

Supporting Information

Au(I)-Catalyzed Hydrogen Bond-Directed Tandem Strategy to Indeno-chromen-4-one and Indeno-quinolin-4-one Derivatives

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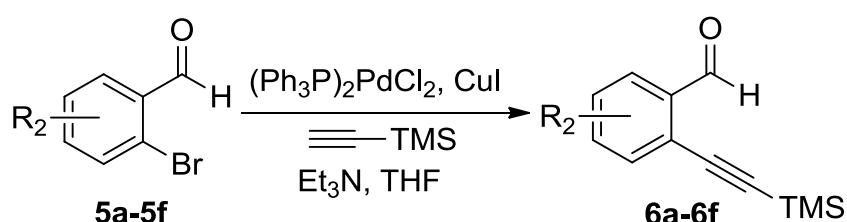
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1. General Information

Unless otherwise noted, reagents were obtained commercially and used without further purification. Tetrahydrofuran (THF) was distilled from sodium under a nitrogen atmosphere. Dichloromethane (DCM) was distilled from calcium hydride under a nitrogen atmosphere. TLC analysis of reaction mixtures was performed on Dynamicadsorbents silica gel F-254 TLC plates. Flash chromatography was carried out on Zeoprep 60 (200-300 mesh) silica gel. ^1H and ^{13}C NMR spectra were recorded with Bruker Avance-III 600 spectrometers and referenced to CDCl_3 and $\text{DMSO}-d_6$. HR-ESI-MS was recorded on a Bruker micro-TOFQ-Q instrument. IR spectra were recorded on a Bruker IFS 55 spectrometer. Melting points (Mp) were tested on Thomas Hoover capillary melting point apparatus.

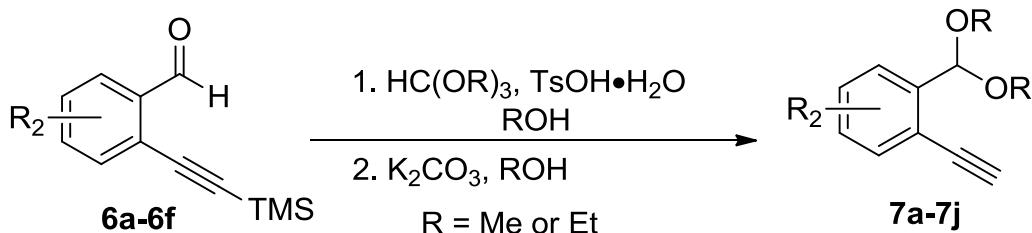
2. General Procedures for the Preparation of Substrates **1a-1y**, **3a-3m** and Characterization Data

2.1 General Procedures for the Preparation of 2-Alkynyl Benzaldehydes **6a-6f**



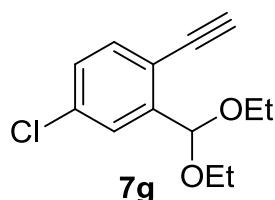
To a mixture of 2-bromobenzaldehyde **5a-5f** (10.0 mmol), $(\text{Ph}_3\text{P})_2\text{PdCl}_2$ (0.2 mmol, 140 mg) and CuI (0.1 mmol, 19 mg) was added degassed THF (50 mL) under nitrogen atmosphere. Then ethynyl trimethylsilane (20.0 mmol, 2.8 mL) and Et₃N (20.0 mmol, 2.8 mL) were added by syringe under nitrogen atmosphere. The solution was stirred at 50 °C for 12 hours till the consumption of the starting material. The reaction mixture was filtrated through Celite after cooling to room temperature. The crude materials were purified by a flash column chromatography on silica gel to afford the products **6a-6f**.^[S1, S2]

2.2 General Procedures for the Preparation of Acetals **7a-7j** and Characterization Data



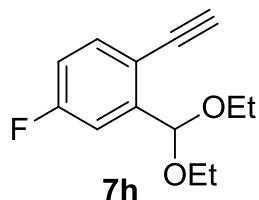
The substrates **6a-6f** (1 mmol) were dissolved in MeOH or EtOH (5 mL) before HC(OMe)_3 (2 mmol, 212 mg) or HC(OEt)_3 (2 mmol, 296 mg) and $\text{TsOH H}_2\text{O}$ (0.01 mmol, 2 mg) were added. The mixture was stirred at room temperature for 5 hours till TLC showed the consumption of the starting material. K_2CO_3 (5 mmol, 691 mg) was added to the solution and the resulting mixture was stirred at room temperature for 5 hours till TLC showed the starting materials were completely consumed. The reaction mixture was filtrated through Celite. The filtrate was concentrated and purified by a flash column chromatography on silica gel to afford the products **7a-7j**.^[S1, S2]

4-Chloro-2-(diethoxymethyl)-1-ethynylbenzene (7g)



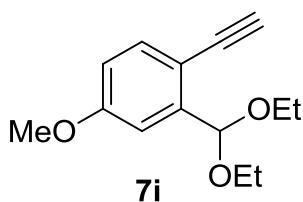
Yellow oil in 90% yield (EtOAc/petroleum ether = 1:100): ^1H NMR (600 MHz, CDCl_3) δ 7.63 (d, $J = 2.2$ Hz, 1H), 7.40 (d, $J = 8.2$ Hz, 1H), 7.23 (dd, $J = 8.2, 2.2$ Hz, 1H), 5.75 (s, 1H), 3.66 (dq, $J = 9.4, 7.1$ Hz, 2H), 3.56 (dq, $J = 9.4, 7.1$ Hz, 2H), 3.34 (s, 1H), 1.23 (t, $J = 7.1$ Hz, 6H); ^{13}C NMR (150 MHz, CDCl_3) δ 143.21, 135.15, 134.11, 128.50, 126.78, 119.35, 99.67, 82.67, 80.33, 62.52, 15.22; IR (thin film, cm^{-1}) 3425, 3299, 2977, 2922, 2107, 1737, 1593, 1474, 1402, 1384, 1371, 1259, 1108, 1060, 897, 825, 655, 619, 561; HRMS (ESI): m/z Calcd. for $\text{C}_{13}\text{H}_{15}\text{ClO}_2\text{Na} [\text{M}+\text{Na}]^+$ 261.0653, Found 261.0633.

2-(Diethoxymethyl)-1-ethynyl-4-fluorobenzene (7h)



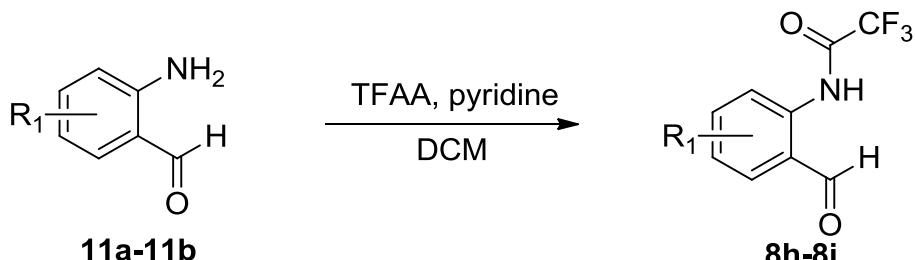
Yellow oil in 89% yield (EtOAc/petroleum ether = 1:100): ^1H NMR (600 MHz, CDCl_3) δ 7.46 (dd, $J = 8.5, 5.5$ Hz, 1H), 7.35 (dd, $J = 9.5, 2.7$ Hz, 1H), 6.95 (td, $J = 8.3, 2.7$ Hz, 1H), 5.76 (s, 1H), 3.67 (dq, $J = 9.2, 7.1$ Hz, 2H), 3.57 (dq, $J = 9.3, 7.1$ Hz, 2H), 3.27 (s, 1H), 1.23 (t, $J = 7.1$ Hz, 6H); ^{13}C NMR (150 MHz, CDCl_3) δ 162.87 (d, $J = 249.0$ Hz), 144.35 (d, $J = 7.5$ Hz), 134.83 (d, $J = 9.0$ Hz), 116.89 (d, $J = 4.5$ Hz), 115.55 (d, $J = 22.5$ Hz), 113.78 (d, $J = 24.0$ Hz), 99.70 (d, $J = 1.5$ Hz), 81.48 (d, $J = 1.5$ Hz), 80.38, 62.56, 15.20; IR (thin film, cm^{-1}) 3432, 3304, 2978, 2929, 2881, 2108, 1607, 1488, 1270, 1156, 1061, 893, 826, 704, 655, 618, 426; HRMS (ESI): m/z Calcd. for $\text{C}_{13}\text{H}_{15}\text{FO}_2\text{Na} [\text{M}+\text{Na}]^+$ 245.0948, Found 245.0948.

2-(Diethoxymethyl)-1-ethynyl-4-methoxybenzene (7i)



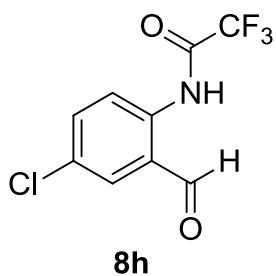
Yellow oil in 90% yield (EtOAc/petroleum ether = 1:100); ^1H NMR (600 MHz, CDCl_3) δ 7.41 (d, $J = 8.5$ Hz, 1H), 7.18 (d, $J = 2.7$ Hz, 1H), 6.80 (dd, $J = 8.5, 2.7$ Hz, 1H), 5.78 (s, 1H), 3.82 (s, 3H), 3.70 (dq, $J = 9.4, 7.1$ Hz, 2H), 3.58 (dq, $J = 9.4, 7.1$ Hz, 2H), 3.22 (s, 1H), 1.24 (t, $J = 7.1$ Hz, 6H); ^{13}C NMR (150 MHz, CDCl_3) δ 160.21, 143.28, 134.35, 114.66, 113.07, 111.21, 100.39, 81.42, 80.27, 62.75, 55.47, 15.30; IR (thin film, cm^{-1}) 3432, 3284, 2976, 2929, 2103, 1609, 1493, 1465, 1372, 1296, 1236, 1165, 1061, 894, 824, 691, 648; HRMS (ESI): m/z Calcd. for $\text{C}_{14}\text{H}_{18}\text{O}_3\text{Na} [\text{M}+\text{Na}]^+$ 257.1148, Found 257.1150.

2.3 General Procedures for the Preparation of **8h-8i** and Characterization Data



To a solution of *o*-aminobenzaldehyde (1.0 mmol) in anhydrous CH_2Cl_2 (5 mL) was added TFAA (0.2 mL, 1.4 mmol), followed by dropwise addition of anhydrous pyridine (0.16 mL, 2 mmol) at 0 °C. The reaction mixture was warmed to rt and stirred for 5 hours. 1 M HCl (5 mL) was added to the reaction mixture, the aqueous phase was extracted with CH_2Cl_2 (10 mL). The combined organic layer was washed with 1 M HCl (10 mL) and brine (10 mL), dried over anhydrous Na_2SO_4 , filtered through Celite. The filtrate was concentrated and purified by a flash column chromatography on silica gel to afford the products **8h** and **8i**^[S3].

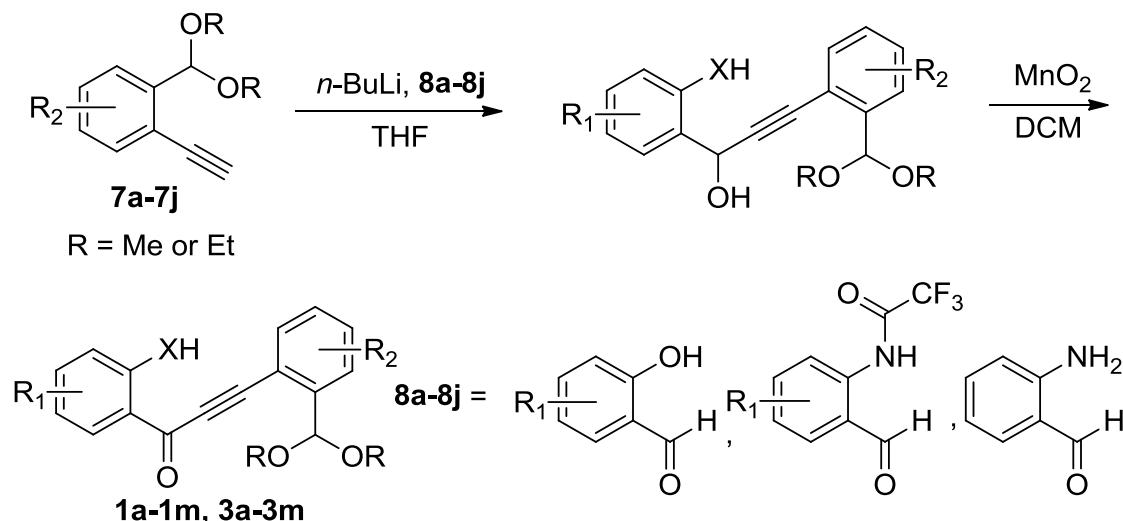
N-(4-Chloro-2-formylphenyl)-2,2,2-trifluoroacetamide (**8h**)



White solid in 86% yield (EtOAc/petroleum ether = 1:20): Mp 63.5–64.6 °C; ^1H NMR (600 MHz, CDCl_3) δ 12.05 (s, 1H), 9.92 (s, 1H), 8.66 (d, $J = 8.9$ Hz, 1H), 7.75 (d, $J = 2.5$ Hz, 1H), 7.66 (dd, $J = 8.9, 2.5$ Hz, 1H); ^{13}C NMR (150 MHz, CDCl_3) δ 194.51, 155.91 (q, $J = 37.5$ Hz), 136.72, 136.29, 135.40, 130.82, 123.74, 122.14, 115.55 (q, $J = 286.5$ Hz); IR (thin film, cm^{-1}) 3432, 2923, 2853, 1731, 1674, 1611, 1535, 1384, 1280, 1191, 1154, 913, 887, 729, 517; HRMS (ESI): m/z Calcd. for

$C_9H_6ClF_3NO_2$ [M+H]⁺ 252.0034, Found 252.0033.

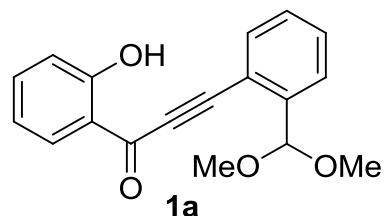
2.4 General Procedure for the Preparation of **1a-1m**, **3a-3m** and Characterization Data



To a stirring solution of **7a-7j** (2.1 mmol) in THF (11 mL) was added a solution of *n*-BuLi (1.0 M in THF, 2.1 mL) dropwise at -78 °C under nitrogen atmosphere. The reaction was stirred for 1 hour at -78 °C followed by the addition of **8a-8j** (1 mmol) dropwise. After stirring at -78 °C for 1 hour, the solution was warmed to 0 °C for another 1 hour. The reaction mixture was quenched by the addition of a saturated aqueous solution of NH₄Cl. The aqueous phase was extracted with ethyl acetate. The combined organic portions were washed with H₂O, saturated aqueous solution of NaCl, dried over anhydrous Na₂SO₄, filtered and reduced *in vacuo*.

To a solution of the residue obtained above in DCM (11 mL) was added activated MnO₂ (5.0 mmol, 434 mg). The mixture was stirred at room temperature overnight. The reaction mixture was filtrated through Celite. The filtrate was concentrated and purified by a flash column chromatography on silica gel to afford the products **1a-1m**, **3a-3m**.

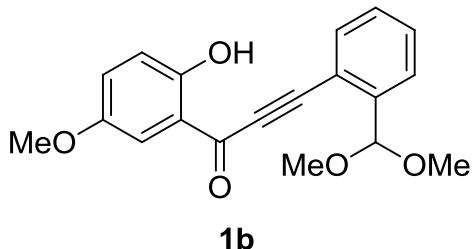
3-(2-(Dimethoxymethyl)phenyl)-1-(2-hydroxyphenyl)prop-2-yn-1-one (1a)



Yellow solid in 60% yield (EtOAc/petroleum ether = 1:80): Mp 32.1–34.1 °C; ¹H NMR (600 MHz, CDCl₃) δ 11.74 (s, 1H), 8.25 (dd, *J* = 8.1, 1.5 Hz, 1H), 7.74 – 7.68 (m, 2H), 7.56 – 7.50 (m, 2H), 7.41 (td, *J* = 7.6, 1.2 Hz, 1H), 7.00 (t, *J* = 7.7 Hz, 2H), 5.73 (s, 1H), 3.43 (s, 6H); ¹³C NMR (150 MHz, CDCl₃) δ 182.36, 162.92, 141.77, 137.28, 134.23, 133.37, 131.08, 128.84, 127.05, 121.11, 119.56, 118.64, 118.19, 102.05, 93.50, 90.01, 54.01; IR (thin film, cm⁻¹) 3427, 3064, 2930, 2830, 2202, 1622, 1481, 1448, 1356, 1339, 1308, 1251, 1203, 1153, 1112, 1085, 1049, 1012, 984, 759,

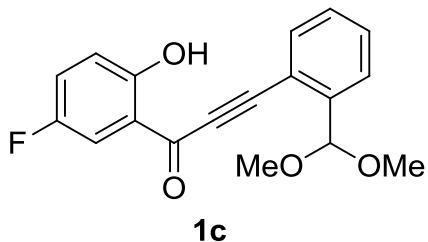
690, 628; HRMS (ESI): m/z Calcd. for $C_{18}H_{16}O_4Na$ [M+Na]⁺ 319.0941, Found 319.0937.

**3-(2-(Dimethoxymethyl)phenyl)-1-(2-hydroxy-5-methoxyphenyl)prop-2-yn-1-one
(1b)**



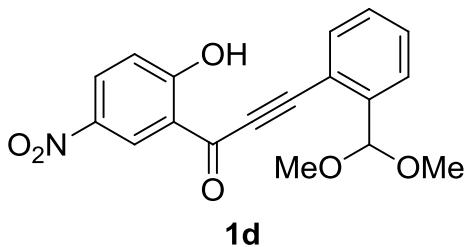
Yellow oil in 68% yield (EtOAc/petroleum ether = 1:80); ¹H NMR (600 MHz, CDCl₃) δ 11.47 (s, 1H), 7.72 (dd, J = 9.9, 3.6 Hz, 2H), 7.70 (d, J = 3.1 Hz, 1H), 7.53 (td, J = 7.6, 1.1 Hz, 1H), 7.41 (td, J = 7.6, 1.2 Hz, 1H), 7.17 (dd, J = 9.1, 3.1 Hz, 1H), 6.95 (d, J = 9.1 Hz, 1H), 5.79 (s, 1H), 3.88 (s, 3H), 3.37 (s, 6H); ¹³C NMR (150 MHz, CDCl₃) δ 181.94, 157.74, 152.46, 141.54, 134.57, 131.06, 128.88, 127.21, 126.28, 120.53, 119.28, 118.62, 114.44, 101.36, 93.42, 90.17, 56.13, 53.34; IR (thin film, cm⁻¹) 3427, 2929, 2199, 1592, 1484, 1358, 1253, 1173, 1053, 984, 832, 772; HRMS (ESI): m/z Calcd. for $C_{19}H_{18}O_5Na$ [M+Na]⁺ 349.1046, Found 349.1036.

**3-(2-(Dimethoxymethyl)phenyl)-1-(5-fluoro-2-hydroxyphenyl)prop-2-yn-1-one
(1c)**



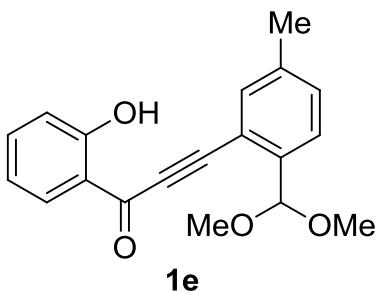
Yellow oil in 61% yield (EtOAc/petroleum ether = 1:80); ¹H NMR (600 MHz, CDCl₃) δ 11.49 (s, 1H), 8.02 (dd, J = 8.7, 3.1 Hz, 1H), 7.73 (d, J = 7.6 Hz, 1H), 7.70 (d, J = 7.8 Hz, 1H), 7.54 (td, J = 7.7, 0.9 Hz, 1H), 7.42 (td, J = 7.6, 1.0 Hz, 1H), 7.29 – 7.25 (m, 1H), 6.97 (dd, J = 9.1, 4.3 Hz, 1H), 5.72 (s, 1H), 3.42 (s, 6H); ¹³C NMR (150 MHz, CDCl₃) δ 181.46 (d, J = 3.0 Hz), 159.08, 155.38 (d, J = 238.5 Hz), 141.91, 134.56, 131.28, 128.89, 127.32, 124.93 (d, J = 22.5 Hz), 120.78 (d, J = 6.0 Hz), 119.54 (d, J = 4.5 Hz), 118.22, 117.96 (d, J = 24.0 Hz), 101.93, 94.27, 89.72, 77.37, 77.16, 76.95, 53.72.; IR (thin film, cm⁻¹) 3439, 2925, 2200, 1602, 1482, 1349, 1249, 1199, 1164, 1085, 1049, 985, 830, 790, 775, 762, 734, 692, 637, 542; HRMS (ESI): m/z Calcd. for $C_{18}H_{15}FO_4Na$ [M+Na]⁺ 337.0847, Found 337.0853.

3-(2-(Dimethoxymethyl)phenyl)-1-(2-hydroxy-5-nitrophenyl)prop-2-yn-1-one (1d)



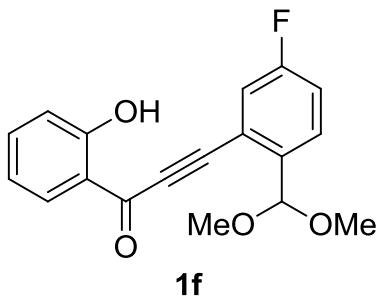
Yellow solid in 69% yield (EtOAc/petroleum ether = 1:80): Mp 85.1–87.2 °C; ¹H NMR (600 MHz, CDCl₃) δ 12.39 (s, 1H), 9.20 (d, *J* = 2.7 Hz, 1H), 8.39 (dd, *J* = 9.2, 2.7 Hz, 1H), 7.78 (d, *J* = 7.6 Hz, 1H), 7.75 (d, *J* = 7.8 Hz, 1H), 7.57 (t, *J* = 7.5 Hz, 1H), 7.44 (t, *J* = 7.5 Hz, 1H), 7.12 (d, *J* = 9.2 Hz, 1H), 5.83 (s, 1H), 3.42 (s, 6H); ¹³C NMR (150 MHz, CDCl₃) δ 181.38, 167.29, 142.44, 140.39, 135.01, 131.78, 131.60, 129.63, 128.94, 127.47, 120.02, 119.43, 117.67, 101.30, 96.50, 89.30, 53.39; IR (thin film, cm⁻¹) 3437, 2938, 2197, 1627, 1608, 1475, 1359, 1344, 1329, 1179, 1052, 1012, 984, 910, 857, 800, 760, 636; HRMS (ESI): *m/z* Calcd. for C₁₈H₁₅NO₆Na [M+Na]⁺ 364.0792, Found 364.0789.

3-(2-(Dimethoxymethyl)-5-methylphenyl)-1-(2-hydroxyphenyl)prop-2-yn-1-one (1e)



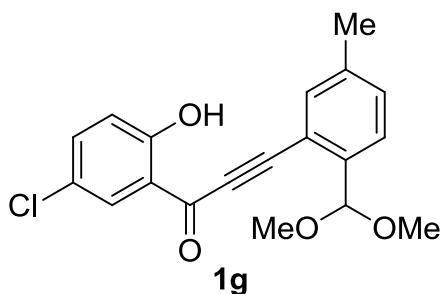
Yellow solid in 58% yield (EtOAc/petroleum ether = 1:80): Mp 71.8–73.5 °C; ¹H NMR (600 MHz, CDCl₃) δ 11.75 (s, 1H), 8.24 (dd, *J* = 8.1, 1.3 Hz, 1H), 7.57 (d, *J* = 8.0 Hz, 1H), 7.55 – 7.51 (m, 2H), 7.33 (d, *J* = 7.9 Hz, 1H), 7.00 (t, *J* = 7.7 Hz, 2H), 5.70 (s, 1H), 3.41 (s, 6H), 2.39 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 182.42, 162.93, 139.00, 138.87, 137.24, 134.65, 133.40, 132.04, 127.02, 121.16, 119.56, 118.44, 118.19, 102.08, 93.93, 89.73, 53.96, 21.05; IR (thin film, cm⁻¹) 3444, 2928, 2853, 2831, 2200, 1621, 1595, 1484, 1384, 1364, 1337, 1239, 1211, 1192, 1147, 1094, 1053, 1029, 821, 764, 739, 688; HRMS (ESI): *m/z* Calcd. for C₁₉H₁₈O₄Na [M+Na]⁺ 333.1097, Found 333.1103.

3-(2-(Dimethoxymethyl)-5-fluorophenyl)-1-(2-hydroxyphenyl)prop-2-yn-1-one (1f)



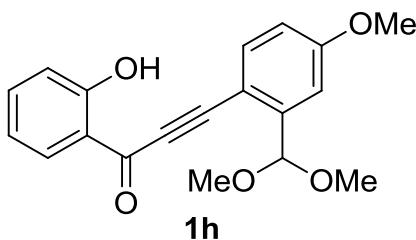
Yellow solid in 54% yield (EtOAc/petroleum ether = 1:80): Mp 59.6–60.5 °C; ¹H NMR (600 MHz, CDCl₃) δ 11.64 (s, 1H), 8.20 (d, *J* = 8.2 Hz, 1H), 7.68 (dd, *J* = 8.6, 5.7 Hz, 1H), 7.54 (t, *J* = 7.7 Hz, 1H), 7.39 (dd, *J* = 8.5, 2.5 Hz, 1H), 7.22 (td, *J* = 8.4, 2.5 Hz, 1H), 7.05 – 6.96 (m, 2H), 5.69 (s, 1H), 3.41 (s, 6H); ¹³C NMR (150 MHz, CDCl₃) δ 182.01, 162.95, 162.11 (d, *J* = 247.5 Hz), 137.92 (d, *J* = 3.0 Hz), 137.50, 133.28, 129.18 (d, *J* = 7.5 Hz), 120.98, 120.58, 120.39 (d, *J* = 12.0 Hz), 119.65, 118.40 (d, *J* = 12.0 Hz), 118.24 (d, *J* = 4.5 Hz), 101.45, 91.44 (d, *J* = 3.0 Hz), 90.18, 53.92; IR (thin film, cm⁻¹) 3445, 2920, 2206, 1625, 1596, 1481, 1339, 1241, 1201, 1152, 1050, 877, 827, 733, 686, 631, 529; HRMS (ESI): *m/z* Calcd. for C₁₈H₁₅FO₄Na [M+Na]⁺ 337.0847, Found 337.0856.

1-(5-Chloro-2-hydroxyphenyl)-3-(2-(dimethoxymethyl)-5-methylphenyl)prop-2-yn-1-one (1g)



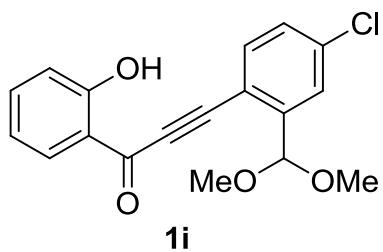
Yellow solid in 53% yield (EtOAc/petroleum ether = 1:80): Mp 129.4–130.7 °C; ¹H NMR (600 MHz, CDCl₃) δ 11.68 (s, 1H), 8.32 (d, *J* = 2.6 Hz, 1H), 7.58 (d, *J* = 8.0 Hz, 1H), 7.54 (s, 1H), 7.47 (dd, *J* = 8.9, 2.6 Hz, 1H), 7.34 (d, *J* = 7.3 Hz, 1H), 6.96 (d, *J* = 8.9 Hz, 1H), 5.71 (s, 1H), 3.41 (s, 6H), 2.39 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 181.49, 161.34, 139.21, 138.93, 137.08, 135.01, 132.40, 132.26, 127.28, 124.42, 121.81, 119.83, 117.98, 101.71, 95.00, 89.44, 53.52, 21.04; IR (thin film, cm⁻¹) 3427, 2958, 2936, 2899, 2837, 2206, 1629, 1593, 1474, 1403, 1362, 1333, 1201, 1096, 1053, 1030, 981, 906, 842, 819, 783, 741, 646, 531; HRMS (ESI): *m/z* Calcd. for C₁₉H₁₇ClO₄Na [M+Na]⁺ 367.0708, Found 367.0709.

3-(2-(Dimethoxymethyl)-4-methoxyphenyl)-1-(2-hydroxyphenyl)prop-2-yn-1-one (1h)



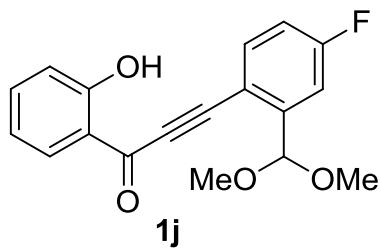
Yellow solid in 64% yield (EtOAc/petroleum ether = 1:80): Mp 71.1–73.2 °C; ¹H NMR (600 MHz, CDCl₃) δ 11.82 (s, 1H), 8.23 (dd, *J* = 8.0, 1.4 Hz, 1H), 7.66 (d, *J* = 8.5 Hz, 1H), 7.54 – 7.50 (m, 1H), 7.23 (d, *J* = 2.6 Hz, 1H), 6.99 (t, *J* = 7.8 Hz, 2H), 6.92 (dd, *J* = 8.5, 2.7 Hz, 1H), 5.71 (s, 1H), 3.89 (s, 3H), 3.44 (s, 6H); ¹³C NMR (150 MHz, CDCl₃) δ 182.45, 162.89, 162.17, 144.32, 137.05, 136.25, 133.30, 121.18, 119.48, 118.19, 115.09, 112.41, 110.43, 101.99, 94.81, 89.94, 55.77, 54.23; IR (thin film, cm⁻¹) 3060, 2985, 2941, 2838, 2580, 2183, 1590, 1560, 1481, 1447, 1429, 1358, 1337, 1301, 1277, 1235, 1196, 1050, 1007, 885, 811, 756, 612, 526; HRMS (ESI): *m/z* Calcd. for C₁₉H₁₈O₅Na [M+Na]⁺ 349.1046, Found 349.1050.

**3-(4-Chloro-2-(dimethoxymethyl)phenyl)-1-(2-hydroxyphenyl)prop-2-yn-1-one
(1i)**



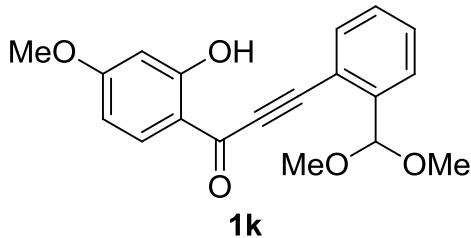
Yellow solid in 56% yield (EtOAc/petroleum ether = 1:80): Mp 68.6–70.1 °C; ¹H NMR (600 MHz, CDCl₃) δ 11.68 (s, 1H), 8.21 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.71 (d, *J* = 2.1 Hz, 1H), 7.64 (d, *J* = 8.2 Hz, 1H), 7.56 – 7.51 (m, 1H), 7.39 (dd, *J* = 8.2, 2.2 Hz, 1H), 7.04 – 6.96 (m, 2H), 5.69 (s, 1H), 3.42 (s, 6H); ¹³C NMR (150 MHz, CDCl₃) δ 182.16, 162.98, 143.58, 137.73, 137.45, 135.32, 133.31, 129.22, 127.77, 121.06, 119.64, 118.28, 117.08, 101.21, 92.17, 90.64, 53.95; IR (thin film, cm⁻¹) 3443, 2925, 2853, 2202, 1619, 1588, 1479, 1452, 1384, 1355, 1339, 1206, 1189, 1105, 1053, 1012, 880, 818, 800, 758; HRMS (ESI): *m/z* Calcd. for C₁₈H₁₅ClO₄ [M+H]⁺ 331.0732, Found 331.0732.

**3-(2-(Dimethoxymethyl)-4-fluorophenyl)-1-(2-hydroxyphenyl)prop-2-yn-1-one
(1j)**



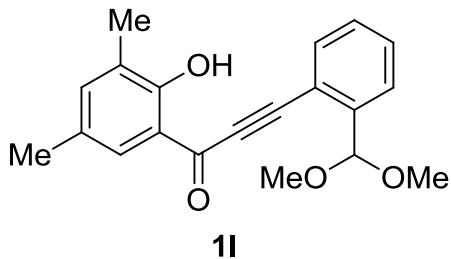
Yellow solid in 65% yield (EtOAc/petroleum ether = 1:80): Mp 133.3–134.7 °C; ¹H NMR (600 MHz, CDCl₃) δ 11.70 (s, 1H), 8.22 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.71 (dd, *J* = 8.5, 5.4 Hz, 1H), 7.55 – 7.51 (m, 1H), 7.43 (dd, *J* = 9.4, 2.7 Hz, 1H), 7.10 (td, *J* = 8.2, 2.7 Hz, 1H), 7.02 – 6.96 (m, 2H), 5.71 (s, 1H), 3.42 (s, 6H); ¹³C NMR (150 MHz, CDCl₃) δ 182.22, 164.19 (d, *J* = 164.2 Hz), 162.93, 145.13 (d, *J* = 7.5 Hz), 137.34, 136.43 (d, *J* = 9.0 Hz), 133.27, 121.04, 119.58, 118.23, 116.31 (d, *J* = 22.5 Hz), 114.99 (d, *J* = 24.0 Hz), 114.64 (d, *J* = 3.0 Hz), 101.09 (d, *J* = 12.0 Hz), 92.44, 89.90 (d, *J* = 1.5 Hz), 53.91; IR (thin film, cm⁻¹) 3443, 2922, 2852, 2201, 1649, 1621, 1594, 1478, 1384, 1259, 1183, 1150, 1112, 1048, 1011, 875, 761, 726, 614, 527; HRMS (ESI): *m/z* Calcd. for C₁₇H₁₂FO₃ [M+H]⁺ 315.1027, Found 315.1036.

3-(2-(Dimethoxymethyl)phenyl)-1-(2-hydroxy-4-methoxyphenyl)prop-2-yn-1-one (1k)



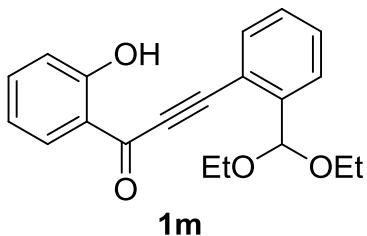
Yellow solid in 62% yield (EtOAc/petroleum ether = 1:80): Mp 75.8–77.1 °C; ¹H NMR (600 MHz, CDCl₃) δ 12.22 (s, 1H), 8.12 (d, *J* = 8.9 Hz, 1H), 7.71 – 7.67 (m, 2H), 7.51 (t, *J* = 7.6 Hz, 1H), 7.40 (td, *J* = 7.6, 0.9 Hz, 1H), 6.53 (dd, *J* = 8.9, 2.4 Hz, 1H), 6.44 (d, *J* = 2.4 Hz, 1H), 5.72 (s, 1H), 3.87 (s, 3H), 3.42 (s, 6H); ¹³C NMR (150 MHz, CDCl₃) δ 180.42, 167.18, 165.89, 141.59, 135.05, 134.07, 130.86, 128.83, 126.99, 118.96, 115.66, 108.65, 102.13, 100.70, 92.66, 90.01, 55.90, 54.09; IR (thin film, cm⁻¹) 3441, 2922, 2852, 2202, 1632, 1588, 1507, 1448, 1384, 1354, 1269, 1126, 1114, 1052, 763, 683, 611; HRMS (ESI): *m/z* Calcd. for C₁₉H₁₈O₅Na [M+Na]⁺ 349.1046, Found 349.1038.

3-(2-(Dimethoxymethyl)phenyl)-1-(2-hydroxy-3,5-dimethylphenyl)prop-2-yn-1-one (1l)



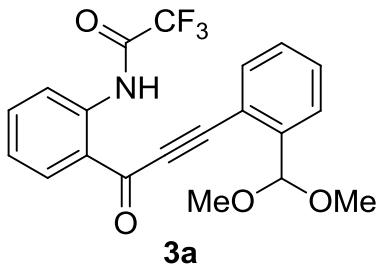
Yellow solid in 61% yield (EtOAc/petroleum ether = 1:80): Mp 59.3–61.3 °C; ¹H NMR (600 MHz, CDCl₃) δ 11.85 (s, 1H), 7.93 (d, *J* = 0.7 Hz, 1H), 7.72 (dd, *J* = 2.5, 0.8 Hz, 1H), 7.70 (dd, *J* = 2.8, 0.8 Hz, 1H), 7.52 (td, *J* = 7.7, 1.1 Hz, 1H), 7.41 (td, *J* = 7.6, 1.2 Hz, 1H), 7.23 (d, *J* = 0.5 Hz, 1H), 5.79 (s, 1H), 3.41 (s, 6H), 2.33 (s, 3H), 2.26 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 182.46, 159.43, 141.65, 139.45, 134.25, 130.92, 130.56, 128.84, 128.11, 127.07, 126.95, 120.22, 118.90, 101.71, 92.86, 90.43, 53.68, 20.43, 15.39; IR (thin film, cm⁻¹) 3427, 2956, 2921, 2854, 2203, 1622, 1588, 1469, 1383, 1356, 1294, 1253, 1221, 1095, 1051, 976, 783, 752, 612; HRMS (ESI): *m/z* Calcd. for C₂₀H₂₀O₄Na [M+Na]⁺ 347.1254, Found 347.1253.

3-(2-(Diethoxymethyl)phenyl)-1-(2-hydroxyphenyl)prop-2-yn-1-one (1m)



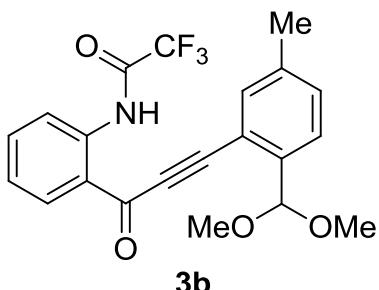
Yellow solid in 55% yield (EtOAc/petroleum ether = 1:80): Mp 59.3–61.5 °C; ¹H NMR (600 MHz, CDCl₃) δ 11.74 (s, 1H), 8.28 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.77 – 7.67 (m, 2H), 7.56 – 7.50 (m, 2H), 7.39 (td, *J* = 7.6, 1.1 Hz, 1H), 7.03 – 6.96 (m, 2H), 5.84 (s, 1H), 3.72 (dq, *J* = 9.3, 7.1 Hz, 2H), 3.62 (dq, *J* = 9.3, 7.0 Hz, 2H), 1.26 (t, *J* = 7.1 Hz, 6H); ¹³C NMR (150 MHz, CDCl₃) δ 182.42, 162.92, 142.90, 137.30, 134.14, 133.51, 131.23, 128.69, 126.97, 121.14, 119.52, 118.59, 118.20, 100.31, 93.75, 89.98, 62.57, 15.34; IR (thin film, cm⁻¹) 3406, 3070, 3051, 2971, 2928, 2891, 2202, 1624, 1592, 1487, 1443, 1360, 1341, 1309, 1249, 1155, 1058, 1012, 799, 764, 748, 689, 630, 528; HRMS (ESI): *m/z* Calcd. for C₂₀H₂₀O₄Na [M+Na]⁺ 347.1254, Found 347.1264.

