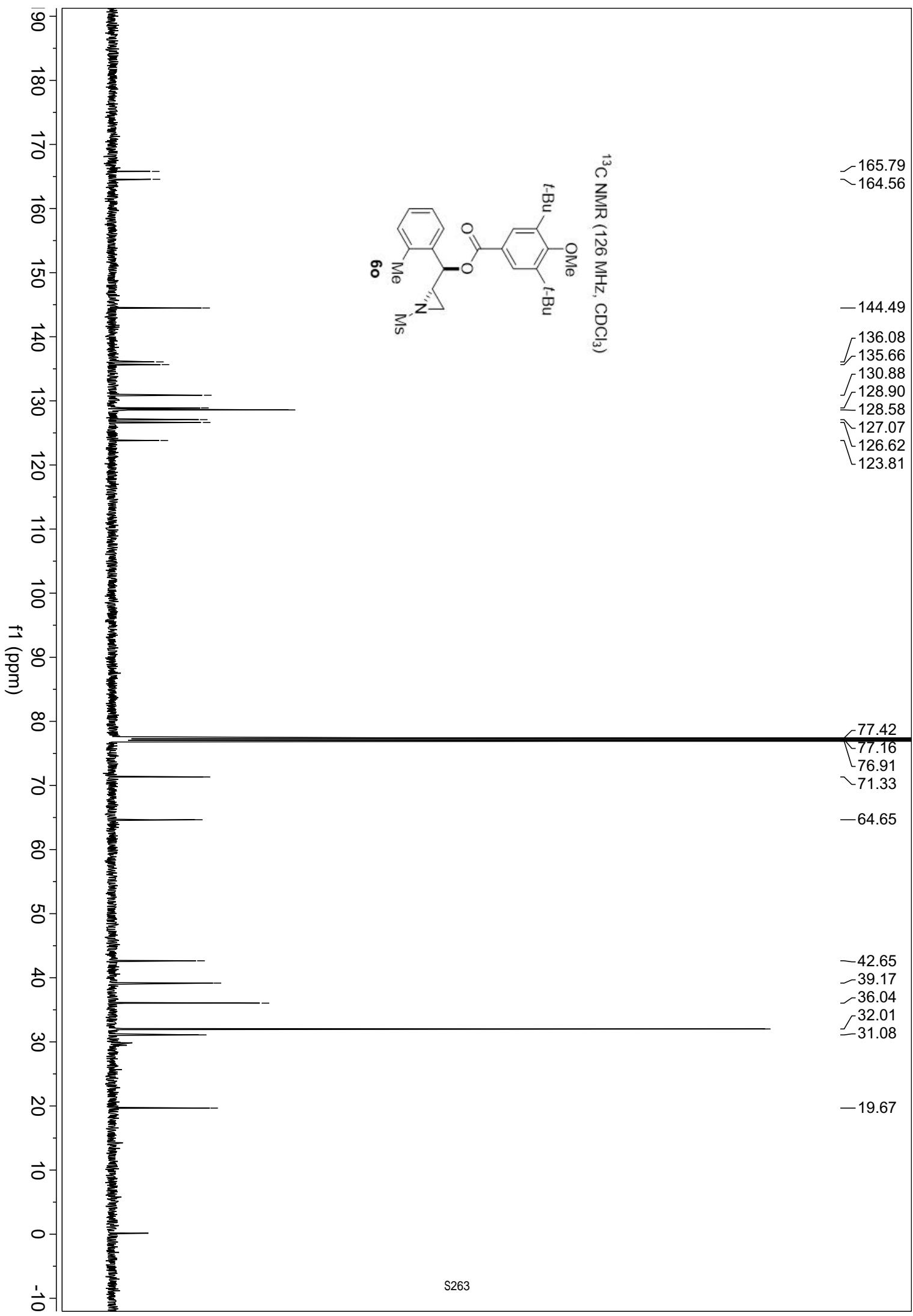


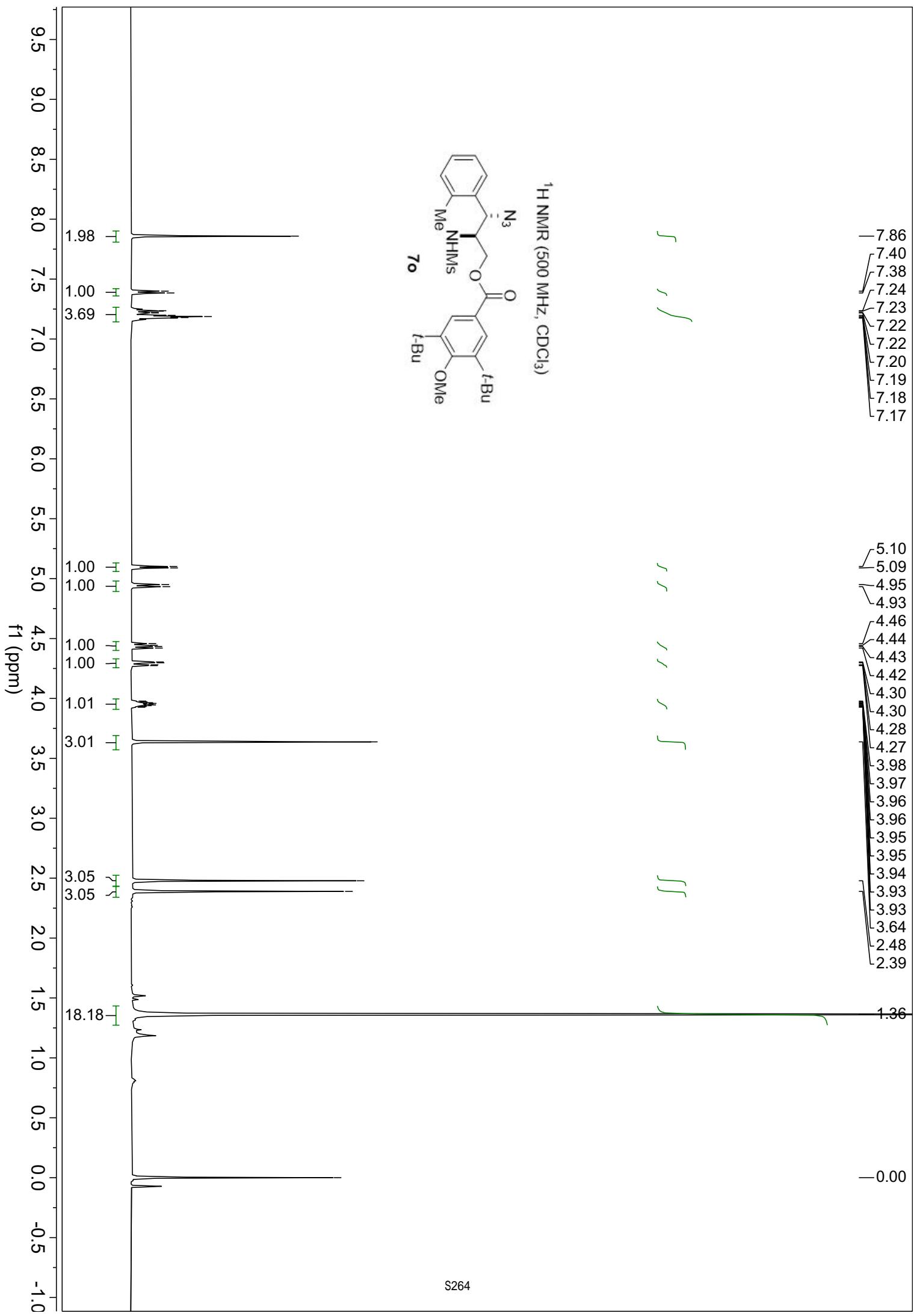


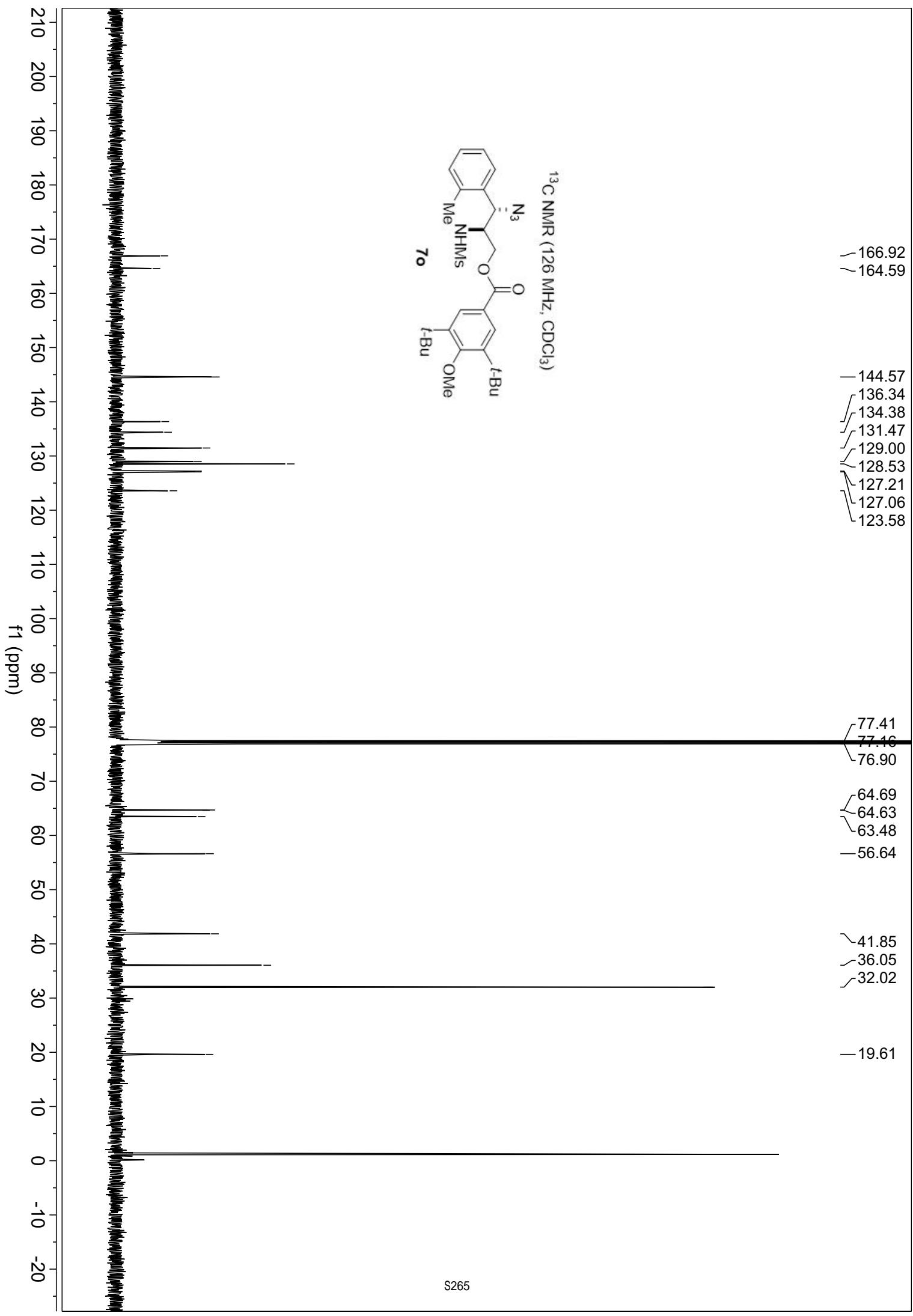




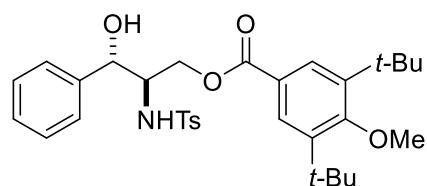




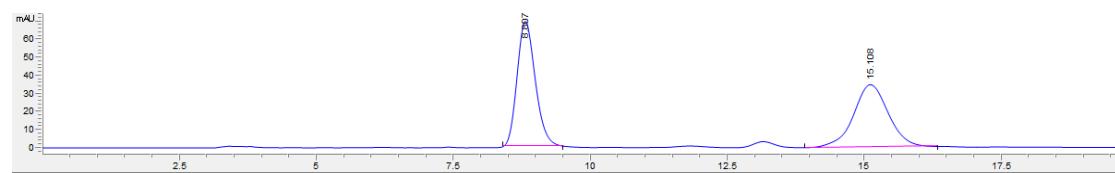




Compound 2a:

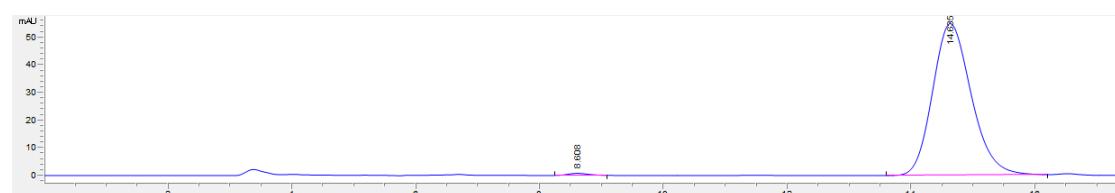


Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	8.807	MM R	0.3829	1602.85986	69.76615	50.9008
2	15.108	BB	0.6772	1546.12769	34.87431	49.0992

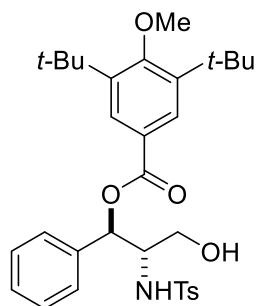
Totals : 3148.98755 104.64046



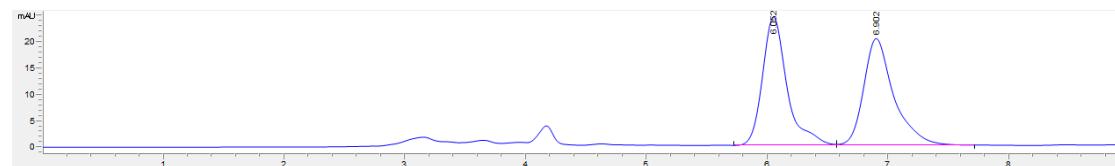
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	8.608	MM R	0.4018	19.05537	7.90332e-1	0.7967
2	14.635	BB	0.6700	2372.72900	54.70846	99.2033

Totals : 2391.78437 55.49879

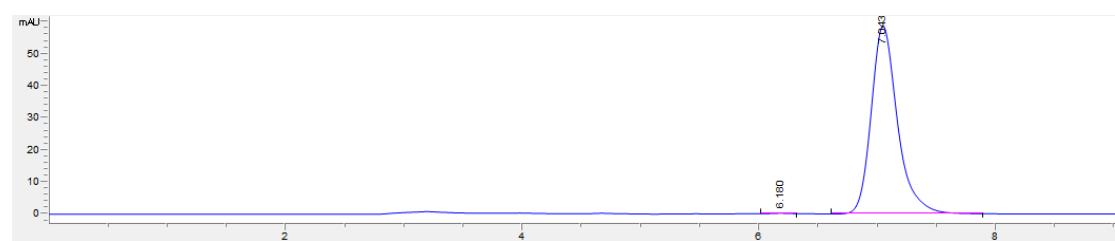
Compound 3a:



Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min

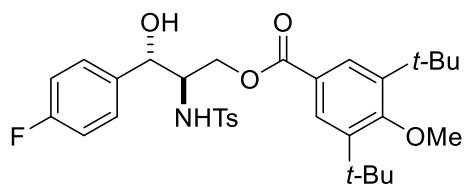


Totals : 689.28677 44.19106

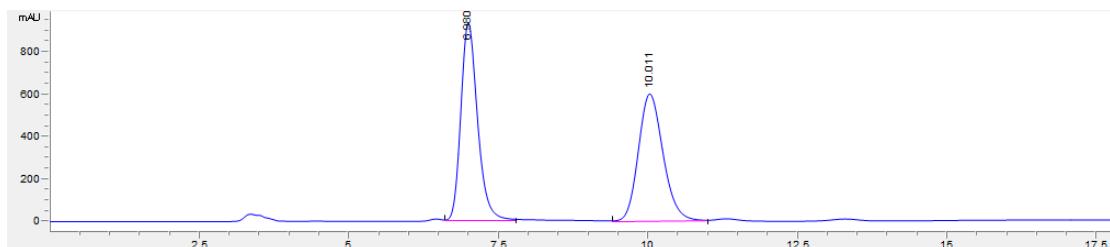


Totals : 909.14173 58.80510

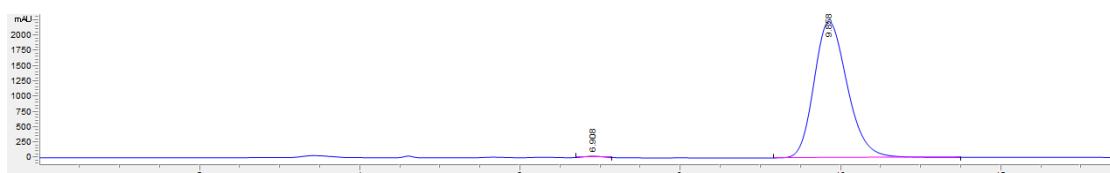
Compound 2b:



Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min

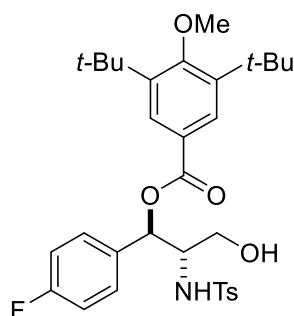


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	6.980	FM R	0.3261	1.84061e4	940.71783	50.8784
2	10.011	MM R	0.4873	1.77706e4	607.75818	49.1216
Totals :					3.61767e4	1548.47601

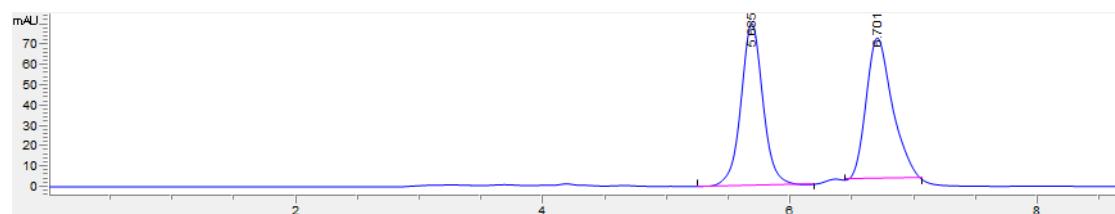


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	6.908	MM R	0.2603	358.21182	22.93793	0.5482
2	9.858	MM R	0.4839	6.49835e4	2238.01416	99.4518
Totals :					6.53417e4	2260.95209

