

Supporting Information

A mild protocol for efficient preparation of functional molecules containing triazole

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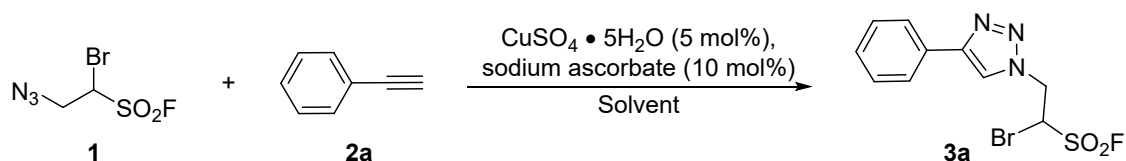
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1. General considerations

All reactions were carried out under an air atmosphere. Unless otherwise specified, NMR spectra were recorded in CDCl₃ or DMSO-d₆ on a 500 MHz (for ¹H), 471 MHz (for ¹⁹F), or 126 MHz (for ¹³C) spectrometer. The chemical shifts converted to the TMS scale (CDCl₃: δ H = 7.26 ppm, δ C = 77.16 ppm; DMSO-d₆: δ H = 2.50 ppm, δ C = 39.52 ppm). Data for ¹⁹F NMR was reported in terms of chemical shift (ppm) relative to added internal standard (CFCl₃ at 0 ppm). All coupling constants (*J* values) were reported in Hertz (Hz). The HPLC experiments were carried out on a Waters e2695 instrument (column: J&K, RP-C18, 5 μm, 4.6 × 150 mm), and the yields of the products were determined by using the corresponding pure compounds as the external standards. The following abbreviations are used to explain the multiplicities: s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, br = broad. Melting points are reported uncorrected. MS experiments were performed on a TOF-Q ESI or CI/EI instrument. Reagents used in the reactions were all purchased from commercial sources and used without further purification.

2. Optimization of the reaction conditions

Table 1 Screening of solvents for the synthesis of BTESF^a

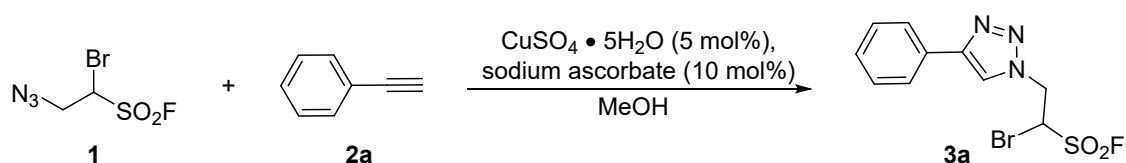


Entry	Solvent	Yield 3a ^b (%)
1	CH ₃ CN	n.d.
2	Toluene	55
3	THF	n.d.
4	1,4-Dioxane	21
5	DMSO	n.d.
6	DMF	n.d.
7	NMP	n.d.

8	MeOH	63
9	H ₂ O/ <i>t</i> -BuOH = 2/1	39

^a Reaction condition: CuSO₄·5H₂O (5 mol%, 12.5 mg), sodium ascorbate (10 mol% 19.8 mg) were dissolved in corresponding solvent (5 mL), **2a** (1 mmol, 102 mg) and **1** (1 mmol, 232 mg) were added to the solvent and the mixture was stirred at room temperature for 12 hours. ^b Isolated yield.

Table 2 Screening of ratio of 1 and 2 for the synthesis of BTESF^a



Entry	Ratio (1 : 2a)	Yield 3a ^b (%)
1	1 : 1	63
2	1 : 1.2	74
3	1 : 1.5	85
4	1 : 2	99
5	1.2 : 1	83
6	1.5 : 1	95

^a Reaction condition: CuSO₄·5H₂O (5 mol%, 12.5 mg), sodium ascorbate (10 mol% 19.8 mg) were dissolved MeOH (5 mL), **1** and **2a** were added to MeOH and the mixture was stirred at room temperature for 12 hours. ^b Isolated yield.

3. General procedure for synthesis 2 and 3

3.1 General procedure for the synthesis of 2

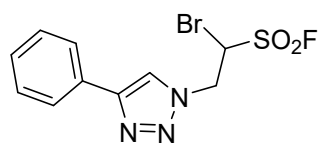
2r-2w, **2z** were prepared according to the literature.^[1] All homemade starting materials are identical to those reported regarding the ¹H and ¹³C NMR and melting points (if applicable).

3.2 General procedure for synthesis of 3

An oven-dried round-bottle flask (20 mL) was charged with CuSO₄·5H₂O (5 mol%, 12.5 mg), sodium ascorbate (10 mol%, 19.8 mg), alkyne **2** (2 mmol), 2-azido-1-

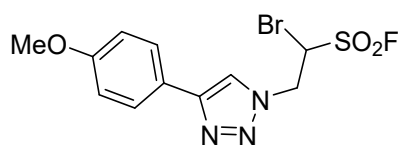
bromoethane-1-sulfonyl fluoride **1** (1 mmol, 232 mg) and 5 mL MeOH. The mixture was stirred at room temperature for 12-24 h with monitoring by TLC. After the reaction was completed, the solution was concentrated to dryness and the residue was purified through silica gel chromatography using 50% EA / PE to afford desired product **3**.

4. NMR spectra of **3** and **4**



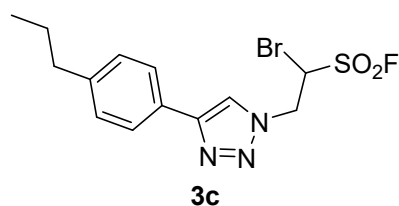
3a

1-Bromo-2-(4-phenyl-1H-1,2,3-triazol-1-yl)ethane-1-sulfonyl fluoride (**3a**). White solid, 331 mg, 99%. M.p. 162-163 °C. ¹H NMR (500 MHz, DMSO) δ 8.66 (s, 1H), 7.85 (d, *J* = 7.4 Hz, 2H), 7.47 (t, *J* = 7.7 Hz, 2H), 7.37 (t, *J* = 7.4 Hz, 1H), 7.00-6.97 (m, 1H), 5.47 (dd, *J* = 15.1, 5.2 Hz, 1H), 5.30 (dd, *J* = 15.1, 7.6 Hz, 1H). ¹³C NMR (126 MHz, DMSO) δ 146.5 (s), 130.2 (s), 129.1 (s), 128.2 (s), 125.3 (s), 122.6 (s), 55.4 (d, *J* = 18.9 Hz), 50.3 (s). ¹⁹F NMR (471 MHz, DMSO) δ 47.1 (s, 1F). ESI-MS HRMS calculated for C₁₀H₁₀BrFN₃O₂S [M+H]⁺ 333.9656, found 333.9655.

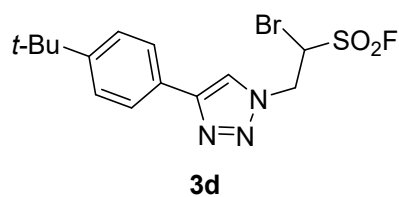


3b

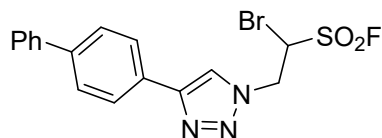
1-Bromo-2-(4-(4-methoxyphenyl)-1H-1,2,3-triazol-1-yl)ethane-1-sulfonyl fluoride (**3b**). 315 mg, 87%. M.p. 131-132 °C. ¹H NMR (500 MHz, CDCl₃) δ 7.83 (s, 1H), 7.76 (d, *J* = 8.9 Hz, 2H), 6.97 (d, *J* = 8.9 Hz, 2H), 5.70-5.67 (m, 1H), 5.34 (dd, *J* = 14.8, 5.1 Hz, 1H), 4.96 (dd, *J* = 14.7, 8.4 Hz, 1H), 3.84 (s, 3H). ¹³C NMR (126 MHz, DMSO) δ 159.2 (s), 146.4 (s), 126.6 (s), 122.8 (s), 121.6 (s), 114.4 (s), 55.4 (d, *J* = 18.7 Hz), 55.2 (s), 50.2 (s). ¹⁹F NMR (471 MHz, CDCl₃) δ 46.8 (s, 1F). ESI-MS HRMS calculated for C₁₁H₁₂BrFN₃O₂S [M+H]⁺ 363.9761, found 363.9758.



1-Bromo-2-(4-(4-propylphenyl)-1H-1,2,3-triazol-1-yl)ethane-1-sulfonyl fluoride (**3c**). White solid, 338 mg, 90%. M.p. 128-130 °C. ¹H NMR (500 MHz, DMSO) δ 8.60 (s, 1H), 7.76 (d, *J* = 8.1 Hz, 2H), 7.28 (d, *J* = 8.1 Hz, 2H), 6.99-6.97 (m, 1H), 5.46 (dd, *J* = 15.1, 5.2 Hz, 1H), 5.29 (dd, *J* = 15.1, 7.6 Hz, 1H), 2.58 (t, *J* = 7.5 Hz, 2H), 1.65-1.57 (m, 2H), 0.90 (t, *J* = 7.3 Hz, 3H). ¹³C NMR (126 MHz, DMSO) δ 146.5 (s), 142.3 (s), 129.0 (s), 127.7 (s), 125.2 (s), 122.2 (s), 55.4 (d, *J* = 18.8 Hz), 50.3 (s), 37.0 (s), 23.9 (s), 13.6 (s). ¹⁹F NMR (471 MHz, CDCl₃) δ 47.1 (s, 1F). ESI-MS HRMS calculated for C₁₃H₁₆BrFN₃O₂S [M+H]⁺ 376.0125, found 376.0123.

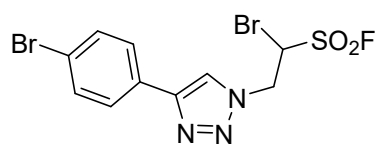


1-Bromo-2-(4-(4-(tert-butyl)phenyl)-1H-1,2,3-triazol-1-yl)ethane-1-sulfonyl fluoride (**3d**). White solid, 318 mg, 82%. M.p. 168-170 °C. ¹H NMR (500 MHz, DMSO) δ 8.62 (s, 1H), 7.78 (d, *J* = 7.1 Hz, 2H), 7.49 (d, *J* = 8.3 Hz, 2H), 6.99-6.97 (m, 1H), 5.47 (dd, *J* = 15.1, 5.1 Hz, 1H), 5.30 (dd, *J* = 15.1, 7.6 Hz, 1H), 1.31 (s, 9H). ¹³C NMR (126 MHz, DMSO) δ 150.7 (s), 146.5 (s), 127.4 (s), 125.7 (s), 125.1 (s), 122.2 (s), 55.4 (d, *J* = 18.8 Hz), 50.3 (s), 34.4 (s), 31.0 (s). ¹⁹F NMR (471 MHz, DMSO) δ 47.1 (s, 1F). ESI-MS HRMS calculated for C₁₄H₁₈BrFN₃O₂S [M+H]⁺ 390.0282, found 390.0280.



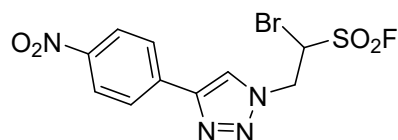
3e

2-(4-([1,1'-Biphenyl]-4-yl)-1H-1,2,3-triazol-1-yl)-1-bromoethane-1-sulfonyl fluoride (**3e**). White solid, 224 mg, 55%. M.p. 195-197 °C. ¹H NMR (500 MHz, DMSO) δ 8.72 (s, 1H), 7.95 (d, *J* = 8.4 Hz, 2H), 7.79 (d, *J* = 8.4 Hz, 2H), 7.72 (d, *J* = 7.3 Hz, 2H), 7.48 (t, *J* = 7.7 Hz, 2H), 7.38 (t, *J* = 7.4 Hz, 1H), 7.01-6.99 (m, 1H), 5.49 (dd, *J* = 15.1, 5.2 Hz, 1H), 5.32 (dd, *J* = 15.1, 7.5 Hz, 1H). ¹³C NMR (126 MHz, DMSO) δ 146.1 (s), 139.8 (s), 139.5 (s), 129.3 (s), 129.0 (s), 127.6 (s), 127.3 (s), 126.6 (s), 125.8 (s), 122.7 (s), 55.4 (d, *J* = 18.9 Hz), 50.3 (s). ¹⁹F NMR (471 MHz, DMSO) δ 47.1 (s, 1F). ESI-MS HRMS calculated for C₁₆H₁₄BrFN₃O₂S [M+H]⁺ 409.9969, found 409.9968.



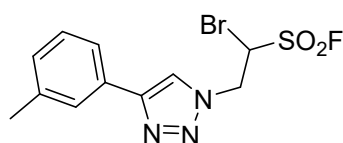
3f

1-Bromo-2-(4-(4-bromophenyl)-1H-1,2,3-triazol-1-yl)ethane-1-sulfonyl fluoride (**3f**). White solid, 380 mg, 93%. M.p. 132-134 °C. ¹H NMR (500 MHz, DMSO) δ 8.71 (s, 1H), 7.82 (d, *J* = 8.6 Hz, 2H), 7.67 (d, *J* = 8.5 Hz, 2H), 6.98-6.96 (m, 1H), 5.46 (dd, *J* = 15.2, 5.2 Hz, 1H), 5.31 (dd, *J* = 15.2, 7.5 Hz, 1H). ¹³C NMR (126 MHz, DMSO) δ 145.4 (s), 132.0 (s), 129.4 (s), 127.3 (s), 123.0 (s), 121.2 (s), 55.3 (d, *J* = 18.9 Hz), 50.4 (s). ¹⁹F NMR (471 MHz, DMSO) δ 47.1 (s, 1F). ESI-MS HRMS calculated for C₁₀H₉Br₂FN₃O₂S [M+H]⁺ 411.8761, found 411.8760.



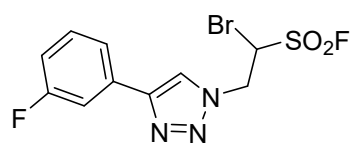
3g

1-Bromo-2-(4-(4-nitrophenyl)-1H-1,2,3-triazol-1-yl)ethane-1-sulfonyl fluoride (**3g**). White solid, 306 mg, 81%. M.p. 156-157 °C. ¹H NMR (500 MHz, DMSO) δ 8.93 (s, 1H), 8.34 (d, *J* = 8.9 Hz, 2H), 8.14 (t, *J* = 5.7 Hz, 2H), 7.01-6.98 (m, 1H), 5.51 (dd, *J* = 15.2, 5.2 Hz, 1H), 5.37 (dd, *J* = 15.2, 7.4 Hz, 1H). ¹³C NMR (126 MHz, DMSO) δ 146.9 (s), 144.5 (s), 136.5 (s), 126.1 (s), 124.8 (s), 124.5 (s), 55.2 (d, *J* = 19.1 Hz), 50.4 (s). ¹⁹F NMR (471 MHz, DMSO) δ 47.2 (s, 1F). ESI-MS HRMS calculated for C₁₀H₉BrFN₄O₄S [M+H]⁺ 378.9506, found 378.9504.



3h

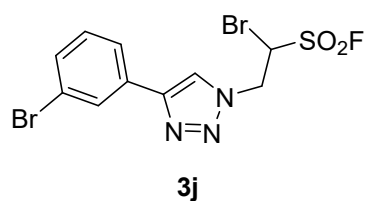
1-Bromo-2-(4-(m-tolyl)-1H-1,2,3-triazol-1-yl)ethane-1-sulfonyl fluoride (**3h**). White solid, 318 mg, 91%. M.p. 127-129 °C. ¹H NMR (500 MHz, DMSO) δ 8.63 (s, 1H), 7.68 (s, 1H), 7.63 (d, *J* = 7.7 Hz, 1H), 7.35 (t, *J* = 7.6 Hz, 1H), 7.18 (d, *J* = 7.5 Hz, 1H), 6.99-6.96 (m, 1H), 5.46 (dd, *J* = 15.1, 5.2 Hz, 1H), 5.30 (dd, *J* = 15.1, 7.5 Hz, 1H), 2.37 (s, 3H). ¹³C NMR (126 MHz, DMSO) δ 146.5 (s), 138.2 (s), 130.1 (s), 128.9 (s), 128.8 (s), 125.8 (s), 122.6 (s), 122.4 (s), 55.4 (d, *J* = 18.9 Hz), 50.3 (s), 21.0 (s). ¹⁹F NMR (471 MHz, DMSO) δ 47.1 (s, 1F). ESI-MS HRMS calculated for C₁₁H₁₂BrFN₃O₂S [M+H]⁺ 347.9812, found 347.9811.



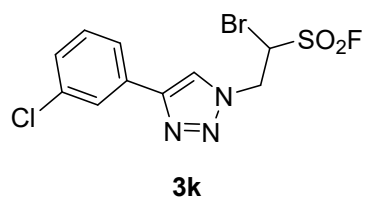
3i

1-Bromo-2-(4-(3-fluorophenyl)-1H-1,2,3-triazol-1-yl)ethane-1-sulfonyl fluoride (**3i**).

White solid, 323 mg, 92%. M.p. 116-117 °C. ¹H NMR (500 MHz, DMSO) δ 8.74 (s, 1H), 7.71-7.65 (m, 2H), 7.54-7.50 (m, 1H), 7.20 (td, *J* = 8.6, 2.4 Hz, 1H), 6.98-6.95 (m, 1H), 5.46 (dd, *J* = 15.2, 5.2 Hz, 1H), 5.31 (dd, *J* = 15.2, 7.4 Hz, 1H). ¹³C NMR (126 MHz, DMSO) δ 162.7 (d, *J* = 242.2 Hz) 145.4 (d, *J* = 2.7 Hz), 132.6 (d, *J* = 8.6 Hz), 131.3(d, *J* = 8.6 Hz), 123.5 (s), 121.4 (d, *J* = 2.7 Hz), 115.0 (d, *J* = 21.1 Hz), 111.9 (d, *J* = 22.9 Hz), 55.4 (d, *J* = 18.8 Hz), 50.4 (s). ¹⁹F NMR (471 MHz, DMSO) δ 47.2 (s, 1F), -112.0- -112.1 (m, 1F). ESI-MS HRMS calculated for C₁₀H₉BrF₂N₃O₂S [M+H]⁺ 351.9561, found 351.9560.

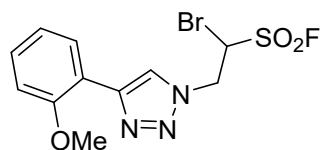


1-Bromo-2-(4-(3-bromophenyl)-1H-1,2,3-triazol-1-yl)ethane-1-sulfonyl fluoride (**3j**). White solid, 384 mg, 94%. M.p. 101-102 °C. ¹H NMR (500 MHz, DMSO) δ 8.77 (s, 1H), 8.06 (t, *J* = 1.6 Hz, 1H), 7.87 (d, *J* = 7.8 Hz, 1H), 7.58-7.55 (m, 1H), 7.44 (t, *J* = 7.9 Hz, 1H), 6.99-6.96 (m, 1H), 5.47 (dd, *J* = 15.2, 5.2 Hz, 1H), 5.31 (dd, *J* = 15.2, 7.4 Hz, 1H). ¹³C NMR (126 MHz, DMSO) δ 145.0 (s), 132.5 (s), 131.3 (s), 130.9 (s), 127.7 (s), 124.2 (s), 123.5 (s), 122.3 (s), 55.3 (d, *J* = 18.9 Hz), 50.4 (s). ¹⁹F NMR (471 MHz, DMSO) δ 47.2 (s, 1F). ESI-MS HRMS calculated for C₁₀H₉Br₂FN₃O₂S [M+H]⁺ 411.8761, found 411.8760.



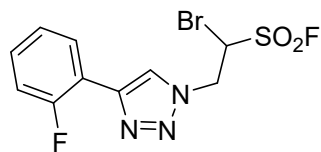
1-Bromo-2-(4-(3-chlorophenyl)-1H-1,2,3-triazol-1-yl)ethane-1-sulfonyl fluoride (**3k**). White solid, 314 mg, 85%. M.p. 117-119 °C. ¹H NMR (500 MHz, DMSO) δ 8.77 (s, 1H), 7.91 (t, *J* = 1.7 Hz, 1H), 7.83 (d, *J* = 7.7 Hz, 1H), 7.51 (t, *J* = 7.9 Hz, 1H), 7.44-

7.42 (m, 1H), 6.98-6.95 (m, 1H), 5.47 (dd, $J = 15.2, 5.2$ Hz, 1H), 5.32 (dd, $J = 15.2, 7.4$ Hz, 1H). ^{13}C NMR (126 MHz, DMSO) δ 145.1 (s), 133.8 (s), 132.3 (s), 131.0 (s), 128.0 (s), 124.8 (s), 123.8 (s), 123.5 (s), 55.3 (d, $J = 19.0$ Hz), 50.4 (s). ^{19}F NMR (471 MHz, DMSO) δ 47.2 (s, 1F). ESI-MS HRMS calculated for $\text{C}_{10}\text{H}_9\text{BrClFN}_3\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$ 367.9266, found 367.9265.



3l

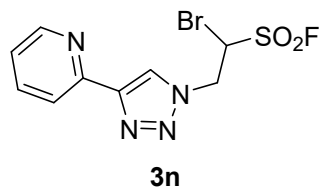
1-Bromo-2-(4-(2-methoxyphenyl)-1H-1,2,3-triazol-1-yl)ethane-1-sulfonyl fluoride (**3l**). White solid, 322 mg, 88%. M.p. 152-154 °C. ^1H NMR (500 MHz, DMSO) δ 8.57 (s, 1H), 8.16 (dd, $J = 7.7, 1.7$ Hz, 1H), 7.36 (td, $J = 7.8, 1.8$ Hz, 1H), 7.15 (d, $J = 7.8$ Hz, 1H), 7.07 (td, $J = 7.6, 1.0$ Hz, 1H), 6.98-6.95 (m, 1H), 5.47 (dd, $J = 15.0, 5.3$ Hz, 1H), 5.31 (dd, $J = 15.0, 7.8$ Hz, 1H), 3.92 (s, 3H). ^{13}C NMR (126 MHz, DMSO) δ 155.5 (s), 142.2 (s), 129.4 (s), 126.7 (s), 125.2 (s), 120.9 (s), 118.7 (s), 111.8 (s), 55.7 (s), 55.6 (d, $J = 18.9$ Hz), 50.1 (s). ^{19}F NMR (471 MHz, DMSO) δ 47.1 (s, 1F). ESI-MS HRMS calculated for $\text{C}_{11}\text{H}_{12}\text{BrFN}_3\text{O}_3\text{S}$ $[\text{M}+\text{H}]^+$ 363.9761, found 363.9769.



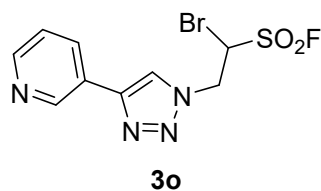
3m

1-Bromo-2-(4-(2-fluorophenyl)-1H-1,2,3-triazol-1-yl)ethane-1-sulfonyl fluoride (**3m**). White solid, 350 mg, 99%. M.p. 132-133 °C. ^1H NMR (500 MHz, DMSO) δ 8.61 (d, $J = 3.7$ Hz, 1H), 8.17 (td, $J = 7.6, 1.6$ Hz, 1H), 7.46-7.41 (m, 1H), 7.38-7.33 (m, 2H), 7.03-7.00 (m, 1H), 5.53 (dd, $J = 15.0, 5.3$ Hz, 1H), 5.37 (dd, $J = 15.0, 7.7$ Hz, 1H). ^{13}C NMR (126 MHz, DMSO) δ 158.5 (d, $J = 247.2$ Hz), 139.8 (d, $J = 2.4$ Hz), 130.0 (d, $J = 8.4$ Hz), 127.3 (d, $J = 3.4$ Hz), 125.1 (s), 125.0 (d, $J = 9.6$ Hz),

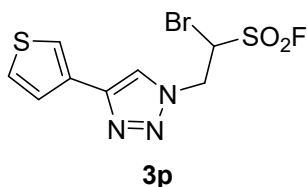
117.9 (d, $J = 13.0$ Hz), 116.1 (d, $J = 21.3$ Hz), 55.3 (d, $J = 18.9$ Hz), 50.1 (s). ^{19}F NMR (471 MHz, DMSO) δ 47.1 (s, 1F), -114.2- -114.3 (m, 1F). ESI-MS HRMS calculated for $\text{C}_{10}\text{H}_9\text{BrF}_2\text{N}_3\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$ 351.9561, found 351.9560.



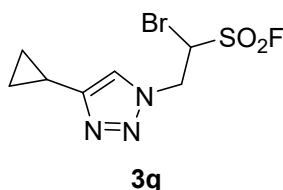
1-Bromo-2-(4-(pyridin-2-yl)-1H-1,2,3-triazol-1-yl)ethane-1-sulfonyl fluoride (**3n**). White solid, 194 mg, 58%. M.p. 123-124 °C. ^1H NMR (500 MHz, DMSO) δ 8.72 (s, 1H), 8.62 (d, $J = 4.8$ Hz, 1H), 8.06 (d, $J = 7.9$ Hz, 1H), 7.91 (td, $J = 7.8, 1.7$ Hz, 1H), 7.38-7.35 (m, 1H), 7.02-6.99 (m, 1H), 5.52 (dd, $J = 15.0, 5.3$ Hz, 1H), 5.37 (dd, $J = 15.0, 7.6$ Hz, 1H). ^{13}C NMR (126 MHz, DMSO) δ 149.3 (s), 149.0 (s), 146.9 (s), 137.9 (s), 124.7 (s), 123.4 (s), 119.8 (s), 55.3 (d, $J = 19.0$ Hz), 50.2 (s). ^{19}F NMR (471 MHz, DMSO) δ 47.1 (s, 1F). ESI-MS HRMS calculated for $\text{C}_9\text{H}_9\text{BrFN}_4\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$ 334.9608, found 334.9605.



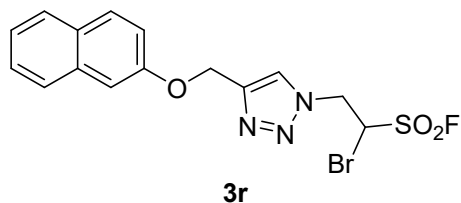
1-Bromo-2-(4-(pyridin-3-yl)-1H-1,2,3-triazol-1-yl)ethane-1-sulfonyl fluoride (**3o**). White solid, 250 mg, 75%. M.p. 133-134 °C. ^1H NMR (500 MHz, DMSO) δ 9.08 (d, $J = 1.6$ Hz, 1H), 8.82 (s, 1H), 8.58 (dd, $J = 4.8, 1.5$ Hz, 1H), 8.26 (dt, $J = 4.8, 1.5$ Hz, 1H), 7.53 (dd, $J = 8.3, 5.1$ Hz, 1H), 7.01-6.98 (m, 1H), 5.50 (dd, $J = 15.2, 5.2$ Hz, 1H), 5.36 (dd, $J = 15.2, 7.4$ Hz, 1H). ^{13}C NMR (126 MHz, DMSO) δ 145.8 (s), 143.1 (s), 142.5 (s), 136.4 (d, $J = 3.4$ Hz), 127.7 (s), 125.7 (s), 124.3 (s), 55.3 (d, $J = 18.9$ Hz), 50.5 (s). ^{19}F NMR (471 MHz, DMSO) δ 47.2 (s, 1F). ESI-MS HRMS calculated for $\text{C}_9\text{H}_9\text{BrFN}_4\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$ 334.9608, found 334.9605.



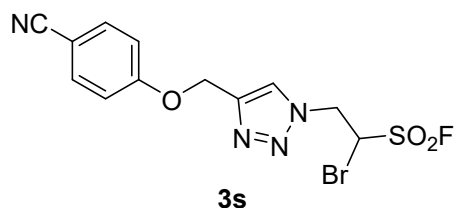
1-Bromo-2-(4-(thiophen-3-yl)-1H-1,2,3-triazol-1-yl)ethane-1-sulfonyl fluoride (**3p**). White solid, 312 mg, 92%. M.p. 133-134 °C. ¹H NMR (500 MHz, DMSO) δ 8.51 (s, 1H), 7.89 (dd, *J* = 2.9, 1.2 Hz, 1H), 7.66 (dd, *J* = 5.0, 2.9 Hz, 1H), 7.52 (dd, *J* = 5.0, 1.2 Hz, 1H), 6.96-6.93 (m, 1H), 5.44 (dd, *J* = 15.2, 5.2 Hz, 1H), 5.29 (dd, *J* = 15.2, 7.4 Hz, 1H). ¹³C NMR (126 MHz, DMSO) δ 143.1 (s), 131.5 (s), 127.5 (s), 125.8 (s), 122.4 (s), 121.5 (s), 55.5 (d, *J* = 18.8 Hz), 50.3 (s). ¹⁹F NMR (471 MHz, DMSO) δ 46.7 (s, 1F). ESI-MS HRMS calculated for C₈H₈BrFN₃O₂S₂ [M+H]⁺ 339.9220, found 339.9219.



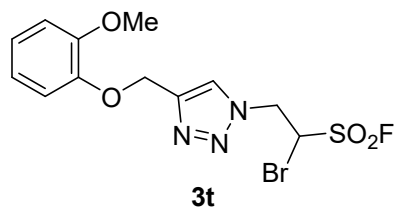
1-Bromo-2-(4-cyclopropyl-1H-1,2,3-triazol-1-yl)ethane-1-sulfonyl fluoride (**3q**). White solid, 213 mg, 72%. M.p. 100-101 °C. ¹H NMR (500 MHz, DMSO) δ 7.92 (s, 1H), 6.88-6.84 (t, *J* = 6.4 Hz, 1H), 5.31 (dd, *J* = 15.1, 5.2 Hz, 1H), 5.14 (dd, *J* = 15.1, 7.7 Hz, 1H), 2.00-1.94 (m, 1H), 0.94-0.90 (m, 2H), 0.73-0.69 (m, 2H). ¹³C NMR (126 MHz, DMSO) δ 149.2 (s), 122.1 (s), 55.5 (d, *J* = 18.6 Hz), 50.0 (s), 7.7 (s), 6.4 (s). ¹⁹F NMR (471 MHz, DMSO) δ 47.0 (s, 1F). ESI-MS HRMS calculated for C₇H₁₀BrFN₃O₂S [M+H]⁺ 297.9656, found 297.7654.



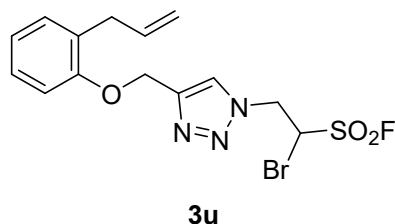
1-Bromo-2-(4-(naphthalen-2-yloxy)methyl)-1H-1,2,3-triazol-1-yl)ethane-1-sulfonyl fluoride (**3r**). White solid, 394 mg, 95%. M.p. 110-111 °C. ¹H NMR (500 MHz, DMSO) δ 8.39 (s, 1H), 7.85-7.81 (m, 3H), 7.50 (d, *J* = 2.5 Hz, 1H), 7.47 (t, *J* = 7.0 Hz, 1H), 7.36 (t, *J* = 7.0 Hz, 1H), 7.20 (dd, *J* = 8.9, 2.5 Hz, 1H), 6.97-6.94 (m, 1H), 5.45 (dd, *J* = 15.1, 5.2 Hz, 1H), 5.32-5.27 (m, 3H). ¹³C NMR (126 MHz, DMSO) δ 155.9 (s), 142.9 (s), 134.2 (s), 129.4 (s), 128.7 (s), 127.5 (s), 126.8 (s), 126.5 (s), 125.9 (s), 123.8 (s), 118.7 (s), 107.4 (s), 61.1 (s), 55.4 (d, *J* = 18.9 Hz), 50.1(s). ¹⁹F NMR (471 MHz, DMSO) δ 47.0 (s, 1F). ESI-MS HRMS calculated for C₁₄H₁₄BrFN₃O₃S [M+H]⁺ 413.9918, found 413.9915.



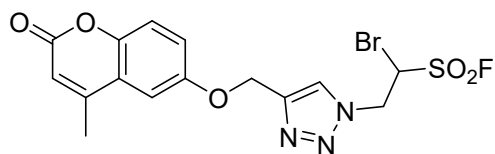
1-Bromo-2-(4-(4-cyanophenoxy)methyl)-1H-1,2,3-triazol-1-yl)ethane-1-sulfonyl fluoride (**3s**). White solid, 186 mg, 48%. M.p. 102-104 °C. ¹H NMR (500 MHz, DMSO) δ 8.36 (s, 1H), 7.78 (d, *J* = 8.9 Hz, 2H), 7.22 (d, *J* = 8.9 Hz, 2H), 6.95-6.92 (m, 1H), 5.44 (dd, *J* = 15.1, 5.2 Hz, 1H), 5.31-5.27 (m, 3H). ¹³C NMR (126 MHz, DMSO) δ 161.5 (s), 142.3 (s), 134.3 (s), 126.3 (s), 119.2 (s), 116.0 (s), 103.4 (s), 61.4 (s), 55.4 (d, *J* = 18.9 Hz), 50.2 (s). ¹⁹F NMR (471 MHz, DMSO) δ 47.1 (s, 1F). ESI-MS HRMS calculated for C₁₂H₁₁BrFN₄O₃S [M+H]⁺ 388.9714, found 388.9714.



1-Bromo-2-(4-((2-methoxyphenoxy)methyl)-1H-1,2,3-triazol-1-yl)ethane-1-sulfonyl fluoride (**3t**). White solid, 385 mg, 98%. M.p. 109-110 °C. ¹H NMR (500 MHz, DMSO) δ 8.30 (s, 1H), 7.11 (dd, *J* = 7.9, 1.6 Hz, 1H), 6.98-6.86 (m, 4H), 5.43 (dd, *J* = 15.1, 5.2 Hz, 1H), 5.28 (dd, *J* = 15.1, 7.7 Hz, 1H), 5.15 (s, 2H), 3.74 (s, 3H). ¹³C NMR (126 MHz, DMSO) δ 149.3 (s), 147.5 (s), 143.2 (s), 125.9 (s), 121.7 (s), 120.7 (s), 114.3 (s), 112.4 (s), 61.8 (s), 55.5 (s), 55.4 (*d*, *J* = 16.3 Hz), 50.1 (s). ¹⁹F NMR (471 MHz, DMSO) δ 47.0 (s, 1F). ESI-MS HRMS calculated for C₁₂H₁₄BrFN₃O₄S [M+H]⁺ 393.9876, found 393.9876.

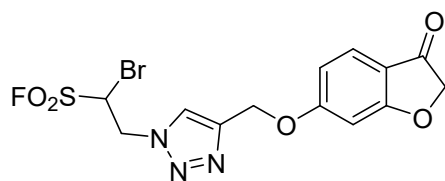


2-(4-((2-Allylphenoxy)methyl)-1H-1,2,3-triazol-1-yl)-1-bromoethane-1-sulfonyl fluoride (**3u**). White solid, 400 mg, 99%. M.p. 60-61 °C. ¹H NMR (500 MHz, CDCl₃) δ 7.78 (s, 1H), 7.19-7.14 (m, 2H), 6.95-6.91 (m, 2H), 6.00-5.92 (m, 1H), 5.70 (dd, *J* = 8.1, 5.2 Hz, 1H), 5.30 (dd, *J* = 14.8, 5.1 Hz, 1H), 5.24 (s, 2H), 5.03-5.02 (m, 1H), 5.00 (s, 1H), 4.95 (dd, *J* = 14.8, 8.2 Hz, 1H), 3.38 (d, *J* = 6.6 Hz, 2H). ¹³C NMR (126 MHz, CDCl₃) δ 155.8 (s), 145.3 (s), 136.9 (s), 130.2 (s), 129.0 (s), 127.5 (s), 124.3 (s), 121.5 (s), 115.6 (s), 112.0 (s), 62.2 (s), 55.1 (*d*, *J* = 22.4 Hz), 51.4 (s), 34.4 (s). ¹⁹F NMR (471 MHz, CDCl₃) δ 46.8 (s, 1F). ESI-MS HRMS calculated for C₁₄H₁₆BrFN₃O₃S [M+H]⁺ 404.0074, found 404.0073.



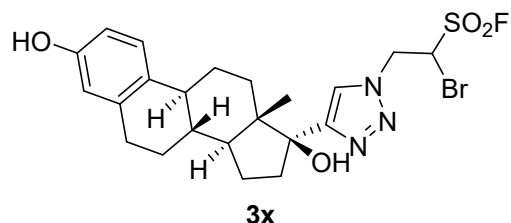
3v

1-Bromo-2-(4-(((4-methyl-2-oxo-2H-chromen-6-yl)oxy)methyl)-1H-1,2,3-triazol-1-yl)ethane-1-sulfonyl fluoride (**3v**). White solid, 443 mg, 99%. M.p. 178-180 °C. ¹H NMR (500 MHz, DMSO) δ 8.35 (s, 1H), 7.36-7.29 (m, 3H), 6.95-6.93 (m, 1H), 6.39 (s, 1H), 5.44 (dd, *J* = 15.1, 5.2 Hz, 1H), 5.32-5.27 (m, 3H), 2.43 (s, 3H). ¹³C NMR (126 MHz, DMSO) δ 156.0 (s), 154.3 (s), 153.1 (s), 147.5 (s), 142.7 (s), 126.0 (s), 120.2 (s), 119.9 (s), 117.6 (s), 114.8 (s), 109.6 (s), 61.6 (s), 55.4 (d, *J* = 18.8 Hz), 50.1 (s), 18.2 (s). ¹⁹F NMR (471 MHz, DMSO) δ 47.1 (s, 1F). ESI-MS HRMS calculated for C₁₅H₁₄BrFN₃O₅S [M+H]⁺ 445.9816, found 445.9816.

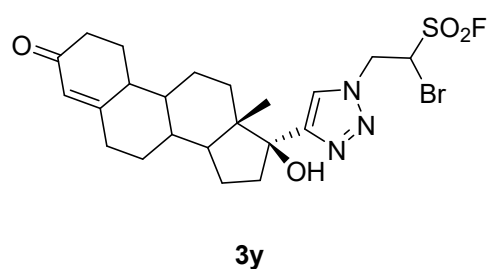


3w

1-Bromo-2-(4-(((3-oxo-2,3-dihydrobenzofuran-6-yl)oxy)methyl)-1H-1,2,3-triazol-1-yl)ethane-1-sulfonyl fluoride (**3w**). White solid, 416 mg, 99%. M.p. 117-118 °C. ¹H NMR (500 MHz, DMSO) δ 8.39 (s, 1H), 7.54 (d, *J* = 8.6 Hz, 1H), 6.99 (d, *J* = 2.1 Hz, 1H), 6.95-6.92 (m, 1H), 6.76 (dd, *J* = 8.6, 2.1 Hz, 1H), 5.45 (dd, *J* = 15.1, 5.2 Hz, 1H), 5.33-5.28 (m, 3H), 4.77 (s, 2H). ¹³C NMR (126 MHz, DMSO) δ 197.3 (s), 175.7 (s), 166.3 (s), 142.1 (s), 126.3 (s), 124.8 (s), 114.4 (s), 112.0 (s), 97.8 (s), 75.6 (s), 61.7 (s), 55.4 (d, *J* = 18.9 Hz), 50.2 (s). ¹⁹F NMR (471 MHz, DMSO) δ 47.1 (s, 1F). ESI-MS HRMS calculated for C₁₃H₁₂BrFN₃O₅S [M+H]⁺ 419.9660, found 419.9660.

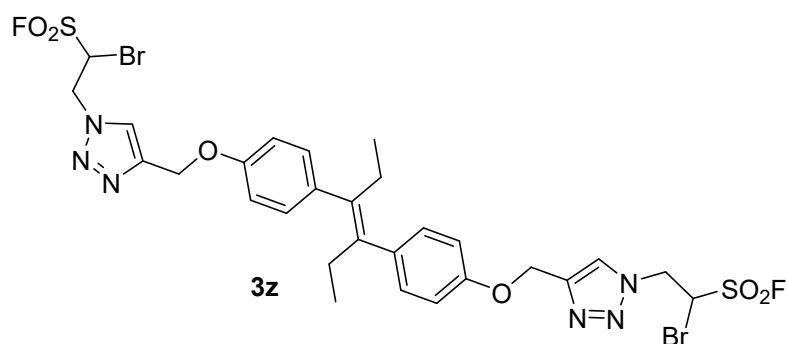


1-Bromo-2-(4-((*8R,9S,13S,14S,17S*)-3,17-dihydroxy-13-methyl-7,8,9,11,12,13,14,15,16,17-decahydro-6H-cyclopenta[*a*]phenanthren-17-yl)-1*H*-1,2,3-triazol-1-yl)ethane-1-sulfonyl fluoride (**3x**). White solid, 478 mg, 91%. M.p. 205-206 °C. ¹H NMR (500 MHz, DMSO) δ 9.01 (brs, 1H), 7.97 (s, 1H), 6.95 (d, *J* = 8.4 Hz, 1H), 6.92-6.89 (m, 1H), 6.46 (dd, *J* = 8.3, 2.1 Hz, 1H), 6.42 (s, 1H), 5.38 (dt, *J* = 14.9, 4.7 Hz, 1H), 5.23 (dd, *J* = 15.0, 7.5 Hz, 1H), 2.72-2.65 (m, 2H), 2.35-2.30 (m, 1H), 2.08-2.06 (m, 1H), 1.99-1.94 (m, 1H), 1.83-1.82 (m, 2H), 1.77-1.72 (m, 1H), 1.65-1.58 (m, 1H), 1.49-1.15 (m, 6H), 0.92 (s, 3H), 0.63-0.59 (m, 1H). ¹³C NMR (126 MHz, DMSO) δ 154.9 (s), 154.5 (d, *J* = 4.4 Hz), 137.3 (s), 130.5 (s), 126.1 (s), 124.0 (d, *J* = 7.8 Hz), 115.0 (s), 112.8 (s), 81.2 (s), 55.7 (d, *J* = 18.4 Hz), 55.6 (d, *J* = 18.4 Hz), 50.0 (d, *J* = 3.4 Hz), 47.7 (s), 46.9 (s), 43.3 (s), 37.3 (s), 32.5 (s), 29.3 (s), 27.3 (s), 26.2 (s), 23.6 (s), 14.4 (s). ¹⁹F NMR (471 MHz, DMSO) δ 47.2 (d, *J* = 22.8 Hz, 1F). ESI-MS HRMS calculated for C₂₂H₂₈BrFN₃O₄S [M+H]⁺ 528.0962, found 528.0962.

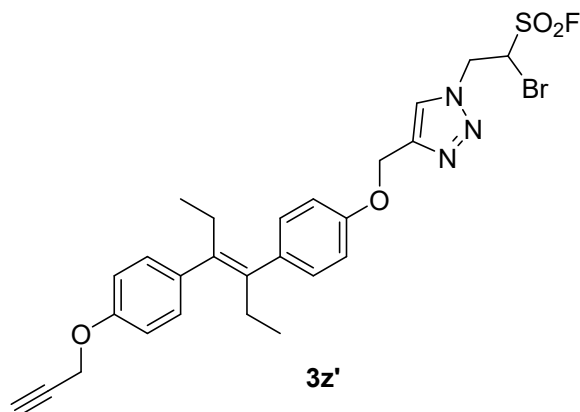


1-Bromo-2-(4-((*13S,17R*)-13-methyl-3-oxo-2,3,6,7,8,9,10,11,12,13,14,15,16,17-tetradecahydro-1*H*-cyclopenta[*a*]phenanthren-17-yl)-1*H*-1,2,3-triazol-1-yl)ethane-1-sulfonyl fluoride (**3y**). White solid, 414 mg, 82%. M.p. 97-98 °C. ¹H NMR (500 MHz, CDCl₃) δ 7.61 (d, *J* = 6.3 Hz, 1H), 5.79-5.78 (m, 1H), 5.70-5.67 (m, 1H), 5.30-5.23 (m, 1H), 5.00-4.93 (m, 1H), 2.47-2.02 (m, 9H), 1.88-1.86 (m, 2H), 1.71-1.69 (m, 1H),

1.52-1.41 (m, 5H), 1.25-1.16 (m, 2H), 1.06 (s, 3H), 0.64-0.60 (m, 1H), 0.48-0.42 (m, 1H). ¹³C NMR (126 MHz, CDCl₃) δ 200.0 (s), 166.8 (s), 154.4 (s), 124.7 (s), 123.2 (s), 82.3 (d, *J* = 11.5 Hz), 55.2 (dd, *J* = 22.3, 15.8 Hz), 51.5 (s), 49.1 (d, *J* = 13.2 Hz), 48.3 (s), 47.3 (d, *J* = 3.5 Hz), 42.6 (s), 41.2 (s), 38.1 (s), 36.6 (s), 35.6 (s), 32.7 (s), 30.9 (s), 26.6 (s), 26.2 (s), 23.7 (d, *J* = 6.4 Hz), 14.3 (s). ¹⁹F NMR (471 MHz, DMSO) δ 46.8 (d, *J* = 7.5 Hz, 1F). ESI-MS HRMS calculated for C₂₂H₃₀BrFN₃O₃S [M+H]⁺ 514.1170, found 514.1170.



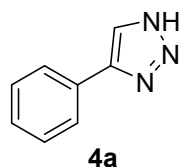
(*E*)-2,2'-((((hex-3-ene-3,4-diylbis(4,1-phenylene))bis(oxy))bis(methylene))bis(1*H*-1,2,3-triazole-4,1-diyl))bis(1-bromoethane-1-sulfonyl fluoride) (**3z**). White solid, 706 mg, 88% (when corresponding alkyne 1 mmol and **1** 2 mmol were used, **3z** was obtained in 88% yield, no **3z'** was obtained). M.p. 206-208 °C. ¹H NMR (500 MHz, DMSO) δ 8.34 (s, 2H), 7.13 (d, *J* = 8.5 Hz, 4H), 7.05 (d, *J* = 8.6 Hz, 4H), 6.96-6.94 (t, *J* = 5.3 Hz, 2H), 5.45 (dd, *J* = 15.1, 5.2 Hz, 2H), 5.30 (dd, *J* = 15.0, 7.6 Hz, 2H), 5.20 (s, 4H), 2.09 (q, *J* = 7.4 Hz, 4H), 0.72 (t, *J* = 7.4 Hz, 6H). ¹³C NMR (126 MHz, DMSO) δ 156.6 (s), 143.2 (s), 138.1 (s), 134.6 (s), 129.6 (s), 125.9 (s), 114.5 (s), 61.0 (s), 55.5 (d, *J* = 18.7 Hz), 50.1 (s), 28.1 (s), 13.3 (s). ¹⁹F NMR (471 MHz, DMSO) δ 47.1 (s, 2F). ESI-MS HRMS calculated for C₂₈H₃₁Br₂F₂N₆O₆S₂ [M+H]⁺ 809.0055, found 809.0055.



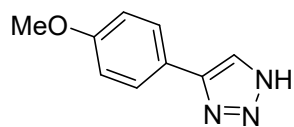
(*E*)-1-bromo-2-(4-((4-(4-(4-(prop-2-yn-1-yloxy)phenyl)hex-3-en-3-yl)phenoxy)methyl)-1*H*-1,2,3-triazol-1-yl)ethane-1-sulfonyl fluoride (**3z'**). White solid, 140 mg, 24% (when corresponding alkyne 1 mmol and **1** 1 mmol were used, corresponding **3z** was obtained in 75% yield (300 mg), and **3z'** was obtained in 24% yield). M.p. 101-102 °C. ¹H NMR (500 MHz, DMSO) δ 8.35 (s, 1H), 7.15-7.13 (m, 4H), 7.05 (d, *J* = 8.5 Hz, 2H), 7.00 (d, *J* = 8.5 Hz, 2H), 6.96-6.94 (t, *J* = 5.4 Hz, 1H), 5.45 (dd, *J* = 15.1, 5.2 Hz, 1H), 5.30 (dd, *J* = 15.1, 7.6 Hz, 1H), 5.20 (s, 2H), 4.80 (d, *J* = 2.1 Hz, 2H), 3.55 (s, 1H), 2.08 (q, *J* = 6.9 Hz, 4H), 0.71 (t, *J* = 7.4 Hz, 6H). ¹³C NMR (126 MHz, DMSO) δ 156.6 (s), 155.8 (s), 143.1 (s), 138.1 (s), 138.0 (s), 134.9 (s), 134.5 (s), 129.52 (s), 129.47 (s), 125.9 (s), 114.5 (s), 114.4 (s), 79.5 (s), 78.2 (s), 61.0 (s), 55.4 (d, *J* = 16.6 Hz), 55.4 (s), 50.1 (s), 28.1 (s), 13.29(s), 13.27 (s). ¹⁹F NMR (471 MHz, DMSO) δ 47.1 (s, 1F). ESI-MS HRMS calculated for C₂₆H₂₈BrFN₃O₄S [M+H]⁺ 576.0962, found 576.0962.

Note: In the ¹³C NMR spectrum of **3z'**, theoretically, there should be twenty-six peaks.

Due to the compact overlaying, it is difficult to specify the overlaying peaks.

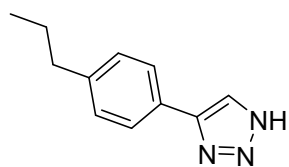


4-Phenyl-1*H*-1,2,3-triazole (**4a**). [2] White solid, 43 mg, 99%. ¹H NMR (500 MHz, DMSO) δ 14.98 (s, 1H), 8.24 (s, 1H), 7.86 (d, *J* = 7.3 Hz, 2H), 7.45 (t, *J* = 7.6 Hz, 2H), 7.35 (t, *J* = 7.0 Hz, 1H).



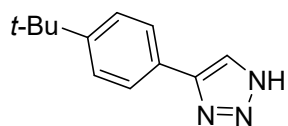
4b

4-(4-Methoxyphenyl)-1*H*-1,2,3-triazole (**4b**). ^[2] White solid, 52 mg, 99%. ¹H NMR (500 MHz, DMSO) δ 14.83 (s, 1H), 8.14 (s, 1H), 7.79 (d, $J = 7.9$ Hz, 2H), 7.01 (d, $J = 8.2$ Hz, 2H), 3.79 (s, 3H).



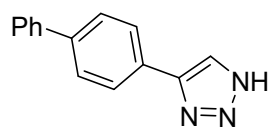
4c

4-(4-Propylphenyl)-1*H*-1,2,3-triazole (**4c**). ^[3] White solid, 52 mg, 92%. ¹H NMR (500 MHz, DMSO) δ 14.90 (s, 1H), 8.20 (s, 1H), 7.76 (d, $J = 7.1$ Hz, 2H), 7.26 (d, $J = 7.3$ Hz, 2H), 2.57 (t, $J = 7.5$ Hz, 2H), 1.64-1.56 (m, 2H), 0.89 (t, $J = 7.3$ Hz, 3H).



4d

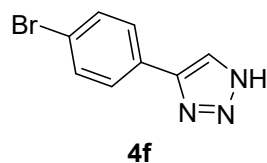
4-(4-(*Tert*-butyl)phenyl)-1*H*-1,2,3-triazole (**4d**). ^[4] White solid, 59 mg, 98%. ¹H NMR (500 MHz, DMSO) δ 14.90 (s, 1H), 8.19 (s, 1H), 7.78 (d, $J = 7.4$ Hz, 2H), 7.47 (d, $J = 8.0$ Hz, 2H), 1.30 (s, 9H).



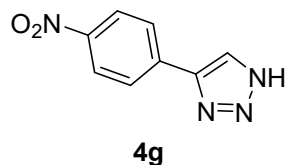
4e

4-([1,1'-Biphenyl]-4-yl)-1*H*-1,2,3-triazole (**4e**). ^[5] White solid, 62 mg, 94%. ¹H NMR (500 MHz, DMSO) δ 15.00 (s, 1H), 8.30 (s, 1H), 7.96 (d, $J = 7.7$ Hz, 2H), 7.76 (d, $J = 7.8$ Hz, 2H), 7.72 (d, $J = 7.5$ Hz, 2H), 7.48 (t, $J = 7.6$ Hz, 2H), 7.38 (t, $J = 7.1$ Hz,

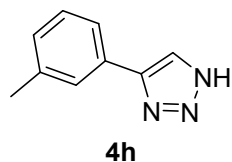
1H).



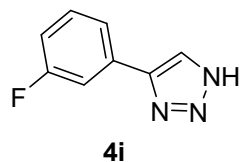
4-(4-Bromophenyl)-1*H*-1,2,3-triazole (**4f**).^[6] White solid, 64 mg, 95%. ¹H NMR (500 MHz, DMSO) δ 15.07 (s, 1H), 8.30 (s, 1H), 7.83 (d, $J = 7.9$ Hz, 2H), 7.65 (d, $J = 8.1$ Hz, 2H).