N-(2-(3-(2-(Dimethoxymethyl)phenyl)propioloyl)phenyl)-2,2,2-trifluoroacetamide (3a)



Yellow solid in 52% yield (EtOAc/petroleum ether = 1:70): Mp 83.6–84.6 °C; ¹H NMR (600 MHz, CDCl₃) δ 12.68 (s, 1H), 8.72 (dd, *J* = 8.4, 0.6 Hz, 1H), 8.62 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.71 (tdd, *J* = 6.3, 5.3, 1.3 Hz, 3H), 7.54 (td, *J* = 7.7, 1.2 Hz, 1H), 7.42 (td, *J* = 7.6, 1.2 Hz, 1H), 7.39 – 7.36 (m, 1H), 5.72 (s, 1H), 3.42 (s, 6H); ¹³C NMR (150 MHz, CDCl₃) δ 181.23, 155.88 (q, *J* = 37.5 Hz), 141.96, 139.25, 136.36, 135.21, 134.45, 131.35, 128.93, 127.27, 124.99, 123.39, 120.82, 118.34, 115.76 (d, *J* = 286.5 Hz), 101.93, 93.79, 90.90, 53.87; IR (thin film, cm⁻¹) 3440, 3120, 2919, 2850, 2198, 1741, 1616, 1597, 1530, 1451, 1322, 1273, 1198, 1155, 1116, 1056, 1013, 903, 758, 634, 511; HRMS (ESI): *m/z* Calcd. for C₂₀H₁₆F₃NO₄Na [M+Na]⁺ 414.0924, Found 414.0920.

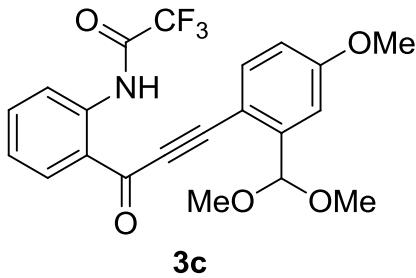
N-(2-(3-(2-(Dimethoxymethyl)-5-methylphenyl)propioloyl)phenyl)-2,2,2-trifluoroacetamide (3b)



Yellow solid in 56% yield (EtOAc/petroleum ether = 1:70): Mp 121.4–123.1 °C; ¹H NMR (600 MHz, CDCl₃) δ 12.70 (s, 1H), 8.72 (d, *J* = 7.9 Hz, 1H), 8.61 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.73 – 7.68 (m, 1H), 7.58 (d, *J* = 8.0 Hz, 1H), 7.54 (s, 1H), 7.40 – 7.36 (m, 1H), 7.35 (d, *J* = 8.0 Hz, 1H), 5.69 (s, 1H), 3.40 (s, 6H), 2.40 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 181.25, 155.89 (q, *J* = 37.5 Hz), 139.25, 139.17, 138.97, 136.30, 135.21, 134.85, 132.28, 127.22, 124.99, 123.43, 120.82, 118.13, 115.77 (d, *J* = 286.5 Hz), 101.95, 94.21, 90.62, 53.80, 21.06; IR (thin film, cm⁻¹) 3434, 3113, 2920,

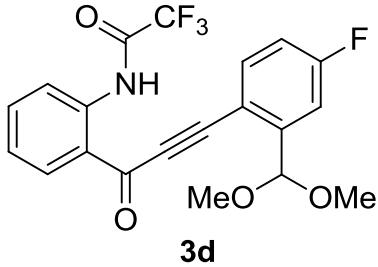
2851, 2197, 1739, 1618, 1599, 1534, 1453, 1324, 1278, 1239, 1192, 1157, 1117, 1093, 1054, HRMS (ESI): m/z Calcd. for $C_{21}H_{18}F_3NO_4Na$ [M+Na]⁺ 428.1080, Found 428.1098.

N-(2-(3-(2-(Dimethoxymethyl)-4-methoxyphenyl)propioloyl)phenyl)-2,2,2-trifluoroacetamide (3c)



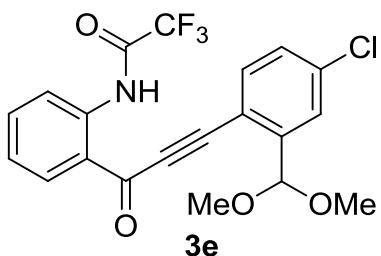
Yellow solid in 54% yield (EtOAc/petroleum ether = 1:70): Mp 116.4–117.9 °C; ¹H NMR (600 MHz, CDCl₃) δ 12.76 (s, 1H), 8.70 (d, J = 7.9 Hz, 1H), 8.60 (dd, J = 7.9, 1.5 Hz, 1H), 7.73 – 7.63 (m, 2H), 7.39 – 7.33 (m, 1H), 7.23 (d, J = 2.6 Hz, 1H), 6.92 (dd, J = 8.5, 2.7 Hz, 1H), 5.70 (s, 1H), 3.89 (s, 3H), 3.43 (s, 6H); ¹³C NMR (150 MHz, CDCl₃) δ 181.16, 162.34, 155.78 (q, J = 37.5 Hz), 144.50, 139.13, 136.49, 136.01, 135.01, 124.89, 123.45, 120.71, 115.76 (d, J = 286.5 Hz), 114.98, 112.71, 109.97, 101.71, 95.21, 90.97, 55.73, 53.92; IR (thin film, cm⁻¹) 3429, 2920, 2850, 2192, 1729, 1592, 1534, 1452, 1384, 1279, 1236, 1168, 1118, 1062, 1012, 903, 872, 781, 760, 619, 531; HRMS (ESI): m/z Calcd. for $C_{21}H_{18}F_3NO_5Na$ [M+Na]⁺ 444.1029, Found 444.1025.

N-(2-(3-(2-(Dimethoxymethyl)-4-fluorophenyl)propioloyl)phenyl)-2,2,2-trifluoroacetamide (3d)



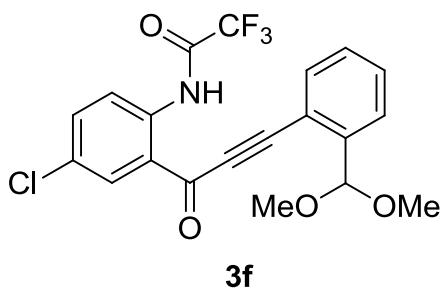
Yellow solid in 57% yield (EtOAc/petroleum ether = 1:70): Mp 126.1–127.2 °C; ¹H NMR (600 MHz, CDCl₃) δ 12.65 (s, 1H), 8.74 – 8.70 (m, 1H), 8.58 (dd, J = 7.9, 1.5 Hz, 1H), 7.71 (td, J = 8.5, 3.4 Hz, 2H), 7.44 (dd, J = 9.4, 2.7 Hz, 1H), 7.39 – 7.35 (m, 1H), 7.12 (td, J = 8.2, 2.7 Hz, 1H), 5.70 (s, 1H), 3.41 (s, 6H); ¹³C NMR (150 MHz, CDCl₃) δ 181.10, 164.36 (d, J = 254.0 Hz), 155.89 (dd, J = 37.5 Hz, 75.2 Hz), 145.36 (d, J = 7.5 Hz), 139.28, 136.67 (d, J = 8.9 Hz), 136.42, 135.12, 124.99, 123.32, 120.87, 116.42 (d, J = 22.5 Hz), 115.75 (d, J = 288.0 Hz), 115.24 (d, J = 23.9 Hz), 114.36 (d, J = 3.5 Hz), 100.95 (d, J = 0.9 Hz), 92.74, 90.8 (d, J = 1.2 Hz), 53.77; IR (thin film, cm⁻¹) 3429, 2919, 2851, 2196, 1741, 1617, 1597, 1534, 1452, 1384, 1275, 1224, 1154, 1118, 1056, 1015, 882, 758, 618, 515; HRMS (ESI): m/z Calcd. for $C_{20}H_{15}F_4NO_4Na$ [M+Na]⁺ 432.0829, Found 432.0828.

N-(2-(3-(4-Chloro-2-(dimethoxymethyl)phenyl)propioloyl)phenyl)-2,2,2-trifluoroacetamide (3e)



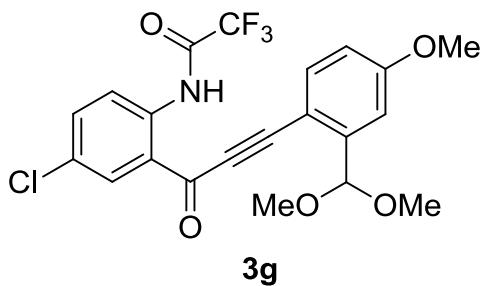
Yellow solid in 59% yield (EtOAc/petroleum ether = 1:70): Mp 149.3–150.1 °C; ¹H NMR (600 MHz, CDCl₃) δ 12.62 (s, 1H), 8.74–8.70 (m, 1H), 8.57 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.74–7.69 (m, 2H), 7.65 (d, *J* = 8.2 Hz, 1H), 7.42–7.35 (m, 2H), 5.68 (s, 1H), 3.41 (s, 6H); ¹³C NMR (150 MHz, CDCl₃) δ 181.02, 155.89 (q, *J* = 37.5 Hz), 143.74, 139.28, 138.01, 136.49, 135.49, 135.14, 129.27, 127.95, 125.01, 123.29, 120.87, 116.75, 115.74 (d, *J* = 286.5 Hz), 101.04, 92.41, 91.49, 53.77; IR (thin film, cm⁻¹) 3430, 2920, 2851, 2204, 1734, 1630, 1597, 1525, 1465, 1323, 1280, 1191, 1158, 1132, 1117, 1055, 1018, 906, 761, 618, 516; HRMS (ESI): *m/z* Calcd. for C₂₀H₁₅ClF₃NO₄Na [M+Na]⁺ 448.0534, Found 448.0527.

N-(4-Chloro-2-(3-(2-(dimethoxymethyl)phenyl)propioloyl)phenyl)-2,2,2-trifluoroacetamide (3f)



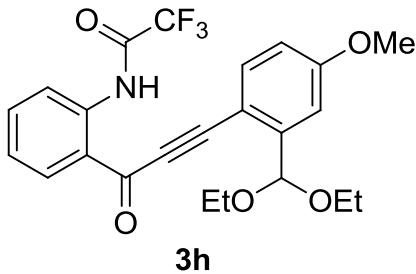
Yellow solid in 53% yield (EtOAc/petroleum ether = 1:70): Mp 126.6–127.9 °C; ¹H NMR (600 MHz, CDCl₃) δ 12.57 (s, 1H), 8.68 (dd, *J* = 5.7, 3.1 Hz, 2H), 7.72 (t, *J* = 6.9 Hz, 2H), 7.64 (dd, *J* = 9.0, 2.4 Hz, 1H), 7.57–7.53 (m, 1H), 7.45–7.40 (m, 1H), 5.73 (s, 1H), 3.41 (s, 6H); ¹³C NMR (150 MHz, CDCl₃) δ 180.14, 155.84 (q, *J* = 37.5 Hz), 142.15, 137.62, 136.00, 134.88, 134.60, 131.58, 130.51, 128.97, 127.52, 124.63, 122.21, 117.88, 115.66 (d, *J* = 288.0 Hz), 101.46, 94.76, 90.64, 53.34; IR (thin film, cm⁻¹) 3422, 2910, 2861, 1621, 1581, 1526, 1465, 1382, 1339, 1278, 1121, 881, 769, 620, 518; HRMS (ESI): *m/z* Calcd. for C₂₀H₁₅ClF₃NO₄Na [M+Na]⁺ 448.0534, Found 448.0537.

N-(4-Chloro-2-(3-(2-(dimethoxymethyl)-4-methoxyphenyl)propioloyl)phenyl)-2,2,2-trifluoroacetamide (3g)



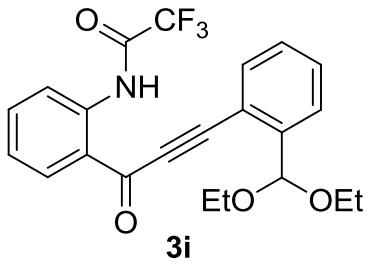
Yellow solid in 56% yield (EtOAc/petroleum ether = 1:70): Mp 152.9–153.8 °C; ¹H NMR (600 MHz, CDCl₃) δ 12.67 (s, 1H), 8.68 (t, J = 5.3 Hz, 2H), 7.68 (d, J = 8.5 Hz, 1H), 7.63 (dd, J = 8.9, 2.5 Hz, 1H), 7.25 (d, J = 2.6 Hz, 1H), 6.93 (dd, J = 8.5, 2.7 Hz, 1H), 5.72 (s, 1H), 3.90 (s, 3H), 3.42 (s, 6H); ¹³C NMR (150 MHz, CDCl₃) δ 180.06, 162.56, 155.84 (q, J = 37.5 Hz), 144.75, 137.56, 136.99, 135.70, 134.46, 130.42, 124.77, 122.18, 115.69 (d, J = 288.0 Hz), 114.96, 113.12, 109.56, 101.22, 96.34, 90.88, 55.81, 53.44; IR (thin film, cm⁻¹) 3434, 3081, 2959, 2920, 2851, 2180, 1730, 1594, 1526, 1435, 1384, 1280, 1230, 1193, 1157, 1091, 1062, 1013, 989, 884, 848, 738, 617; HRMS (ESI): *m/z* Calcd. for C₂₁H₁₇ClF₃NO₅Na [M+Na]⁺ 478.0640, Found 478.0641.

N-(2-(3-(2-(Diethoxymethyl)-4-methoxyphenyl)propioloyl)phenyl)-2,2,2-trifluoroacetamide (3h)



Yellow solid in 58% yield (EtOAc/petroleum ether = 1:70): Mp 96.8–97.7 °C; ¹H NMR (600 MHz, CDCl₃) δ 12.78 (s, 1H), 8.70 (d, J = 8.3 Hz, 1H), 8.64 (dd, J = 7.9, 1.5 Hz, 1H), 7.71 – 7.67 (m, 1H), 7.65 (d, J = 8.5 Hz, 1H), 7.37 – 7.33 (m, 1H), 7.28 (d, J = 2.6 Hz, 1H), 6.91 (dd, J = 8.5, 2.7 Hz, 1H), 5.80 (s, 1H), 3.89 (s, 3H), 3.76 – 3.68 (m, 2H), 3.67 – 3.59 (m, 2H), 1.26 (t, J = 7.0 Hz, 6H); ¹³C NMR (150 MHz, CDCl₃) δ 181.21, 162.46, 155.85 (q, J = 37.5 Hz), 145.63, 139.16, 136.45, 136.05, 135.16, 124.85, 123.51, 120.76, 115.82 (d, J = 274.5 Hz), 114.80, 112.67, 110.00, 100.05, 95.41, 90.98, 62.54, 55.75, 15.35; IR (thin film, cm⁻¹) 3424, 3087, 2974, 2920, 2850, 2190, 1734, 1596, 1534, 1455, 1384, 1303, 1280, 1230, 1195, 1164, 1120, 1054, 1030, 1010, 890, 808, 761, 617; HRMS (ESI): *m/z* Calcd. for C₂₃H₂₂F₃NO₅Na [M+Na]⁺ 427.1342, Found 427.1346.

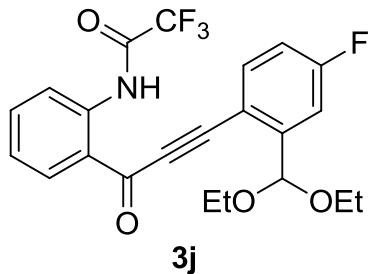
N-(2-(3-(2-(Diethoxymethyl)phenyl)propioloyl)phenyl)-2,2,2-trifluoroacetamide (3i)



Yellow solid in 56% yield (EtOAc/petroleum ether = 1:70): Mp 104.1–105.4 °C; ¹H NMR (600 MHz, CDCl₃) δ 12.69 (s, 1H), 8.72 (d, J = 8.4 Hz, 1H), 8.67 (dd, J = 7.9, 1.4 Hz, 1H), 7.75 (d, J = 7.8 Hz, 1H), 7.73 – 7.68 (m, 2H), 7.54 (td, J = 7.7, 0.8 Hz, 1H), 7.40 (t, J = 7.5 Hz, 1H), 7.36 (t, J = 7.6 Hz, 1H), 5.83 (s, 1H), 3.75 – 3.66 (m, 2H), 3.65 – 3.57 (m, 2H), 1.25 (t, J = 7.1 Hz, 6H); ¹³C NMR (150 MHz, CDCl₃) δ 181.26, 155.89 (q, J = 37.5 Hz), 143.05, 139.25, 136.34, 135.36, 134.38, 131.44, 128.75, 127.18, 124.93, 123.42, 120.81, 118.29, 115.77 (d, J = 286.5 Hz), 100.18, 94.00, 90.88, 62.33, 15.35; IR (thin film, cm⁻¹) 3435, 2920, 2851, 2199, 1736, 1623, 1597, 1534, 1453, 1384,

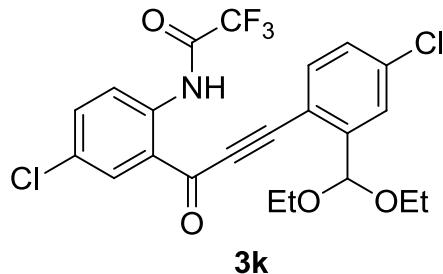
1278, 1160, 1120, 1056, 764, 619; HRMS (ESI): m/z Calcd. for $C_{22}H_{20}F_3NO_4Na$ [M+Na]⁺ 442.1237, Found 442.1253.

N-(2-(3-(2-(Diethoxymethyl)-4-fluorophenyl)propioloyl)phenyl)-2,2,2-trifluoroacetamide (3j)



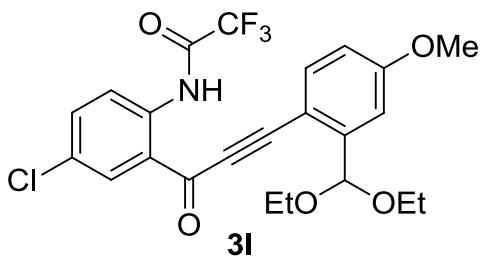
Yellow solid in 59% yield (EtOAc/petroleum ether = 1:70): Mp 141.7–143.2 °C; ¹H NMR (600 MHz, CDCl₃) δ 12.66 (s, 1H), 8.71 (d, J = 8.4 Hz, 1H), 8.64 (dd, J = 7.9, 1.5 Hz, 1H), 7.71 (dd, J = 8.4, 5.7 Hz, 2H), 7.48 (dd, J = 9.4, 2.6 Hz, 1H), 7.38 – 7.34 (m, 1H), 7.10 (td, J = 8.2, 2.7 Hz, 1H), 5.81 (s, 1H), 3.72 – 3.59 (m, 4H), 1.26 (t, J = 7.0 Hz, 6H); ¹³C NMR (150 MHz, CDCl₃) δ 181.11, 164.5 (d, J = 255.0 Hz), 155.86 (dd, J = 37.5, 75.0 Hz), 146.45 (d, J = 7.5 Hz), 139.24, 136.60 (d, J = 7.5 Hz), 136.38, 135.25, 124.93, 123.33, 120.81, 116.22 (d, J = 22.5 Hz), 115.75 (d, J = 286.5 Hz), 115.07 (d, J = 24.0 Hz), 114.27 (d, J = 1.5 Hz), 99.26 (d, J = 0.75 Hz), 92.93, 90.78 (d, J = 1.2 Hz), 62.29, 15.30; IR (thin film, cm⁻¹) 3432, 3081, 2979, 2920, 2200, 1731, 1599, 1535, 1453, 1277, 1219, 1158, 1053, 1012, 892, 838, 761, 619; HRMS (ESI): m/z Calcd. for $C_{22}H_{19}F_4NO_4Na$ [M+Na]⁺ 460.1142, Found 460.1141.

N-(4-Chloro-2-(3-(4-chloro-2-(diethoxymethyl)phenyl)propioloyl)phenyl)-2,2,2-trifluoroacetamide (3k)



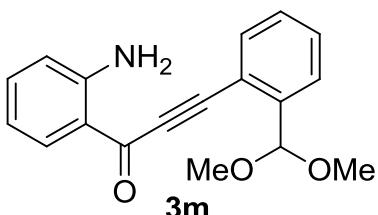
Yellow solid in 57% yield (EtOAc/petroleum ether = 1:70): Mp 102.7–104.4 °C; ¹H NMR (600 MHz, CDCl₃) δ 12.55 (s, 1H), 8.69 (t, J = 5.6 Hz, 2H), 7.76 (d, J = 2.2 Hz, 1H), 7.66 (d, J = 2.5 Hz, 1H), 7.65 (d, J = 1.9 Hz, 1H), 7.40 (dd, J = 8.2, 2.2 Hz, 1H), 5.82 (s, 1H), 3.71 – 3.60 (m, 4H), 1.26 (t, J = 7.1 Hz, 6H); ¹³C NMR (150 MHz, CDCl₃) δ 179.95, 155.85 (q, J = 37.5 Hz), 145.15, 138.44, 137.67, 136.15, 135.96, 134.45, 130.56, 129.15, 128.06, 124.53, 122.27, 116.26, 115.66 (d, J = 286.5 Hz), 98.94, 93.64, 91.28, 61.91, 15.30; IR (thin film, cm⁻¹) 3435, 3078, 2978, 2920, 2851, 2199, 1735, 1622, 1597, 1532, 1452, 1277, 1209, 1159, 1120, 1056, 1015, 904, 765, 731, 683, 633; HRMS (ESI): m/z Calcd. for $C_{22}H_{18}Cl_2F_3NO_4Na$ [M+Na]⁺ 510.0457, Found 510.0462.

N-(4-Chloro-2-(3-(2-(diethoxymethyl)-4-methoxyphenyl)propioloyl)phenyl)-2,2,2-trifluoroacetamide (3l)



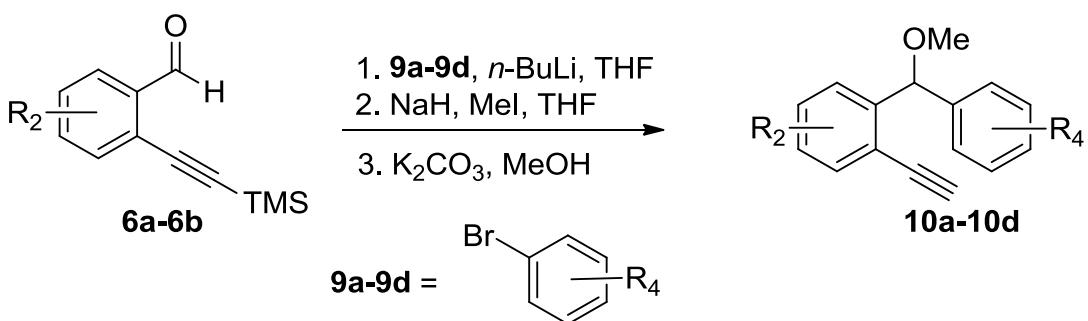
Yellow solid in 52% yield (EtOAc/petroleum ether = 1:70): Mp 118.7–119.5 °C; ^1H NMR (600 MHz, CDCl_3) δ 12.70 (s, 1H), 8.69 (dd, J = 7.5, 5.7 Hz, 2H), 7.68 (d, J = 8.5 Hz, 1H), 7.63 (dd, J = 8.9, 2.5 Hz, 1H), 7.29 (d, J = 2.6 Hz, 1H), 6.92 (dd, J = 8.5, 2.7 Hz, 1H), 5.83 (s, 1H), 3.90 (s, 3H), 3.75–3.61 (m, 4H), 1.25 (t, J = 7.1 Hz, 6H); ^{13}C NMR (150 MHz, CDCl_3) δ 180.04, 162.70, 155.83 (q, J = 37.5 Hz), 145.98, 137.57, 137.05, 135.71, 134.36, 130.43, 124.75, 122.20, 115.70 (d, J = 286.5 Hz), 114.71, 113.07, 109.53, 99.63, 96.68, 90.98, 62.14, 55.79, 15.34; IR (thin film, cm^{-1}) 3428, 2920, 2851, 2191, 1723, 1619, 1593, 1524, 1460, 1433, 1384, 1303, 1280, 1227, 1191, 1159, 1128, 1057, 618; HRMS (ESI): m/z Calcd. for $\text{C}_{23}\text{H}_{21}\text{ClF}_3\text{NO}_5\text{Na}$ [$\text{M}+\text{Na}^+$] 506.0953, Found 506.0942.

1-(2-Aminophenyl)-3-(2-(dimethoxymethyl)phenyl)prop-2-yn-1-one (3m)



Yellow oil in 78% yield (EtOAc/petroleum ether = 1:10); ^1H NMR (600 MHz, CDCl_3) δ 8.27 (dd, J = 8.1, 1.5 Hz, 1H), 7.68 (dd, J = 3.2, 0.7 Hz, 1H), 7.67 (dd, J = 3.4, 0.8 Hz, 1H), 7.48 (td, J = 7.7, 1.1 Hz, 1H), 7.38 (td, J = 7.6, 1.2 Hz, 1H), 7.34 – 7.30 (m, 1H), 6.75 – 6.70 (m, 1H), 6.67 (d, J = 8.3 Hz, 1H), 6.39 (s, 2H), 5.74 (s, 1H), 3.43 (s, 6H); ^{13}C NMR (150 MHz, CDCl_3) δ 179.51, 151.23, 141.37, 135.47, 134.75, 133.78, 130.40, 128.74, 126.70, 119.58, 119.15, 116.86, 116.27, 102.40, 91.53, 89.74, 54.34; IR (thin film, cm^{-1}) 3448, 3344, 2932, 2830, 2199, 1620, 1582, 1541, 1478, 1447, 1303, 1256, 1213, 1159, 1115, 1052, 1003, 750, 691, 626, 525; HRMS (ESI): m/z Calcd. for $\text{C}_{18}\text{H}_{17}\text{NO}_3\text{Na} [\text{M}+\text{Na}]^+$ 318.1101, Found 318.1096.

2.5 General Procedure for the Preparation of 10a-10d and Characterization Data



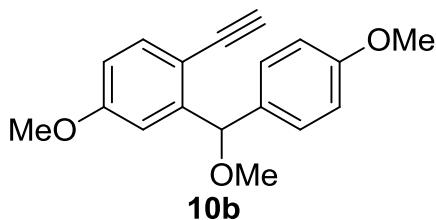
To a stirring solution of **9a-9d** (1 mmol) in THF (5 mL) was added a solution of *n*-BuLi

(1.0 M in THF, 1.0 mL) dropwise at $-78\text{ }^\circ\text{C}$ under nitrogen atmosphere. After stirring for 1 hour, the solution was added **6a-6b** (1.0 mmol) dropwise at $-78\text{ }^\circ\text{C}$. After stirring at $-78\text{ }^\circ\text{C}$ for another 1 hour, the solution was warmed to $0\text{ }^\circ\text{C}$ for 1 hour. The reaction mixture was quenched by addition of a saturated aqueous solution of NH₄Cl. The aqueous phase was extracted with ethyl acetate. The combined organic portions were washed with H₂O, saturated aqueous solution of NaCl, dried over anhydrous Na₂SO₄, filtered and reduced *in vacuo*.

To a solution of the residue obtained above in THF (5 mL) was added NaH (60% dispersion in mineral oil, 1.2 mmol, 48 mg) at $0\text{ }^\circ\text{C}$ and the resulting mixture was stirred for 1 hour at room temperature before MeI (2.0 mmol, 284 mg) was added. After TLC showed the starting material was completely consumed, the reaction mixture was filtrated through Celite and the filtrate was concentrated *in vacuo*.

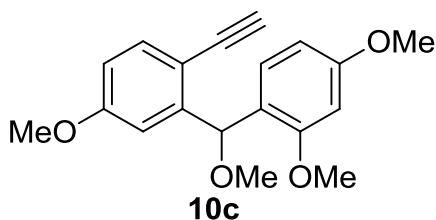
The residue obtained above was resolved in MeOH (5 mL) and anhydrous K₂CO₃ (5 mmol, 691 mg) was added to the solution. Desilylation was conducted at room temperature for 5 hours till TLC showed the starting material was completely consumed. The reaction mixture was filtrated through Celite. The filtrate was concentrated and purified by a flash column chromatography on silica gel to afford the products **10a-10d**. [S4]

1-Ethynyl-4-methoxy-2-(methoxy(4-methoxyphenyl)methyl)benzene (10b)



White solid in 48% yield (EtOAc/petroleum ether = 1:50): Mp 94.8–95.6 °C; ¹H NMR (600 MHz, CDCl₃) δ 7.43 (d, *J* = 8.5 Hz, 1H), 7.36 (d, *J* = 8.5 Hz, 2H), 7.11 (d, *J* = 2.7 Hz, 1H), 6.86 (d, *J* = 8.8 Hz, 2H), 6.76 (dd, *J* = 8.5, 2.7 Hz, 1H), 5.74 (s, 1H), 3.81 (s, 3H), 3.78 (s, 3H), 3.40 (s, 3H), 3.27 (s, 1H); ¹³C NMR (150 MHz, CDCl₃) δ 160.49, 159.04, 146.77, 134.42, 133.55, 128.33, 113.74, 113.27, 113.03, 111.23, 82.09, 81.98, 80.86, 57.09, 55.40, 55.27; IR (thin film, cm⁻¹) 3443, 3262, 2931, 2837, 2819, 2100, 2033, 1607, 1512, 1486, 1293, 1232, 1105, 1077, 1033, 969, 861, 832, 792, 680, 567, 541; HRMS (ESI): *m/z* Calcd. for C₁₈H₁₉O₃ [M+H]⁺ 283.1329, Found 283.1374.

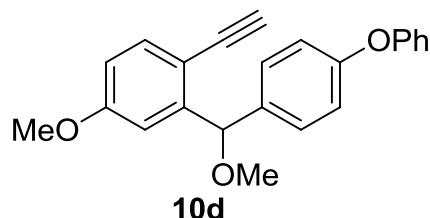
2-((2,4-Dimethoxyphenyl)(methoxy)methyl)-1-ethynyl-4-methoxybenzene (10c)



Colorless oil in 40% yield (EtOAc/petroleum ether = 1:50); ¹H NMR (600 MHz, CDCl₃) δ 7.41 (d,

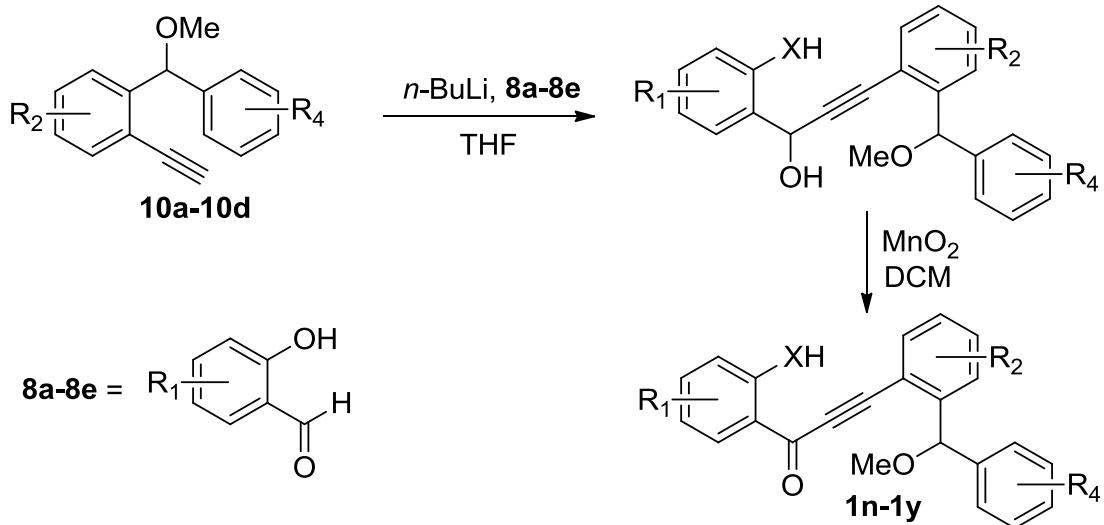
J = 8.5 Hz, 1H), 7.15 (d, *J* = 8.2 Hz, 1H), 6.98 (d, *J* = 2.7 Hz, 1H), 6.74 (dd, *J* = 8.5, 2.7 Hz, 1H), 6.47 – 6.42 (m, 2H), 6.01 (s, 1H), 3.79 (s, 3H), 3.79 (s, 3H), 3.78 (s, 3H), 3.41 (s, 3H), 3.15 (s, 1H); ^{13}C NMR (150 MHz, CDCl_3) δ 160.48, 160.15, 158.60, 146.38, 134.37, 128.58, 122.01, 113.93, 112.86, 112.60, 104.23, 98.61, 81.98, 80.03, 76.75, 57.51, 55.61, 55.45, 55.43; IR (thin film, cm^{-1}) 3436, 3281, 2999, 2932, 2836, 2101, 1609, 1504, 1464, 1296, 1208, 1158, 1117, 1081, 1036, 966, 826, 640, 617; HRMS (ESI): *m/z* Calcd. for $\text{C}_{19}\text{H}_{20}\text{O}_4\text{Na}$ [$\text{M}+\text{Na}^+$] 335.1254, Found 335.1254.

1-Ethynyl-4-methoxy-2-(methoxy(4-phenoxyphenyl)methyl)benzene (**10d**)



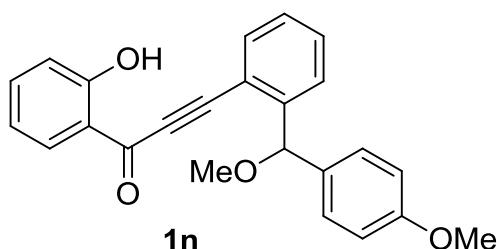
Colorless oil in 41% yield (EtOAc/petroleum ether = 1:50); ^1H NMR (600 MHz, CDCl_3) δ 7.43 (d, *J* = 8.5 Hz, 1H), 7.38 (d, *J* = 8.7 Hz, 2H), 7.33 – 7.29 (m, 2H), 7.11 – 7.07 (m, 2H), 6.99 (d, *J* = 8.0 Hz, 2H), 6.94 (d, *J* = 8.6 Hz, 2H), 6.77 (dd, *J* = 8.5, 2.7 Hz, 1H), 5.77 (s, 1H), 3.82 (s, 3H), 3.41 (s, 3H), 3.26 (s, 1H); ^{13}C NMR (150 MHz, CDCl_3) δ 160.60, 157.26, 156.72, 146.50, 136.34, 134.52, 129.83, 128.51, 123.38, 119.09, 118.68, 113.46, 113.19, 111.35, 82.09, 81.93, 80.96, 57.26, 55.51; IR (thin film, cm^{-1}) 3429, 3283, 2921, 2823, 2184, 2101, 1664, 1606, 1589, 1487, 1296, 1232, 1164, 1099, 1036, 871, 752, 692, 618, 531; HRMS (ESI): *m/z* Calcd. for $\text{C}_{23}\text{H}_{21}\text{O}_3$ [$\text{M}+\text{H}^+$] 345.1485, Found 345.1490.

2.6 General Procedures for the Preparation of **1n-1y** and Characterization Data



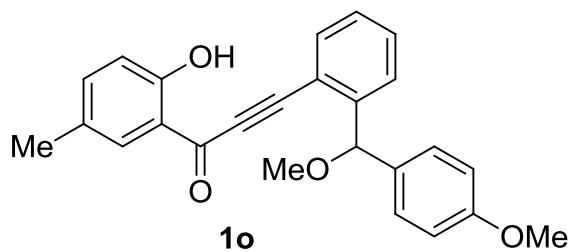
The experiment procedures for the preparation of **1n-1y** were the same with those of **3a-3m**.

1-(2-Hydroxyphenyl)-3-(2-(methoxy(4-methoxyphenyl)methyl)phenyl)prop-2-yn-1-one (**1n**)



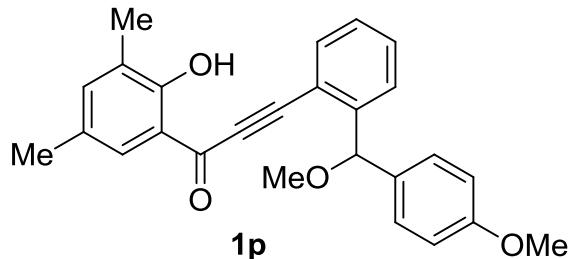
Yellow solid in 54% yield (EtOAc/petroleum ether = 1:70): Mp 86.5–88.6 °C; ¹H NMR (600 MHz, CDCl₃) δ 11.76 (s, 1H), 7.97 (dd, *J* = 7.9, 1.4 Hz, 1H), 7.67 (d, *J* = 8.0 Hz, 2H), 7.56 – 7.50 (m, 2H), 7.36 – 7.31 (m, 3H), 7.01 (d, *J* = 8.4 Hz, 1H), 6.93 (t, *J* = 7.5 Hz, 1H), 6.85 (d, *J* = 8.7 Hz, 2H), 5.75 (s, 1H), 3.77 (s, 3H), 3.42 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 182.22, 162.96, 159.34, 146.44, 137.30, 134.01, 133.15, 132.81, 131.69, 128.83, 127.64, 126.67, 120.92, 119.53, 118.47, 118.27, 114.00, 94.24, 90.50, 82.56, 57.30, 55.36; IR (thin film, cm⁻¹) 3424, 2922, 2850, 2186, 1623, 1589, 1511, 1479, 1347, 1303, 1232, 1174, 1152, 1101, 1083, 1035, 1013, 842, 800, 760, 620; HRMS (ESI): *m/z* Calcd. for C₂₄H₂₀O₄Na [M+Na]⁺ 395.1254, Found 395.1257.

1-(2-Hydroxy-5-methylphenyl)-3-(2-(methoxy(4-methoxyphenyl)methyl)phenyl)prop-2-yn-1-one (1o)



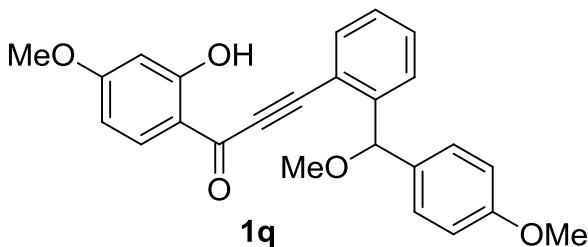
Yellow solid in 50% yield (EtOAc/petroleum ether = 1:70): Mp 70.6–71.7 °C; ¹H NMR (600 MHz, CDCl₃) δ 11.60 (s, 1H), 7.82 (d, *J* = 1.5 Hz, 1H), 7.67 (dd, *J* = 7.7, 1.2 Hz, 2H), 7.56 – 7.50 (m, 1H), 7.37 – 7.33 (m, 4H), 6.92 (d, *J* = 8.5 Hz, 1H), 6.87 – 6.81 (m, 2H), 5.77 (s, 1H), 3.76 (s, 3H), 3.42 (s, 3H), 2.29 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 182.13, 161.00, 159.30, 146.53, 138.50, 133.90, 133.86, 132.88, 132.63, 131.64, 128.76, 128.65, 127.64, 126.64, 120.57, 118.55, 118.09, 114.00, 94.06, 90.55, 82.51, 57.24, 55.34, 20.56; IR (thin film, cm⁻¹) 3432, 2921, 2851, 2195, 1631, 1600, 1481, 1464, 1447, 1384, 1344, 1247, 1172, 1036, 1020, 780, 621, 535; HRMS (ESI): *m/z* Calcd. for C₂₅H₂₂O₄Na [M+Na]⁺ 409.1410, Found 409.1417.