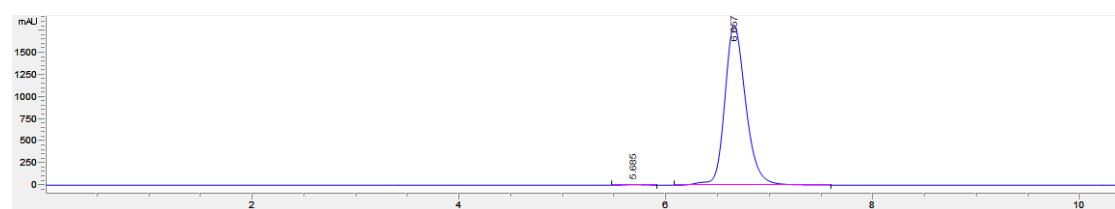
Compound 3b:



Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min

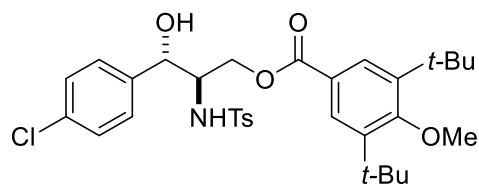


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	5. 685	MM	0. 2065	998. 49133	80. 59957	49. 0684
2	6. 701	MM	0. 2463	1036. 40369	70. 13245	50. 9316
Totals :					2034. 89502	150. 73202

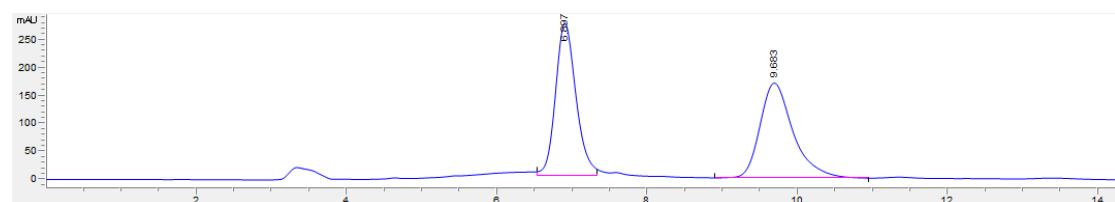


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	5. 685	MM R	0. 2113	89. 34837	7. 04694	0. 3461
2	6. 657	MF R	0. 2357	2. 57269e4	1819. 35278	99. 6539
Totals :					2. 58162e4	1826. 39972

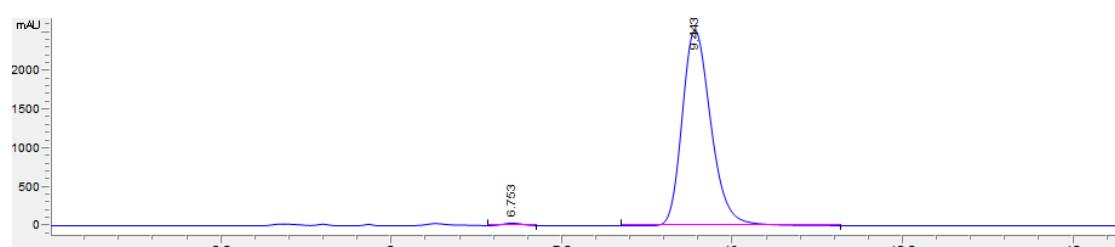
Compound 2c:



Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min

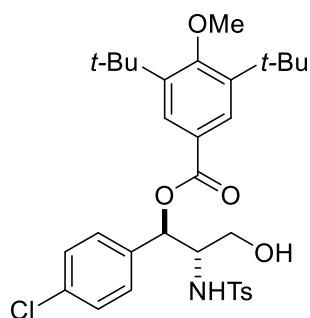


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	6. 897	MM R	0. 3165	5213. 65869	274. 55743	49. 3348
2	9. 683	MF R	0. 5196	5354. 25537	171. 73506	50. 6652
Totals :					1. 05679e4	446. 29250



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	6. 753	MF R	0. 3461	684. 64111	32. 96690	0. 9056
2	9. 443	MF R	0. 4899	7. 49177e4	2548. 73877	99. 0944
Totals :					7. 56023e4	2581. 70567

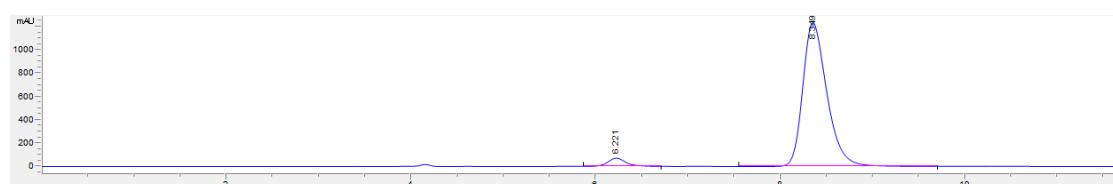
Compound 3c:



Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min

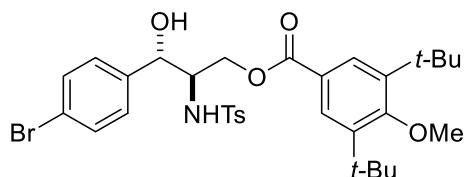


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	6.294	MM R	0.2049	621.72211	50.57968	50.6350
2	8.499	MM R	0.2880	606.12854	35.07695	49.3650
Totals :				1227.85065	85.65664	

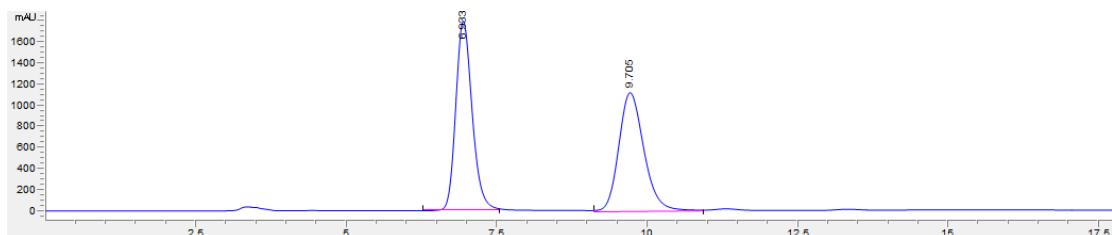


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	6.221	MM R	0.2321	983.17719	70.58511	4.2390
2	8.349	BB	0.2774	2.22104e4	1226.01746	95.7610
Totals :				2.31935e4	1296.60256	

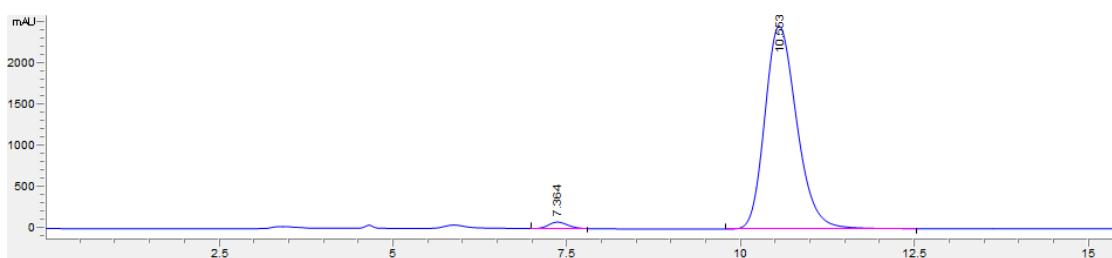
Compound 2d:



Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min

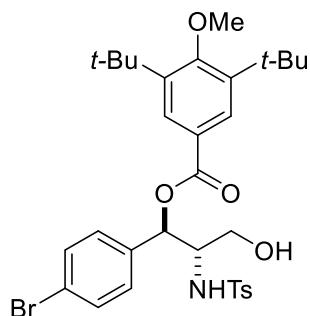


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	6. 933	MF R	0. 3166	3. 41847e4	1799. 46143	50. 5877
2	9. 705	MM R	0. 4908	3. 33905e4	1133. 92578	49. 4123
Totals :					6. 75751e4	2933. 38721

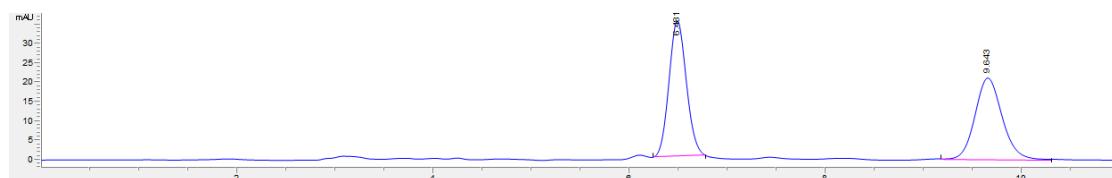


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	7. 364	MM R	0. 3415	1696. 73621	82. 80674	2. 1070
2	10. 553	MF R	0. 5331	7. 88306e4	2464. 54736	97. 8930
Totals :					8. 05273e4	2547. 35410

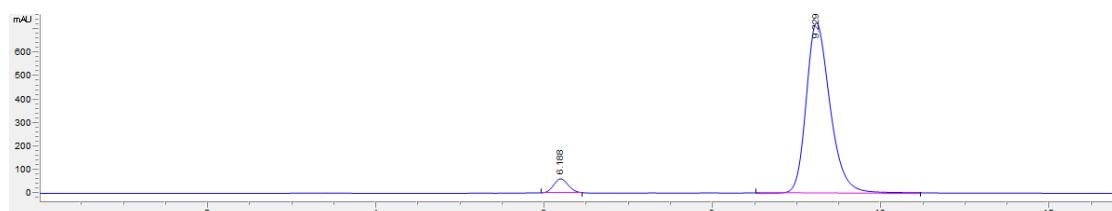
Compound 3d:



Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min

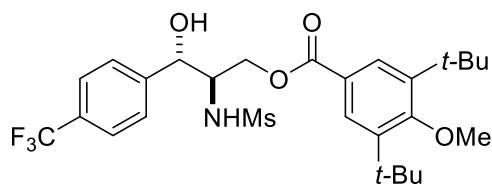


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	6. 481	MM R	0. 2047	434. 26111	35. 36588	50. 5117
2	9. 643	MM R	0. 3298	425. 46191	21. 50341	49. 4883
Totals :				859. 72302	56. 86929	

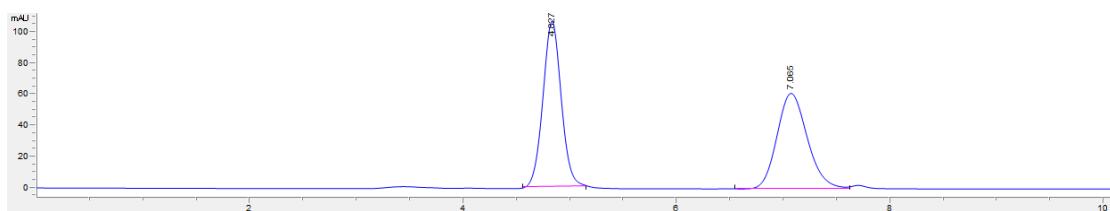


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	6. 188	MM R	0. 2034	729. 94379	59. 82199	4. 7940
2	9. 229	MF R	0. 3325	1. 44961e4	726. 58221	95. 2060
Totals :				1. 52261e4	786. 40421	

Compound 2e:

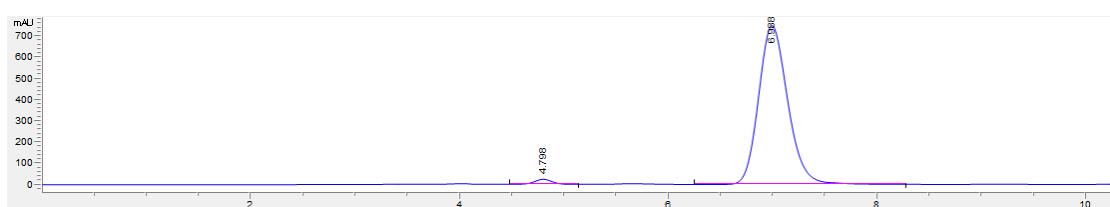


Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	4.827	MM R	0.1971	1250.79077	105.76311	50.7677
2	7.065	FM R	0.3309	1212.96191	61.09485	49.2323

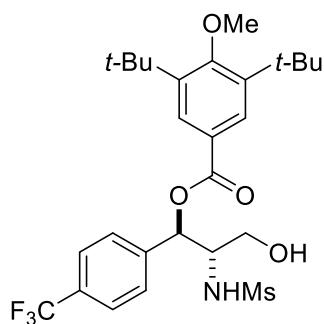
Totals : 2463.75269 166.85796



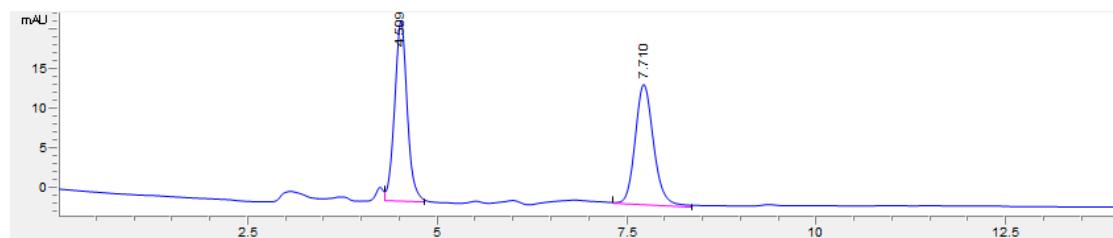
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	4.798	MF R	0.1960	281.86700	23.96583	1.9013
2	6.988	MF R	0.3235	1.45428e4	749.24493	98.0987

Totals : 1.48247e4 773.21076

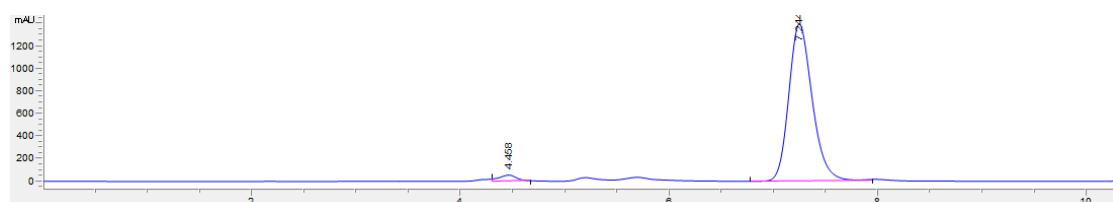
Compound 3e:



Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min

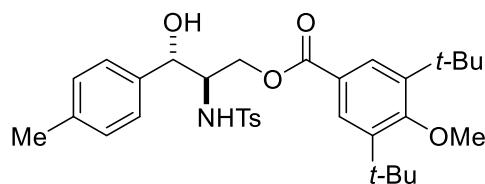


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	4.509	MF R	0.1939	264.78845	22.75652	50.3960
2	7.710	MM R	0.2856	260.62708	15.20796	49.6040
Totals :				525.41553	37.96447	

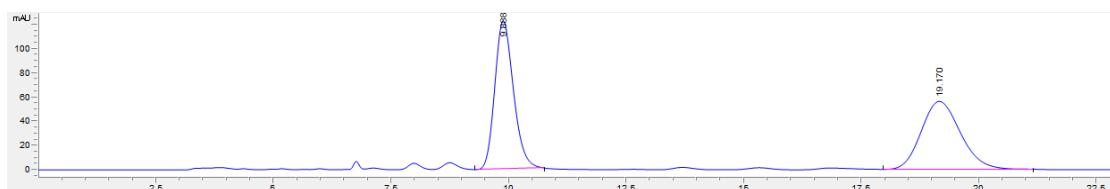


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	4.458	MF R	0.1979	656.07269	55.26131	2.8734
2	7.244	MM R	0.2621	2.21768e4	1410.46582	97.1266
Totals :				2.28328e4	1465.72713	

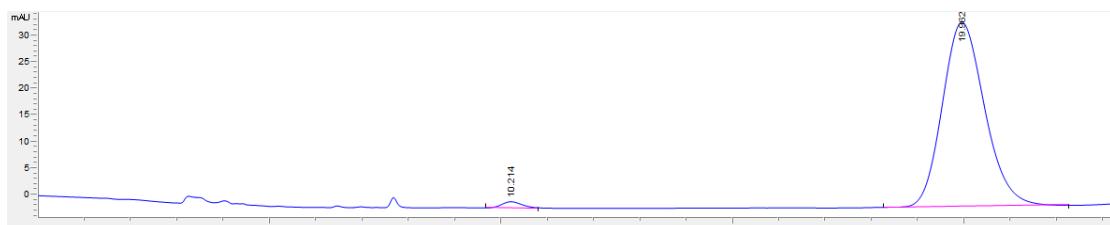
Compound 2f:



Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min

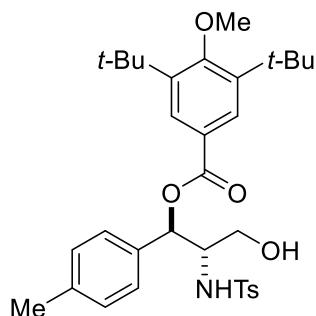


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	9.888	MM R	0.4626	3401.70117	122.56147	50.9065
2	19.170	MF R	0.9723	3280.55762	56.23174	49.0935
Totals :				6682.25879	178.79321	

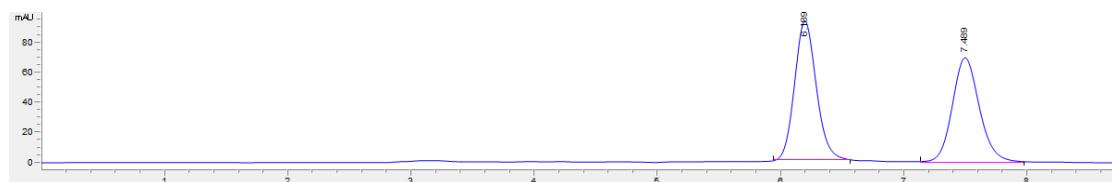


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	10.214	MF R	0.5198	37.98239	1.21783	1.6891
2	19.962	FM R	1.0581	2210.63550	34.82085	98.3109
Totals :				2248.61789	36.03868	

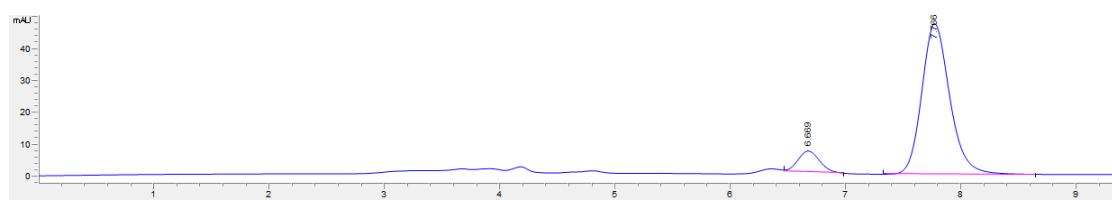
Compound 3f:



Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min

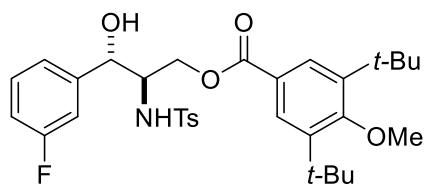


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	6. 189	MM R	0. 2037	1140. 98291	93. 36403	50. 9726
2	7. 489	MM R	0. 2589	1097. 44055	70. 64773	49. 0274
Totals :					2238. 42346	164. 01176

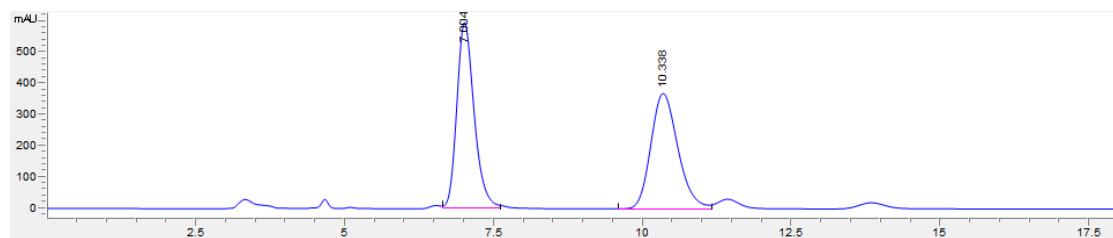


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	6. 669	MM R	0. 2148	84. 76167	6. 57687	9. 5695
2	7. 766	MF R	0. 2816	800. 98346	47. 40048	90. 4305
Totals :					885. 74513	53. 97735

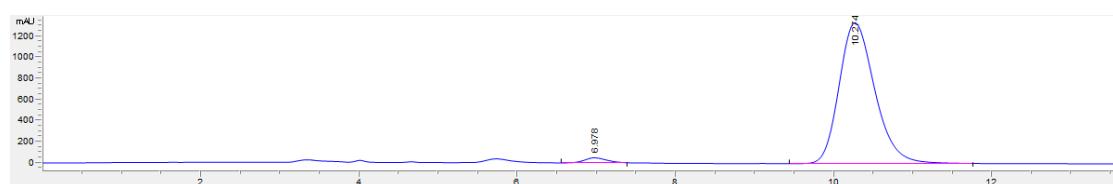
Compound 2g:



Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min

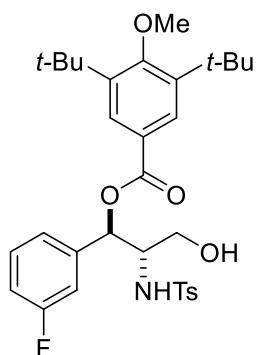


Peak #	RetTime [min]	Type	Heighth [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	7.004	MF R	0.3392	1.21819e4	598.59100	50.3257
2	10.338	MF R	0.5362	1.20242e4	373.76852	49.6743
Totals :					2.42061e4	972.35953

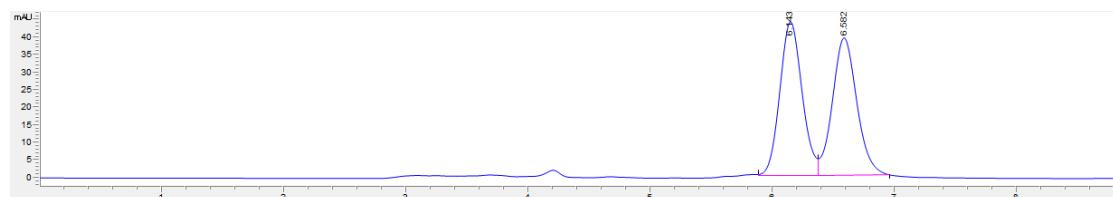


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	6.978	MF R	0.3487	1039.46301	49.68423	2.4292
2	10.274	MF R	0.5236	4.17510e4	1328.88831	97.5708
Totals :					4.27904e4	1378.57253

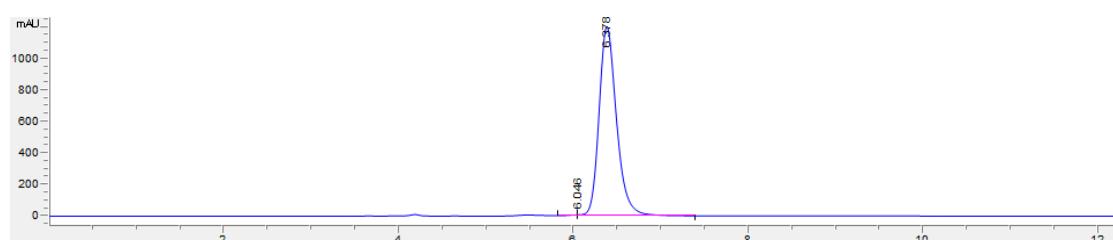
Compound 3g:



Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min

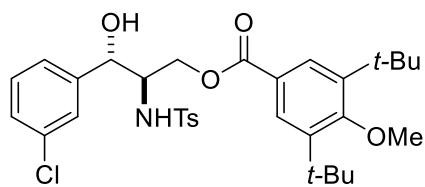


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	6.143	MF	R	0.2133	568.02673	44.38020
2	6.582	FM	R	0.2322	552.67633	39.66575
Totals :					1120.70306	84.04596

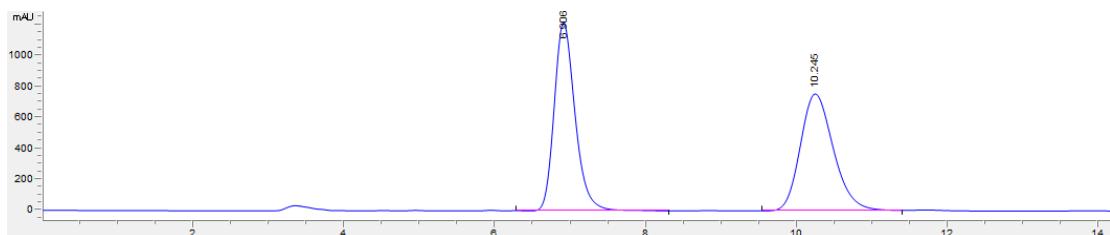


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	6.046	MF		0.1452	68.14751	7.82430
2	6.378	MF	R	0.2332	1.67829e4	1199.55737
Totals :					1.68511e4	1207.38167

Compound 2h:

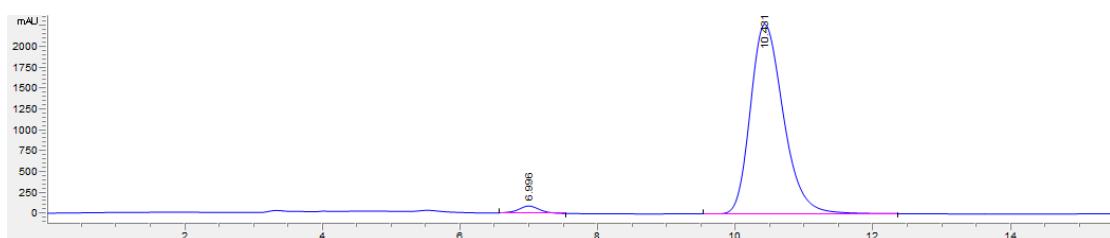


Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	6.906	FM R	0.3209	2.36341e4	1227.51721	50.2986
2	10.245	MF R	0.5103	2.33534e4	762.69672	49.7014

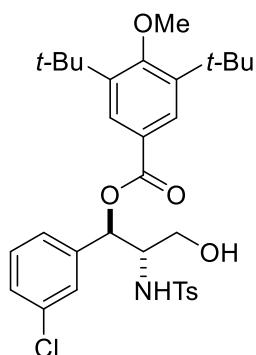
Totals : 4.69875e4 1990.21393



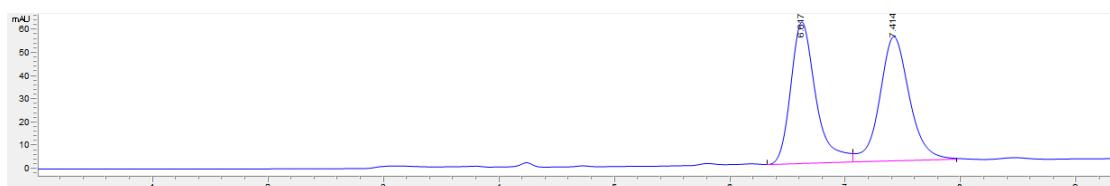
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	6.996	FM R	0.3638	1898.31714	86.97021	2.4513
2	10.431	MF R	0.5561	7.55433e4	2263.94189	97.5487

Totals : 7.74416e4 2350.91210

Compound 3h:

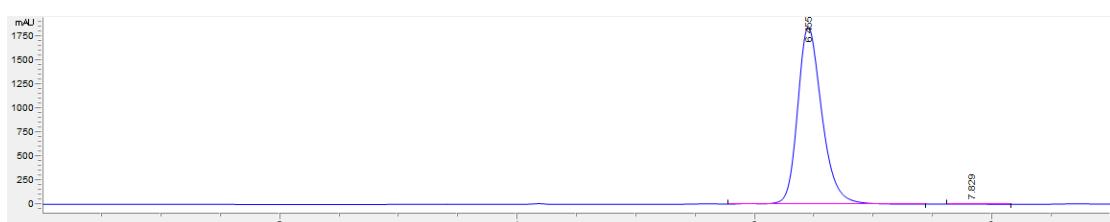


Chiralpak IA, iPrOH/Hexane = 20/80, 1.0 mL/min



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	6.617	MF R	0.2529	930.56903	61.33197	49.0254
2	7.414	FM R	0.2991	967.56915	53.92348	50.9746

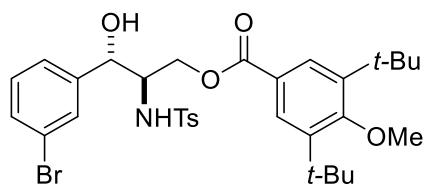
Totals : 1898.13818 115.25546



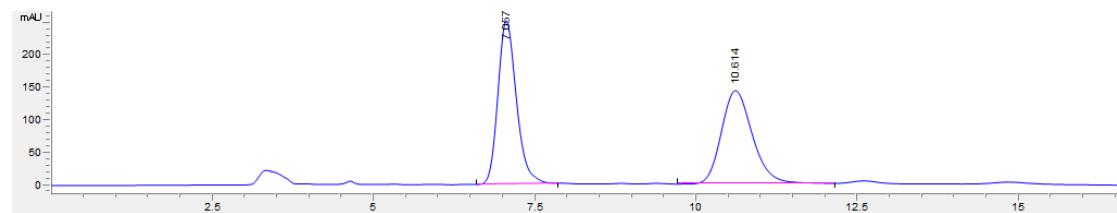
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	6.455	FM R	0.2395	2.65726e4	1849.03894	99.9272
2	7.829	FM R	0.2238	19.36249	1.44171	0.0728

Totals : 2.65920e4 1850.48065

Compound 2i:

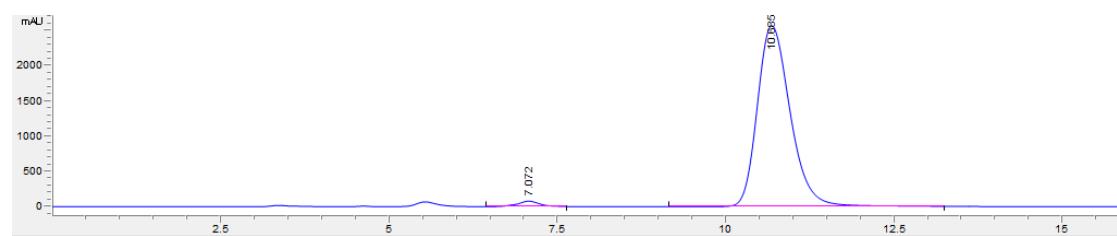


Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	7.057	BB	0.3069	5042.11182	252.57060	50.6799
2	10.614	MF R	0.5660	4906.83545	144.49379	49.3201

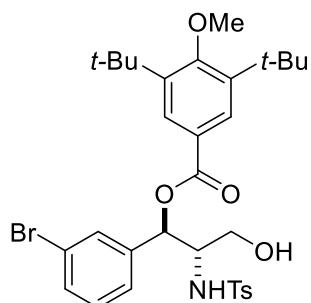
Totals : 9948.94727 397.06439



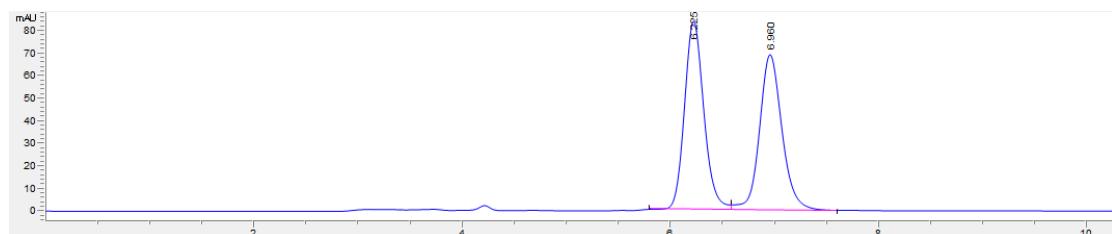
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	7.072	MF R	0.3949	1861.54980	78.57459	2.0683
2	10.685	FM R	0.5683	8.81403e4	2585.04614	97.9317

Totals : 9.00018e4 2663.62074

Compound 3i:

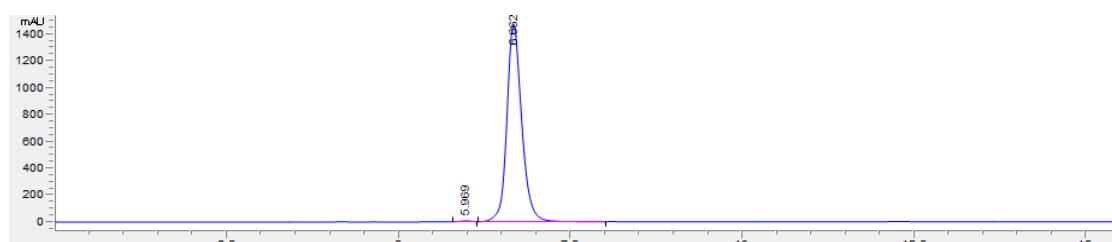


Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	6.225	MF R	0.2158	1077.43225	83.20871	50.9206
2	6.960	FM R	0.2519	1038.47302	68.70959	49.0794

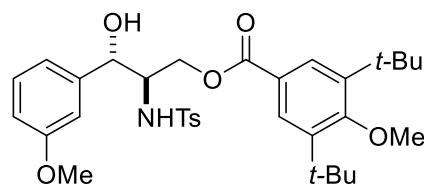
Totals : 2115.90527 151.91830



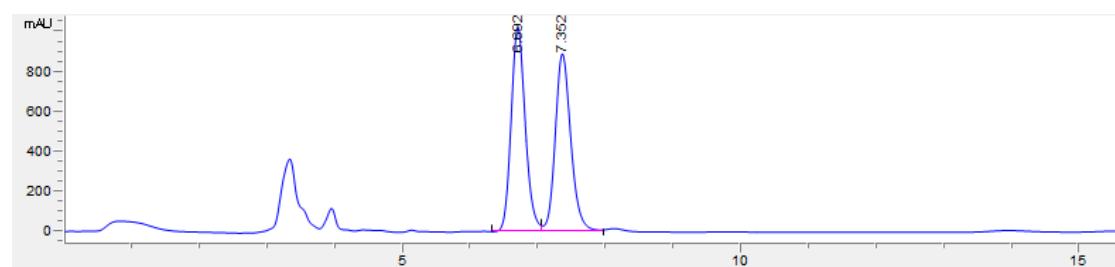
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	5.969	MM R	0.1718	65.55153	6.36023	0.2957
2	6.662	MF R	0.2514	2.21025e4	1465.26880	99.7043

Totals : 2.21680e4 1471.62903

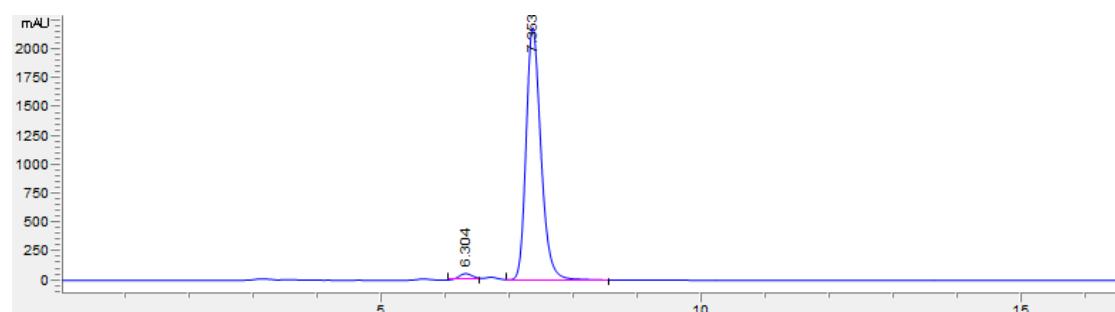
Compound 2j:



Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min

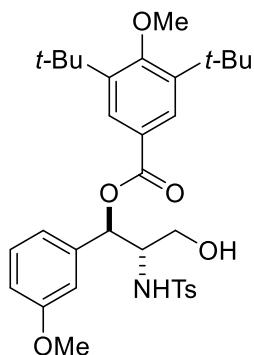


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	6.692	BV	0.2245	1.50280e4	1028.46094	50.9800
2	7.352	MF R	0.2688	1.44502e4	895.97119	49.0200
Totals :					2.94782e4	1924.43213



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	6.304	MF R	0.2625	870.78845	55.29380	2.3937
2	7.353	MF R	0.2710	3.55076e4	2183.95093	97.6063
Totals :					3.63784e4	2239.24472

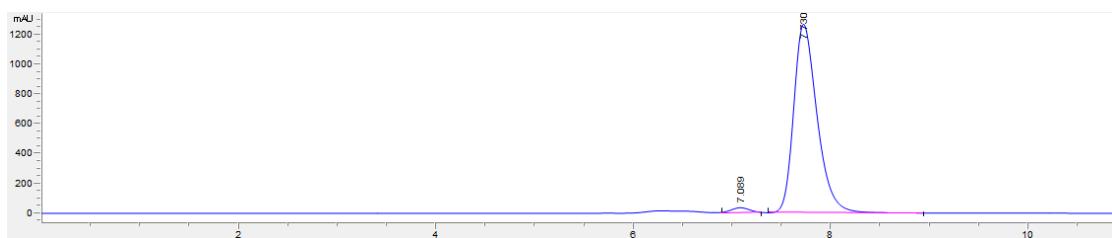
Compound 3j:



Chiraldex IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min



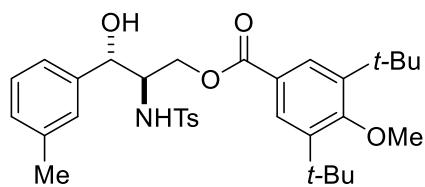
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	6.605	MM R	0.2116	2426.36890	191.09245	50.6052
2	7.834	MM R	0.2703	2368.33276	146.01662	49.3948



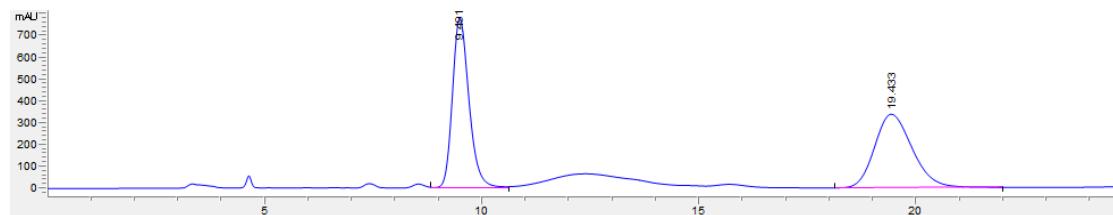
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	7.089	MM R	0.2267	488.74039	35.92608	2.2775
2	7.730	MF R	0.2744	2.09704e4	1273.89612	97.7225

Totals : 2.14592e4 1309.82220

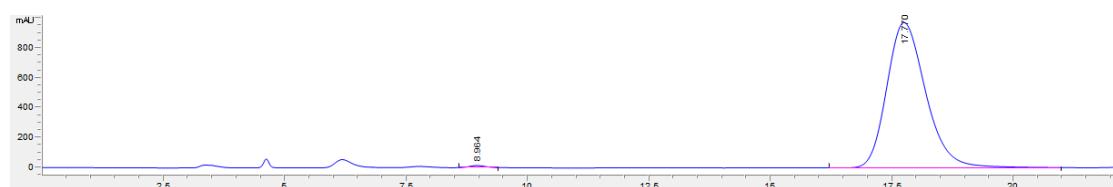
Compound 2k:



Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min

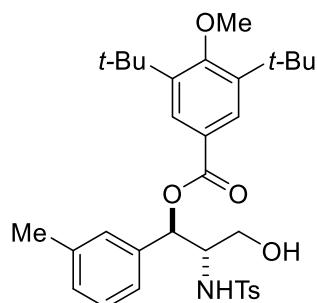


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	9.491	FM R	0.4437	2.06166e4	774.47723	50.5889
2	19.433	BB	0.9333	2.01366e4	334.99646	49.4111
Totals :					4.07532e4	1109.47369

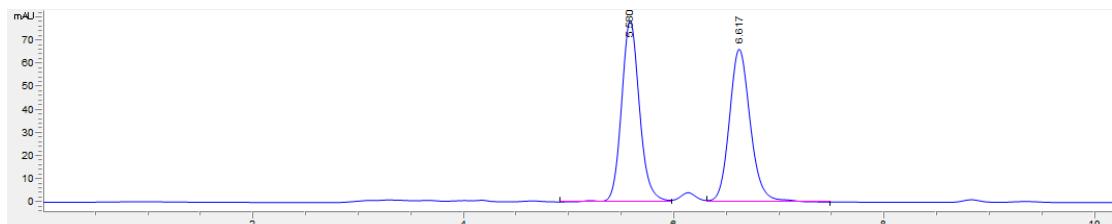


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	8.964	FM R	0.4274	407.10434	15.87636	0.7395
2	17.770	MF R	0.9367	5.46457e4	972.26208	99.2605
Totals :					5.50528e4	988.13845

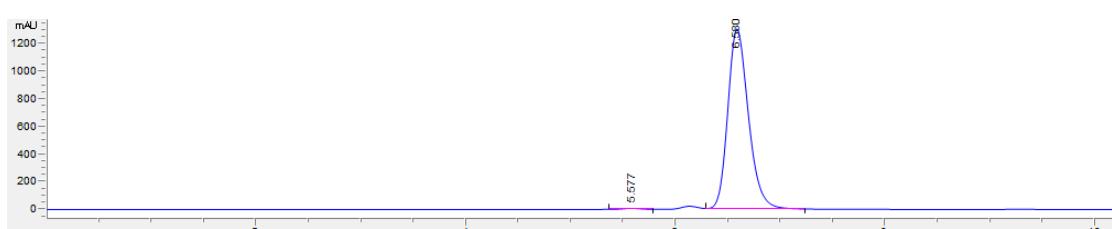
Compound 3k:



Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min

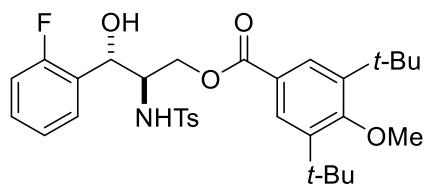


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	5.580	MF R	0.1987	940.54169	78.90387	50.2820
2	6.617	MF R	0.2331	929.99109	66.48647	49.7180
Totals :				1870.53278	145.39034	

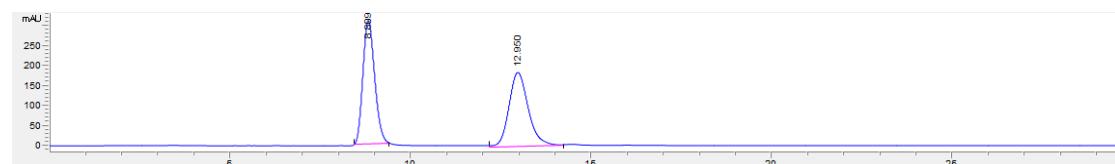


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	5.577	MM R	0.2099	97.20322	7.71810	0.5433
2	6.580	MM R	0.2272	1.77937e4	1305.50049	99.4567

Compound 2I:

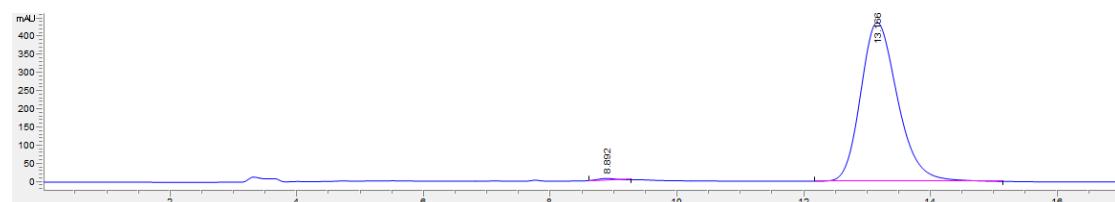


Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	8.809	MM R	0.3797	7114.92236	312.30789	50.6328
2	12.950	MM R	0.6205	6937.06934	186.33340	49.3672

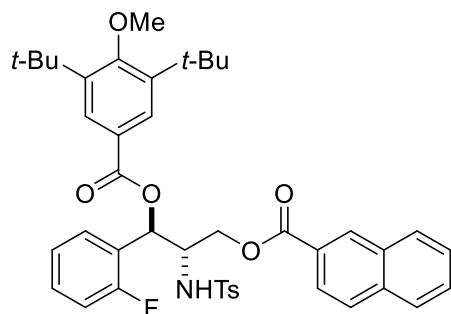
Totals : 1.40520e4 498.64130



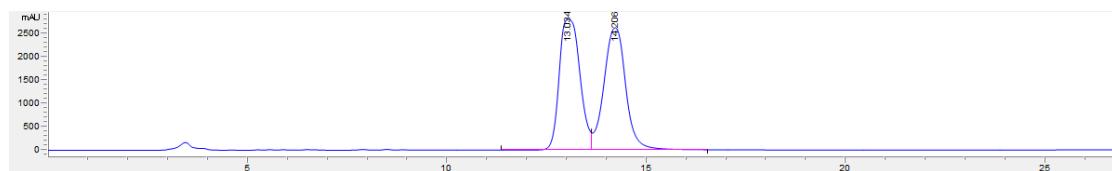
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	8.892	MM R	0.3654	121.12026	5.52434	0.6728
2	13.166	MF R	0.6777	1.78822e4	439.76587	99.3272

Totals : 1.80033e4 445.29021

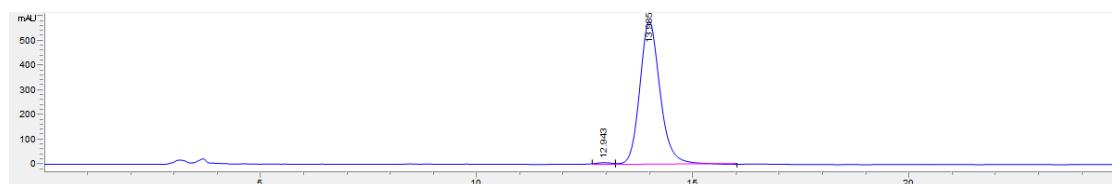
Compound 4I:



Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min

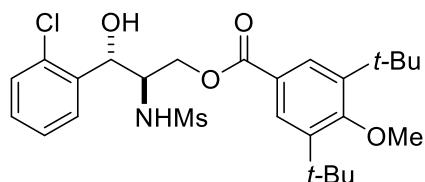


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	13. 034	BV	0. 5710	1. 01662e5	2803. 70239	50. 4099
2	14. 206	MF R	0. 6352	1. 00008e5	2624. 11060	49. 5901
Totals :					2. 01670e5	5427. 81299

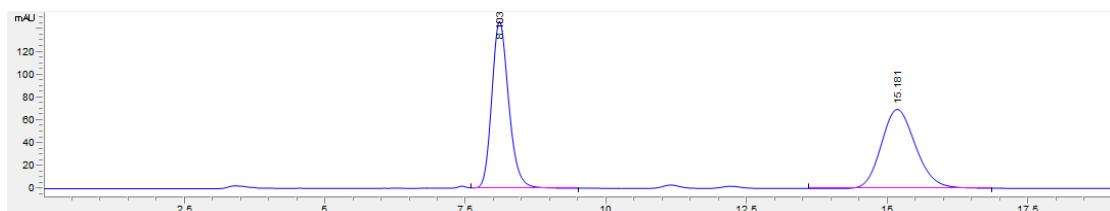


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	12. 943	FM R	0. 4254	182. 38812	7. 14600	0. 9468
2	13. 985	MF R	0. 5465	1. 90816e4	581. 93658	99. 0532
Totals :					1. 92640e4	589. 08258

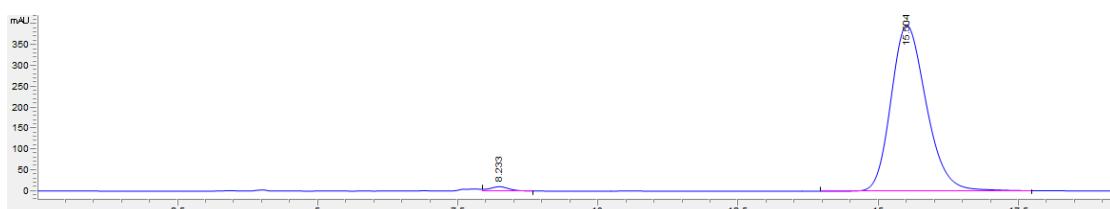
Compound 2m:



Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min

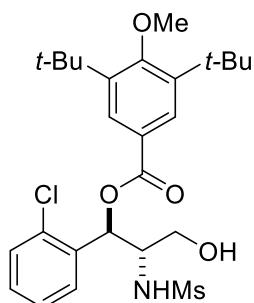


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	8.103	FM R	0.3488	3070.26880	146.69075	50.7465
2	15.181	MF R	0.7141	2979.93359	69.55104	49.2535
Totals :					6050.20239	216.24179

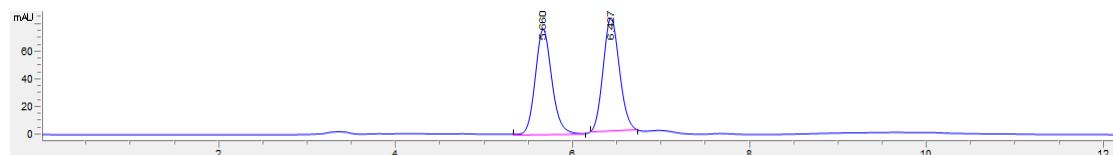


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	8.233	MF R	0.4053	256.79465	10.56109	1.4457
2	15.504	MF R	0.7284	1.75053e4	400.56296	98.5543
Totals :					1.77621e4	411.12404

Compound 3m:



Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min

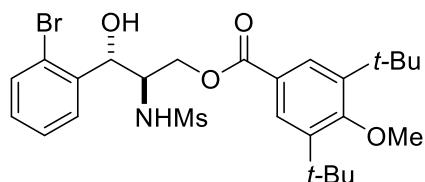


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	5.660	MF R	0.2211	1020.05554	76.88001	49.0170
2	6.427	MM R	0.2142	1060.96912	82.53582	50.9830
Totals :					2081.02466	159.41583

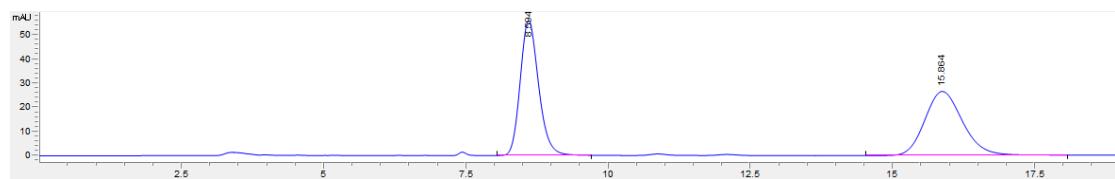


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	5.143	MM R	0.1967	2.40345e4	2035.98572	97.6923
2	5.999	MF R	0.2077	567.75433	45.56978	2.3077
Totals :					2.46023e4	2081.55550

Compound 2n:

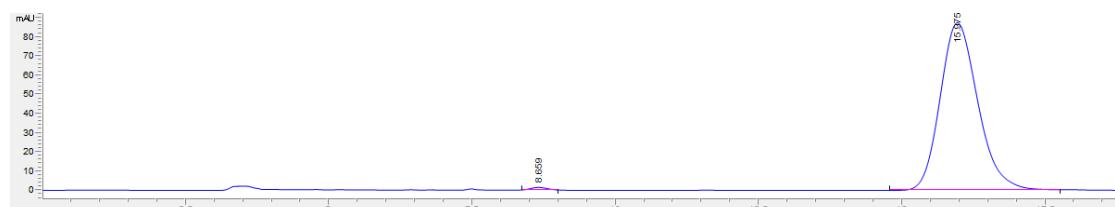


Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	8.594	MF R	0.3749	1266.56946	56.30074	50.7811
2	15.864	BB	0.7134	1227.60376	26.64956	49.2189

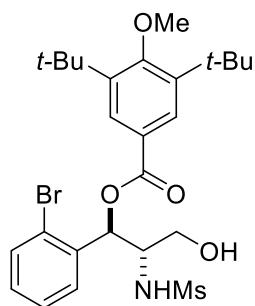
Totals : 2494.17322 82.95030



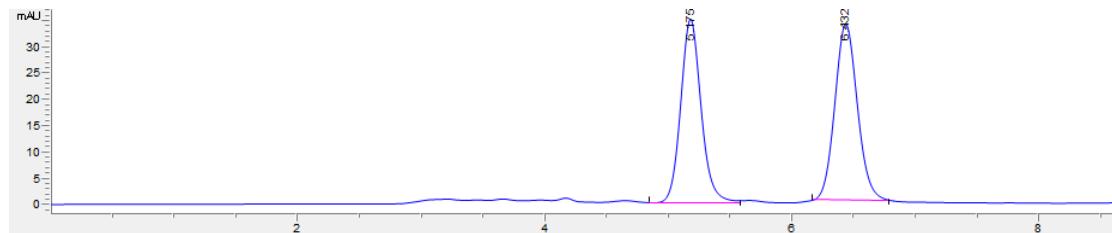
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	8.659	MM R	0.3127	24.06032	1.28252	0.5972
2	15.975	BB	0.7044	4005.05396	87.76820	99.4028

Totals : 4029.11428 89.05072

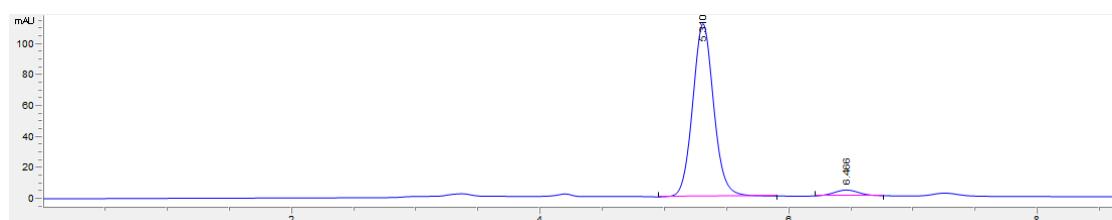
Compound 3n:



Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min

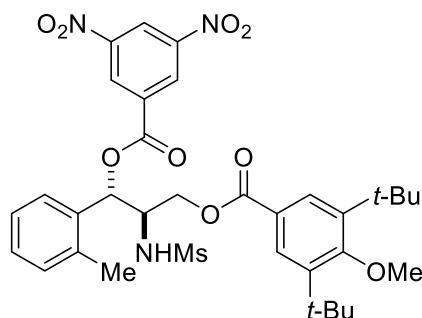


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	5. 175	MM R	0. 1925	404. 62036	35. 03097	48. 5722
2	6. 432	MM R	0. 2122	428. 40790	33. 64902	51. 4278
Totals :				833. 02826	68. 67999	

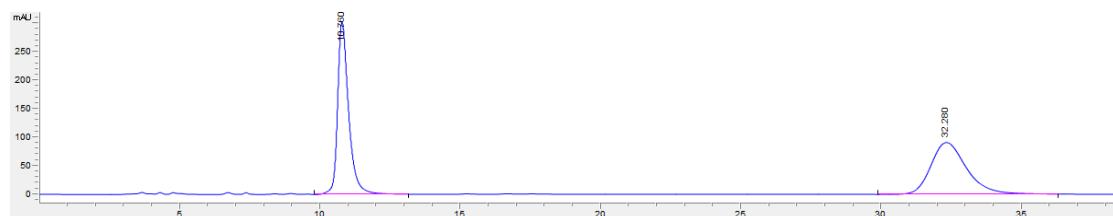


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	5.310	MF R	0.1966	1315.28442	111.52897	95.5647
2	6.466	FM R	0.2540	61.04462	4.00505	4.4353
Totals :				1376.32905	115.53402	