4-(4-Nitrophenyl)-1*H*-1,2,3-triazole (**4g**).^[2] Yellow solid, 52 mg, 92%. ¹H NMR (500 MHz, DMSO) δ 15.30 (s, 1H), 8.45 (s, 1H), 8.30 (d, $J = 8.6$ Hz, 2H), 8.13 (d, $J = 8.2$ Hz, 2H).

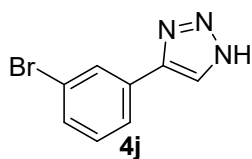


4-(*m*-Tolyl)-1*H*-1,2,3-triazole (**4h**).^[6] White solid, 47 mg, 99%. ¹H NMR (500 MHz, DMSO) δ 14.92 (s, 1H), 8.22 (s, 1H), 7.69 (s, 1H), 7.65 (d, $J = 7.4$ Hz, 1H), 7.33 (d, $J = 7.5$ Hz, 1H), 7.17 (d, $J = 7.3$ Hz, 1H), 2.36 (s, 3H).

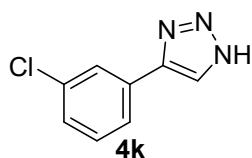


4-(3-Fluorophenyl)-1*H*-1,2,3-triazole (**4i**).^[7] White solid, 47 mg, 96%. ¹H NMR (500 MHz, DMSO) δ 15.08 (s, 1H), 8.34 (s, 1H), 7.93 (s, 1H), 7.85 (d, $J = 7.2$ Hz, 1H),

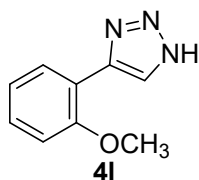
7.49 (t, $J = 7.8$ Hz, 1H), 7.42-7.41 (m, 1H).



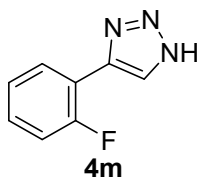
4-(3-Bromophenyl)-1H-1,2,3-triazole (**4j**).^[2] White solid, 63 mg, 94%. ¹H NMR (500 MHz, DMSO) δ 15.12 (s, 1H), 8.34 (s, 1H), 8.07 (s, 1H), 7.89 (d, $J = 7.3$ Hz, 1H), 7.54-7.53 (m, 1H), 7.42 (t, $J = 7.8$ Hz, 1H).



4-(3-Chlorophenyl)-1H-1,2,3-triazole (**4k**).^[4] White solid, 49 mg, 91%. ¹H NMR (500 MHz, DMSO) δ 15.11 (s, 1H), 8.34 (s, 1H), 7.93 (s, 1H), 7.85 (d, $J = 7.0$ Hz, 1H), 7.48 (t, $J = 7.8$ Hz, 1H), 7.41-7.40 (m, 1H).

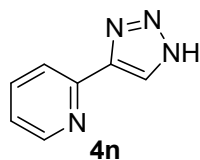


4-(2-Methoxyphenyl)-1H-1,2,3-triazole (**4l**).^[6] White solid, 52 mg, 99%. ¹H NMR (500 MHz, DMSO) δ 15.14 (s, 1H), 8.18-8.00 (m, 2H), 7.34 (t, $J = 7.2$ Hz, 1H), 7.13 (d, $J = 8.3$ Hz, 1H), 7.05 (t, $J = 7.5$ Hz, 1H), 3.90 (s, 3H).

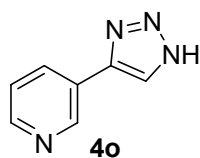


4-(2-Fluorophenyl)-1H-1,2,3-triazole (**4m**).^[8] White solid, 44 mg, 91%. ¹H NMR

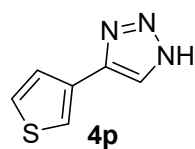
(500 MHz, DMSO) δ 15.34 (s, 1H), 8.20 (s, 1H), 8.05-8.03 (m, 1H), 7.41-7.39 (m, 1H), 7.35-7.29 (m, 2H).



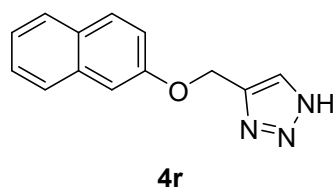
2-(1*H*-1,2,3-triazol-4-yl)pyridine (**4n**). ^[9] White solid, 40 mg, 91%. ¹H NMR (500 MHz, DMSO) δ 15.13 (s, 1H), 8.62-8.62 (m, 1H), 8.25 (s, 1H), 8.05-7.88 (m, 2H), 7.38-7.36 (m, 1H).



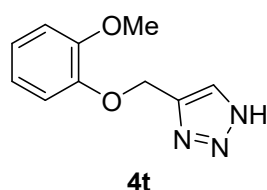
3-(1*H*-1,2,3-triazol-4-yl)pyridine (**4o**). ^[2] White solid, 40 mg, 92%. ¹H NMR (500 MHz, DMSO) δ 15.16 (s, 1H), 9.09 (s, 1H), 8.69-8.37 (m, 2H), 8.23 (d, $J = 7.6$ Hz, 1H), 7.50-7.47 (m, 1H).



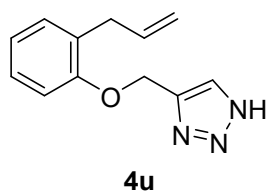
4-(Thiophen-3-yl)-1*H*-1,2,3-triazole (**4p**). ^[4] White solid, 43 mg, 94%. ¹H NMR (500 MHz, DMSO) δ 14.88 (s, 1H), 8.12 (s, 1H), 7.89 (s, 1H), 7.64 (s, 1H), 7.54 (d, $J = 5.0$ Hz, 1H).



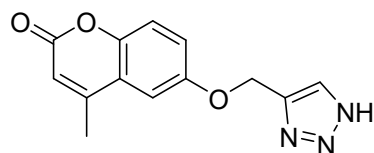
4-((Naphthalen-2-yloxy)methyl)-1*H*-1,2,3-triazole (**4r**). White solid, 64 mg, 95%. M.p. 122-123 °C. ¹H NMR (500 MHz, DMSO) δ 14.98 (s, 1H), 7.93 (s, 1H), 7.84-7.81 (m, 3H), 7.50-7.45 (m, 2H), 7.36 (t, *J* = 7.4 Hz, 1H), 7.20 (d, *J* = 7.5 Hz, 1H), 5.30 (s, 2H). ¹³C NMR (126 MHz, DMSO) δ 155.9 (s), 143.0 (s), 134.2 (s), 133.5 (s), 129.4 (s), 128.6 (s), 127.5 (s), 126.7 (s), 126.4 (s), 123.7 (s), 118.7 (s), 107.2 (s), 61.0 (s). ESI-MS HRMS calculated for C₁₃H₁₂N₃O [M+H]⁺ 226.0975, found 226.0974.



4-((2-Methoxyphenoxy)methyl)-1*H*-1,2,3-triazole (**4t**). White solid, 55 mg, 90%. M.p. 121-123 °C. ¹H NMR (500 MHz, DMSO) δ 14.94 (s, 1H), 7.84 (s, 1H), 7.11-7.09 (m, 1H), 6.96 (d, *J* = 7.6 Hz, 1H), 6.92 (t, *J* = 7.3 Hz, 1H), 6.89-6.86 (m, 1H), 5.14 (s, 2H), 3.73 (s, 3H). ¹³C NMR (126 MHz, DMSO) δ 149.3 (s), 147.5 (s), 143.2 (s), 133.6 (s), 121.5 (s), 120.6 (s), 114.1 (s), 112.3 (s), 61.6 (s), 55.4 (s). ESI-MS HRMS calculated for C₁₀H₁₂N₃O₂ [M+H]⁺ 206.0924, found 206.0922.

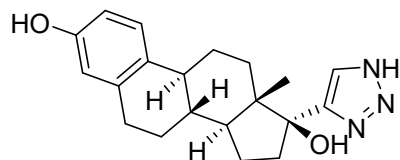


4-((2-Allylphenoxy)methyl)-1*H*-1,2,3-triazole (**4u**). White solid, 62 mg, 97%. M.p. 80-82 °C. ¹H NMR (500 MHz, DMSO) δ 14.93 (s, 1H), 7.84 (s, 1H), 7.21-7.18 (m, 1H), 7.12-7.11 (m, 2H), 6.90 (t, *J* = 7.3 Hz, 1H), 5.96-5.88 (m, 1H), 5.19 (s, 2H), 5.01-4.97 (m, 2H), 3.29 (d, *J* = 6.4 Hz, 2H). ¹³C NMR (126 MHz, DMSO) δ 155.7 (s), 143.4 (s), 136.8 (s), 133.1 (s), 129.6 (s), 128.2 (s), 127.4 (s), 120.8 (s), 115.5 (s), 112.2 (s), 61.4 (s), 33.9 (s). ESI-MS HRMS calculated for C₁₂H₁₄N₃O [M+H]⁺ 216.1131, found 216.1131.



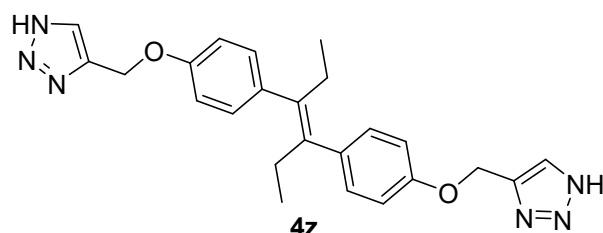
4v

6-((1*H*-1,2,3-triazol-4-yl)methoxy)-4-methyl-2*H*-chromen-2-one (**4v**). White solid, 63 mg, 82%. M.p. 172-173 °C. ¹H NMR (500 MHz, DMSO) δ 14.98 (s, 1H), 7.89 (s, 1H), 7.36-7.29 (m, 3H), 6.39 (s, 1H), 5.28 (s, 2H), 2.43 (s, 3H). ¹³C NMR (126 MHz, DMSO) δ 159.9 (s), 154.3 (s), 153.0 (s), 147.4 (s), 142.8 (s), 133.5 (s), 120.2 (s), 119.8 (s), 117.5 (s), 114.7 (s), 109.5 (s), 61.7 (s), 18.2 (s). ESI-MS HRMS calculated for C₁₃H₁₂N₃O₃ [M+H]⁺ 258.0873, found 258.0872.



4x

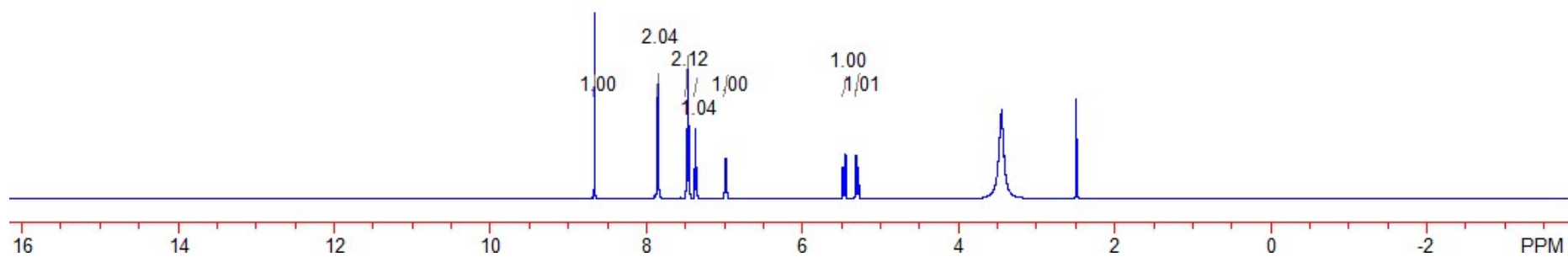
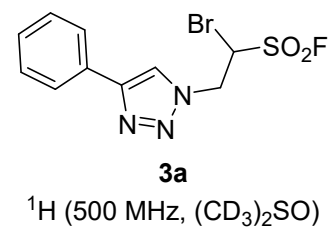
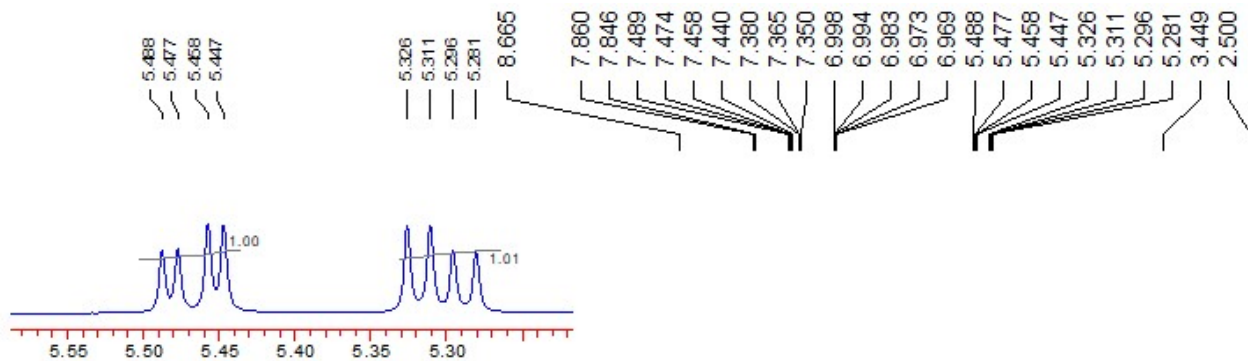
(8*R*,9*S*,13*S*,14*S*,17*S*)-13-methyl-17-(1*H*-1,2,3-triazol-4-yl)-7,8,9,11,12,13,14,15,16,17-decahydro-6*H*-cyclopenta[*a*]phenanthrene-3,17-diol (**4x**). White solid, 91 mg, 90%. M.p. 233-235 °C. ¹H NMR (500 MHz, DMSO) δ 14.45 (s, 1H), 8.94 (s, 1H), 7.60 (s, 1H), 6.94 (d, *J* = 8.4 Hz, 1H), 6.46 (d, *J* = 8.3 Hz, 1H), 6.41 (s, 1H), 5.15 (s, 1H), 2.72-2.64 (m, 2H), 2.09-1.75 (m, 6H), 1.51-1.17 (m, 6H), 0.93 (s, 3H), 0.53-0.41 (m, 1H). ¹³C NMR (126 MHz, DMSO) δ 154.9 (s), 137.1 (s), 132.5 (s), 130.4 (s), 126.0 (s), 114.9 (s), 112.7(s), 81.1 (s), 50.5 (s), 47.6 (s), 46.7 (s), 43.2 (s), 37.4 (s), 32.7 (s), 29.2 (s), 27.2 (s), 26.0 (s), 23.4 (s), 14.3 (s). ESI-MS HRMS calculated for C₂₀H₂₆N₃O₂ [M+H]⁺ 340.2020, found 340.2020.

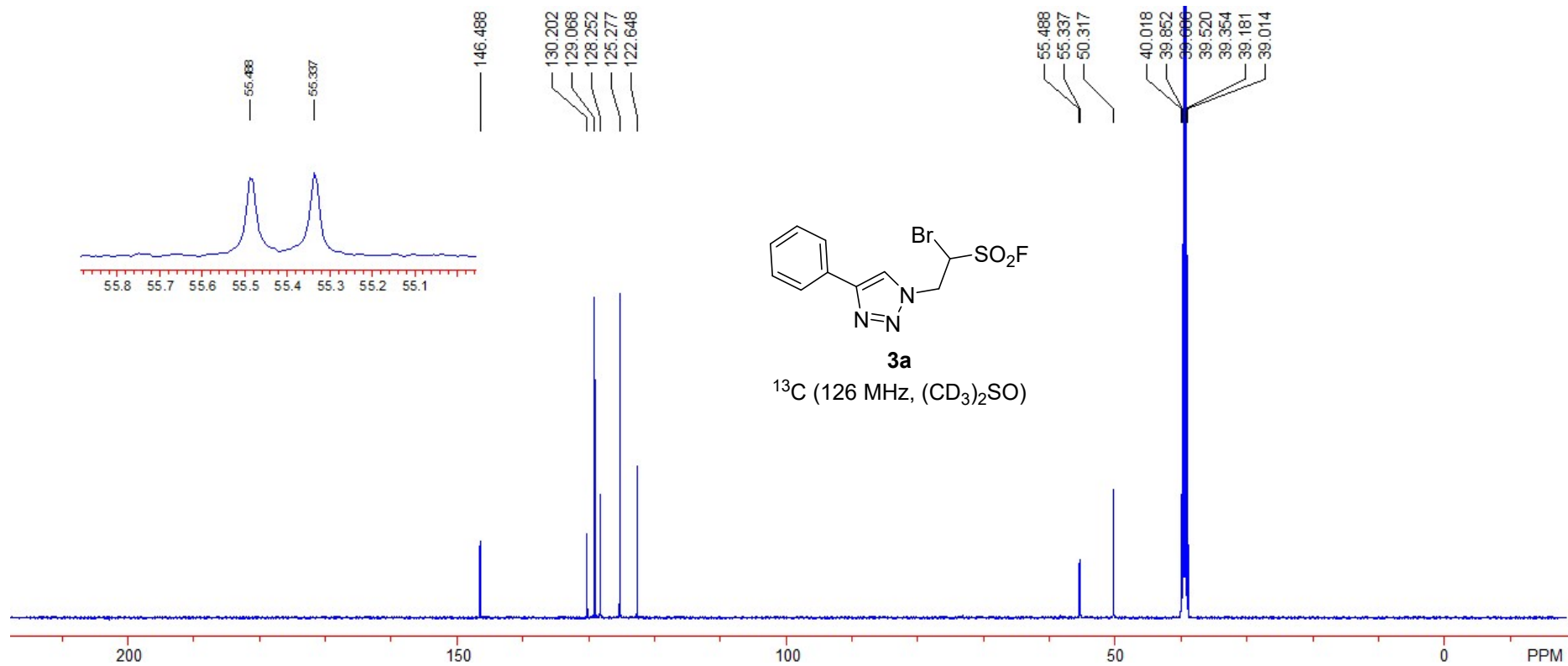


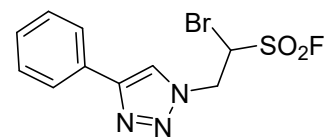
4z

(*E*)-4,4'-(((hex-3-ene-3,4-diylbis(4,1-phenylene))bis(oxy))bis(methylene))bis(1*H*-

1,2,3-triazole) (**4z**). White solid, 121 mg, 94%. M.p. 154-156 °C. ¹H NMR (500 MHz, DMSO) δ 14.96 (s, 2H), 7.88 (s, 2H), 7.13 (d, *J* = 8.6 Hz, 4H), 7.05 (d, *J* = 8.6 Hz, 4H), 5.19 (s, 4H), 2.09 (q, *J* = 7.3 Hz, 4H), 0.72 (t, *J* = 7.4 Hz, 6H). ¹³C NMR (126 MHz, DMSO) δ 156.6 (s), 143.2 (s), 138.0 (s), 134.5 (s), 133.4 (s), 129.4 (s), 114.3 (s), 61.0 (s), 28.0 (s), 13.2 (s). ESI-MS HRMS calculated for C₂₄H₂₇N₆O₂ [M+H]⁺ 431.2190, found 431.2189.

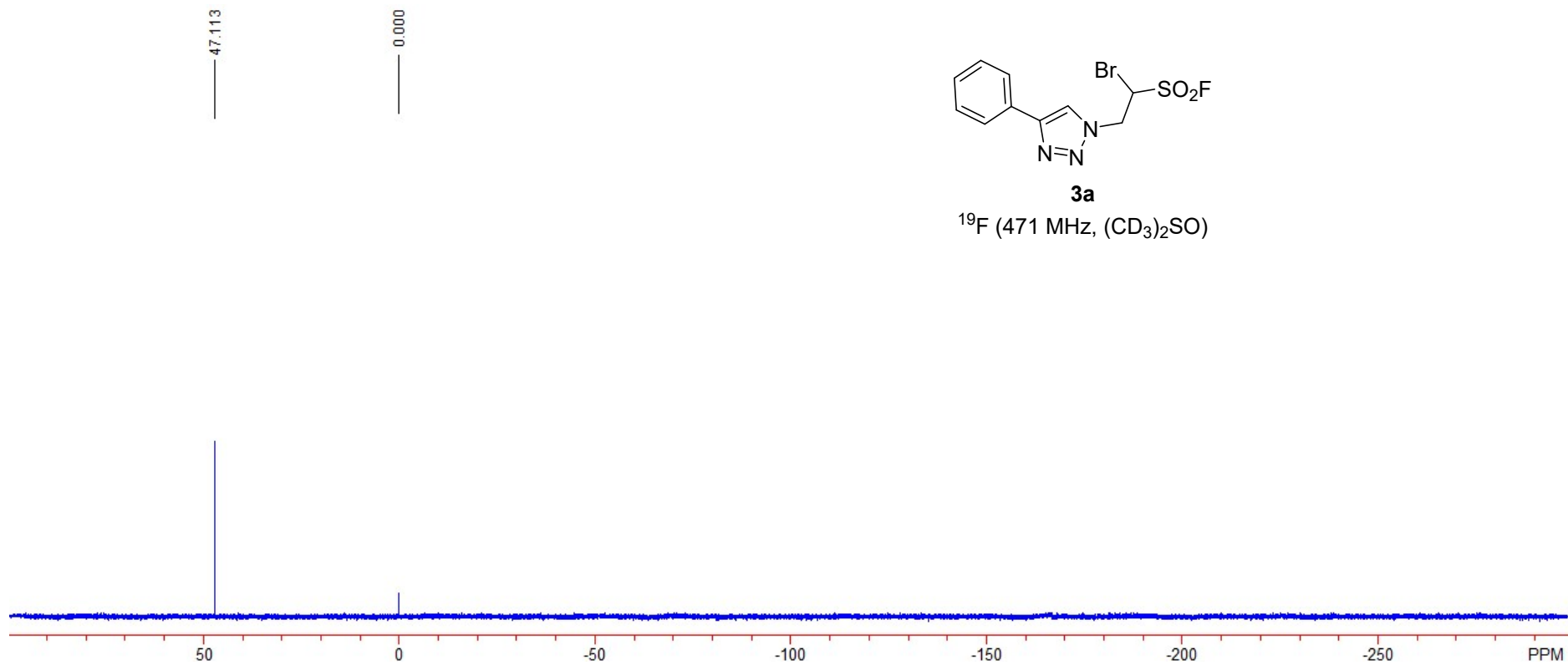


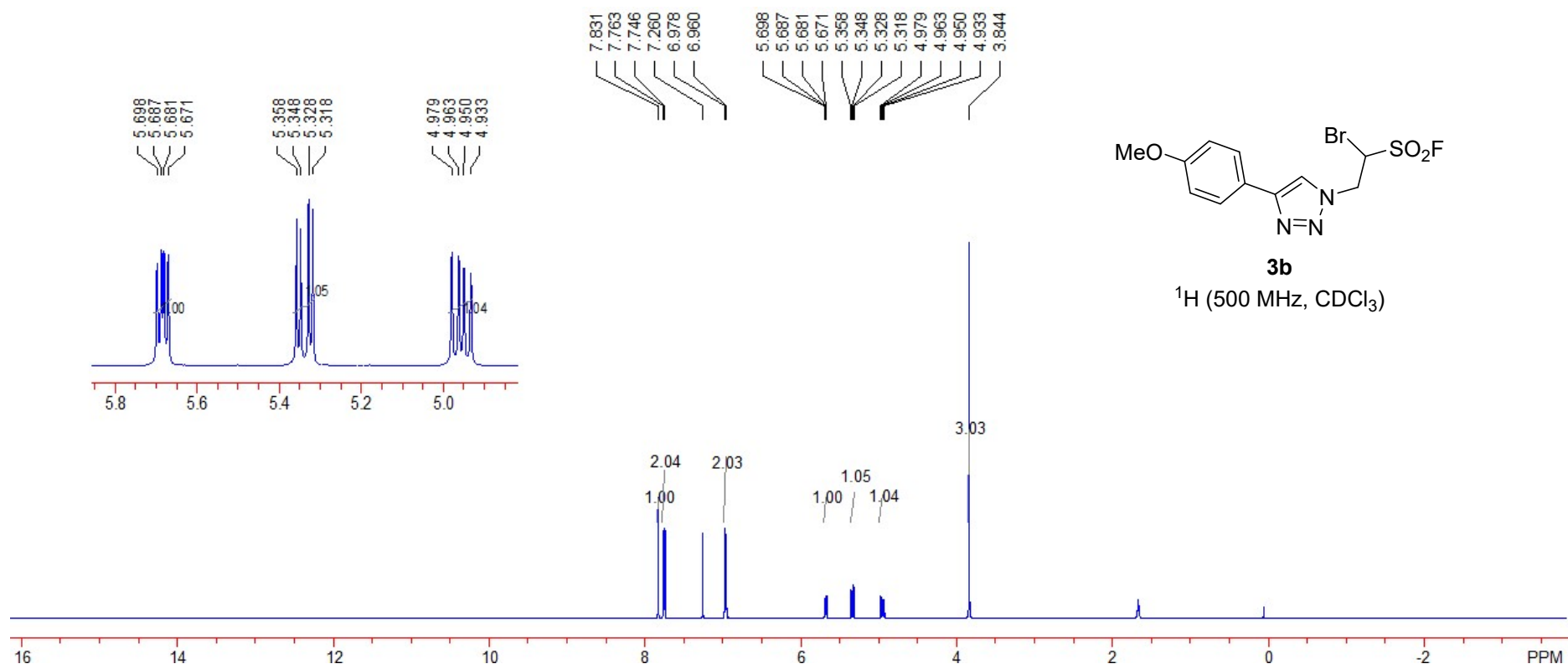


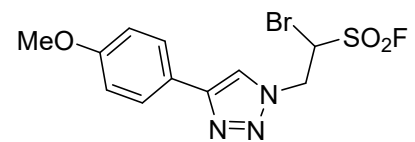
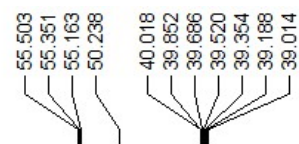
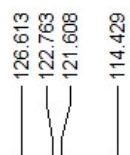
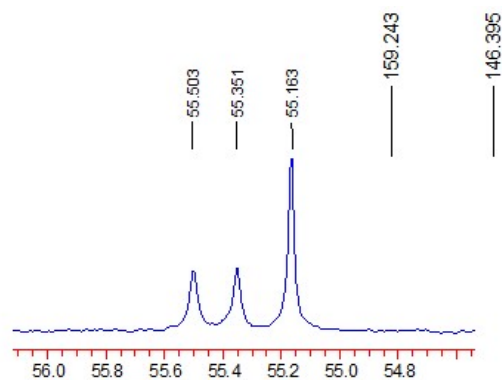


3a

^{19}F (471 MHz, $(\text{CD}_3)_2\text{SO}$)

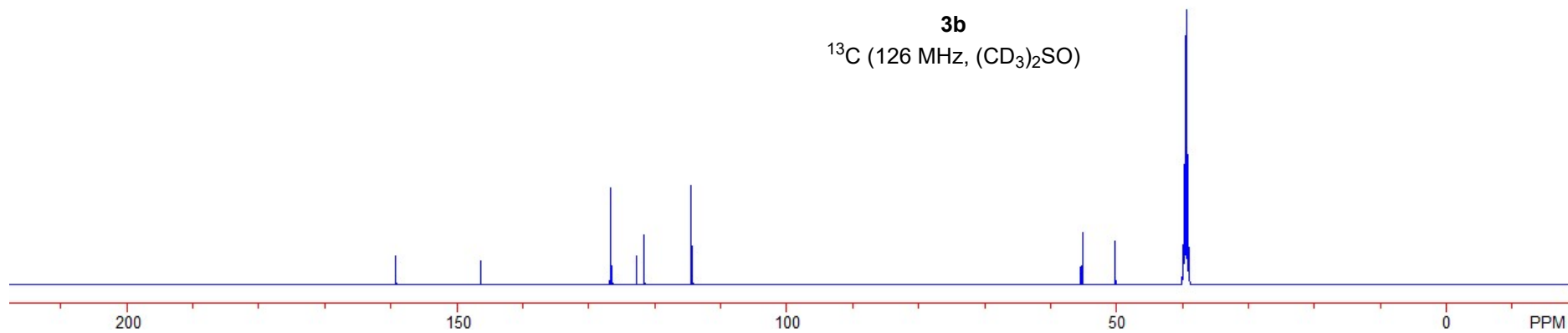


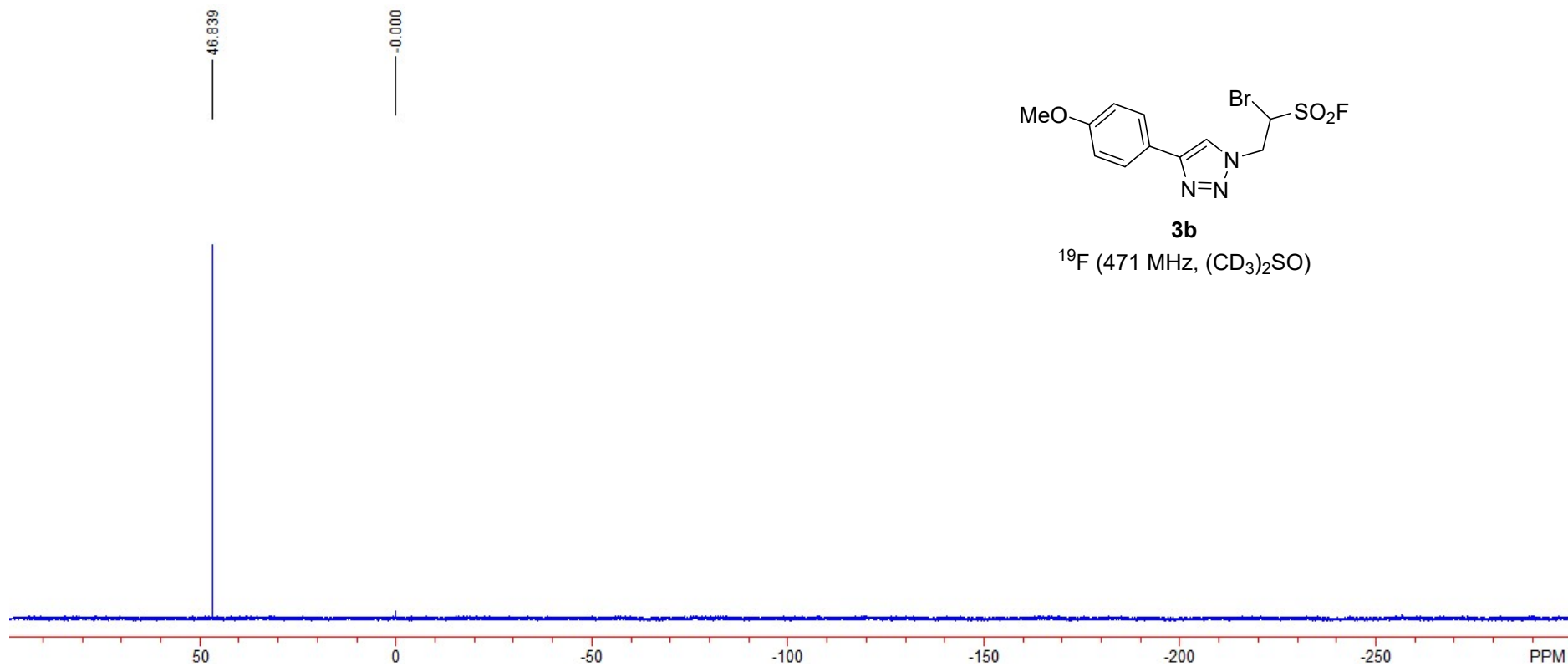


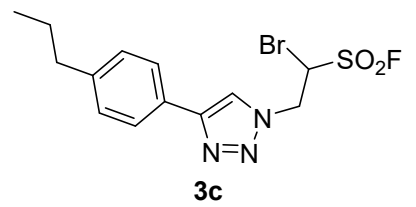
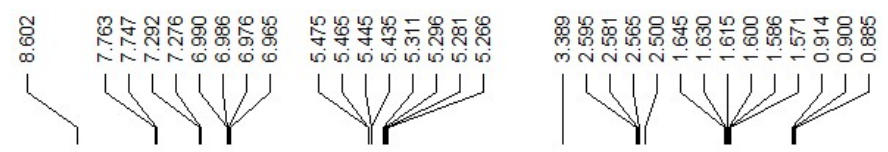
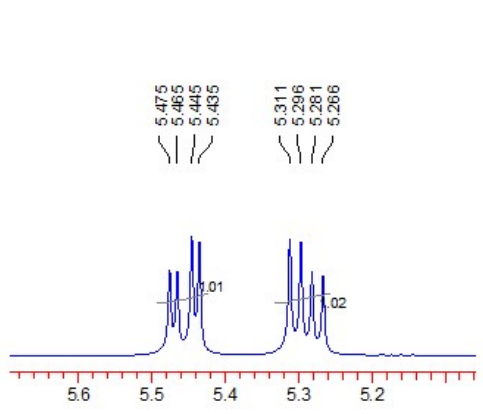


3b

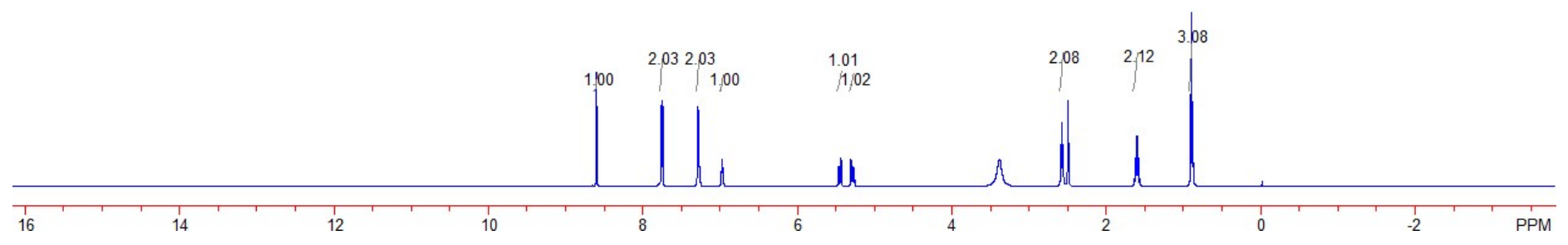
¹³C (126 MHz, (CD₃)₂SO)

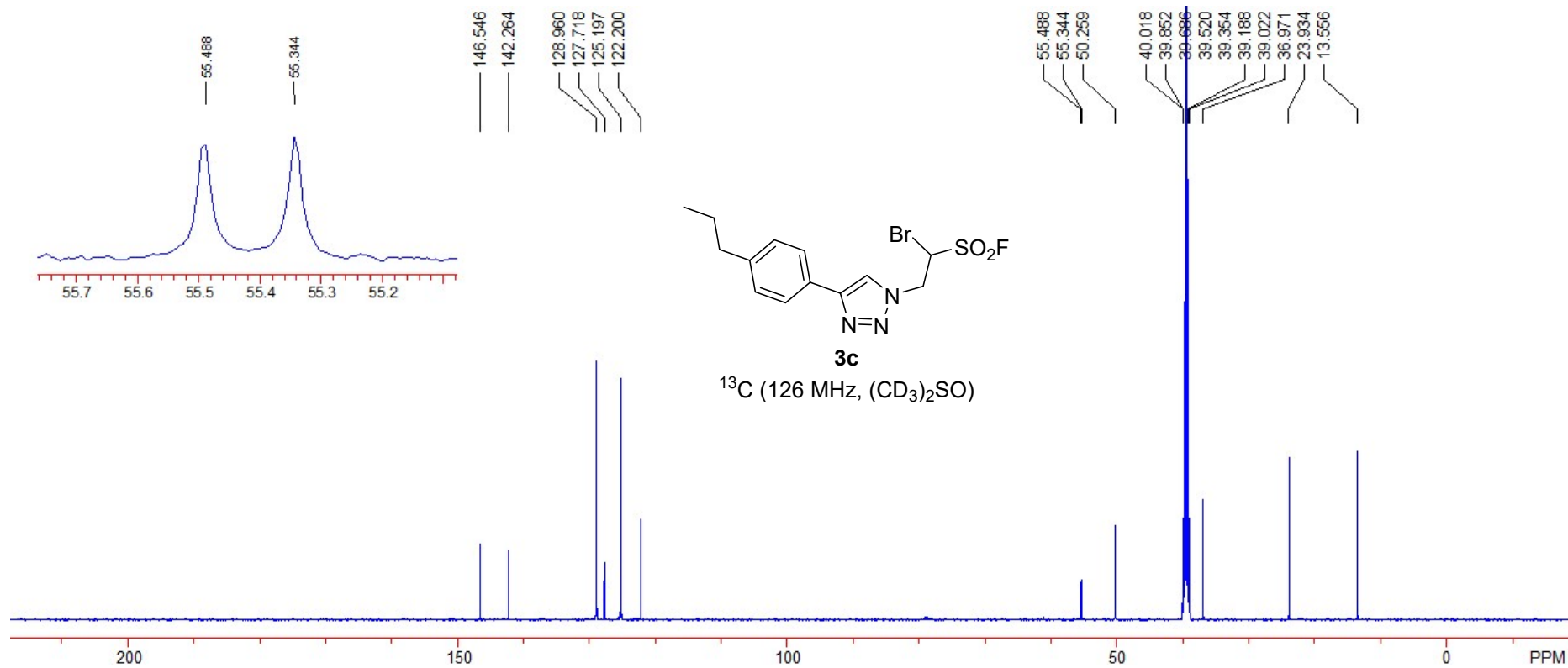


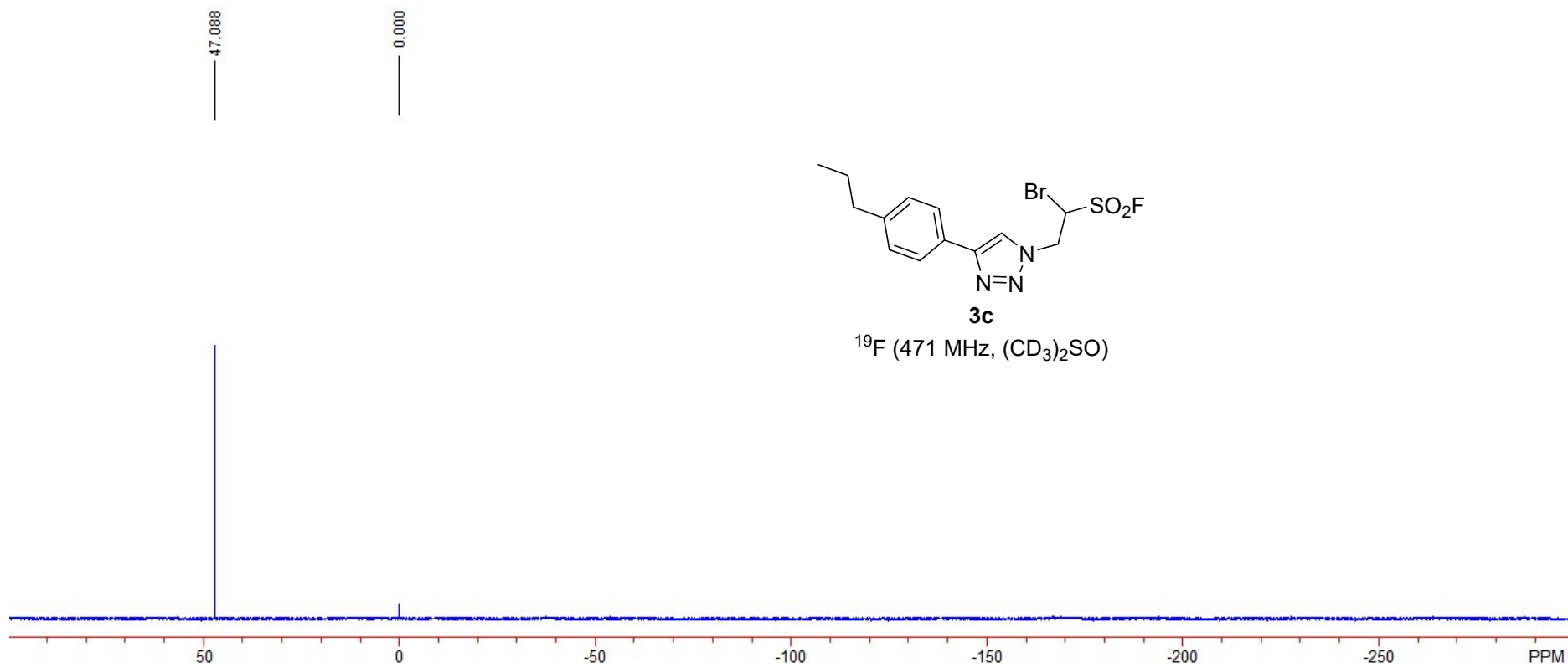


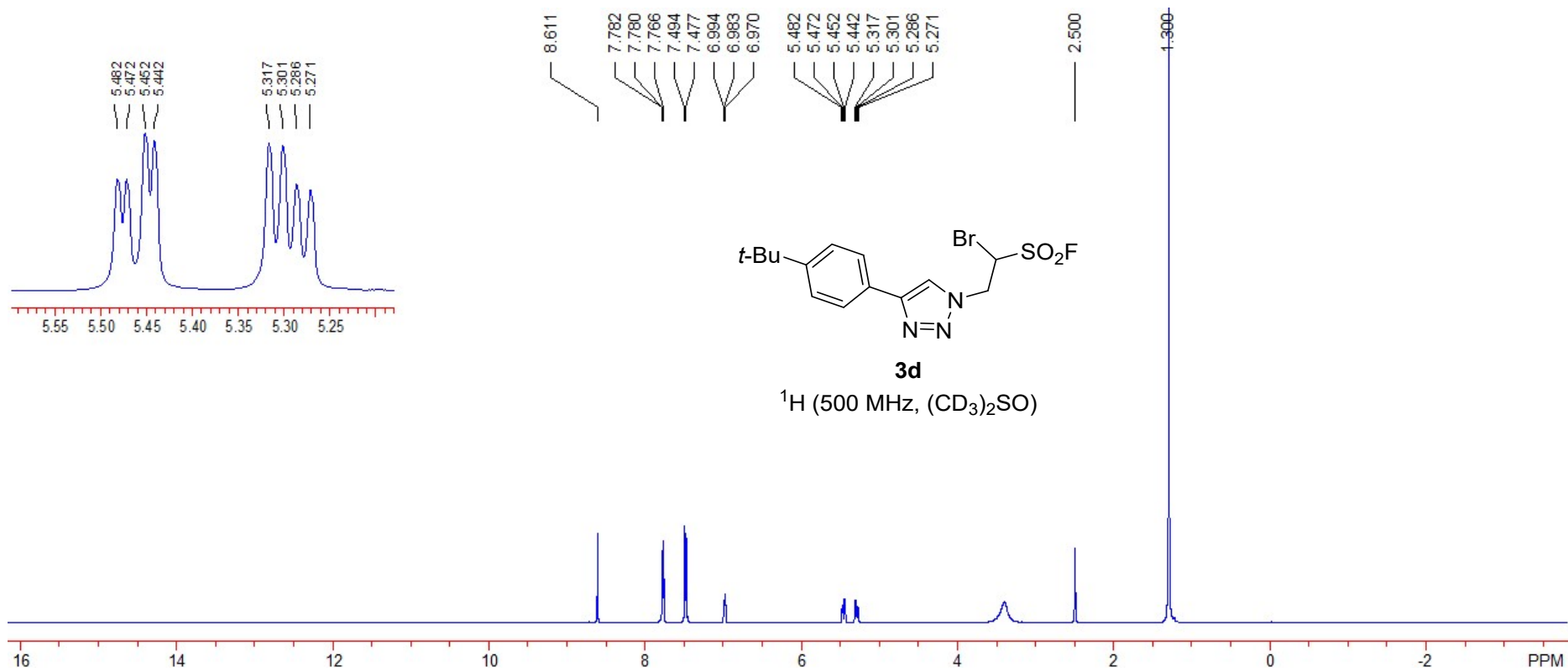


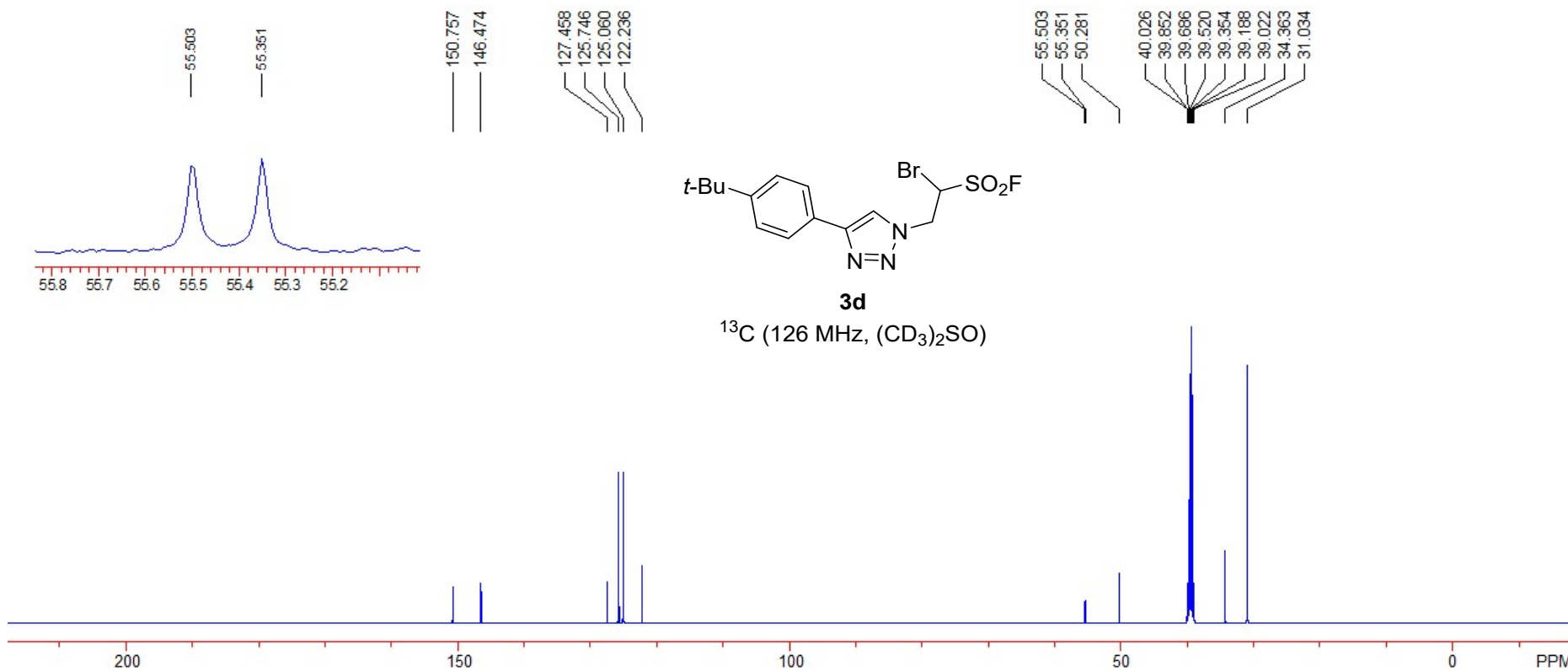
¹H (500 MHz, (CD₃)₂SO)

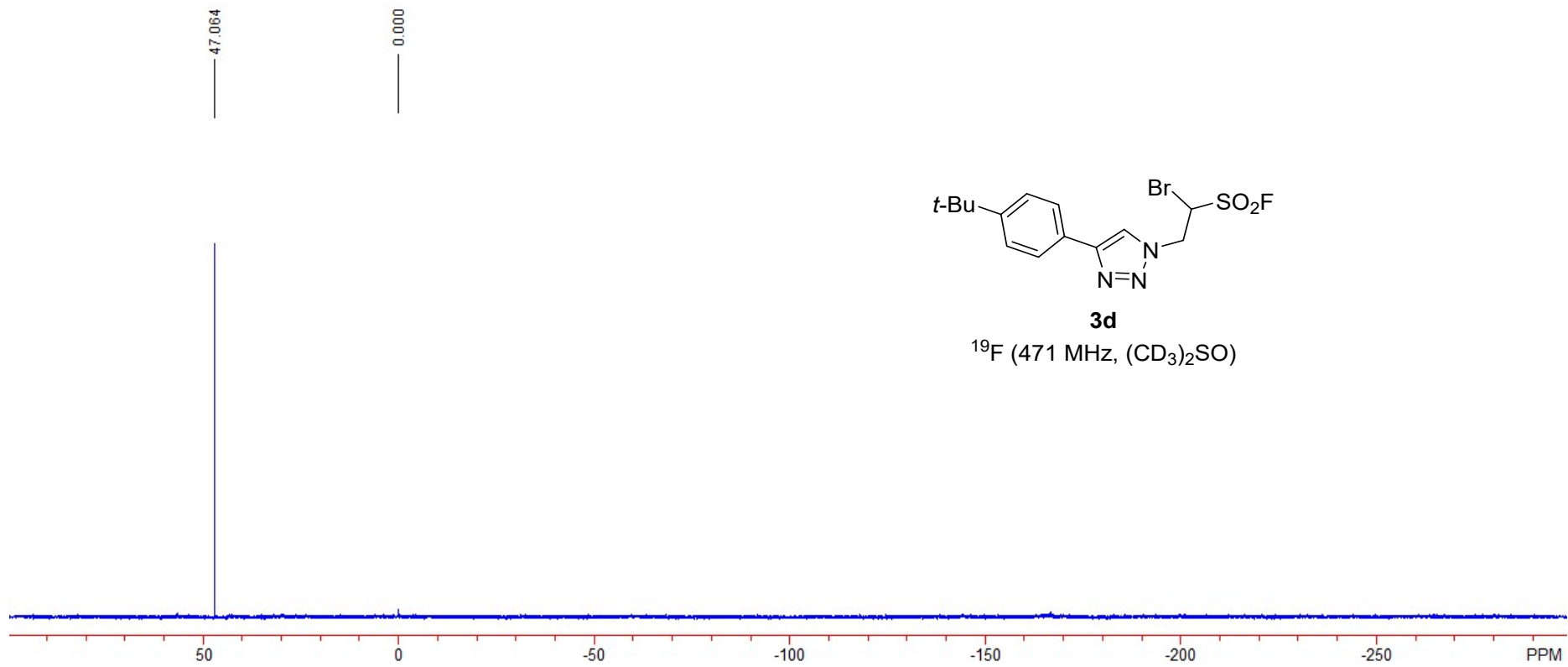


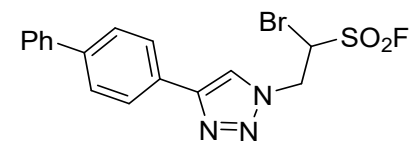
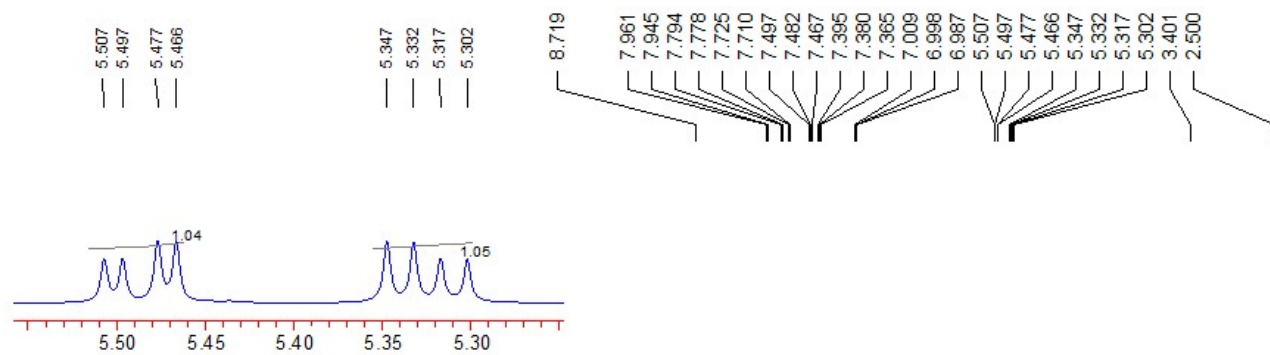