1-(2-Hydroxy-3,5-dimethylphenyl)-3-(2-(methoxy(4-methoxyphenyl)methyl)phenyl)prop-2-yn-1-one (1p)



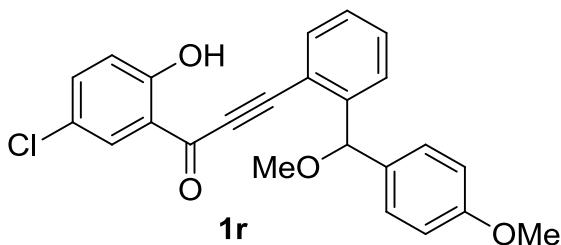
Yellow solid in 59% yield (EtOAc/petroleum ether = 1:70): Mp 115.5–117.3 °C; ¹H NMR (600 MHz, CDCl₃) δ 11.89 (s, 1H), 7.69 – 7.64 (m, 3H), 7.52 (td, *J* = 7.8, 1.1 Hz, 1H), 7.37 – 7.30 (m, 3H), 7.23 (d, *J* = 0.6 Hz, 1H), 6.86 – 6.82 (m, 2H), 5.78 (s, 1H), 3.76 (s, 3H), 3.42 (s, 3H), 2.27 (s, 3H), 2.26 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 182.27, 159.52, 159.28, 146.50, 139.49, 133.86, 132.93, 131.55, 130.17, 128.64, 128.01, 127.61, 127.13, 126.58, 119.96, 118.67, 113.99, 93.76, 90.78, 82.49, 57.24, 55.34, 20.56, 15.40; IR (thin film, cm⁻¹) 3427, 2921, 2851, 2193, 1604, 1574, 1464, 1433, 1383, 1357, 1303, 1271, 1244, 1221, 1174, 1082, 836, 790, 619, 591, 564; HRMS (ESI): *m/z* Calcd. for C₂₆H₂₅O₄ [M+H]⁺ 401.1747, Found 401.1749.

1-(2-Hydroxy-4-methoxyphenyl)-3-(2-(methoxy(4-methoxyphenyl)methyl)phenyl)prop-2-yn-1-one (1q)



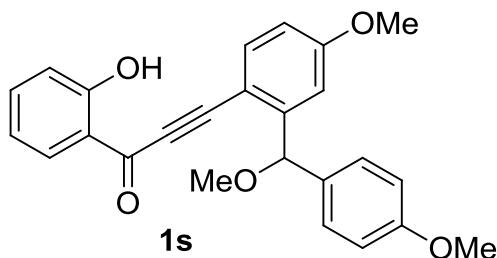
Yellow solid in 58% yield (EtOAc/petroleum ether = 1:70): Mp 79.4–81.2 °C; ¹H NMR (600 MHz, CDCl₃) δ 12.23 (d, *J* = 1.4 Hz, 1H), 7.82 (d, *J* = 8.7 Hz, 1H), 7.65 (t, *J* = 7.2 Hz, 2H), 7.51 (t, *J* = 7.7 Hz, 1H), 7.36 – 7.29 (m, 3H), 6.85 (d, *J* = 8.7 Hz, 2H), 6.47 – 6.43 (m, 2H), 5.74 (s, 1H), 3.87 (s, 3H), 3.77 (s, 3H), 3.41 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 180.27, 167.15, 165.90, 159.33, 146.33, 146.18, 134.82, 133.85, 132.90, 131.42, 128.83, 127.60, 126.60, 118.77, 115.44, 113.99, 108.62, 100.74, 93.38, 90.46, 82.55, 57.32, 55.89, 55.37; IR (thin film, cm⁻¹) 3426, 2920, 2851, 2202, 1631, 1584, 1510, 1462, 1359, 1269, 1230, 1123, 1082, 1032, 1011, 958, 801, 760, 620, 582, 553, 523; HRMS (ESI): *m/z* Calcd. for C₂₅H₂₂O₅Na [M+Na]⁺ 425.1359, Found 425.1367.

1-(5-Chloro-2-hydroxyphenyl)-3-(2-(methoxy(4-methoxyphenyl)methyl)phenyl)prop-2-yn-1-one (1r)



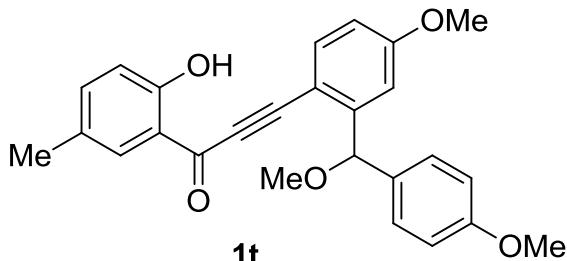
Yellow solid in 55% yield (EtOAc/petroleum ether = 1:70): Mp 123.3–125.1 °C; ¹H NMR (600 MHz, CDCl₃) δ 11.68 (s, 1H), 8.04 (d, *J* = 2.6 Hz, 1H), 7.70 – 7.65 (m, 2H), 7.57 – 7.53 (m, 1H), 7.47 (dd, *J* = 8.9, 2.6 Hz, 1H), 7.37 – 7.31 (m, 3H), 6.98 (d, *J* = 8.9 Hz, 1H), 6.87 – 6.82 (m, 2H), 5.72 (s, 1H), 3.76 (s, 3H), 3.43 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 181.16, 161.43, 159.36, 146.74, 137.15, 134.27, 132.66, 131.96, 131.91, 128.74, 127.72, 126.81, 124.26, 121.44, 120.03, 118.01, 114.02, 95.37, 90.07, 82.68, 57.28, 55.34; IR (thin film, cm⁻¹) 3422, 2946, 2931, 2824, 1993, 1632, 1578, 1463, 1379, 1347, 1292, 1211, 1090, 1053, 968, 778, 732, 611; HRMS (ESI): *m/z* Calcd. for C₂₄H₂₀ClO₄ [M+H]⁺ 407.1045, Found 407.1038.

1-(2-Hydroxyphenyl)-3-(4-methoxy-2-(methoxy(4-methoxyphenyl)methyl)phenyl)prop-2-yn-1-one (1s**)**



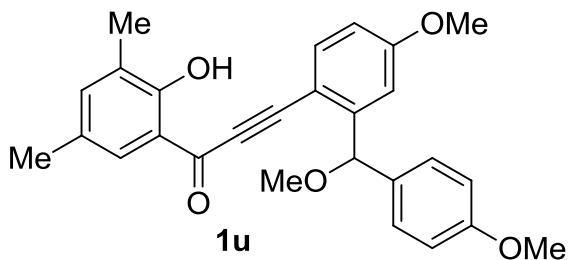
Yellow solid in 53% yield (EtOAc/petroleum ether = 1:70): Mp 91.3–92.9 °C; ¹H NMR (600 MHz, CDCl₃) δ 11.85 (s, 1H), 7.97 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.61 (d, *J* = 8.5 Hz, 1H), 7.53 – 7.49 (m, 1H), 7.37 – 7.33 (m, 2H), 7.22 (d, *J* = 2.6 Hz, 1H), 7.00 (dd, *J* = 8.3, 0.5 Hz, 1H), 6.94 – 6.89 (m, 1H), 6.85 (dt, *J* = 4.8, 2.2 Hz, 3H), 5.73 (s, 1H), 3.88 (s, 3H), 3.77 (s, 3H), 3.42 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 182.29, 162.90, 162.65, 159.38, 149.07, 137.03, 136.12, 133.04, 132.71, 128.82, 120.97, 119.43, 118.24, 114.00, 113.78, 111.97, 110.26, 95.57, 90.52, 82.46, 57.33, 55.72, 55.38; IR (thin film, cm⁻¹) 3425, 2922, 2851, 2187, 1623, 1590, 1511, 1479, 1384, 1346, 1303, 1250, 1232, 1174, 1152, 1101, 1083, 1035, 1012, 843, 799, 759, 620; HRMS (ESI): *m/z* Calcd. for C₂₅H₂₂O₅Na [M+Na]⁺ 425.1359, Found 425.1359.

1-(2-Hydroxy-5-methylphenyl)-3-(4-methoxy-2-(methoxy(4-methoxyphenyl)methyl)phenyl)prop-2-yn-1-one (1t**)**



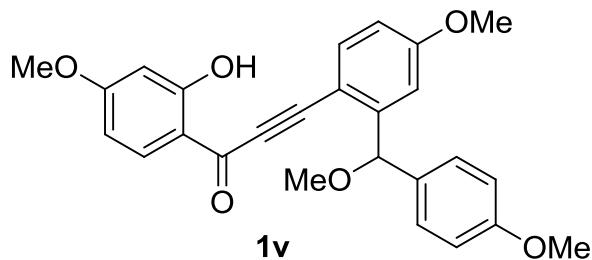
Yellow solid in 58% yield (EtOAc/petroleum ether = 1:70): Mp 95.3–97.2 °C; ¹H NMR (600 MHz, CDCl₃) δ 11.69 (s, 1H), 7.82 (d, *J* = 1.0 Hz, 1H), 7.61 (d, *J* = 8.5 Hz, 1H), 7.36 (d, *J* = 8.7 Hz, 2H), 7.32 (dd, *J* = 8.5, 1.9 Hz, 1H), 7.21 (d, *J* = 2.5 Hz, 1H), 6.91 (d, *J* = 8.5 Hz, 1H), 6.87 – 6.82 (m, 3H), 5.76 (s, 1H), 3.88 (s, 3H), 3.76 (s, 3H), 3.43 (s, 3H), 2.29 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 182.19, 162.59, 160.91, 159.32, 149.16, 138.20, 136.00, 132.78, 132.55, 128.61, 120.60, 118.04, 114.00, 113.82, 111.89, 110.34, 95.37, 90.53, 82.41, 57.25, 55.70, 55.35, 20.58; IR (thin film, cm⁻¹) 3426, 2920, 2851, 2186, 1627, 1608, 1511, 1461, 1384, 1348, 1300, 1246, 1173, 1108, 1035, 836, 800, 780, 620, 537; HRMS (ESI): *m/z* Calcd. for C₂₆H₂₄O₅Na [M+Na]⁺ 439.1516, Found 439.1517.

1-(2-Hydroxy-3,5-dimethylphenyl)-3-(4-methoxy-2-(methoxy(4-methoxyphenyl)methyl)phenyl)prop-2-yn-1-one (1u**)**



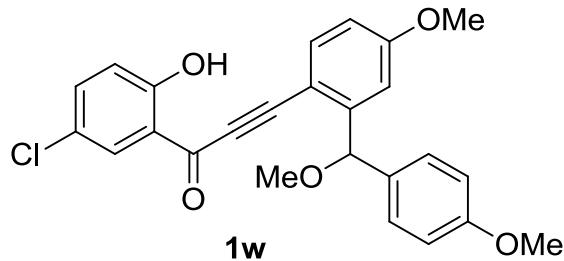
Yellow solid in 57% yield (EtOAc/petroleum ether = 1:70): Mp 115.6–117.4 °C; ¹H NMR (600 MHz, CDCl₃) δ 11.98 (s, 1H), 7.68 (s, 1H), 7.61 (d, *J* = 8.5 Hz, 1H), 7.36 (d, *J* = 8.7 Hz, 2H), 7.20 (d, *J* = 2.7 Hz, 2H), 6.86 – 6.82 (m, 3H), 5.76 (s, 1H), 3.87 (s, 3H), 3.76 (s, 3H), 3.42 (s, 3H), 2.26 (s, 6H); ¹³C NMR (150 MHz, CDCl₃) δ 182.35, 162.52, 159.43, 159.31, 149.10, 139.20, 135.95, 132.83, 130.10, 128.60, 127.87, 127.06, 119.99, 114.00, 113.81, 111.83, 110.47, 95.04, 90.73, 82.39, 57.25, 55.69, 55.35, 20.58, 15.41; IR (thin film, cm⁻¹) 3427, 2920, 2851, 2193, 1604, 1574, 1510, 1487, 1464, 1432, 1383, 1357, 1303, 1271, 1245, 1221, 1174, 1082, 1035, 836, 789, 591, 564; HRMS (ESI): *m/z* Calcd. for C₂₇H₂₆O₅Na [M+Na]⁺ 453.1672, Found 453.1671.

1-(2-Hydroxy-4-methoxyphenyl)-3-(4-methoxy-2-(methoxy(4-methoxyphenyl)methyl)phenyl)prop-2-yn-1-one (1v)



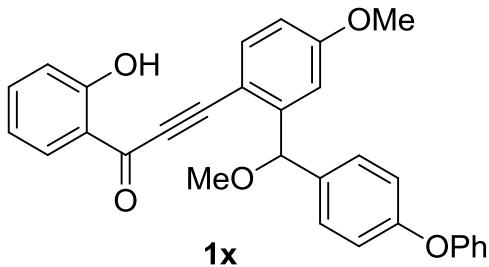
Yellow solid in 55% yield (EtOAc/petroleum ether = 1:70): Mp 92.6–94.2 °C; ¹H NMR (600 MHz, CDCl₃) δ 12.32 (s, 1H), 7.83 (d, *J* = 8.8 Hz, 1H), 7.59 (d, *J* = 8.5 Hz, 1H), 7.35 (d, *J* = 8.7 Hz, 2H), 7.20 (d, *J* = 2.6 Hz, 1H), 6.85 (dq, *J* = 8.1, 2.7 Hz, 3H), 6.44 (dt, *J* = 5.7, 2.4 Hz, 2H), 5.72 (s, 1H), 3.87 (s, 3H), 3.86 (s, 3H), 3.77 (s, 3H), 3.42 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 180.41, 166.91, 165.77, 162.39, 159.34, 148.71, 135.87, 134.68, 132.77, 128.79, 115.42, 113.97, 113.69, 111.89, 110.54, 108.45, 100.71, 94.57, 90.28, 82.44, 57.31, 55.84, 55.67, 55.36; IR (thin film, cm⁻¹) 3425, 2921, 2850, 2194, 1605, 1584, 1510, 1361, 1280, 1232, 1111, 1085, 1036, 1012, 845, 829, 813, 620, 528; HRMS (ESI): *m/z* Calcd. for C₂₆H₂₄O₆Na [M+Na]⁺ 455.1465, Found 455.1468.

1-(5-Chloro-2-hydroxyphenyl)-3-(4-methoxy-2-(methoxy(4-methoxyphenyl)methyl)phenyl)prop-2-yn-1-one (1w)



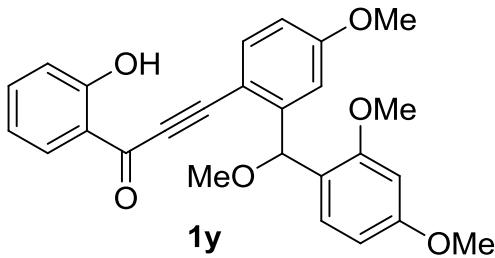
Yellow solid in 57% yield (EtOAc/petroleum ether = 1:70); Mp 124.4–125.9 °C; ¹H NMR (600 MHz, CDCl₃) δ 11.78 (s, 1H), 8.03 (d, *J* = 2.6 Hz, 1H), 7.63 (d, *J* = 8.5 Hz, 1H), 7.45 (dd, *J* = 8.9, 2.6 Hz, 1H), 7.37 – 7.33 (m, 2H), 7.23 (d, *J* = 2.6 Hz, 1H), 6.96 (d, *J* = 8.9 Hz, 1H), 6.88 – 6.83 (m, 3H), 5.70 (s, 1H), 3.89 (s, 3H), 3.76 (s, 3H), 3.44 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 181.16, 162.88, 161.36, 159.39, 149.42, 136.85, 136.46, 132.55, 131.84, 128.76, 124.13, 121.50, 119.98, 114.03, 113.80, 112.18, 109.74, 96.86, 90.25, 82.57, 57.30, 55.74, 55.35; IR (thin film, cm⁻¹) 3429, 2921, 2851, 2187, 1624, 1587, 1511, 1466, 1352, 1304, 1250, 1228, 1187, 1091, 1035, 1014, 836, 646, 537; HRMS (ESI): *m/z* Calcd. for C₂₇H₂₁ClO₅ [M+H]⁺ 460.1072, Found 460.1080.

1-(2-Hydroxyphenyl)-3-(4-methoxy-2-(methoxy(4-phenoxyphenyl)methyl)phenyl)prop-2-yn-1-one (1x)



Yellow oil in 60% yield (EtOAc/petroleum ether = 1:80); ¹H NMR (600 MHz, CDCl₃) δ 11.82 (s, 1H), 7.98 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.64 (d, *J* = 8.5 Hz, 1H), 7.54 – 7.48 (m, 1H), 7.39 (d, *J* = 8.7 Hz, 2H), 7.30 (t, *J* = 8.0 Hz, 2H), 7.22 (d, *J* = 2.6 Hz, 1H), 7.09 (t, *J* = 7.4 Hz, 1H), 7.02 – 6.90 (m, 6H), 6.88 (dd, *J* = 8.5, 2.6 Hz, 1H), 5.77 (s, 1H), 3.89 (s, 3H), 3.45 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 182.22, 162.91, 162.68, 157.15, 157.02, 148.68, 137.07, 136.16, 135.36, 132.96, 129.87, 128.93, 123.55, 120.93, 119.44, 119.23, 118.72, 118.28, 113.87, 112.12, 110.36, 95.39, 90.53, 82.37, 57.46, 55.73; IR (thin film, cm⁻¹) 3439, 2929, 2850, 2184, 1629, 1463, 1384, 1130, 878, 619; HRMS (ESI): *m/z* Calcd. for C₃₀H₂₄O₅Na [M+Na]⁺ 487.1516, Found 487.1498.

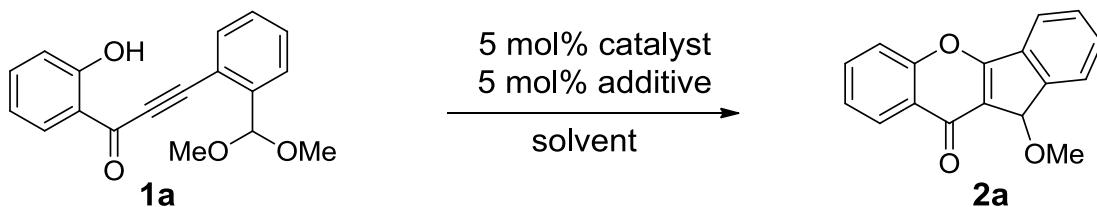
3-(2-((2,4-Dimethoxyphenyl)(methoxy)methyl)-4-methoxyphenyl)-1-(2-hydroxyphenyl)prop-2-yn-1-one (1y)



Yellow oil in 56% yield (EtOAc/petroleum ether = 1:50); ¹H NMR (600 MHz, CDCl₃) δ 11.89 (s, 1H), 8.02 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.62 (d, *J* = 8.5 Hz, 1H), 7.49 (t, *J* = 7.0 Hz, 1H), 7.18 (d, *J* = 8.5 Hz, 1H), 7.10 (d, *J* = 2.6 Hz, 1H), 6.98 (d, *J* = 7.9 Hz, 1H), 6.91 (t, *J* = 7.1 Hz, 1H), 6.84 (dd, *J* = 8.5, 2.6 Hz, 1H), 6.46 (dd, *J* = 8.5, 2.4 Hz, 1H), 6.42 (d, *J* = 2.3 Hz, 1H), 6.09 (s, 1H), 3.85 (s, 3H), 3.78 (s, 3H), 3.70 (s, 3H), 3.44 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 182.43, 162.84, 162.19, 160.70, 158.41, 148.33, 136.86, 135.95, 133.16, 128.38, 121.50, 121.05, 119.35, 118.10, 113.43, 113.20, 111.10, 104.34, 98.54, 95.88, 89.66, 76.85, 57.57, 55.58, 55.48, 55.43; IR (thin film, cm⁻¹) 3425, 3000, 2934, 2837, 2184, 1593, 1503, 1464, 1342, 1299, 1206, 1153, 1082, 1036, 1012, 825,

794, 757, 690, 619, 530; HRMS (ESI): *m/z* Calcd. for C₂₆H₂₄O₆Na [M+Na]⁺ 455.1465, Found 455.1467.

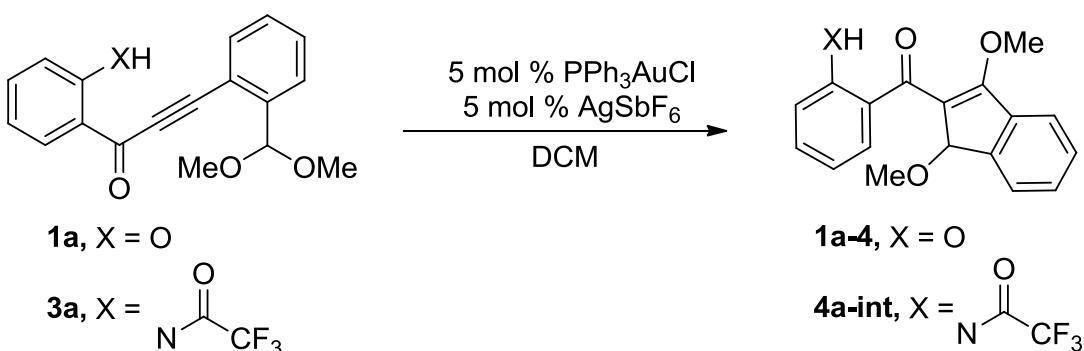
3. Screening of the Reaction Conditions^a



Entry	catalyst	additive	solvent	yield (%) ^b
1	Ph ₃ PAuNTf ₂	-	toluene	58
2	Ph ₃ PAuCl	-	toluene	0
3	Ph ₃ PAuCl	AgBF ₄	toluene	30
4	Ph ₃ PAuCl	AgSbF ₆	toluene	45
5	Ph ₃ PAuCl	AgBF ₄ +4 Å MS	toluene	30
6	Ph ₃ PAuCl	AgSbF ₆ +4 Å MS	toluene	90
7	Ph ₃ PAuNTf ₂	4 Å MS	toluene	80
8	Ph ₃ PAuCl	AgSbF ₆ +4 Å MS	DCM	93
9	Ph ₃ PAuCl	AgSbF ₆ +4 Å MS	DCE	91
10	Ph ₃ PAuCl	AgSbF ₆ +4 Å MS	THF	0
11	TfOH	-	DCM	0
12	AgSbF ₆	-	DCM	0

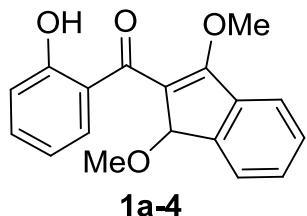
Note: [a] The reactions were conducted on 0.1 mmol scale at room temperature; [b] Yield of isolated product.

4. Procedures for the Preparation of 1a-4 and 4a-int and Characterization Data



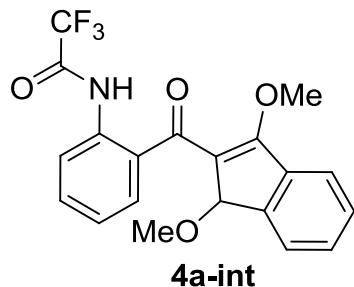
The gold catalyst was generated in a round bottom flask by addition of PPh_3AuCl (0.01 mmol, 5 mg), AgSbF_6 (0.01 mmol, 4 mg), activated 4 Å molecular sieves (20 mg) and dry CH_2Cl_2 (5 mL) under nitrogen atmosphere at room temperature. The mixture was stirred for 30 minutes before the solution of **1a** or **3a** (0.2 mmol) in dry CH_2Cl_2 (5 mL) was added via a syringe. The mixture was stirred for 7 minutes for **1a** or 1 hour for **3a** at room temperature, the solvent was removed *in vacuo*. The residue was purified by a flash column chromatography on silica gel to afford the intermediate **1a-4** or **4a-int**.

(1,3-Dimethoxy-1H-inden-2-yl)(2-hydroxyphenyl)methanone (1a-4)



White solid in 90% yield (EtOAc/petroleum ether = 1:60): Mp 158.1–160.2 °C; ^1H NMR (600 MHz, CDCl_3) δ 12.27 (s, 1H), 7.82 (dd, J = 8.0, 1.6 Hz, 1H), 7.53 – 7.47 (m, 3H), 7.41 (dd, J = 5.4, 2.7 Hz, 2H), 5.71 (s, 1H), 3.81 (s, 3H), 3.13 (s, 3H); ^{13}C NMR (150 MHz, CDCl_3) δ 198.86, 162.32, 161.18, 141.45, 138.14, 136.48, 132.46, 129.10, 129.06, 124.19, 121.76, 120.62, 119.08, 118.40, 115.00, 83.19, 60.96, 53.10; IR (thin film, cm^{-1}) 3425, 2921, 2851, 1621, 1588, 1572, 1481, 1441, 1378, 1240, 1151, 1073, 962, 762, 739, 643, 611; HRMS (ESI): m/z Calcd. for $\text{C}_{18}\text{H}_{16}\text{O}_4\text{Na} [\text{M}+\text{Na}]^+$ 319.0941, Found 319.0959.

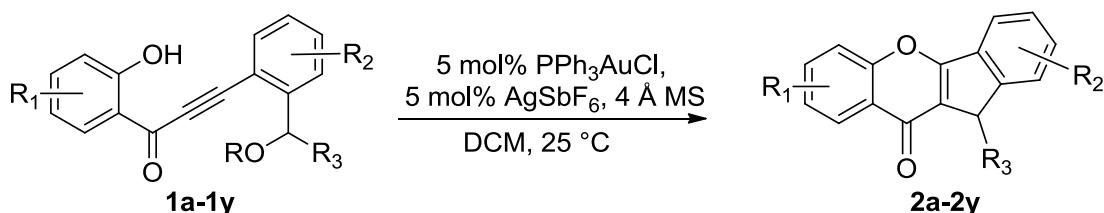
N-(2-(1,3-Dimethoxy-1H-indene-2-carbonyl)phenyl)-2,2,2-trifluoroacetamide (4a-int)



Yellow oil in 83% yield (EtOAc/petroleum ether = 1:10); ^1H NMR (600 MHz, CDCl_3) δ 12.42 (s, 1H), 8.66 (d, J = 8.3 Hz, 1H), 7.98 (dd, J = 7.9, 1.4 Hz, 1H), 7.67 – 7.62 (m, 1H), 7.55 – 7.51 (m, 2H), 7.45 – 7.42 (m, 2H), 7.31 – 7.27 (m, 1H), 5.66 (s, 1H), 3.83 (s, 3H), 3.12 (s, 3H); ^{13}C NMR (150 MHz, CDCl_3) δ 196.59, 163.73, 156.07, 155.82, 155.57, 155.32, 141.93, 137.77, 137.56, 134.83, 133.11, 129.76, 129.31, 125.98, 124.80, 124.41, 121.40, 121.22, 116.81, 116.47, 114.90, 82.94, 61.44, 53.34; IR (thin film, cm^{-1}) 3444, 2922, 2852, 1732, 1627, 1525, 1439, 1384, 1282, 1249, 1153, 1116, 1075, 733, 619; HRMS (ESI): m/z Calcd. for $\text{C}_{20}\text{H}_{16}\text{F}_3\text{NO}_4\text{Na} [\text{M}+\text{Na}]^+$ 414.0924, Found 414.0924.

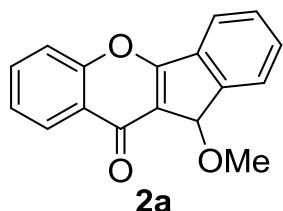
5. General Procedures for the Preparation of Indeno-chromen-4-ones

(2a-2y) and Characterization Data



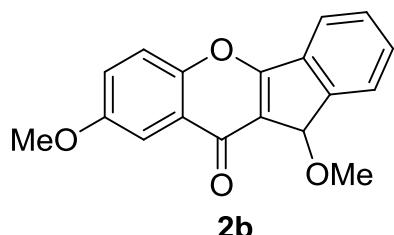
The gold catalyst was generated in a round bottom flask by addition of PPh_3AuCl (0.01 mmol, 5 mg), AgSbF_6 (0.01 mmol, 4 mg), activated 4 Å molecular sieves (20 mg) and dry CH_2Cl_2 (5 mL) under nitrogen atmosphere. The mixture was stirred for 30 min before the substrates **1a-1y** (0.2 mmol) in dry CH_2Cl_2 (5 mL) were added via a syringe. The mixture was stirred at room temperature, after the consumption of the starting material. The solvent was removed in vacuo and the residue was purified by a flash column chromatography on silica gel to afford the products **2a-2y**.

11-Methoxyindeno[1,2-b]chromen-10(11H)-one (2a)



White solid in 93% yield (EtOAc/petroleum ether = 1:8): Mp 158.1–160.2 °C; ^1H NMR (600 MHz, DMSO-d_6) δ 8.14 (d, J = 7.8 Hz, 1H), 7.87 – 7.73 (m, 3H), 7.69 (d, J = 7.2 Hz, 1H), 7.62 – 7.57 (m, 2H), 7.54 (t, J = 7.6 Hz, 1H), 5.58 (s, 1H), 3.31 (s, 3H); ^{13}C NMR (150 MHz, DMSO-d_6) δ 173.17, 165.41, 155.61, 144.01, 133.91, 133.10, 131.51, 129.58, 125.95, 125.44, 125.39, 124.85, 120.71, 120.09, 118.55, 78.07, 54.87; IR (thin film, cm^{-1}) 3432, 2924, 2852, 1646, 1624, 1556, 1480, 1463, 1431, 1109, 1080, 760, 738; HRMS (ESI): m/z Calcd. for $\text{C}_{17}\text{H}_{12}\text{O}_3\text{Na}$ [M+Na] $^+$ 287.0679, Found 287.0683.

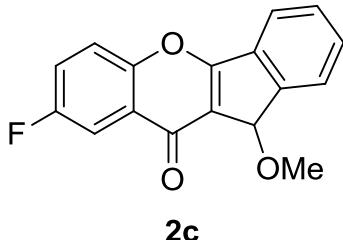
8,11-Dimethoxyindeno[1,2-b]chromen-10(11H)-one (2b)



White solid in 95% yield (EtOAc/petroleum ether = 1:8): Mp 129.3–130.9 °C; ^1H NMR (600 MHz, CDCl_3) δ 7.75 (d, J = 7.4 Hz, 1H), 7.71 (d, J = 3.1 Hz, 1H), 7.69 (d, J = 7.3 Hz, 1H), 7.55 – 7.52

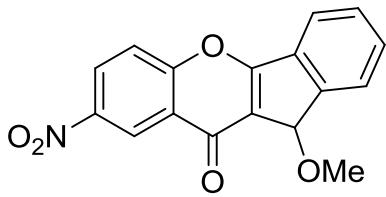
(m, 2H), 7.49 (t, J = 7.3 Hz, 1H), 7.29 – 7.26 (m, 1H), 5.64 (s, 1H), 3.92 (s, 3H), 3.45 (s, 3H); ^{13}C NMR (150 MHz, CDCl_3) δ 174.53, 166.35, 157.44, 150.98, 144.33, 133.99, 131.47, 129.50, 126.37, 125.64, 123.00, 120.91, 119.82, 119.60, 106.01, 78.67, 56.10, 55.55; IR (thin film, cm^{-1}) 3433, 2933, 2833, 1652, 1561, 1479, 1414, 1348, 1277, 1196, 1110, 1024, 955, 932, 821, 763, 729, 591, 563; HRMS (ESI): m/z Calcd. for $\text{C}_{18}\text{H}_{14}\text{O}_4\text{Na}$ [$\text{M}+\text{Na}$]⁺ 317.0784, Found 317.0778.

8-Fluoro-11-methoxyindeno[1,2-b]chromen-10(11H)-one (2c)



White solid in 91% yield (EtOAc/petroleum ether = 1:8); Mp 149.2–150.5 °C; ^1H NMR (600 MHz, CDCl_3) δ 7.97 (dd, J = 8.3, 3.1 Hz, 1H), 7.76 (d, J = 7.4 Hz, 1H), 7.69 (d, J = 7.4 Hz, 1H), 7.61 (dd, J = 9.1, 4.1 Hz, 1H), 7.56 (t, J = 7.4 Hz, 1H), 7.52 (d, J = 7.7 Hz, 1H), 7.45 – 7.38 (m, 1H), 5.63 (s, 1H), 3.47 (s, 3H); ^{13}C NMR (150 MHz, CDCl_3) δ 173.72 (d, J = 1.5 Hz), 166.78, 160.05 (d, J = 246.0 Hz), 152.41 (d, J = 1.5 Hz), 144.39, 133.63, 131.79, 129.61, 127.10 (d, J = 6.0 Hz), 125.71, 121.34 (d, J = 25.2 Hz), 121.06, 120.25 (d, J = 7.5 Hz), 120.2 (d, J = 1.5 Hz), 111.59 (d, J = 24.0 Hz), 78.70, 55.85; IR (thin film, cm^{-1}) 3437, 3057, 2911, 1647, 1560, 1471, 1418, 1249, 1180, 1110, 1073, 926, 866, 831, 766, 732, 668, 577, 556; HRMS (ESI): m/z Calcd. for $\text{C}_{17}\text{H}_{11}\text{FO}_3\text{Na}$ [$\text{M}+\text{Na}$]⁺ 305.0584, Found 305.0590.

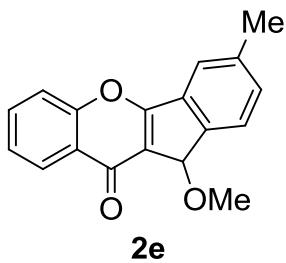
11-Methoxy-8-nitroindeno[1,2-b]chromen-10(11H)-one (2d)



2d

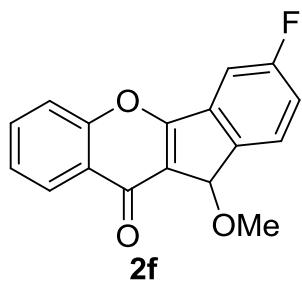
White solid in 97% yield (EtOAc/petroleum ether = 1:8); Mp 198.1–199.3 °C; ^1H NMR (600 MHz, CDCl_3) δ 9.16 (d, J = 2.8 Hz, 1H), 8.51 (dd, J = 9.1, 2.8 Hz, 1H), 7.80 – 7.40 (m, 2H), 7.71 (d, J = 7.4 Hz, 1H), 7.59 (t, J = 7.4 Hz, 1H), 7.53 (t, J = 7.5 Hz, 1H), 5.61 (s, 1H), 3.50 (s, 3H); ^{13}C NMR (150 MHz, CDCl_3) δ 172.67, 166.97, 159.04, 145.28, 144.40, 132.86, 132.30, 129.80, 127.80, 126.03, 125.81, 122.98, 121.24, 121.22, 119.99, 78.73, 56.25; IR (thin film, cm^{-1}) 3433, 2925, 1651, 1621, 1534, 1446, 1347, 1245, 1117, 1083, 961, 838, 766, 734, 693, 574; HRMS (ESI): m/z Calcd. for $\text{C}_{17}\text{H}_{11}\text{NO}_5\text{Na}$ [$\text{M}+\text{Na}$]⁺ 332.0529, Found 332.0536.

11-Methoxy-3-methylindeno[1,2-b]chromen-10(11H)-one (2e)



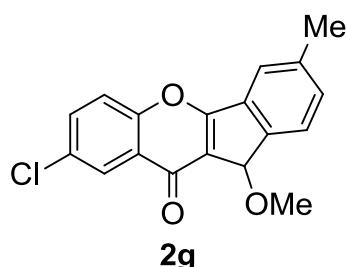
White solid in 89% yield (EtOAc/petroleum ether = 1:8): Mp 157.2–159.3 °C; ¹H NMR (600 MHz, CDCl₃) δ 8.34 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.72 – 7.66 (m, 1H), 7.60 – 7.58 (m, 2H), 7.57 (d, *J* = 7.6 Hz, 1H), 7.47 – 7.44 (m, 1H), 7.35 (d, *J* = 7.6 Hz, 1H), 5.61 (s, 1H), 3.44 (s, 3H), 2.47 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 174.65, 166.60, 156.30, 141.55, 139.71, 134.11, 133.35, 132.38, 126.47, 125.71, 125.69, 125.39, 121.48, 120.77, 118.25, 78.45, 55.43, 21.64; IR (thin film, cm⁻¹) 3427, 2924, 2853, 1645, 1609, 1456, 1384, 1222, 1072, 810, 761; HRMS (ESI): *m/z* Calcd. for C₁₈H₁₄O₃Na [M+Na]⁺ 301.0835, Found 301.0830.

3-Fluoro-11-methoxyindeno[1,2-b]chromen-10(11H)-one (2f)



White solid in 93% yield (EtOAc/petroleum ether = 1:8): Mp 165.5–167.2 °C; ¹H NMR (600 MHz, CDCl₃) δ 8.33 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.75 – 7.68 (m, 1H), 7.64 (dd, *J* = 8.2, 4.7 Hz, 1H), 7.60 (dd, *J* = 8.4, 0.7 Hz, 1H), 7.49 – 7.45 (m, 2H), 7.25 – 7.19 (m, 1H), 5.59 (s, 1H), 3.48 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 174.57, 165.09 (d, *J* = 3.0 Hz), 163.75 (d, *J* = 247.5 Hz), 156.21, 139.76 (d, *J* = 3.0 Hz), 135.90 (d, *J* = 9.0 Hz), 133.66, 129.36 (d, *J* = 12.0 Hz), 127.07 (d, *J* = 9.0 Hz), 126.49, 125.94, 125.57, 122.09, 118.24 (d, *J* = 22.5 Hz), 108.40 (d, *J* = 24.0 Hz), 78.24, 55.88; IR (thin film, cm⁻¹) 3439, 2921, 1648, 1607, 1455, 1419, 1195, 1108, 1071, 929, 873, 765; HRMS (ESI): *m/z* Calcd. for C₁₇H₁₁FO₃Na [M+Na]⁺ 305.0584, Found 305.0576.