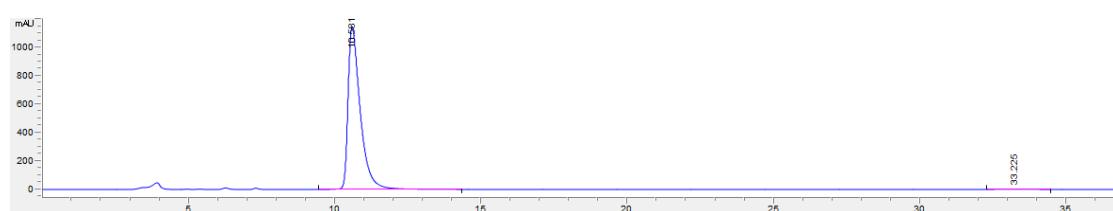
Compound 4o:



Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min

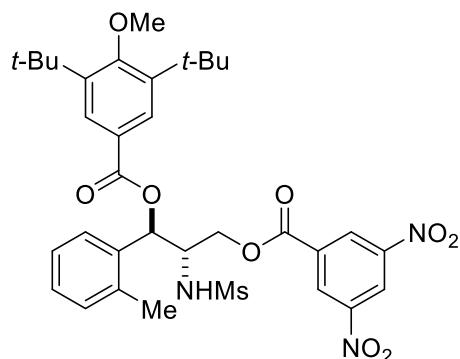


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	10.760	MF R	0.4571	8347.69727	304.37473	50.5625
2	32.280	MF R	1.4873	8161.96143	91.46024	49.4375
Totals :					1.65097e4	395.83497

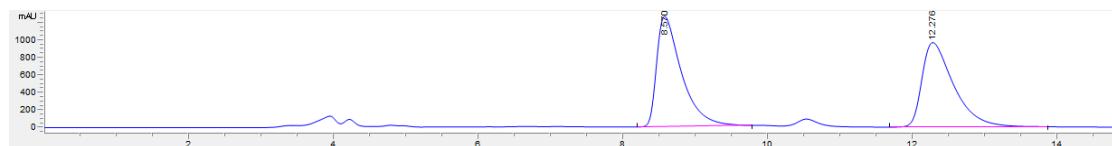


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	10.581	MF R	0.4831	3.32119e4	1145.90369	99.4878
2	33.225	FM R	1.2760	170.97133	2.23324	0.5122
Totals :					3.33829e4	1148.13692

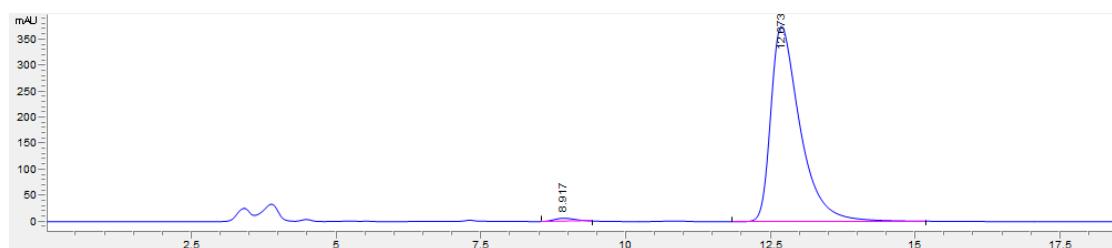
Compound 5o:



Chiralpak IA, iPrOH/Hexane = 20/80, 1.0 mL/min

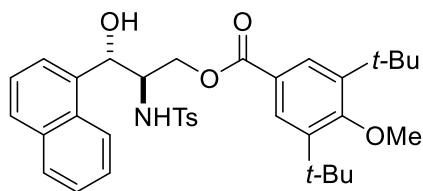


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	8.570	MM R	0.3996	3.00759e4	1254.42603	50.3090
2	12.276	MF R	0.5145	2.97065e4	962.22491	49.6910
Totals :				5.97824e4	2216.65094	

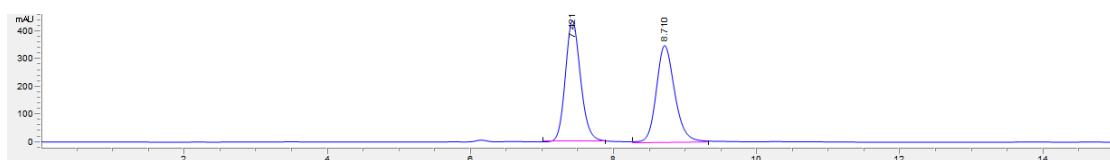


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	8.917	MM R	0.4413	168.63042	6.36894	1.2446
2	12.673	MF R	0.5887	1.33809e4	378.84137	98.7554
Totals :				1.35495e4	385.21031	

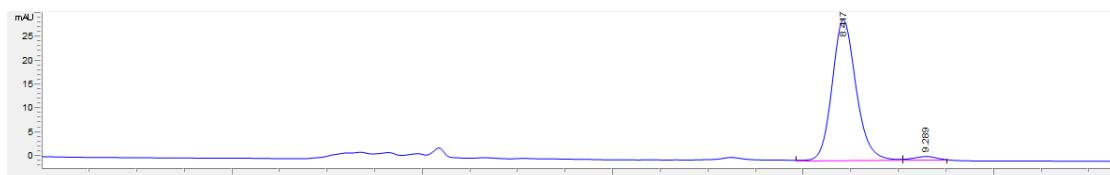
Compound 2p:



Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min

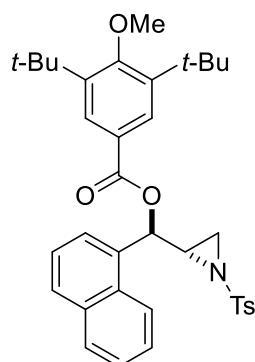


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	7.421	MM R	0.2470	6491.74561	437.99408	50.2191
2	8.710	MM R	0.3045	6435.09814	352.27151	49.7809
Totals :					1.29268e4	790.26559

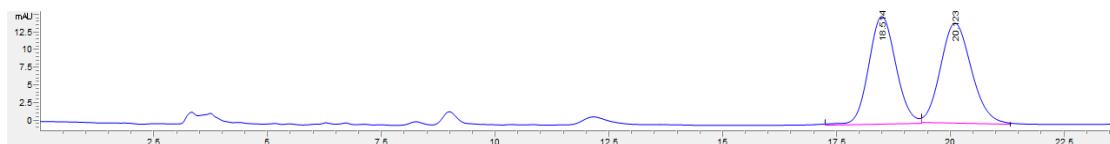


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	8.417	MF R	0.2954	526.72211	29.71776	97.3330
2	9.289	MF R	0.2892	14.43257	8.31659e-1	2.6670
Totals :					541.15467	30.54942

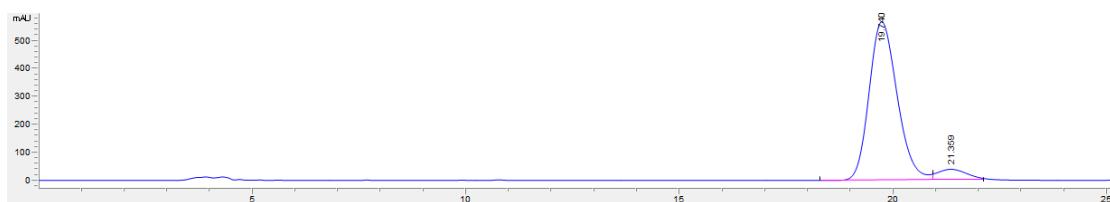
Compound 4p:



Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min

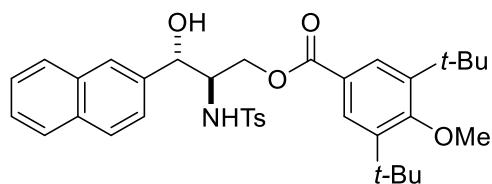


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	18.514	MM R	0.7046	646.04968	15.28230	49.0601
2	20.123	MM R	0.7905	670.80396	14.14214	50.9399
Totals :					1316.85364	29.42443

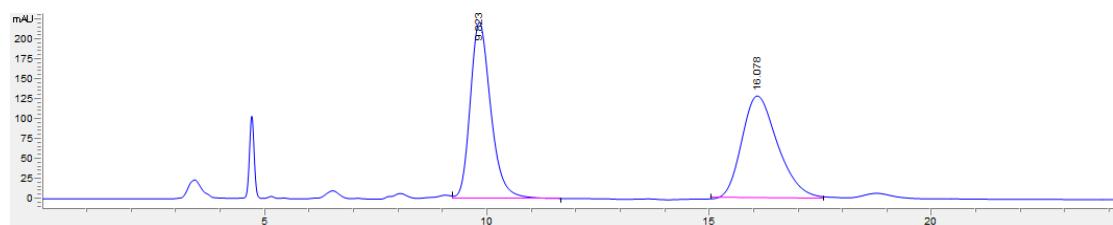


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	19.740	MF R	0.7646	2.60845e4	568.60791	93.5076
2	21.359	FM R	0.7897	1811.08789	38.22164	6.4924
Totals :					2.78956e4	606.82955

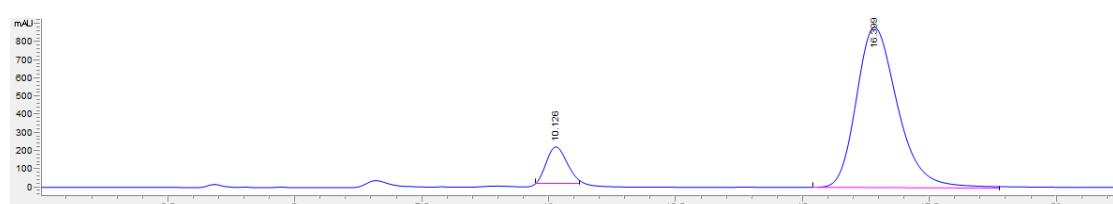
Compound 2q:



Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min

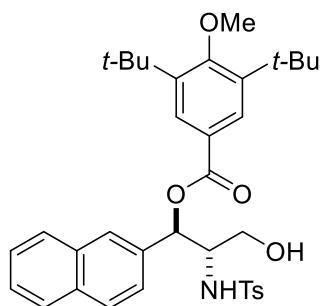


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	9.823	FM R	0.5365	7127.71289	221.44101	49.6794
2	16.078	MM R	0.9394	7219.70410	128.08957	50.3206
Totals :					1.43474e4	349.53058

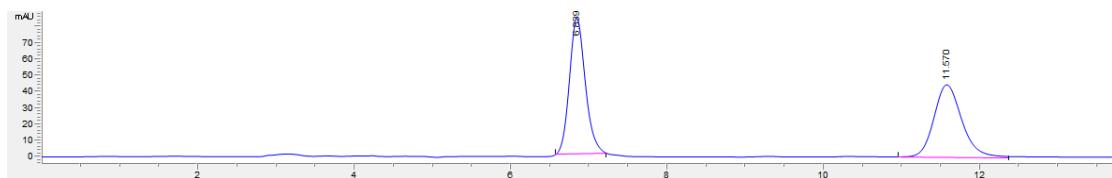


Type #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	10.126	MM R	0.4748	5880.89746	206.41444	10.3270
2	16.399	MM R	0.9555	5.10658e4	890.70428	89.6730
Totals :					5.69467e4	1097.11873

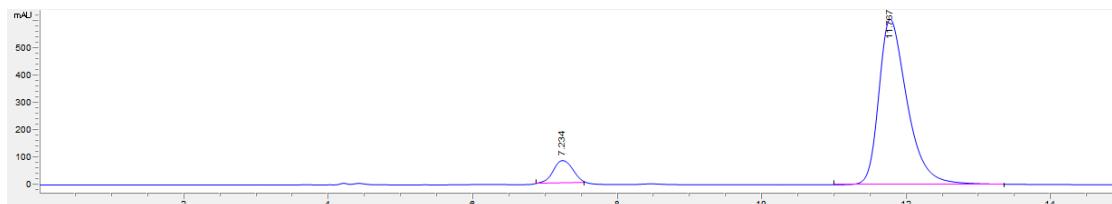
Compound 3q:



Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min

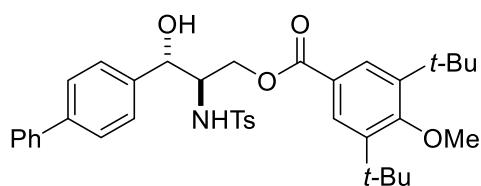


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	6.839	MM R	0.2351	1175.52051	83.34734	50.8197
2	11.570	MM R	0.4230	1137.60083	44.82337	49.1803
Totals :				2313.12134	128.17071	

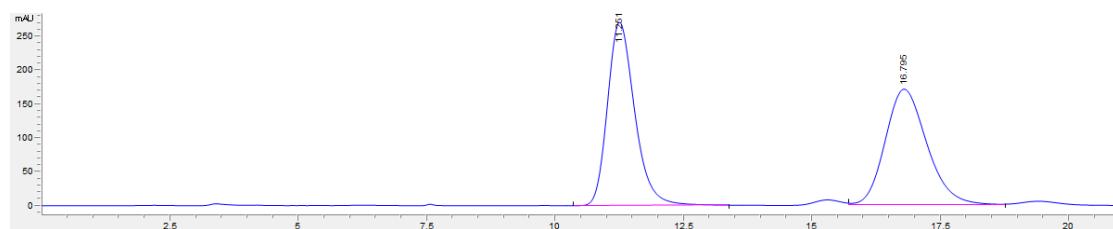


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	7.234	MM R	0.3231	1629.07690	84.03812	8.9166
2	11.767	FM R	0.4572	1.66411e4	606.69489	91.0834
Totals :				1.82702e4	690.73300	

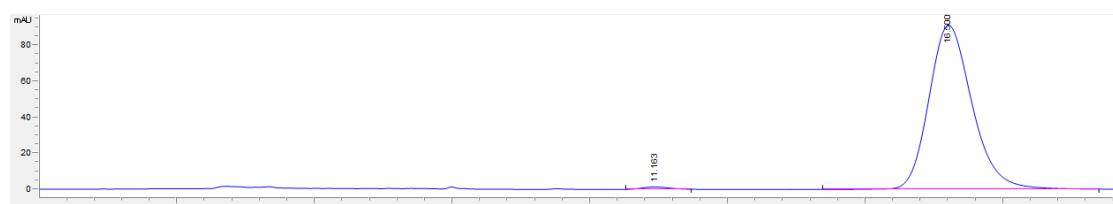
Compound 2r:



Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min

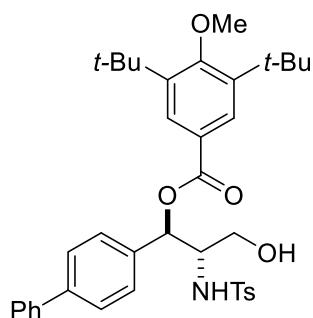


Peak	RetTime	Type	Width	Area	Heighth	Area
#	[min]		[min]	[mAU*s]	[mAU]	%
1	11.251	MF R	0.6162	9989.28809	270.20178	50.4517
2	16.795	MF R	0.9539	9810.42578	171.40218	49.5483
Totals :					1.97997e4	441.60396

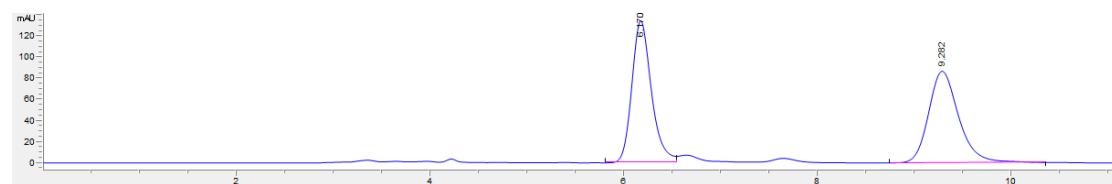


Peak	RetTime	Type	Width	Area	Heighth	Area
#	[min]		[min]	[mAU*s]	[mAU]	%
1	11.163	MM R	0.6078	52.43101	1.43773	1.0311
2	16.500	MF R	0.9110	5032.43896	92.06938	98.9689
Totals :					5084.86998	93.50711

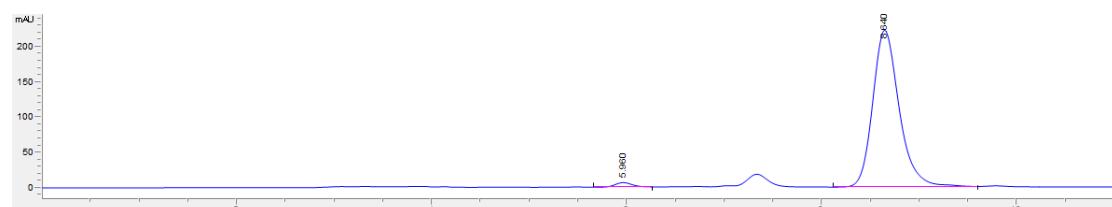
Compound 3r:



Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min

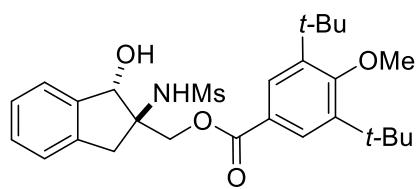


Totals :	3762.70020	221.22958
----------	------------	-----------

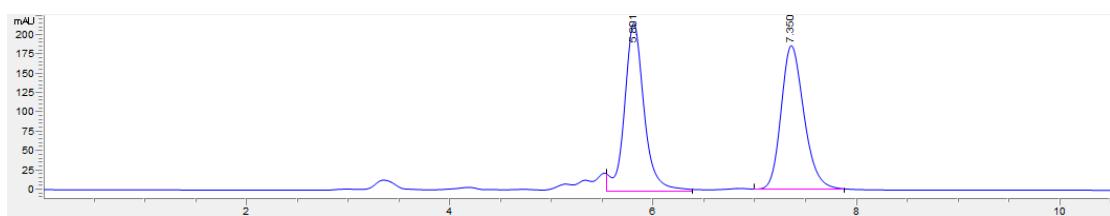


Totals :	4300.89024	229.52326
----------	------------	-----------

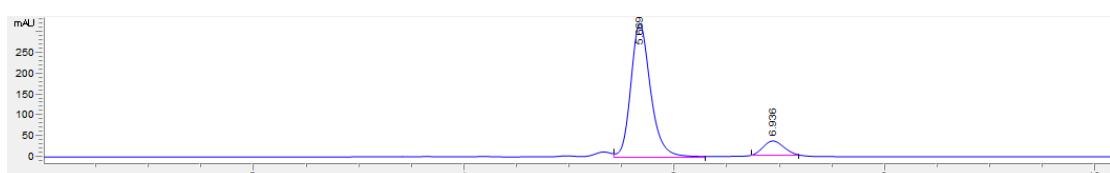
Compound 2s:



Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min

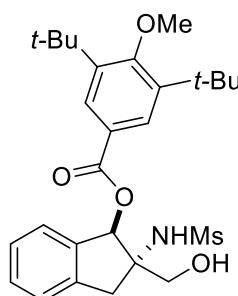


Totals : 5883.91675 404.15929

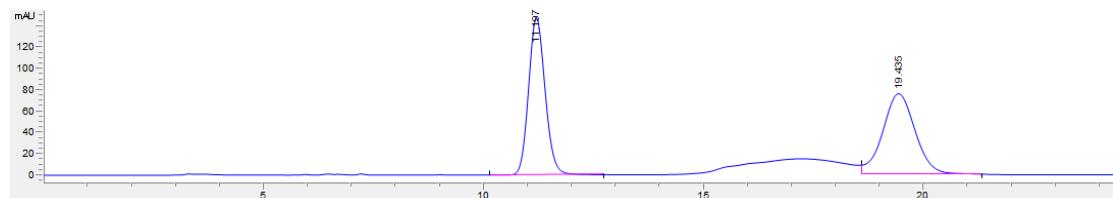


Totals : 4755.92468 355.20831

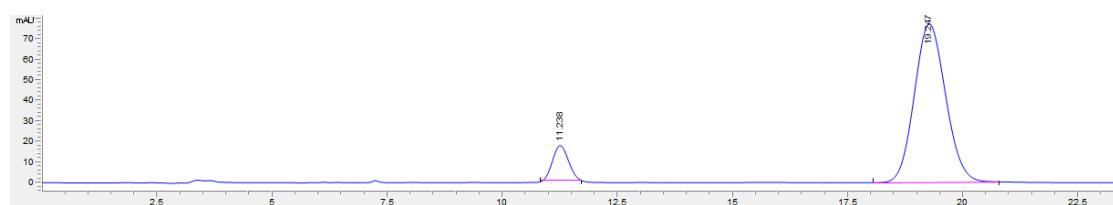
Compound 3s:



Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min

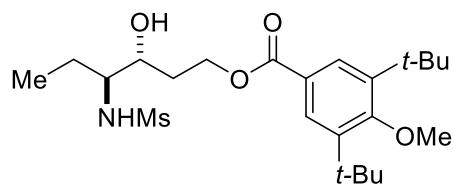


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	11.197	BB	0.4058	3853.24780	147.02486	49.3472
2	19.435	MF R	0.8671	3955.19971	76.02200	50.6528
Totals :					7808.44751	223.04685

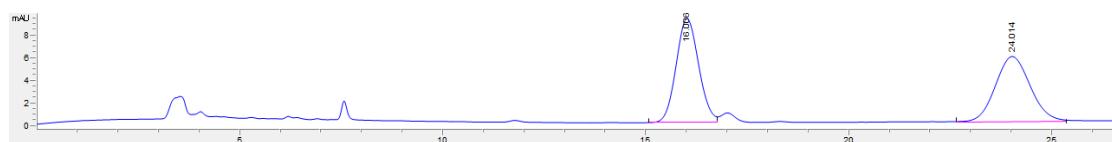


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	11.238	MM R	0.4043	420.02600	17.31469	10.2048
2	19.247	MF R	0.7931	3695.93628	77.66958	89.7952
Totals :					4115.96228	94.98427

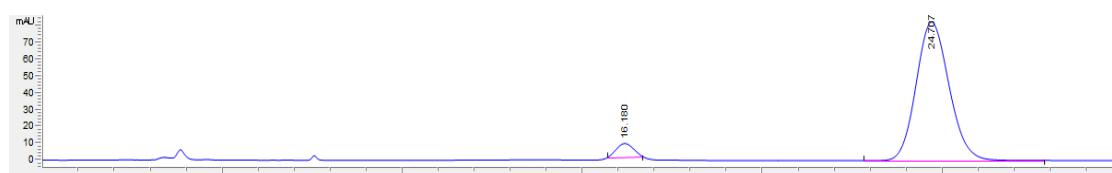
Compound 2t:



Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min

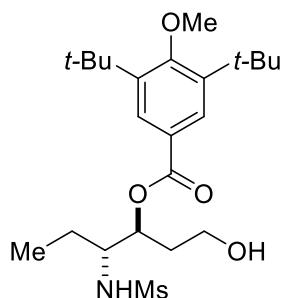


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	16.006	MF R	0.6435	353.48953	9.15504	50.4364
2	24.014	MM R	1.0040	347.37305	5.76651	49.5636
Totals :				700.86258	14.92156	

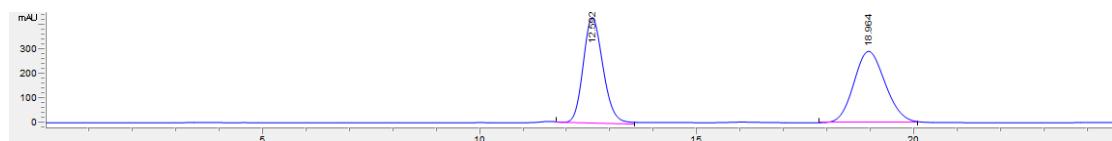


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	16.180	MM R	0.5758	301.61484	8.73087	5.2490
2	24.707	MM R	1.0944	5444.52734	82.91692	94.7510
Totals :				5746.14218	91.64779	

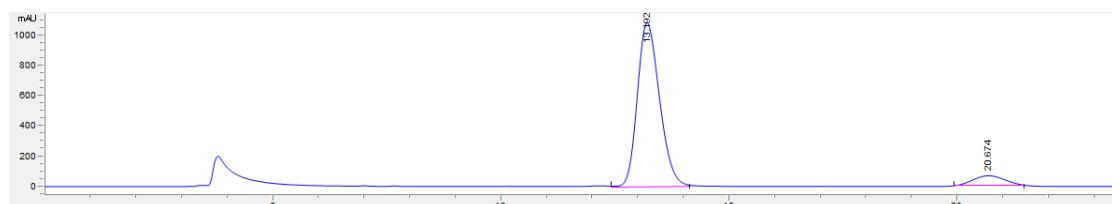
Compound 3t:



Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min

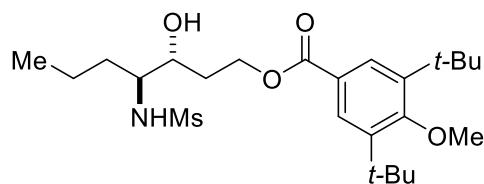


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	12.592	MM R	0.5378	1.38896e4	430.42694	49.1300
2	18.964	MM R	0.8330	1.43815e4	287.73135	50.8700
Totals :					2.82711e4	718.15829

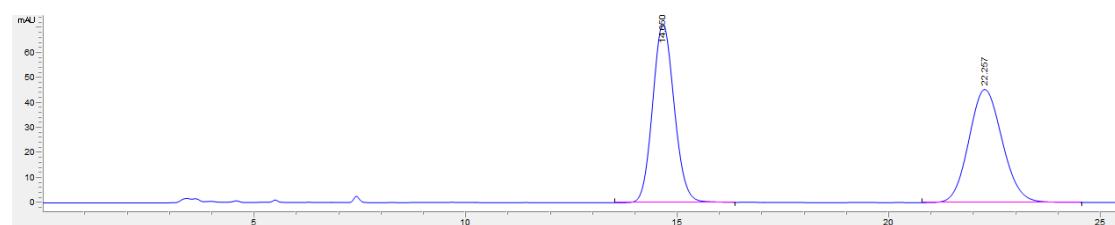


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	13.192	MM R	0.5832	3.84365e4	1098.47729	92.8411
2	20.674	MM R	0.7775	2963.80273	63.52975	7.1589
Totals :					4.14003e4	1162.00705

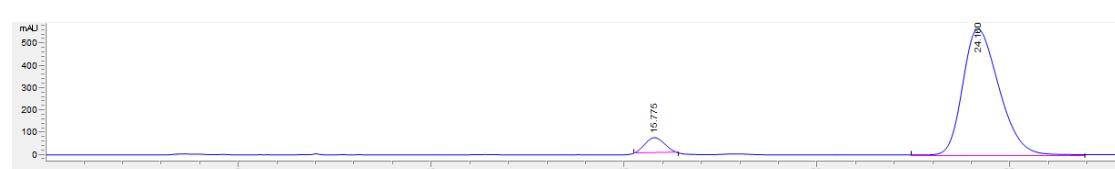
Compound 2u:



Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min

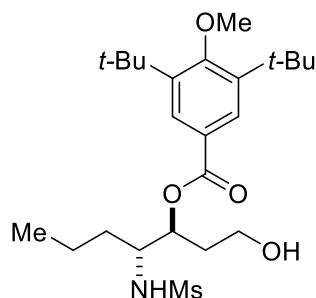


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	14.650	BB	0.5515	2525.97729	71.26984	50.5052
2	22.257	MF R	0.9148	2475.44141	45.09871	49.4948
Totals :					5001.41870	116.36856

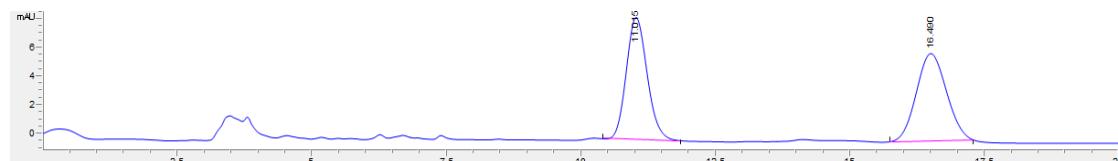


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	15.775	MM R	0.5961	2483.02686	69.42413	6.1292
2	24.160	MF R	1.1155	3.80285e4	568.18994	93.8708
Totals :					4.05116e4	637.61407

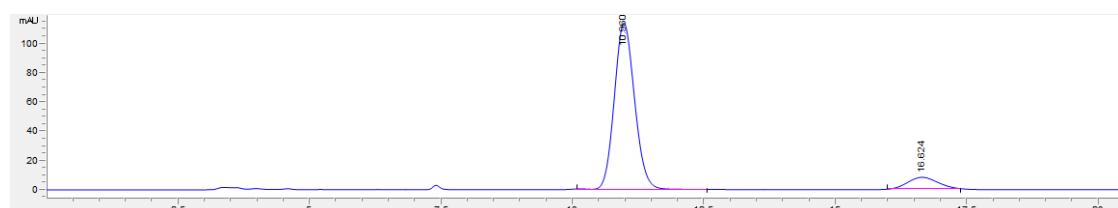
Compound 3u:



Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min

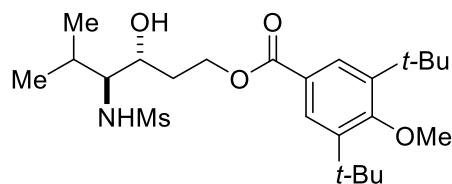


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	11.015	MM R	0.4531	231.17279	8.50286	49.2019
2	16.490	MM R	0.6530	238.67239	6.09140	50.7981
Totals :					469.84518	14.59426

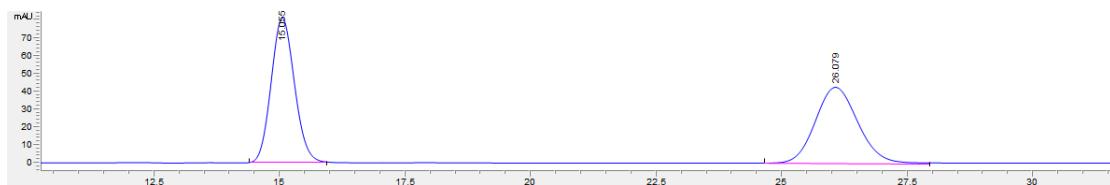


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	10.960	MM R	0.4571	3123.55688	113.89382	90.4479
2	16.624	MM R	0.6770	329.87390	8.12067	9.5521
Totals :					3453.43079	122.01449

Compound 2v:

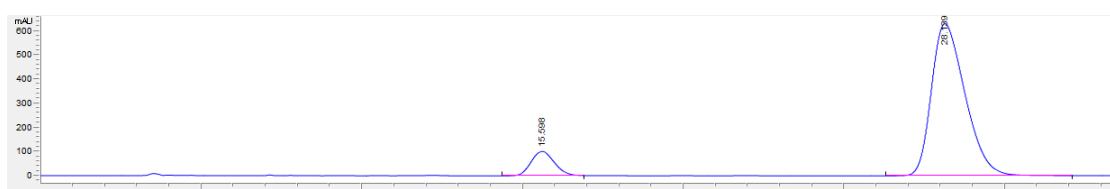


Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	15.055	MM R	0.5386	2603.18359	80.55975	50.1831
2	26.079	MF R	1.0087	2584.18896	42.70041	49.8169

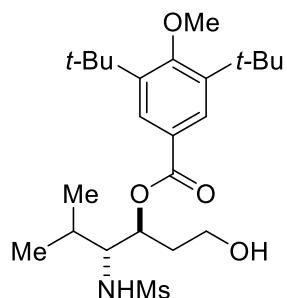
Totals : 5187.37256 123.26016



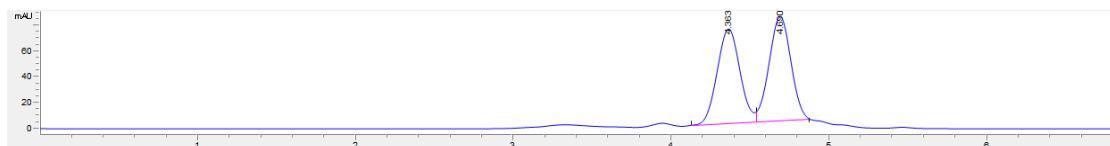
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	15.598	MF R	0.8380	5054.88916	100.53133	9.9593
2	28.139	MF R	1.2115	4.57004e4	628.68848	90.0407

Totals : 5.07553e4 729.21981

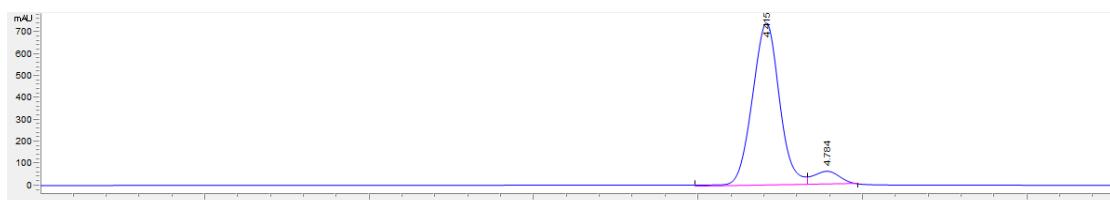
Compound 3v:



Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min



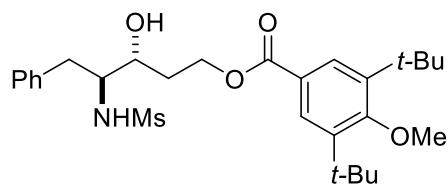
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	4.363	MF R	0.1695	749.33148	73.70226	49.2007
2	4.690	FM R	0.1592	773.67914	80.97794	50.7993
Totals :				1523.01062	154.68019	



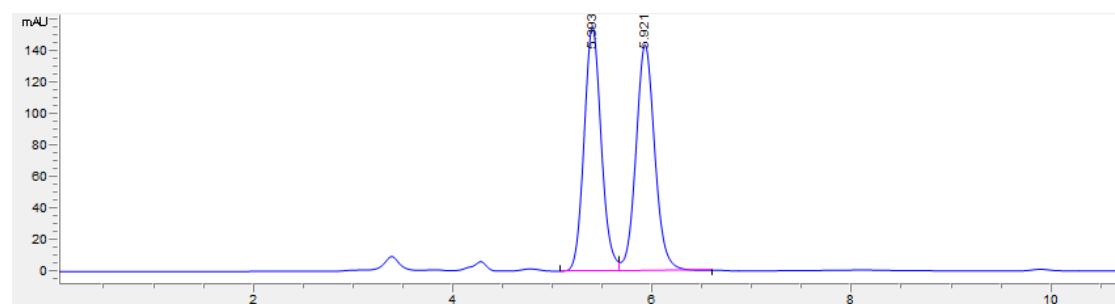
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	4.415	MF R	0.1926	8631.96484	746.95996	92.9743
2	4.784	FM R	0.1811	652.27856	60.01554	7.0257

Totals : 9284, 24341 806, 97550

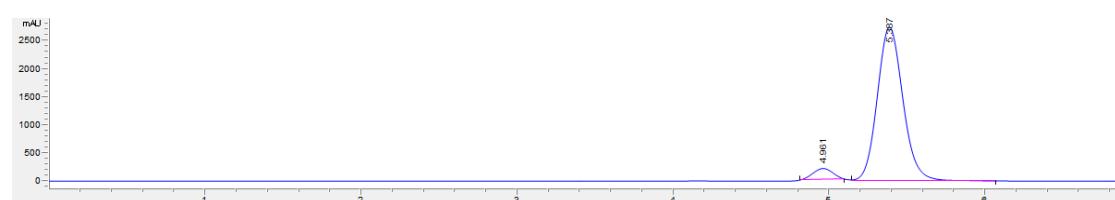
Compound 2w:



Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min

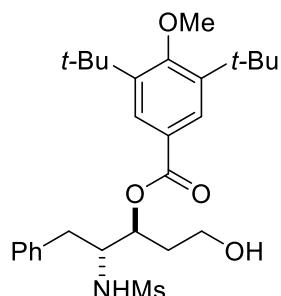


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	5.393	BV	0.1834	1854.53857	155.24379	49.5892
2	5.921	VB	0.2012	1885.26501	143.53645	50.4108
Totals :					3739.80359	298.78024

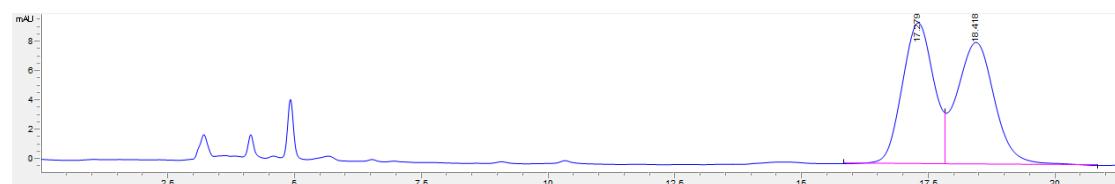


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	4.961	MM R	0.1492	1805.76160	201.77519	5.3767
2	5.387	MF R	0.1921	3.17792e4	2757.42090	94.6233
Totals :					3.35850e4	2959.19609