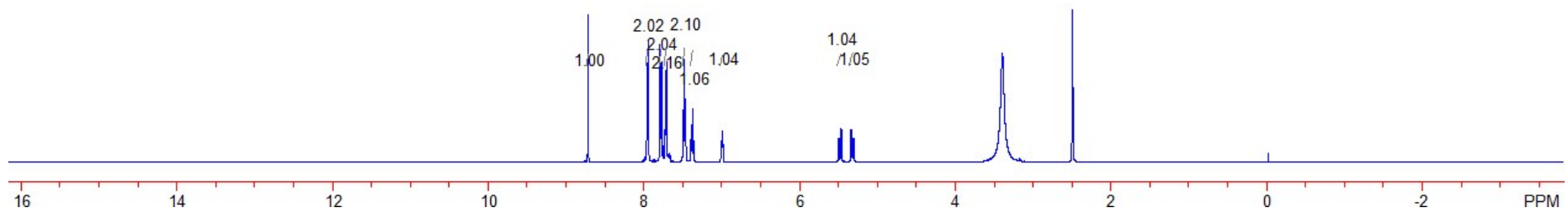


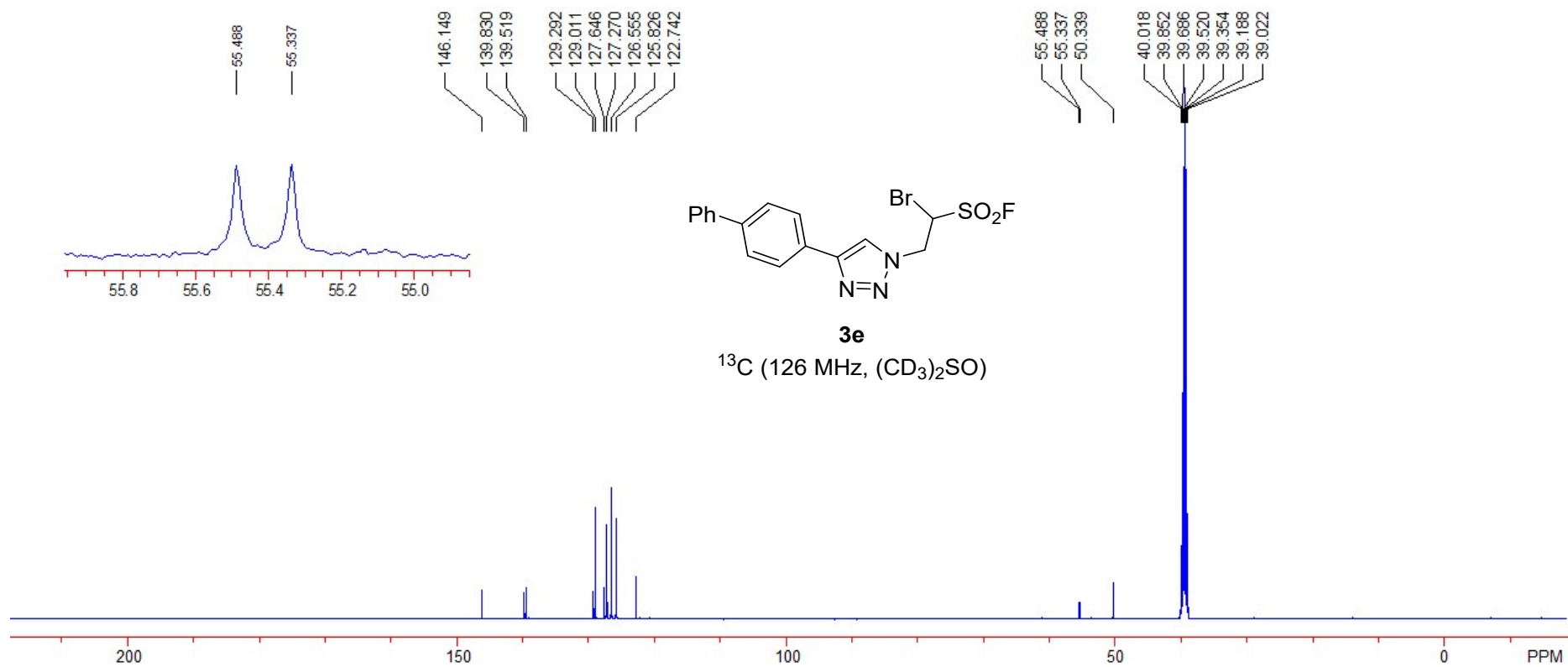


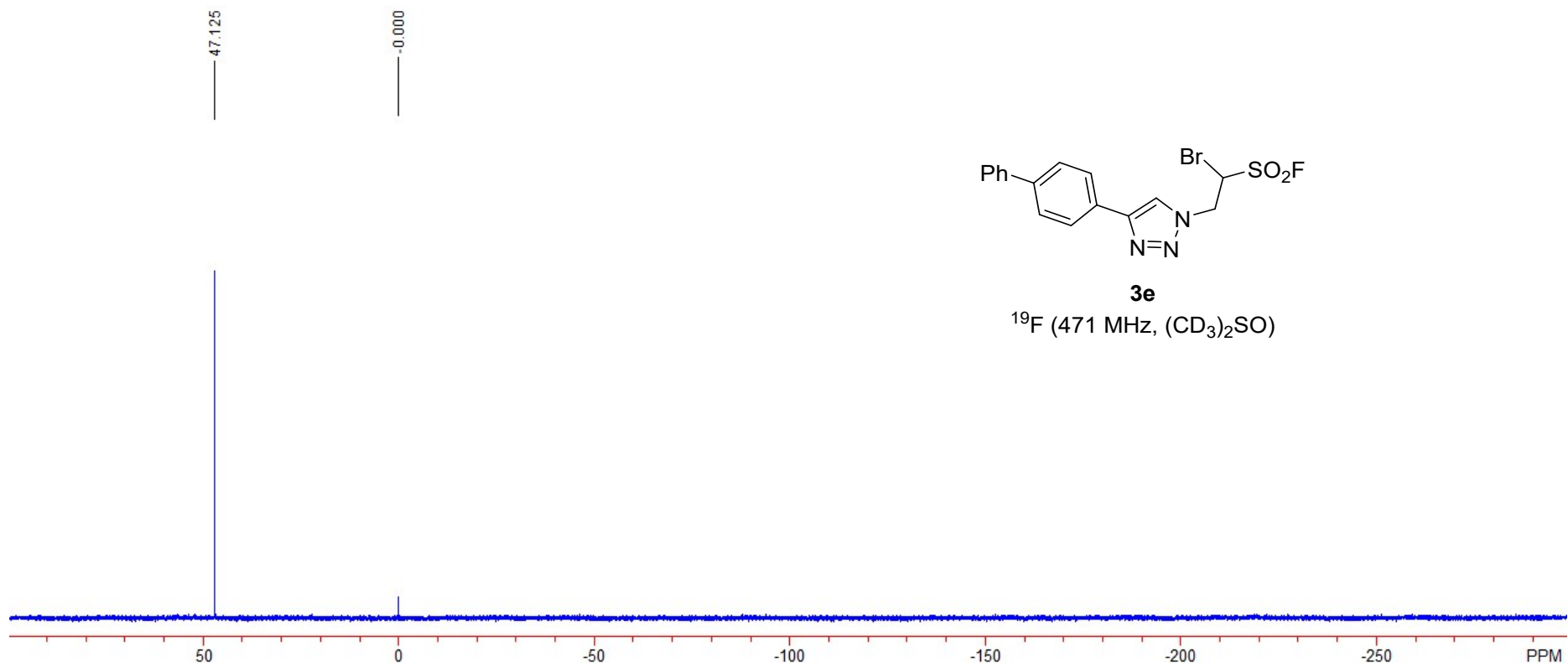


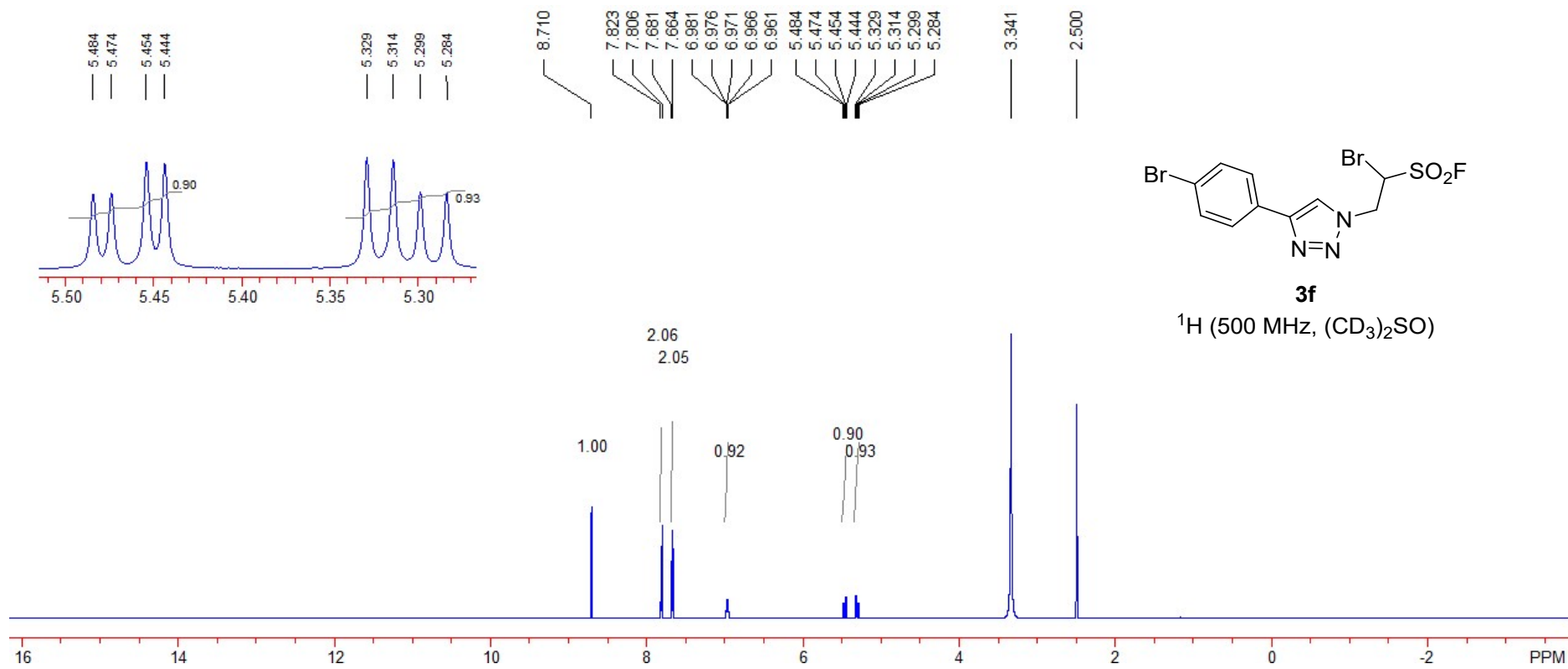
3e

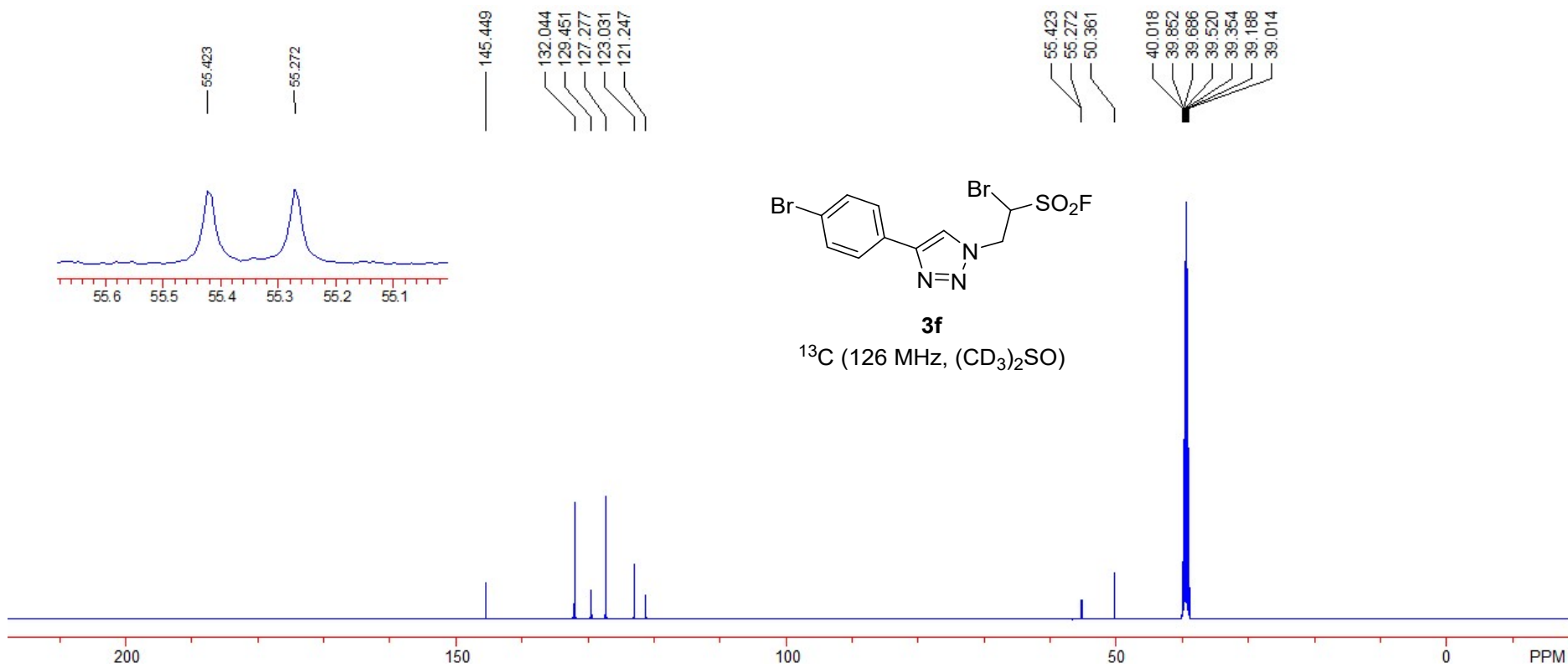
¹H (500 MHz, (CD₃)₂SO)

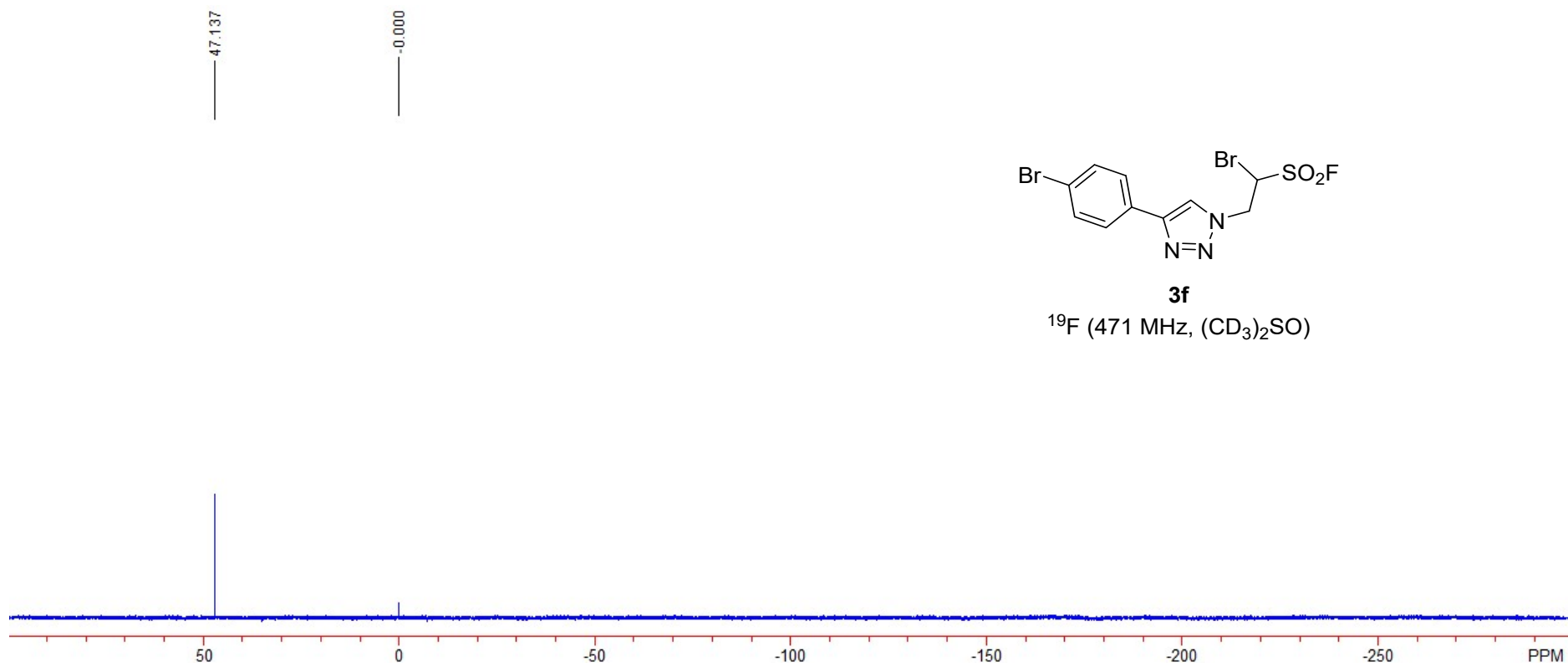


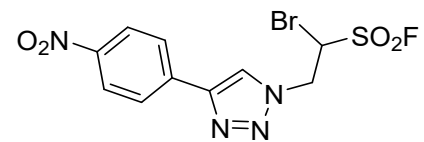
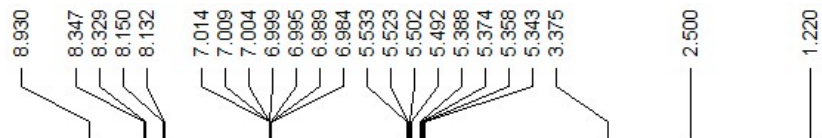
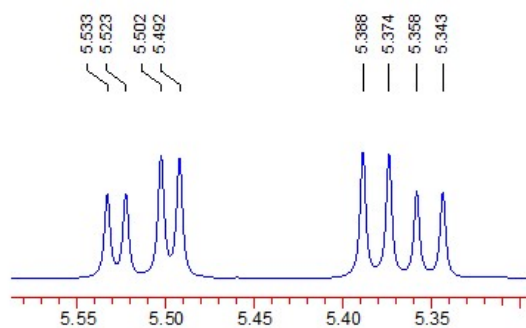






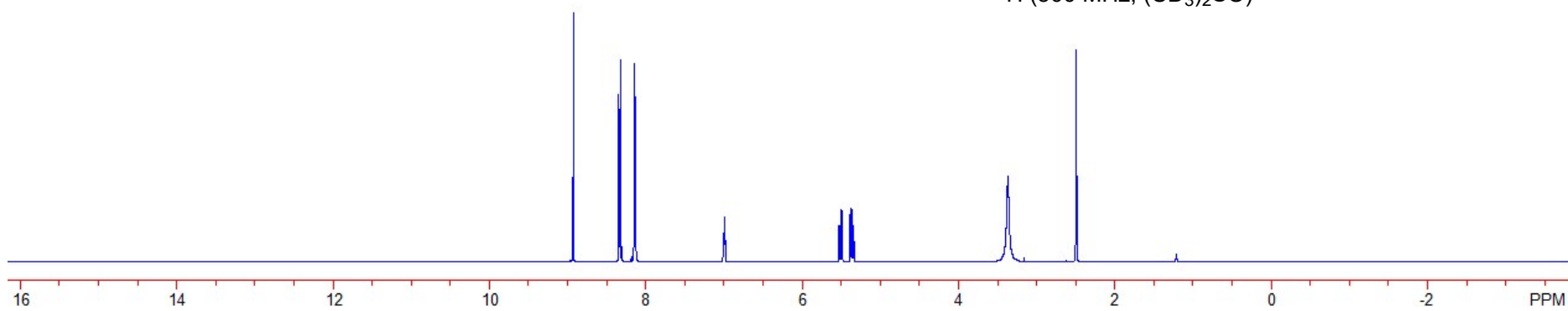


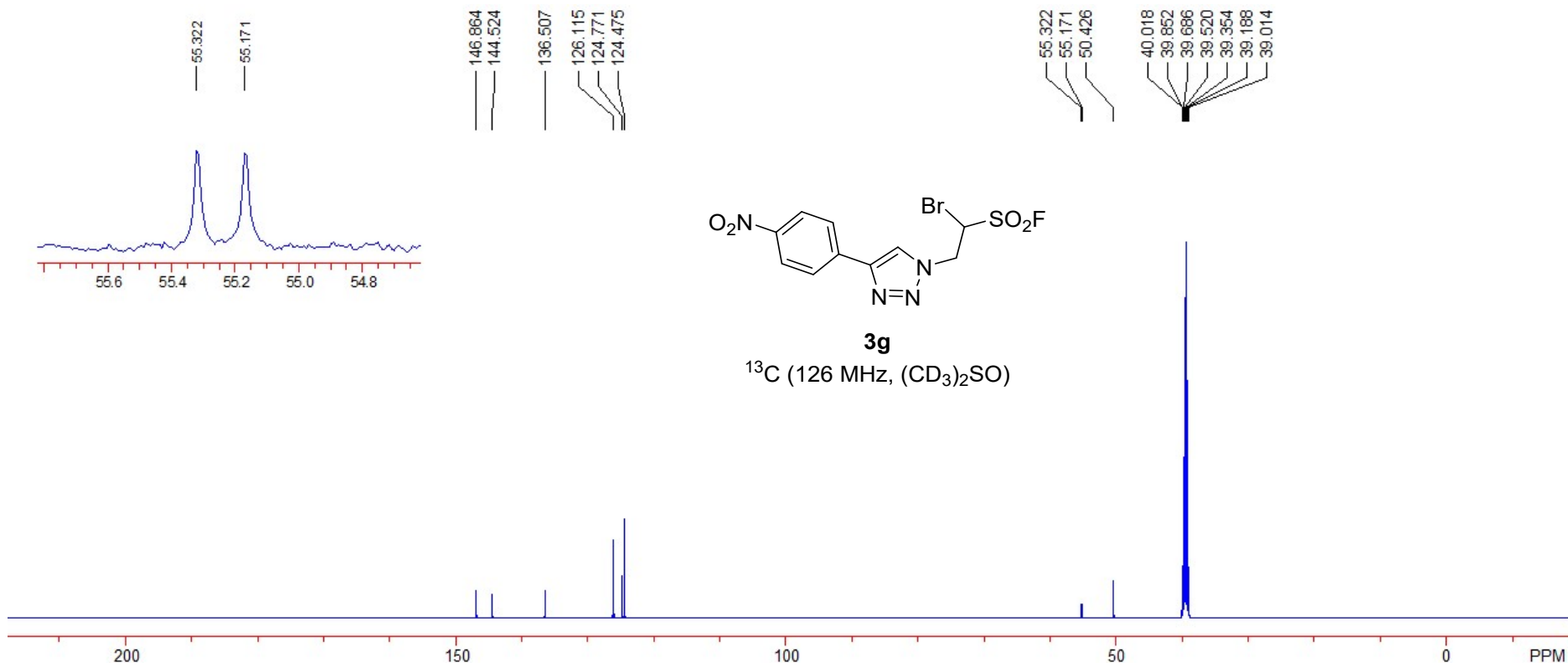


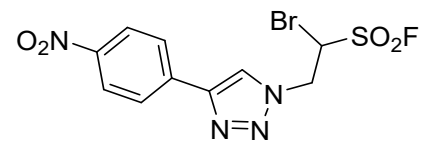


3g

^1H (500 MHz, $(\text{CD}_3)_2\text{SO}$)

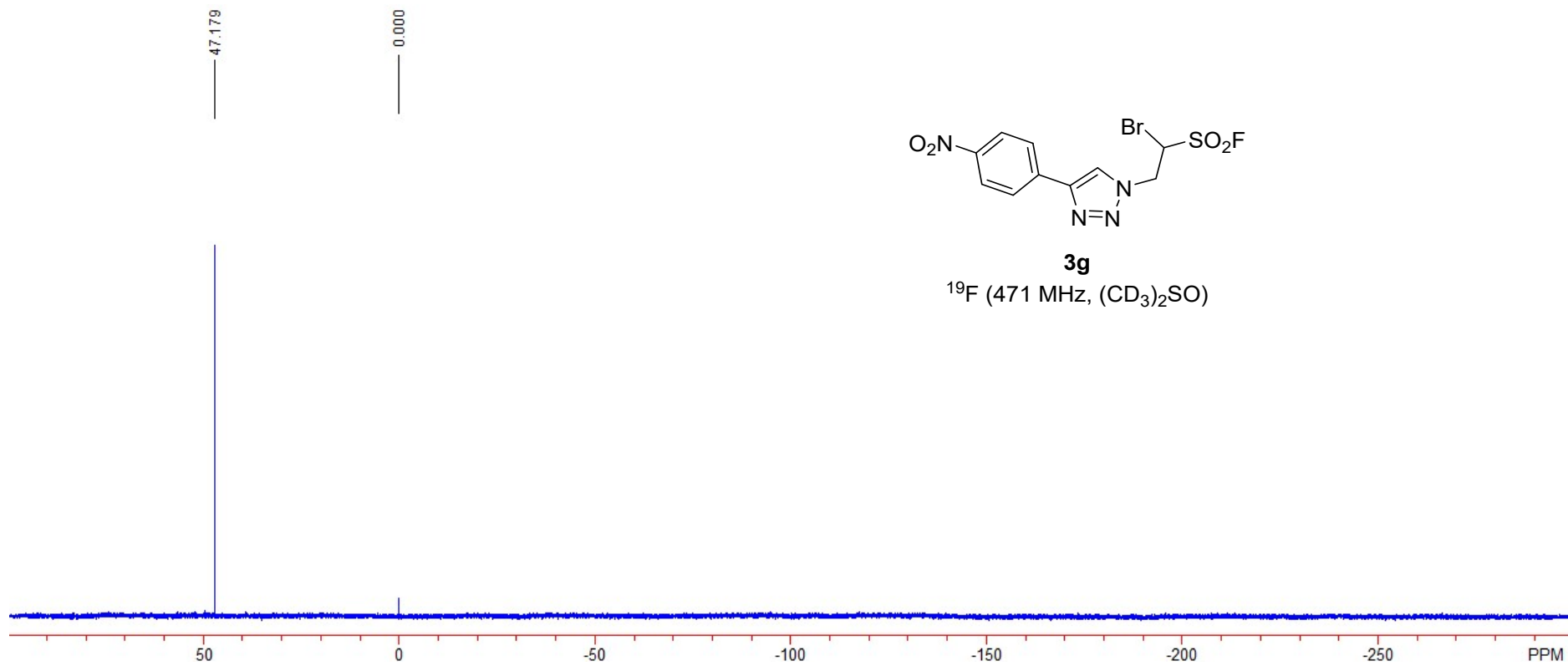


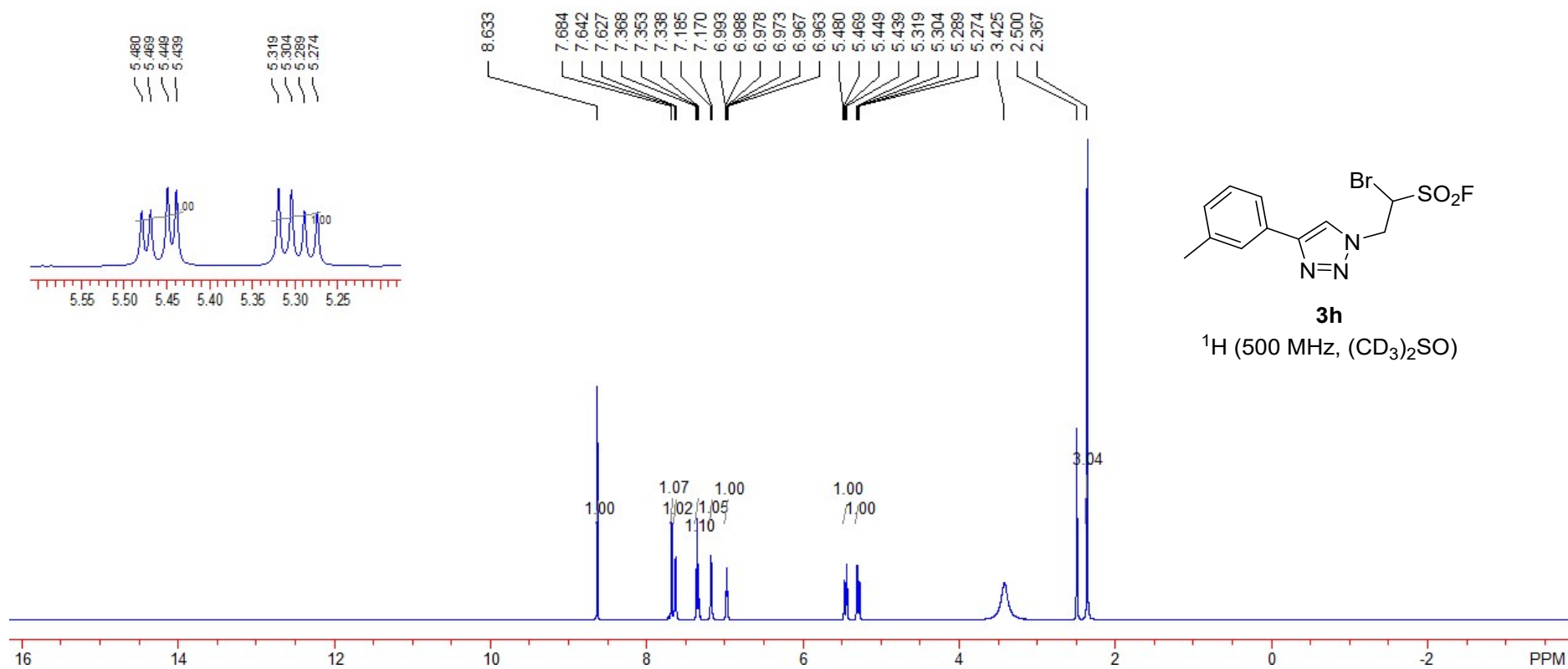


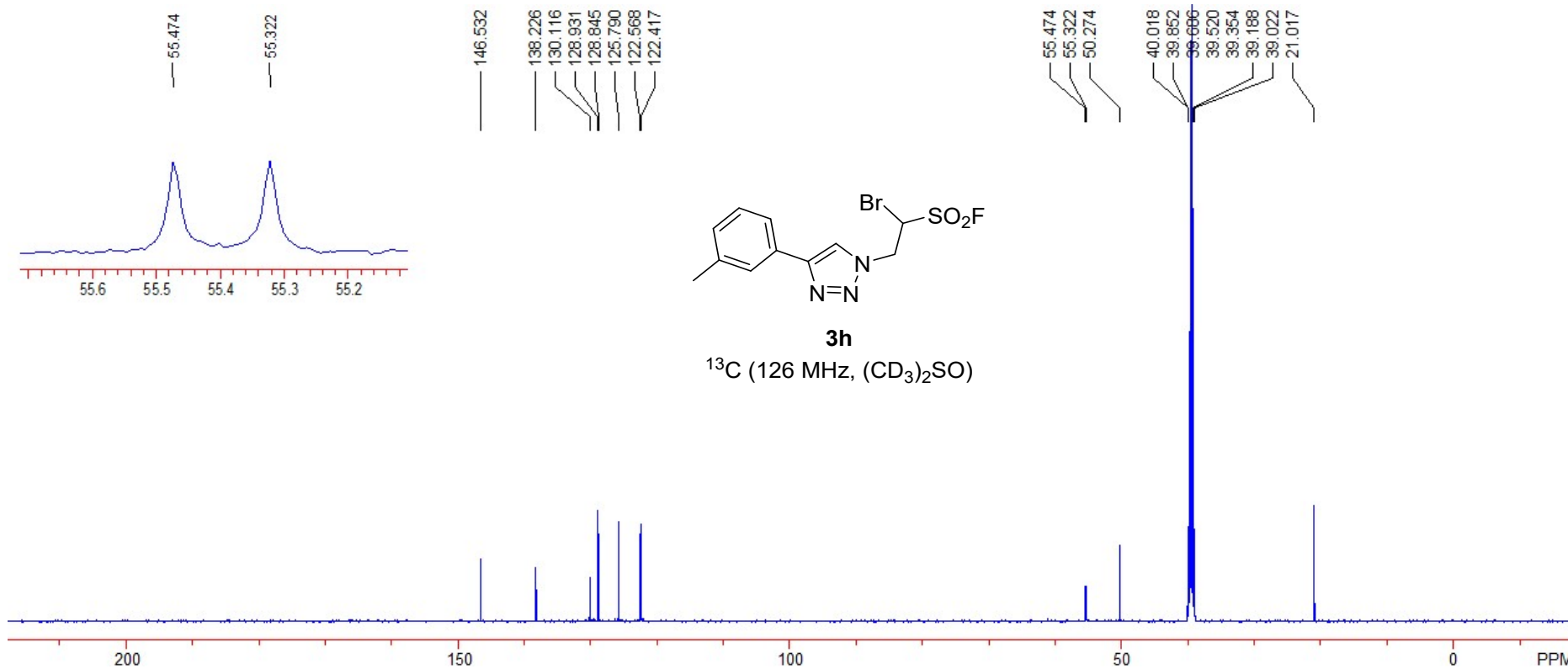


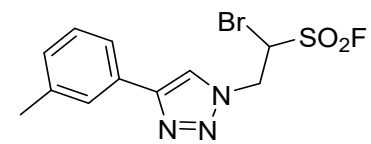
3g

^{19}F (471 MHz, $(\text{CD}_3)_2\text{SO}$)



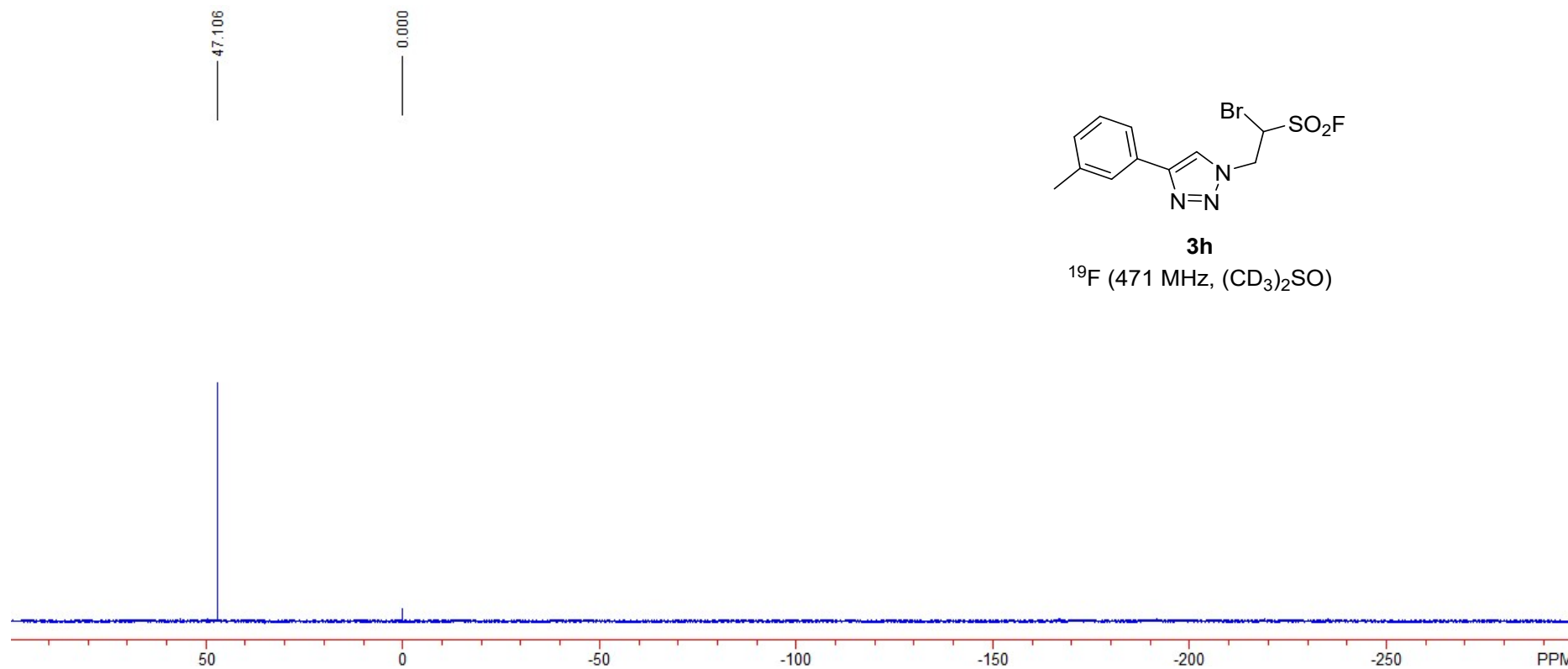


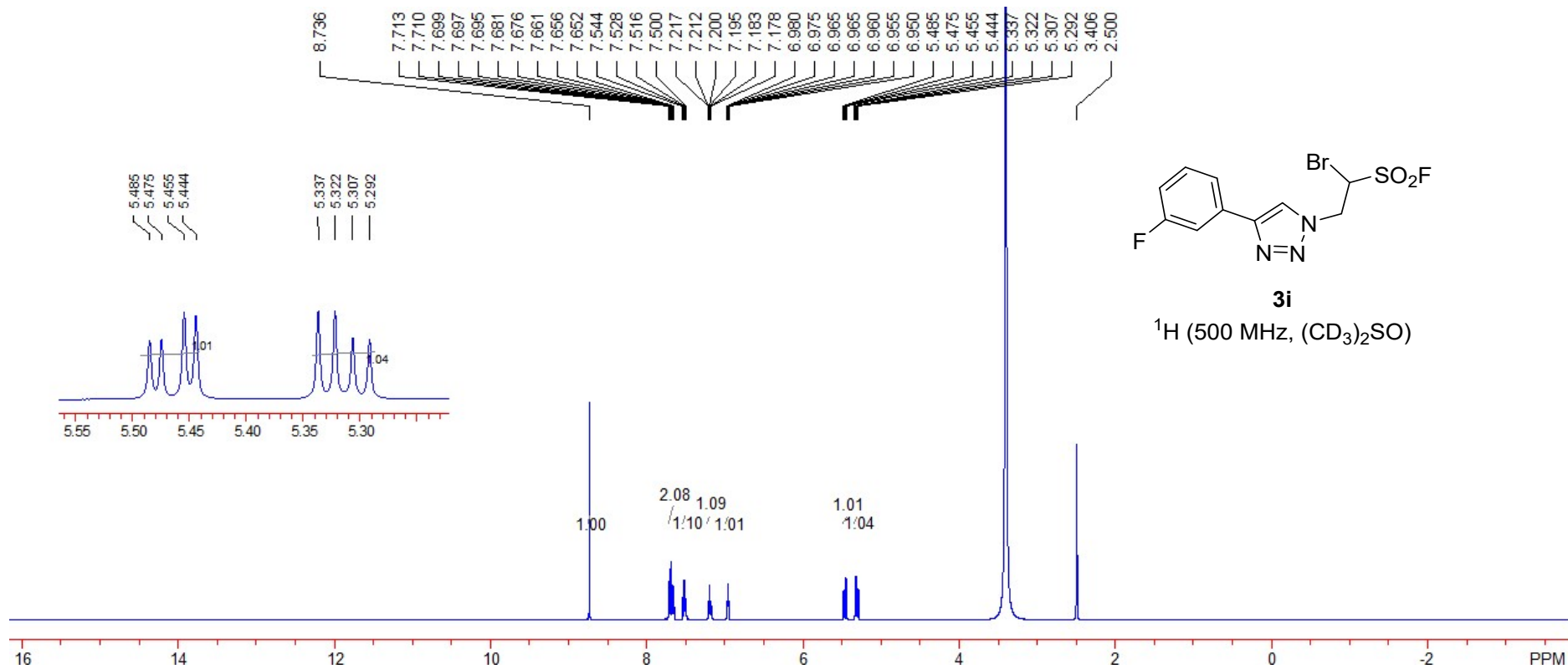


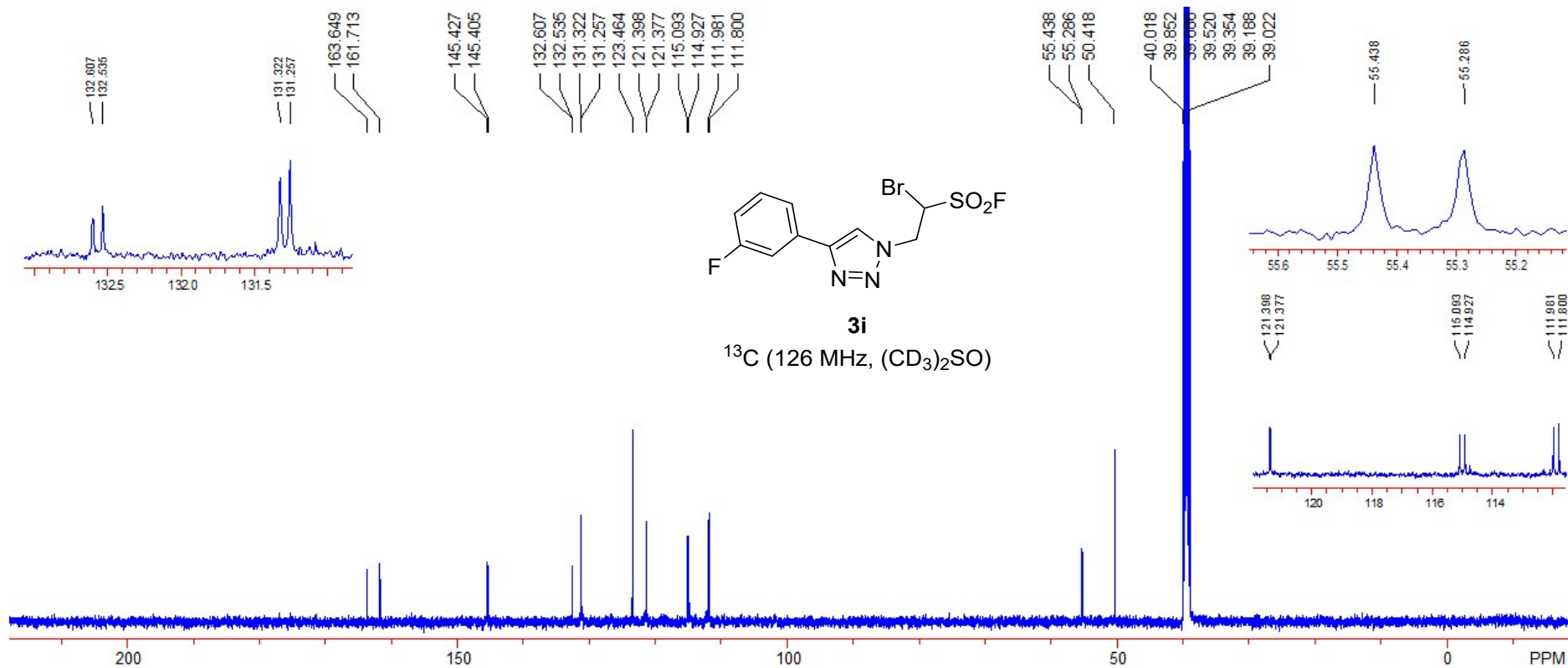


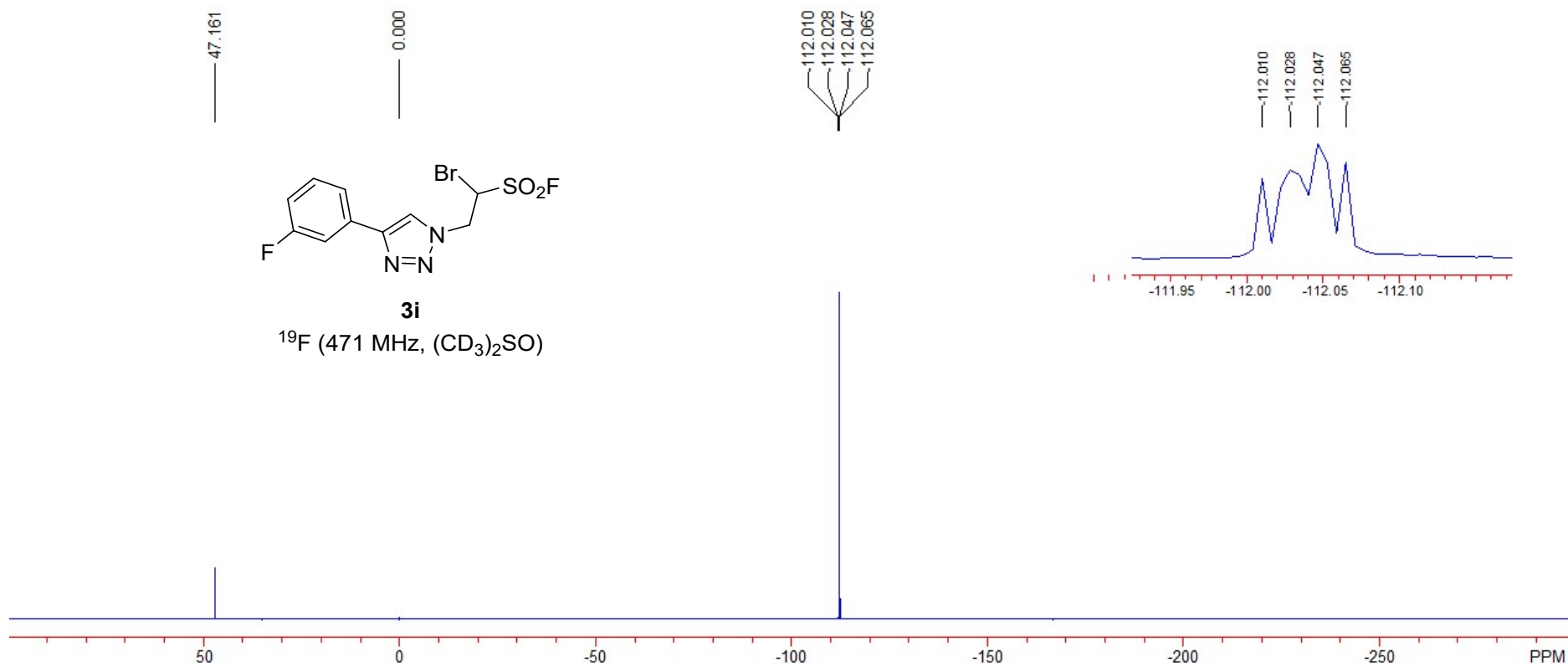
3h

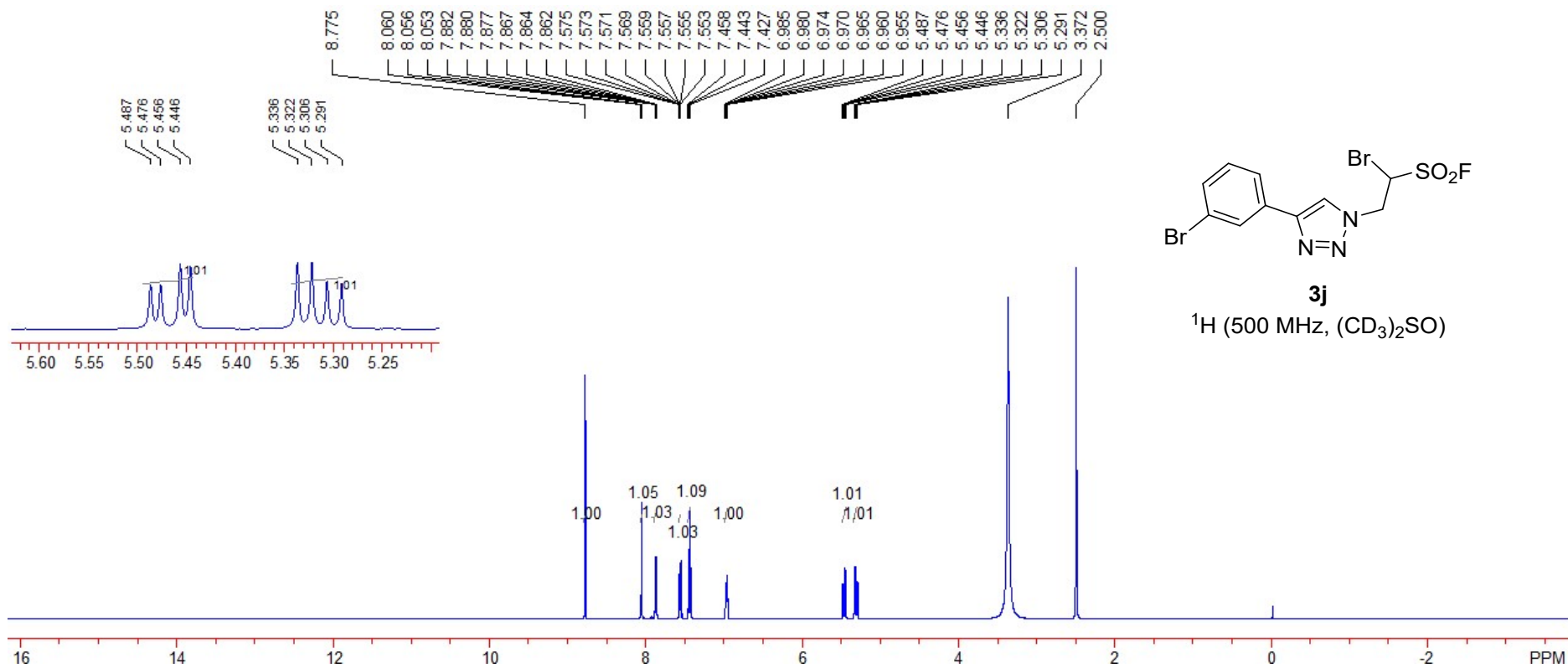
^{19}F (471 MHz, $(\text{CD}_3)_2\text{SO}$)