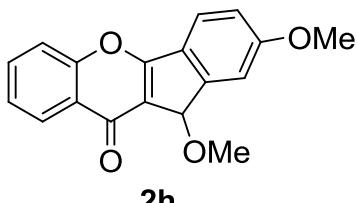
8-Chloro-11-methoxy-3-methylindeno[1,2-b]chromen-10(11H)-one (2g)



White solid in 92% yield (EtOAc/petroleum ether = 1:8): Mp 131.7–133.1 °C; ¹H NMR (600 MHz, CDCl₃) δ 8.30 (d, *J* = 2.6 Hz, 1H), 7.63 (dd, *J* = 8.8, 2.6 Hz, 1H), 7.58 (d, *J* = 7.4 Hz, 2H), 7.55 (d, *J* = 8.8 Hz, 1H), 7.37 (d, *J* = 8.0 Hz, 1H), 5.60 (s, 1H), 3.44 (s, 3H), 2.48 (s, 3H); ¹³C NMR (150

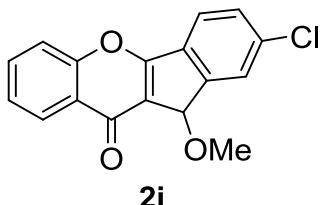
MHz, CDCl₃) δ 173.32, 166.86, 154.62, 141.60, 139.86, 133.76, 133.48, 132.69, 131.76, 126.81, 126.00, 125.49, 121.57, 120.81, 119.89, 78.43, 55.59, 21.66; IR (thin film, cm⁻¹) 3424, 2997, 2922, 2852, 2352, 1648, 1603, 1551, 1442, 1397, 1249, 1165, 1124, 1072, 927, 816, 688, 675, 566, 545; HRMS (ESI): *m/z* Calcd. for C₁₈H₁₃ClO₃Na [M+Na]⁺ 335.0445, Found 335.0443.

2,11-Dimethoxyindeno[1,2-b]chromen-10(11H)-one (2h)



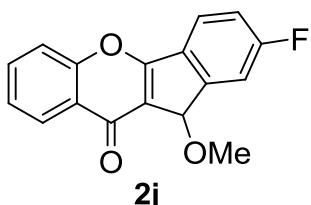
White solid in 90% yield (EtOAc/petroleum ether = 1:8): Mp 132.5–134.4 °C; ¹H NMR (600 MHz, CDCl₃) δ 8.34 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.71 – 7.64 (m, 2H), 7.58 (d, *J* = 8.3 Hz, 1H), 7.49 – 7.43 (m, 1H), 7.24 (d, *J* = 2.1 Hz, 1H), 7.02 (dd, *J* = 8.4, 2.3 Hz, 1H), 5.61 (s, 1H), 3.92 (s, 3H), 3.45 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 174.21, 166.85, 163.30, 156.25, 147.17, 133.16, 126.44, 126.19, 125.67, 125.61, 122.22, 119.11, 118.15, 115.81, 111.22, 78.49, 55.93, 55.45; IR (thin film, cm⁻¹) 3437, 2925, 2852, 2357, 1644, 1620, 1553, 1460, 1429, 1384, 1295, 1272, 1239, 1139, 1116, 1076, 1026, 764, 689; HRMS (ESI): *m/z* Calcd. for C₁₈H₁₄O₄Na [M+Na]⁺ 317.0784, Found 317.0780.

2-Chloro-11-methoxyindeno[1,2-b]chromen-10(11H)-one (2i)



White solid in 90% yield (EtOAc/petroleum ether = 1:8): Mp 169.4–171.2 °C; ¹H NMR (600 MHz, CDCl₃) δ 8.34 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.73 – 7.69 (m, 3H), 7.60 (d, *J* = 8.2 Hz, 1H), 7.51 – 7.46 (m, 2H), 5.61 (s, 1H), 3.51 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 174.57, 165.43, 156.27, 146.19, 138.01, 133.62, 132.37, 129.94, 126.52, 126.38, 125.94, 125.57, 121.92, 120.87, 118.30, 78.59, 56.21; IR (thin film, cm⁻¹) 3440, 2923, 2853, 1646, 1552, 1461, 1430, 1384, 1121, 1086, 759; HRMS (ESI): *m/z* Calcd. for C₁₇H₁₁ClO₃Na [M+Na]⁺ 321.0289, Found 321.0292.

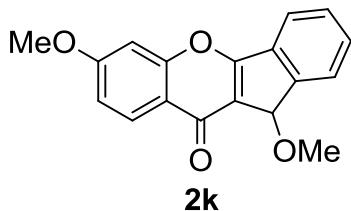
2-Fluoro-11-methoxyindeno[1,2-b]chromen-10(11H)-one (2j)



White solid in 92% yield (EtOAc/petroleum ether = 1:8): Mp 153.5–154.8 °C; ¹H NMR (600 MHz, CDCl₃) δ 8.34 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.75 (dd, *J* = 8.3, 4.8 Hz, 1H), 7.73 – 7.68 (m, 1H), 7.60

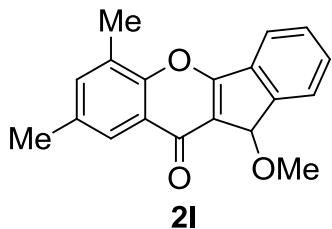
(d, $J = 7.9$ Hz, 1H), 7.50 – 7.45 (m, 1H), 7.41 (dd, $J = 8.0, 2.2$ Hz, 1H), 7.21 (td, $J = 8.6, 2.3$ Hz, 1H), 5.61 (s, 1H), 3.50 (s, 3H); ^{13}C NMR (150 MHz, CDCl_3) δ 174.37, 165.62, 165.39 (d, $J = 251.9$ Hz), 156.27, 147.43 (d, $J = 7.5$ Hz), 134.32 (d, $J = 13.5$ Hz), 133.52, 129.39 (d, $J = 12.0$ Hz), 126.54, 125.91, 125.51, 122.54 (d, $J = 9.3$ Hz), 118.26, 116.88 (d, $J = 22.5$ Hz), 113.84 (d, $J = 22.5$ Hz), 78.50 (d, $J = 1.8$ Hz), 56.06; IR (thin film, cm^{-1}) 3427, 2921, 2851, 1651, 1627, 1600, 1460, 1438, 1264, 1226, 1110, 1062, 763, 688; HRMS (ESI): m/z Calcd. for $\text{C}_{17}\text{H}_{11}\text{FO}_3\text{Na}$ [M+Na] $^+$ 305.0584, Found 305.0581.

7,11-Dimethoxyindeno[1,2-b]chromen-10(11H)-one (2k)



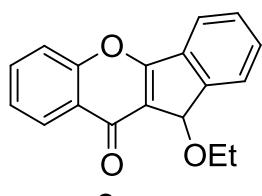
White solid in 92% yield (EtOAc/petroleum ether = 1:8): Mp 137.2–139.8 °C; ^1H NMR (600 MHz, CDCl_3) δ 8.27 – 8.21 (m, 1H), 7.73 (d, $J = 7.2$ Hz, 1H), 7.68 (d, $J = 7.2$ Hz, 1H), 7.53 (td, $J = 7.4, 1.2$ Hz, 1H), 7.51 – 7.47 (m, 1H), 7.02 (dd, $J = 4.7, 2.4$ Hz, 2H), 5.61 (s, 1H), 3.94 (s, 3H), 3.47 (s, 3H); ^{13}C NMR (150 MHz, CDCl_3) δ 174.36, 166.06, 163.93, 158.00, 144.28, 134.04, 131.26, 129.44, 127.72, 125.62, 120.70, 120.54, 119.40, 114.38, 101.14, 78.75, 56.01, 55.72; IR (thin film, cm^{-1}) 3430, 2923, 2856, 1643, 1630, 1559, 1470, 1462, 1434, 1102, 1060, 762, 734; HRMS (ESI): m/z Calcd. for $\text{C}_{18}\text{H}_{15}\text{O}_4$ [M+H] $^+$ 295.0965, Found 295.0968.

11-Methoxy-6,8-dimethylindeno[1,2-b]chromen-10(11H)-one (2l)



White solid in 90% yield (EtOAc/petroleum ether = 1:8): Mp 172.1–173.9 °C; ^1H NMR (600 MHz, CDCl_3) δ 7.97 (s, 1H), 7.77 (d, $J = 7.3$ Hz, 1H), 7.70 (d, $J = 7.3$ Hz, 1H), 7.55 (t, $J = 7.3$ Hz, 1H), 7.51 (t, $J = 7.4$ Hz, 1H), 7.35 (s, 1H), 5.66 (s, 1H), 3.44 (s, 3H), 2.58 (s, 3H), 2.44 (s, 3H); ^{13}C NMR (150 MHz, CDCl_3) δ 175.18, 166.03, 153.03, 144.43, 135.81, 135.17, 134.28, 131.39, 129.44, 127.36, 125.68, 125.28, 123.60, 120.78, 120.15, 78.73, 55.48, 21.07, 15.68; IR (thin film, cm^{-1}) 3421, 2921, 2851, 2827, 1639, 1608, 1564, 1470, 1442, 1382, 1264, 1191, 1109, 1083, 767, 741, 728, 580; HRMS (ESI): m/z Calcd. for $\text{C}_{19}\text{H}_{16}\text{O}_3\text{Na}$ [M+Na] $^+$ 315.0992, Found 315.0992.

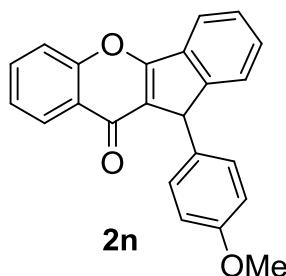
11-Ethoxyindeno[1,2-b]chromen-10(11H)-one (2m)



2m

White solid in 85% yield (EtOAc/petroleum ether = 1:8): Mp 121.1–121.9 °C; ¹H NMR (600 MHz, CDCl₃) δ 8.34 (d, *J* = 7.8 Hz, 1H), 7.77 (d, *J* = 7.4 Hz, 1H), 7.70 (dd, *J* = 7.0, 4.0 Hz, 2H), 7.61 (d, *J* = 8.3 Hz, 1H), 7.55 (t, *J* = 7.4 Hz, 1H), 7.50 (t, *J* = 7.5 Hz, 1H), 7.47 (t, *J* = 7.5 Hz, 1H), 5.65 (s, 1H), 3.87 (dq, *J* = 14.1, 7.1 Hz, 1H), 3.80 (dq, *J* = 14.2, 7.0 Hz, 1H), 1.27 (t, *J* = 7.0 Hz, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 174.75, 166.36, 156.32, 145.08, 133.79, 133.38, 131.56, 129.40, 126.48, 125.77, 125.73, 125.65, 121.45, 120.93, 118.30, 77.84, 64.59, 15.85; IR (thin film, cm⁻¹) 3423, 3058, 2969, 2925, 2856, 1645, 1609, 1555, 1483, 1464, 1429, 1104, 1077, 758; HRMS (ESI): *m/z* Calcd. for C₁₈H₁₄O₃Na [M+Na]⁺ 301.0835, Found 301.0836.

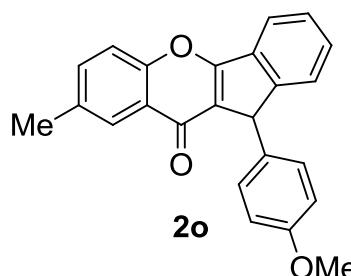
11-(4-Methoxyphenyl)indeno[1,2-b]chromen-10(11H)-one (2n)



2n

White solid in 97% yield (EtOAc/petroleum ether = 1:6): Mp 182.1–184.2 °C; ¹H NMR (600 MHz, CDCl₃) δ 8.27 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.90 – 7.88 (m, 1H), 7.71 – 7.67 (m, 1H), 7.66 – 7.63 (m, 1H), 7.50 – 7.47 (m, 2H), 7.44 – 7.41 (m, 2H), 7.16 – 7.12 (m, 2H), 6.83 – 6.79 (m, 2H), 5.13 (s, 1H), 3.76 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 174.52, 164.87, 158.86, 156.49, 149.38, 134.53, 133.14, 130.97, 129.54, 129.11, 127.92, 126.36, 125.73, 125.70, 125.35, 124.76, 120.93, 118.27, 114.27, 55.33, 49.50; IR (thin film, cm⁻¹) 3430, 2920, 2851, 1643, 1622, 1462, 1434, 1384, 1248, 1140, 762, 619; HRMS (ESI): *m/z* Calcd. for C₂₃H₁₆O₃Na [M+Na]⁺ 363.0992, Found 363.0991.

11-(4-Methoxyphenyl)-8-methylindeno[1,2-b]chromen-10(11H)-one (2o)

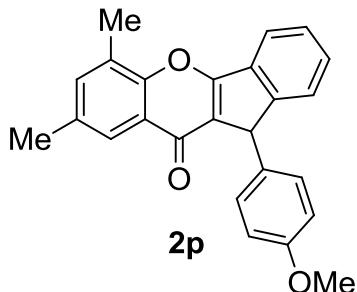


2o

White solid in 97% yield (EtOAc/petroleum ether = 1:6): Mp 199.2–200.6 °C; ¹H NMR (600 MHz, CDCl₃) δ 8.04 (d, *J* = 1.1 Hz, 1H), 7.88 – 7.86 (m, 1H), 7.54 (d, *J* = 8.5 Hz, 1H), 7.50 – 7.46 (m, 3H), 7.42 – 7.40 (m, 1H), 7.15 – 7.11 (m, 2H), 6.82 – 6.78 (m, 2H), 5.12 (s, 1H), 3.75 (s, 3H), 2.46 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 174.64, 164.82, 158.82, 154.75, 149.36, 135.28, 134.60,

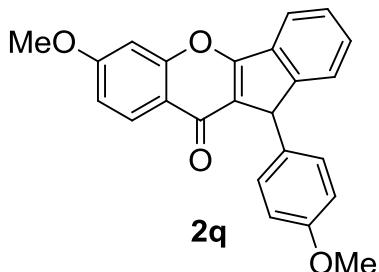
134.28, 130.87, 129.68, 129.07, 127.87, 125.79, 125.66, 125.36, 124.59, 120.88, 118.00, 114.25, 55.34, 49.44, 21.11; IR (thin film, cm^{-1}) 3433, 2922, 2851, 1642, 1615, 1556, 1511, 1478, 1451, 1408, 1299, 1274, 1249, 1226, 1177, 1149, 1097, 1034, 826, 574, 547; HRMS (ESI): m/z Calcd. for $\text{C}_{24}\text{H}_{19}\text{O}_3$ [M+H]⁺ 355.1329, Found 355.1343.

11-(4-Methoxyphenyl)-6,8-dimethylindeno[1,2-b]chromen-10(11H)-one (2p)



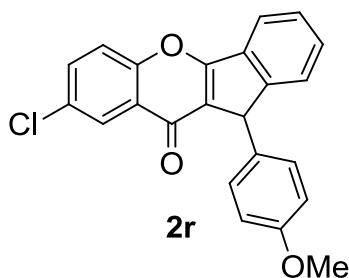
White solid in 98% yield (EtOAc/petroleum ether = 1:6): Mp 201.2–203.1 °C; ¹H NMR (600 MHz, CDCl_3) δ 7.88 (dd, J = 6.3, 2.0 Hz, 2H), 7.50 – 7.45 (m, 2H), 7.42 (d, J = 6.6 Hz, 1H), 7.34 (s, 1H), 7.13 (d, J = 8.7 Hz, 2H), 6.79 (d, J = 8.7 Hz, 2H), 5.12 (s, 1H), 3.75 (s, 3H), 2.62 (s, 3H), 2.41 (s, 3H); ¹³C NMR (150 MHz, CDCl_3) δ 174.96, 164.49, 158.81, 153.16, 149.36, 135.50, 134.77, 134.66, 130.80, 129.75, 129.06, 127.82, 127.29, 125.68, 125.29, 124.34, 123.44, 120.73, 114.25, 55.34, 49.43, 21.06, 15.74; IR (thin film, cm^{-1}) 3431, 2920, 2851, 1639, 1609, 1510, 1469, 1437, 1384, 1248, 1177, 1156, 1102, 1035, 765, 694, 618, 545, 504; HRMS (ESI): m/z Calcd. for $\text{C}_{25}\text{H}_{21}\text{O}_3$ [M+H]⁺ 369.1485, Found 369.1482.

7-Methoxy-11-(4-methoxyphenyl)indeno[1,2-b]chromen-10(11H)-one (2q)



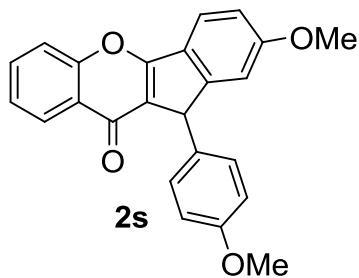
White solid in 96% yield (EtOAc/petroleum ether = 1:6): Mp 188.5–190.6 °C; ¹H NMR (600 MHz, CDCl_3) δ 8.16 (d, J = 8.8 Hz, 1H), 7.84 (dd, J = 6.4, 1.7 Hz, 1H), 7.50 – 7.44 (m, 2H), 7.40 (d, J = 6.9 Hz, 1H), 7.13 (t, J = 5.8 Hz, 2H), 7.06 (d, J = 2.3 Hz, 1H), 6.98 (dd, J = 8.8, 2.4 Hz, 1H), 6.82 – 6.78 (m, 2H), 5.09 (s, 1H), 3.94 (s, 3H), 3.75 (s, 3H); ¹³C NMR (150 MHz, CDCl_3) δ 174.20, 164.46, 163.74, 158.82, 158.16, 149.22, 134.62, 130.66, 129.65, 129.09, 127.83, 127.60, 125.66, 124.65, 120.64, 119.52, 114.25, 114.07, 101.04, 55.98, 55.33, 49.44; IR (thin film, cm^{-1}) 3429, 2920, 2851, 1640, 1625, 1611, 1440, 1384, 1244, 1161, 838, 761, 618; HRMS (ESI): m/z Calcd. for $\text{C}_{24}\text{H}_{18}\text{O}_4\text{Na}$ [M+Na]⁺ 303.1097, Found 303.1098.

8-Chloro-11-(4-methoxyphenyl)indeno[1,2-b]chromen-10(11H)-one (2r)



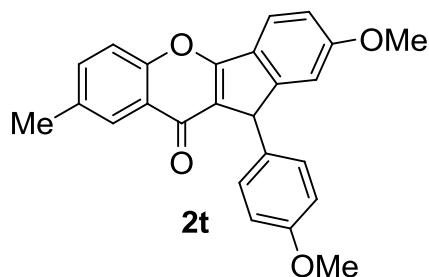
White solid in 99% yield (EtOAc/petroleum ether = 1:6): Mp 202.2–203.8 °C; ¹H NMR (600 MHz, CDCl₃) δ 8.21 (d, *J* = 2.4 Hz, 1H), 7.87 (dd, *J* = 5.8, 2.8 Hz, 1H), 7.63 (dd, *J* = 8.9, 2.5 Hz, 1H), 7.60 (d, *J* = 8.8 Hz, 1H), 7.51 – 7.48 (m, 2H), 7.43 – 7.41 (m, 1H), 7.12 (d, *J* = 8.7 Hz, 2H), 6.81 (d, *J* = 8.7 Hz, 2H), 5.12 (s, 1H), 3.76 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 173.18, 165.14, 158.94, 154.79, 149.39, 134.14, 133.25, 131.33, 131.27, 129.17, 129.08, 128.03, 126.78, 125.84, 125.76, 124.71, 121.01, 119.92, 114.31, 55.34, 49.48; IR (thin film, cm⁻¹) 3429, 2920, 2851, 1644, 1619, 1552, 1510, 1462, 1442, 1384, 1252, 1119, 821, 772, 700, 619; HRMS (ESI): *m/z* Calcd. for C₂₃H₁₅ClO₃Na [M+Na]⁺ 397.0602, Found 397.0615.

2-Methoxy-11-(4-methoxyphenyl)indeno[1,2-b]chromen-10(11H)-one (2s)



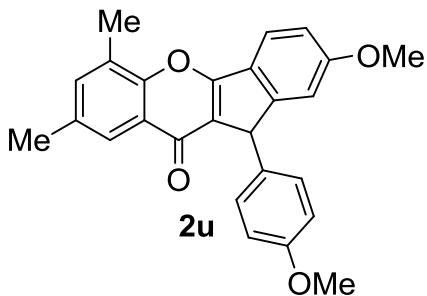
White solid in 97% yield (EtOAc/petroleum ether = 1:6): Mp 194.1–195.1 °C; ¹H NMR (600 MHz, CDCl₃) δ 8.25 (dd, *J* = 7.9, 1.4 Hz, 1H), 7.78 (d, *J* = 8.4 Hz, 1H), 7.69 – 7.64 (m, 1H), 7.61 (d, *J* = 7.9 Hz, 1H), 7.43 – 7.37 (m, 1H), 7.14 (d, *J* = 8.7 Hz, 2H), 7.02 (dd, *J* = 8.4, 2.2 Hz, 1H), 6.92 (d, *J* = 2.0 Hz, 1H), 6.82 (s, 1H), 6.80 (s, 1H), 5.07 (s, 1H), 3.83 (s, 3H), 3.76 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 173.95, 165.12, 162.73, 158.84, 156.39, 152.00, 132.85, 129.91, 129.16, 127.03, 126.30, 125.68, 125.25, 123.44, 122.07, 118.11, 114.77, 114.29, 110.88, 55.80, 55.35, 49.44; IR (thin film, cm⁻¹) 3435, 3006, 2920, 2837, 1646, 1621, 1551, 1511, 1461, 1433, 1298, 1278, 1251, 1235, 1177, 1152, 1100, 1030, 831, 766, 688, 592, 574, 542; HRMS (ESI): *m/z* Calcd. for C₂₄H₁₉O₄ [M+H]⁺ 371.1278, Found 371.1294.

2-Methoxy-11-(4-methoxyphenyl)-8-methylindeno[1,2-b]chromen-10(11H)-one (2t)



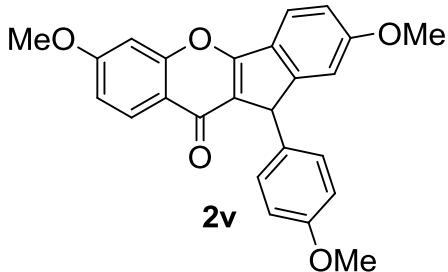
White solid in 98% yield (EtOAc/petroleum ether = 1:6): Mp 196.4–198.4 °C; ¹H NMR (600 MHz, CDCl₃) δ 8.03 (d, *J* = 1.0 Hz, 1H), 7.77 (d, *J* = 8.4 Hz, 1H), 7.48 (dt, *J* = 8.5, 5.3 Hz, 2H), 7.16 – 7.12 (m, 2H), 7.01 (dd, *J* = 8.4, 2.2 Hz, 1H), 6.92 (d, *J* = 2.0 Hz, 1H), 6.82 – 6.79 (m, 2H), 5.07 (s, 1H), 3.82 (s, 3H), 3.76 (s, 3H), 2.45 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 174.06, 165.05, 162.63, 158.80, 154.63, 151.95, 135.12, 133.96, 130.03, 129.11, 127.10, 125.76, 125.31, 123.28, 122.00, 117.83, 114.68, 114.27, 110.86, 55.78, 55.35, 49.38, 21.10; IR (thin film, cm⁻¹) 3434, 2920, 2850, 1641, 1613, 1511, 1449, 1276, 1249, 1176, 1150, 1098, 1033, 821, 591, 574, 548; HRMS (ESI): *m/z* Calcd. for C₂₅H₂₁O₄ [M+H]⁺ 385.1434, Found 385.1435.

2-Methoxy-11-(4-methoxyphenyl)-6,8-dimethylindeno[1,2-b]chromen-10(11H)-one (2u)



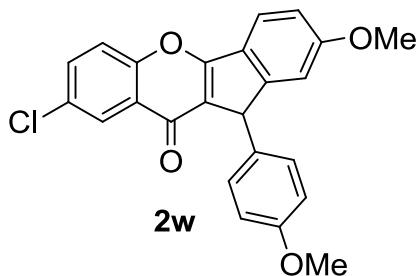
White solid in 95% yield (EtOAc/petroleum ether = 1:6): Mp 141.6–142.8 °C; ¹H NMR (600 MHz, CDCl₃) δ 7.87 (s, 1H), 7.77 (d, *J* = 8.4 Hz, 1H), 7.31 (s, 1H), 7.14 (d, *J* = 8.6 Hz, 2H), 7.01 (dd, *J* = 8.4, 2.2 Hz, 1H), 6.92 (d, *J* = 1.8 Hz, 1H), 6.80 (d, *J* = 8.6 Hz, 2H), 5.06 (s, 1H), 3.82 (s, 3H), 3.75 (s, 3H), 2.59 (s, 3H), 2.40 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 174.38, 164.74, 162.59, 158.78, 153.03, 151.95, 135.22, 134.51, 130.09, 129.11, 127.27, 127.10, 125.21, 123.41, 123.03, 121.85, 114.69, 114.26, 110.83, 55.79, 55.35, 49.37, 21.05, 15.73; IR (thin film, cm⁻¹) 3431, 2921, 2851, 1641, 1605, 1511, 1451, 1279, 1251, 1230, 1176, 1159, 1098, 1031, 824, 724, 578, 542; HRMS (ESI): *m/z* Calcd. for C₂₆H₂₃O₄ [M+H]⁺ 399.1591, Found 399.1590.

2,7-Dimethoxy-11-(4-methoxyphenyl)indeno[1,2-b]chromen-10(11H)-one (2v)



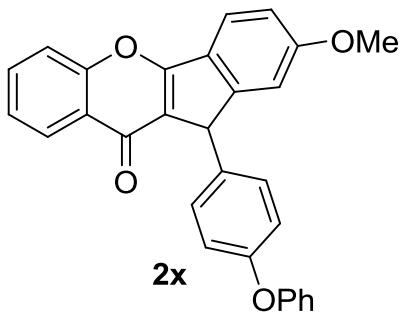
White solid in 96% yield (EtOAc/petroleum ether = 1:6): Mp 199.8–201.7 °C; ¹H NMR (600 MHz, CDCl₃) δ 8.15 (d, *J* = 8.8 Hz, 1H), 7.74 (d, *J* = 8.4 Hz, 1H), 7.14 (d, *J* = 8.6 Hz, 2H), 7.05 – 6.96 (m, 3H), 6.92 (d, *J* = 1.9 Hz, 1H), 6.81 (d, *J* = 8.6 Hz, 2H), 5.05 (s, 1H), 3.94 (s, 3H), 3.82 (s, 3H), 3.76 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 173.68, 164.72, 163.52, 162.47, 158.78, 158.00, 151.79, 129.99, 129.13, 127.51, 127.11, 123.24, 121.73, 119.40, 114.60, 114.25, 113.84, 110.89, 100.99, 55.94, 55.76, 55.32, 49.36; IR (thin film, cm⁻¹) 3431, 2920, 2850, 1643, 1622, 1511, 1448, 1280, 1246, 1169, 1095, 1029, 835, 685; HRMS (ESI): *m/z* Calcd. for C₂₅H₂₁O₅ [M+H]⁺ 401.1384, Found 401.1382.

**8-Chloro-2-methoxy-11-(4-methoxyphenyl)indeno[1,2-b]chromen-10(11H)-one
(2w)**



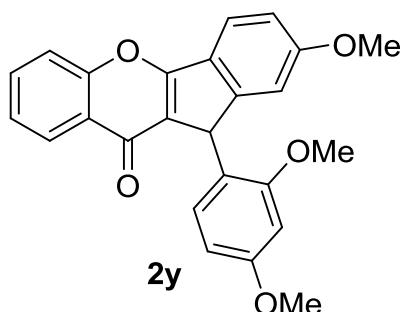
White solid in 94% yield (EtOAc/petroleum ether = 1:6): Mp 187.5–188.3 °C; ¹H NMR (600 MHz, CDCl₃) δ 8.19 (d, *J* = 2.5 Hz, 1H), 7.77 (d, *J* = 8.4 Hz, 1H), 7.60 (dd, *J* = 8.9, 2.5 Hz, 1H), 7.56 (d, *J* = 8.9 Hz, 1H), 7.12 (d, *J* = 8.7 Hz, 2H), 7.02 (dd, *J* = 8.5, 2.2 Hz, 1H), 6.92 (d, *J* = 1.9 Hz, 1H), 6.81 (d, *J* = 8.7 Hz, 2H), 5.06 (s, 1H), 3.83 (s, 3H), 3.76 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 172.58, 165.37, 162.96, 158.92, 154.68, 152.06, 132.93, 131.19, 129.55, 129.12, 126.78, 126.61, 125.80, 123.37, 122.18, 119.74, 114.94, 114.33, 110.89, 55.82, 55.35, 49.41; IR (thin film, cm⁻¹) 3432, 2920, 2850, 1646, 1617, 1548, 1511, 1450, 1251, 1179, 1148, 1098, 1031, 824, 685, 546; HRMS (ESI): *m/z* Calcd. for C₂₄H₁₇ClO₄Na [M+Na]⁺ 427.0707, Found 427.0698.

2-Methoxy-11-(4-phenoxyphenyl)indeno[1,2-b]chromen-10(11H)-one (2x)



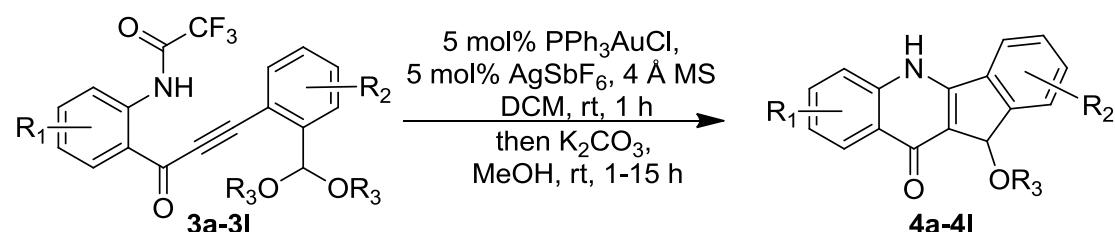
White solid in 97% yield (EtOAc/petroleum ether = 1:5): Mp 161.2–162.2 °C; ¹H NMR (600 MHz, CDCl₃) δ 8.27 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.79 (d, *J* = 8.4 Hz, 1H), 7.69 – 7.65 (m, 1H), 7.63 – 7.60 (m, 1H), 7.44 – 7.39 (m, 1H), 7.30 (dd, *J* = 8.5, 7.5 Hz, 2H), 7.19 (d, *J* = 8.6 Hz, 2H), 7.07 (t, *J* = 7.4 Hz, 1H), 7.04 – 7.00 (m, 2H), 7.00 (s, 1H), 6.94 (d, *J* = 2.0 Hz, 1H), 6.91 (d, *J* = 8.6 Hz, 2H), 5.10 (s, 1H), 3.84 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 173.91, 165.22, 162.73, 157.19, 156.48, 156.35, 151.66, 132.91, 132.70, 129.78, 126.95, 126.23, 125.61, 125.30, 123.32, 123.14, 122.13, 119.16, 119.01, 118.13, 114.65, 111.04, 55.80, 49.42; IR (thin film, cm⁻¹) 3428, 2920, 2851, 1643, 1618, 1460, 1384, 1276, 1238, 1131, 878, 750, 619; HRMS (ESI): *m/z* Calcd. for C₂₉H₂₁O₄ [M+H]⁺ 433.1434, Found 433.1432.

11-(2,4-Dimethoxyphenyl)-2-methoxyindeno[1,2-b]chromen-10(11H)-one (2y)



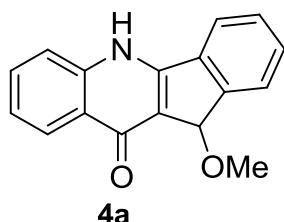
White solid in 98% yield (EtOAc/petroleum ether = 1:5): Mp 205.1–206.8 °C; ¹H NMR (600 MHz, CDCl₃) δ 8.28 (dd, *J* = 7.9, 1.4 Hz, 1H), 7.75 (d, *J* = 8.4 Hz, 1H), 7.70 – 7.64 (m, 1H), 7.62 (d, *J* = 8.2 Hz, 1H), 7.46 – 7.38 (m, *J* = 1H), 7.04 (s, 1H), 6.97 (dd, *J* = 8.4, 2.2 Hz, 1H), 6.67 (s, 1H), 6.57 (s, 1H), 6.29 (d, *J* = 8.0 Hz, 1H), 5.56 (s, 1H), 3.94 (s, 3H), 3.81 (s, 3H), 3.75 (s, 3H); ¹³C NMR (150 MHz, CDCl₃) δ 173.81, 165.52, 162.53, 160.00, 158.61, 156.39, 152.77, 132.73, 126.69, 126.35, 125.59, 125.14, 122.73, 121.85, 118.58, 118.10, 114.08, 110.83, 104.80, 99.52, 55.90, 55.65, 55.41; IR (thin film, cm⁻¹) 3435, 2920, 2851, 1642, 1619, 1461, 1446, 1433, 1384, 1133, 879, 837, 766, 619; HRMS (ESI): *m/z* Calcd. for C₂₅H₂₁O₅ [M+H]⁺ 401.1384, Found 401.1391.

6. General Procedures for the Preparation of Indeno-quinolin-4-ones (4a-4l) and Characterization Data



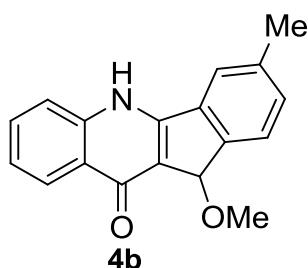
The gold catalyst was generated in a round bottom flask by addition of PPh₃AuCl (0.01 mmol, 5 mg), AgSbF₆ (0.01 mmol, 4 mg), activated 4 Å molecular sieves (20 mg) and dry CH₂Cl₂ (5 mL) under nitrogen atmosphere. The mixture was stirred for 30 min before the substrates **3a-3l** (0.2 mmol) in dry CH₂Cl₂ (5 mL) were added via a syringe. The mixture was stirred for 1 h at room temperature before the addition of MeOH (0.5 mL) and K₂CO₃ (2 mmol, 276 mg). The mixture was stirred at room temperature till the consumption of the starting material. The mixture was filtered through filter paper, and washed with MeOH for three times. The combined filtrates were removed in vacuo, and the residue was purified by a flash column chromatography on silica gel to afford the products **4a-4l**.

11-Methoxy-5H-indeno[1,2-b]quinolin-10(11H)-one (**4a**)



White solid in 65% yield (MeOH/DCM = 1:130): Mp 333.4–335.2 °C (decomposed); ¹H NMR (600 MHz, DMSO-*d*₆) δ 12.47 (s, 1H), 8.20 (d, *J* = 8.0 Hz, 1H), 8.05 (d, *J* = 7.0 Hz, 1H), 7.70 (d, *J* = 3.7 Hz, 2H), 7.66 (d, *J* = 6.9 Hz, 1H), 7.60 – 7.52 (m, 2H), 7.38 (dt, *J* = 8.0, 4.0 Hz, 1H), 5.55 (s, 1H), 3.25 (s, 3H); ¹³C NMR (150 MHz, DMSO-*d*₆) δ 173.47, 150.48, 145.10, 140.08, 134.58, 131.64, 130.51, 129.13, 126.78, 125.41, 125.26, 123.52, 121.24, 118.48, 118.00, 79.05, 54.27; IR (thin film, cm⁻¹) 3426, 2920, 2851, 1632, 1464, 1384, 1131, 879, 619; HRMS (ESI): *m/z* Calcd. for C₁₇H₁₃NO₂Na [M+Na]⁺ 286.0838, Found 286.0844.

11-Methoxy-3-methyl-5H-indeno[1,2-b]quinolin-10(11H)-one (4b)



White solid in 73% yield (MeOH/DCM = 1:130): Mp 338.0–339.2 °C (decomposed); ¹H NMR (600 MHz, DMSO-*d*₆) δ 8.20 (d, *J* = 6.1 Hz, 1H), 7.97 – 7.80 (m, 1H), 7.75 – 7.65 (m, 1H), 7.60 (t, *J* = 7.1 Hz, 1H), 7.51 – 7.40 (m, 1H), 7.33 – 7.25 (m, 2H), 5.52 (s, 1H), 3.24 – 3.10 (m, 3H), 2.43 – 2.33 (m, 3H); ¹³C NMR (150 MHz, DMSO-*d*₆) δ 172.65, 172.58, 145.39, 142.19, 139.86, 138.26, 130.49, 130.40, 129.50, 127.45, 127.31, 125.90, 124.98, 124.95, 122.60, 122.54, 121.59, 120.99, 117.35, 117.34, 116.87, 116.86, 78.91, 78.73, 53.74, 53.43, 21.34, 21.10; IR (thin film, cm⁻¹) 3425, 2920, 2851, 1632, 1577, 1509, 1469, 1384, 1123, 879, 811, 768, 619; HRMS (ESI): *m/z* Calcd. for C₁₈H₁₅NO₂Na [M+Na]⁺ 300.0995, Found 300.0993.

2,11-Dimethoxy-5H-indeno[1,2-b]quinolin-10(11H)-one (4c)

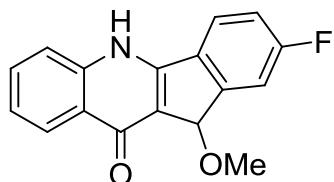


4c

White solid in 66% yield (MeOH/DCM = 1:100): Mp 294.4–295.6 °C (decomposed); ¹H NMR (600 MHz, DMSO-*d*₆) δ 12.42 – 12.33 (m, 1H), 8.18 (t, *J* = 7.5 Hz, 1H), 7.95 (d, *J* = 8.3 Hz, 1H), 7.68 – 7.62 (m, 2H), 7.41 – 7.30 (m, 1H), 7.19 (s, 1H), 7.13 – 7.04 (m, 1H), 5.51 – 5.46 (m, 1H), 3.86 (s, 3H), 3.27 – 3.19 (m, 3H); ¹³C NMR (150 MHz, DMSO-*d*₆) δ 173.31, 172.94, 161.81, 160.24, 150.81, 147.60, 139.99, 136.85, 131.59, 131.36, 126.80, 126.60, 126.19, 125.26, 125.20, 123.49, 123.33,

122.45, 118.27, 116.94, 116.30, 114.85, 111.15, 106.42, 78.83, 78.44, 55.64, 55.54, 54.03, 53.87; IR (thin film, cm^{-1}) 3426, 2920, 2851, 1631, 1576, 1469, 1384, 1120, 879, 619; HRMS (ESI): m/z Calcd. for $\text{C}_{18}\text{H}_{15}\text{NO}_3\text{Na} [\text{M}+\text{Na}]^+$ 316.0944, Found 316.0949.

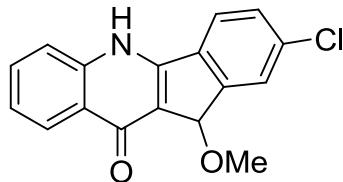
2-Fluoro-11-methoxy-5H-indeno[1,2-b]quinolin-10(11H)-one (4d)



4d

White solid in 51% yield ($\text{MeOH}/\text{DCM} = 1:130$): Mp 352.2–352.7 °C (decomposed); ^1H NMR (600 MHz, $\text{DMSO}-d_6$) δ 12.52 (s, 1H), 8.19 (dd, $J = 7.8, 3.1$ Hz, 1H), 8.10 – 7.85 (m, 1H), 7.73 – 7.59 (m, 3H), 7.44 – 7.33 (m, 2H), 5.55 – 5.51 (m, 1H), 3.28 – 3.24 (m, 3H); ^{13}C NMR (150 MHz, $\text{DMSO}-d_6$) δ 173.40, 173.19, 164.90 (d, $J = 247.5$ Hz), 162.70 (d, $J = 243.0$ Hz), 149.77 (d, $J = 4.5$ Hz), 148.24 (d, $J = 9.0$ Hz), 140.93 (d, $J = 1.5$ Hz), 140.14 (d, $J = 1.5$ Hz), 136.97, 136.89, 132.05 (d, $J = 3.0$ Hz), 131.70 (d, $J = 21.0$ Hz), 128.77 (d, $J = 10.5$ Hz), 127.07 (d, $J = 9.0$ Hz), 126.66 (d, $J = 28.5$ Hz), 125.24 (d, $J = 6.0$ Hz), 123.65, 123.57, 123.16, 123.10, 118.62 (d, $J = 16.5$ Hz), 118.04 (d, $J = 1.5$ Hz), 117.03, 116.88, 116.30, 116.14, 113.20, 113.05, 108.65, 108.49, 78.76, 78.46, 54.43, 54.28; IR (thin film, cm^{-1}) 3424, 2920, 2851, 1631, 1577, 1470, 1384, 1133, 879, 774, 619; HRMS (ESI): m/z Calcd. for $\text{C}_{17}\text{H}_{13}\text{FNO}_2\text{Na} [\text{M}+\text{Na}]^+$ 305.0822, Found 305.0842.