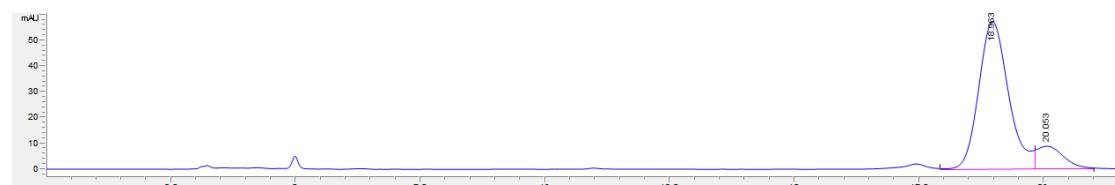
Compound **3w**:



Chiralpak IA, *i*PrOH/Hexane = 08/92, 1.0 mL/min



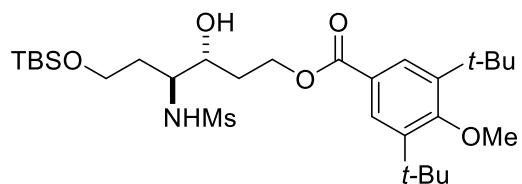
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	17. 279	BV	0. 6711	425. 25073	9. 70674	49. 5792
2	18. 418	VB	0. 7812	432. 46973	8. 39255	50. 4208
Totals :					857. 72046	18. 09929



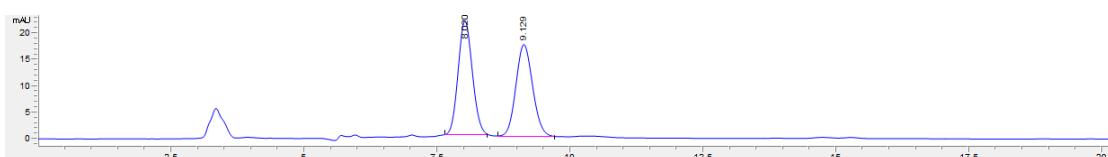
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	18. 963	MF R	0. 6890	2366. 46289	57. 24720	89. 8771
2	20. 053	FM R	0. 5454	266. 53586	8. 14544	10. 1229

Totals : 2632. 99875 65. 39263

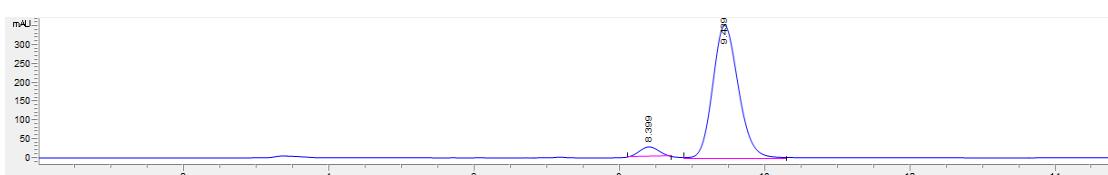
Compound 2x:



Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min

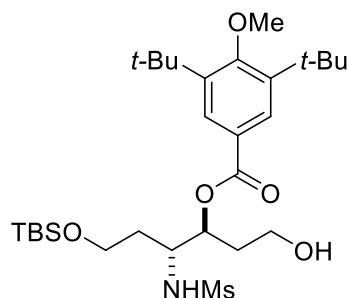


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	8.020	MM R	0.3114	402.20981	21.52733	50.8336
2	9.129	MM R	0.3717	389.01859	17.44121	49.1664
Totals :					791.22839	38.96854

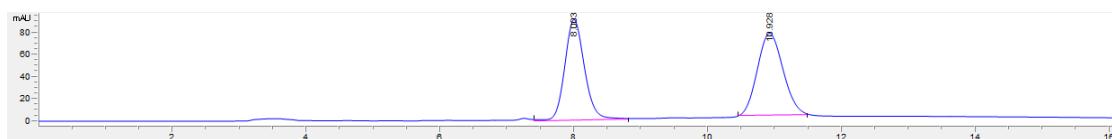


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	8.399	MM R	0.3118	496.58609	26.54244	5.3032
2	9.439	MM R	0.4155	8867.22949	355.67242	94.6968
Totals :					9363.81558	382.21486

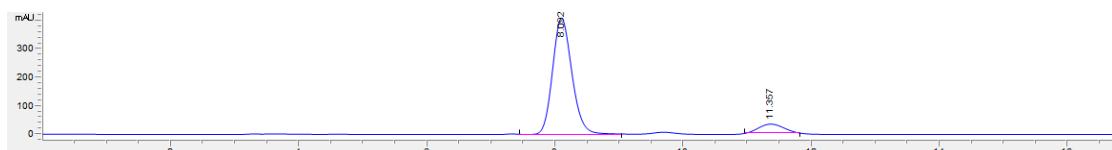
Compound **3x**:



Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min

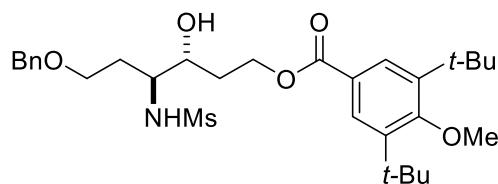


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	8.003	MM R	0.3479	1925.26550	92.22579	49.0579
2	10.928	MM R	0.4449	1999.21277	74.90151	50.9421
Totals :					3924.47827	167.12730

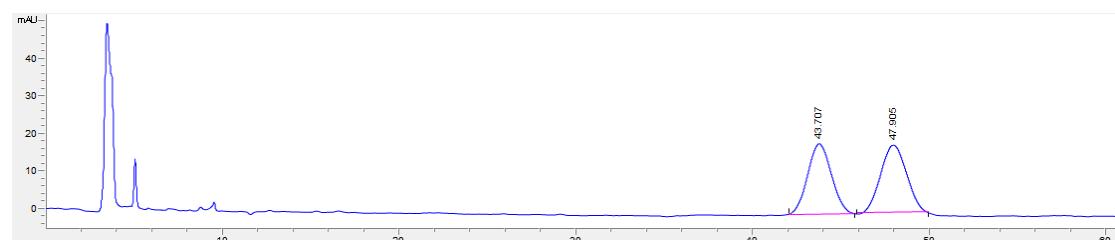


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	8.092	MF R	0.3599	8899.72754	412.18118	90.8885
2	11.357	MM R	0.4563	892.19598	32.59122	9.1115
Totals :					9791.92352	444.77241

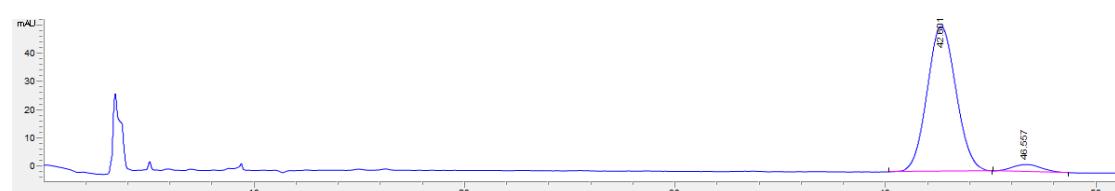
Compound 2y:



Chiralpak IC, *i*PrOH/Hexane = 10/90, 1.0 mL/min

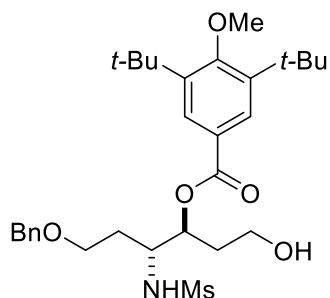


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	43.707	MM R	1.5711	1773.79663	18.81698	49.1183
2	47.905	MM R	1.7067	1837.47437	17.94343	50.8817
Totals :					3611.27100	36.76041

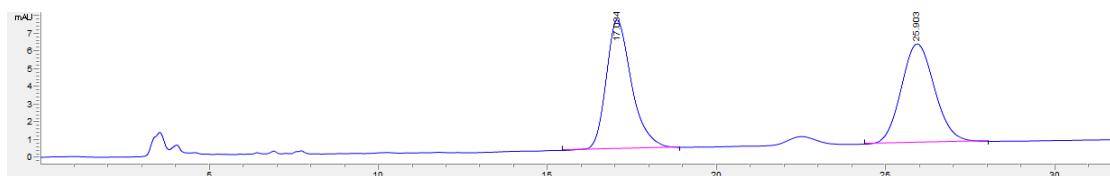


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	42.601	BB	1.4876	4946.07861	51.72303	95.1333
2	46.557	MF R	1.6494	253.02507	2.55677	4.8667
Totals :					5199.10368	54.27980

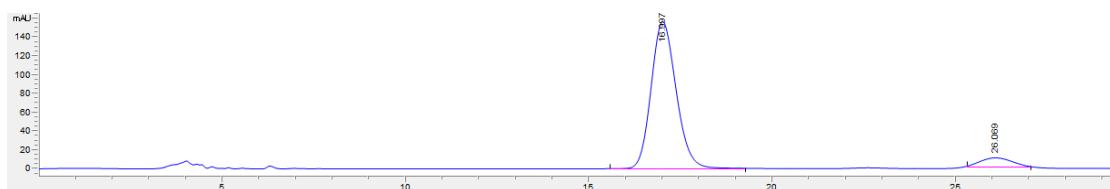
Compound 3y:



Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min

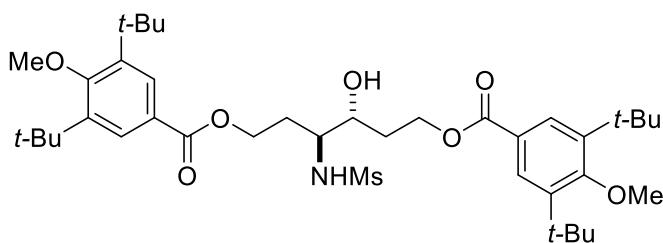


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	17.034	BB	0.7907	380.98932	7.30170	49.7163
2	25.903	BB	1.0483	385.33795	5.60370	50.2837
Totals :				766.32727	12.90541	

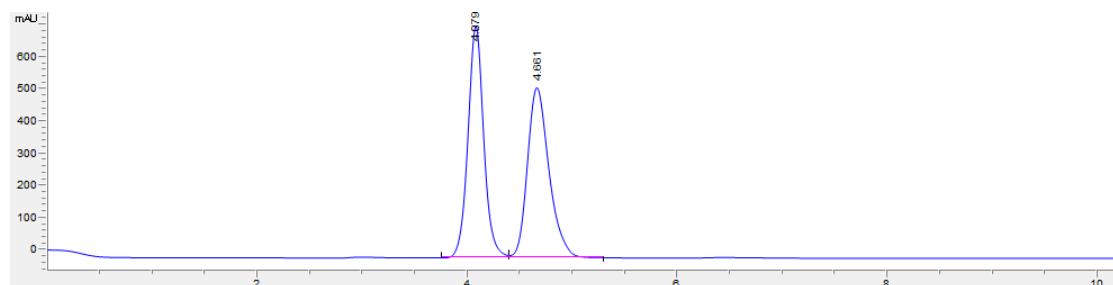


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	16.997	FM R	0.8135	7733.54492	158.44124	92.4440
2	26.069	FM R	1.0334	632.11096	10.19450	7.5560
Totals :				8365.65588	168.63574	

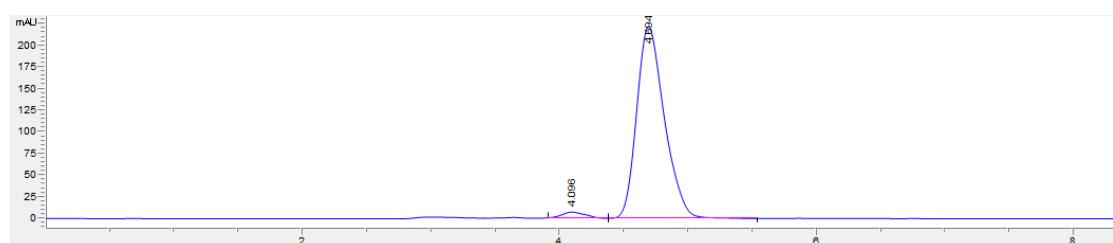
Compound 2z:



Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min

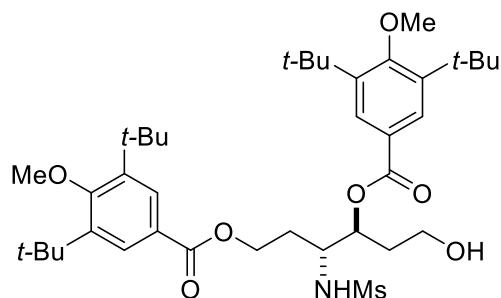


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	4.079	BV	0.1581	7590.28467	725.54510	49.8620
2	4.661	MF R	0.2388	7632.29980	532.78455	50.1380
Totals :					1.52226e4	1258.32965

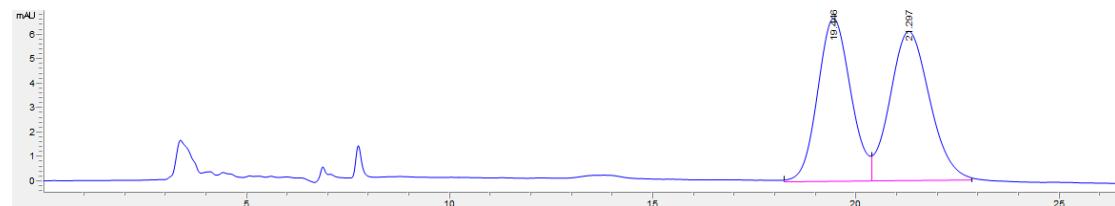


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	4.096	FM R	0.2222	102.01697	7.65150	2.9676
2	4.694	VB	0.2292	3335.65771	222.18404	97.0324
Totals :					3437.67468	229.83554

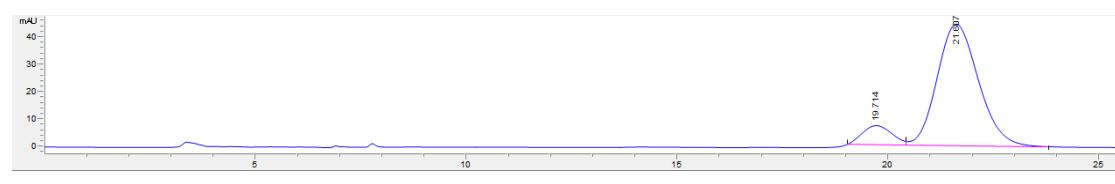
Compound 3z:



Chiralpak IC, *i*PrOH/Hexane = 15/85, 1.0 mL/min

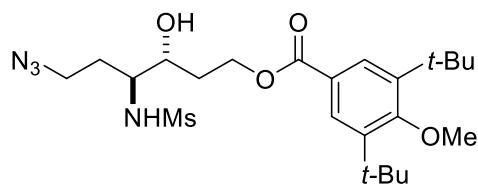


Peak	RetTime	Type	Width	Area	Heighth	Area
#	[min]		[min]	[mAU*s]	[mAU]	%
1	19.446	MF R	0.9478	379.13327	6.66675	47.7054
2	21.297	FM R	1.1341	415.60504	6.10754	52.2946
Totals :				794.73831	12.77428	

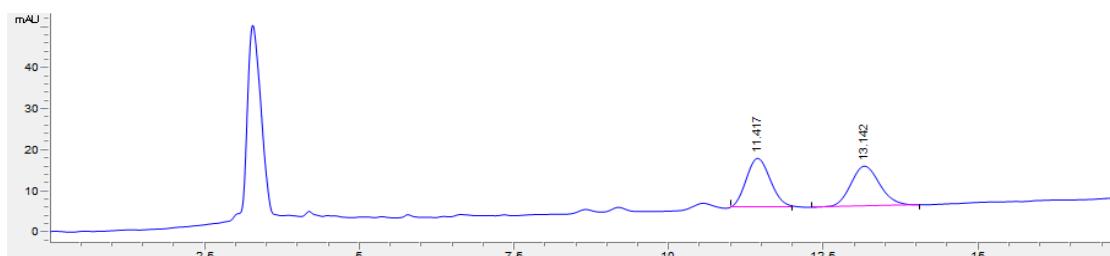


Peak	RetTime	Type	Width	Area	Heighth	Area
#	[min]		[min]	[mAU*s]	[mAU]	%
1	19.714	MF R	0.8213	352.68716	7.15703	10.2410
2	21.607	FM R	1.1428	3091.19409	45.08228	89.7590
Totals :				3443.88126	52.23930	

Compound **2aa**:



Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min

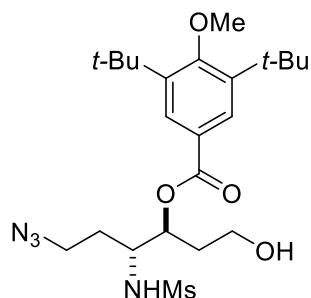


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	11.417	MM R	0.4557	329.98611	12.07008	50.7792
2	13.142	BB	0.5084	319.85941	9.81102	49.2208
Totals :					649.84552	21.88110

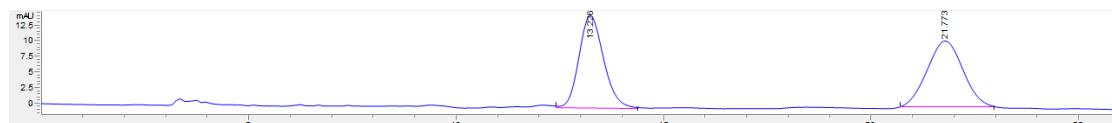


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	11.685	MM R	0.4429	2022.49609	76.11190	6.5349
2	14.173	BB	0.5645	2.89266e4	794.95868	93.4651
Totals :					3.09491e4	871.07058

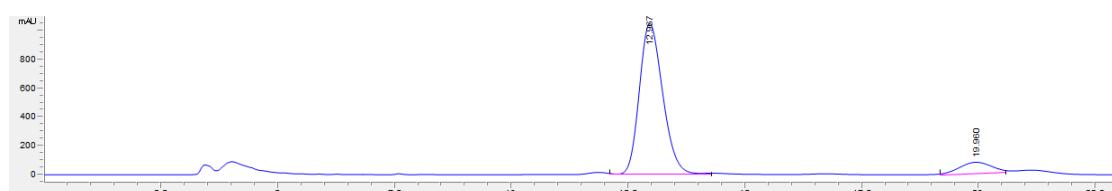
Compound 3aa:



Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min

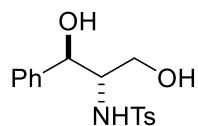


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	13.226	MM R	0.6944	616.36871	14.79436	49.2499
2	21.773	MM R	1.0031	635.14282	10.55284	50.7501
Totals :				1251.51154	25.34720	