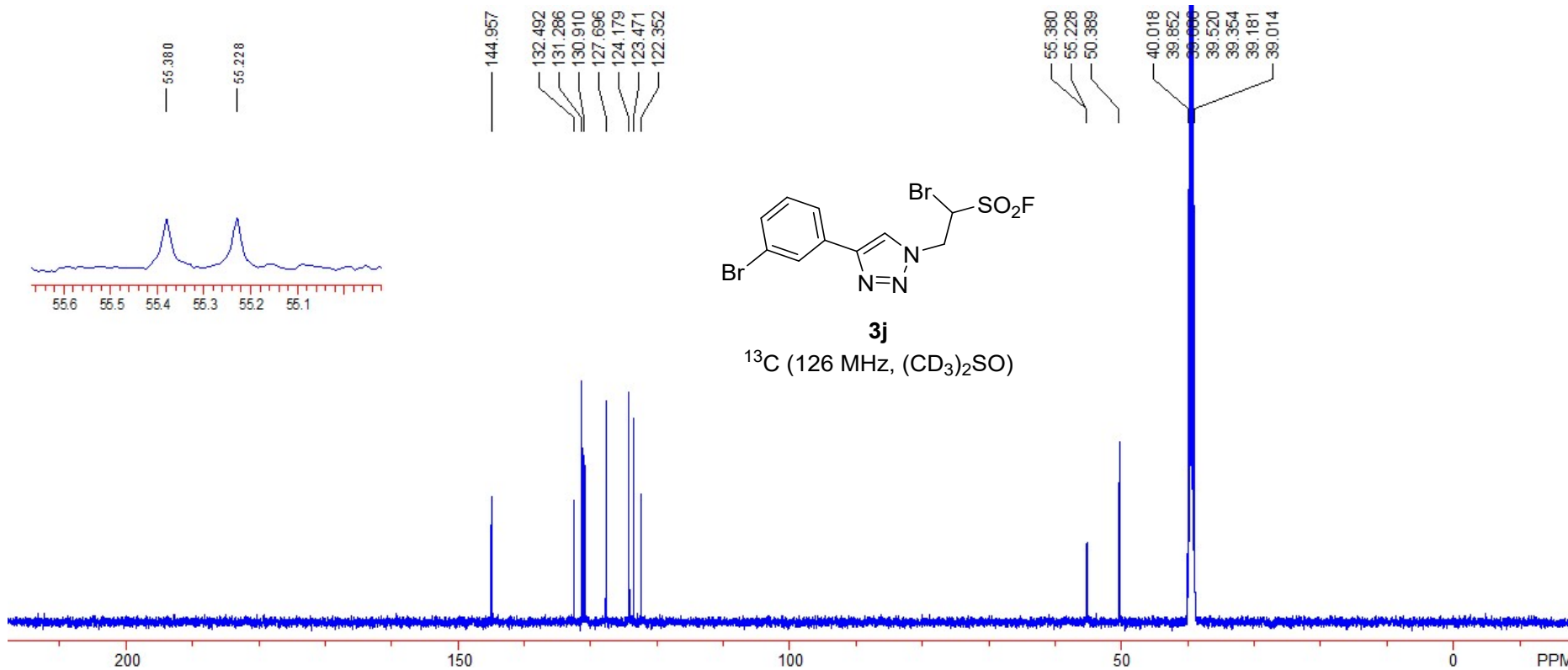


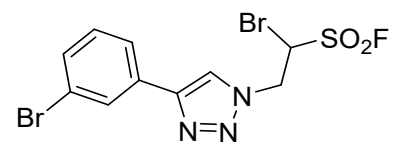






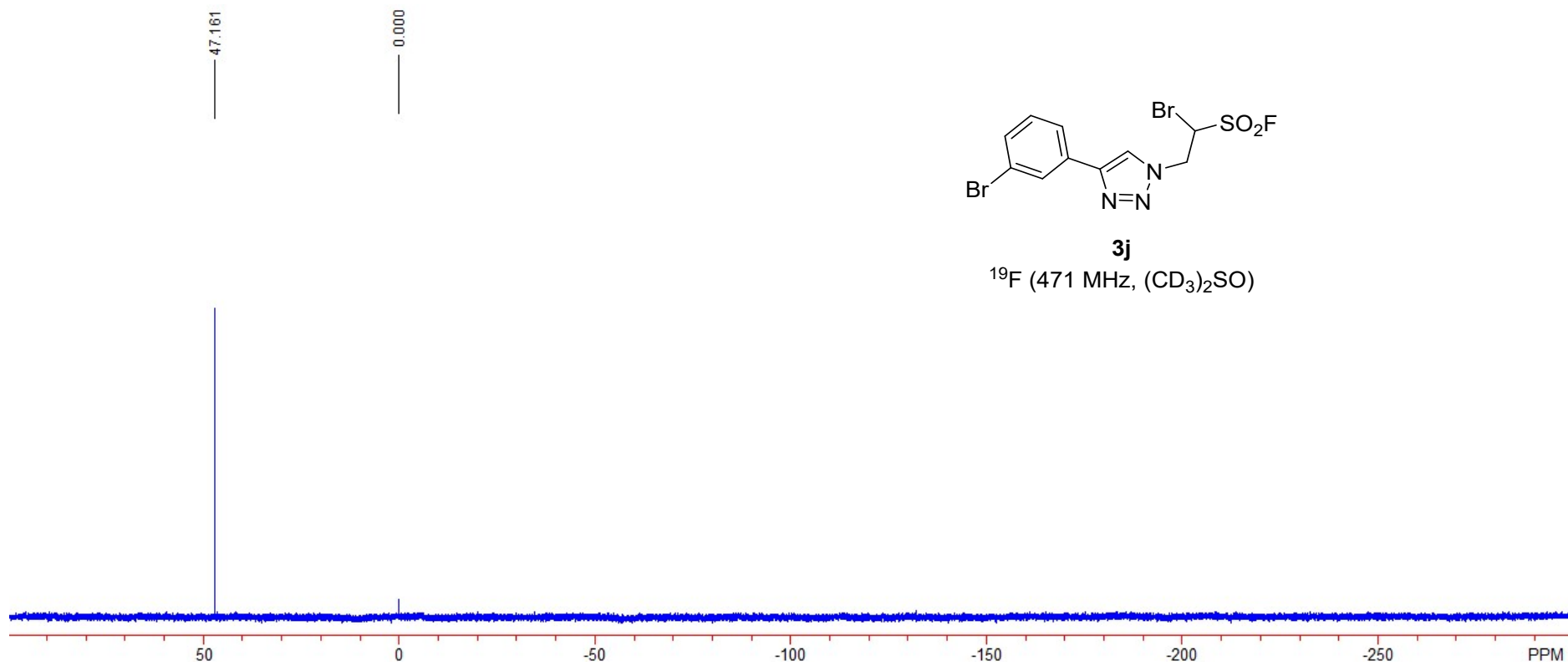


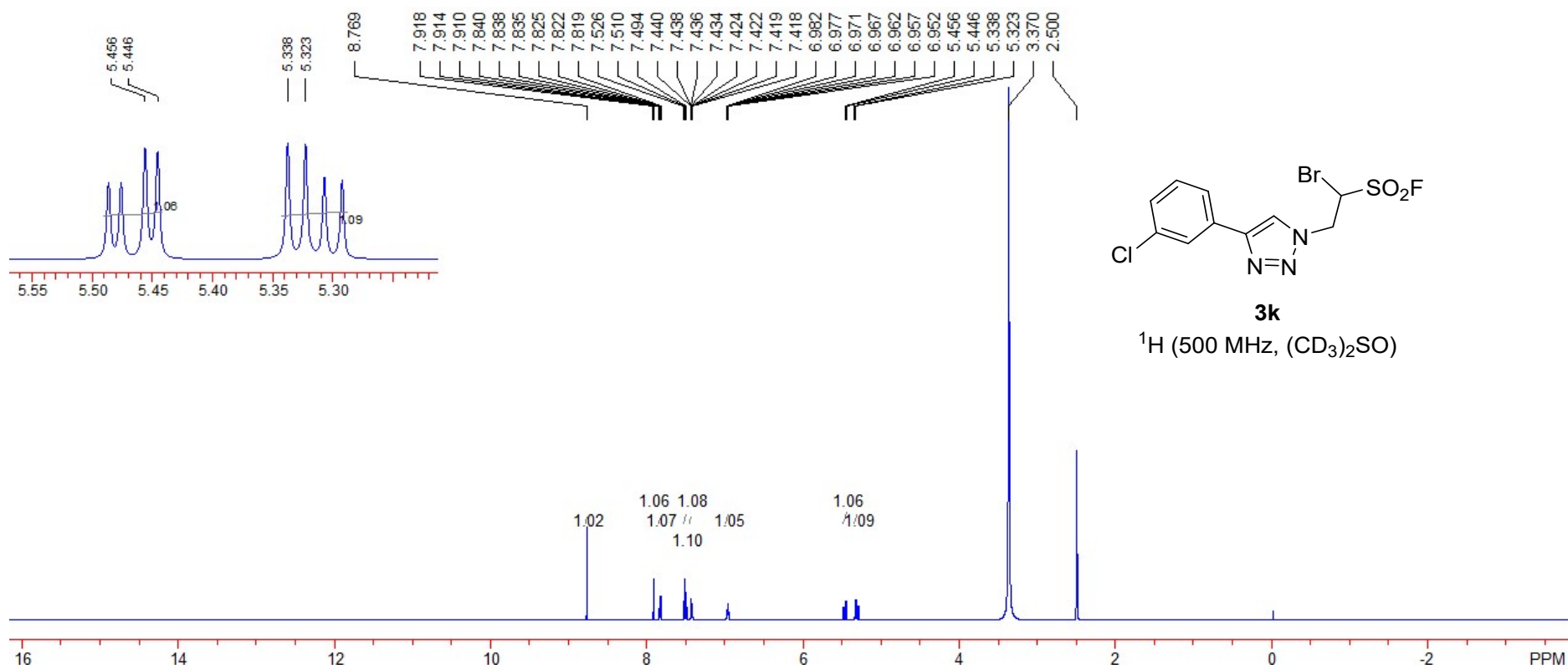


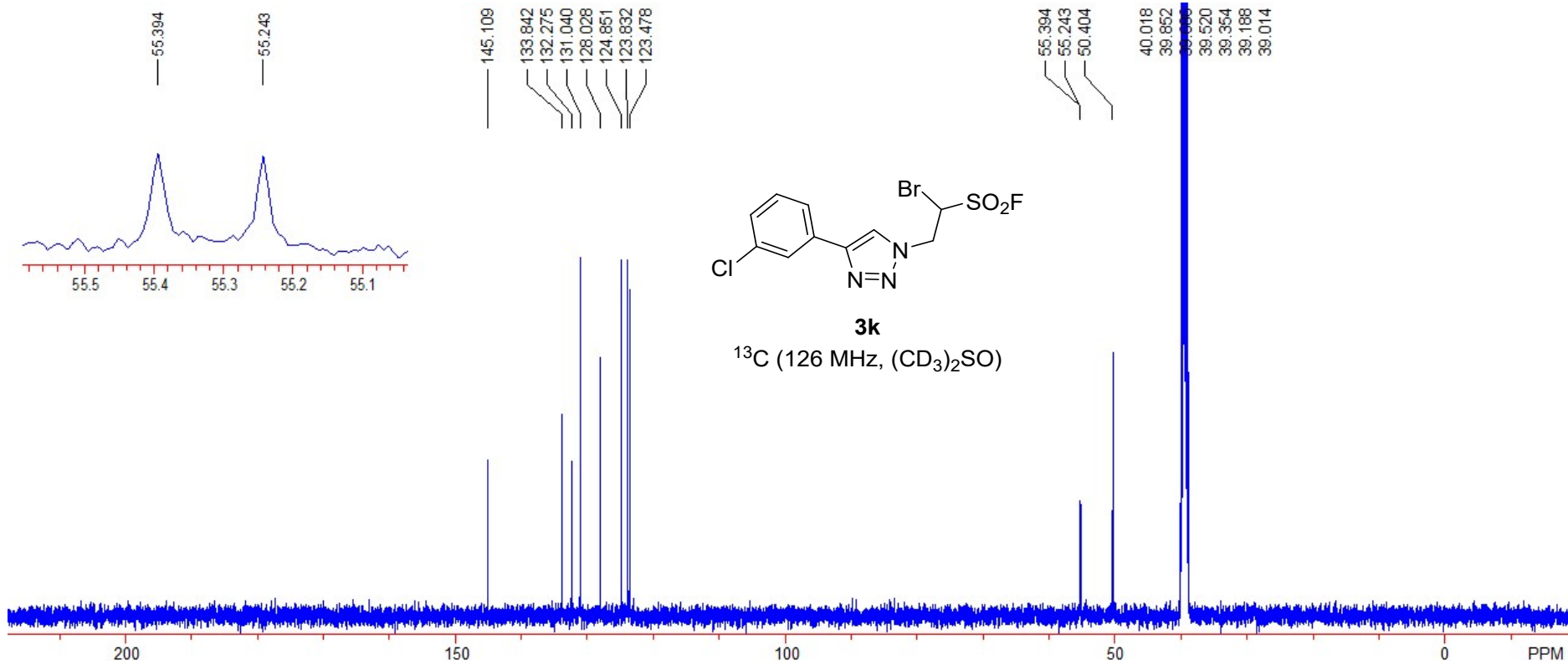


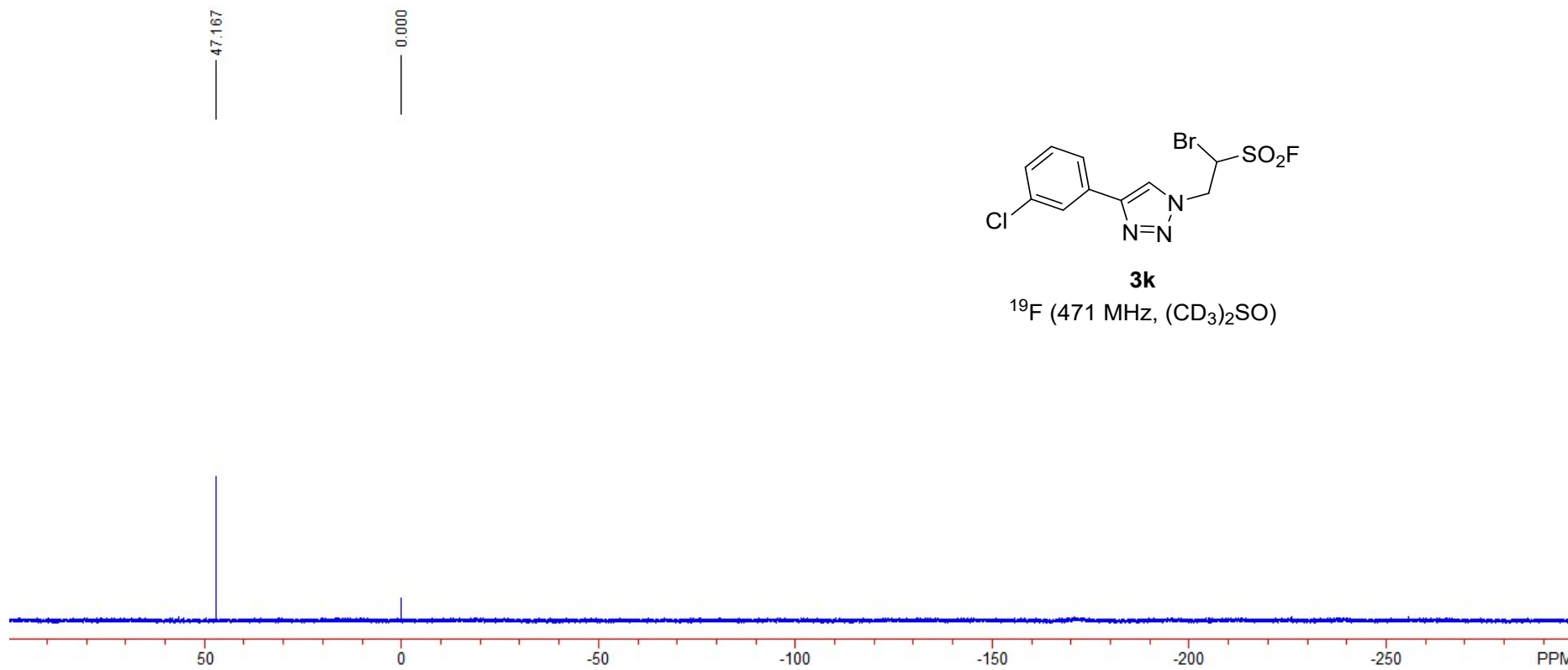
3j

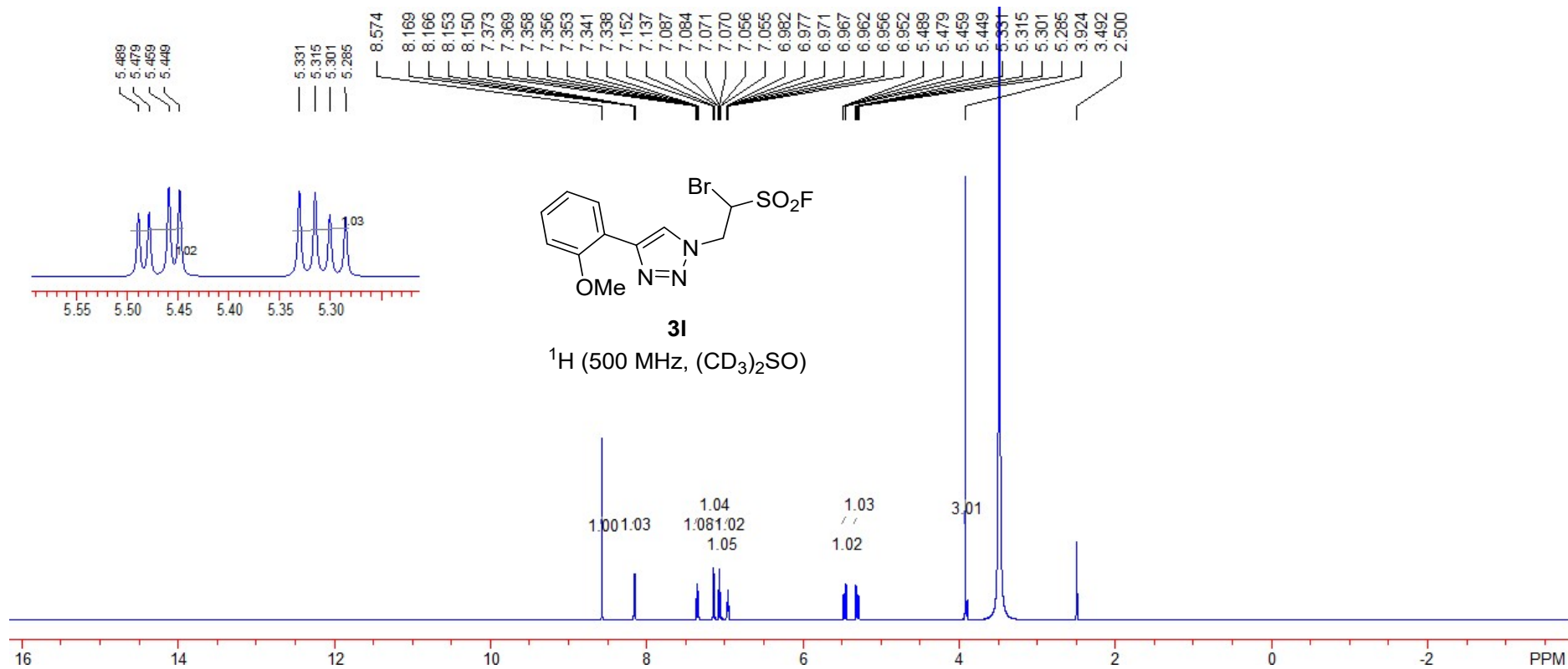
^{19}F (471 MHz, $(\text{CD}_3)_2\text{SO}$)

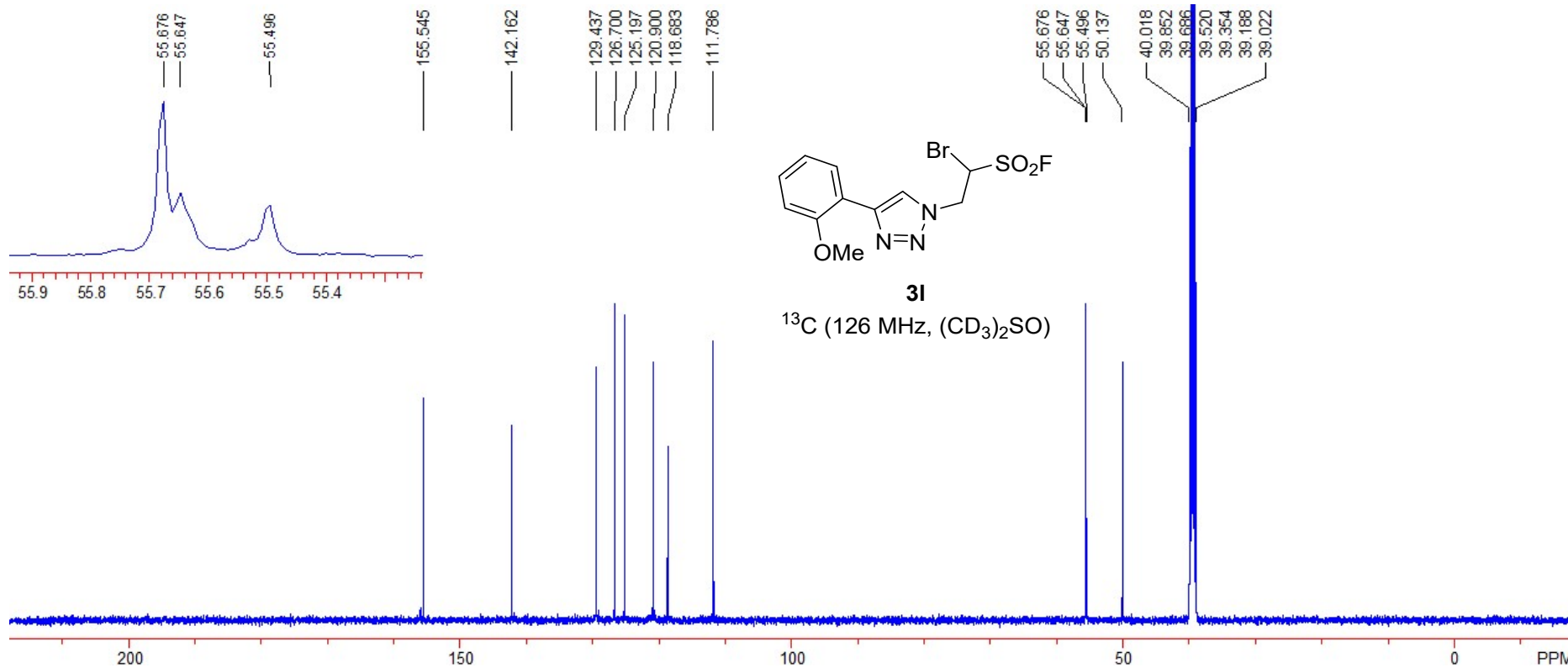


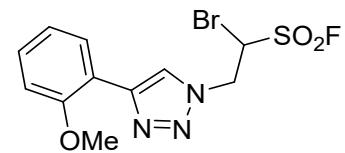
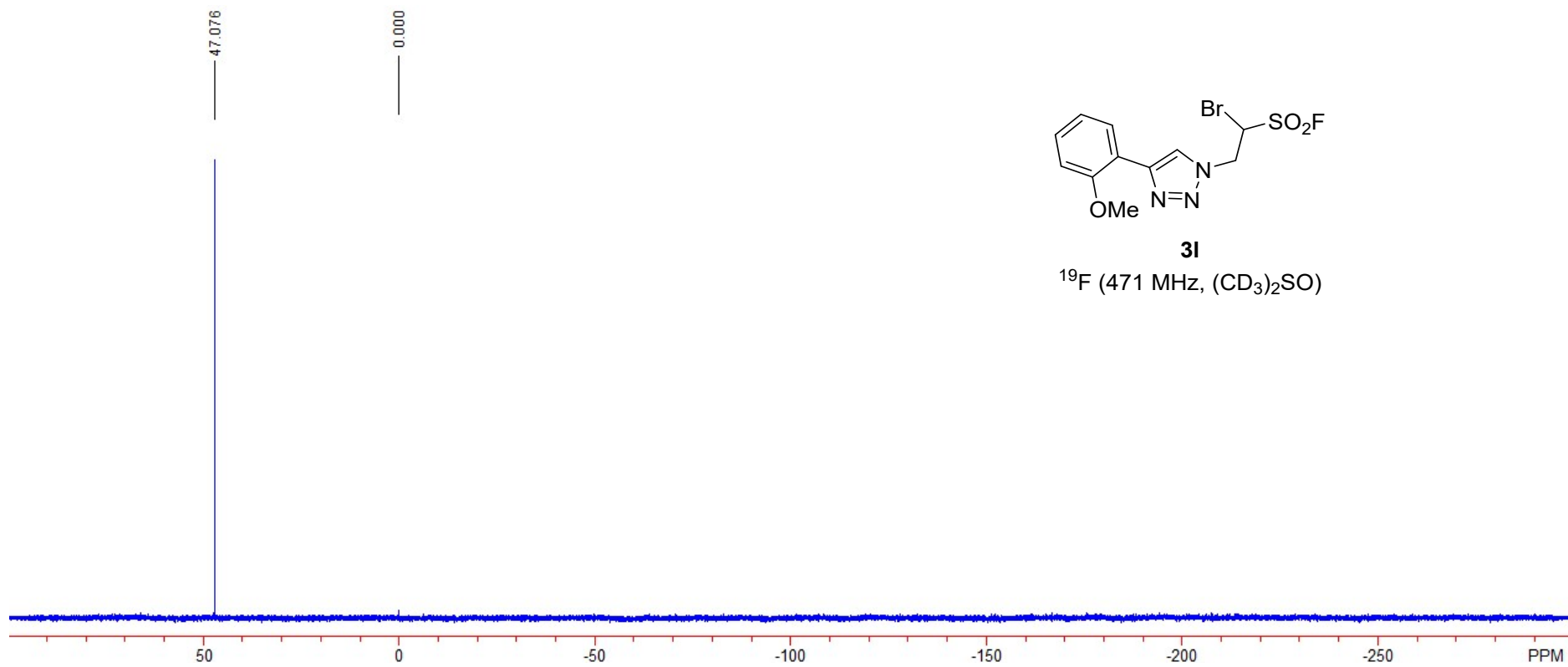






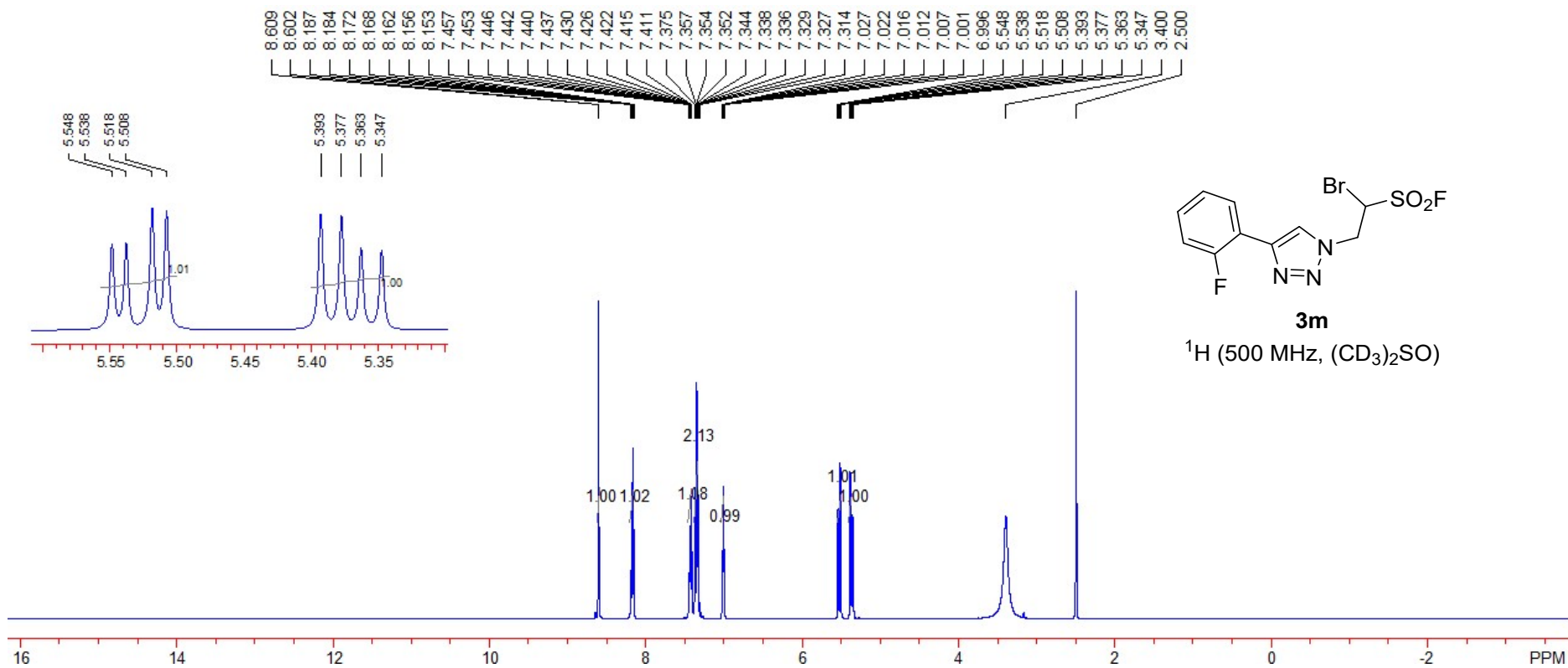


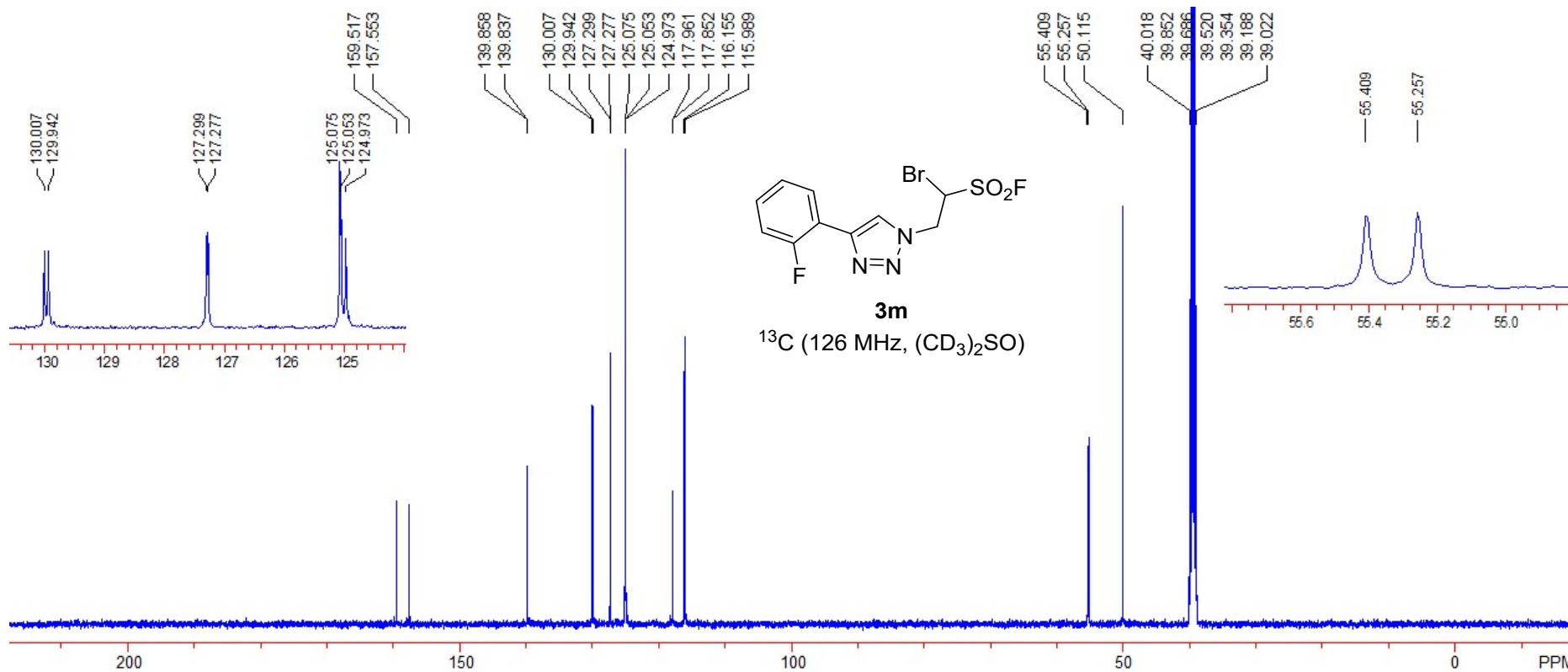


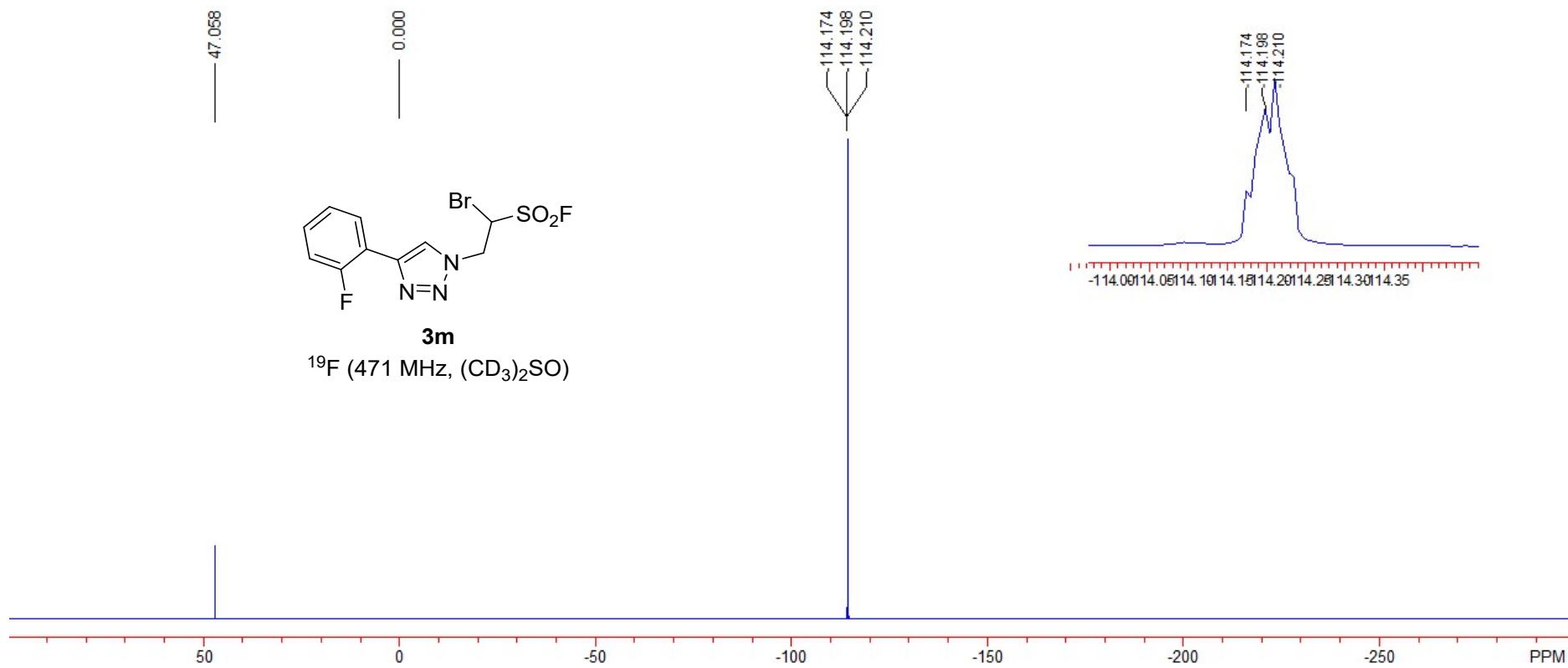


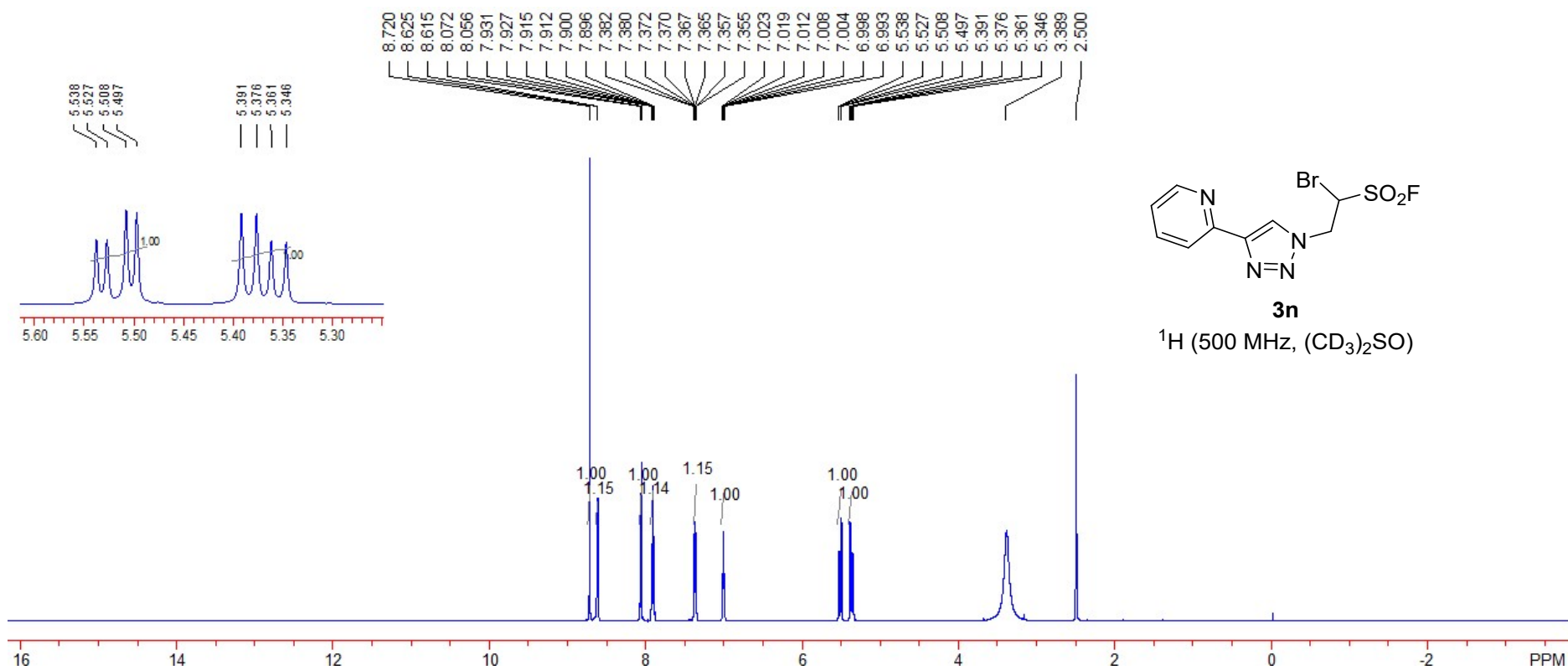
3I

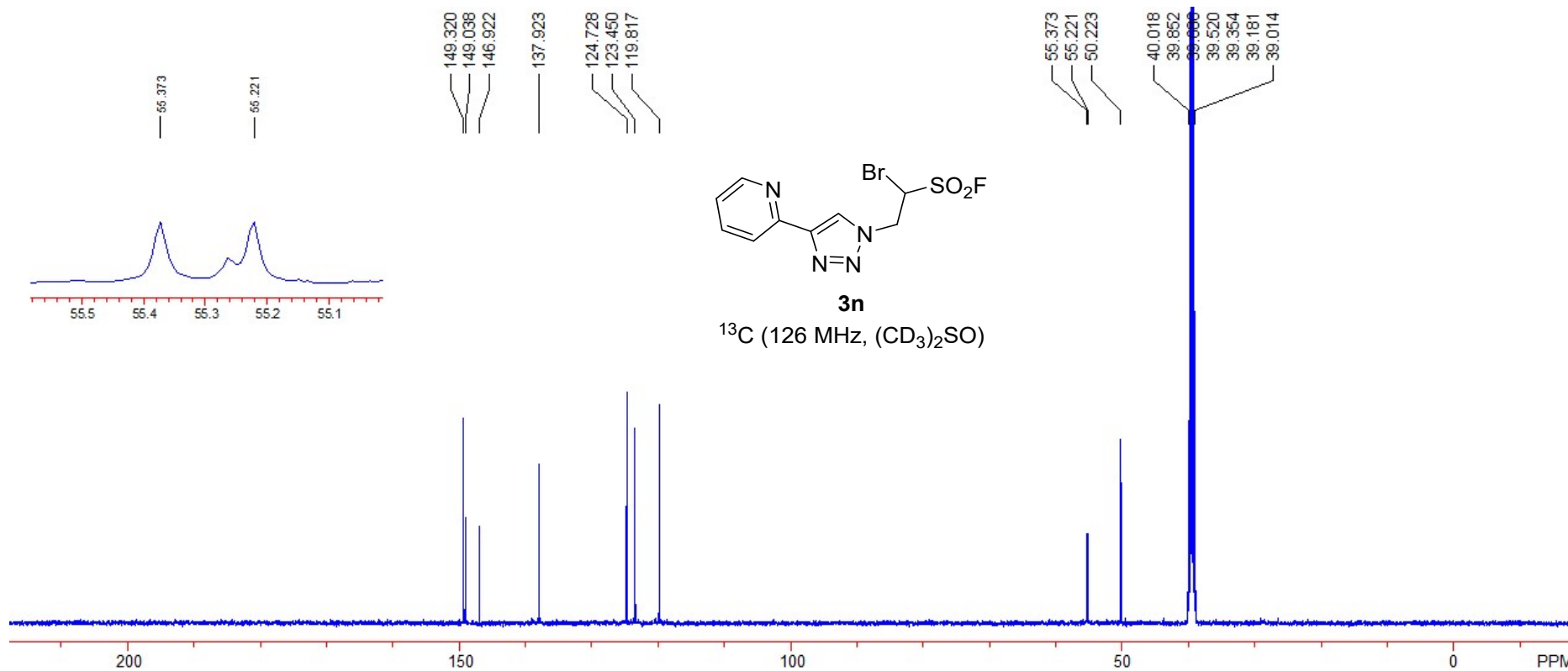
¹⁹F (471 MHz, (CD₃)₂SO)

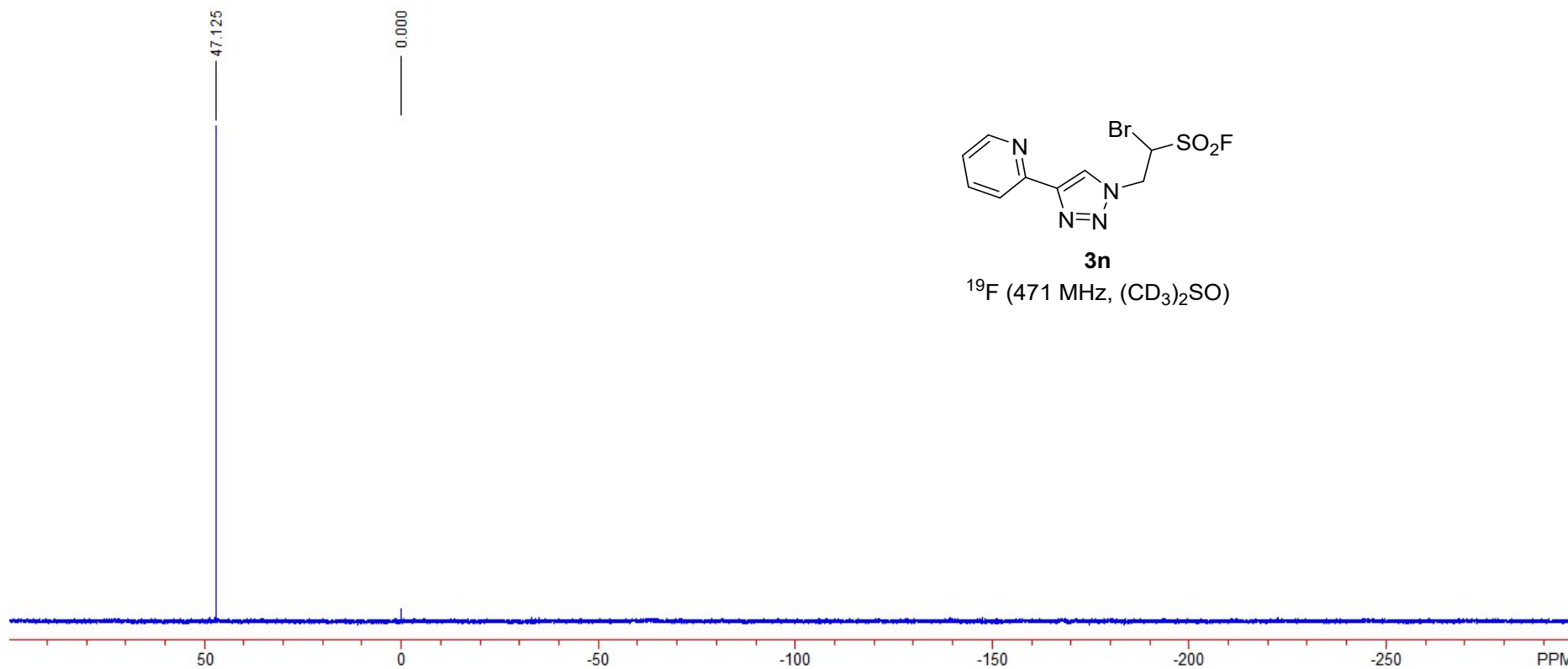


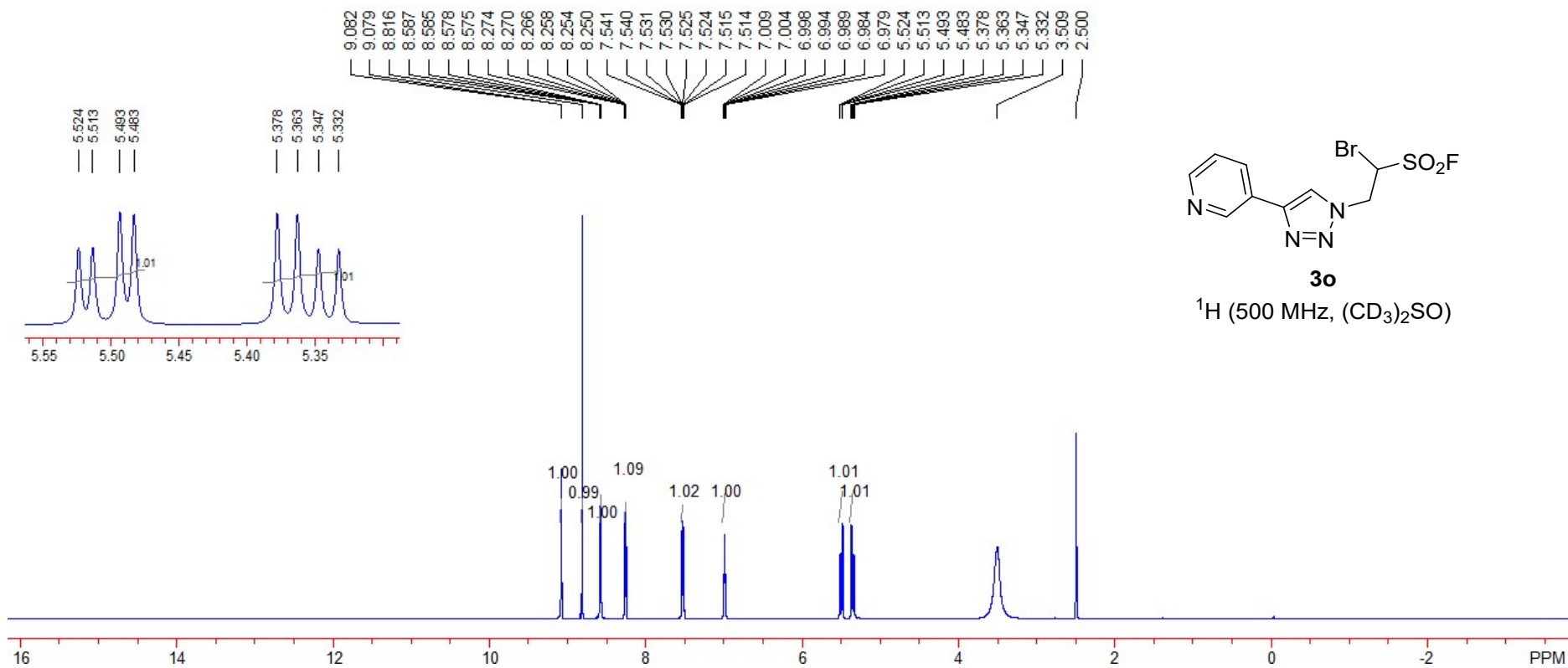


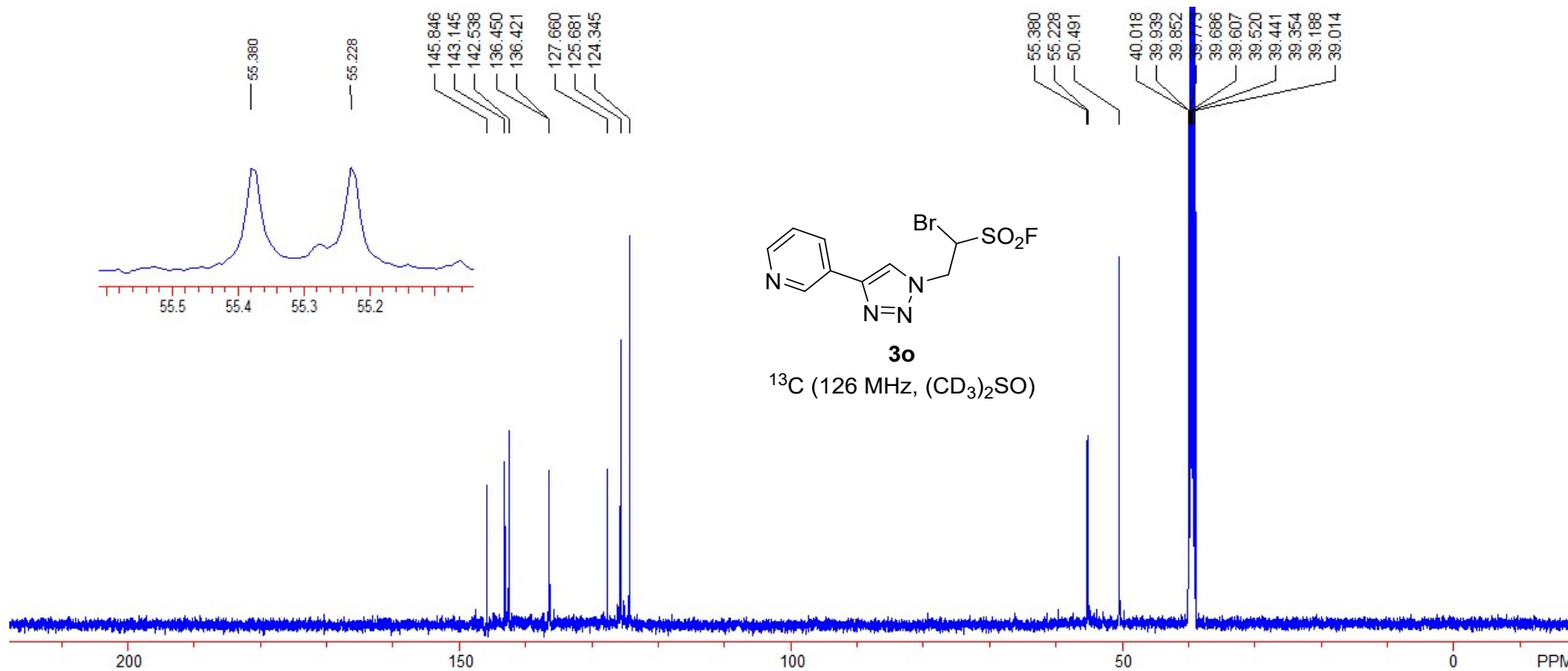


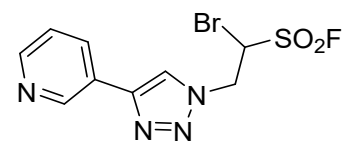
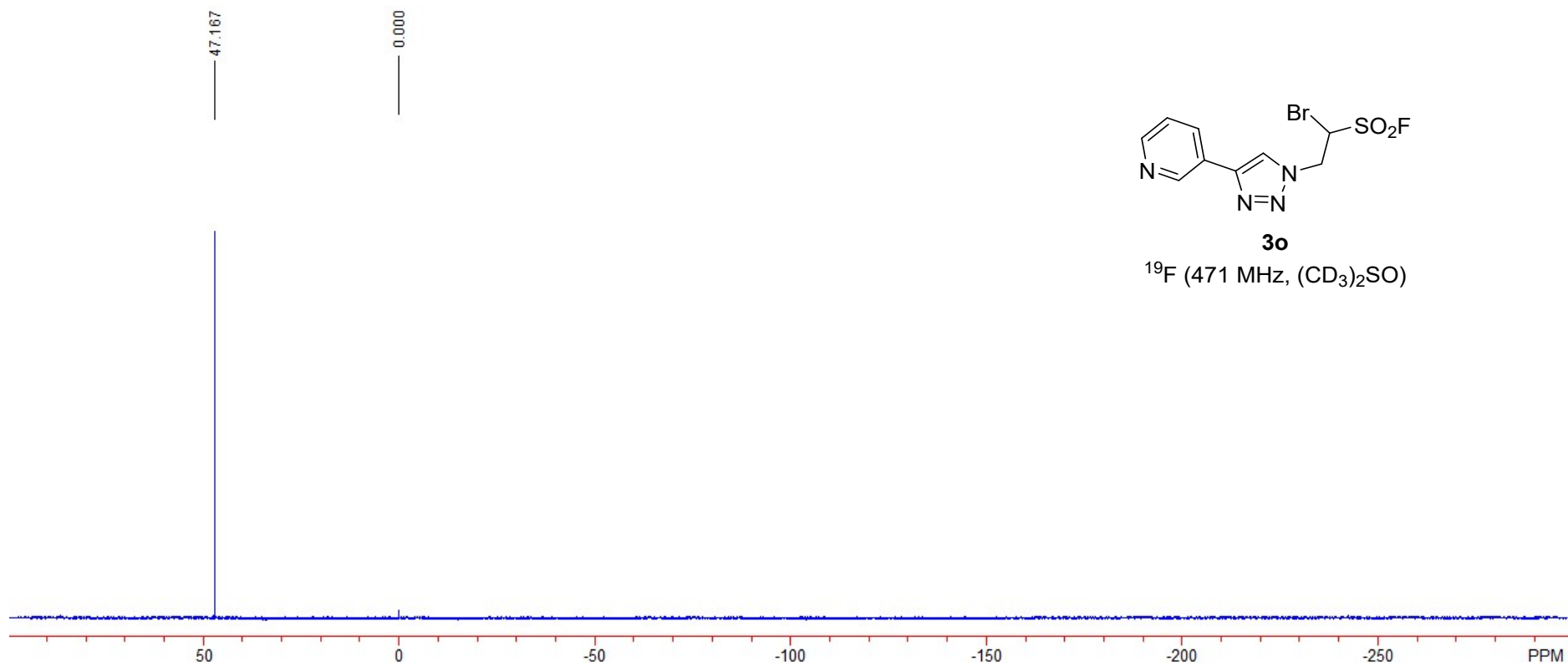