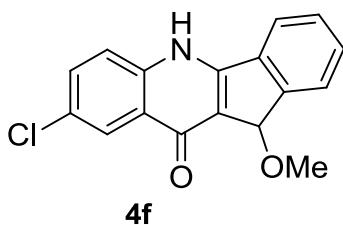
2-Chloro-11-methoxy-5H-indeno[1,2-b]quinolin-10(11H)-one (4e)



4e

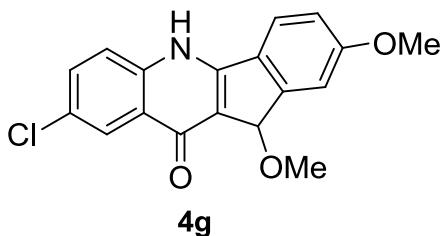
White solid in 56% yield ($\text{MeOH}/\text{DCM} = 1:130$): Mp 336.1–336.9 °C (decomposed); ^1H NMR (600 MHz, $\text{DMSO}-d_6$) δ 12.53 – 12.42 (m, 1H), 8.19 (d, $J = 8.1$ Hz, 1H), 8.04 (d, $J = 8.1$ Hz, 1H), 7.73 – 7.63 (m, 4H), 7.40 – 7.35 (m, 1H), 5.56 – 5.53 (m, 1H), 3.29 – 3.24 (m, 3H); ^{13}C NMR (150 MHz, $\text{DMSO}-d_6$) δ 173.52, 173.43, 149.38, 149.03, 147.31, 143.74, 140.01, 139.96, 136.65, 135.30, 133.67, 133.46, 131.87, 131.77, 130.00, 129.31, 126.96, 126.74, 126.68, 125.61, 125.27, 125.24, 123.70, 123.64, 122.78, 121.32, 118.87, 118.53, 118.50, 118.17, 78.84, 78.65, 54.62, 54.44; IR (thin film, cm^{-1}) 3425, 2920, 2851, 1629, 1579, 1524, 1467, 1384, 1338, 1272, 1128, 879, 764, 619, 516; HRMS (ESI): m/z Calcd. for $\text{C}_{17}\text{H}_{12}\text{ClNO}_2\text{Na} [\text{M}+\text{Na}]^+$ 320.0448, Found 320.0452.

8-Chloro-11-methoxy-5H-indeno[1,2-b]quinolin-10(11H)-one (4f)



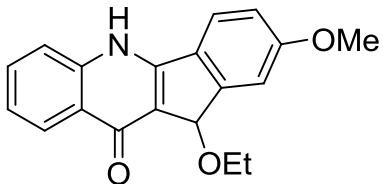
White solid in 54% yield (MeOH/DCM = 1:130): Mp 335.4–336.6 °C (decomposed); ¹H NMR (600 MHz, DMSO-*d*₆) δ 12.65 (s, 1H), 8.11 (s, 1H), 8.03 (d, *J* = 6.8 Hz, 1H), 7.73 (s, 2H), 7.66 (d, *J* = 6.5 Hz, 1H), 7.60 – 7.54 (m, 2H), 5.55 (s, 1H), 3.25 (s, 3H); ¹³C NMR (150 MHz, DMSO-*d*₆) δ 172.11, 150.91, 145.11, 138.72, 134.25, 131.67, 130.77, 129.23, 128.19, 127.90, 125.48, 124.24, 121.35, 120.87, 118.28, 78.99, 54.39; IR (thin film, cm⁻¹) 3425, 3081, 1920, 2851, 1635, 1573, 1508, 1468, 1384, 1160, 767, 703, 626, 582, 555; HRMS (ESI): *m/z* Calcd. for C₁₇H₁₂ClNO₂Na [M+Na]⁺ 320.0449, Found 320.0440.

8-Chloro-2,11-dimethoxy-5H-indeno[1,2-b]quinolin-10(11H)-one (4g)



White solid in 50% yield (MeOH/DCM = 1:100): Mp 326.5–328.2 °C (decomposed); ¹H NMR (600 MHz, DMSO-*d*₆) δ 12.59 – 12.46 (m, 1H), 8.08 (d, *J* = 5.9 Hz, 1H), 7.73 – 7.48 (m, 4H), 7.14 – 7.04 (m, 1H), 5.50 – 5.42 (m, 1H), 3.86 (s, 3H), 3.25 – 3.15 (m, 3H); ¹³C NMR (150 MHz, DMSO-*d*₆) δ 171.93, 171.52, 161.99, 160.25, 151.17, 150.71, 138.59, 136.86, 131.62, 131.36, 128.16, 127.99, 127.90, 127.74, 126.42, 126.26, 124.24, 124.19, 122.56, 122.52, 120.75, 120.58, 117.21, 116.58, 114.99, 111.14, 106.43, 78.75, 78.38, 55.67, 55.57, 54.16, 54.00; IR (thin film, cm⁻¹) 3428, 2920, 2851, 1628, 1572, 1514, 1467, 1384, 1243, 1119, 879, 822, 685, 620, 541; HRMS (ESI): *m/z* Calcd. for C₁₈H₁₄ClNO₃Na [M+Na]⁺ 350.0554, Found 350.0554.

11-Ethoxy-2-methoxy-5H-indeno[1,2-b]quinolin-10(11H)-one (4h)

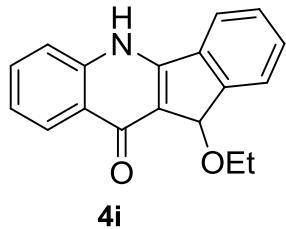


4h

White solid in 87% yield (MeOH/DCM = 1:100): Mp 286.6–287.1 °C (decomposed); ¹H NMR (600 MHz, DMSO-*d*₆) δ 12.41 – 12.31 (m, 1H), 8.18 (t, *J* = 8.3 Hz, 1H), 7.74 – 7.62 (m, 3H), 7.53 (d, *J* = 8.2 Hz, 1H), 7.36 (dt, *J* = 7.9, 4.5 Hz, 1H), 7.14 – 7.04 (m, 1H), 5.52 – 5.46 (m, 1H), 3.86 (d, *J* = 3.4 Hz, 3H), 3.64 – 3.53 (m, 2H), 1.12 – 1.05 (m, 3H); ¹³C NMR (150 MHz, DMSO-*d*₆) δ 173.33, 160.18, 150.14, 139.95, 137.60, 135.84, 131.62, 126.83, 126.20, 125.30, 123.47, 119.80, 118.39, 116.32, 106.35, 77.47, 62.25, 55.57, 15.70; IR (thin film, cm⁻¹) 3424, 3262, 3066, 2922, 2851, 1631,

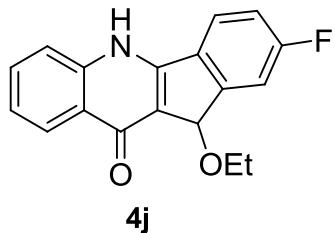
1573, 1503, 1470, 1341, 1241, 1109, 1067, 1029, 878, 815, 767, 697, 681; HRMS (ESI): *m/z* Calcd. for C₁₉H₁₇NO₃Na [M+Na]⁺ 330.1101, Found 330.1109.

11-Ethoxy-5H-indeno[1,2-b]quinolin-10(11H)-one (4i)



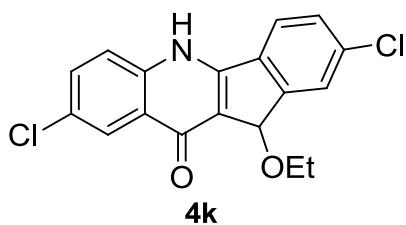
White solid in 51% yield (MeOH/DCM = 1:130): Mp 324.5–325.1 °C (decomposed); ¹H NMR (600 MHz, DMSO-*d*₆) δ 12.44 (s, 1H), 8.19 (d, *J* = 8.0 Hz, 1H), 8.04 (d, *J* = 6.7 Hz, 1H), 7.69 (d, *J* = 3.6 Hz, 2H), 7.65 (d, *J* = 6.6 Hz, 1H), 7.58 – 7.51 (m, 2H), 7.37 (dt, *J* = 8.0, 3.9 Hz, 1H), 5.56 (s, 1H), 3.64 (q, *J* = 6.9 Hz, 2H), 1.10 (t, *J* = 7.0 Hz, 3H); ¹³C NMR (150 MHz, DMSO-*d*₆) δ 173.44, 150.27, 145.76, 140.04, 134.37, 131.59, 130.46, 128.99, 126.78, 125.38, 125.25, 123.46, 121.15, 118.87, 118.44, 78.03, 62.65, 15.69; IR (thin film, cm⁻¹) 3429, 3068, 2920, 2851, 1630, 1575, 1508, 1470, 1384, 1116, 761, 619; HRMS (ESI): *m/z* Calcd. for C₁₈H₁₅NO₂Na [M+Na]⁺ 300.0995, Found 300.0999.

11-Ethoxy-2-fluoro-5H-indeno[1,2-b]quinolin-10(11H)-one (4j)



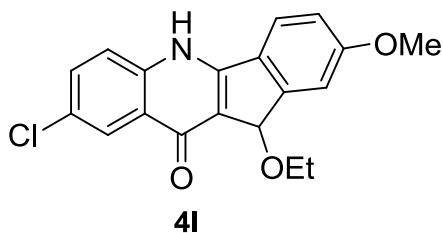
White solid in 48% yield (MeOH/DCM = 1:130): Mp 318.8–319.5 °C (decomposed); ¹H NMR (600 MHz, DMSO-*d*₆) δ 12.50 – 12.40 (m, 1H), 8.18 (dd, *J* = 7.0, 2.5 Hz, 1H), 8.08 – 7.81 (m, 1H), 7.78 – 7.62 (m, 3H), 7.43 – 7.33 (m, 2H), 5.57 – 5.50 (m, 1H), 3.70 – 3.57 (m, 2H), 1.14 – 1.06 (m, 3H); ¹³C NMR (150 MHz, DMSO-*d*₆) δ 173.46, 173.21, 164.06 (d, *J* = 192.0 Hz), 162.43 (d, *J* = 189.0 Hz), 149.03 (d, *J* = 3.0 Hz), 148.90 (d, *J* = 9.0 Hz), 141.60 (d, *J* = 1.5 Hz), 139.94 (d, *J* = 7.5 Hz), 136.58, 136.52, 131.71 (d, *J* = 24.0 Hz), 130.62 (d, *J* = 1.5 Hz), 127.03 (d, *J* = 9.0 Hz), 126.68 (d, *J* = 27.0 Hz), 125.85 (d, *J* = 57.0 Hz), 125.27 (d, *J* = 7.5 Hz), 123.61, 123.51, 123.06, 123.00, 118.96 (d, *J* = 1.5 Hz), 118.43 (d, *J* = 9.0 Hz), 117.02, 116.87, 116.18, 116.02, 113.13, 112.98, 108.52, 108.36, 77.75, 77.45, 62.88, 62.73, 15.65, 15.63; IR (thin film, cm⁻¹) 3426, 3071, 2921, 2851, 1633, 1632, 1574, 1508, 1470, 1341, 1109, 764, 696; HRMS (ESI): *m/z* Calcd. for C₁₈H₁₄FNO₂Na [M+Na]⁺ 318.0901, Found 318.0901.

2,8-Dichloro-11-ethoxy-5H-indeno[1,2-b]quinolin-10(11H)-one (4k)



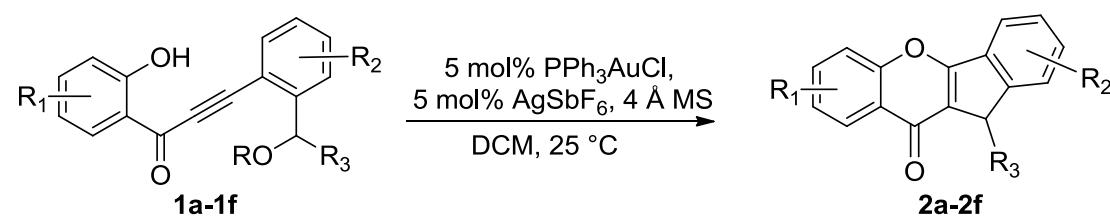
White solid in 52% yield (MeOH/DCM = 1:130): Mp 318.7–319.2 °C (decomposed); ¹H NMR (600 MHz, DMSO-*d*₆) δ 12.64 – 12.51 (m, 1H), 8.08 – 7.94 (m, 2H), 7.69 (dd, *J* = 8.7, 2.4 Hz, 1H), 7.67 – 7.60 (m, 3H), 5.54 – 5.48 (m, 1H), 3.69 – 3.54 (m, 2H), 1.11 – 1.03 (m, 3H); ¹³C NMR (150 MHz, DMSO-*d*₆) δ 172.13, 172.05, 149.54, 149.18, 147.89, 144.35, 138.59, 138.53, 136.08, 135.48, 133.58, 132.91, 131.80, 131.71, 130.18, 129.26, 128.29, 127.82, 126.95, 125.57, 124.23, 124.21, 122.80, 121.30, 120.82, 120.79, 119.93, 119.27, 77.73, 77.55, 63.22, 62.97, 15.62, 15.52; IR (thin film, cm⁻¹) 3427, 3064, 2920, 2851, 1632, 1574, 1506, 1470, 1384, 1114, 758, 618, 581; HRMS (ESI): *m/z* Calcd. for C₁₈H₁₄ClNO₂Na [M+Na]⁺ 334.0605; Found 334.0617.

8-Chloro-11-ethoxy-2-methoxy-5H-indeno[1,2-b]quinolin-10(11H)-one (4l)



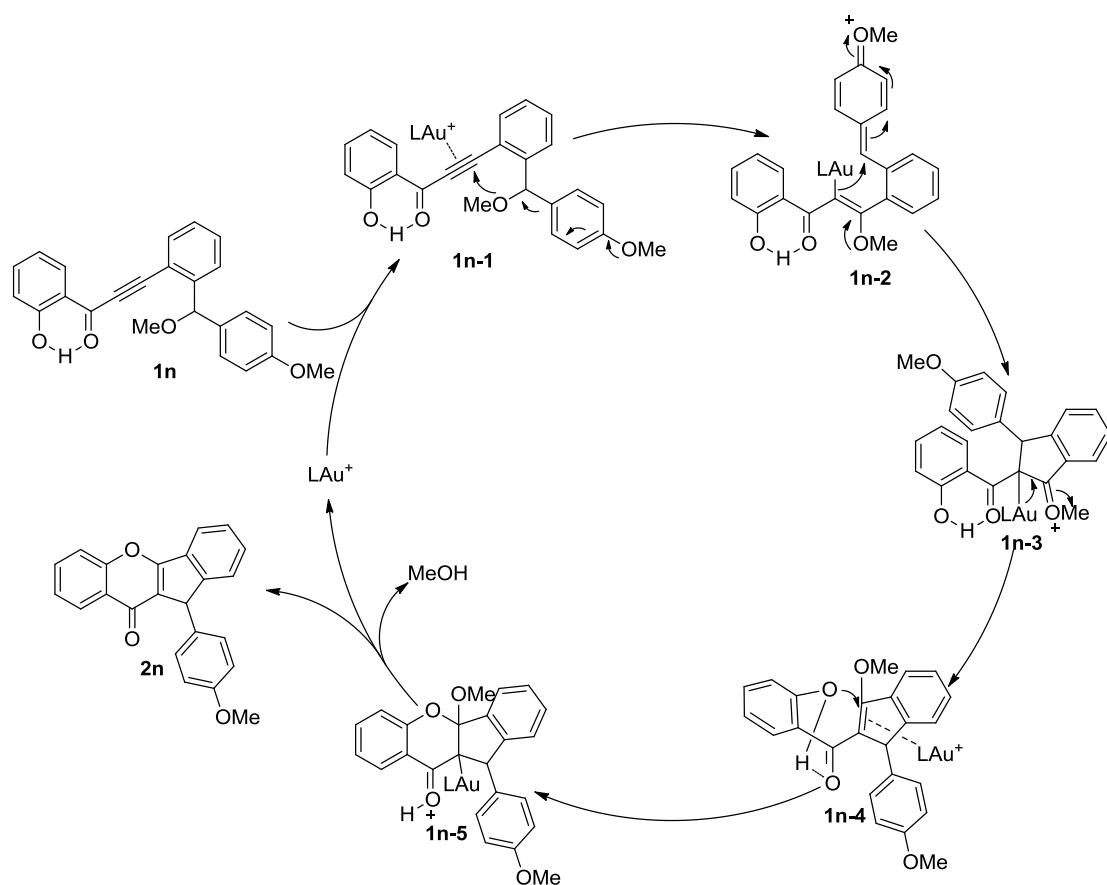
White solid in 61% yield (MeOH/DCM = 1:100): Mp 335.7–336.9 °C (decomposed); ¹H NMR (600 MHz, DMSO-*d*₆) δ 12.58 – 12.46 (m, 1H), 8.09 (d, *J* = 7.1 Hz, 1H), 7.74 – 7.64 (m, 2H), 7.62 (d, *J* = 6.0 Hz, 1H), 7.53 (d, *J* = 7.6 Hz, 1H), 7.15 – 7.04 (m, 1H), 5.52 – 5.44 (m, 1H), 3.87 (s, 3H), 3.64 – 3.52 (m, 2H), 1.12 – 1.02 (m, 3H); ¹³C NMR (150 MHz, DMSO-*d*₆) δ 171.92, 171.51, 161.95, 160.16, 150.94, 150.50, 138.55, 137.57, 131.57, 131.31, 128.09, 127.91, 127.89, 127.76, 126.25, 126.20, 124.25, 124.20, 122.54, 122.50, 120.74, 120.70, 118.09, 116.57, 114.98, 114.75, 111.20, 111.12, 106.42, 106.33, 77.74, 77.38, 62.50, 62.35, 55.66, 55.56, 15.72, 15.66; IR (thin film, cm⁻¹) 3425, 2920, 2851, 1618, 1573, 1506, 1469, 1241, 1148, 1121, 880, 768, 643, 619; HRMS (ESI): *m/z* Calcd. for C₁₉H₁₆ClNO₃Na [M+Na]⁺ 364.0711, Found 364.0715.

7. The Reaction Time Determination



substrate	structure	time of 50% conversion (min)	time of 100% conversion (min)
1a		20	60
1b		10	40
1c		15	90
1d		2	5
1e		15	50
1f		50	120

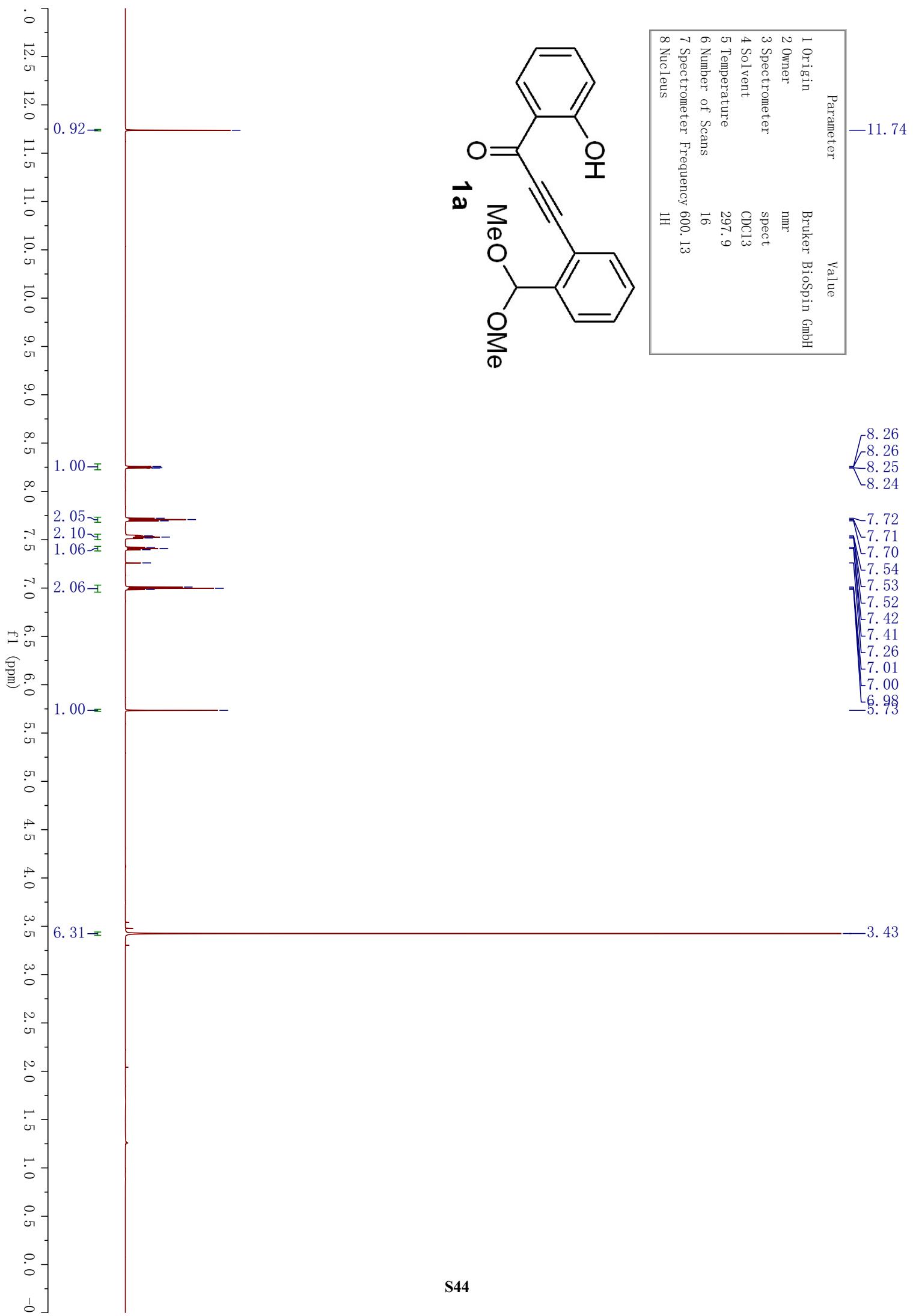
8. The Proposed Mechanism for *p*-Methoxylbenzyl Ether-type Substrates

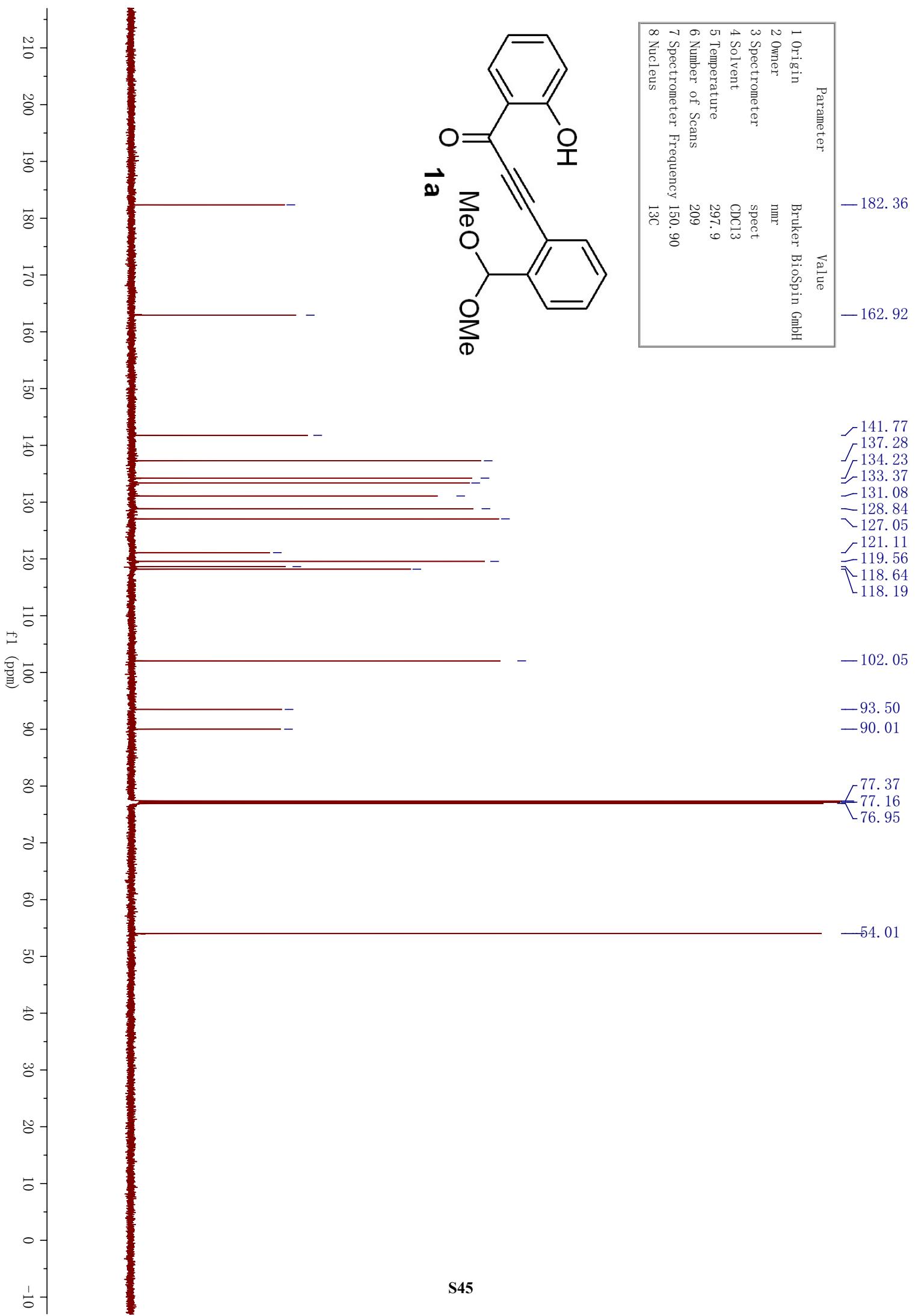


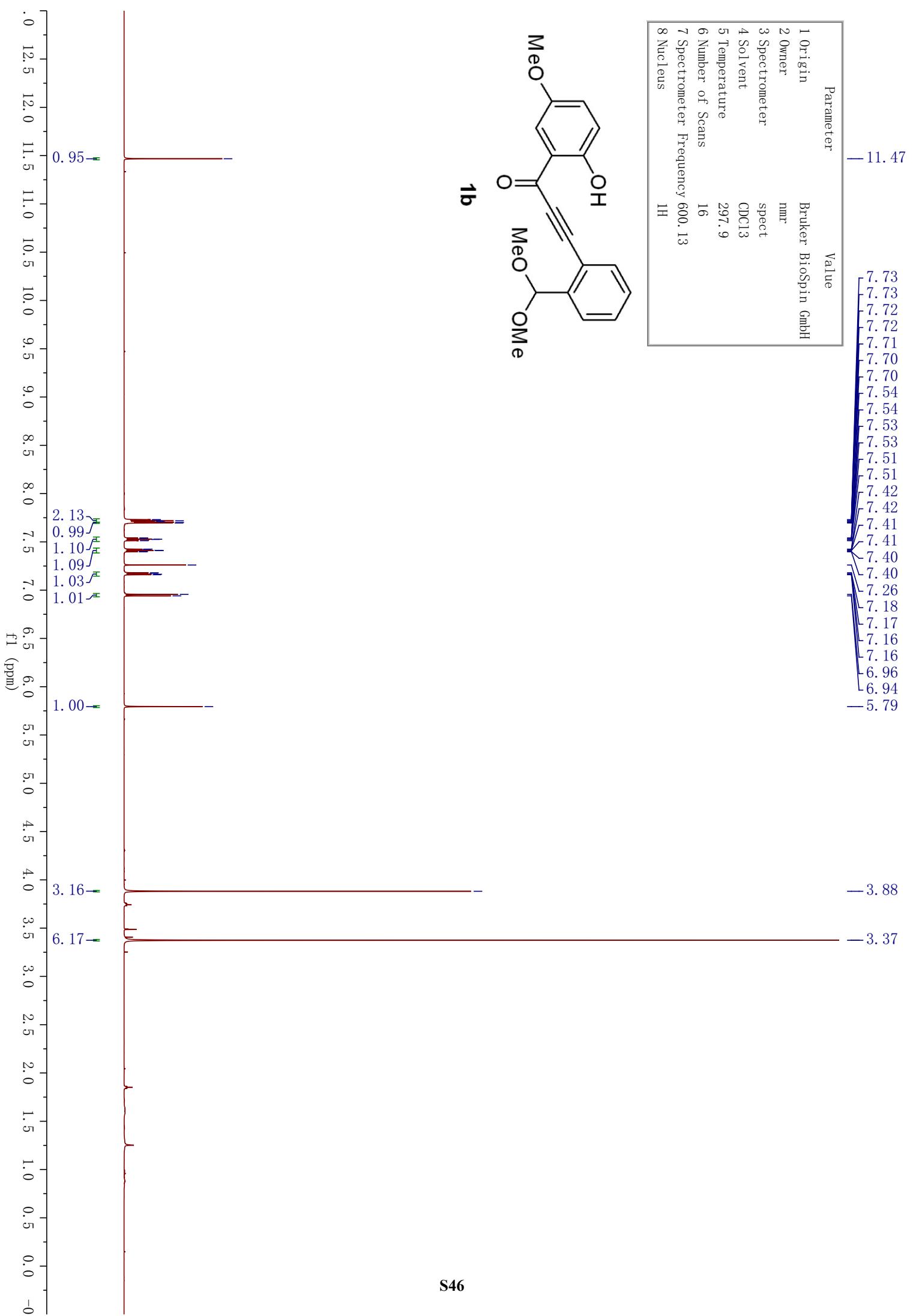
9. References

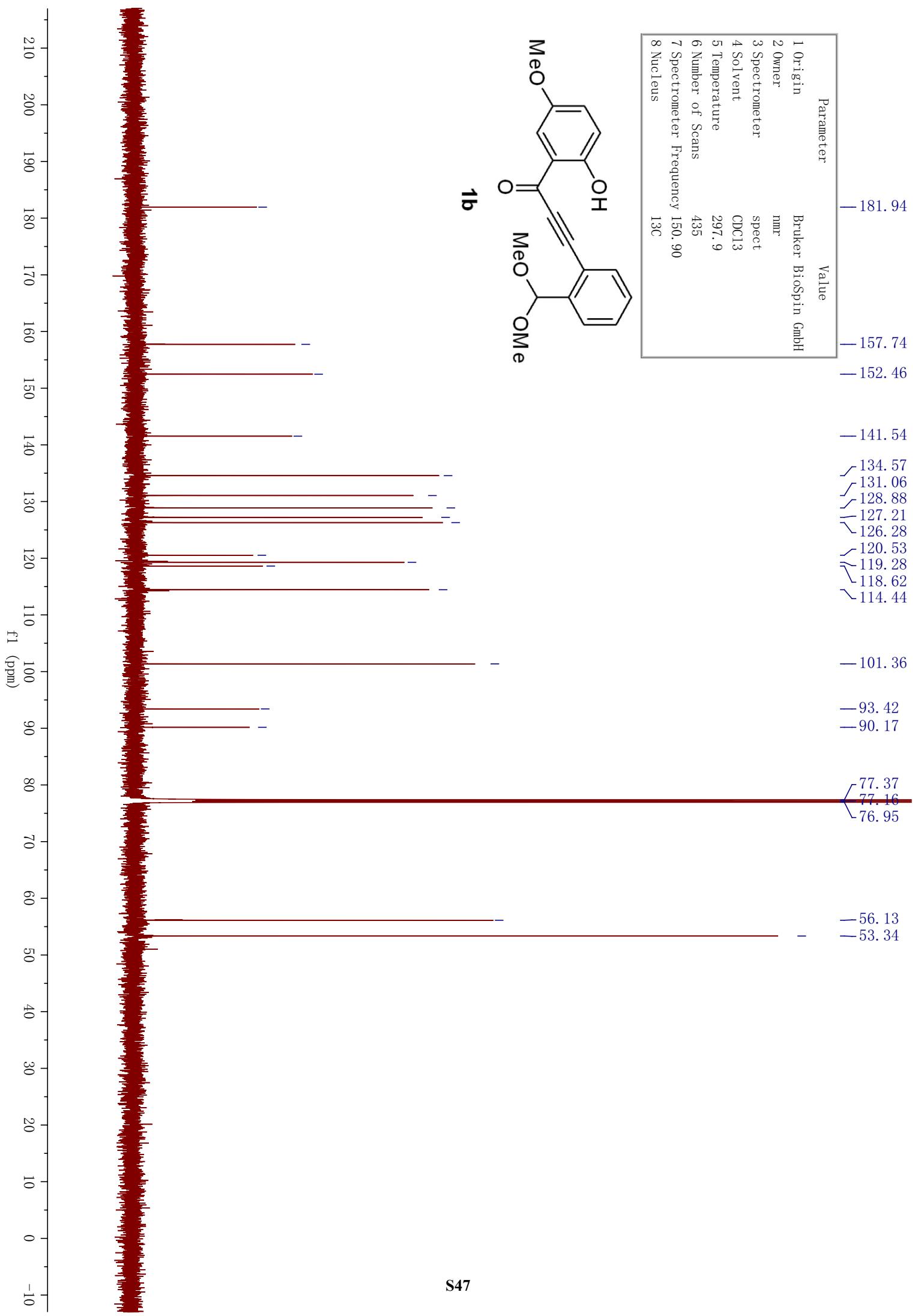
- [S1] Zi, W, F. D. Toste, *J. Am. Chem. Soc.* **2013**, *135*, 12600–12603.
- [S2] L. Sun, Y. Zhu, P. Lu, Y. Wang, *Org. Lett.* **2013**, *15*, 5894–5897.
- [S3] Y. Zhao, D. Li, L. Zhao, J. Zhao, *Synthesis* **2011**, *6*, 873–880.
- [S4] S. K. Pawar, C. -D. Wang, S. Bhunia, A. M. Jadhav, R. -S. Liu, *Angew. Chem.* **2013**, *125*, 7707–7711; *Angew. Chem. Int. Ed.* **2013**, *52*, 7559–7563.