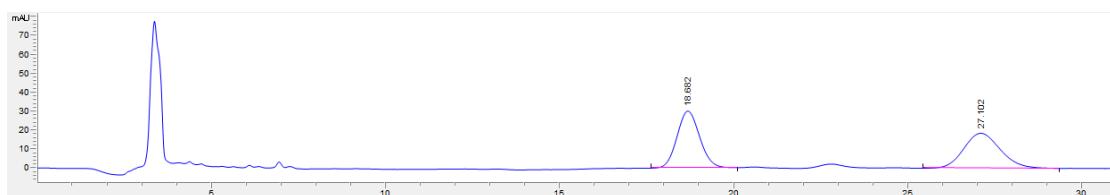


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	12.967	FM R	0.5815	3.63893e4	1042.95093	90.4342
2	19.960	MM R	0.8121	3849.10889	78.99490	9.5658
Totals :				4.02384e4	1121.94582	

Compound 4a:

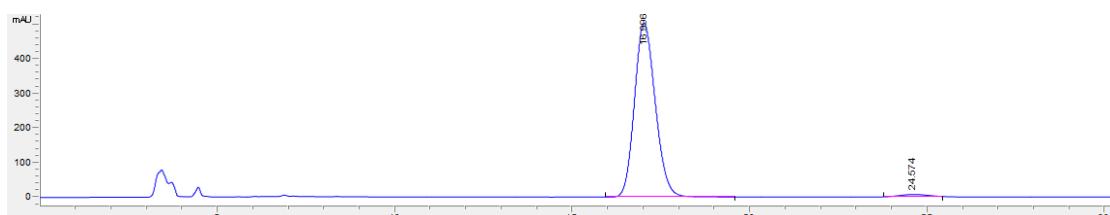


Chiralpak IC, *i*PrOH/Hexane = 30/70, 1.0 mL/min



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	18.682	BB	0.7007	1357.27039	30.06482	49.9453
2	27.102	BB	1.1065	1360.24561	18.57072	50.0547

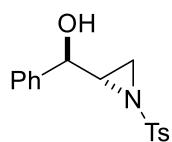
Totals : 2717.51599 48.63554



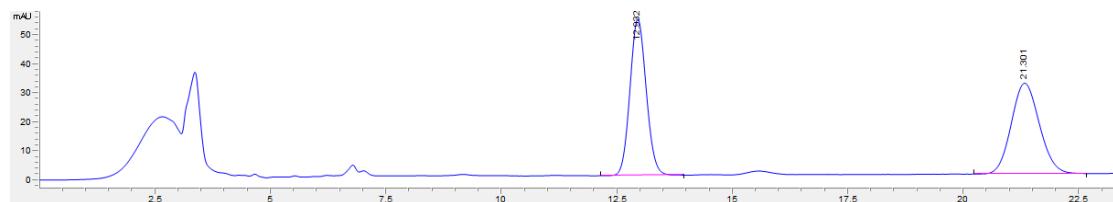
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	16.996	BB	0.6357	2.04766e4	502.24222	97.8819
2	24.574	FM R	1.0017	443.09485	7.37217	2.1181

Totals : 2.09197e4 509.61439

Compound 6a:

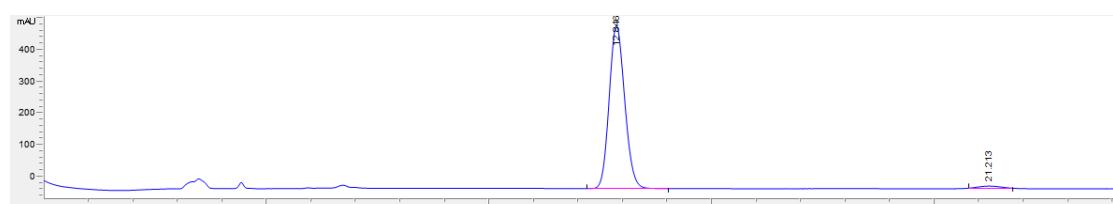


Chiraldex IC, *i*PrOH/Hexane = 30/70, 1.0 mL/min



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	12.932	BB	0.3798	1316.29285	53.73311	49.9932
2	21.301	BB	0.6600	1316.65161	31.09443	50.0068

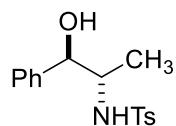
Totals : 2632.94446 84.82754



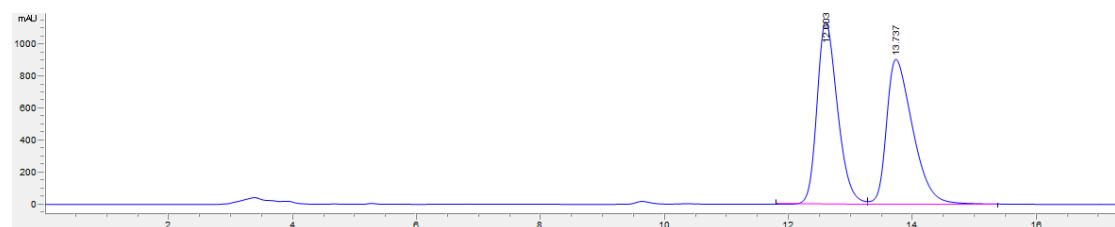
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	12.846	MF R	0.4035	1.24998e4	516.34064	97.4548
2	21.213	FM R	0.6496	326.45926	8.37549	2.5452

Totals : 1.28263e4 524.71613

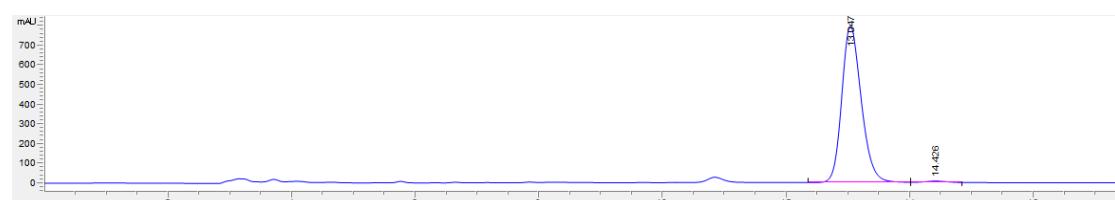
Compound 7a:



Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min

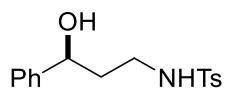


Totals :	5.27282e4	2038.77826
----------	-----------	------------



Totals :	1.78703e4	812.05977
----------	-----------	-----------

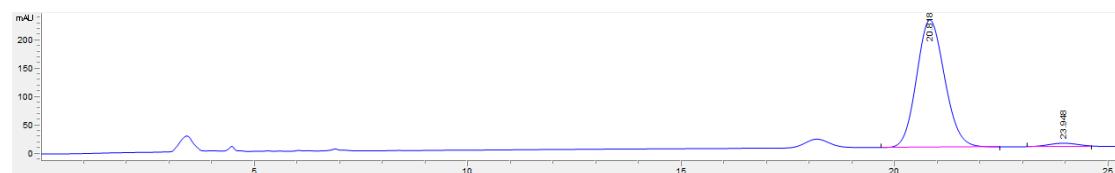
Compound 9a:



Chiralpak IC, *i*PrOH/Hexane = 30/70, 1.0 mL/min

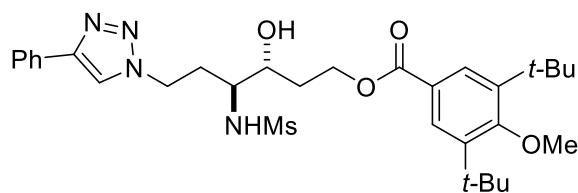


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	20.593	MM R	0.7366	2.35347e4	532.49023	52.9478
2	23.511	BB	0.7860	2.09142e4	414.95358	47.0522
Totals :				4.44489e4	947.44382	



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	20.818	BB	0.7115	1.02530e4	224.19379	97.1069
2	23.948	MF R	0.8349	305.46469	6.09790	2.8931
Totals :				1.05584e4	230.29168	

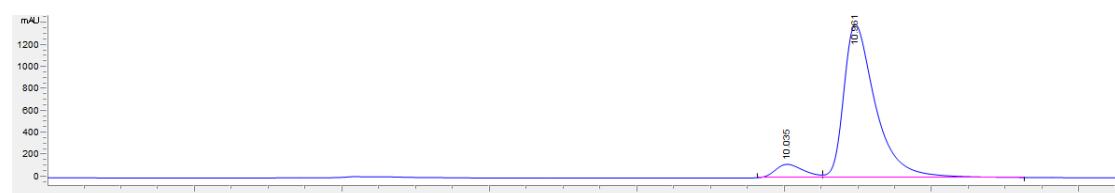
Compound **4aa**:



Chiralpak IA, *i*PrOH/Hexane =20/80, 1.0 mL/min

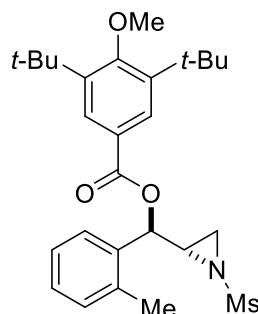


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	10.145	MF R	0.4841	3.79498e4	1306.50977	49.9486
2	11.300	FM R	0.5172	3.80279e4	1225.46545	50.0514
Totals :					7.59776e4	2531.97522

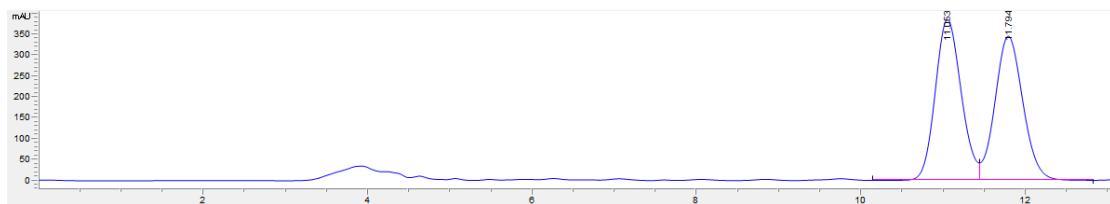


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	10.035	FM R	0.4573	3431.63086	125.07574	7.4606
2	10.961	MF R	0.5037	4.25650e4	1408.39661	92.5394
Totals :					4.59966e4	1533.47234

Compound 6o:

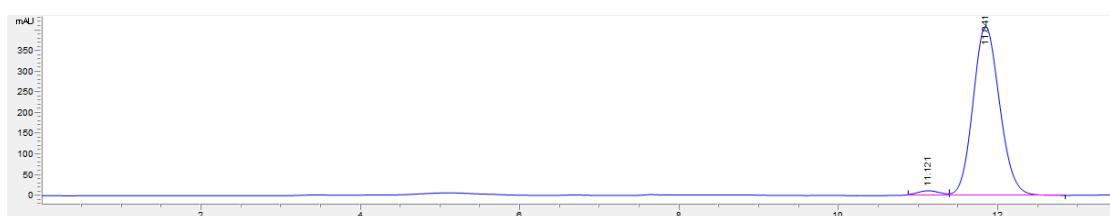


Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	11.053	BV	0.3415	8435.36230	385.21185	50.9041
2	11.794	VB	0.3652	8135.73242	344.96109	49.0959

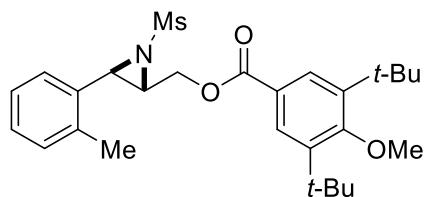
Totals : 1.65711e4 730.17294



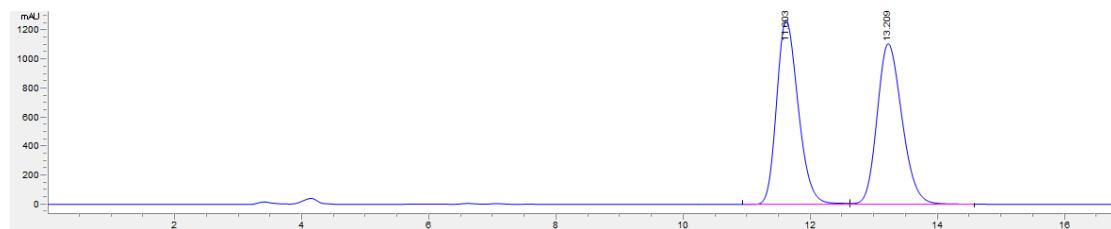
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	11.121	FM R	0.3401	235.81339	11.55488	2.4135
2	11.841	FM R	0.3857	9534.89355	412.03357	97.5865

Totals : 9770.70694 423.58845

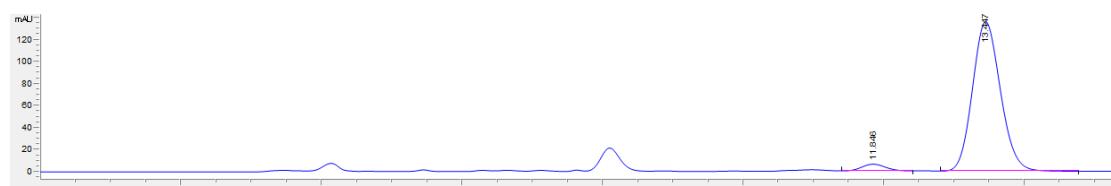
Compound 1o':



Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min

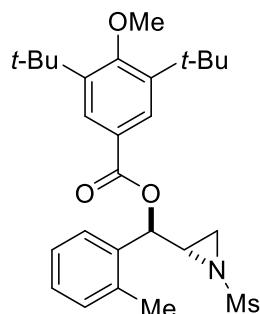


Peak	RetTime	Type	Width	Area	Heighth	Area%
#	[min]		[min]	[mAU*s]	[mAU]	%
1	11.603	BV	0.3658	2.99568e4	1267.11377	49.8705
2	13.209	MF R	0.4544	3.01124e4	1104.56799	50.1295
Totals :				6.00693e4	2371.68176	

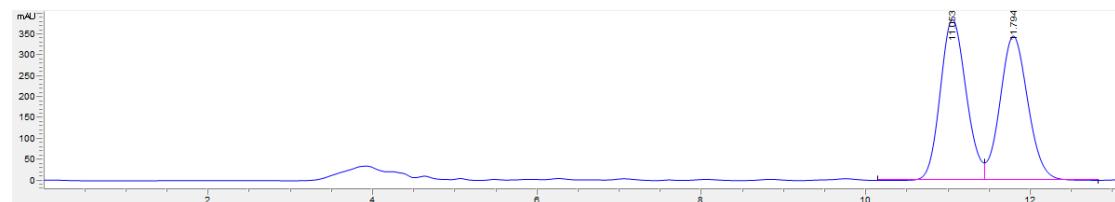


Peak	RetTime	Type	Width	Area	Heighth	Area%
#	[min]		[min]	[mAU*s]	[mAU]	%
1	11.846	VB	0.3702	148.08913	6.21129	3.8155
2	13.447	BB	0.4276	3733.18359	135.44951	96.1845
Totals :				3881.27272	141.66079	

Compound **6o'**:

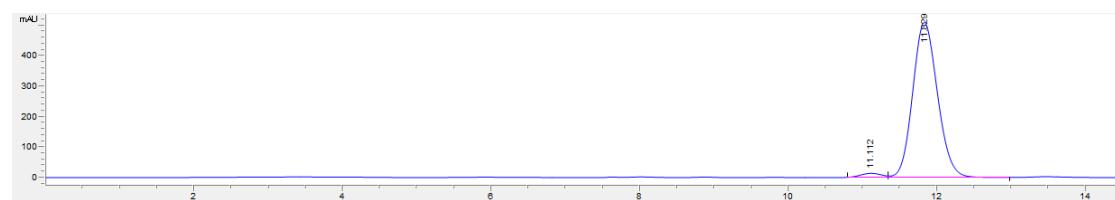


Chiralpak IC, *i*PrOH/Hexane = 20/80, 1.0 mL/min



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	11.053	BV	0.3415	8435.36230	385.21185	50.9041
2	11.794	VB	0.3652	8135.73242	344.96109	49.0959

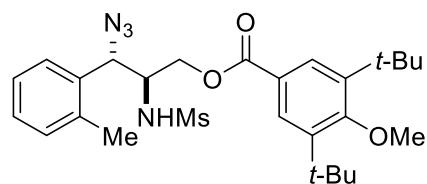
Totals : 1.65711e4 730.17294



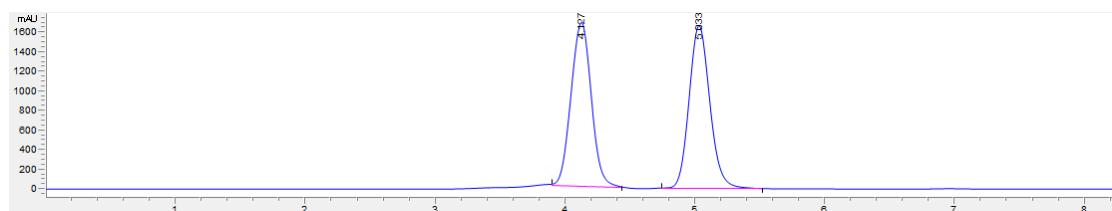
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	11.112	FM R	0.3367	280.32953	13.87452	2.3168
2	11.829	FM R	0.3861	1.18196e4	510.20746	97.6832

Totals : 1.20999e4 524.08198

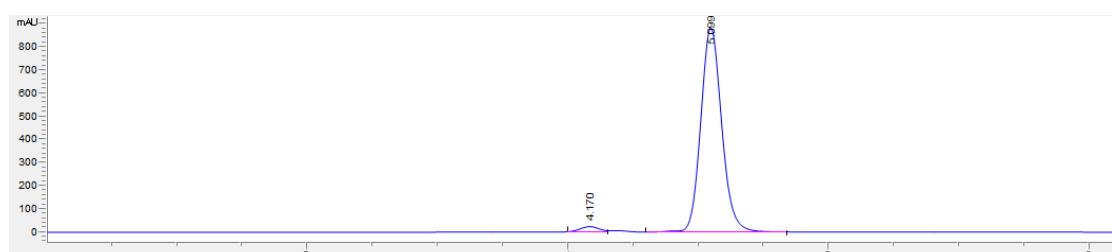
Compound 7o:



Chiralpak IA, *i*PrOH/Hexane = 20/80, 1.0 mL/min



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	4.127	MM R	0.1834	1.85839e4	1688.84778	49.5952
2	5.033	FM R	0.1876	1.88873e4	1677.95850	50.4048
Totals :						3.74712e4 3366.80627



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Heighth [mAU]	Area %
1	4.170	MF R	0.1754	249.27396	23.68753	2.4588
2	5.099	MF R	0.1860	9888.79980	886.18738	97.5412
Totals :						1.01381e4 909.87491