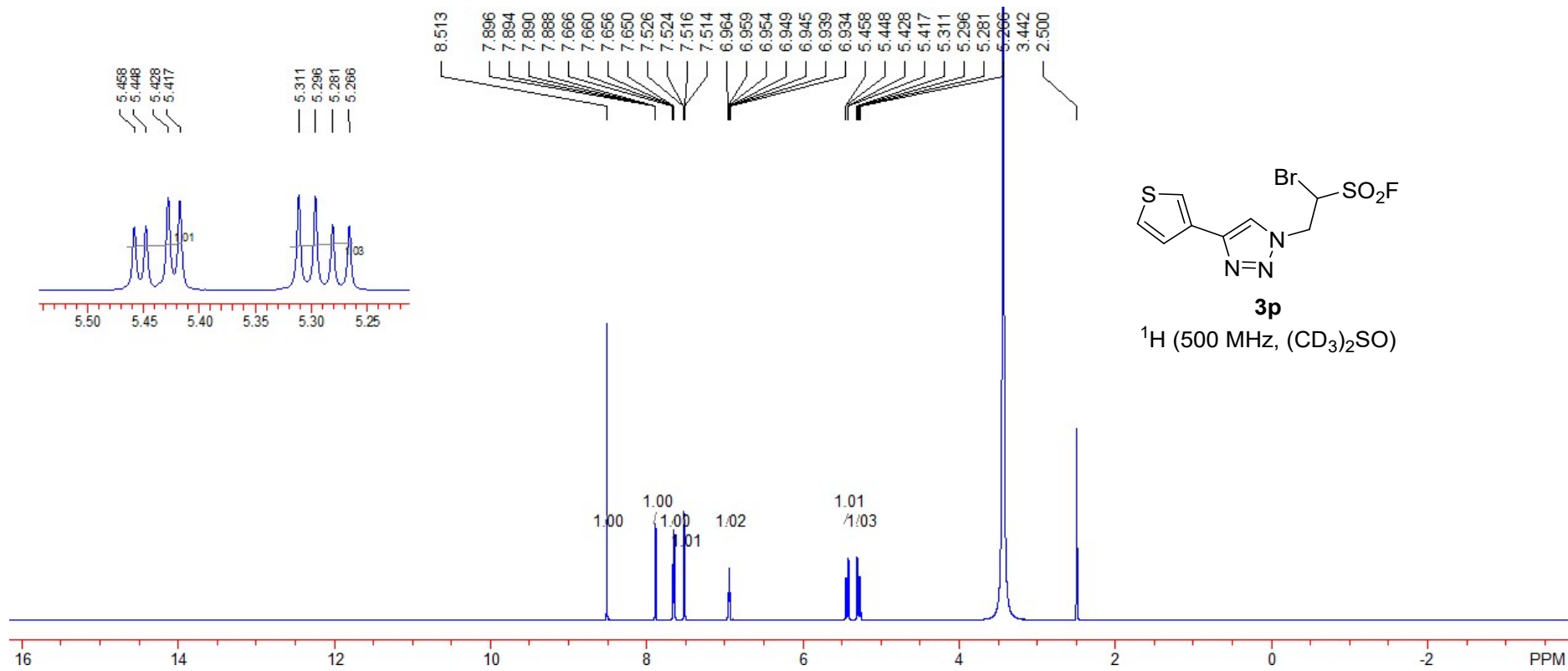


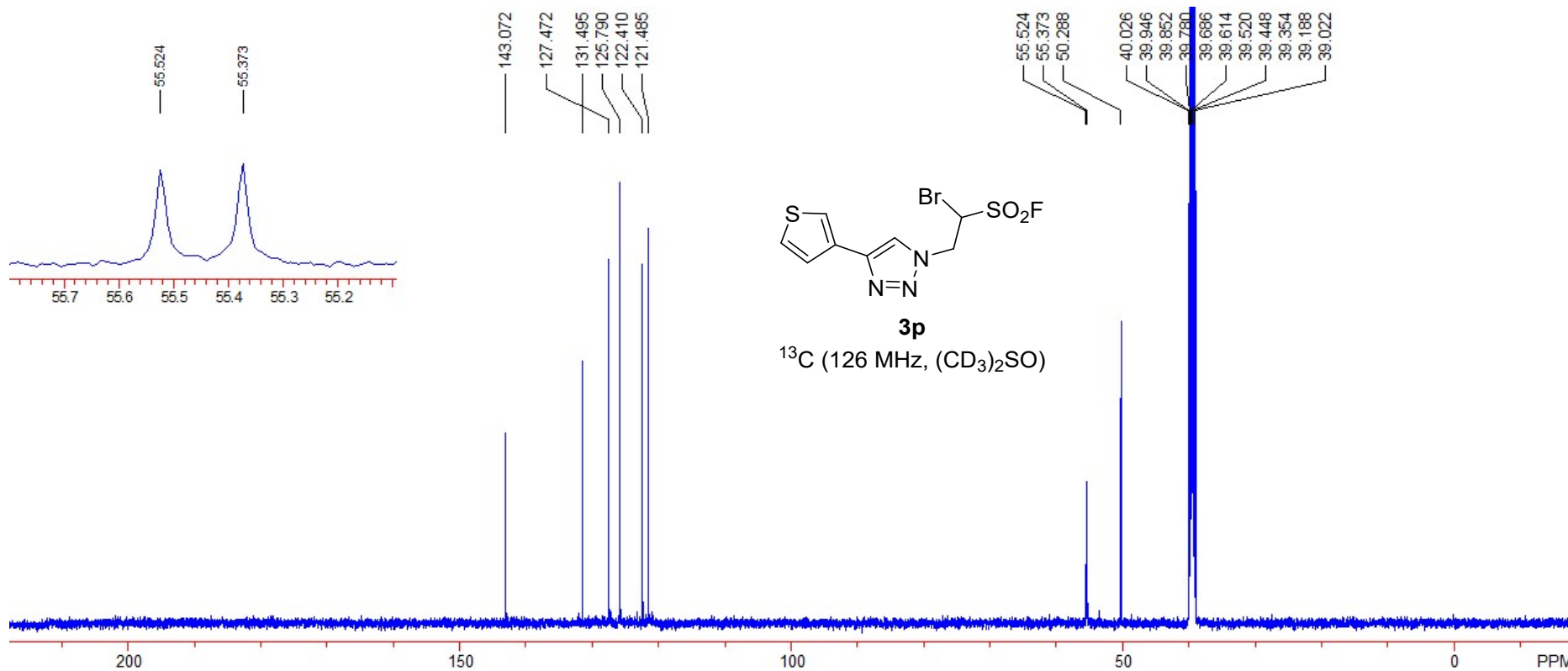


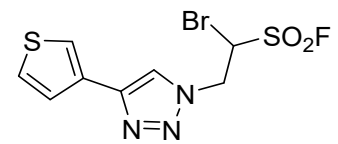


3o

^{19}F (471 MHz, $(\text{CD}_3)_2\text{SO}$)

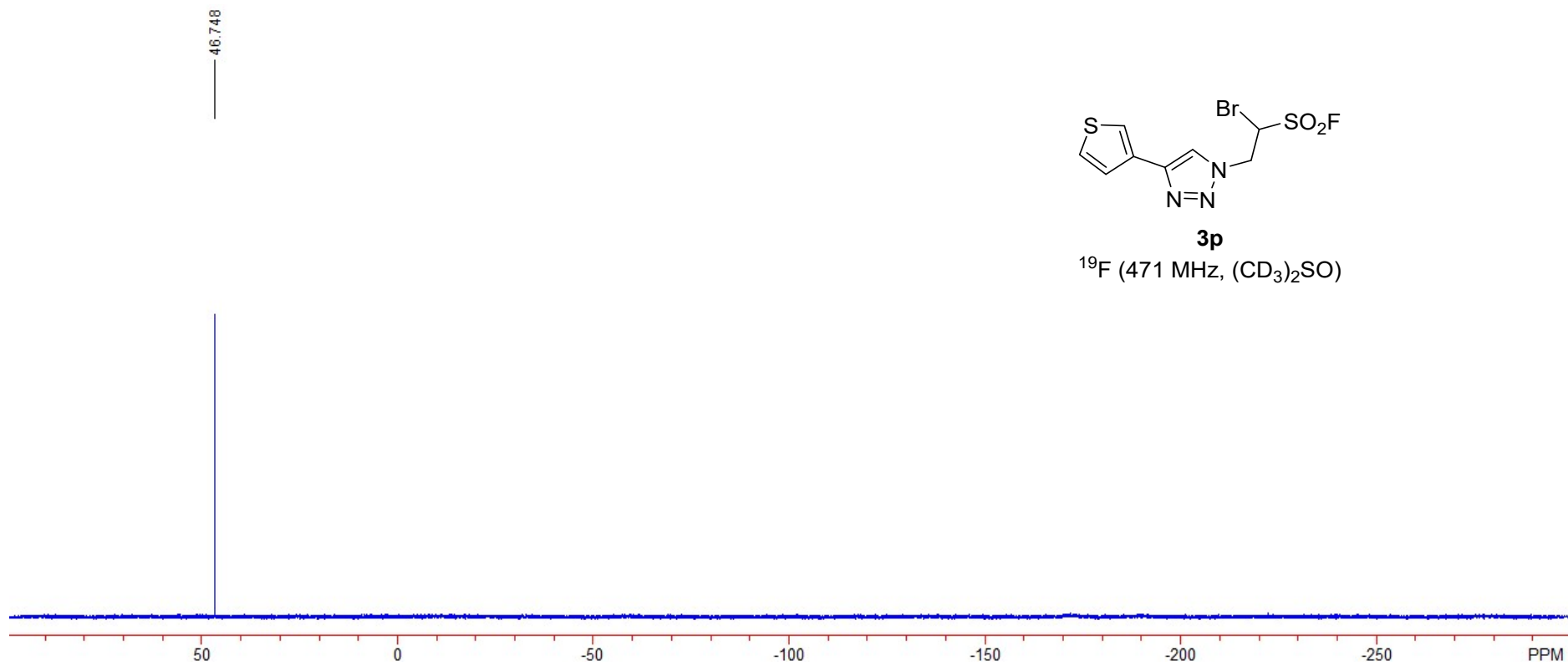


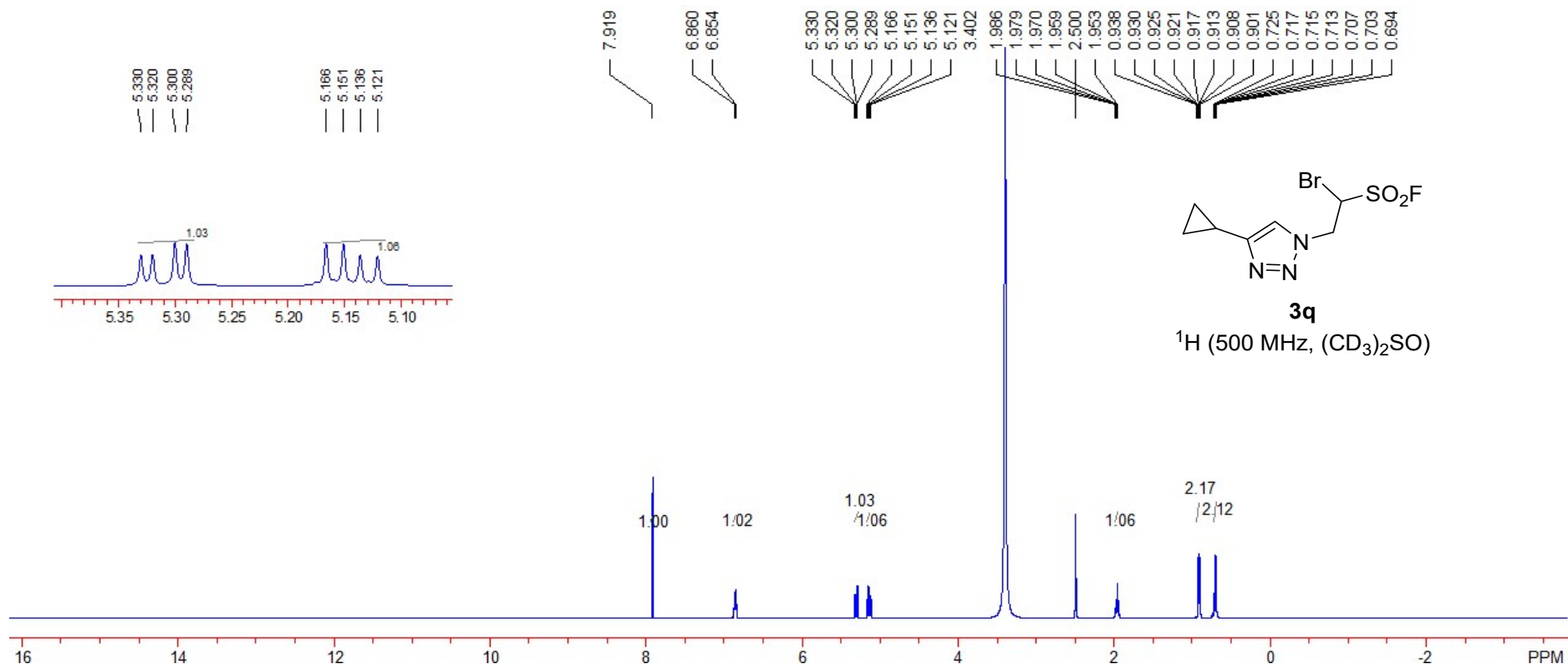


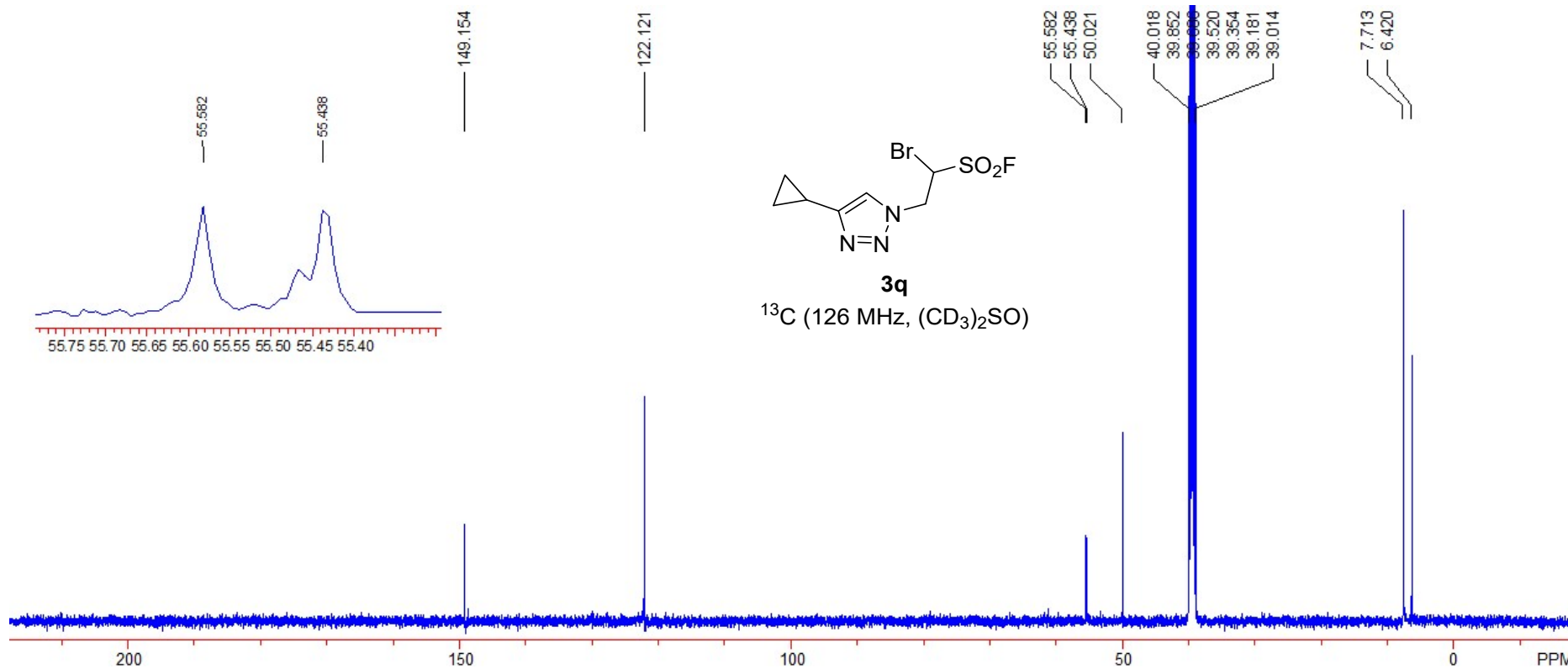


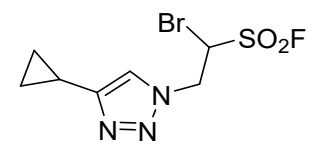
3p

^{19}F (471 MHz, $(\text{CD}_3)_2\text{SO}$)



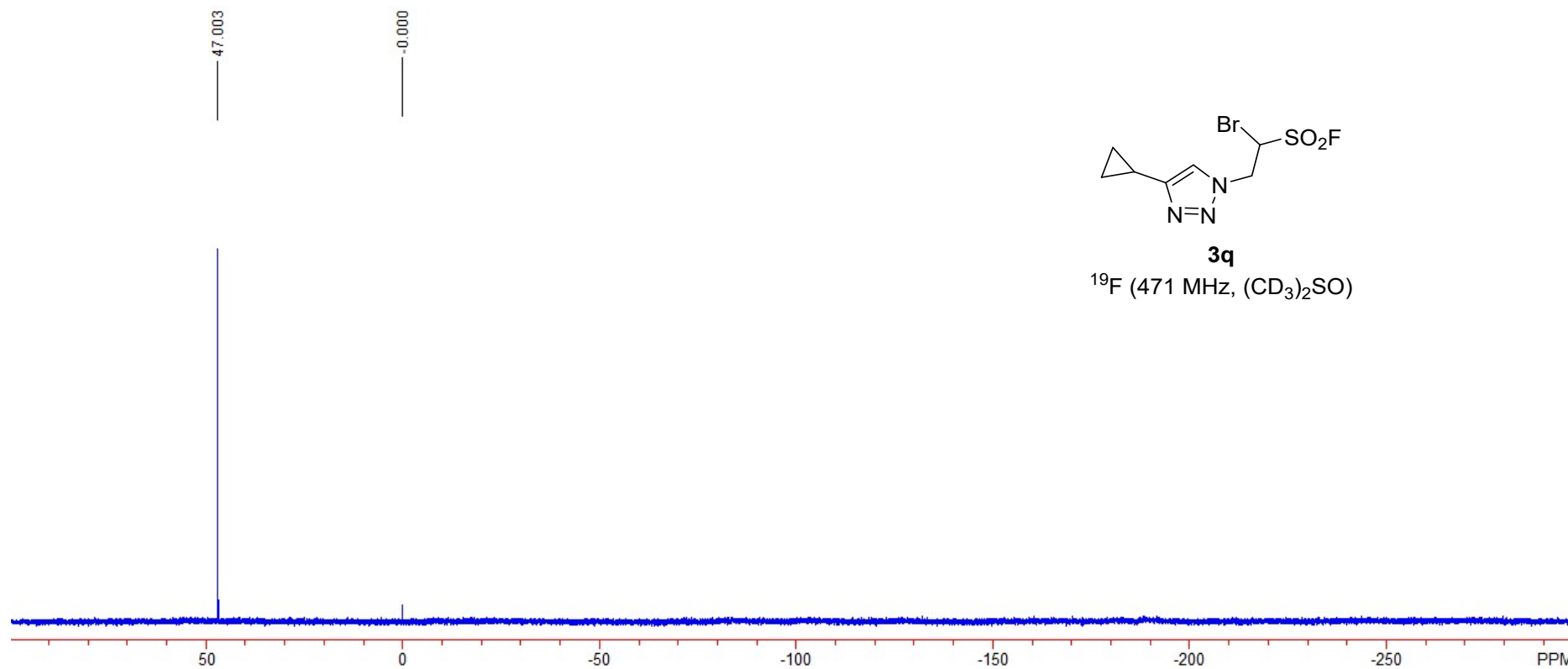


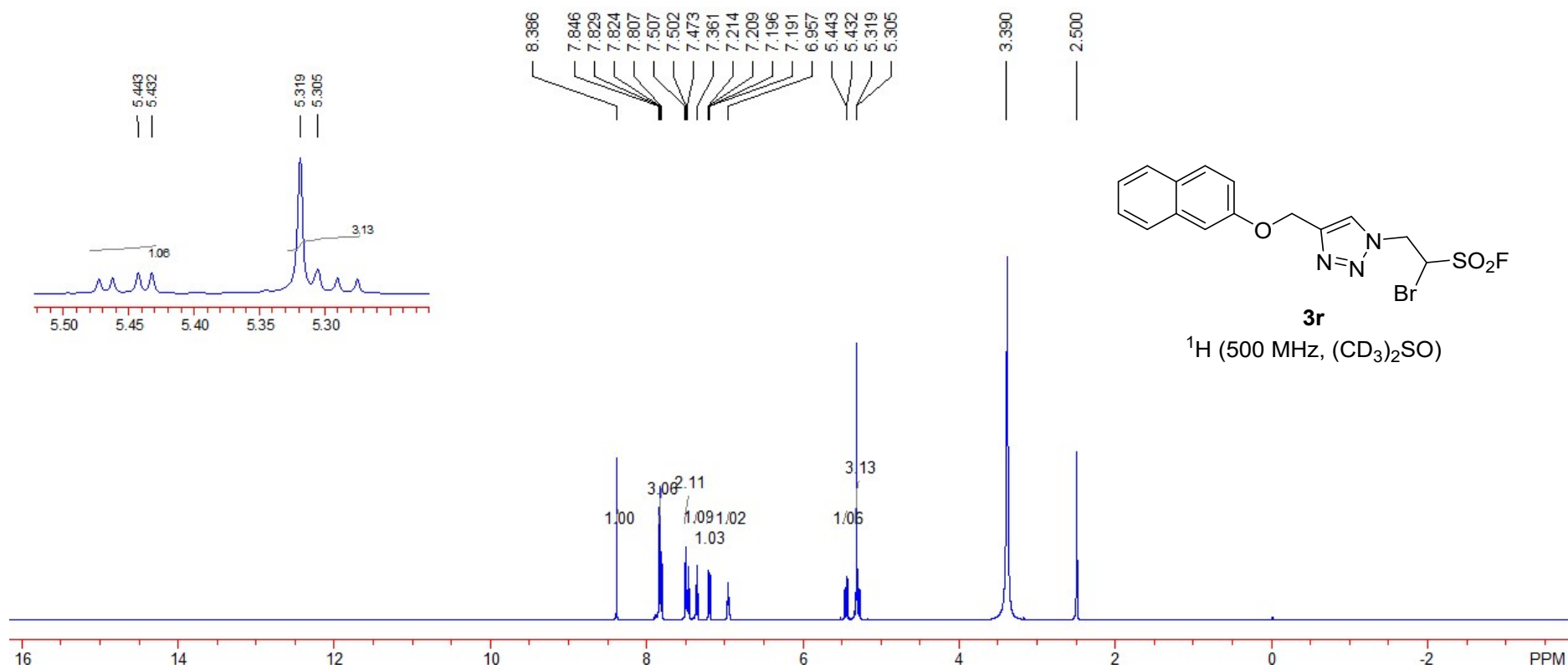


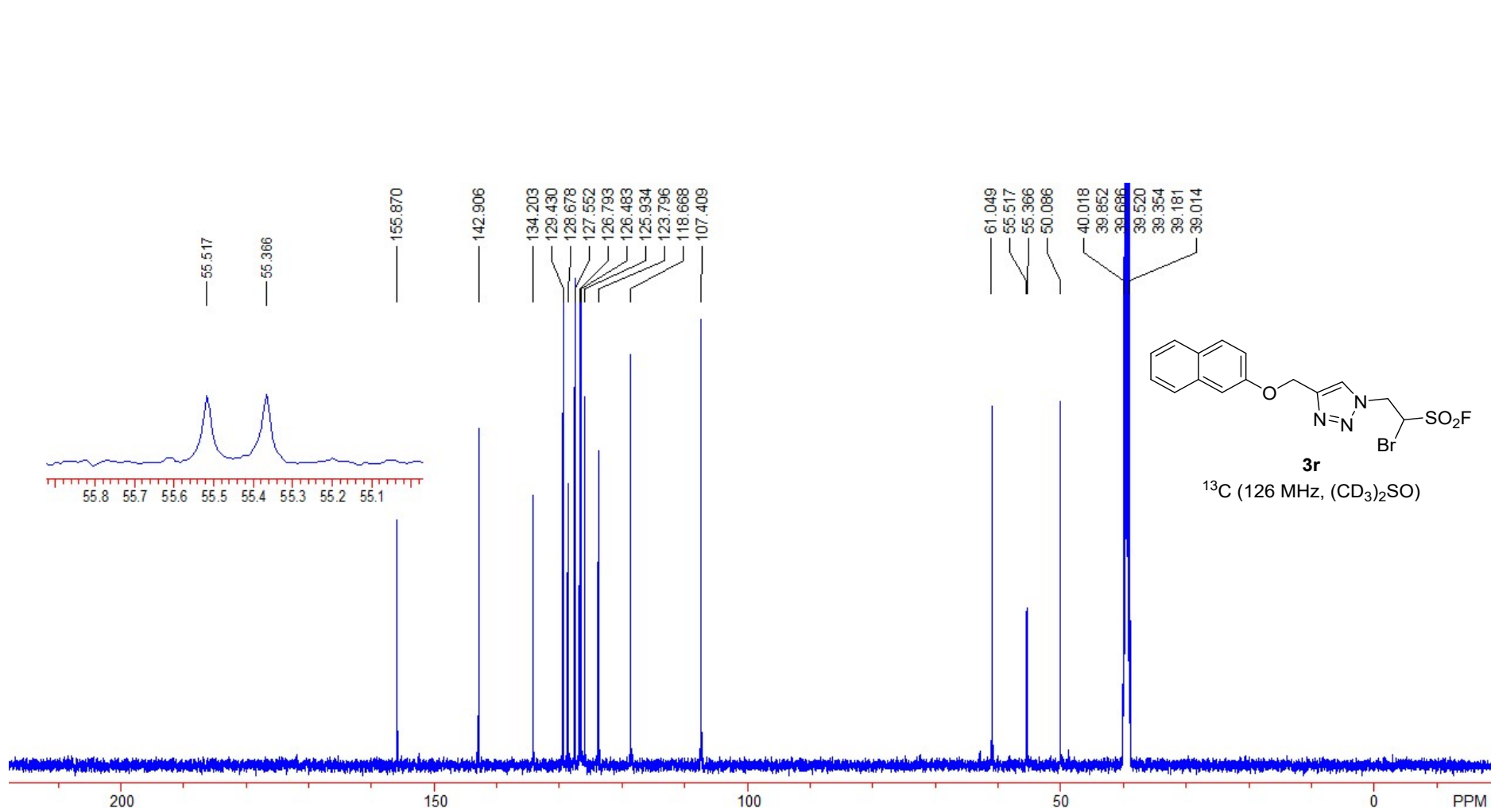


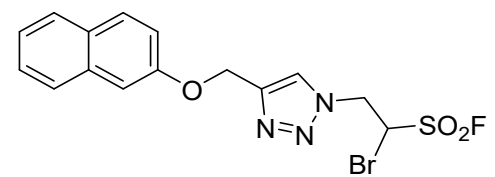
3q

¹⁹F (471 MHz, (CD₃)₂SO)

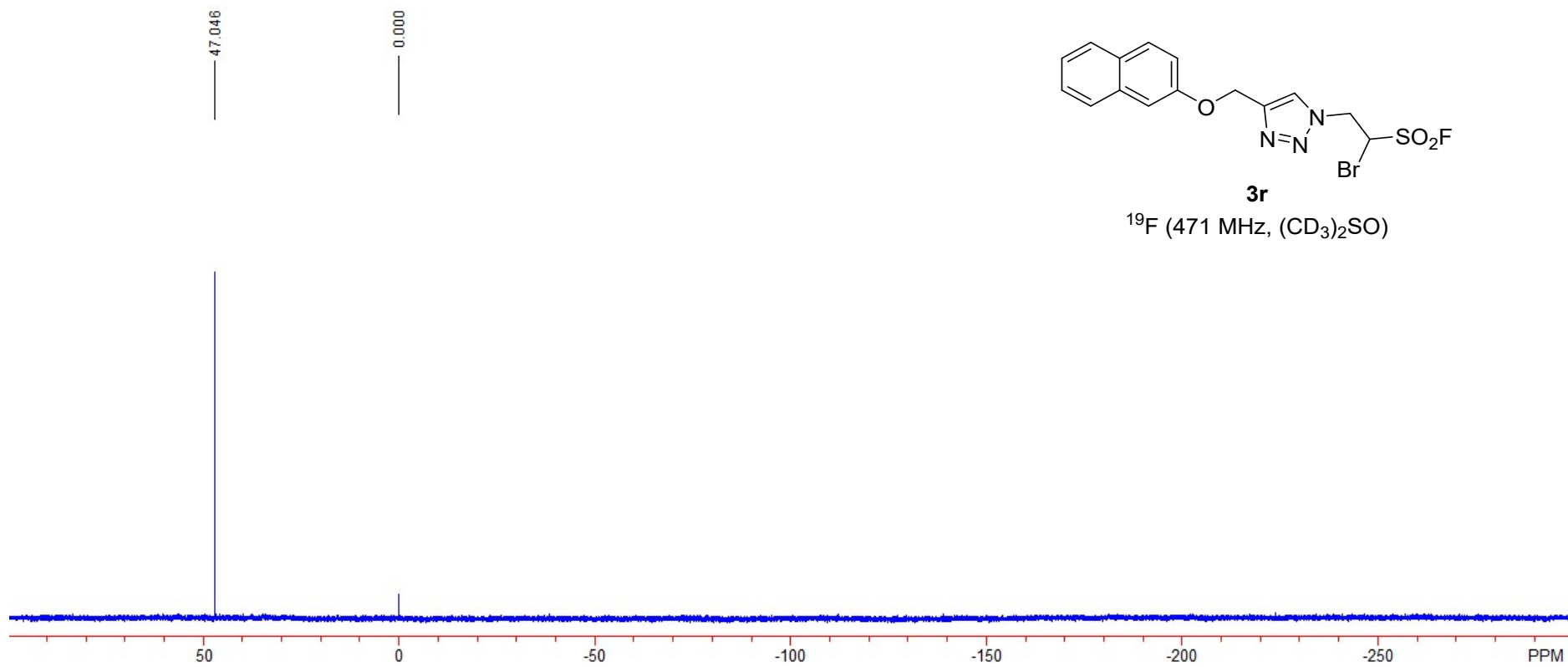


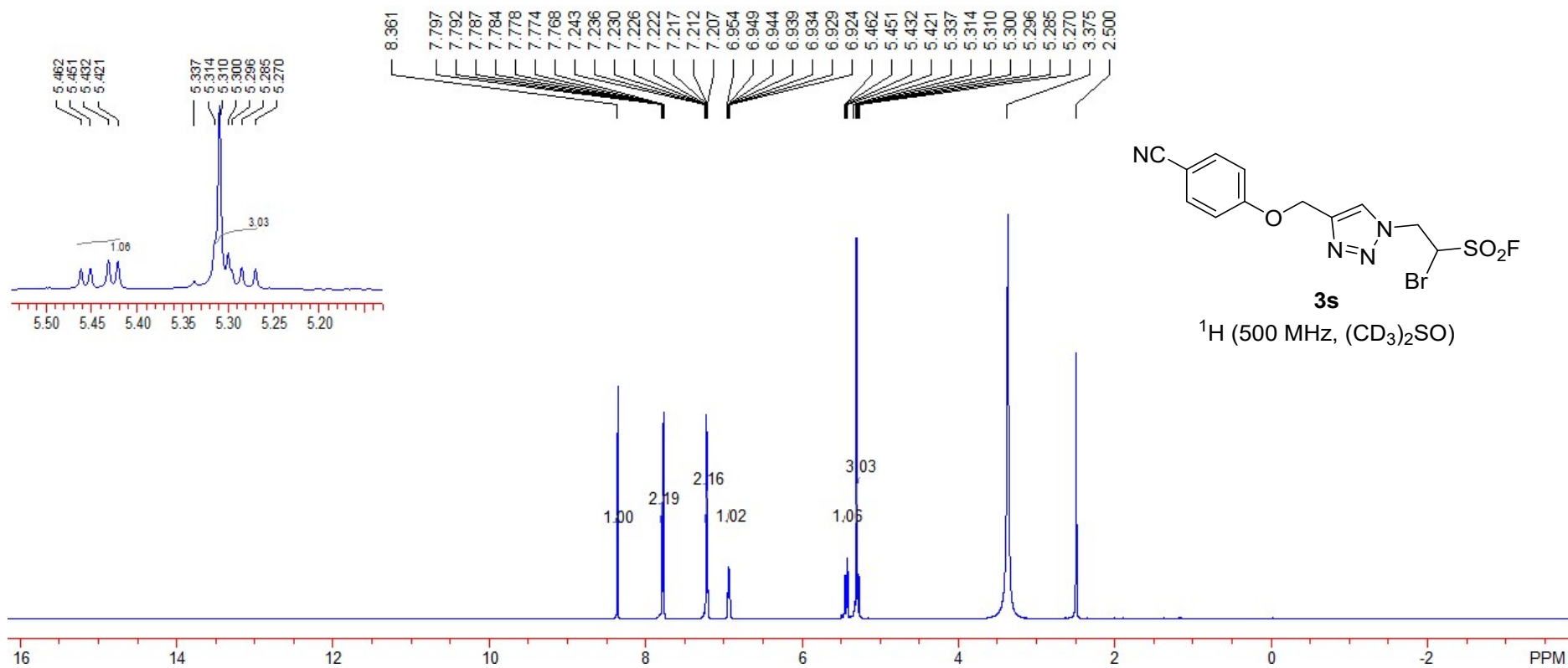


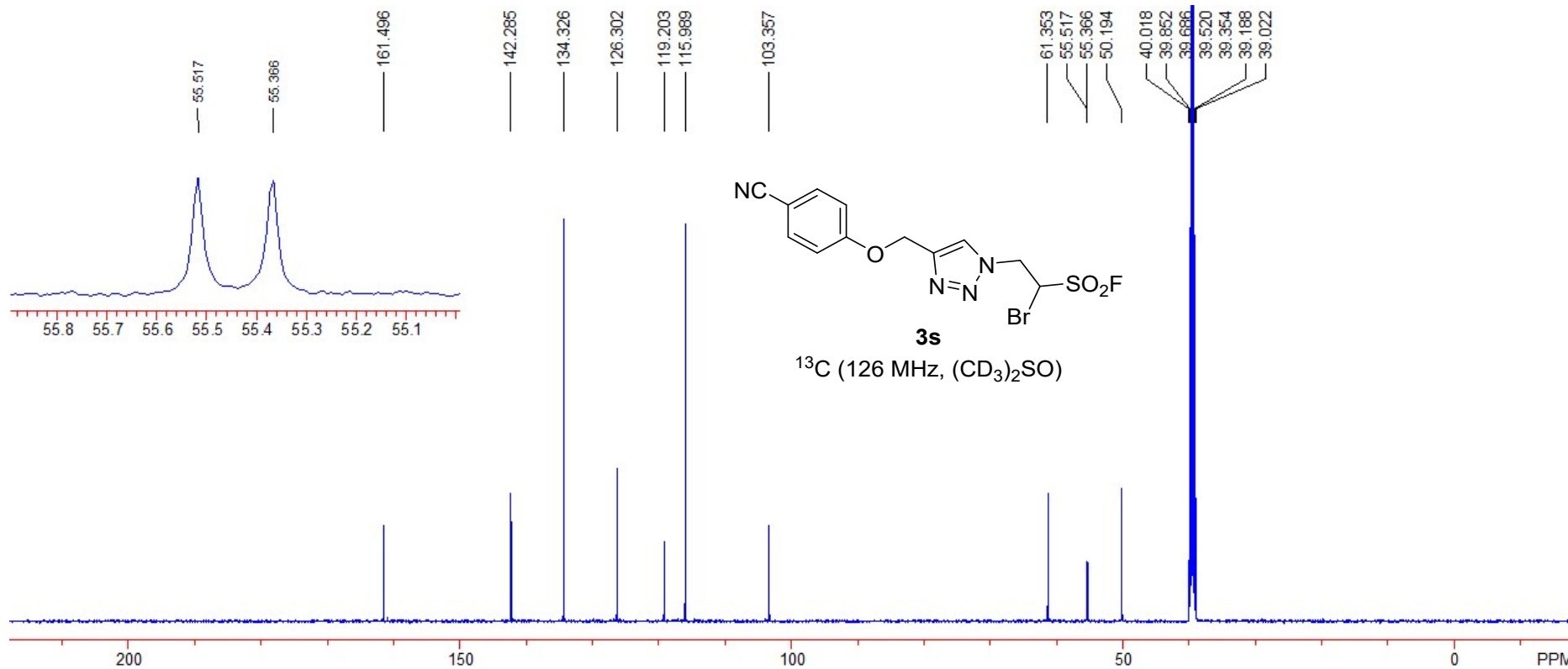


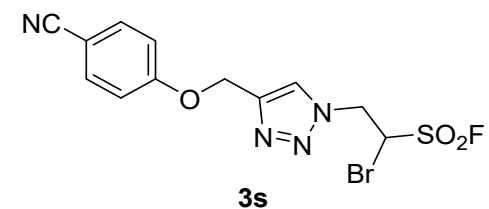


^{19}F (471 MHz, $(\text{CD}_3)_2\text{SO}$)

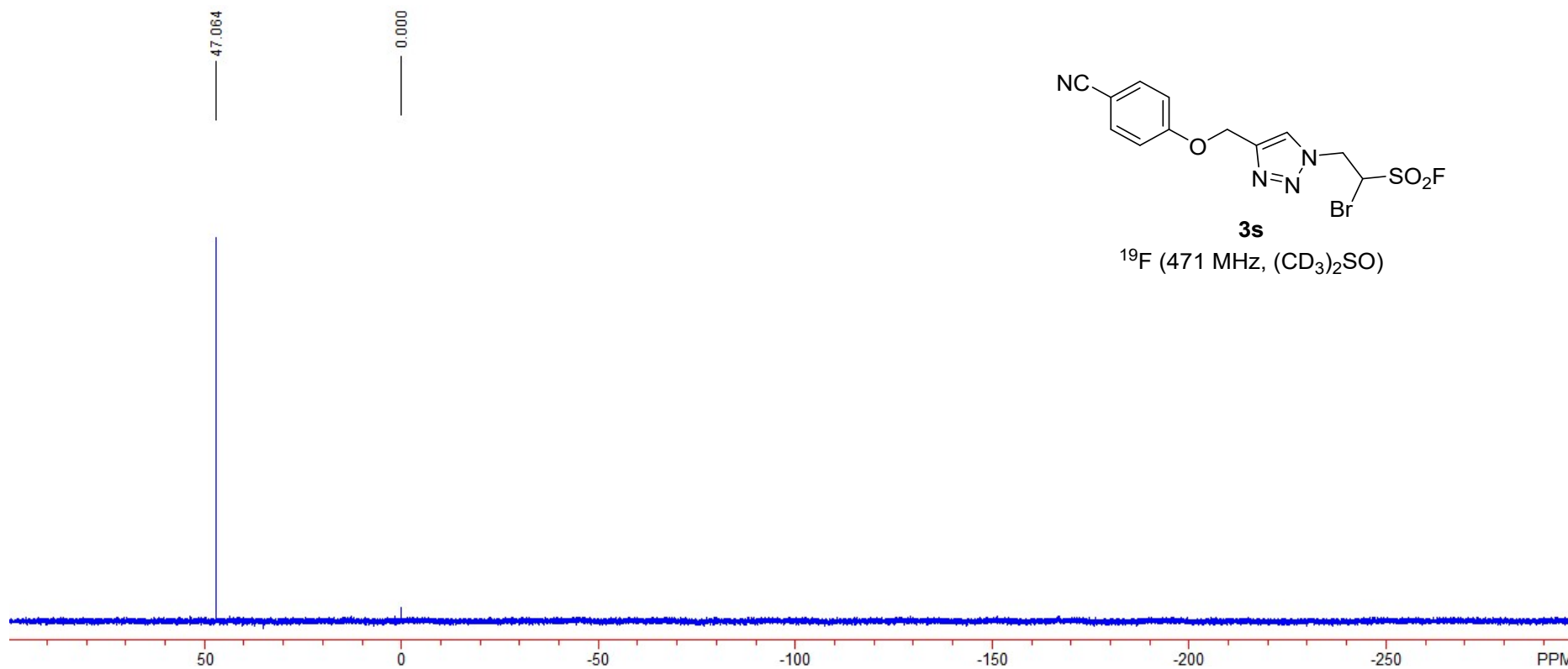


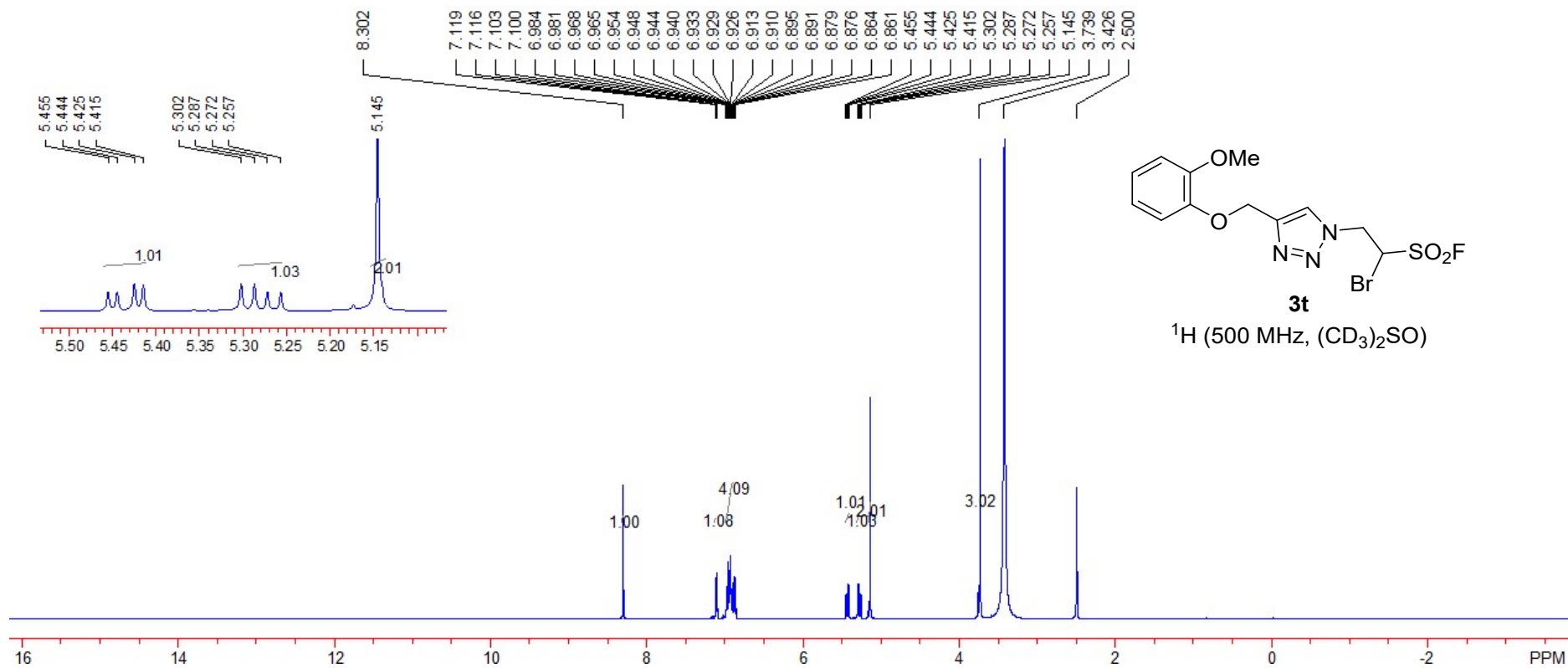


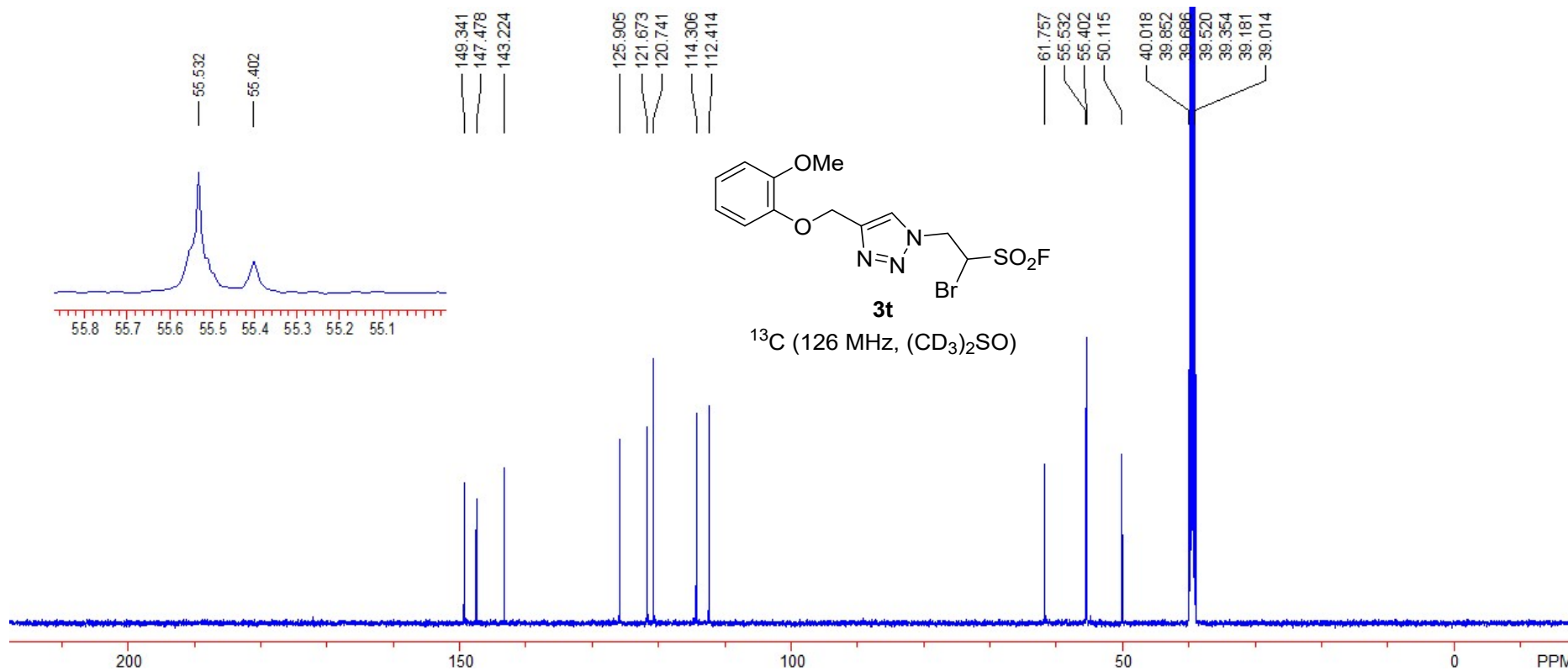


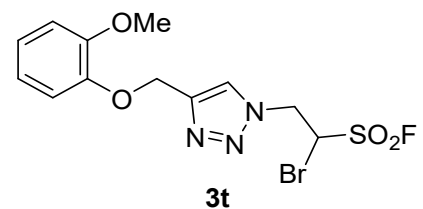
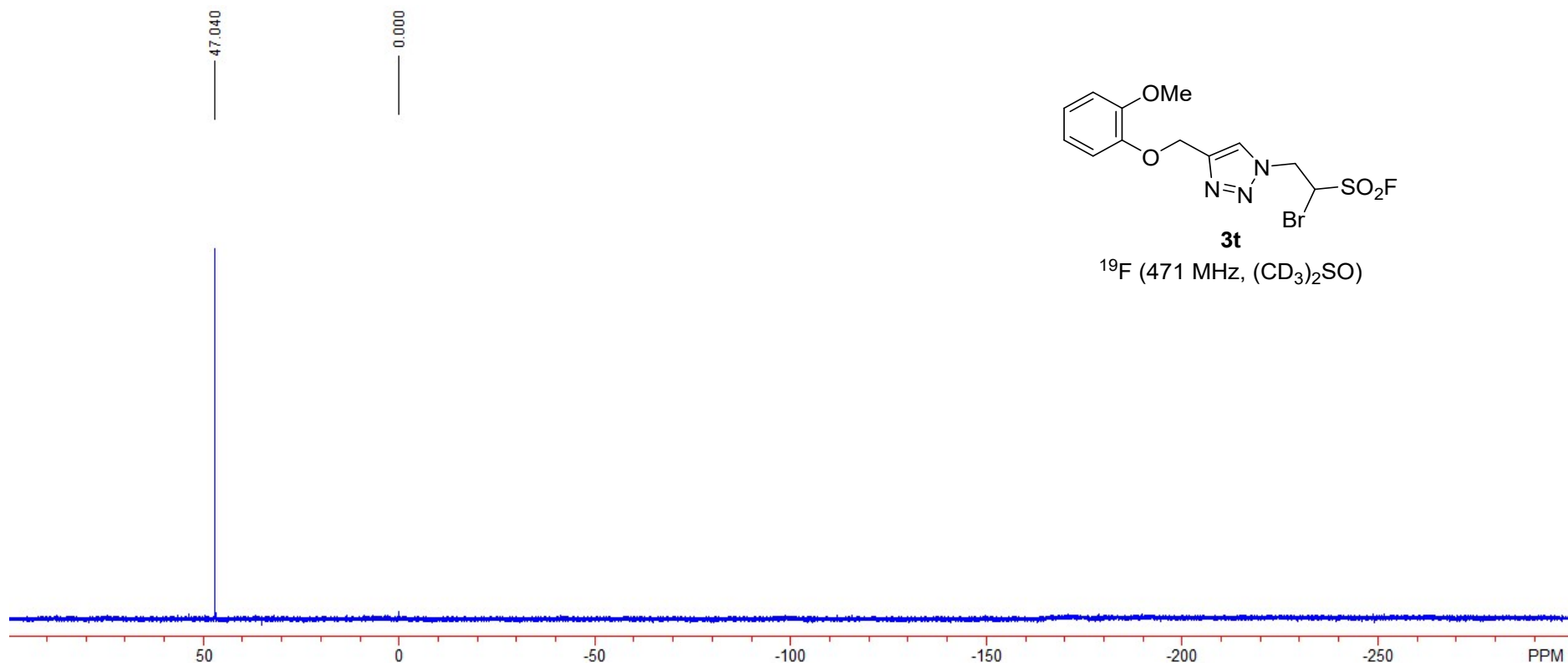


^{19}F (471 MHz, $(\text{CD}_3)_2\text{SO}$)