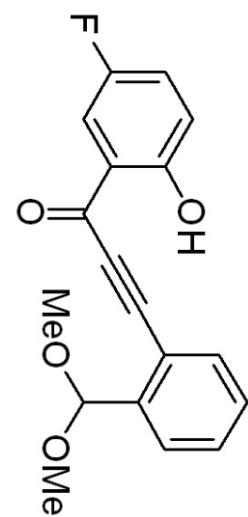
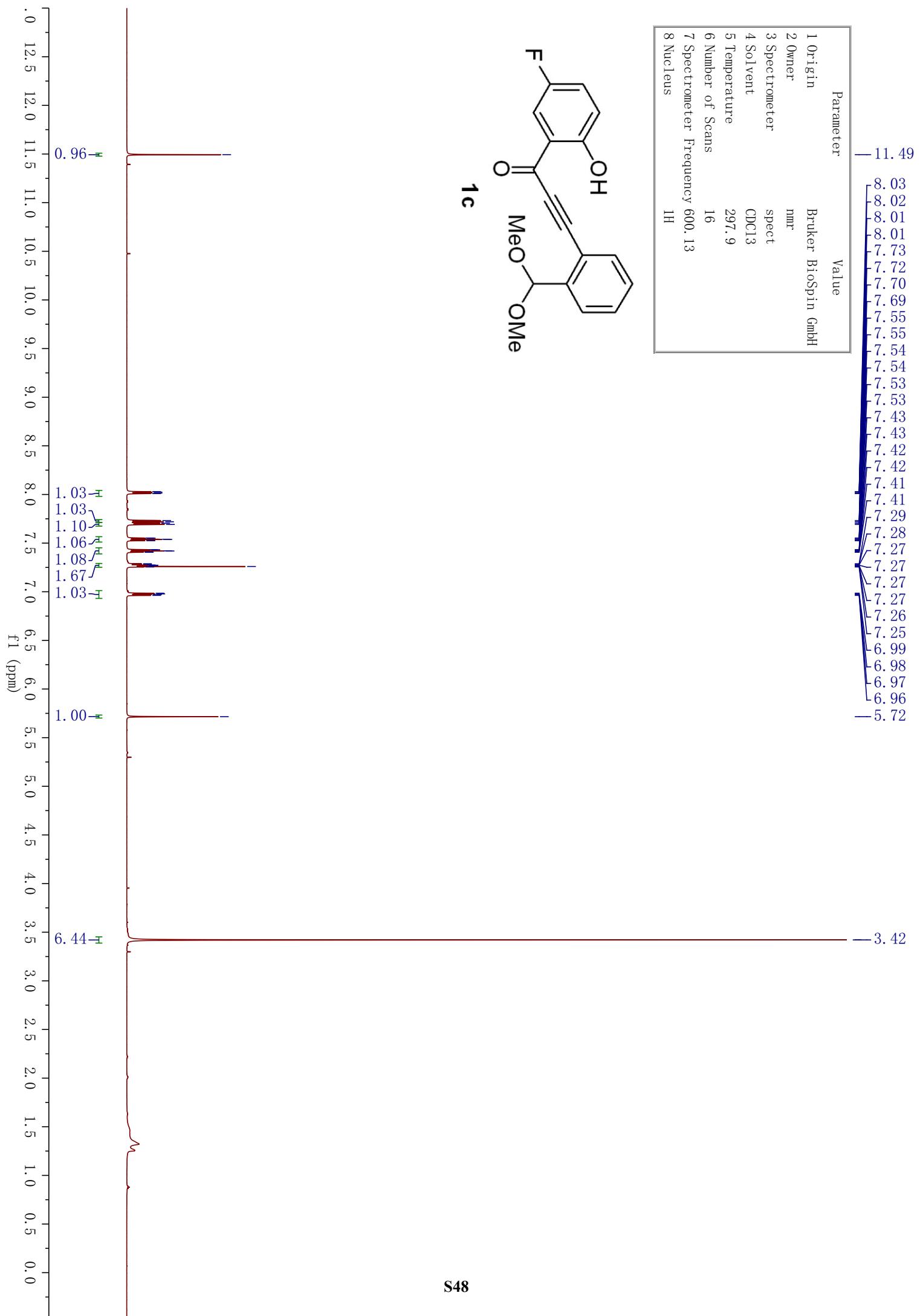
10. NMR Spectra



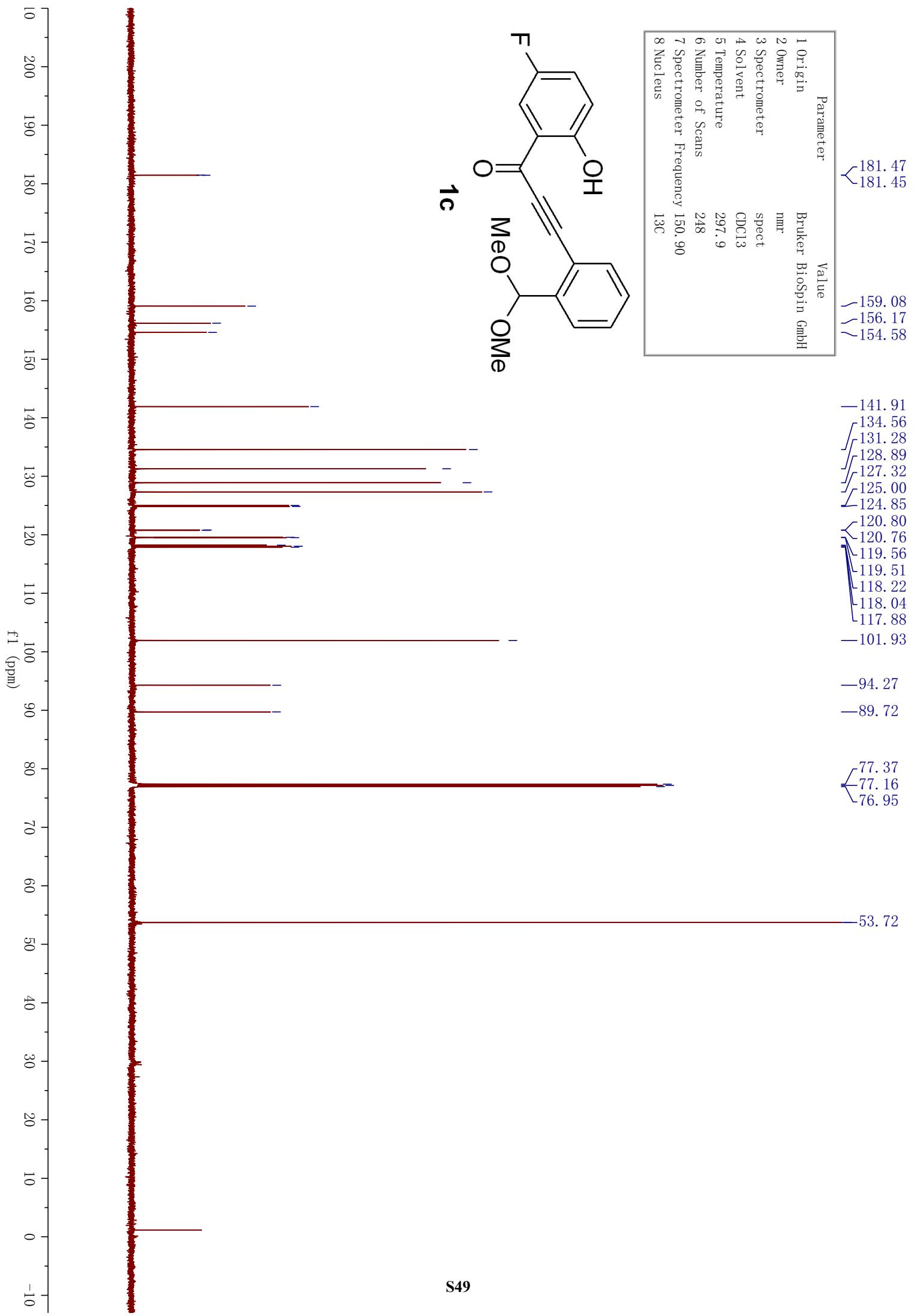


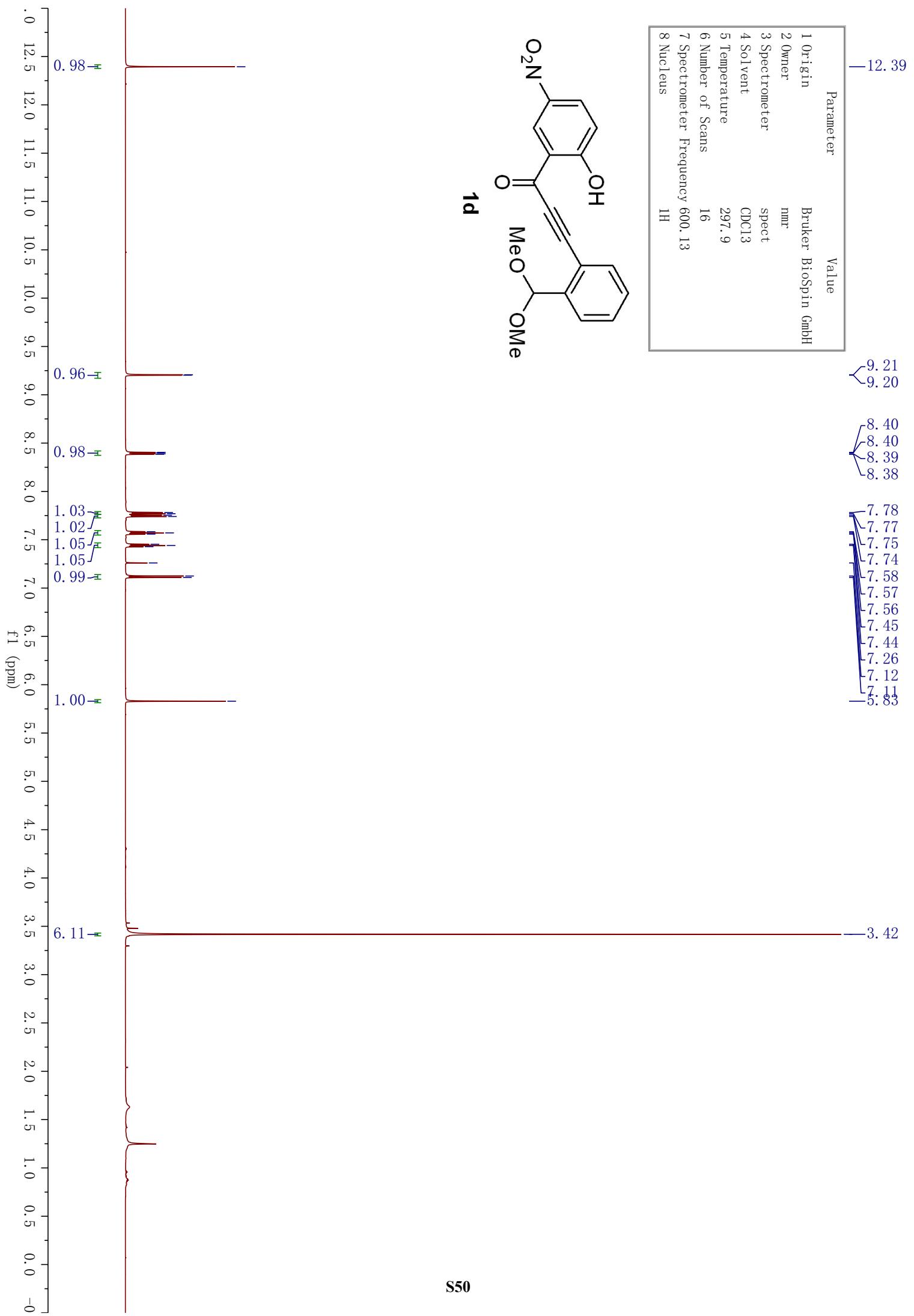


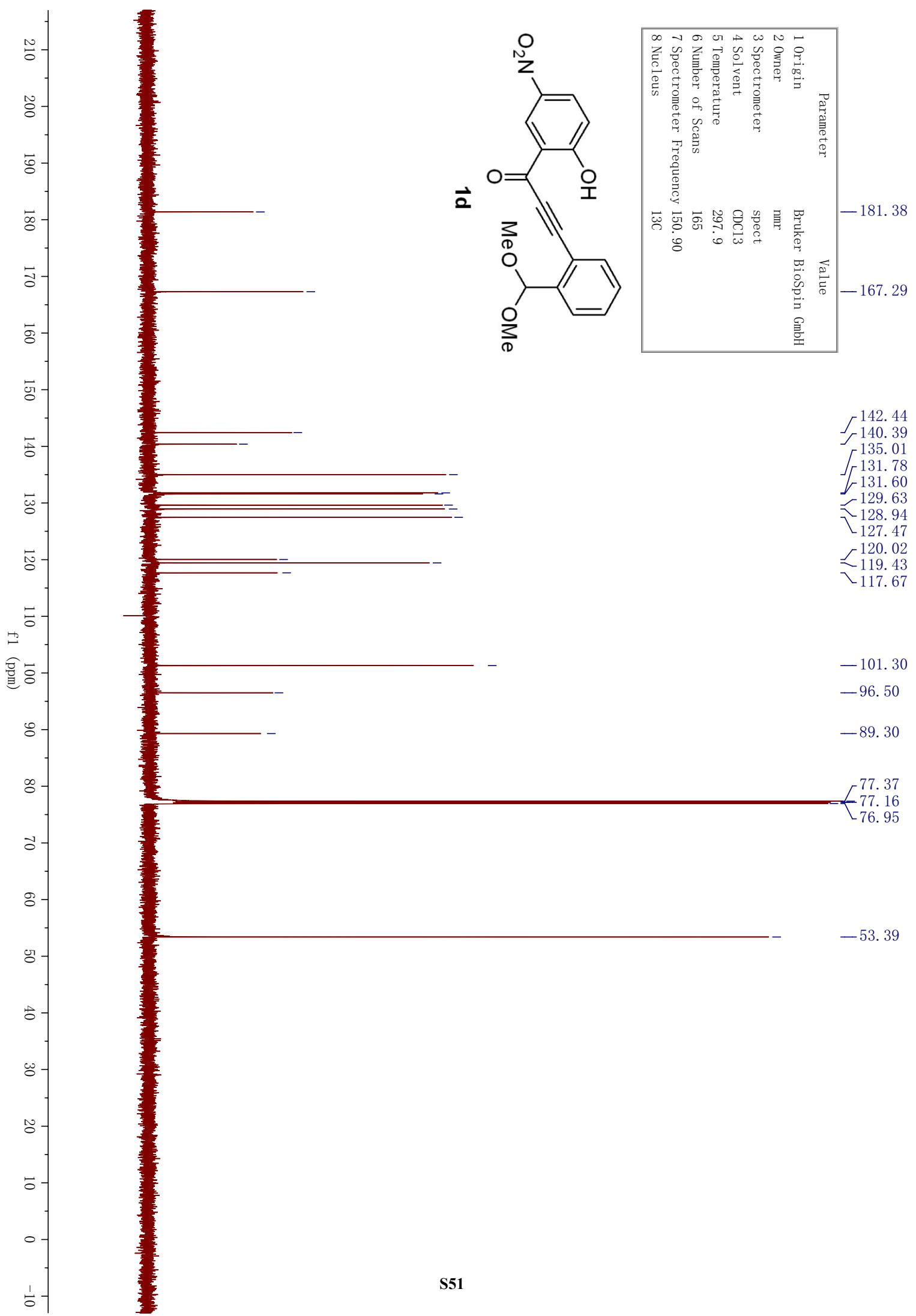


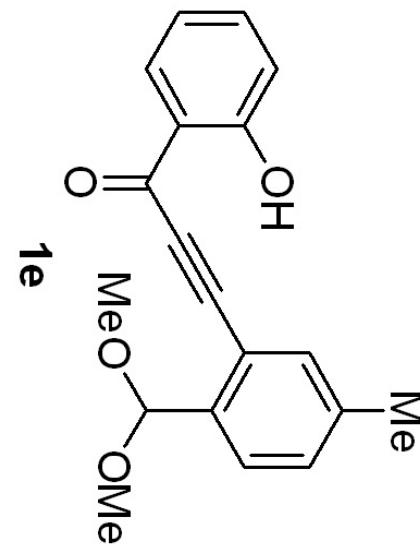
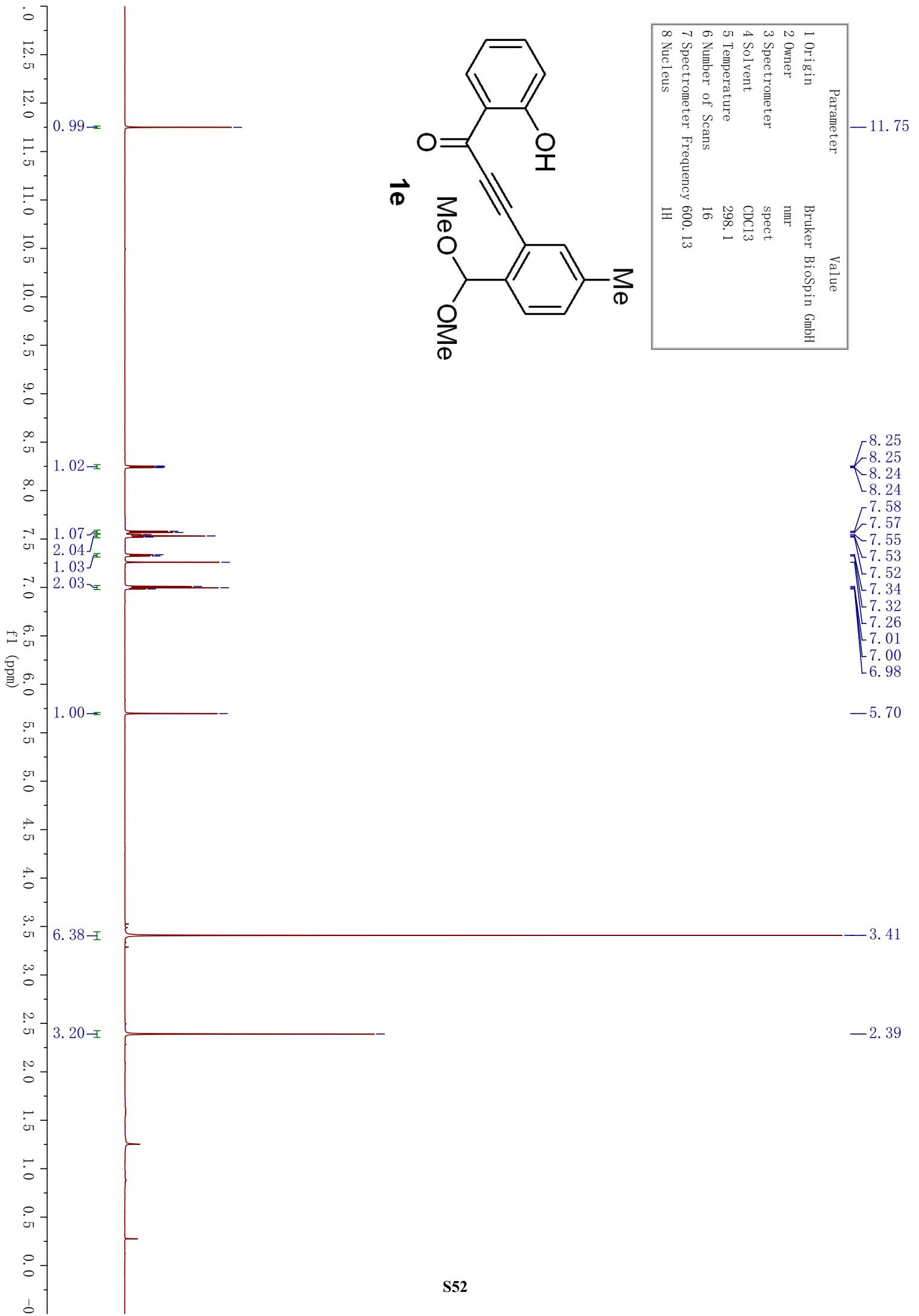


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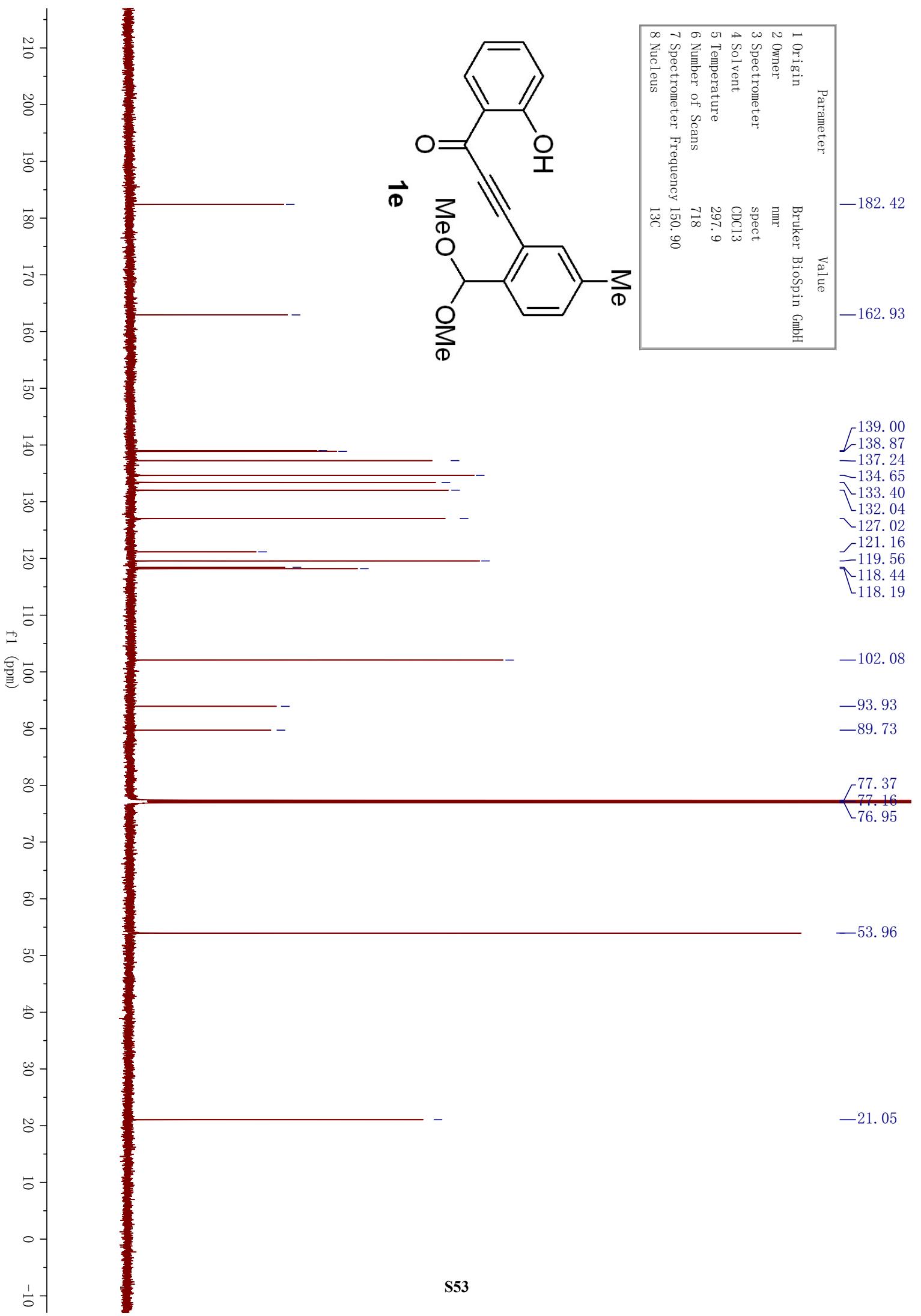


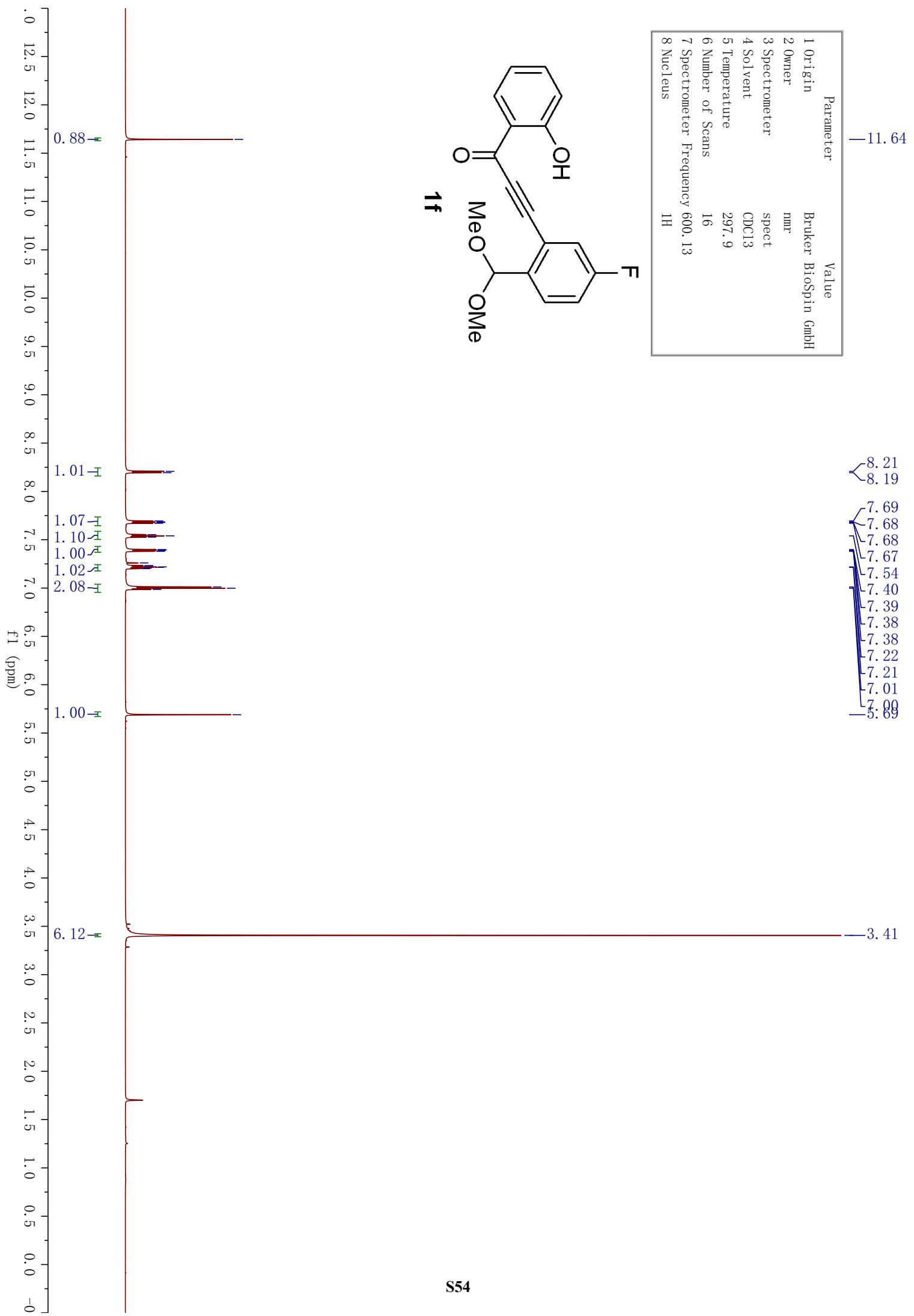


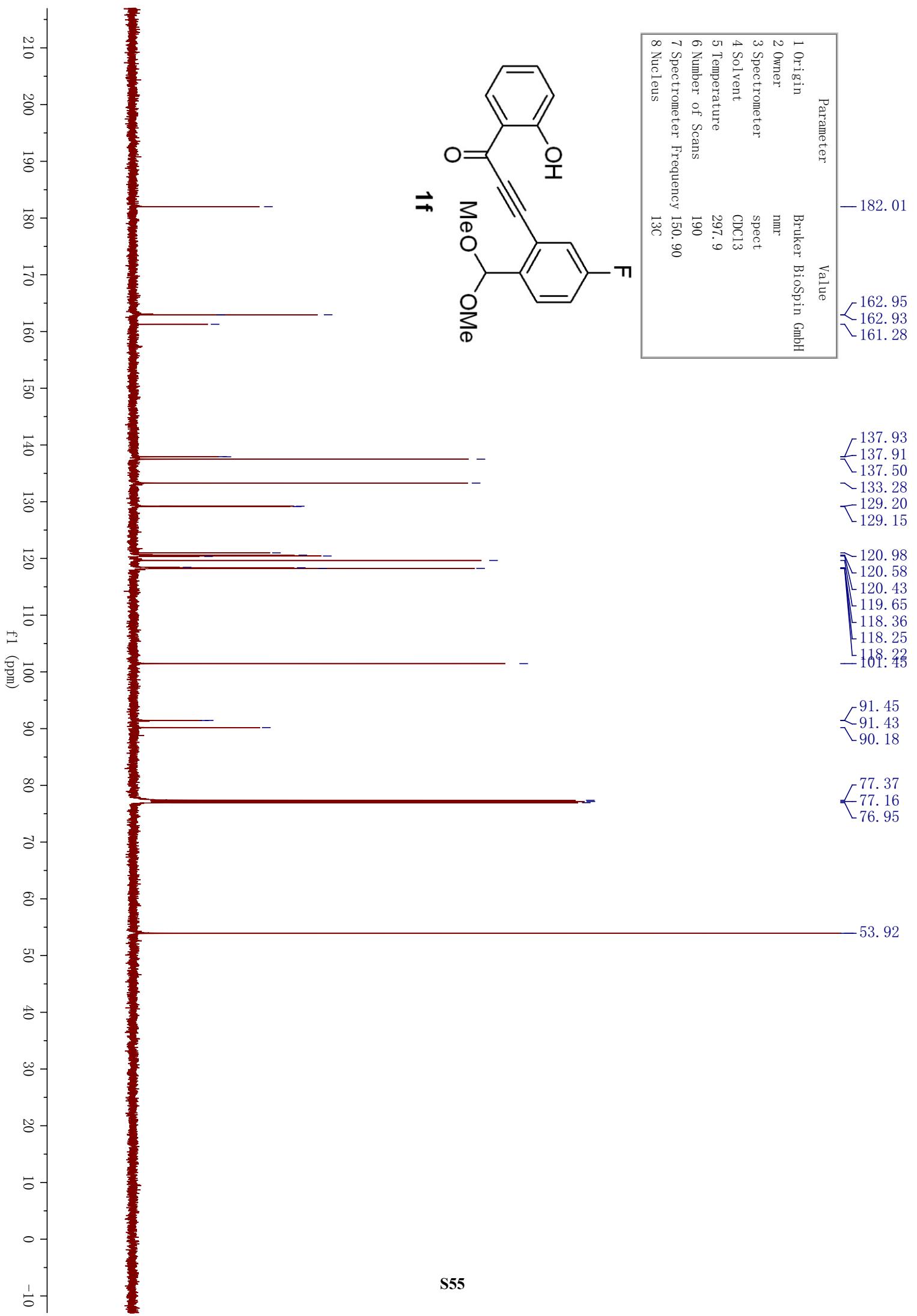


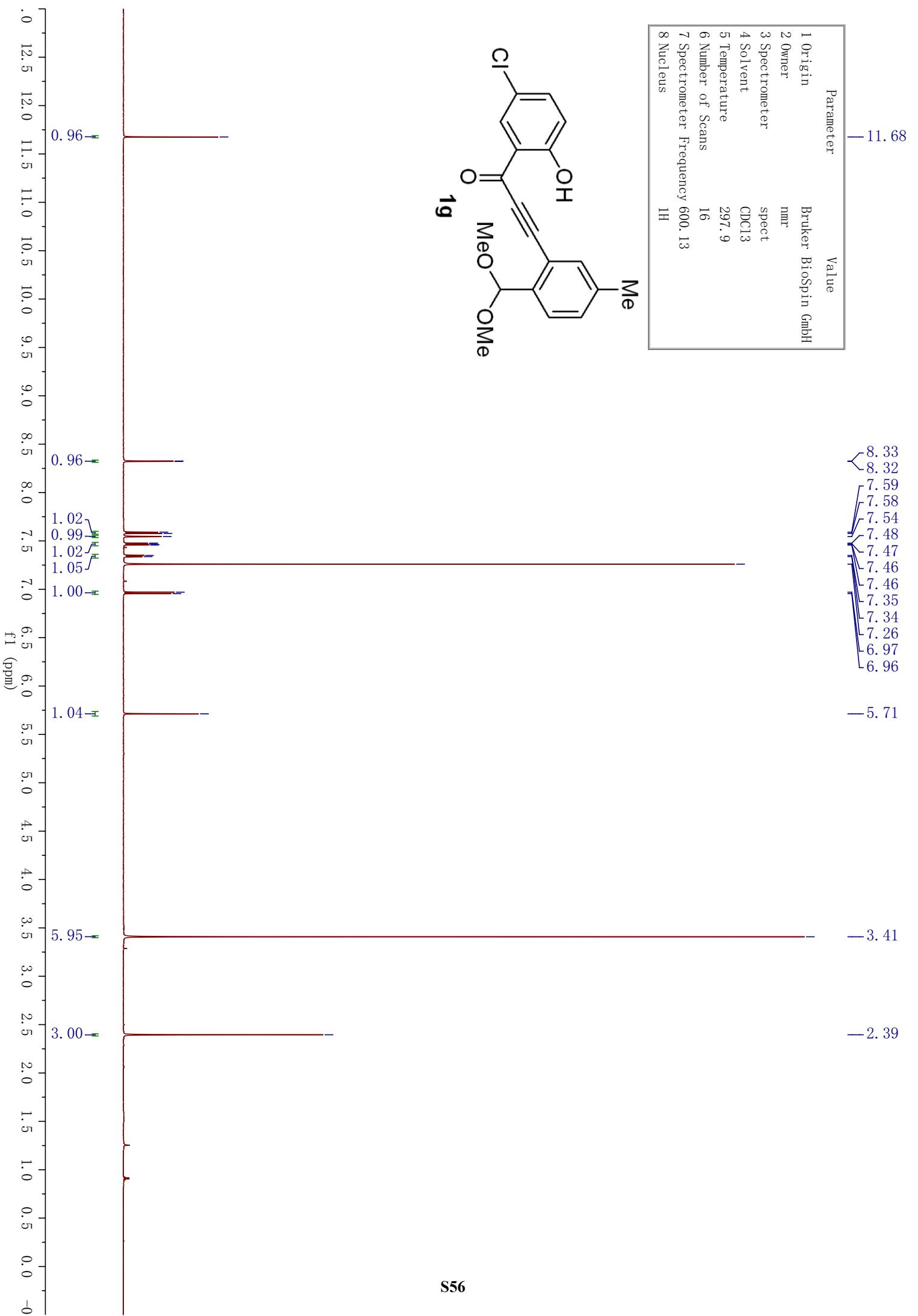


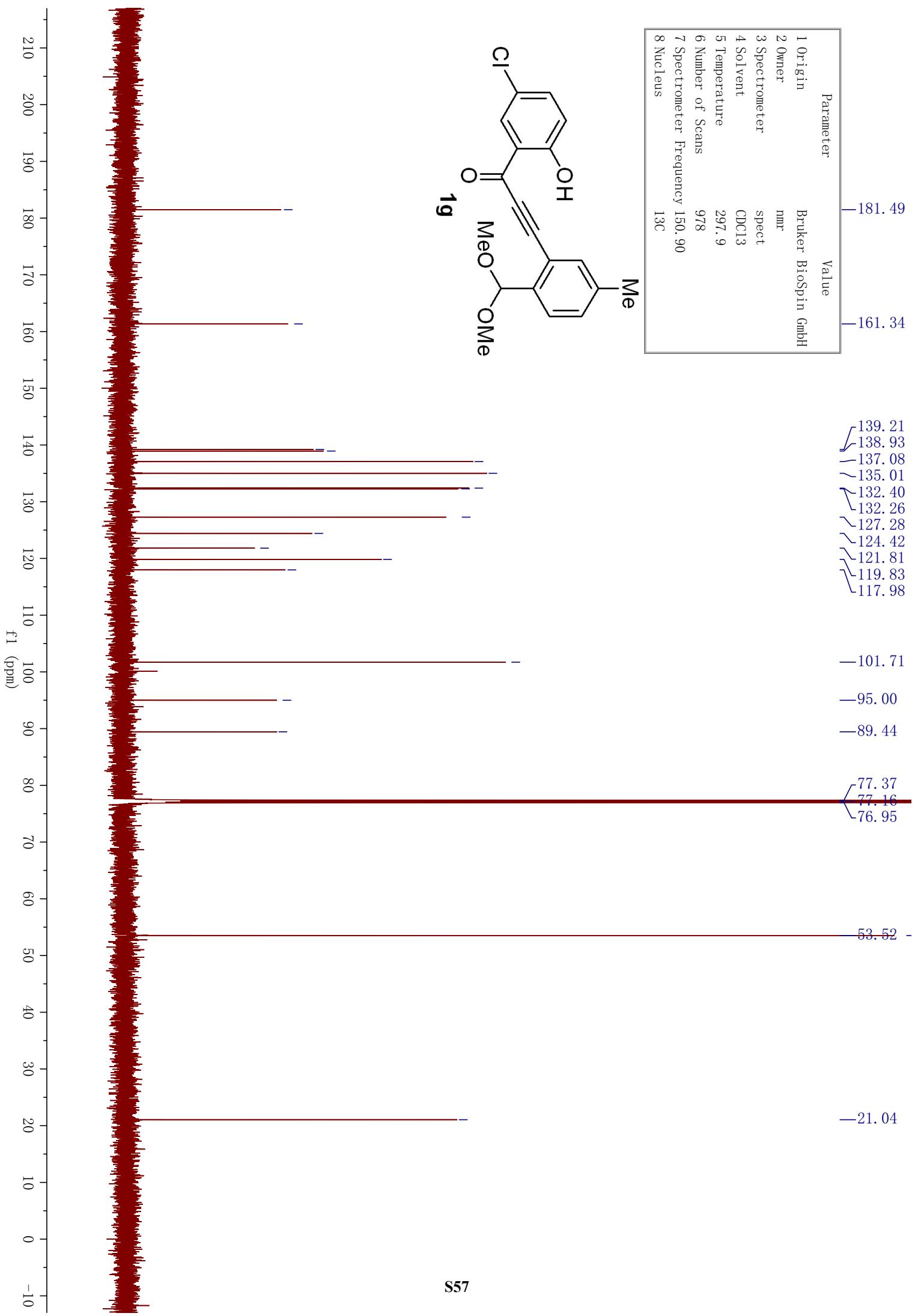
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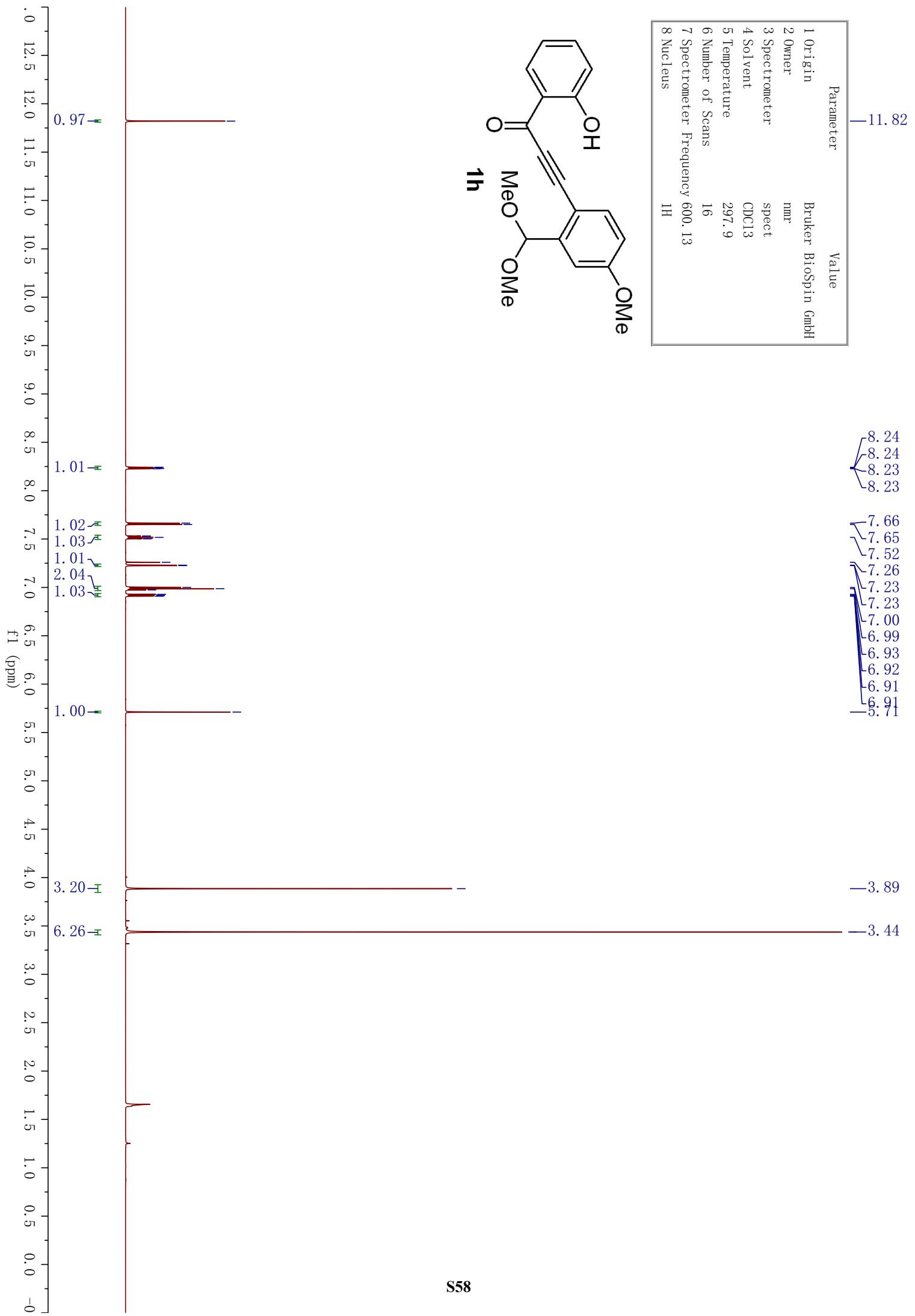