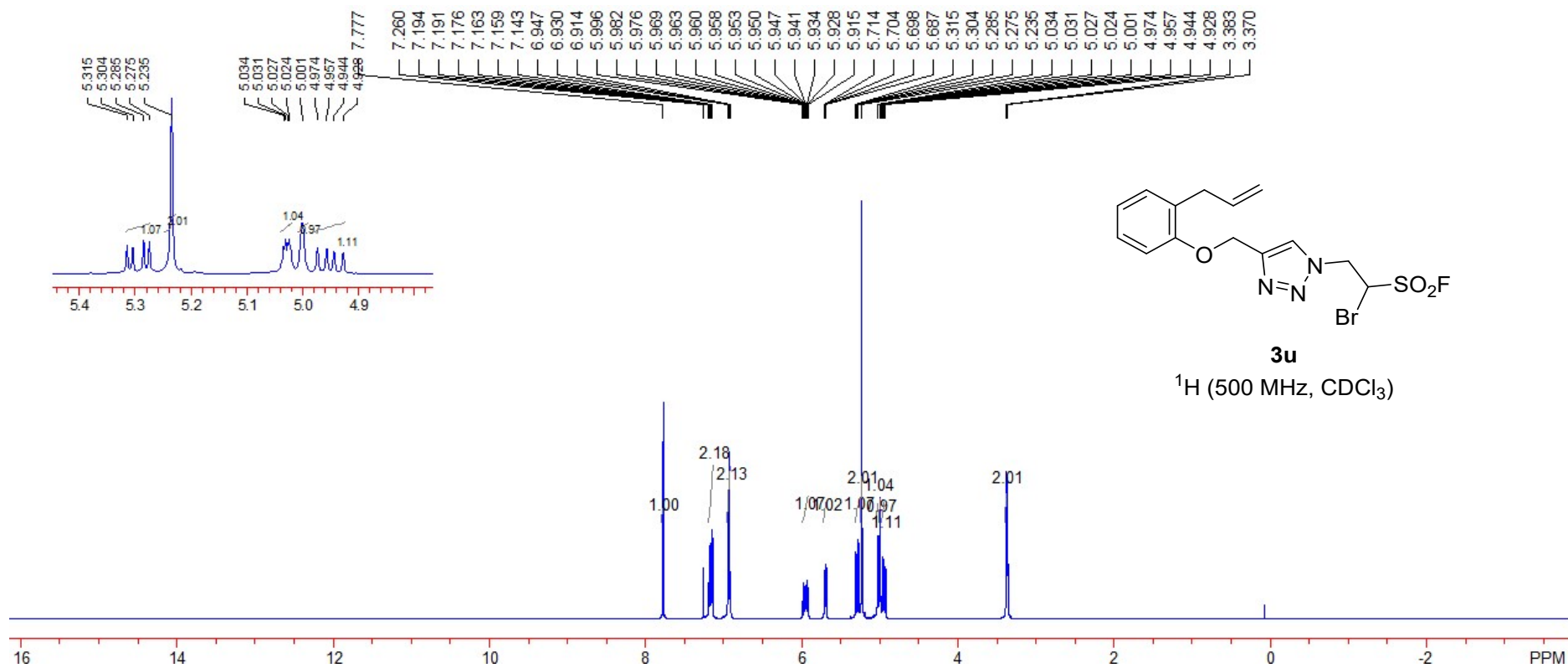


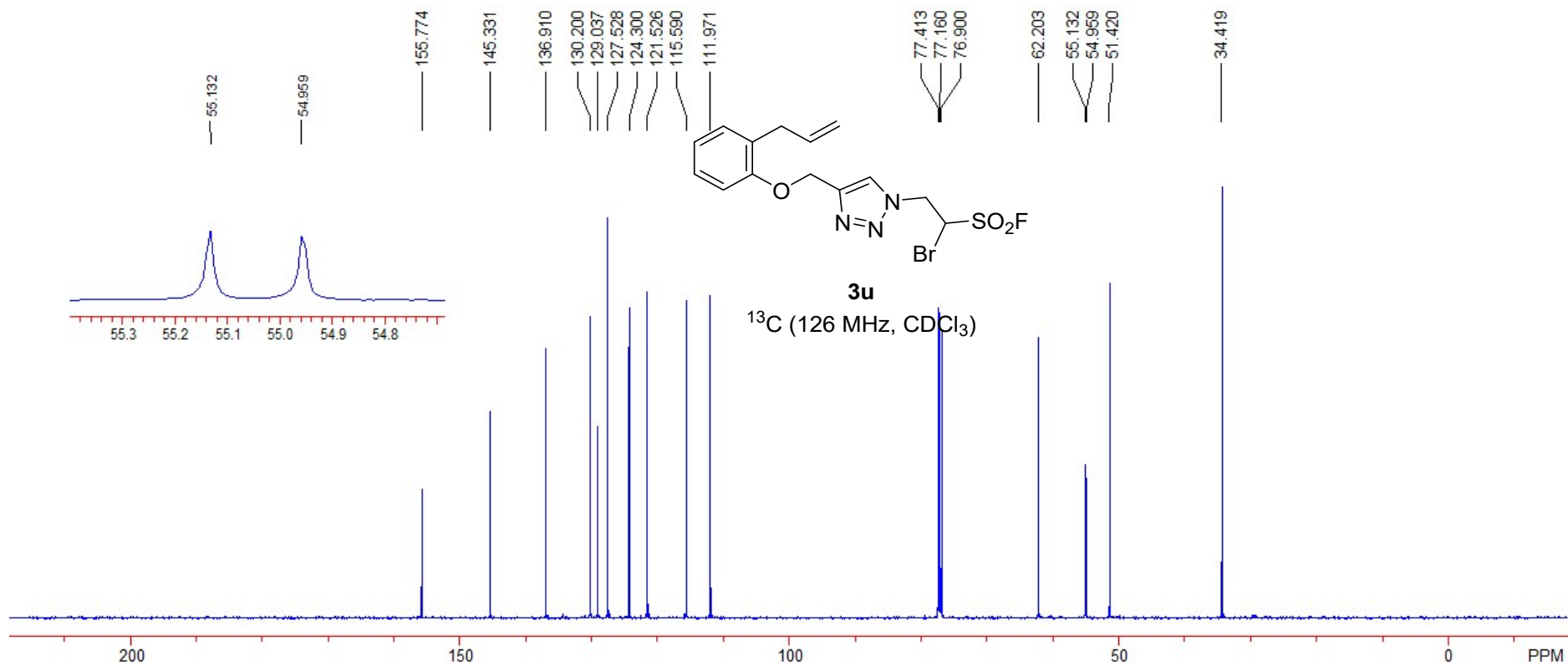


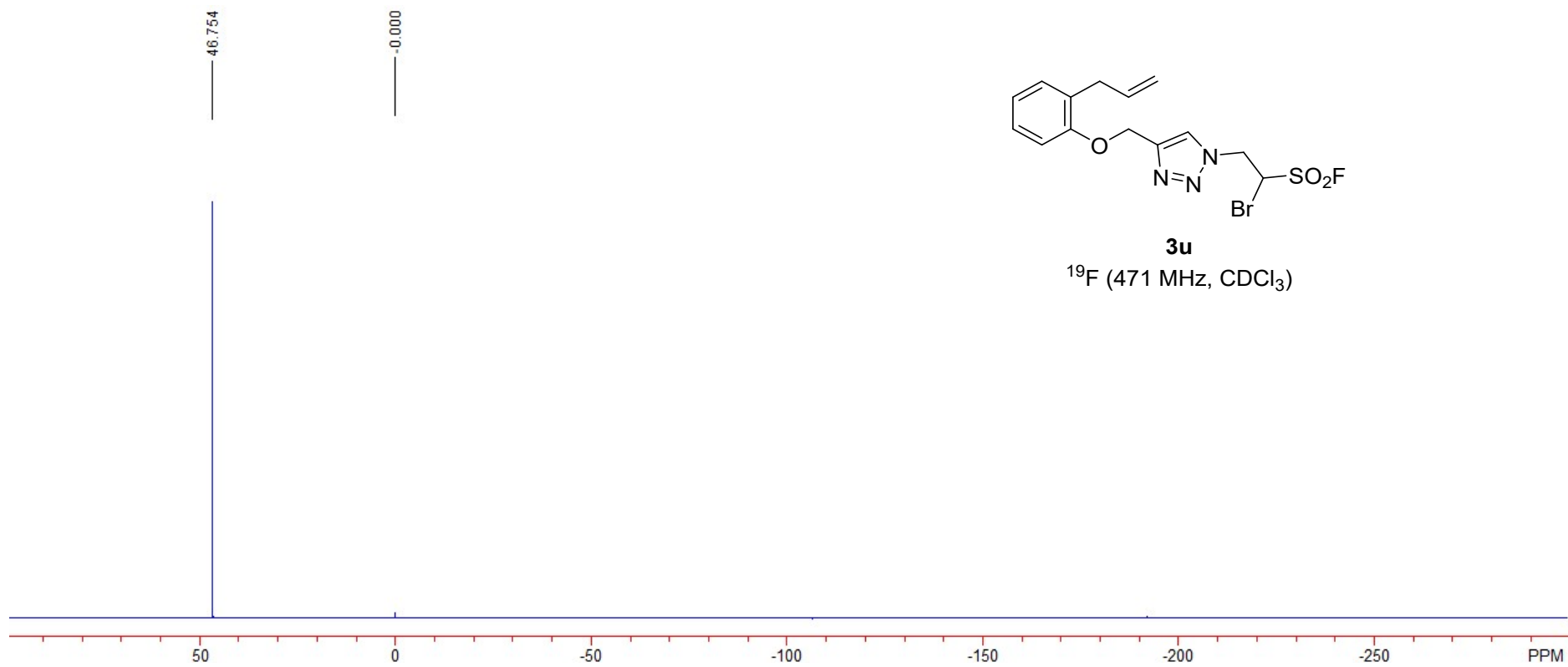


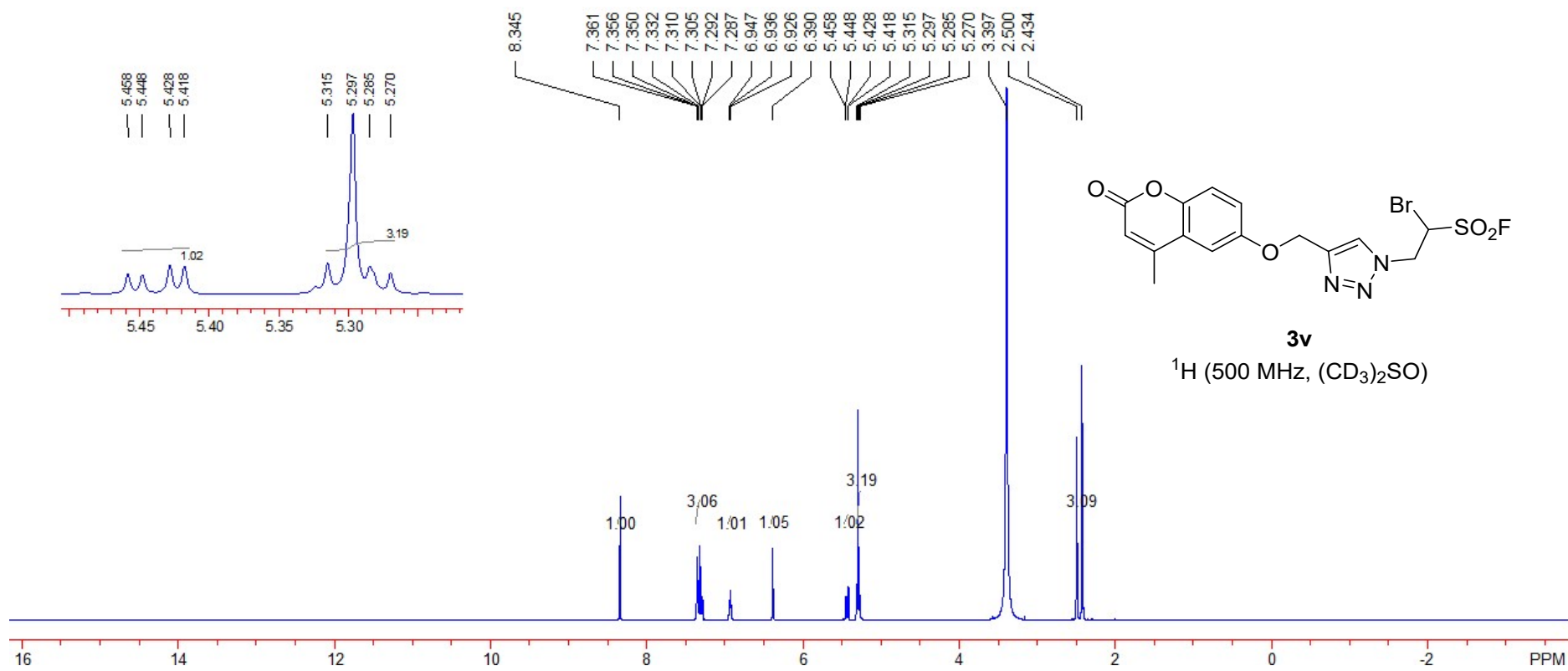


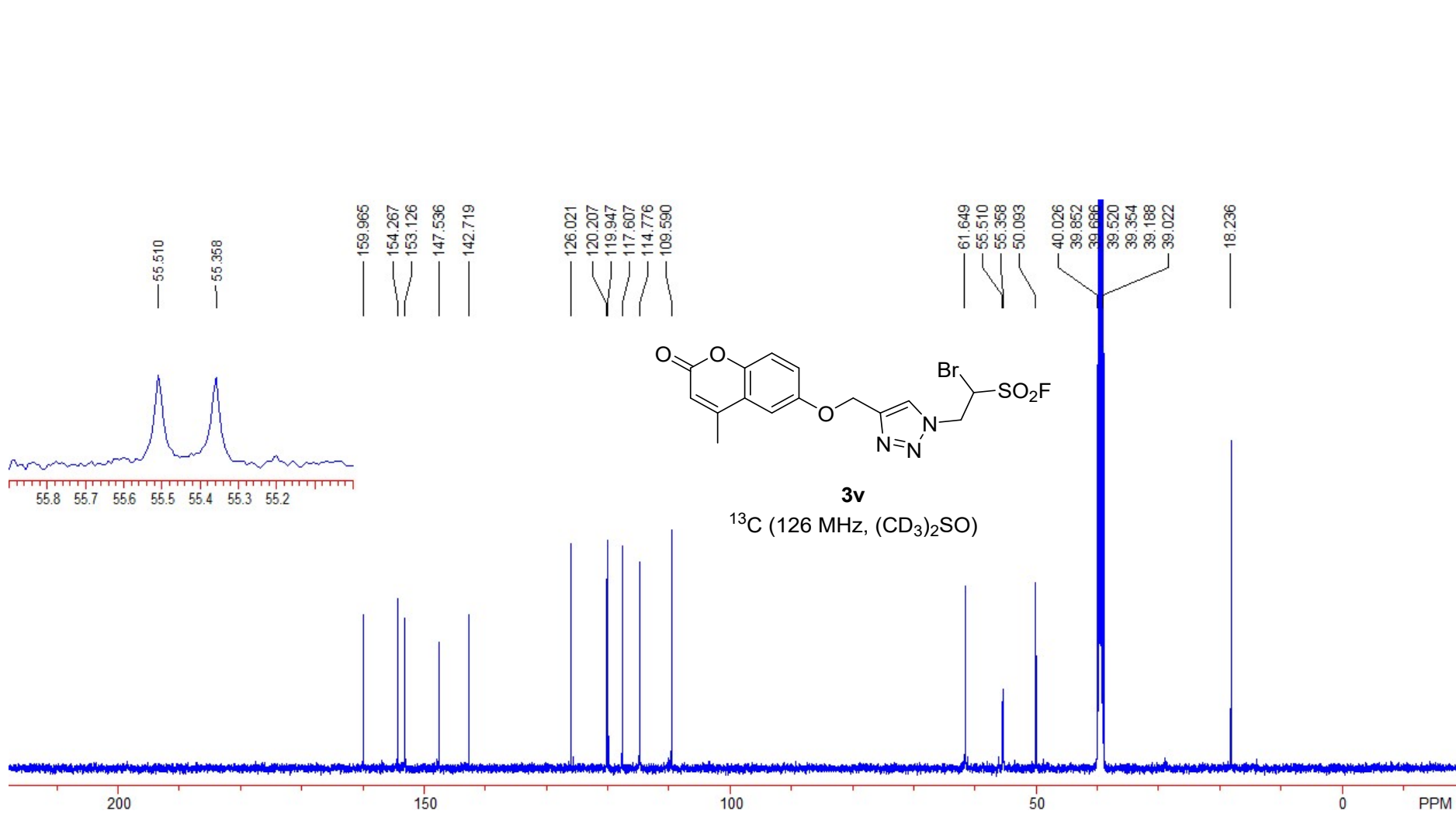
^{19}F (471 MHz, $(\text{CD}_3)_2\text{SO}$)

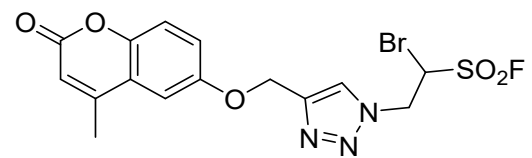






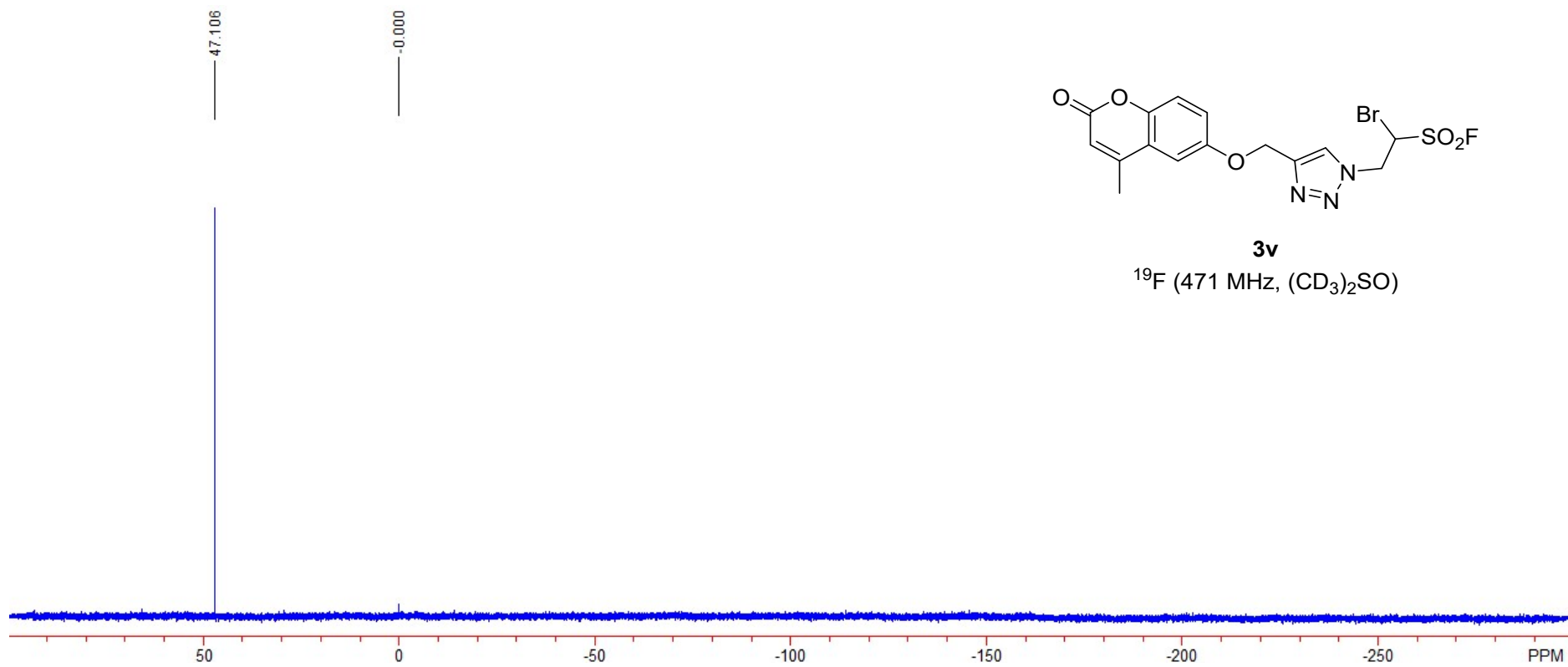


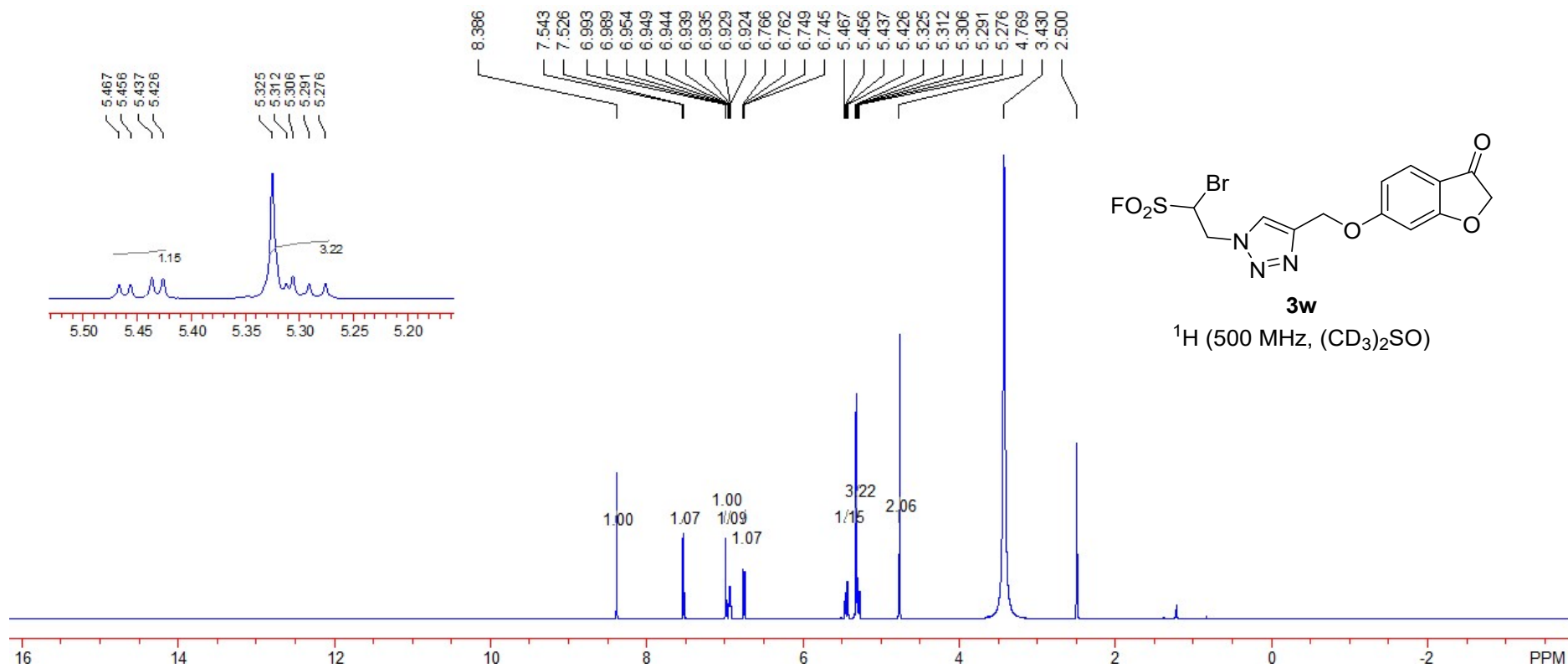


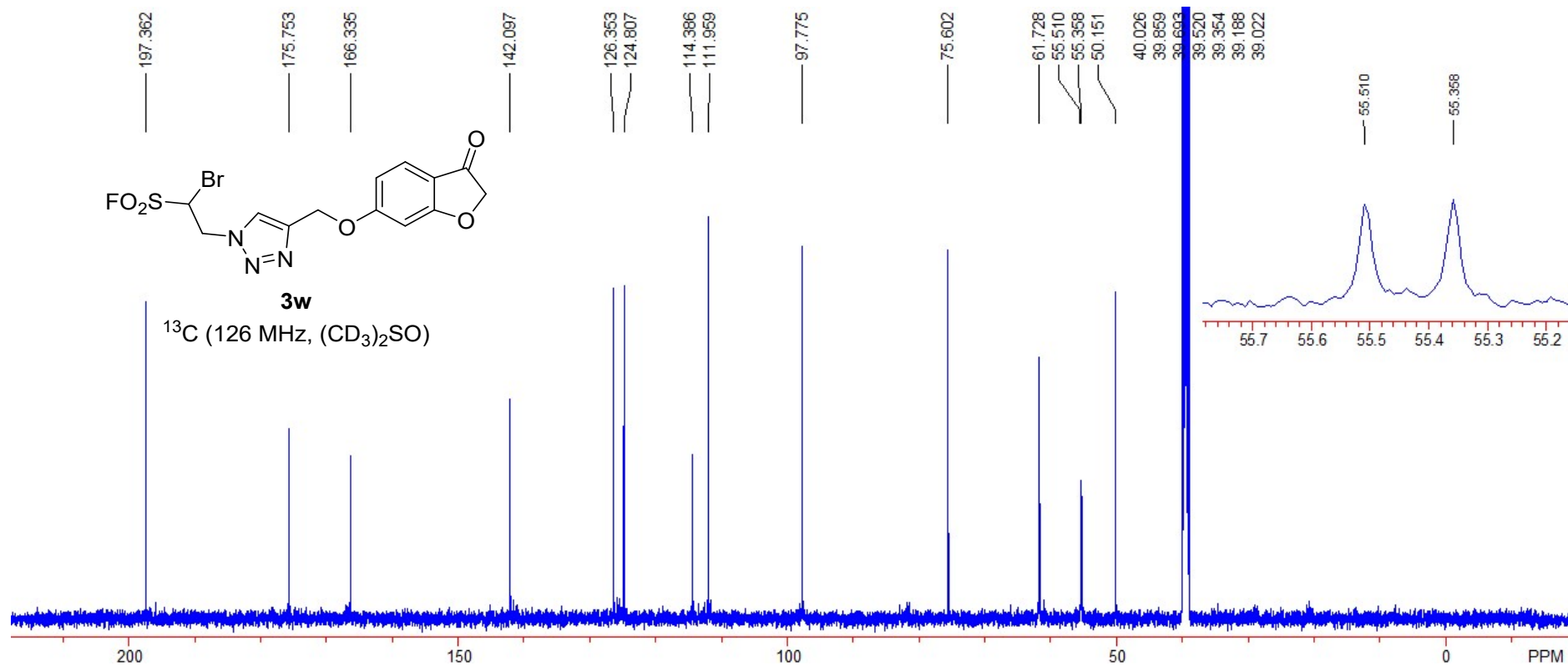


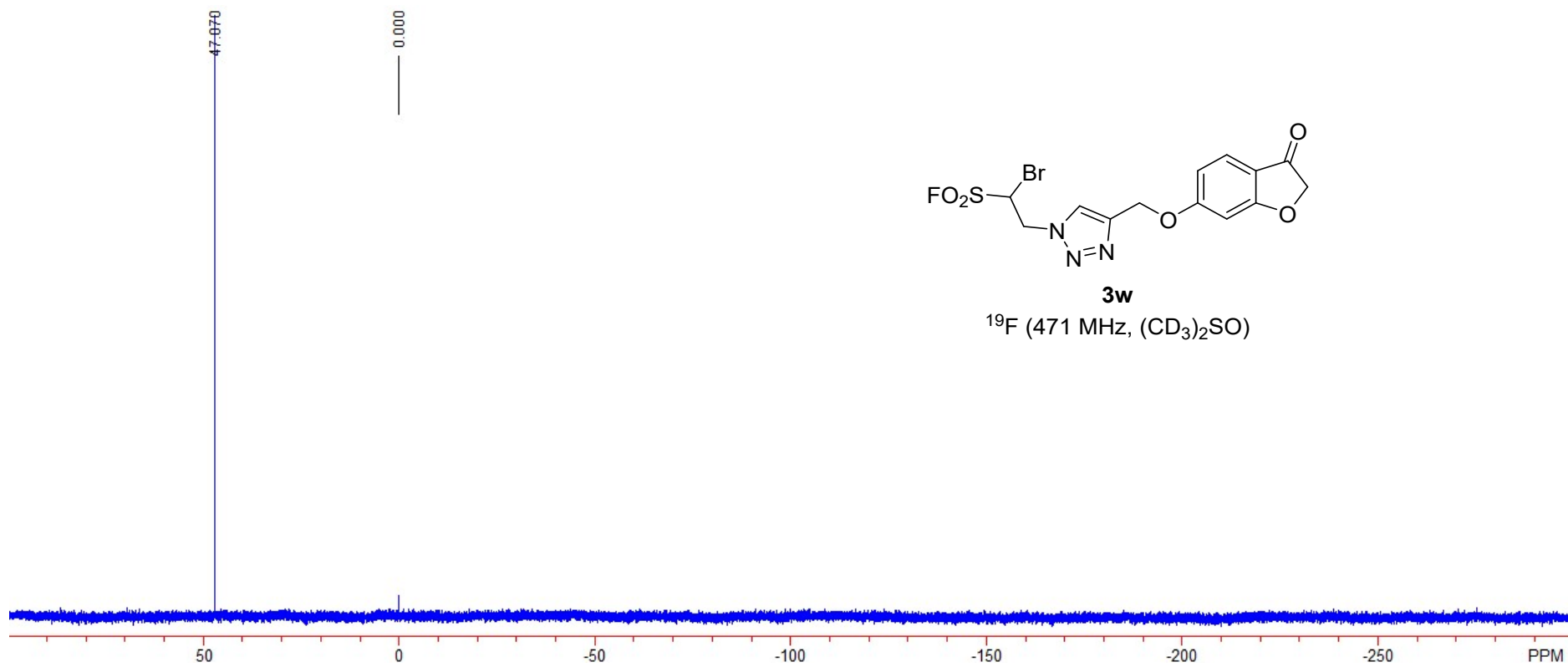
3v

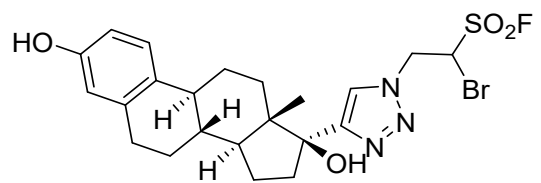
^{19}F (471 MHz, $(\text{CD}_3)_2\text{SO}$)





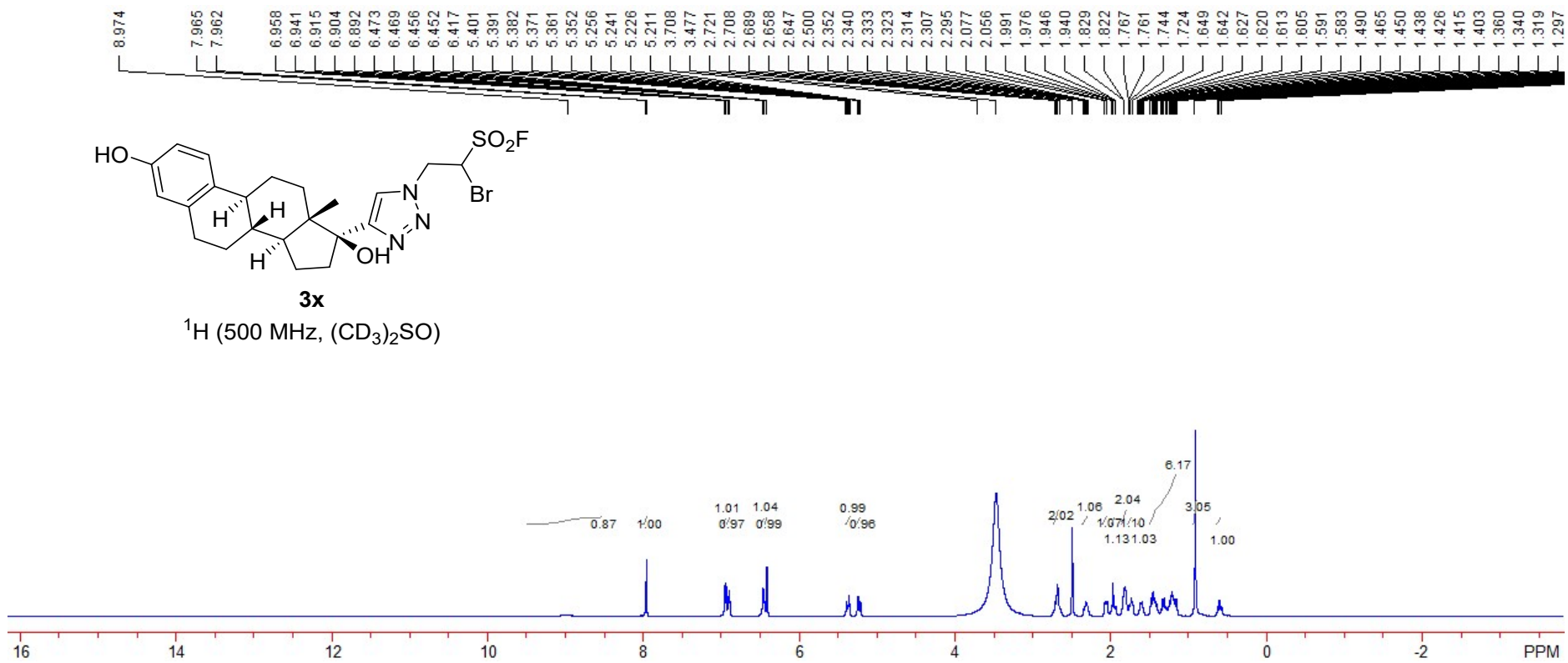


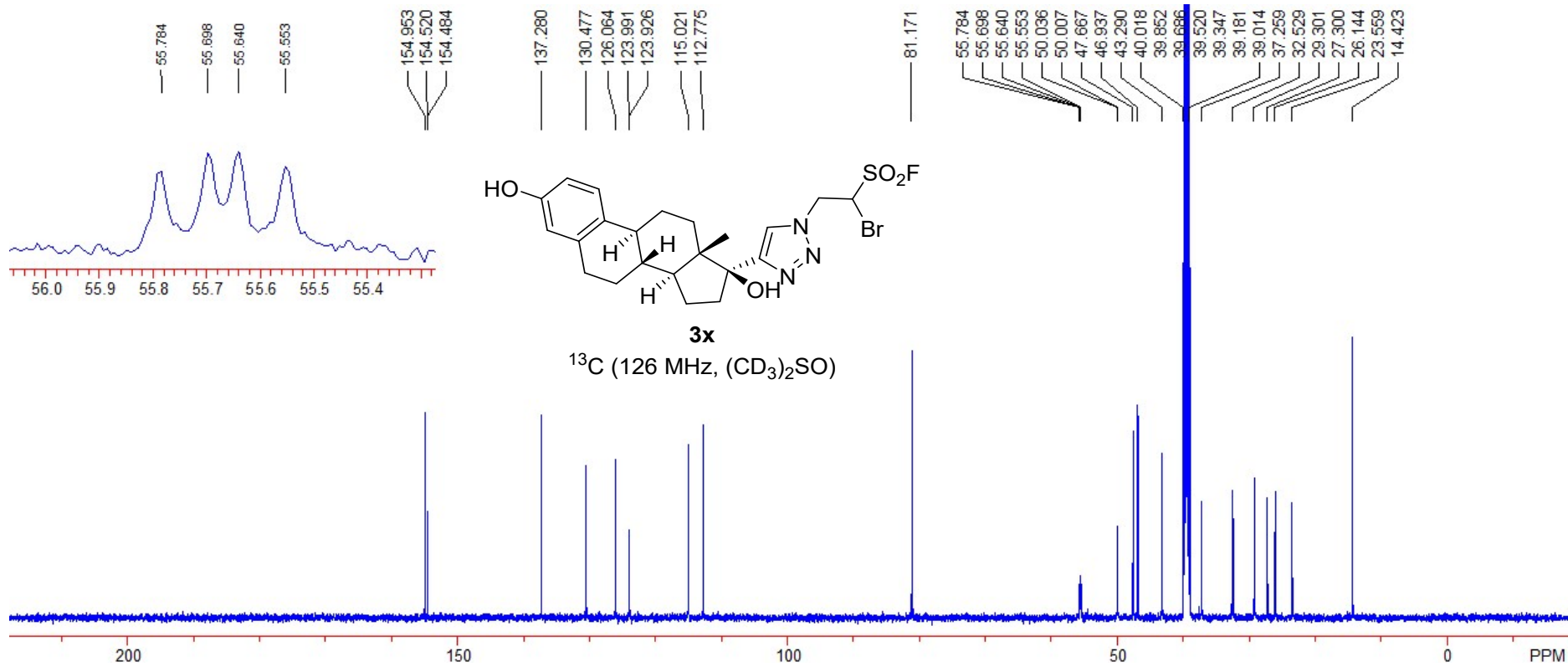


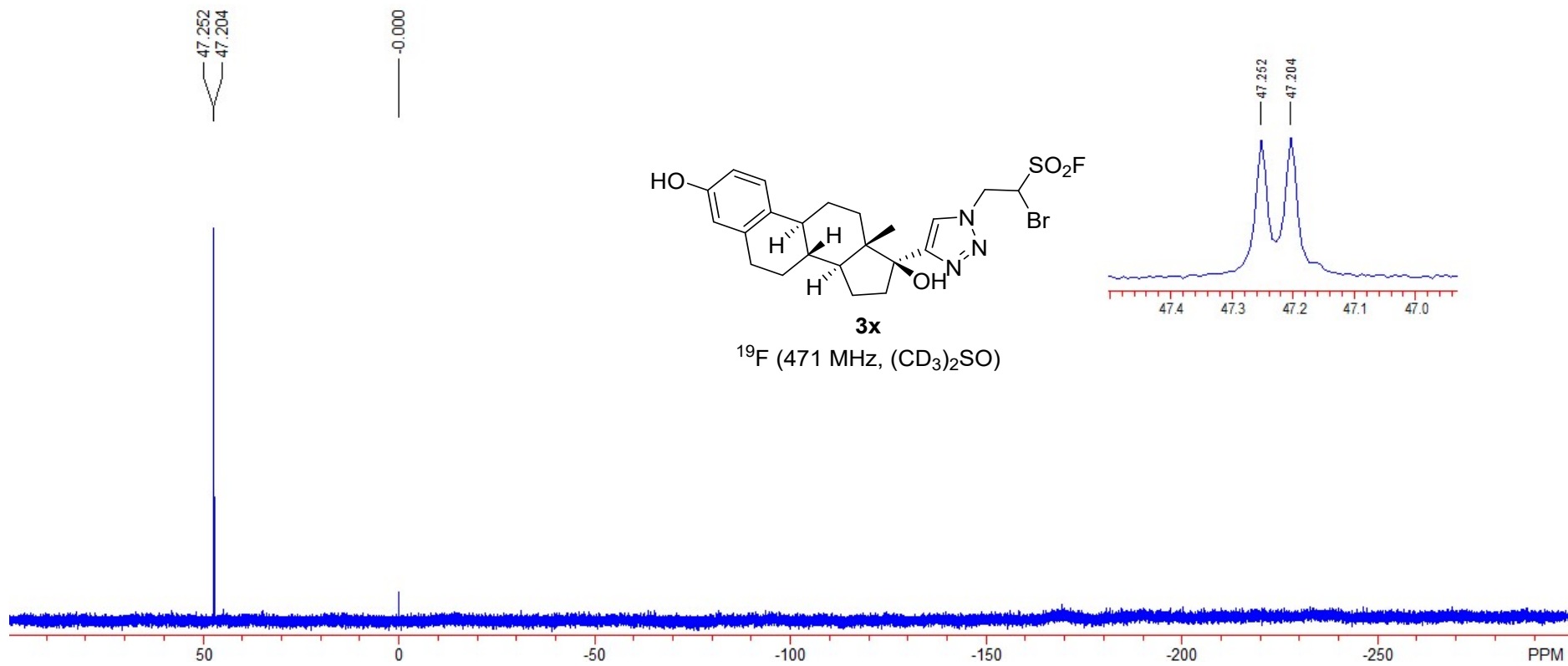


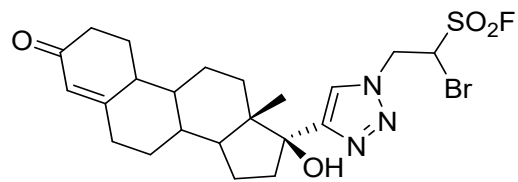
3x

¹H (500 MHz, (CD₃)₂SO)

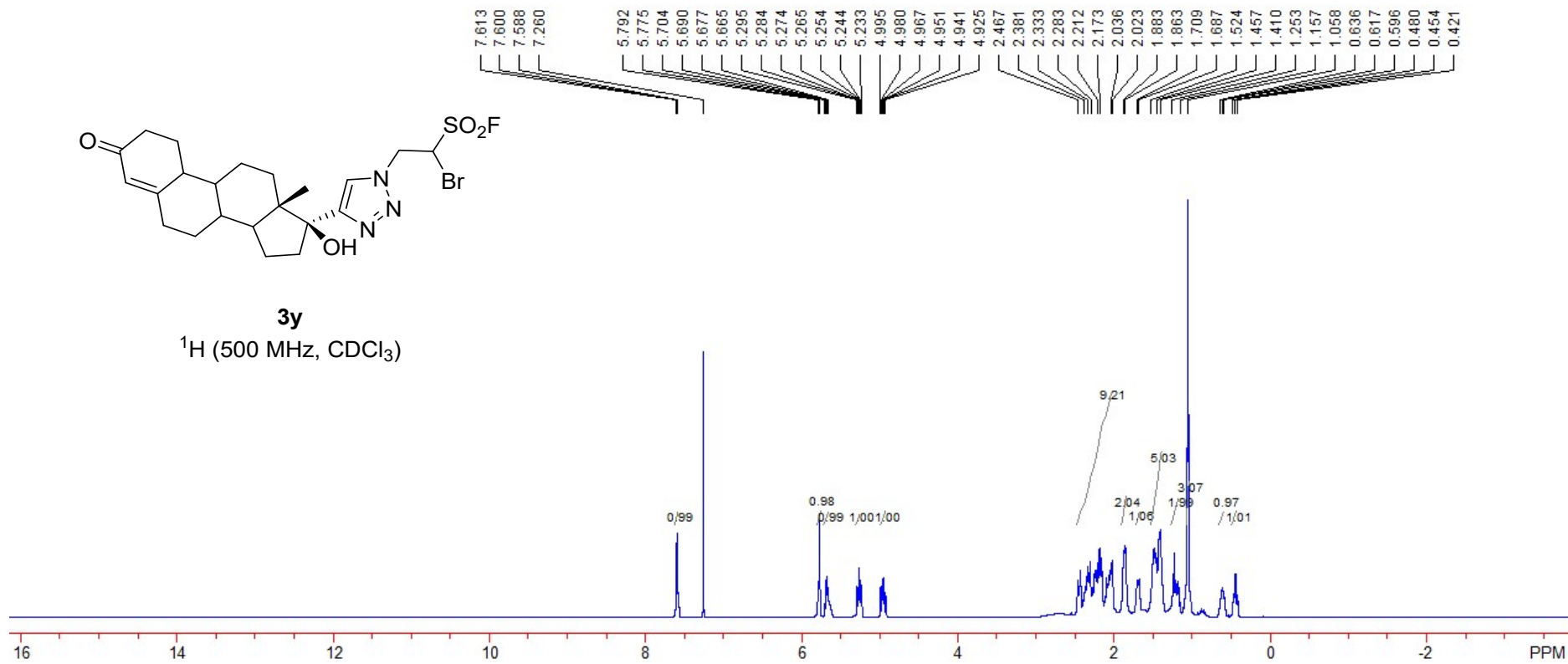


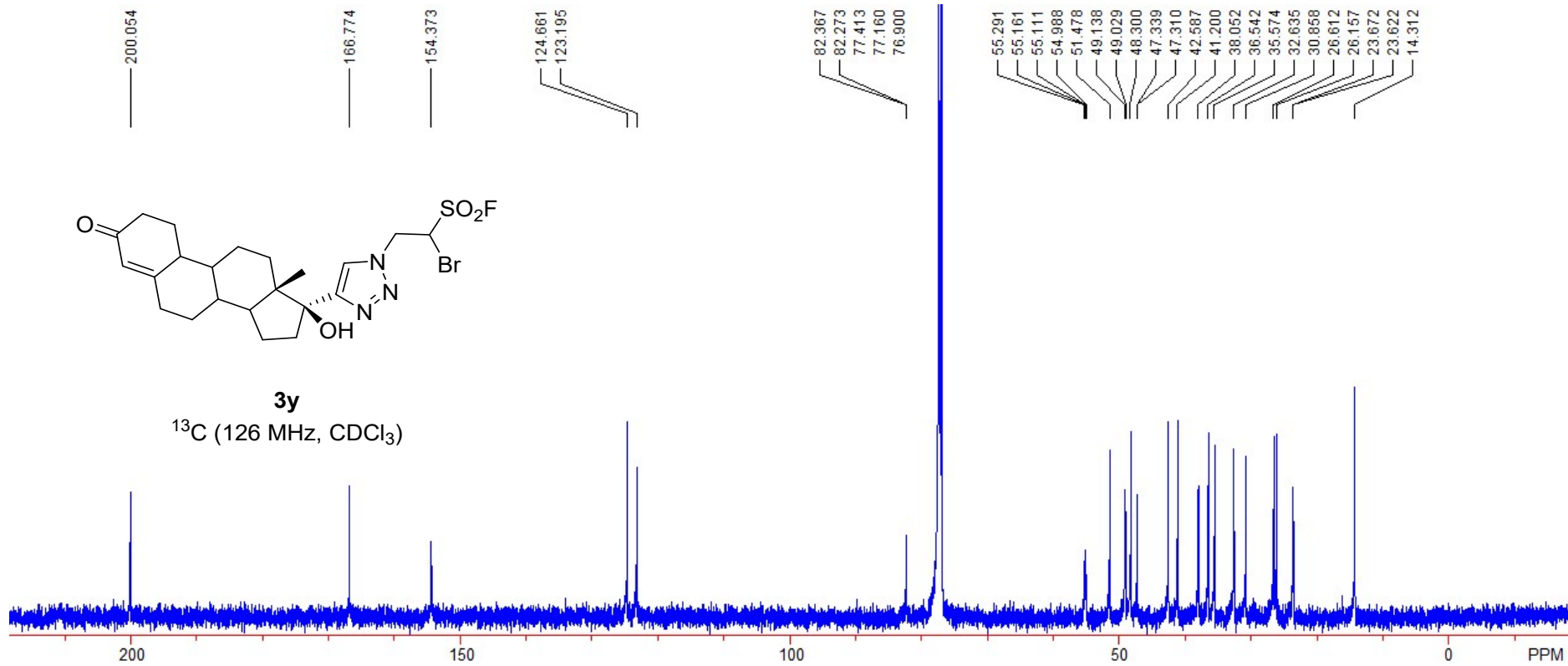


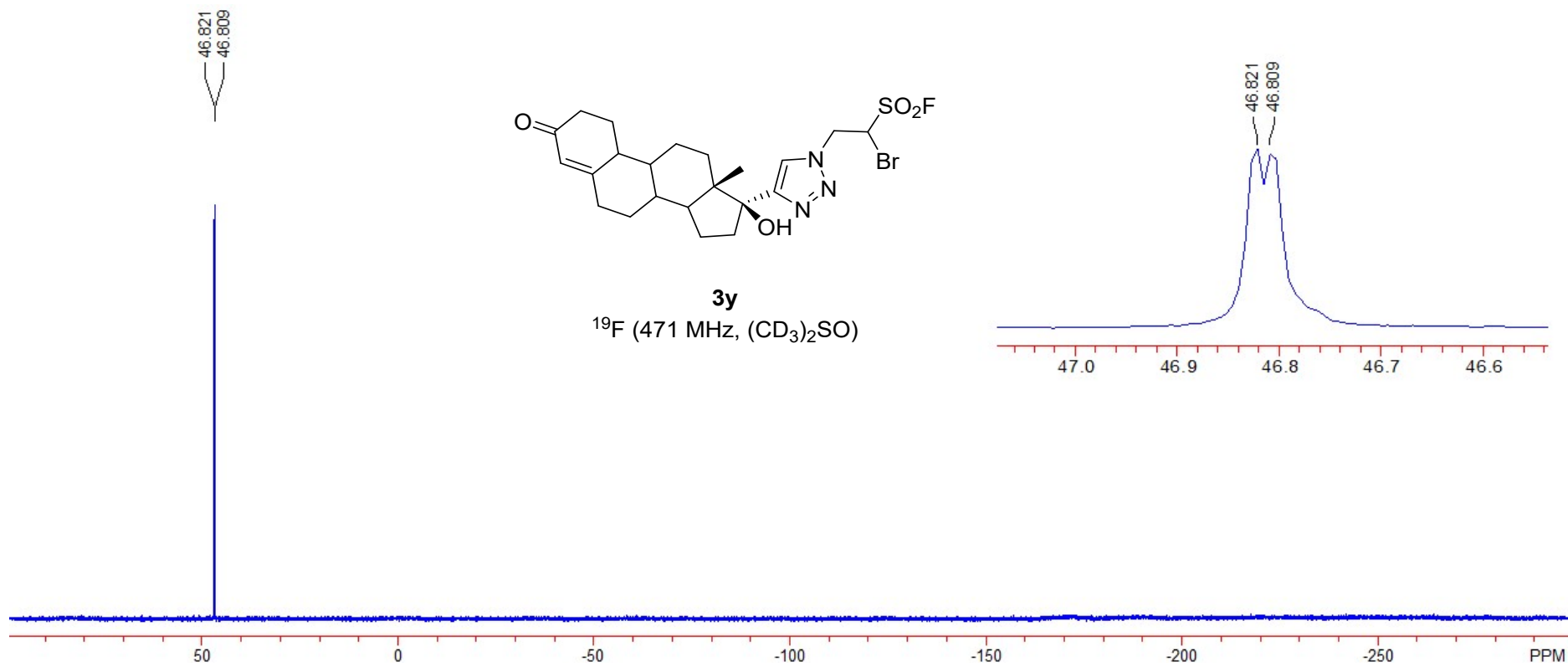


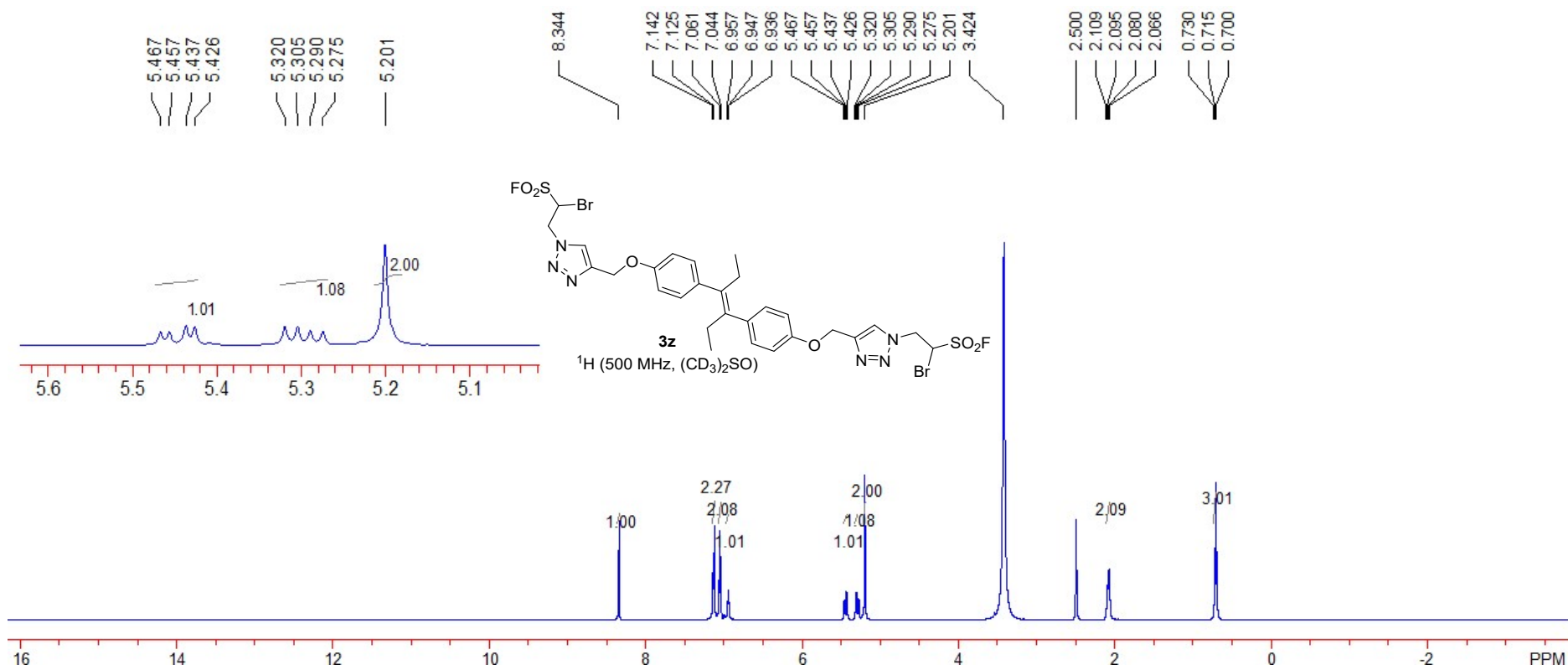


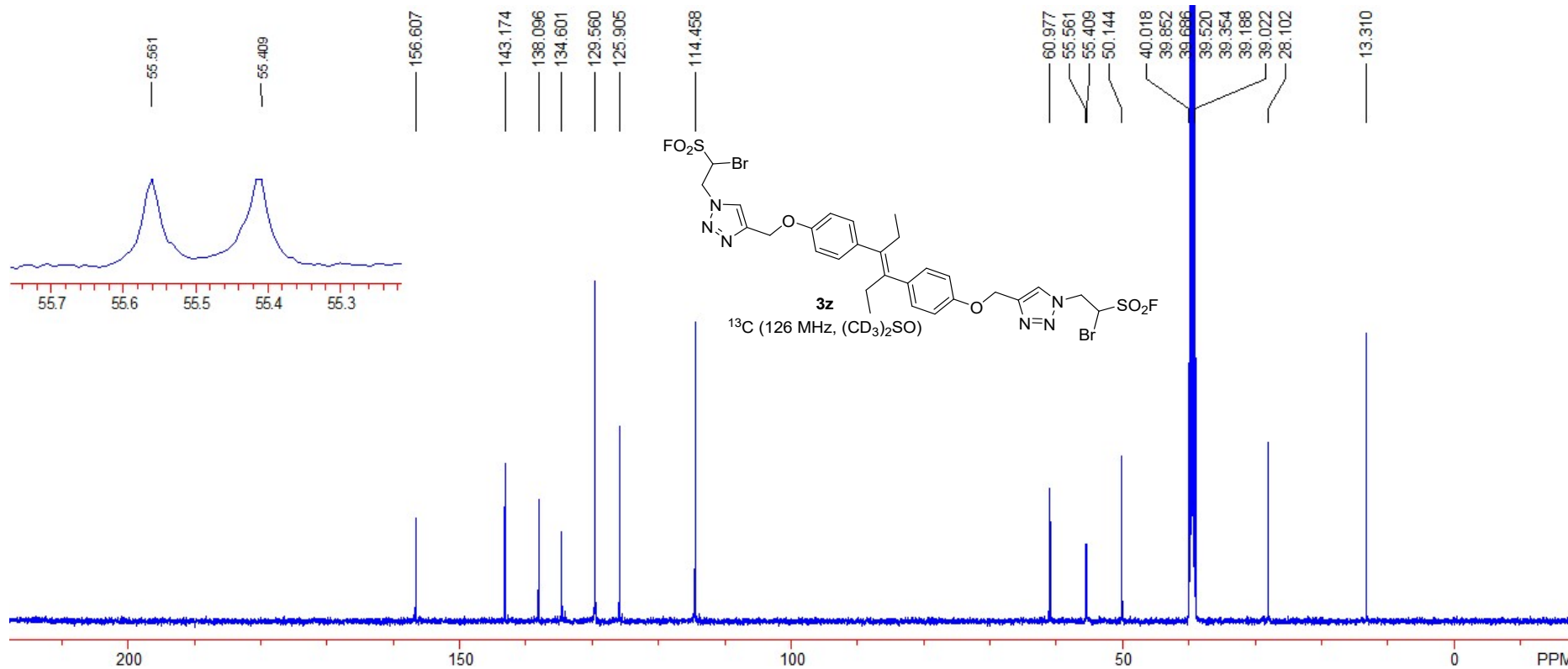
3y
 ^1H (500 MHz, CDCl_3)