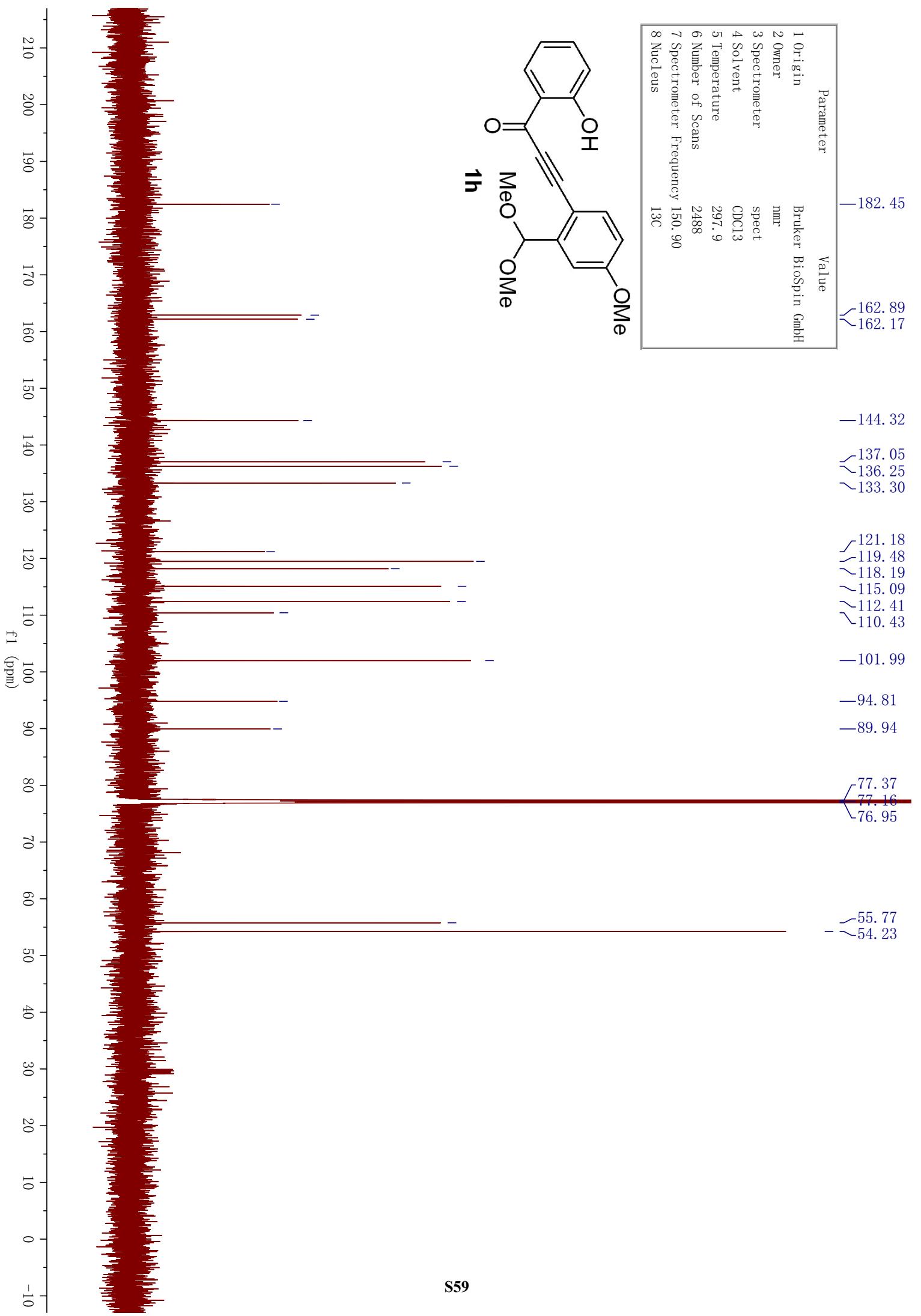


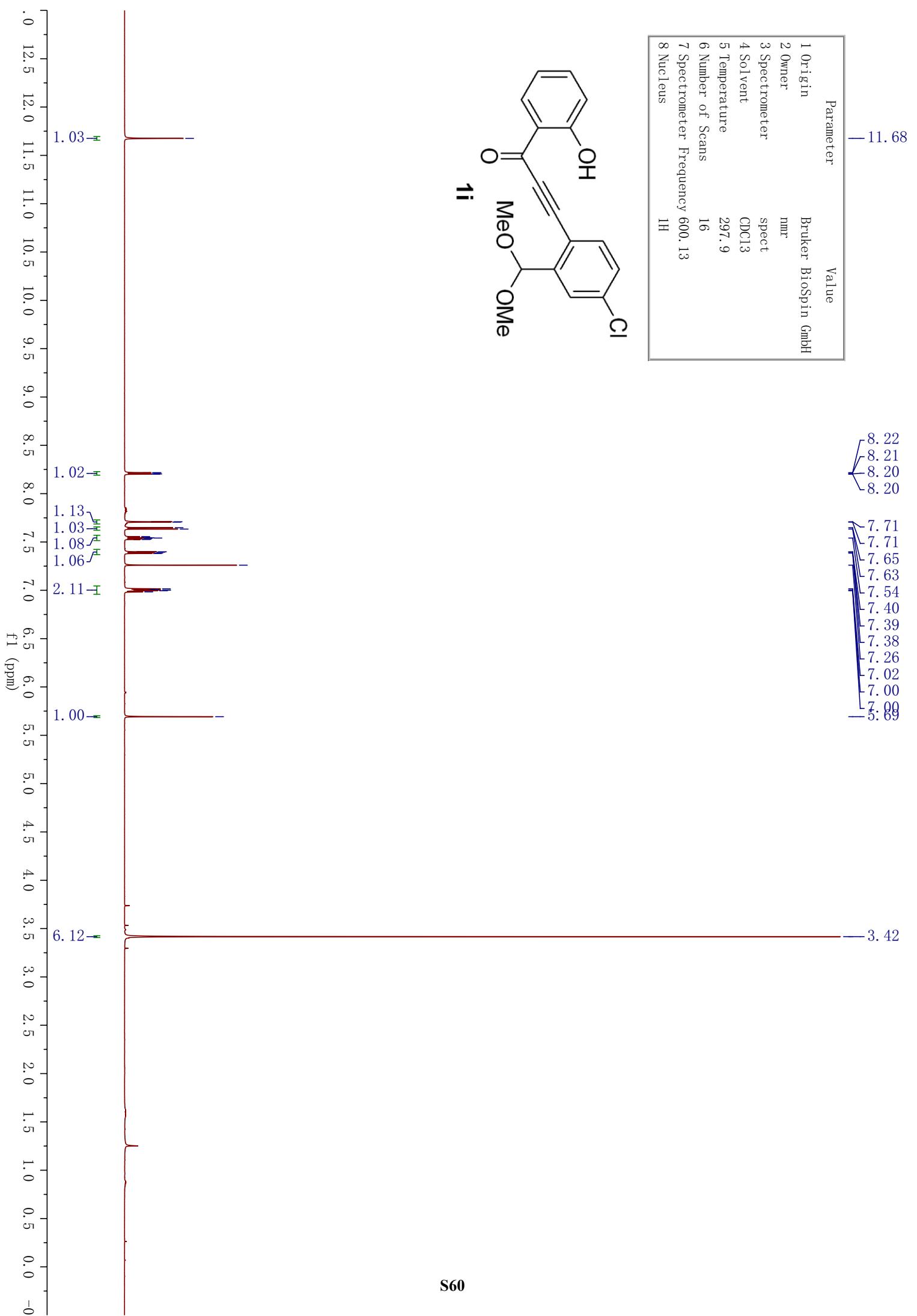


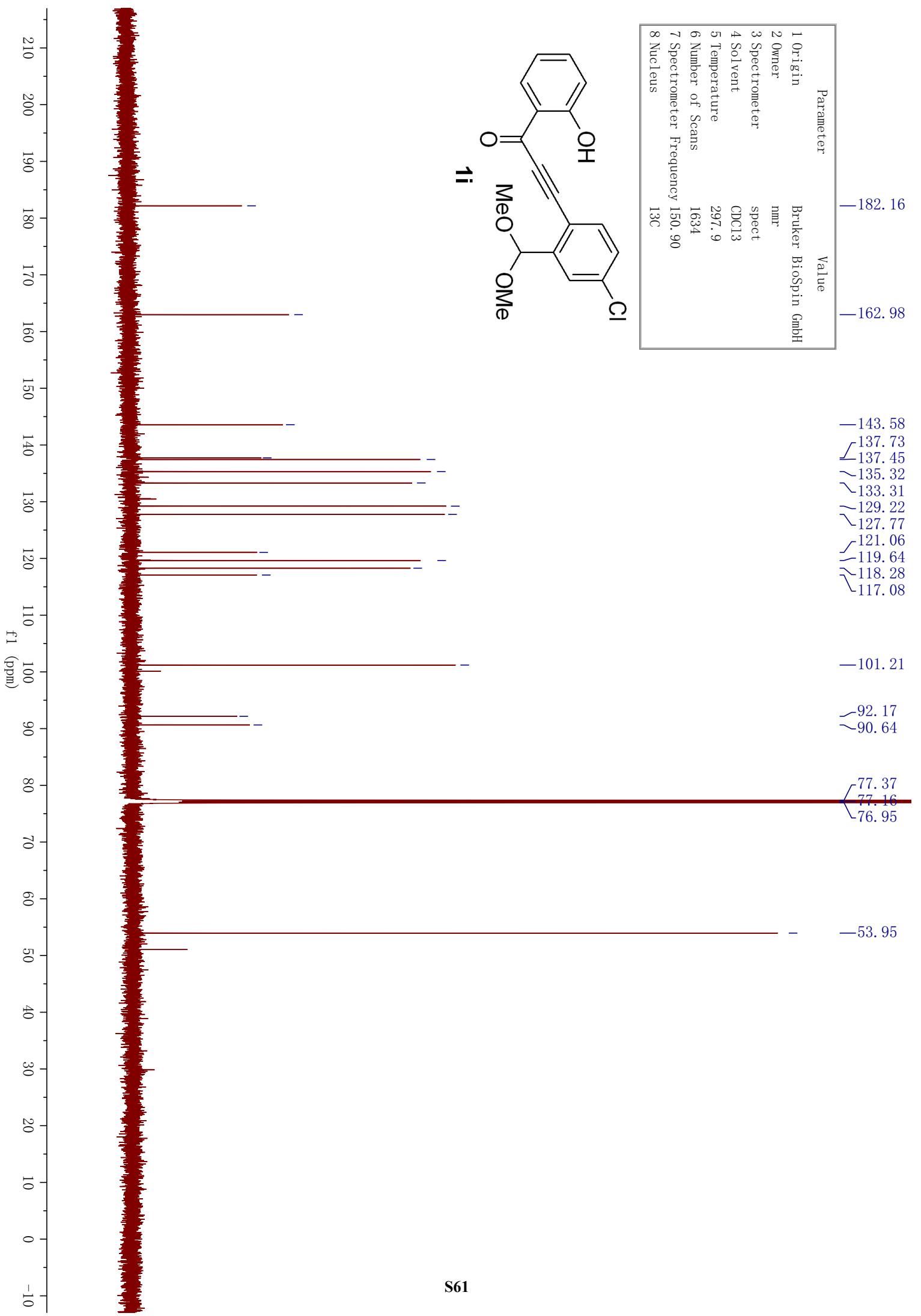


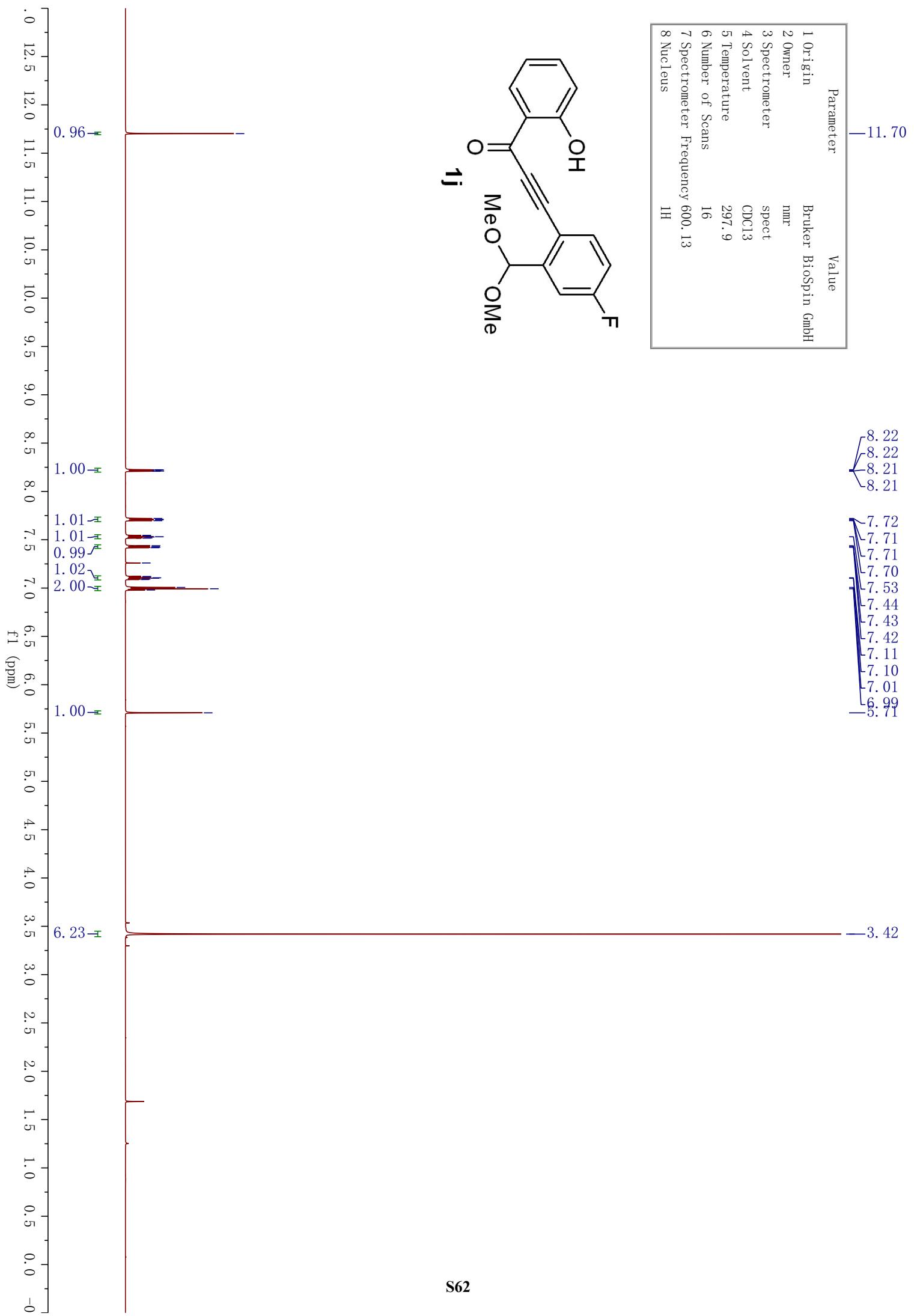


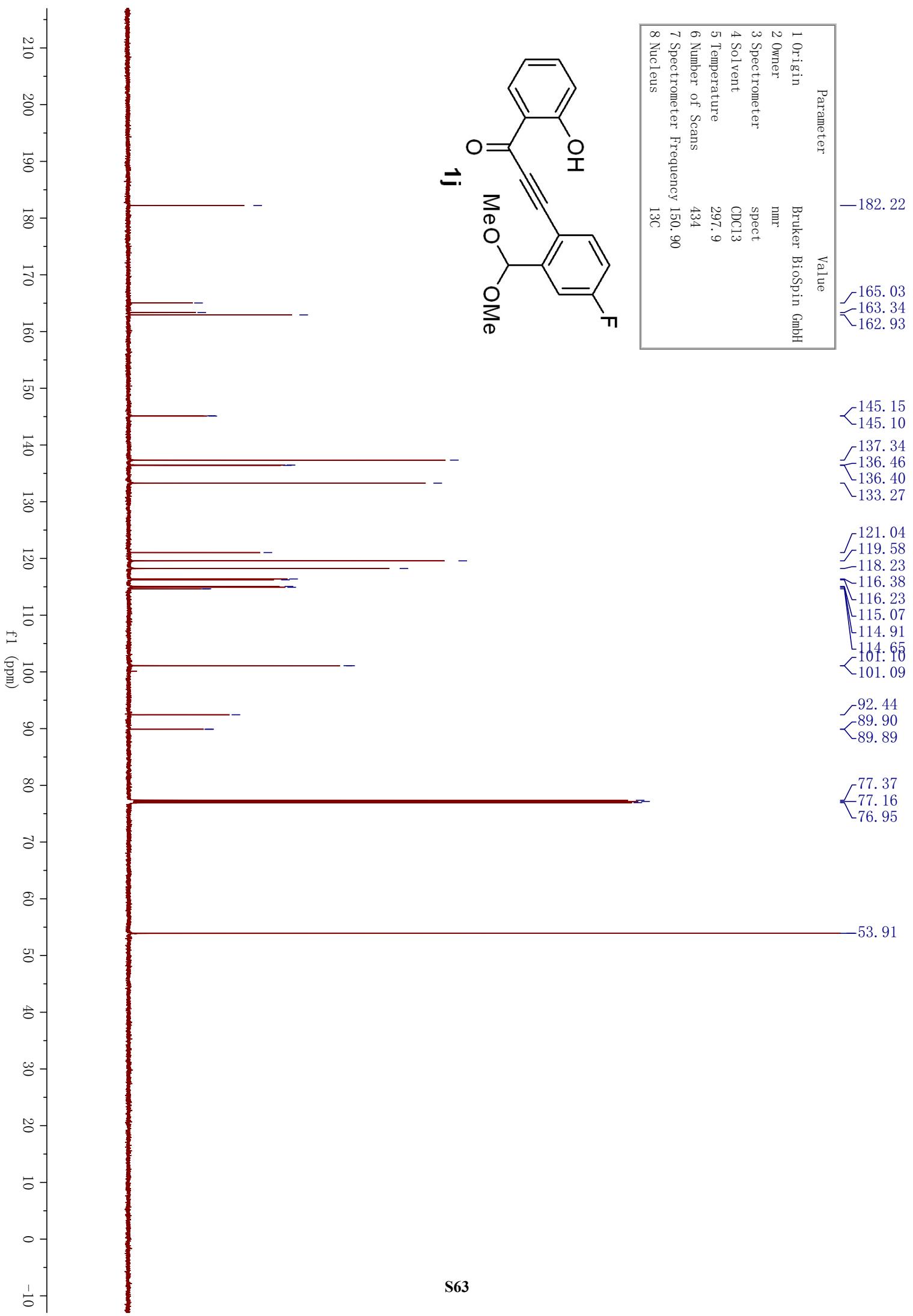


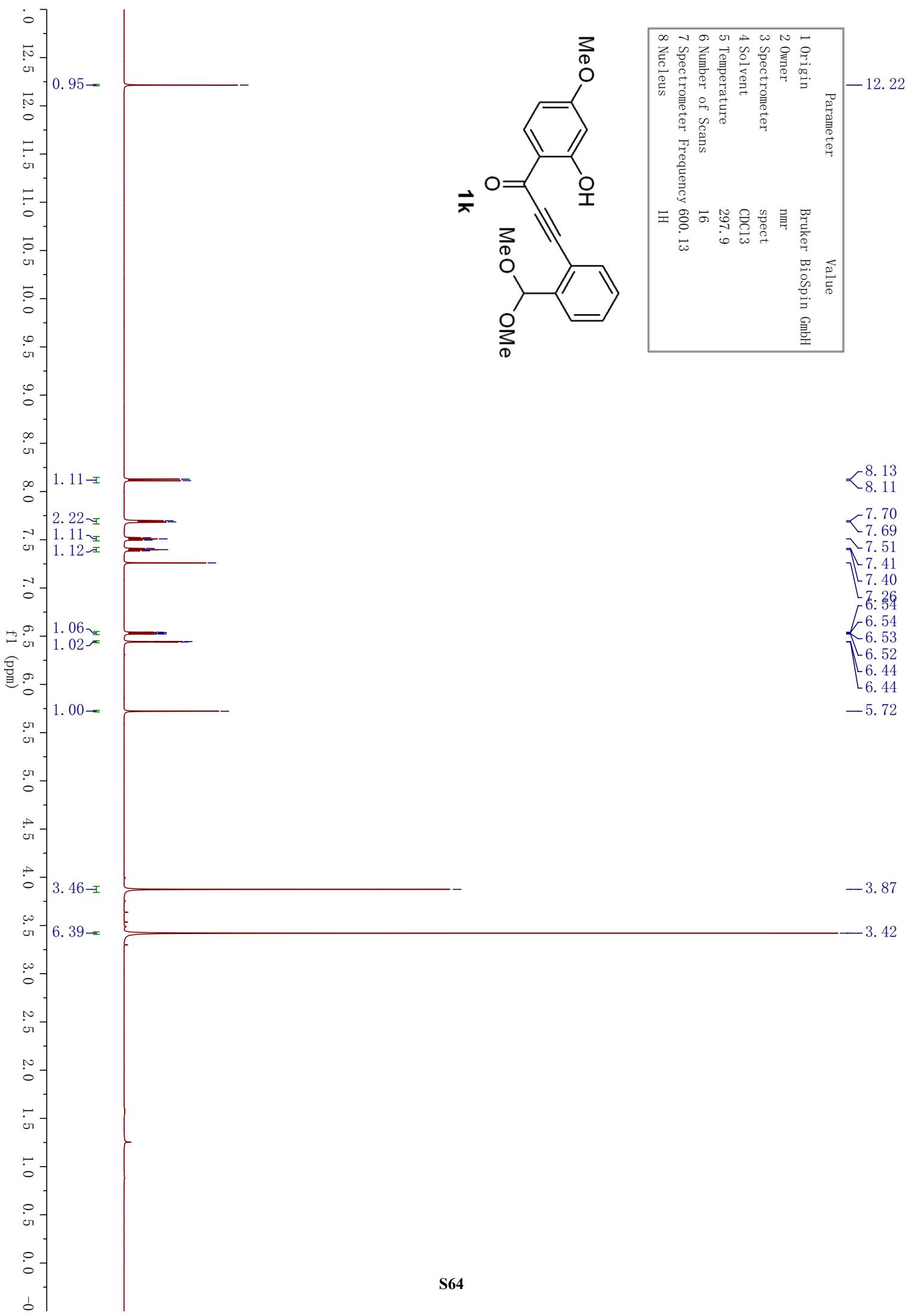


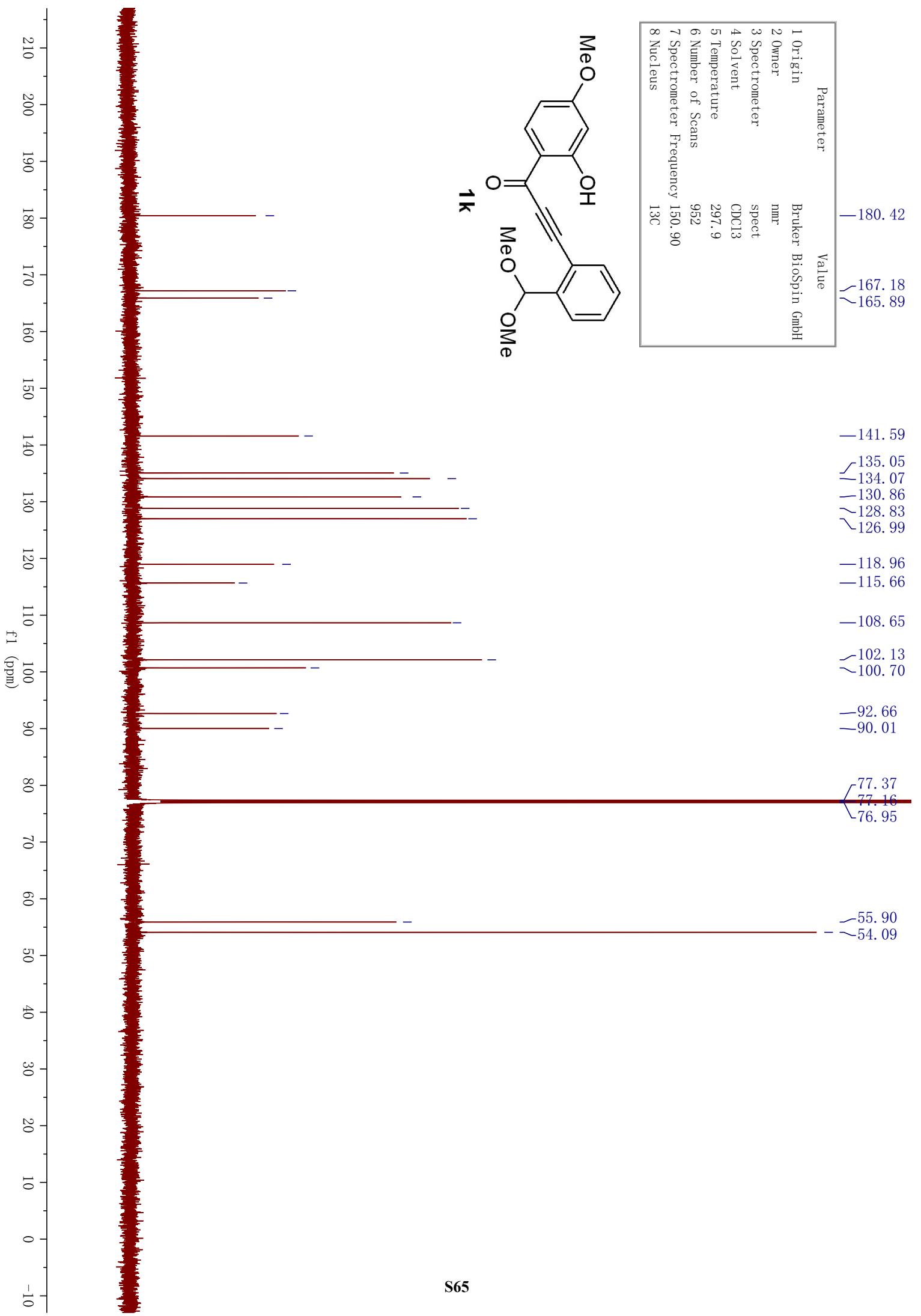


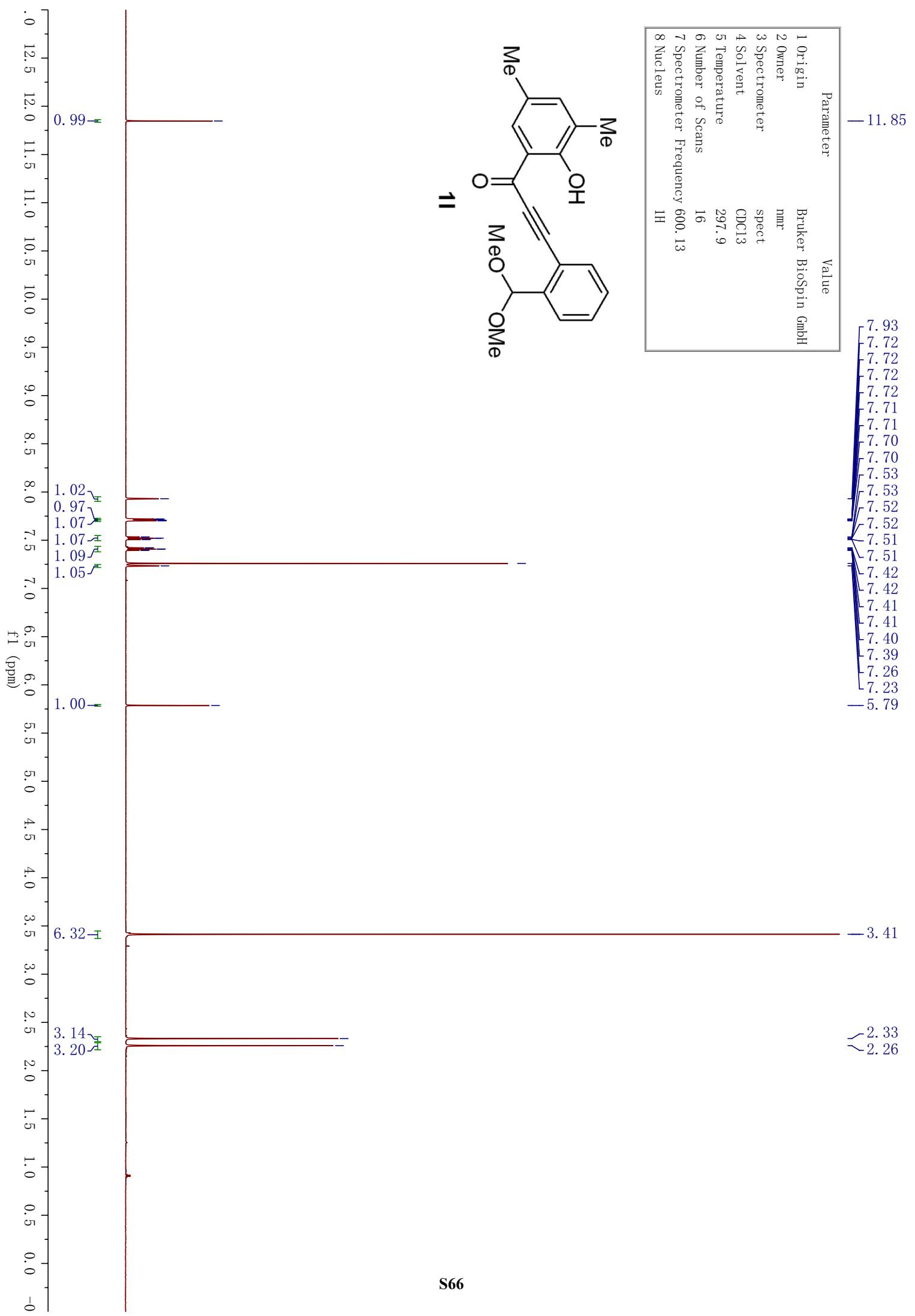


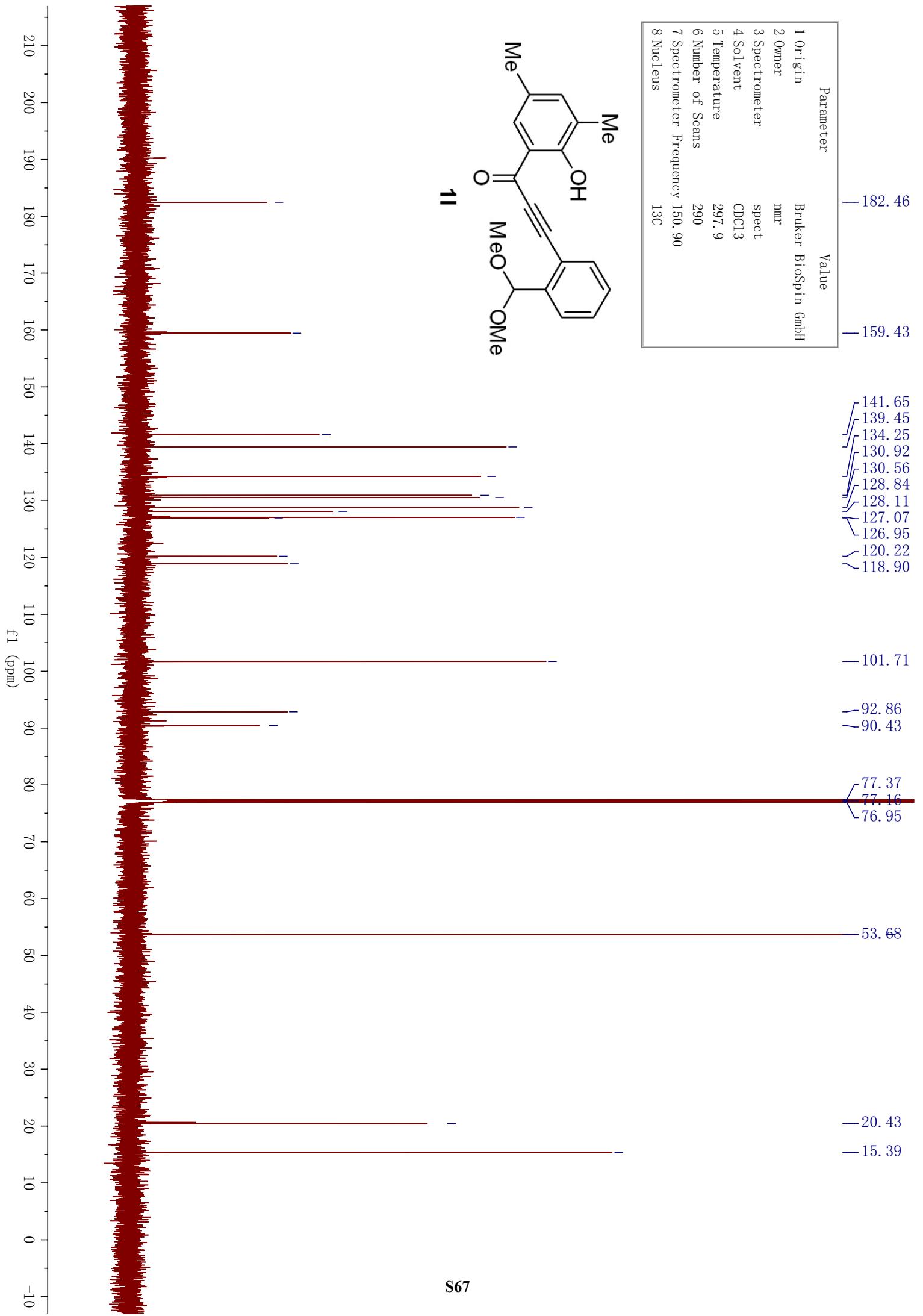


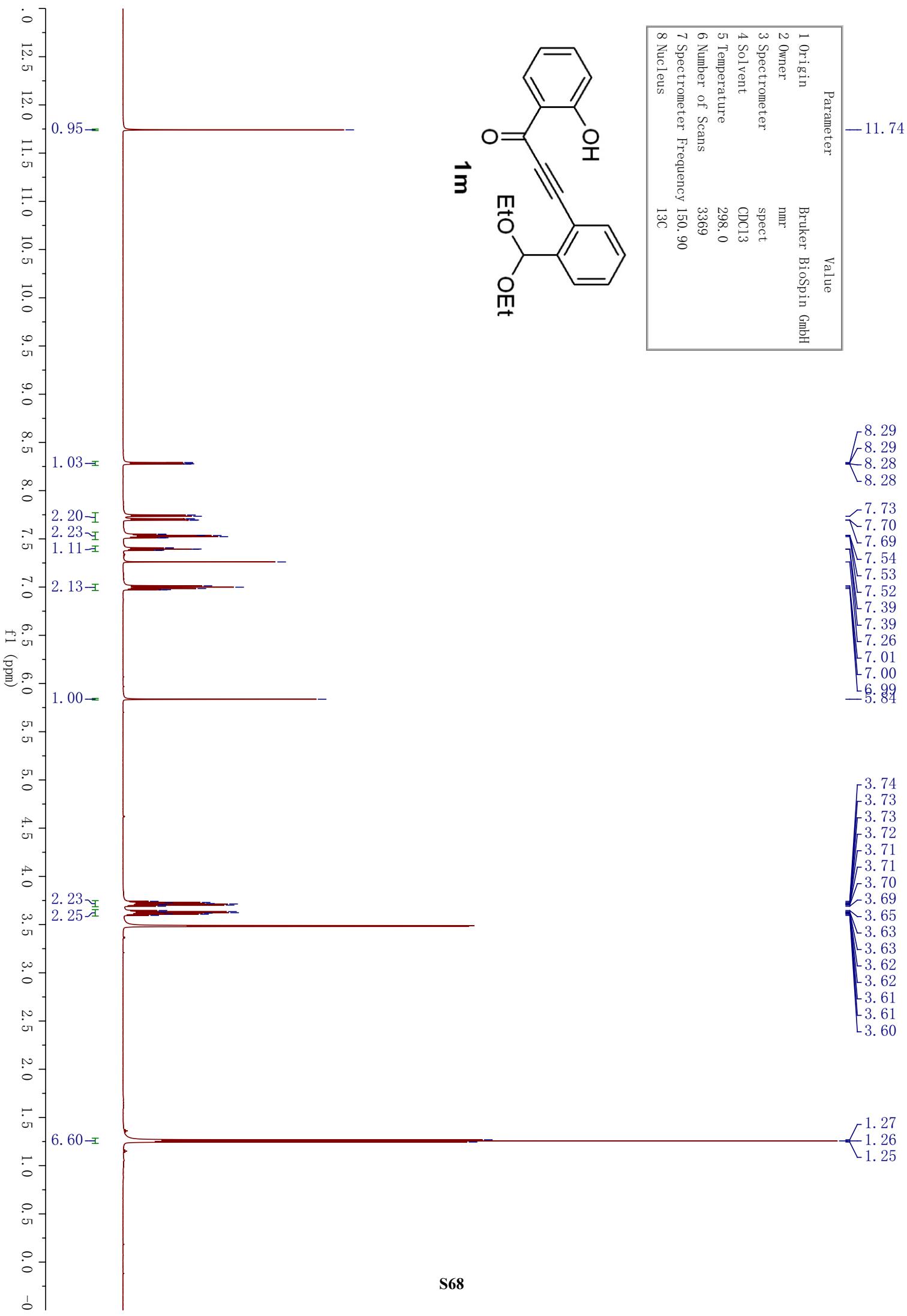