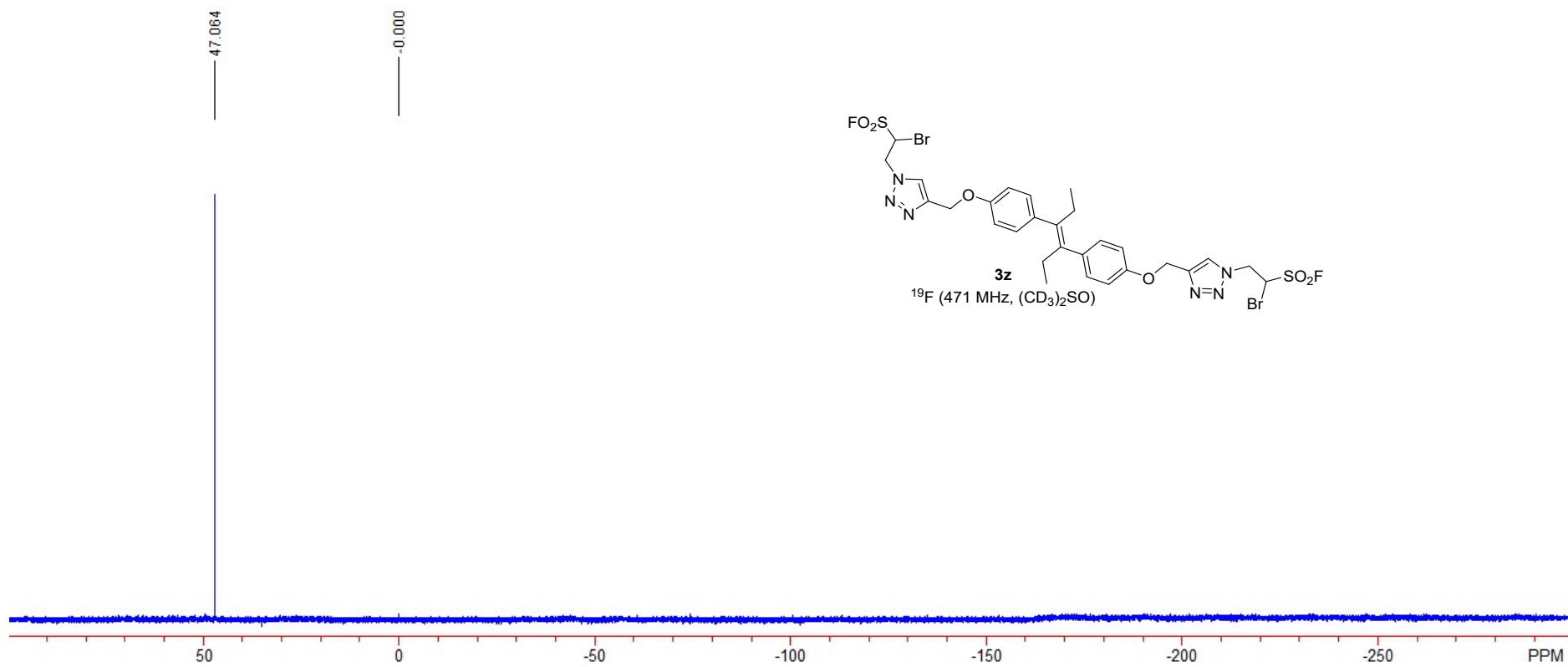


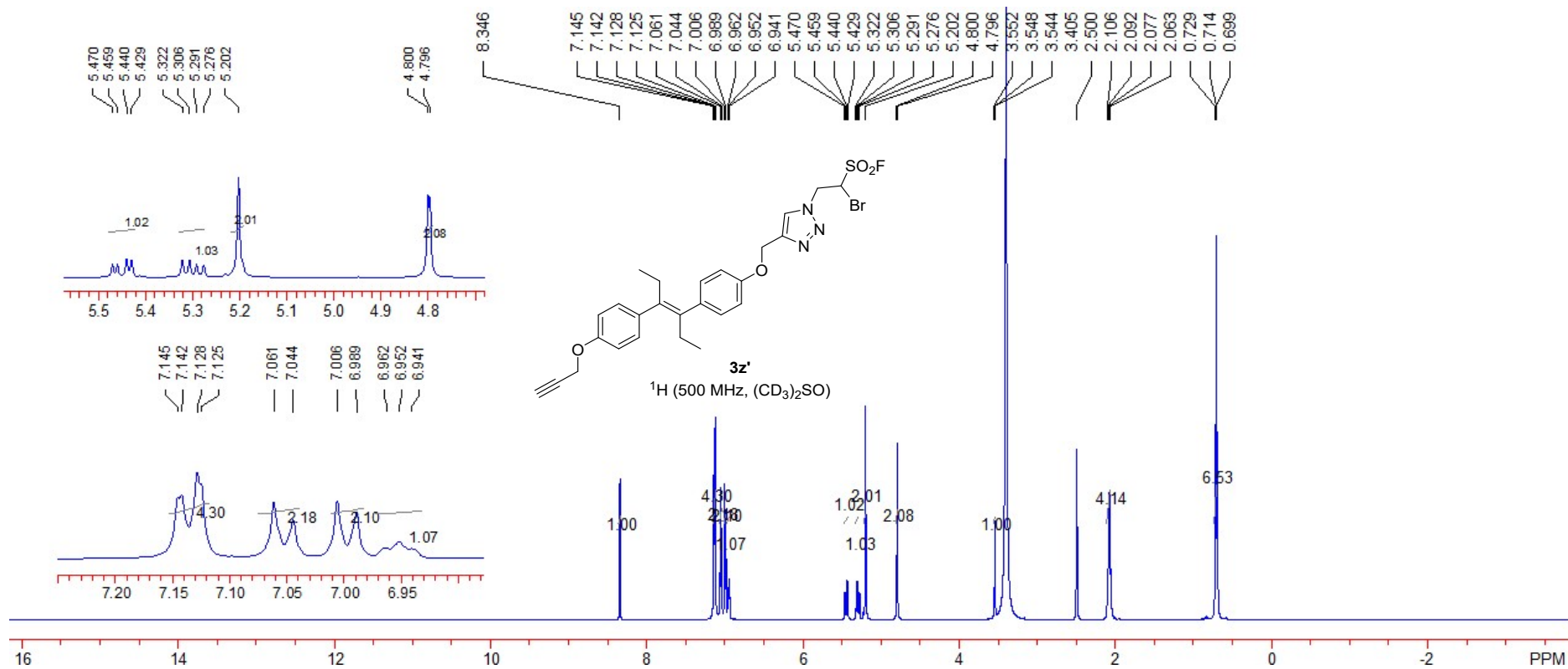


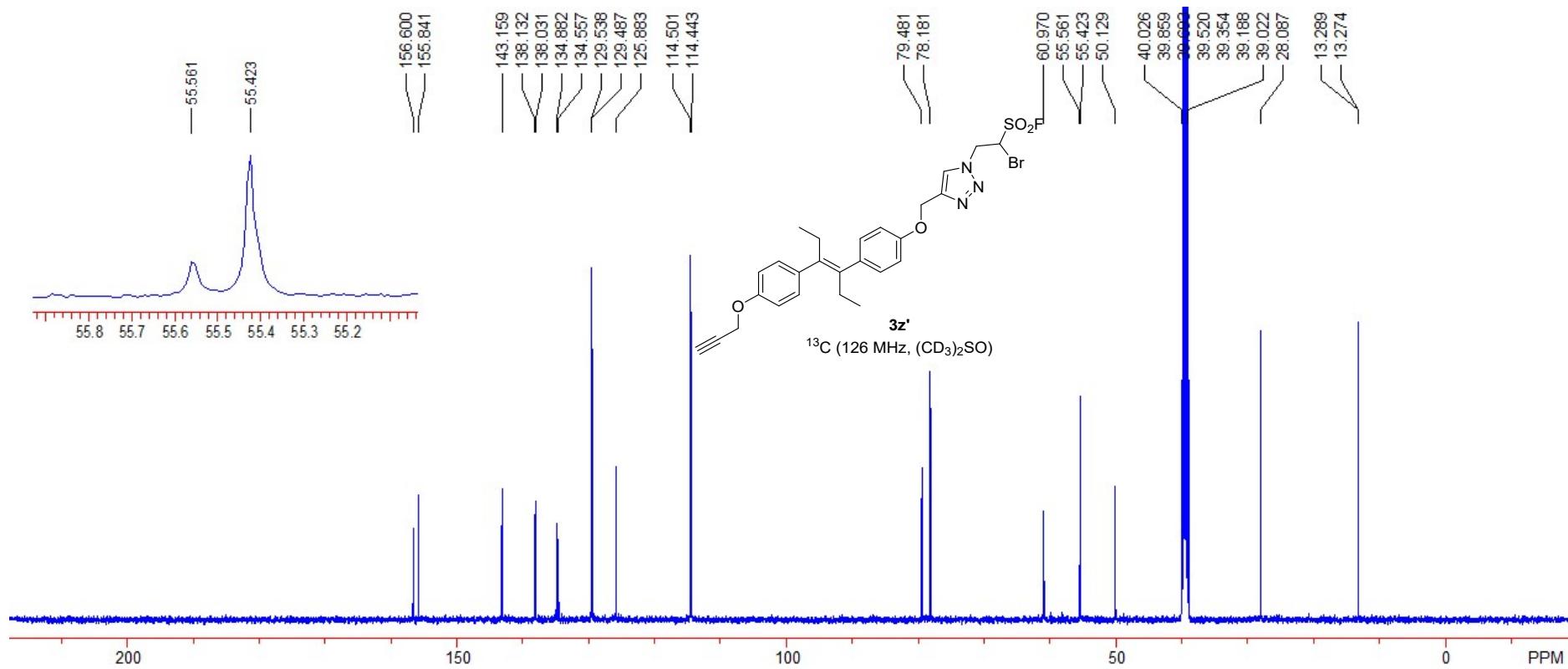


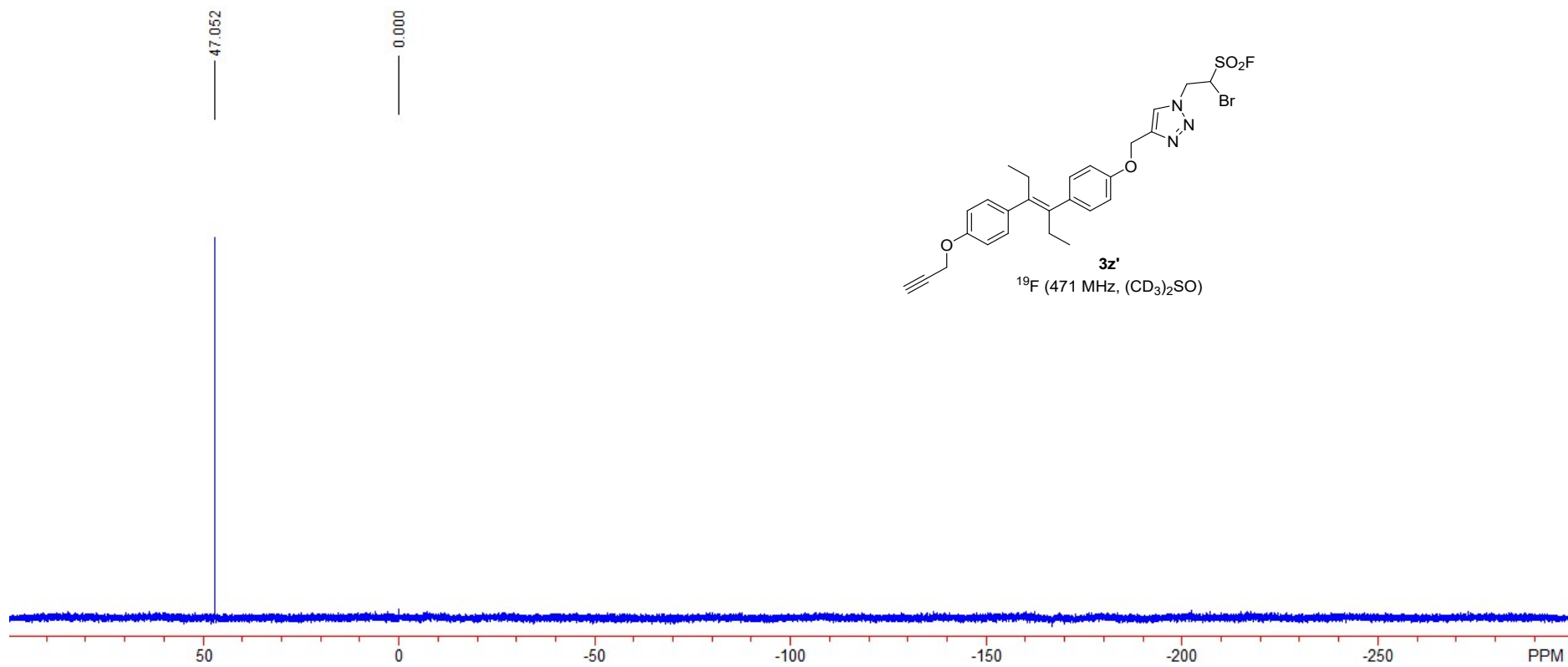


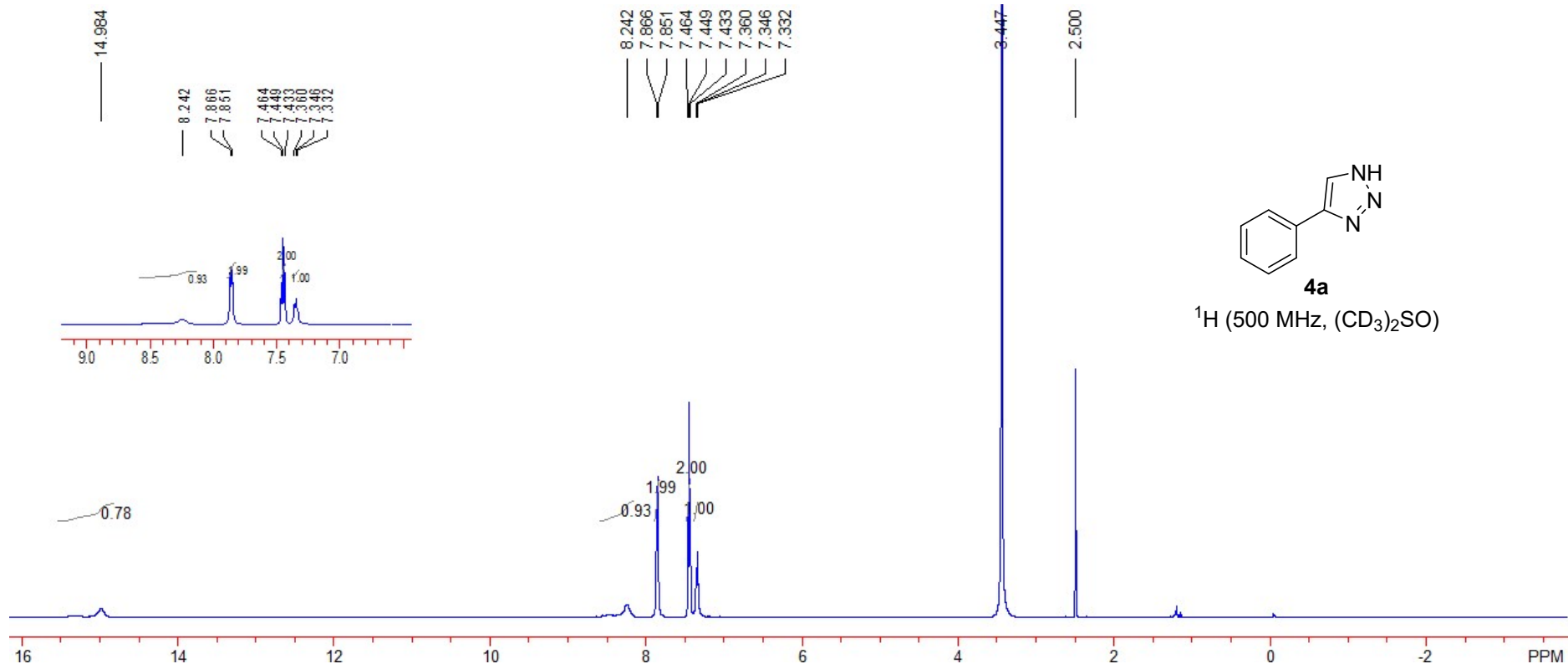


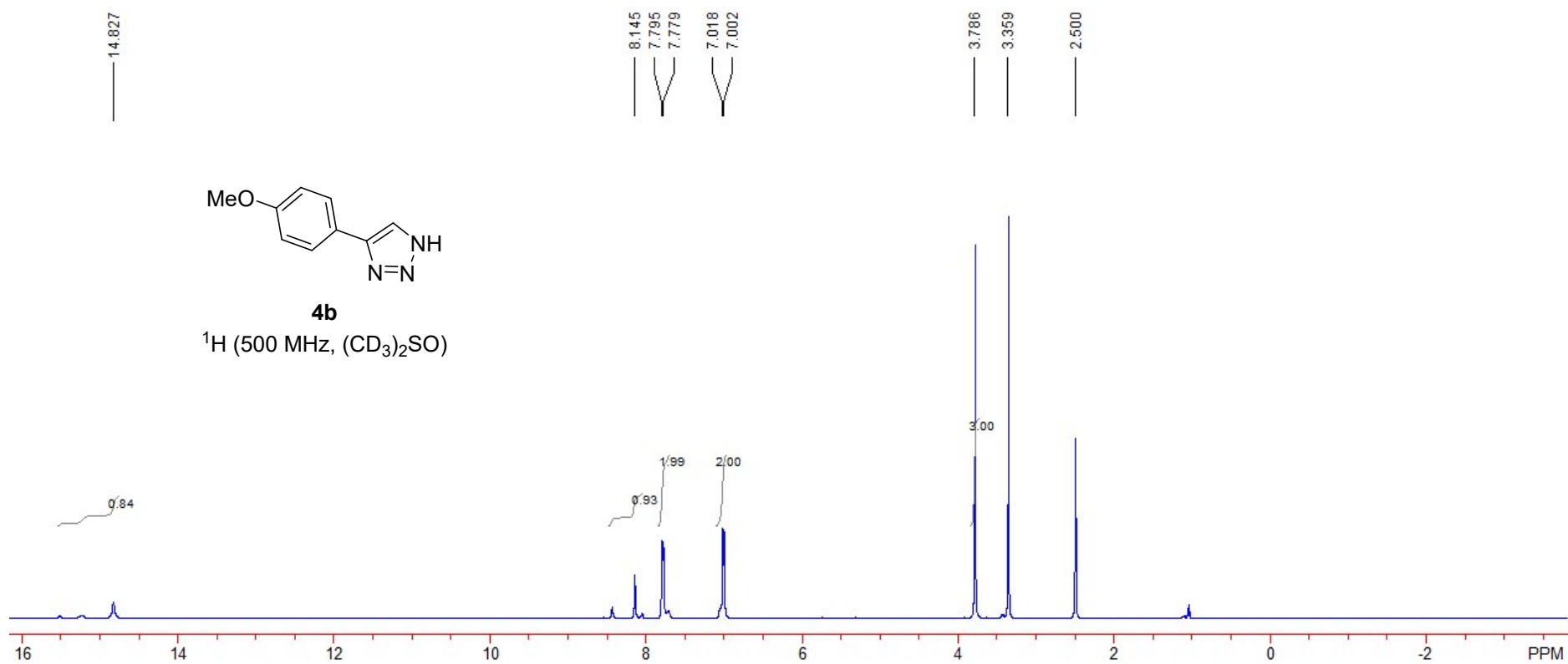


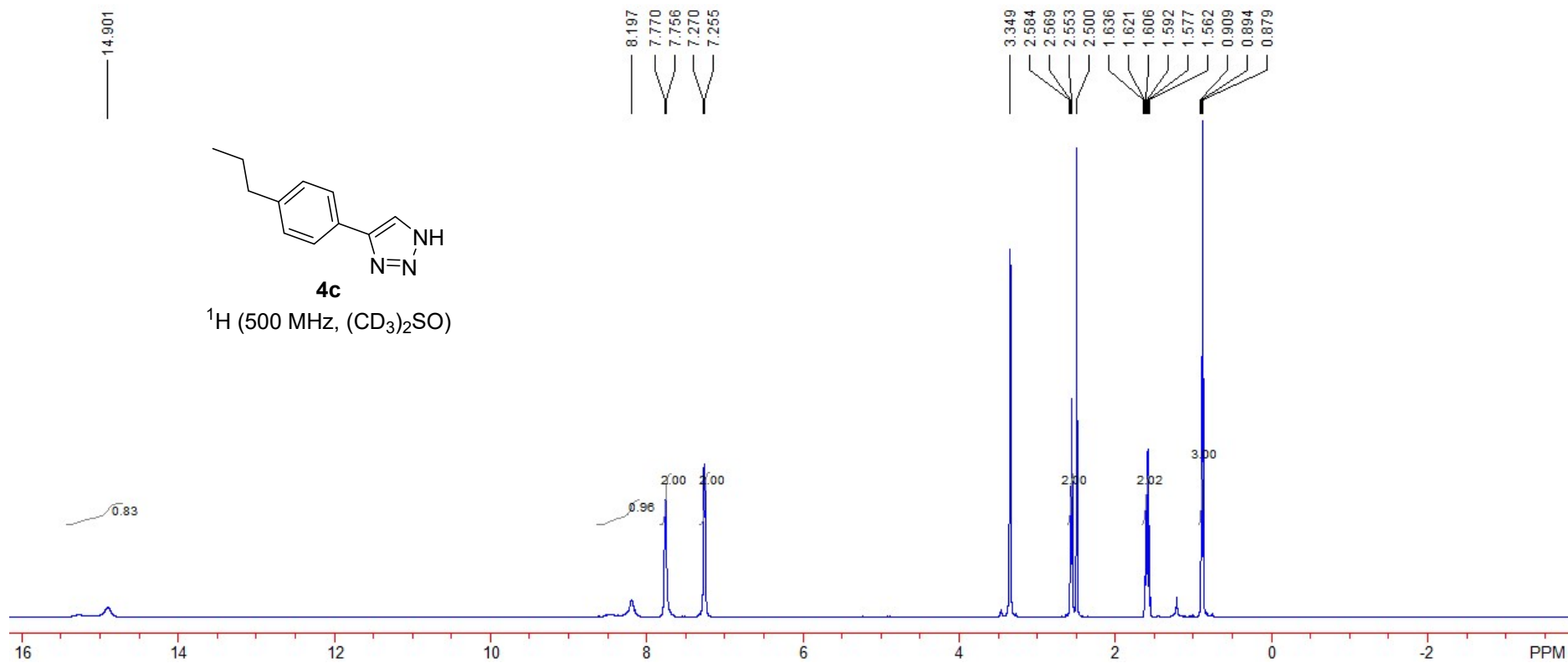


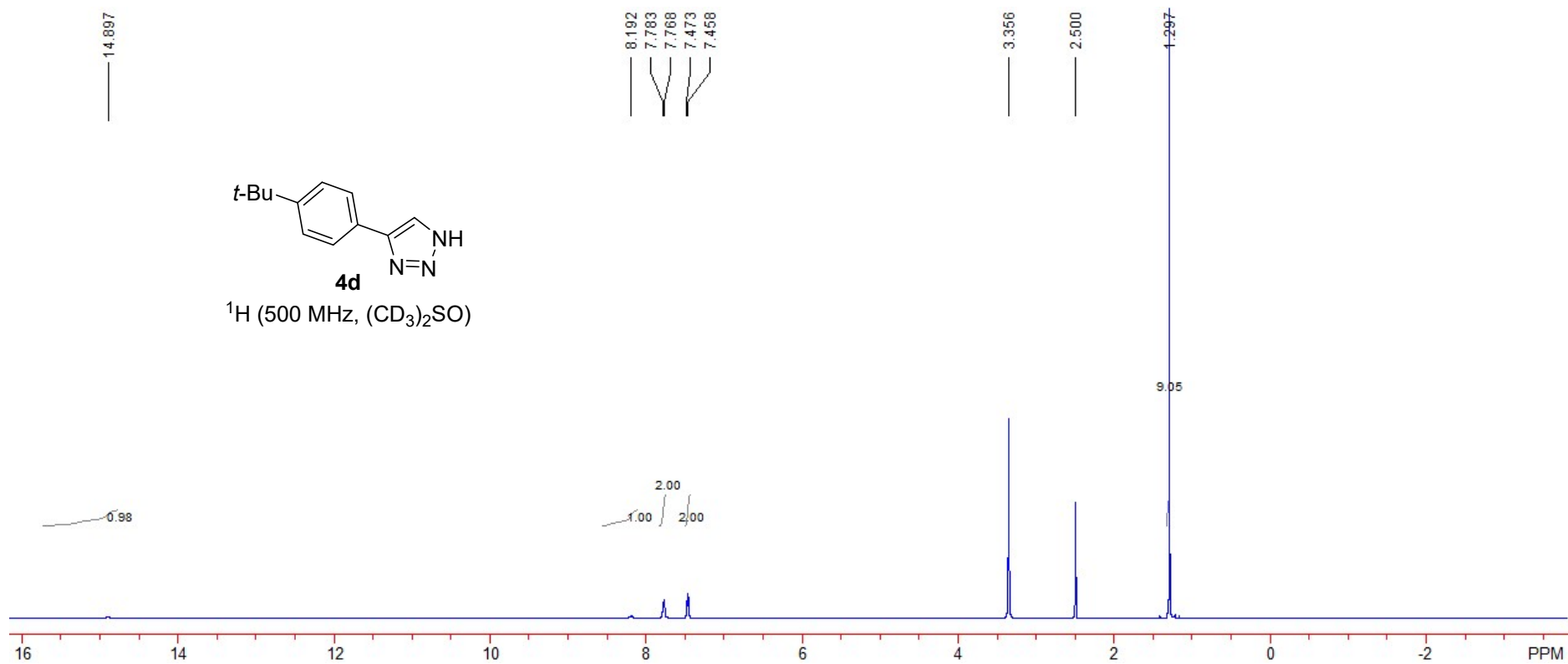


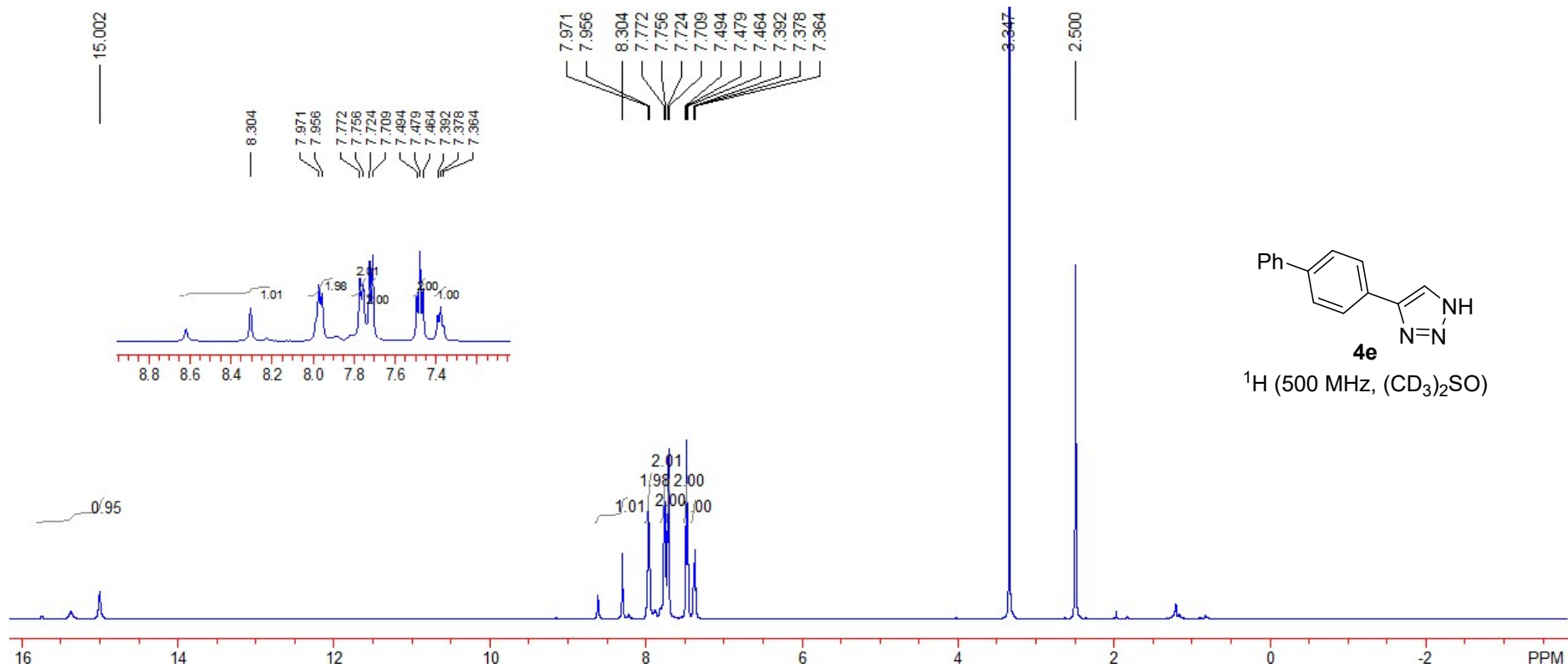


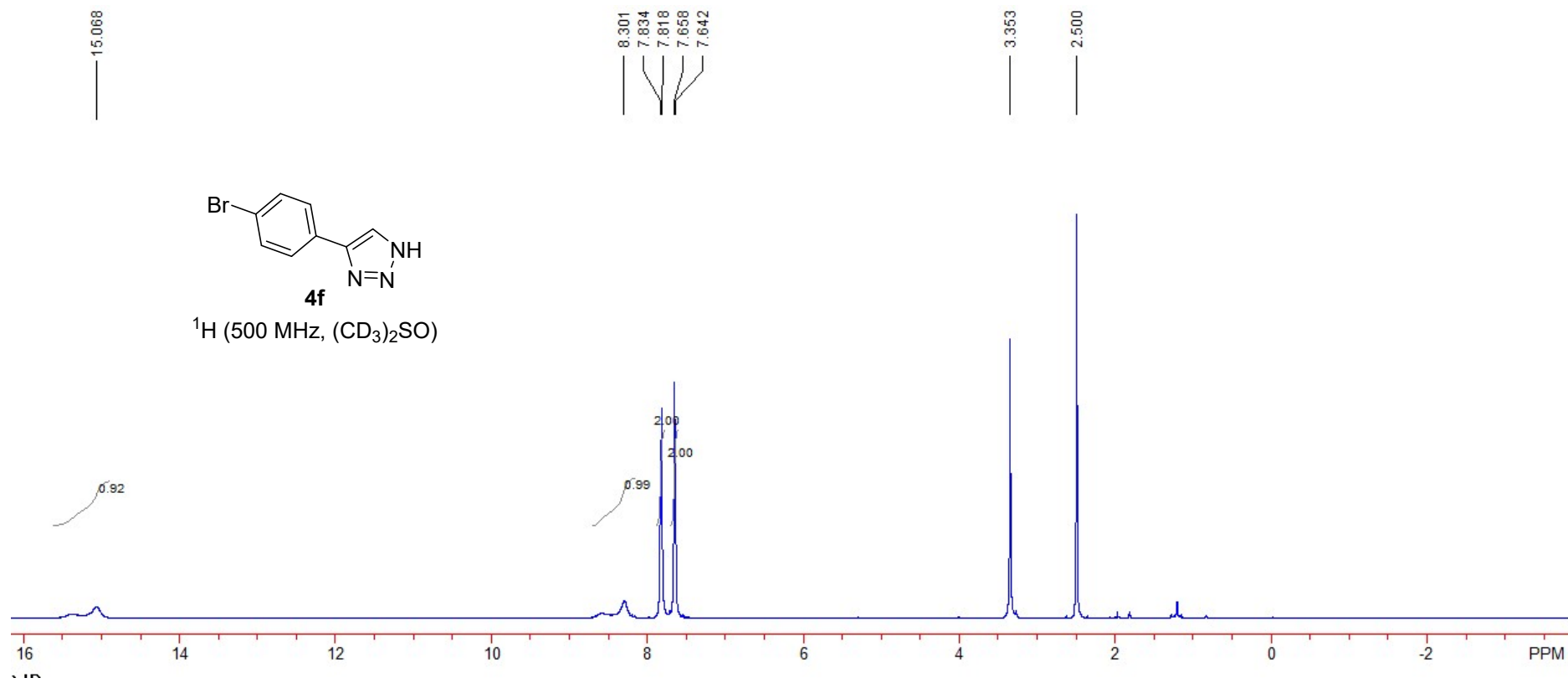


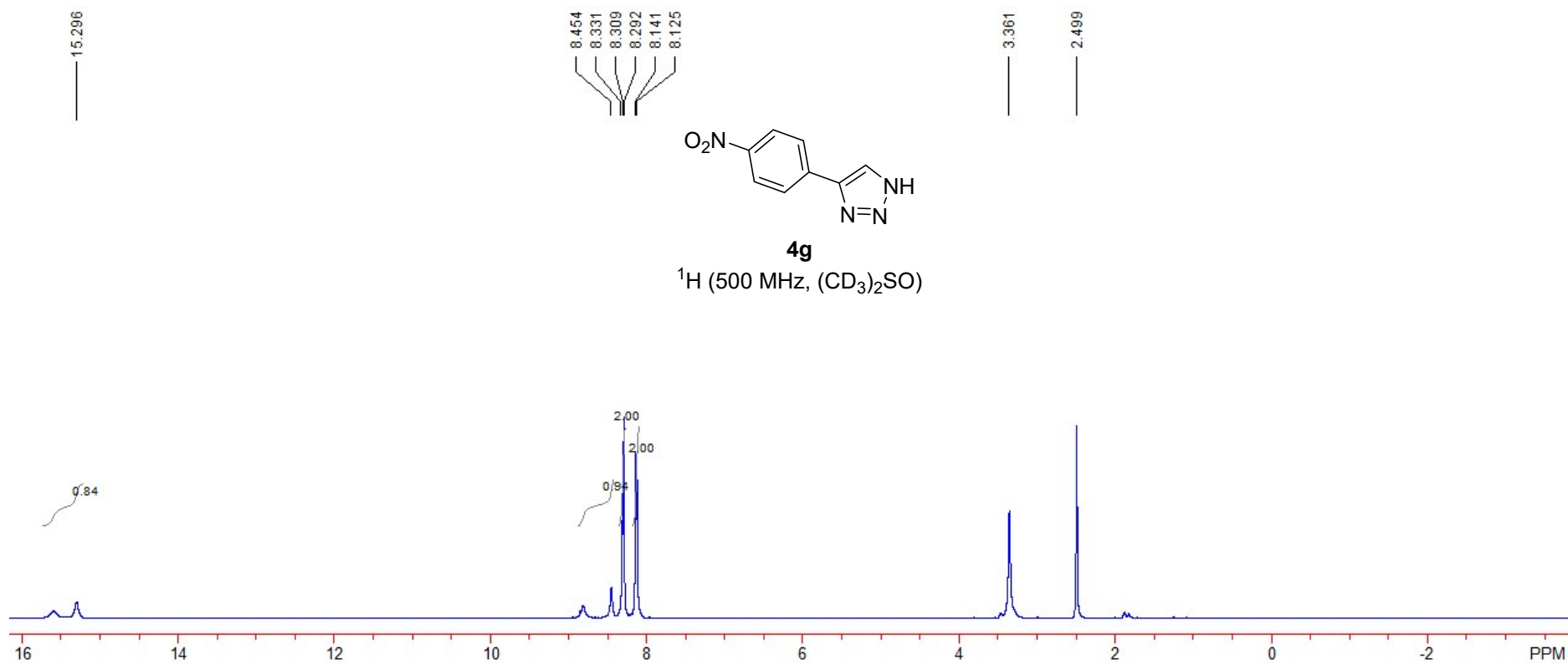


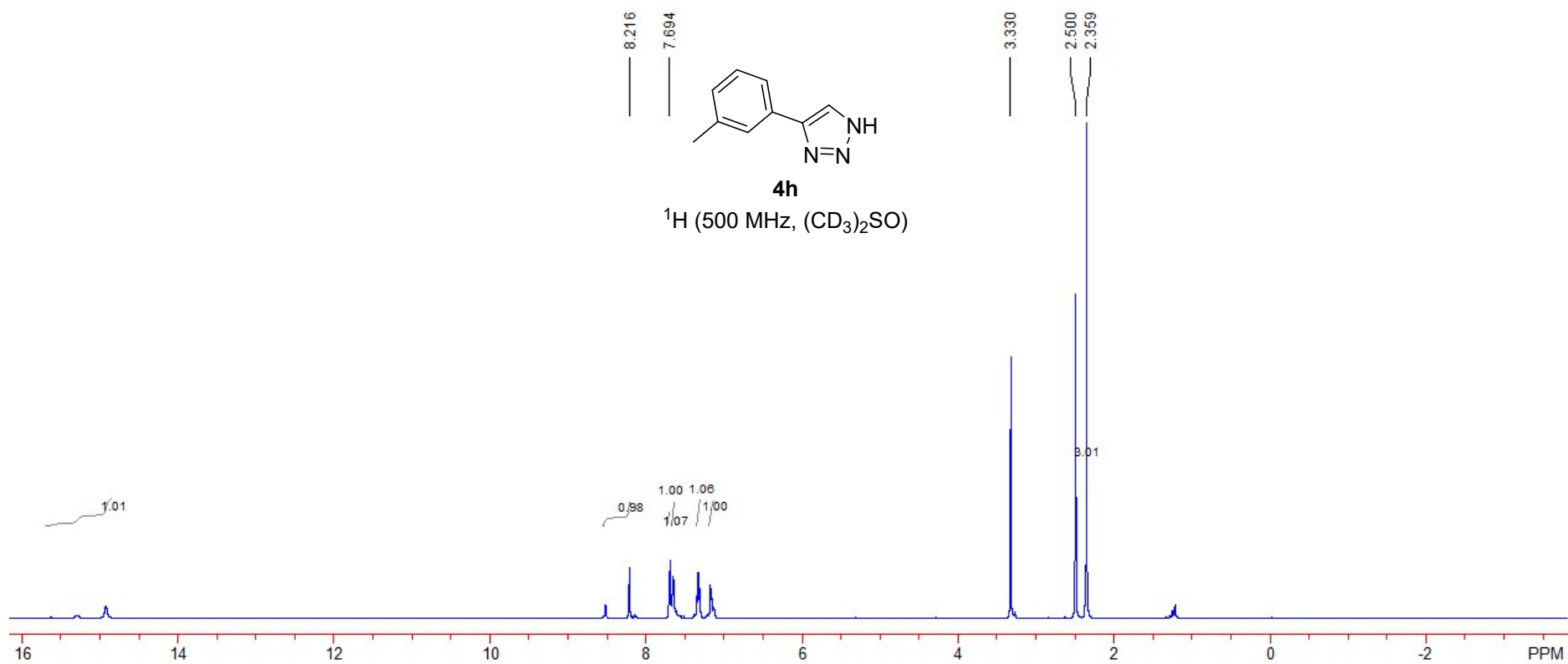


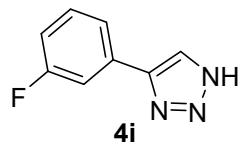










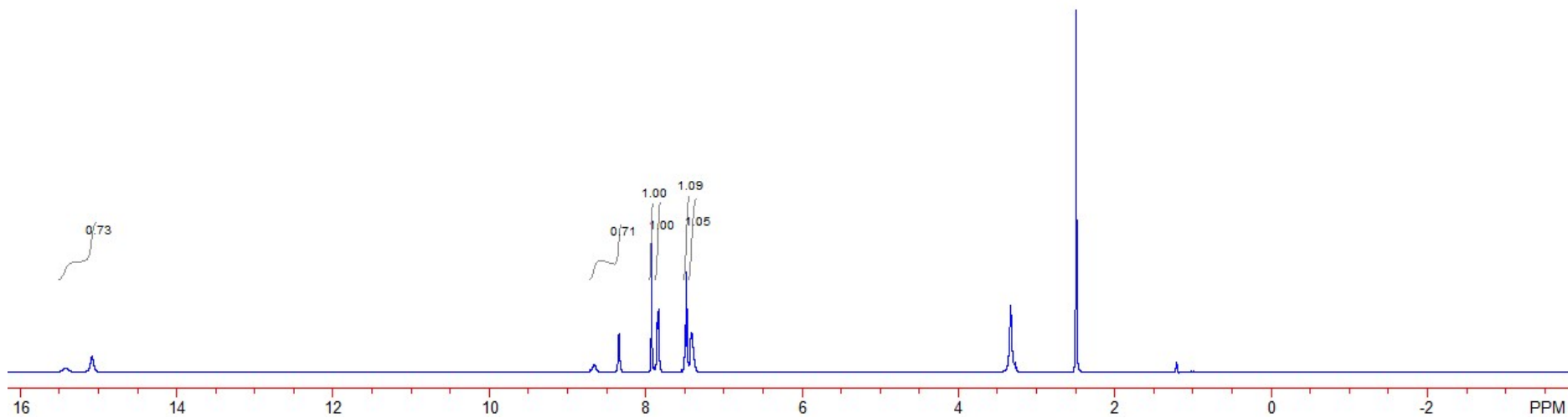


^1H (500 MHz, $(\text{CD}_3)_2\text{SO}$)

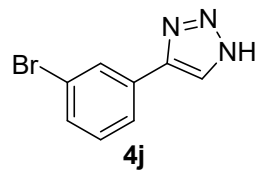
8.345
7.928
7.853
7.838
7.502
7.486
7.471
7.423
7.411

3.338

2.500



15.122

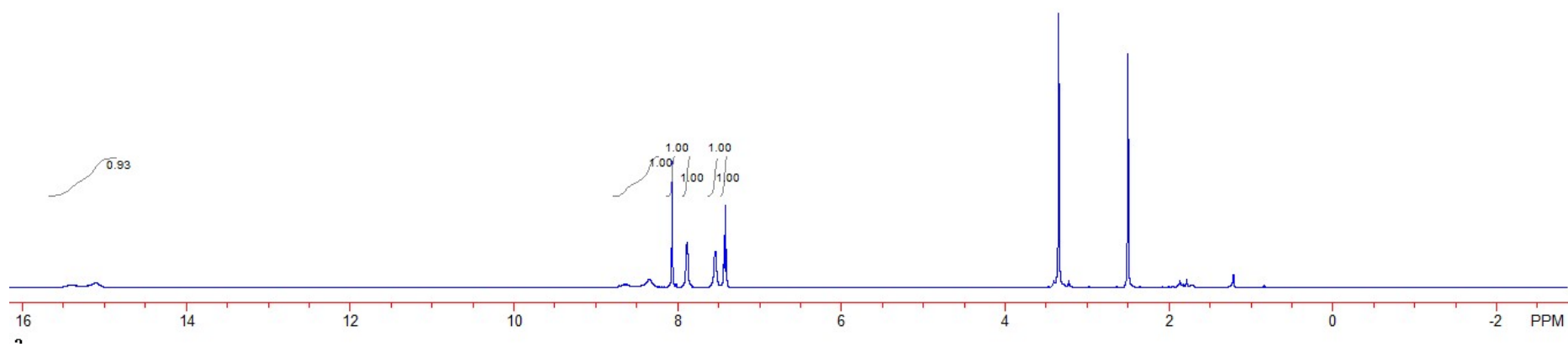


^1H (500 MHz, $(\text{CD}_3)_2\text{SO}$)

8.341
8.066
7.894
7.879
7.538
7.534
7.433
7.417
7.402

3.345

2.500

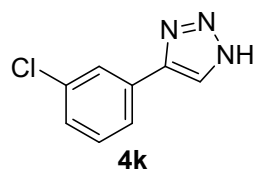


15.109

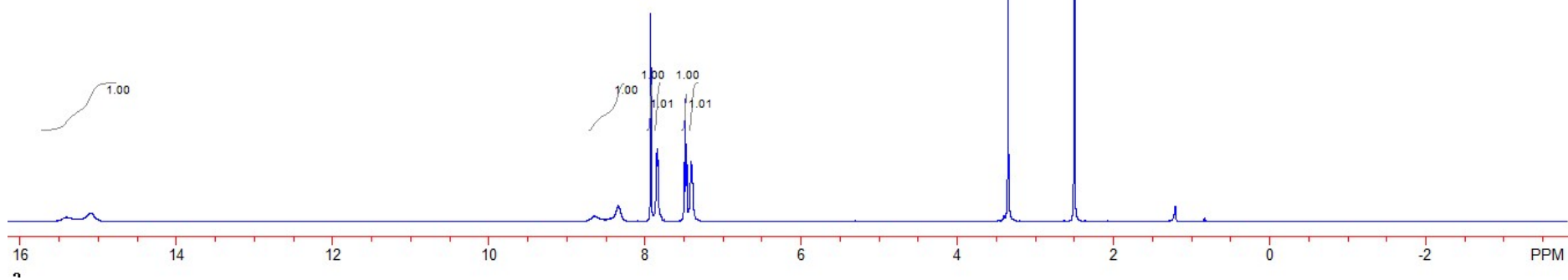
8.342
7.927
7.853
7.839
7.498
7.483
7.467
7.409

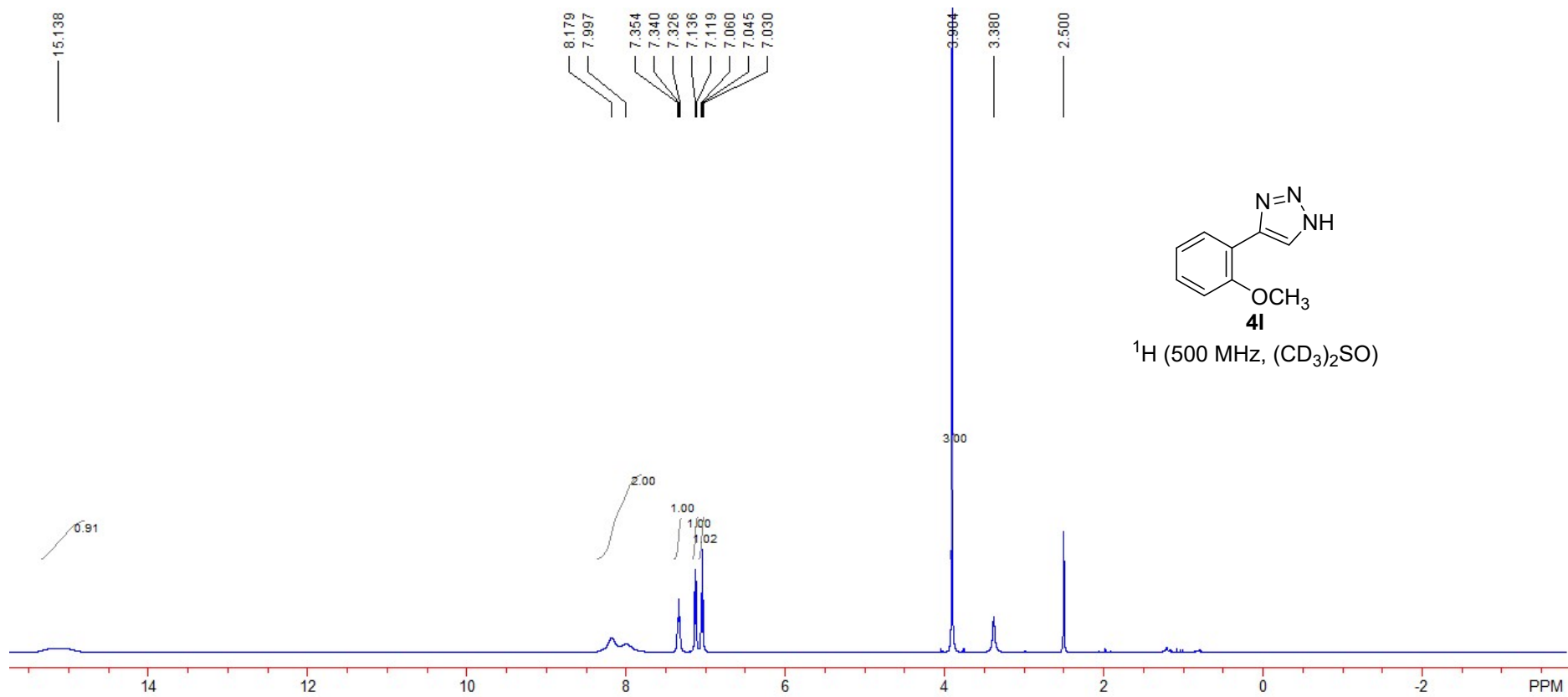
3.348

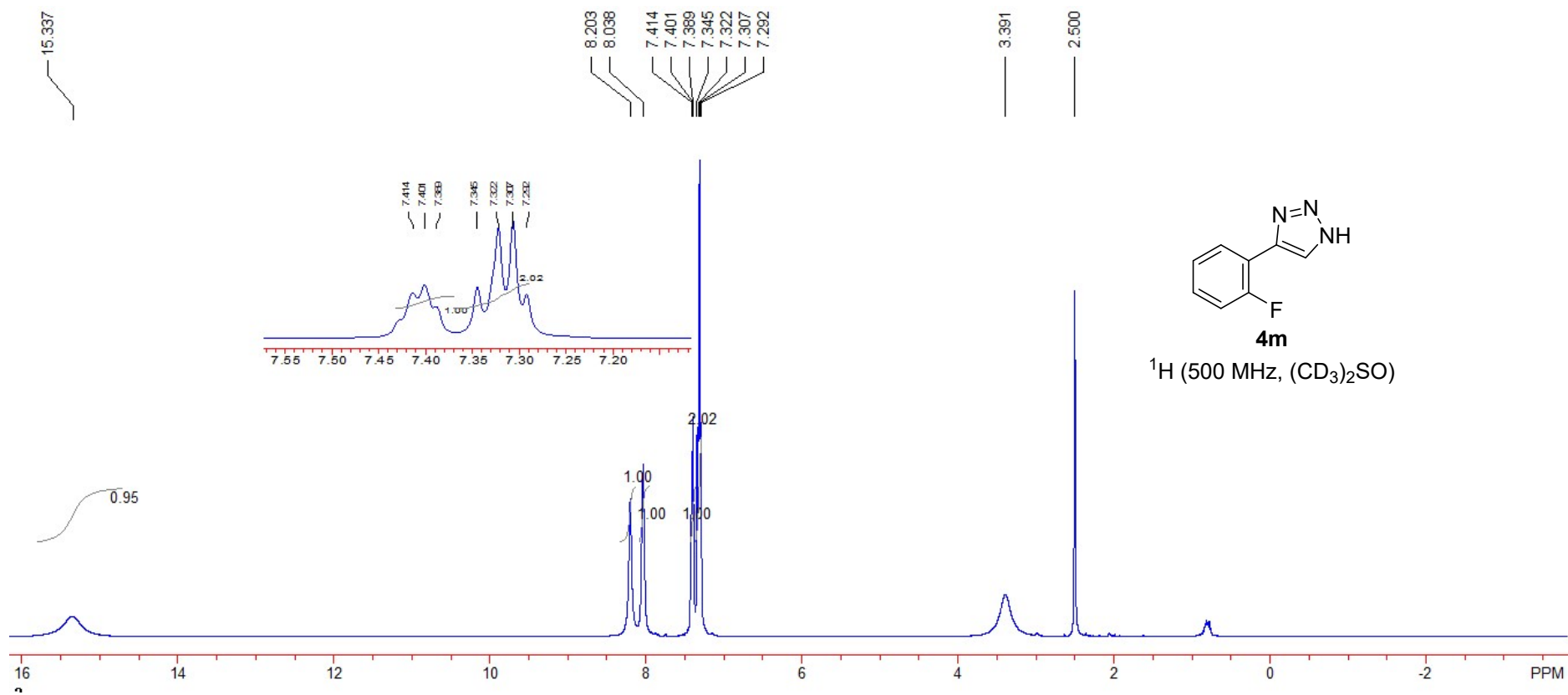
2.500

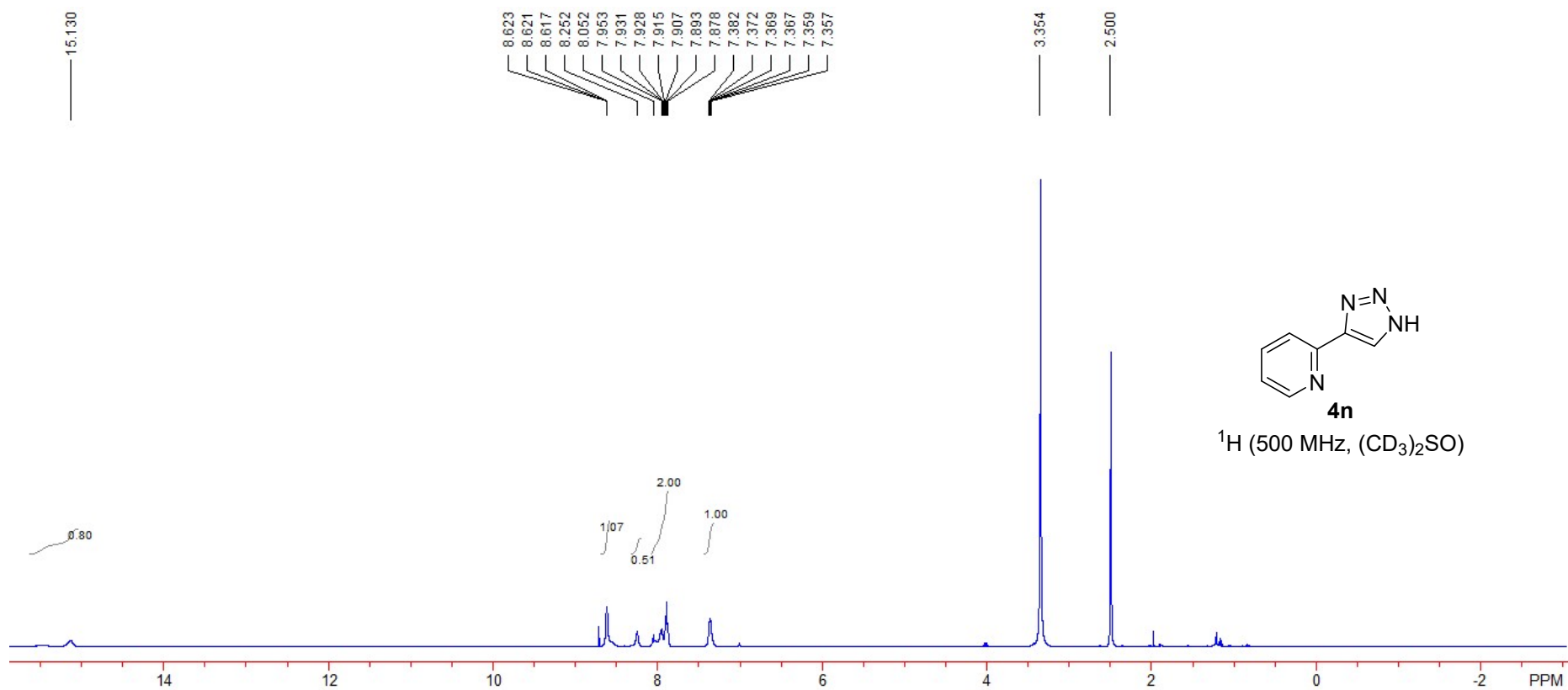


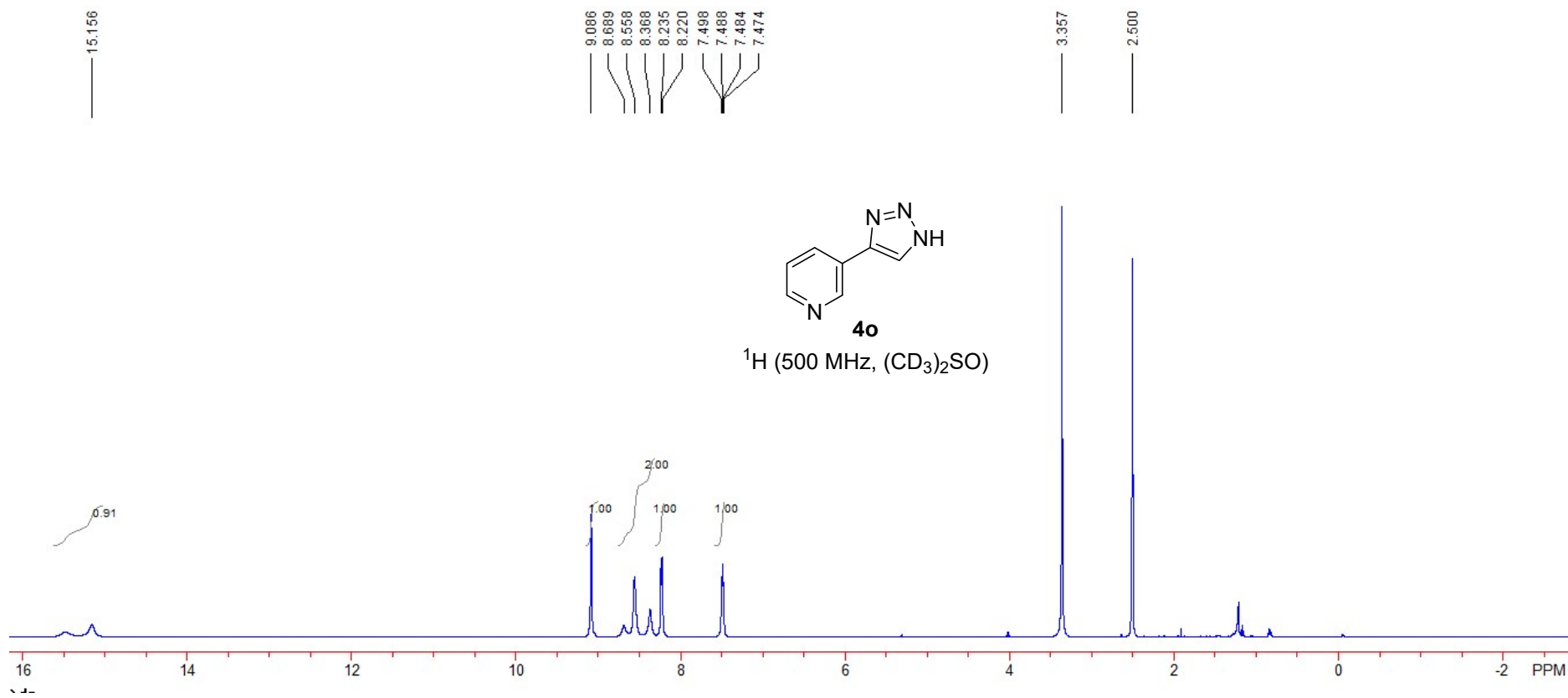
¹H (500 MHz, (CD₃)₂SO)

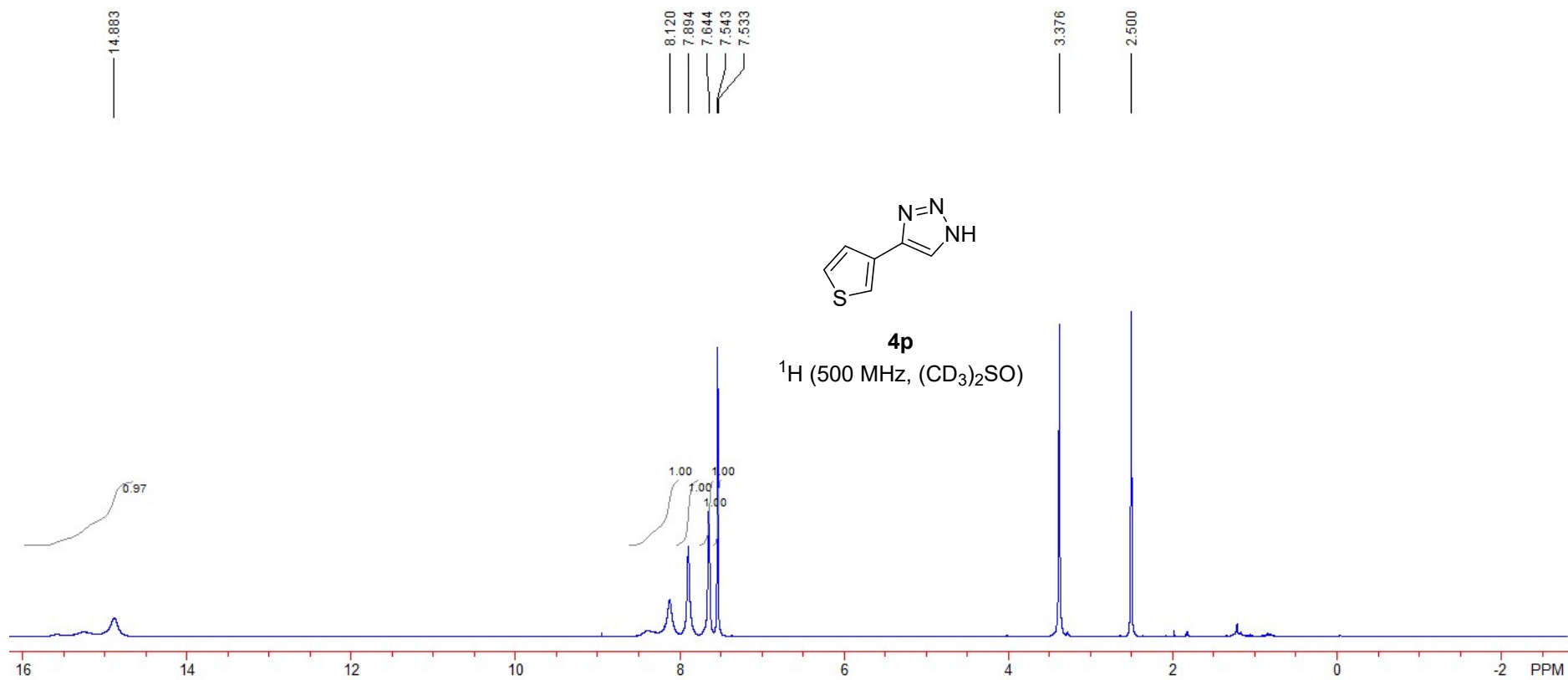


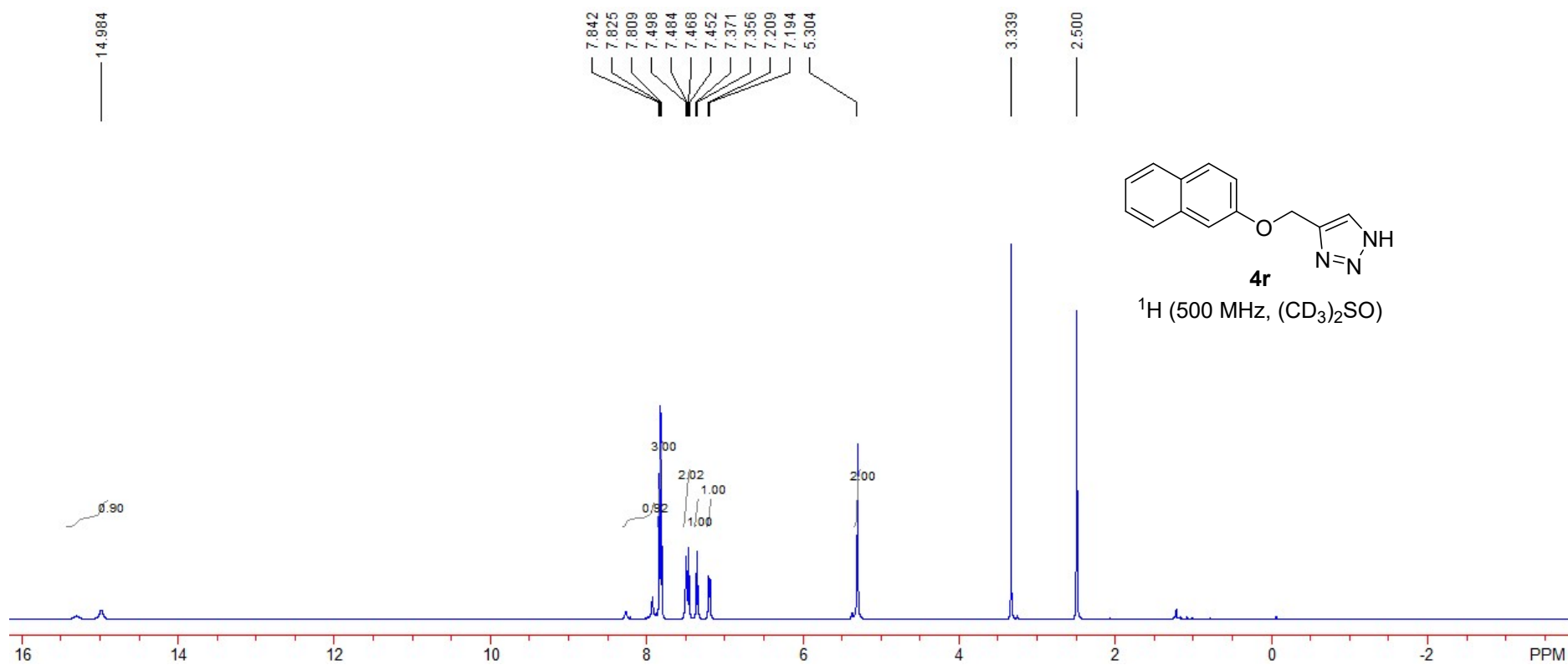


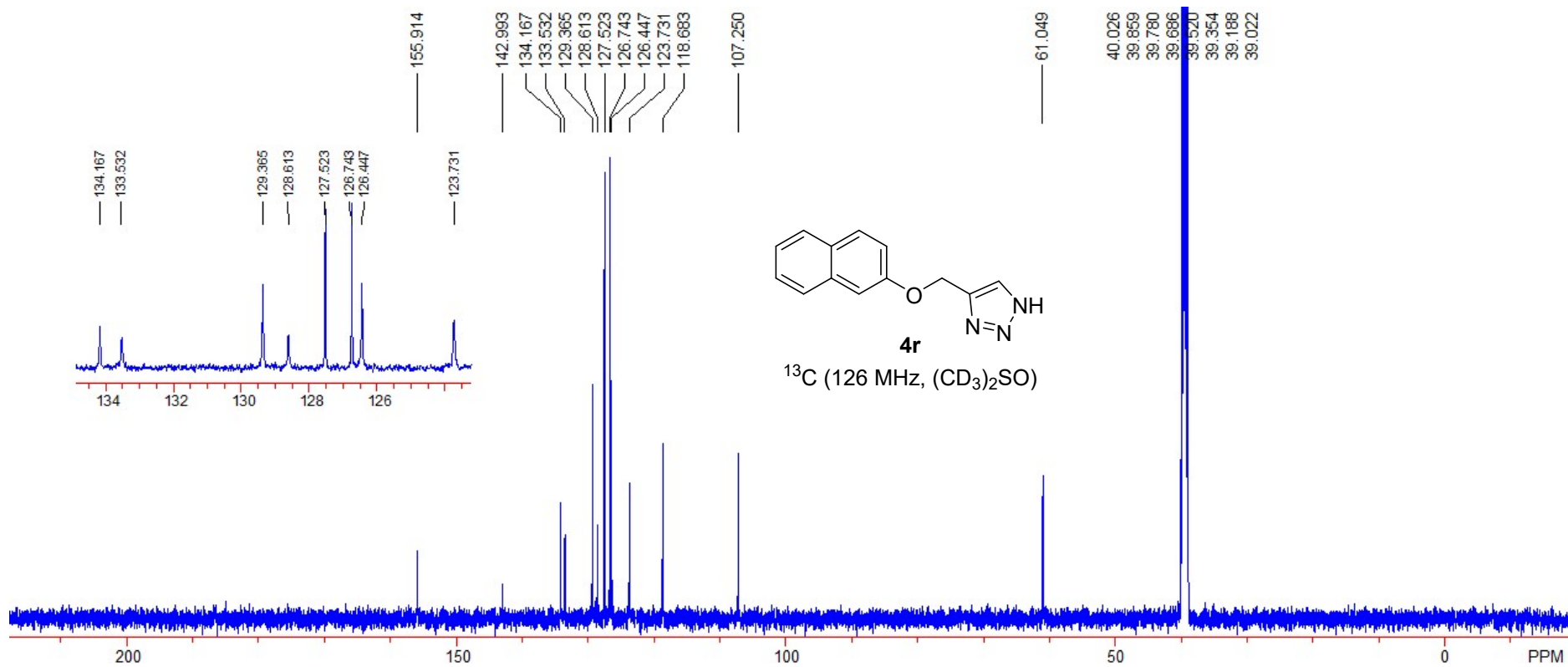


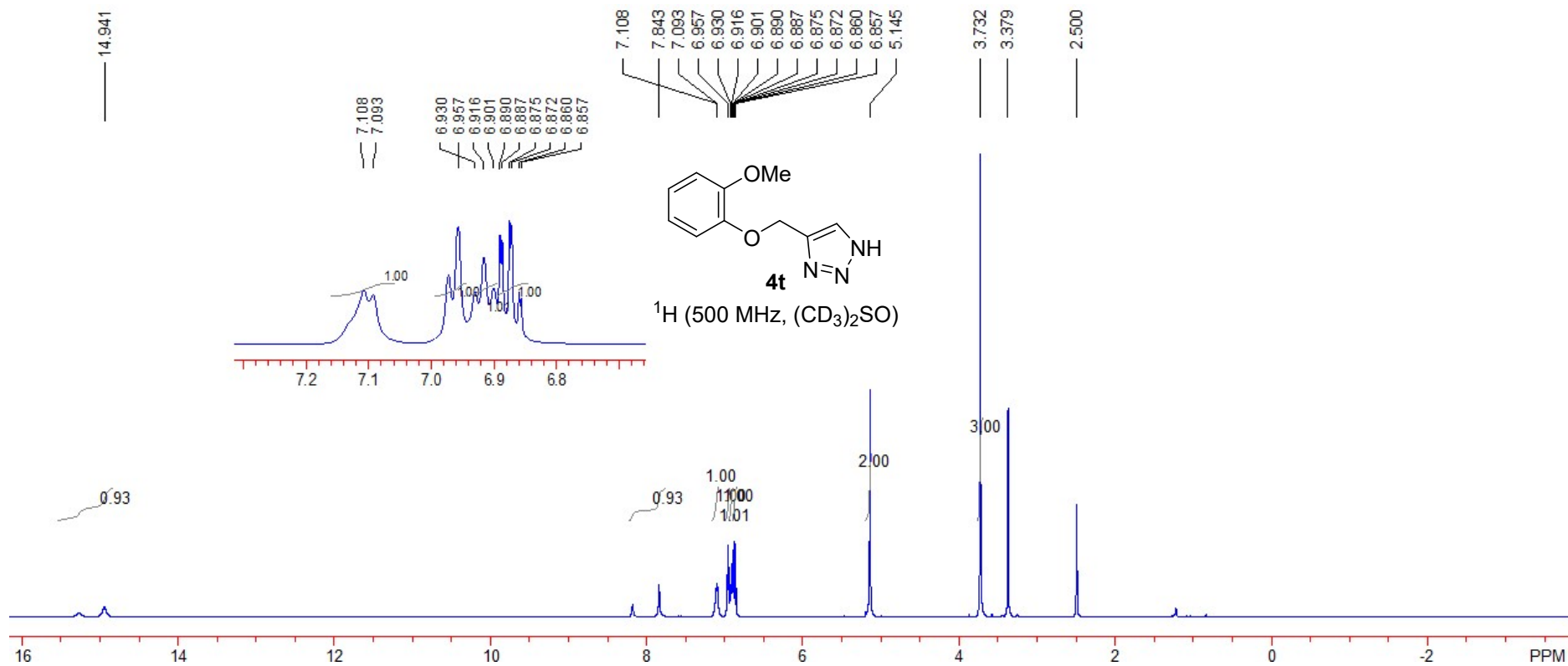


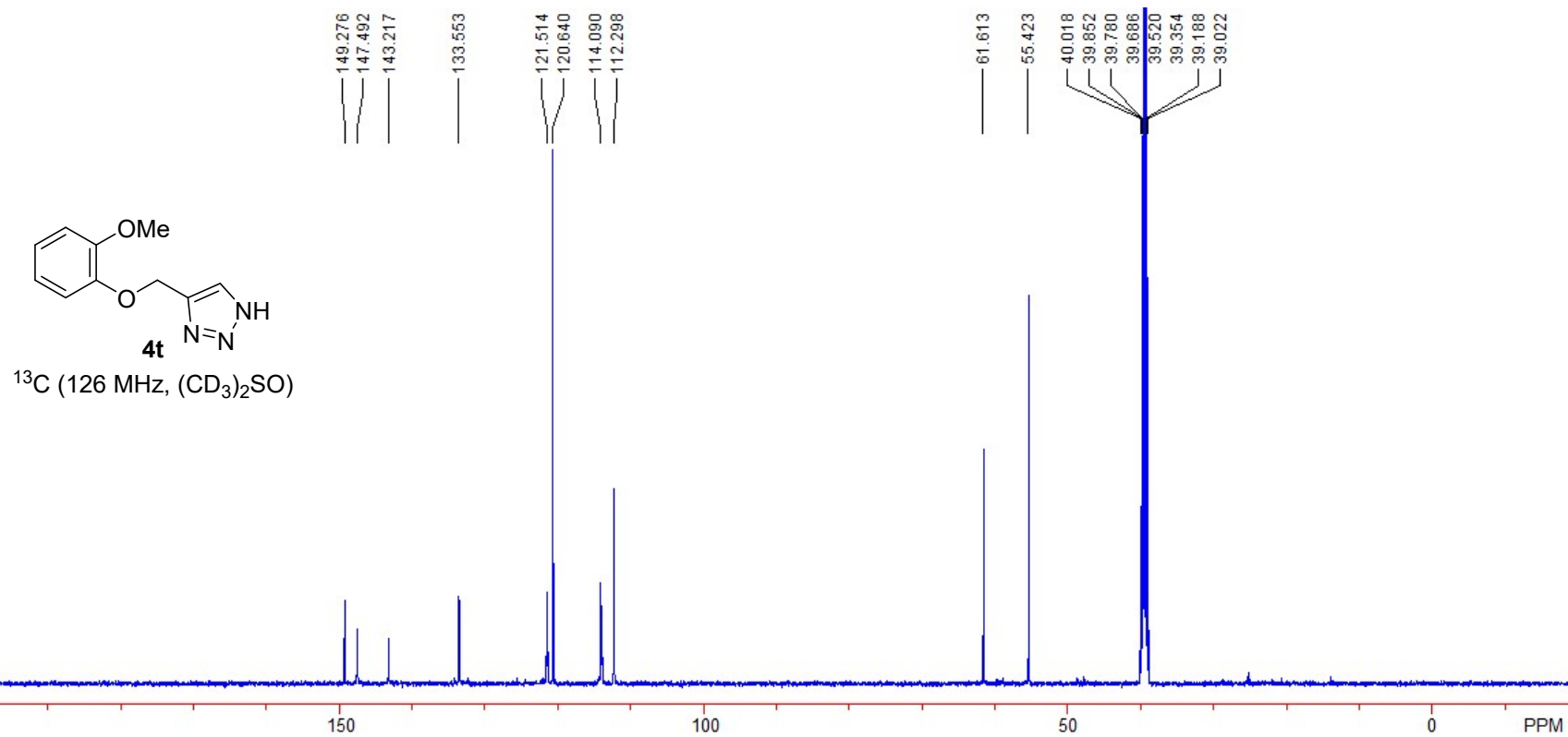


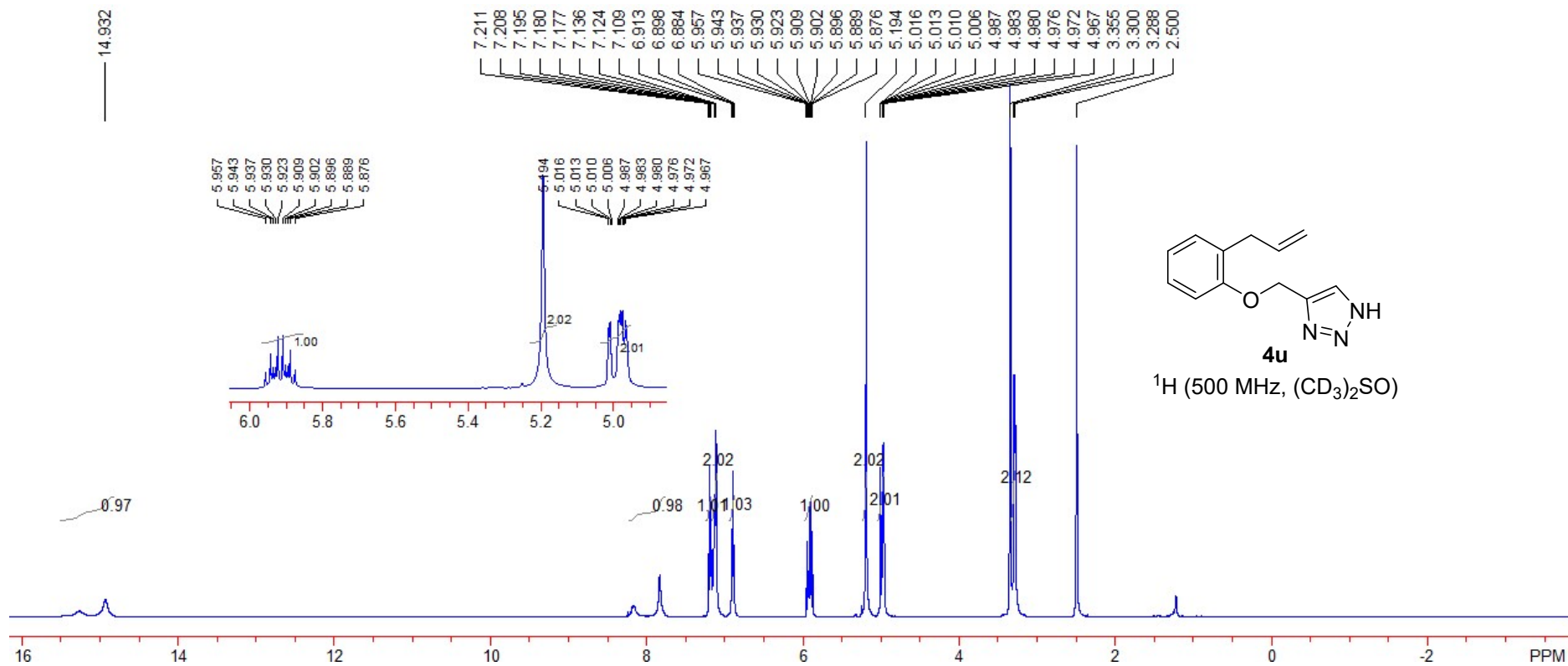


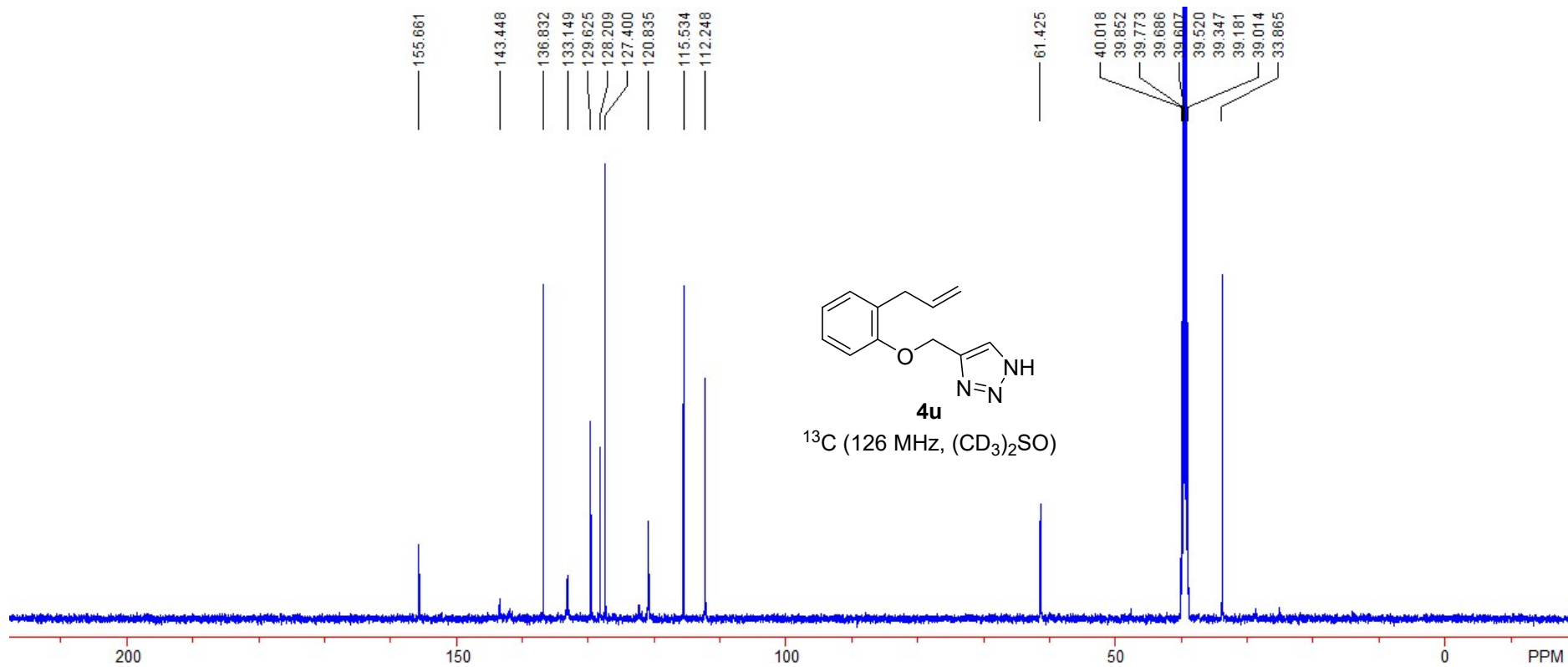


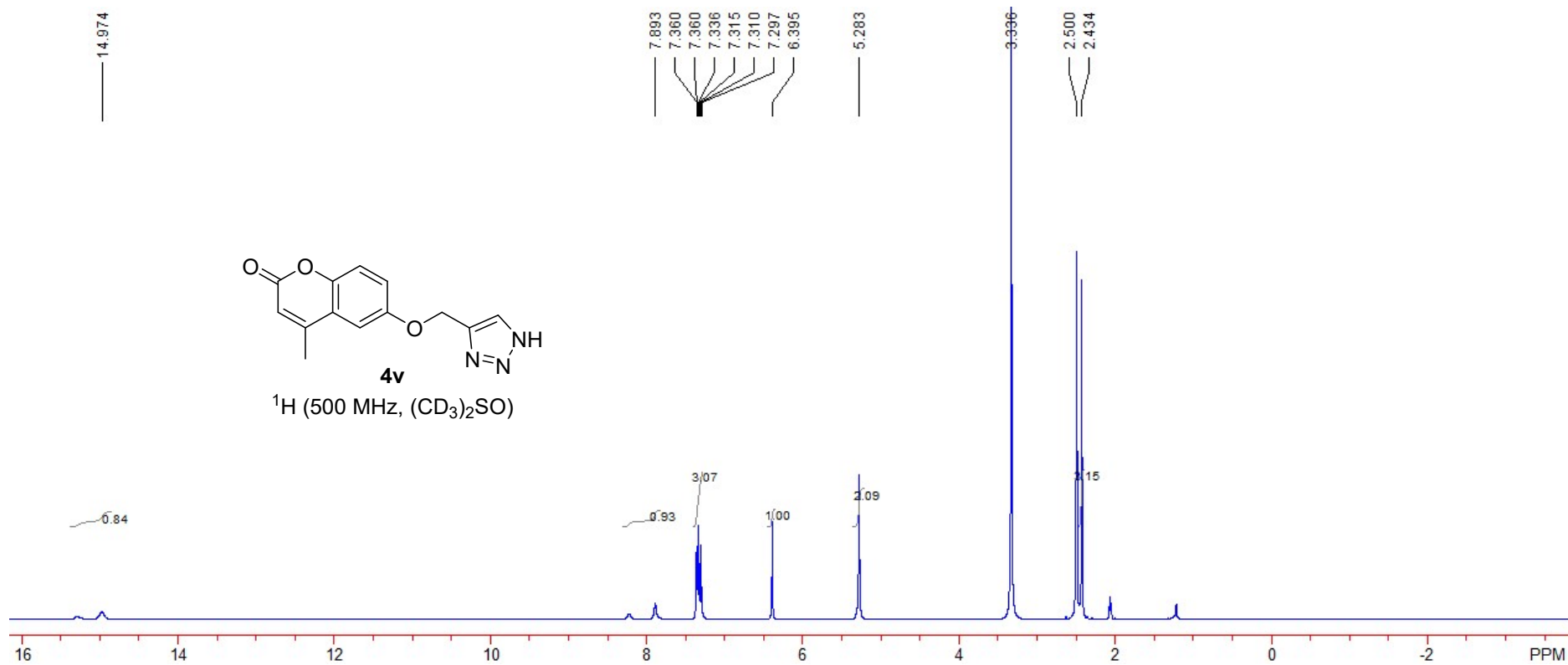


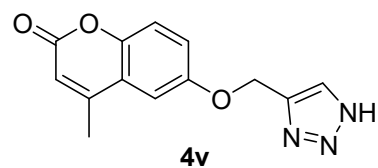




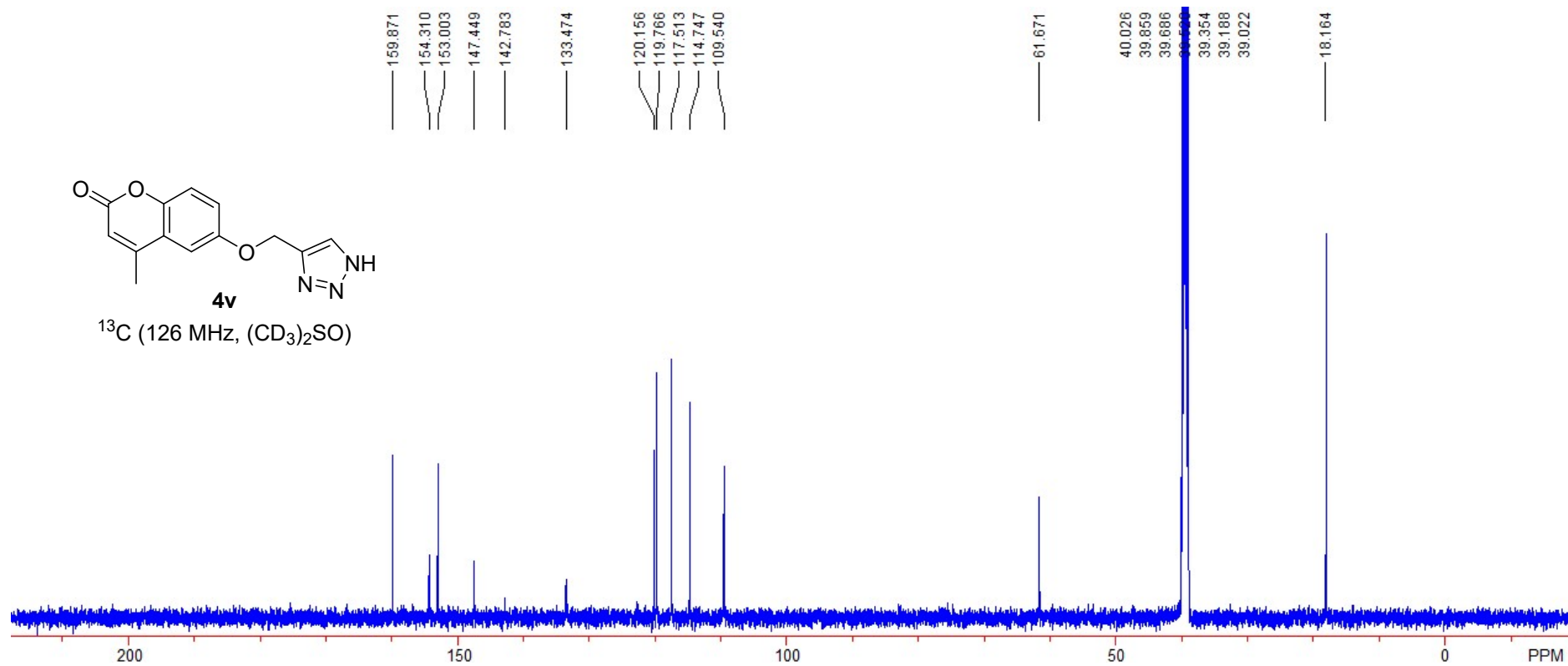


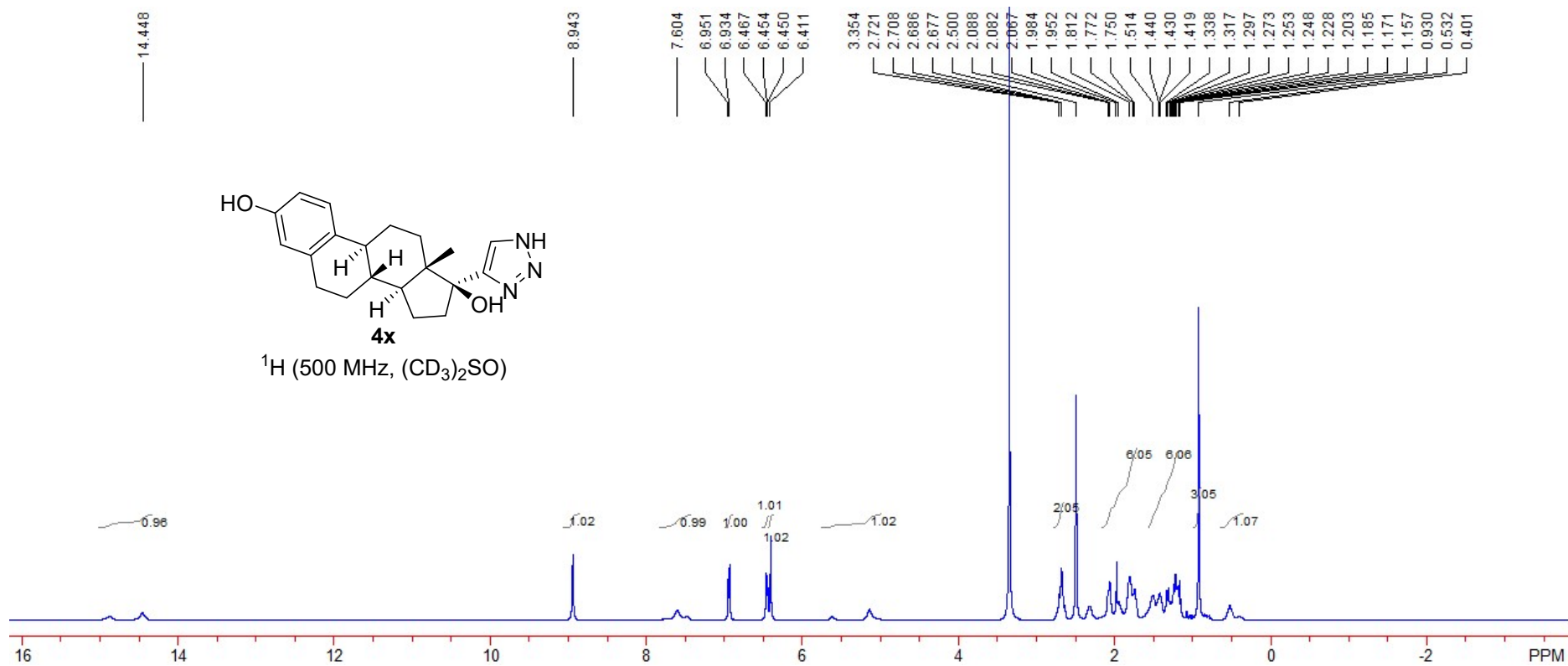


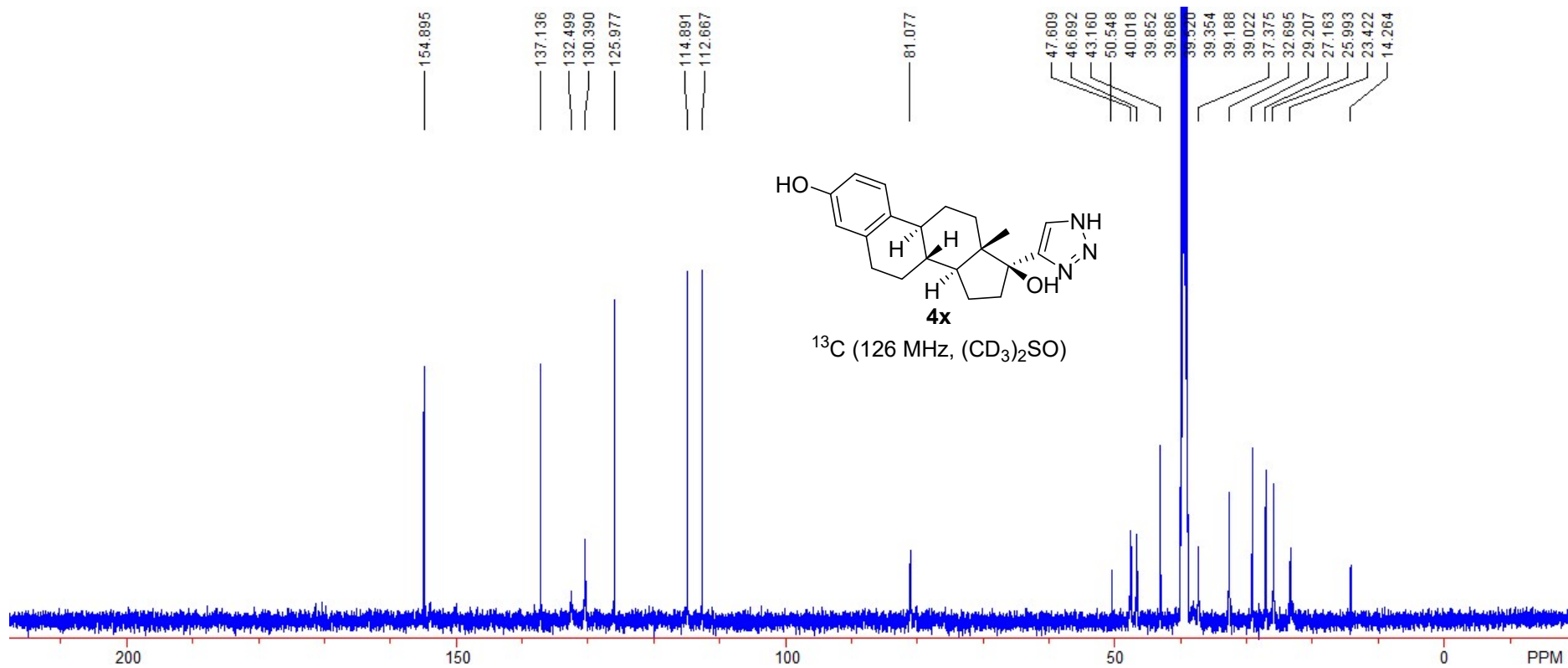


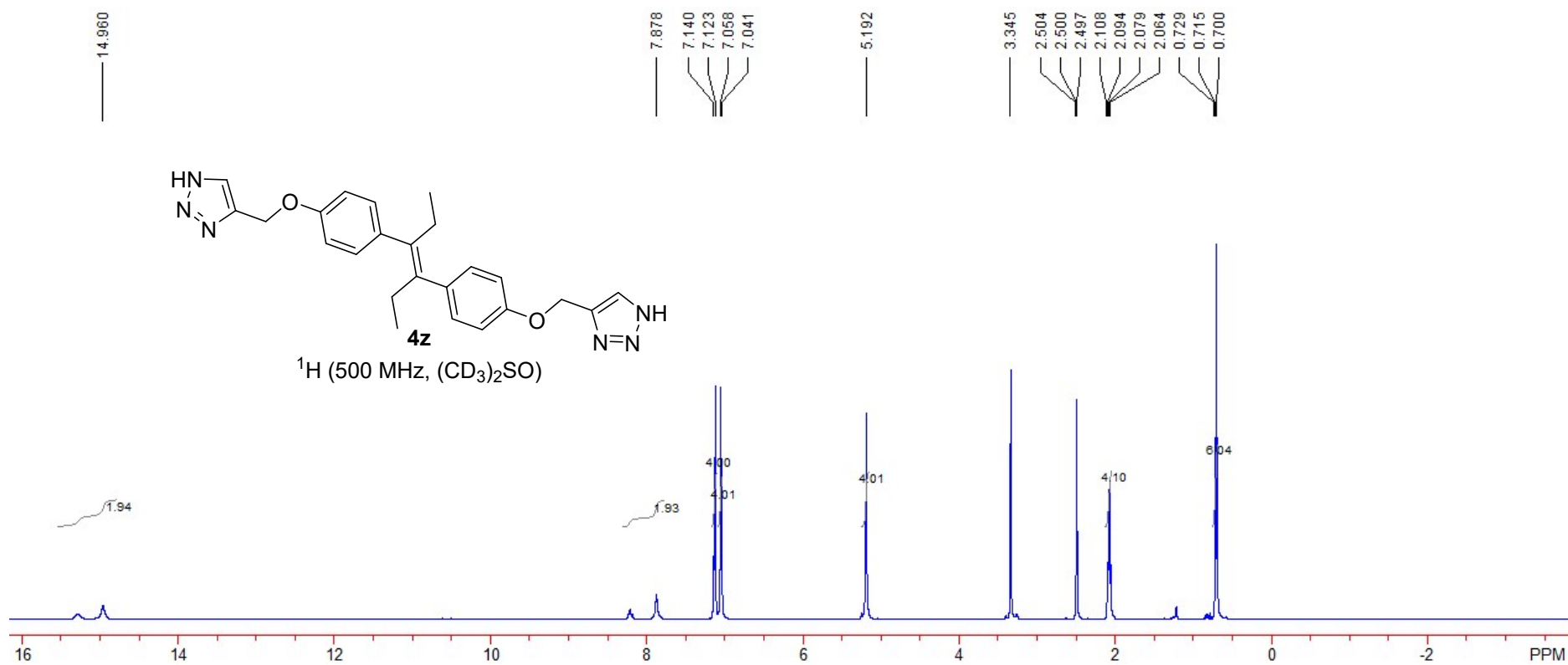


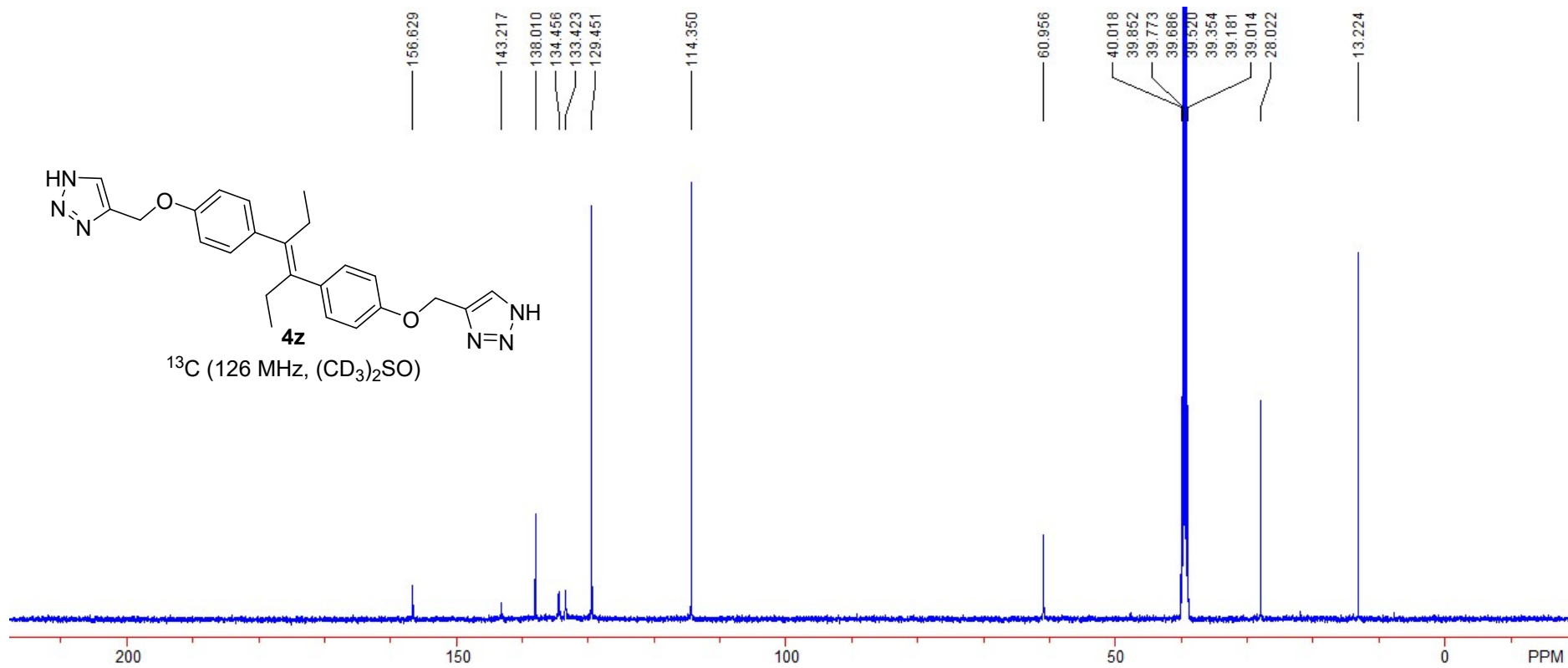
^{13}C (126 MHz, $(\text{CD}_3)_2\text{SO}$)











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