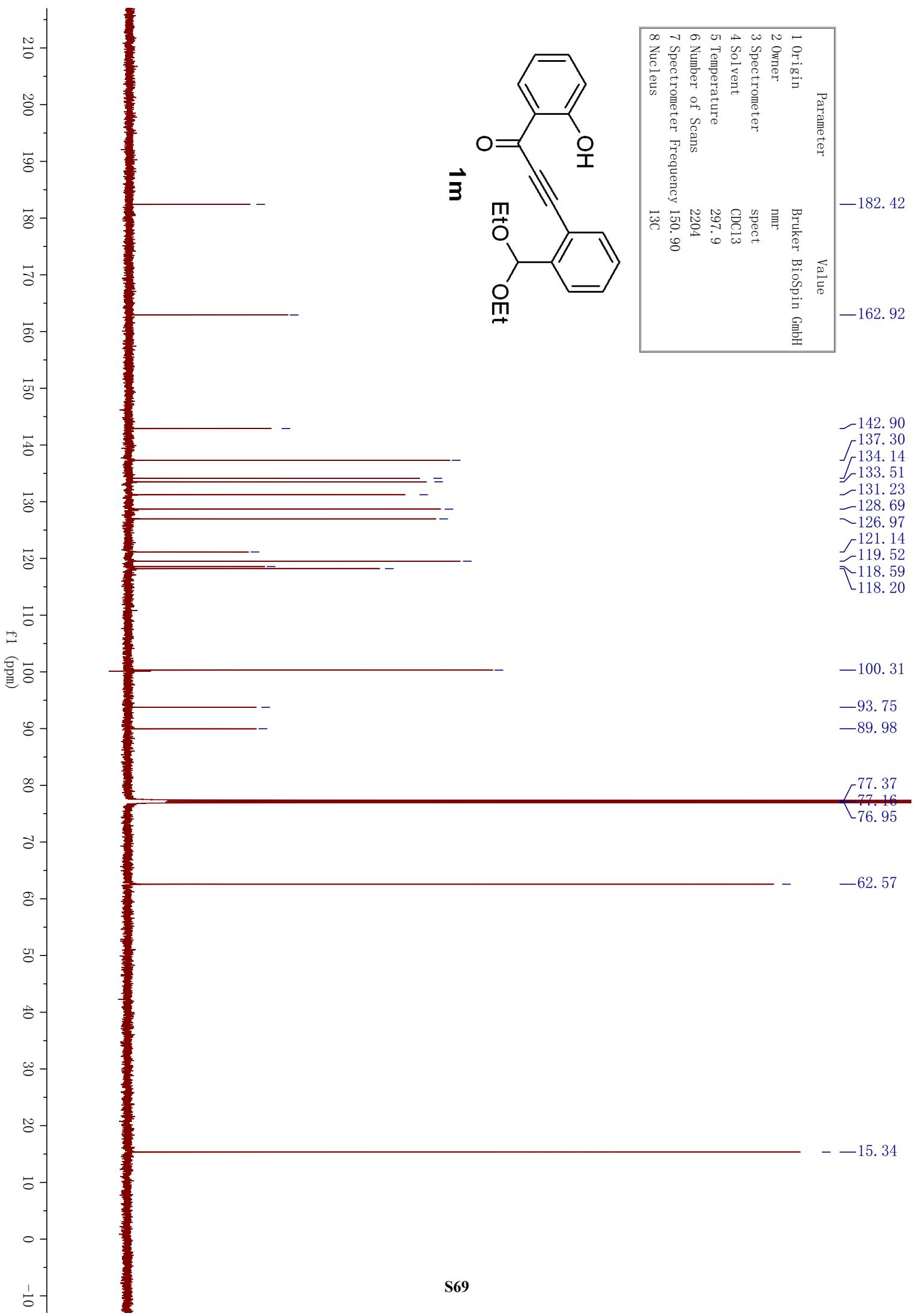


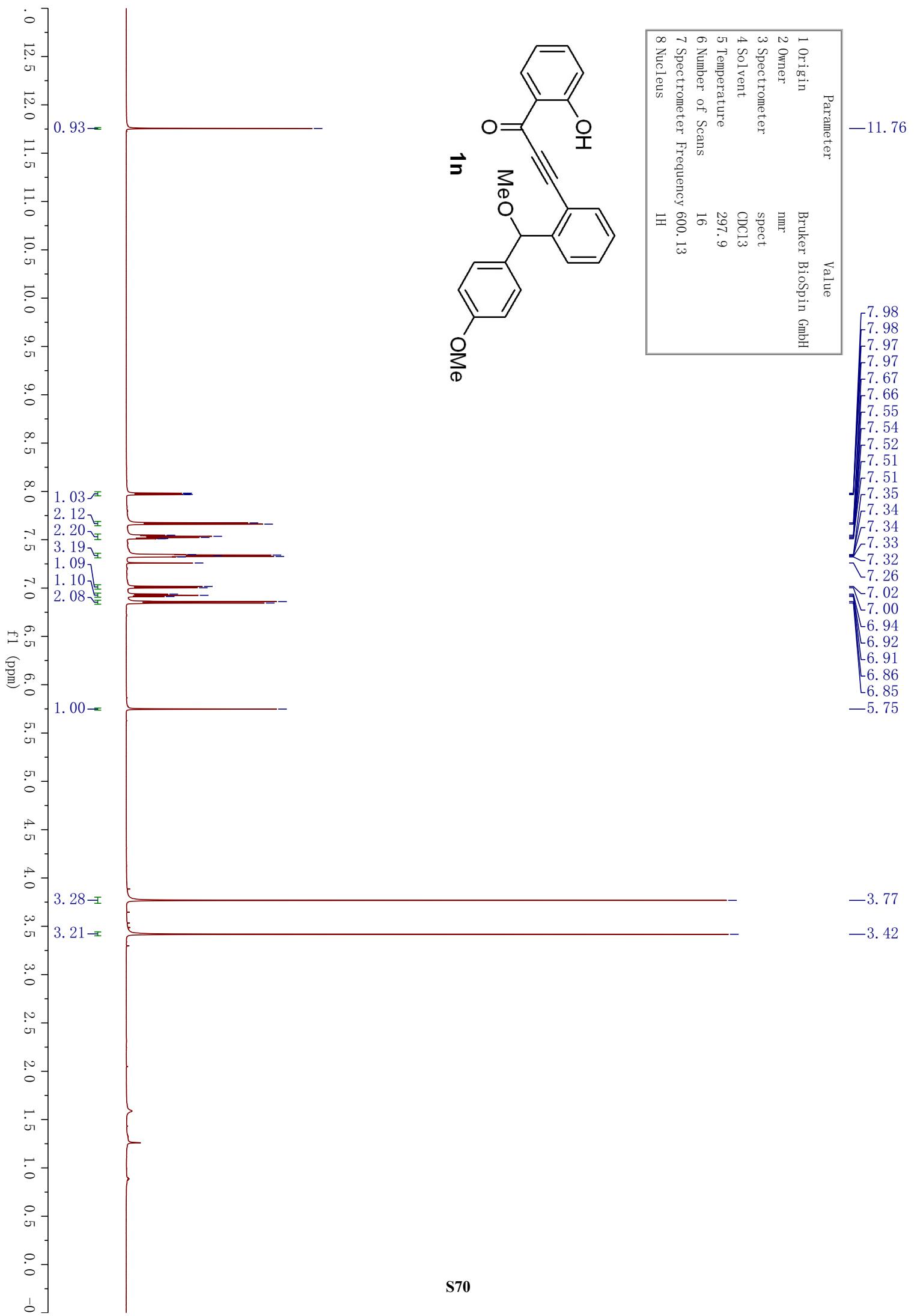


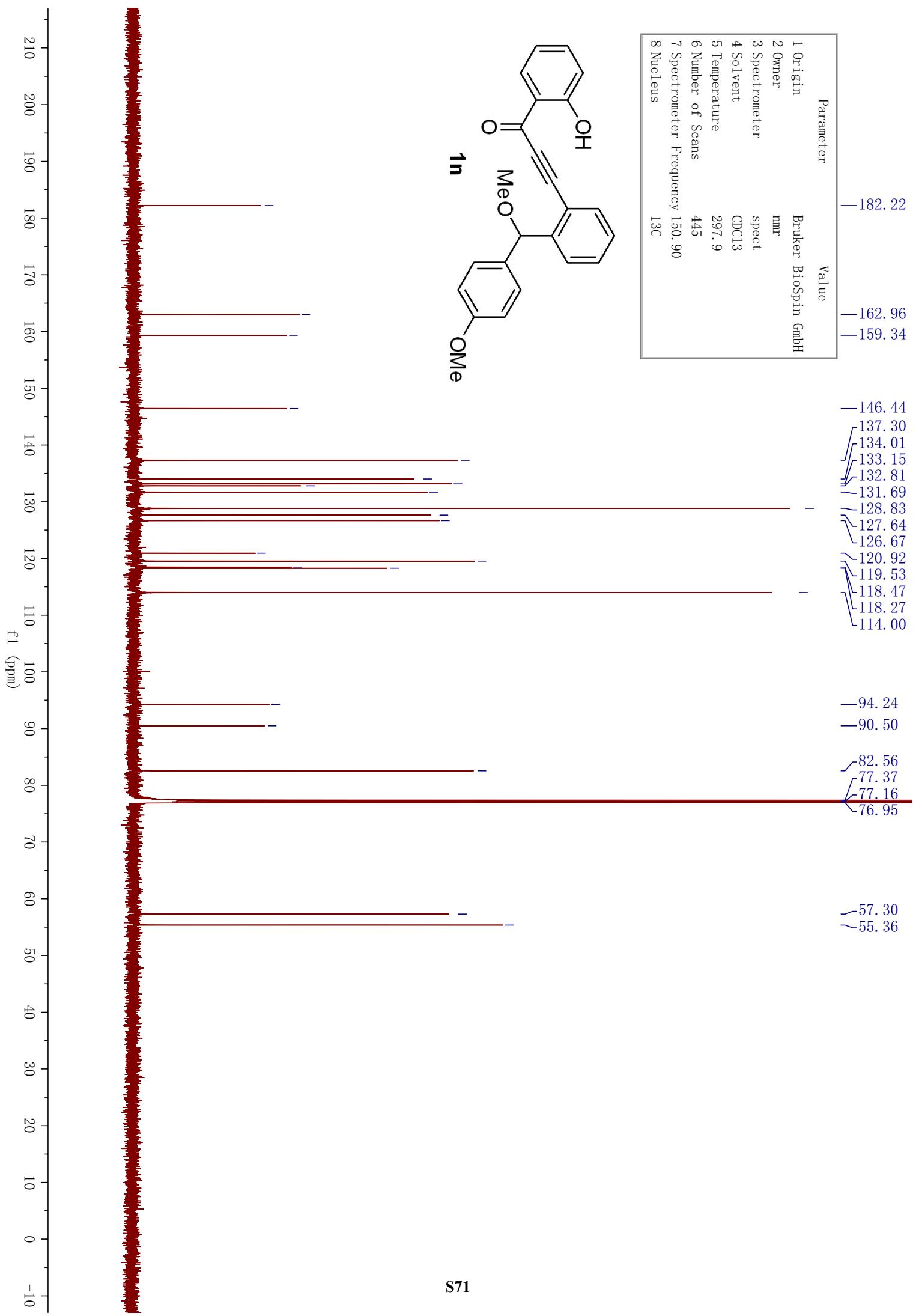


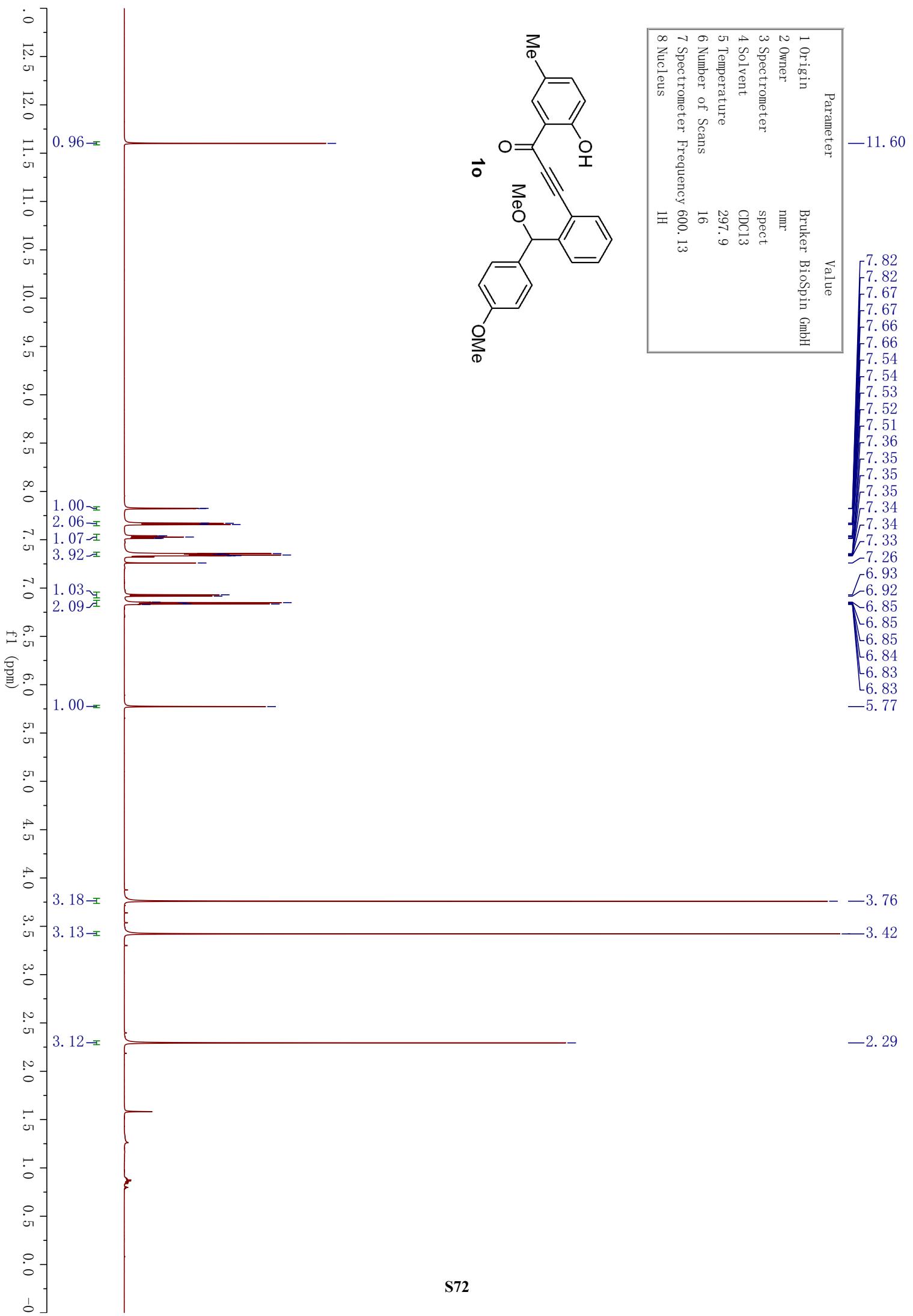


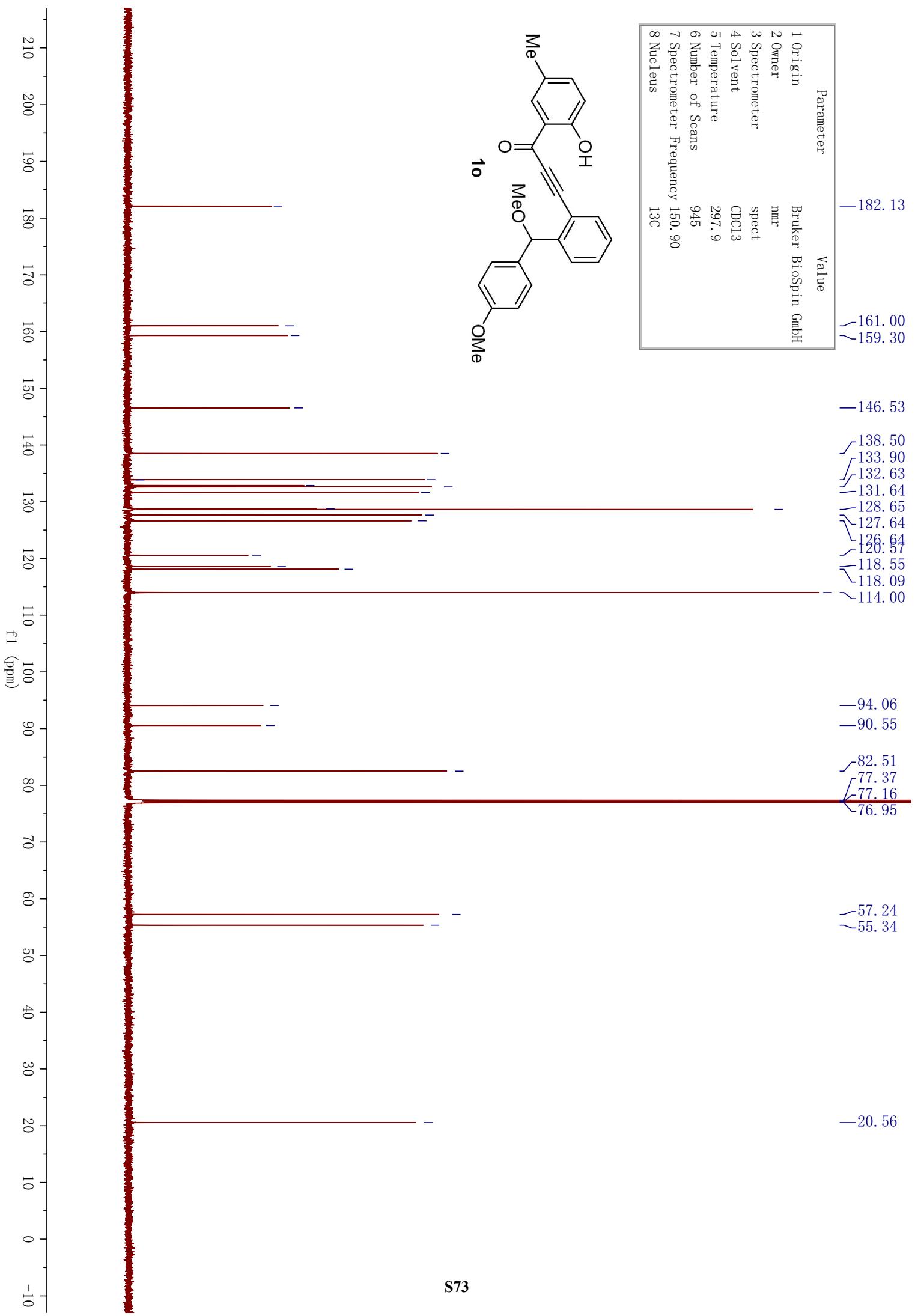


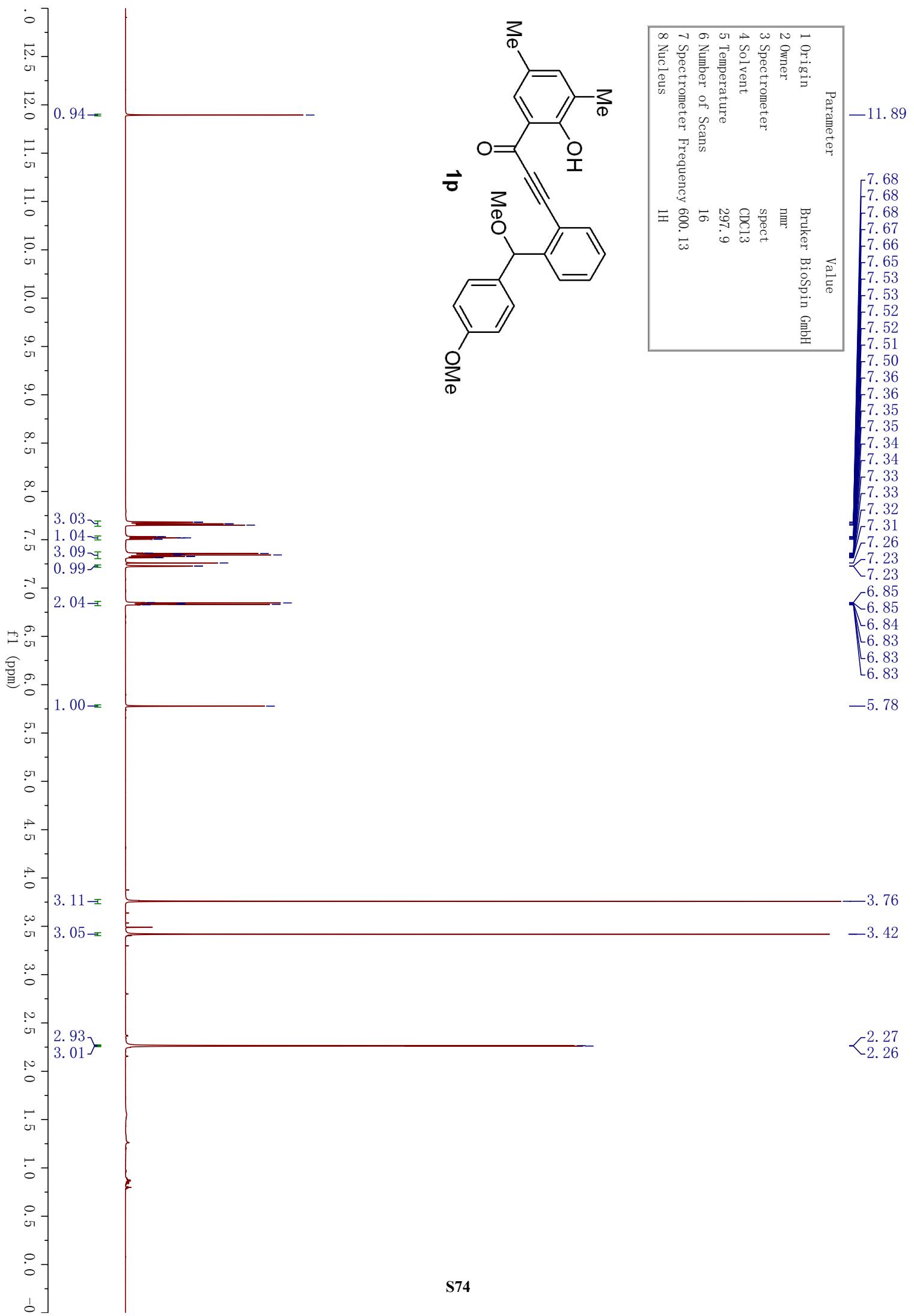


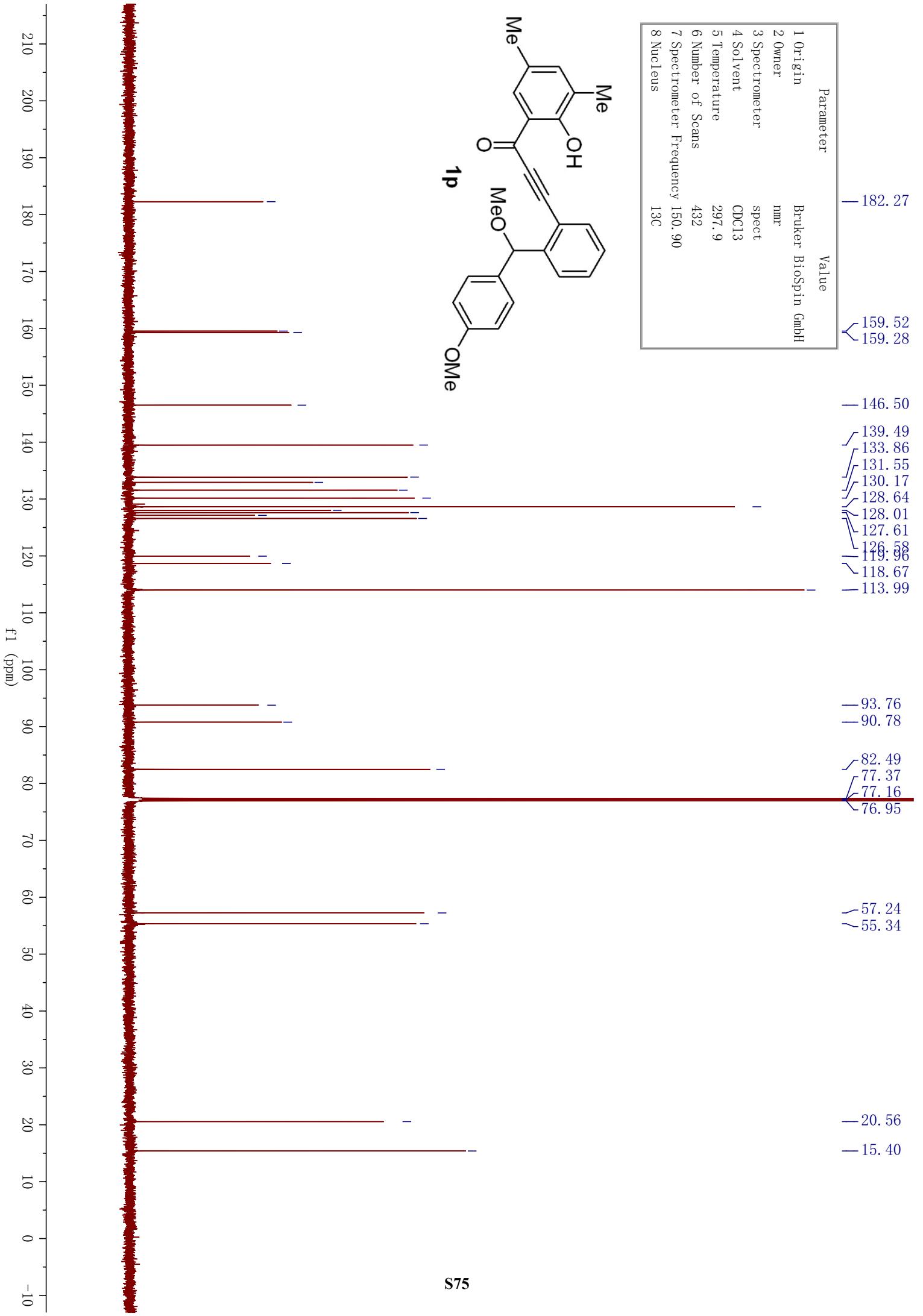


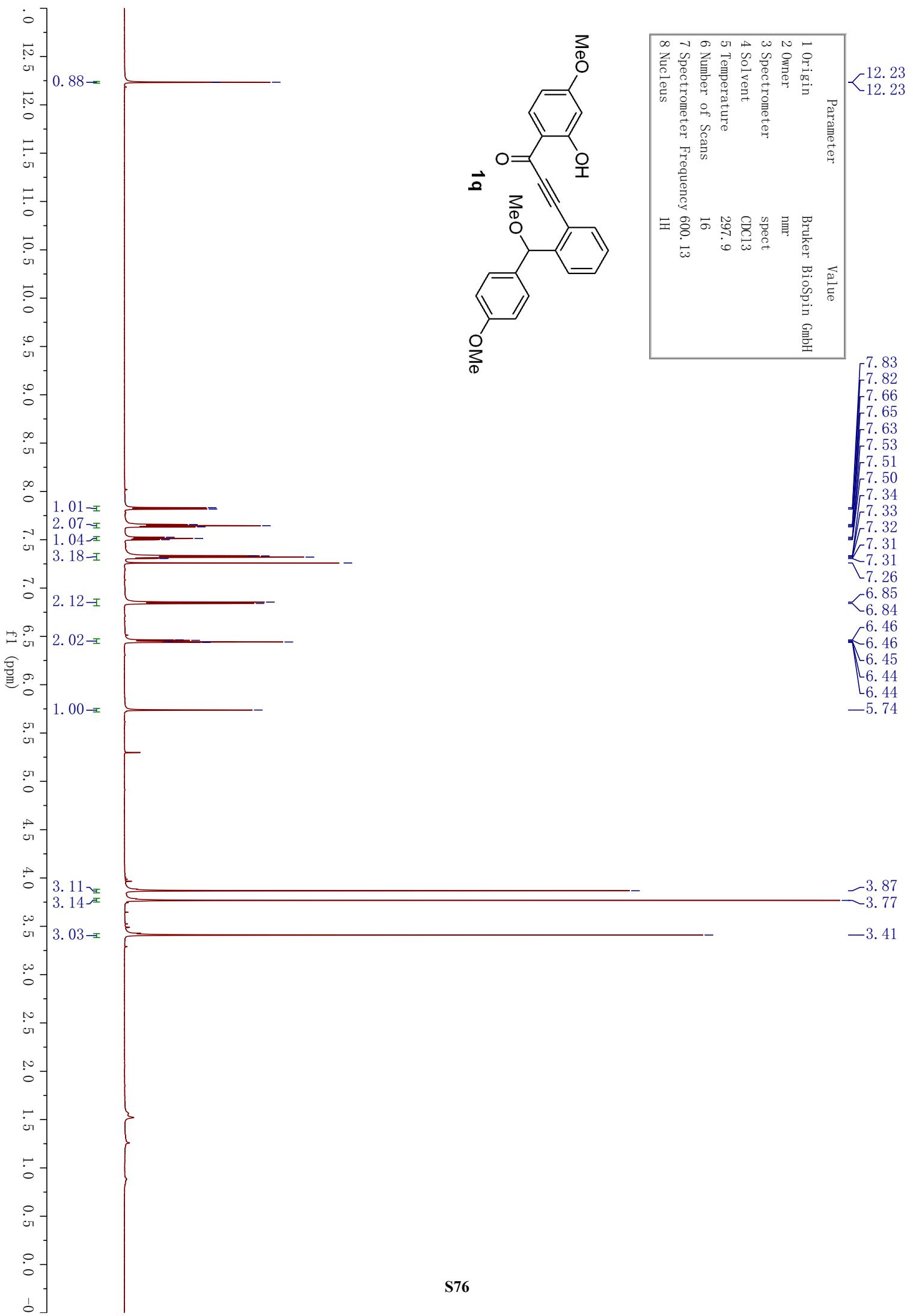


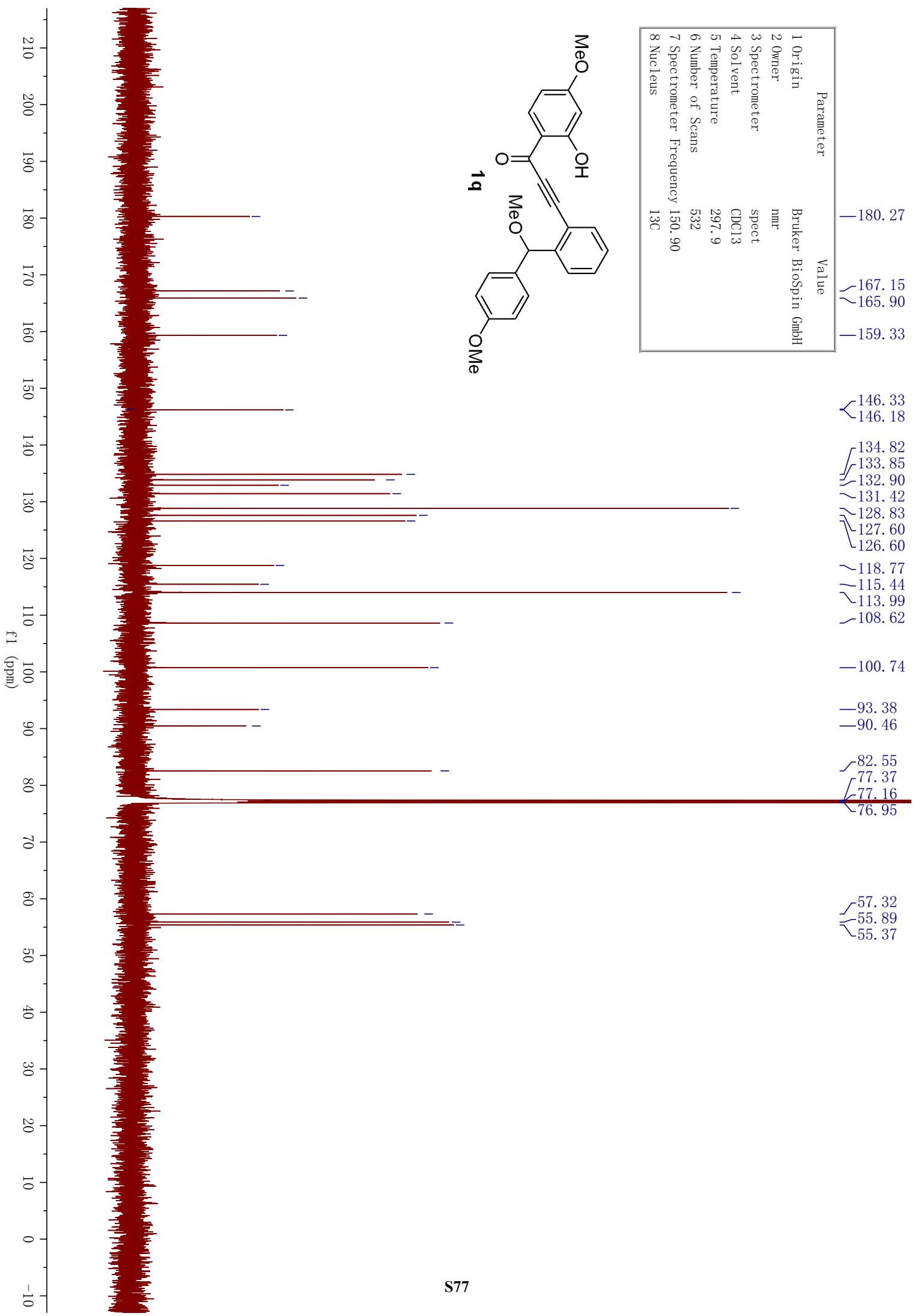


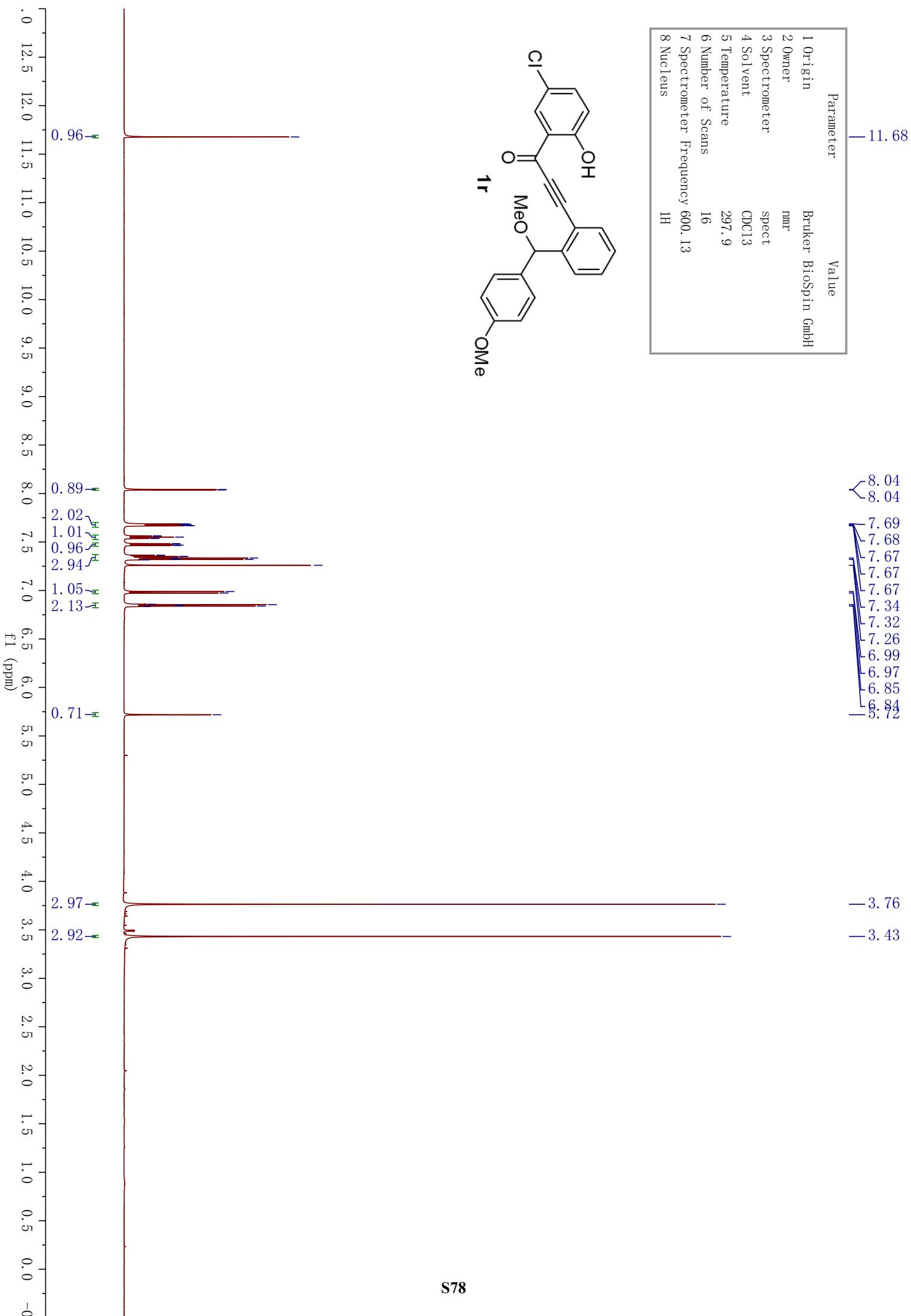


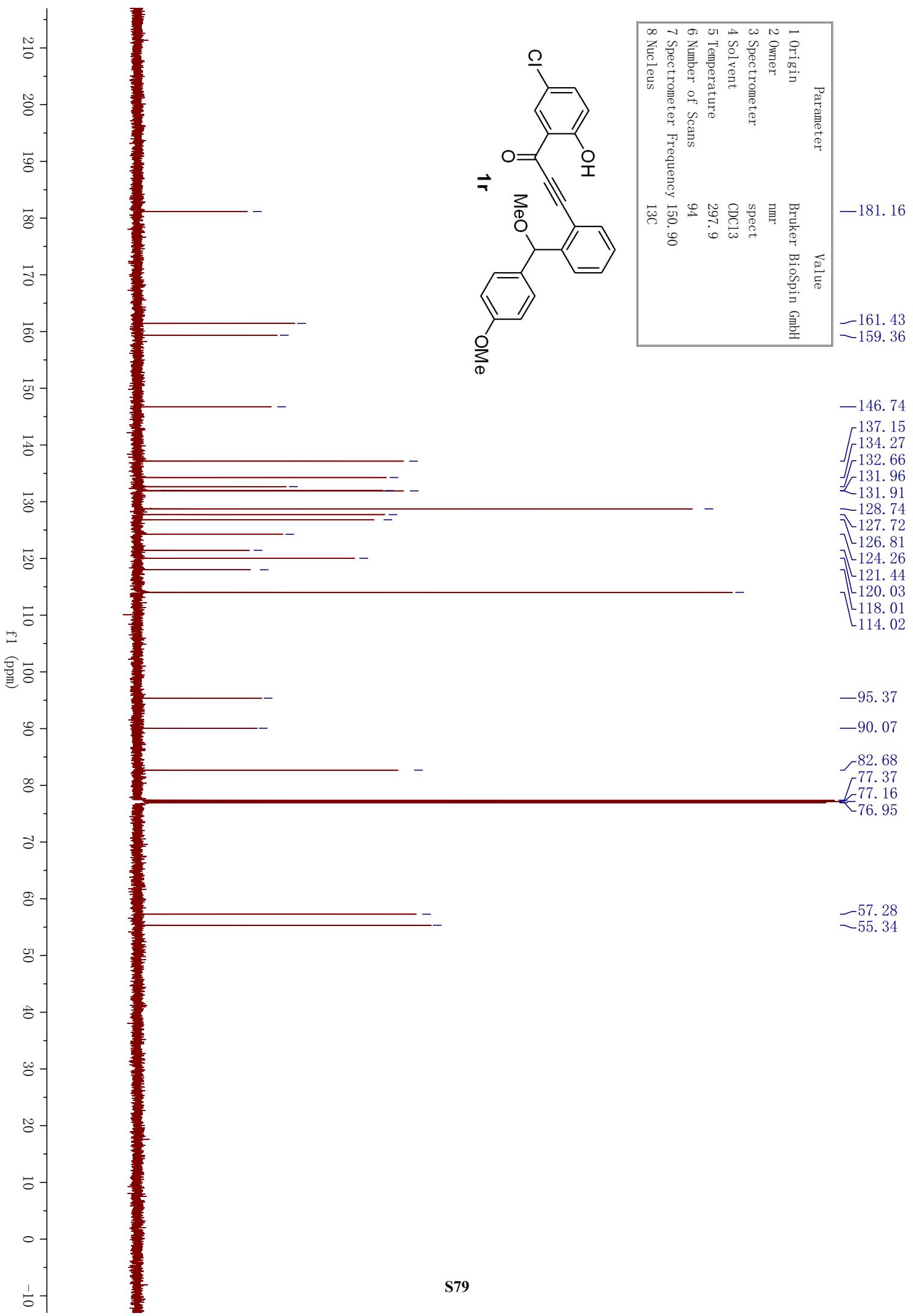


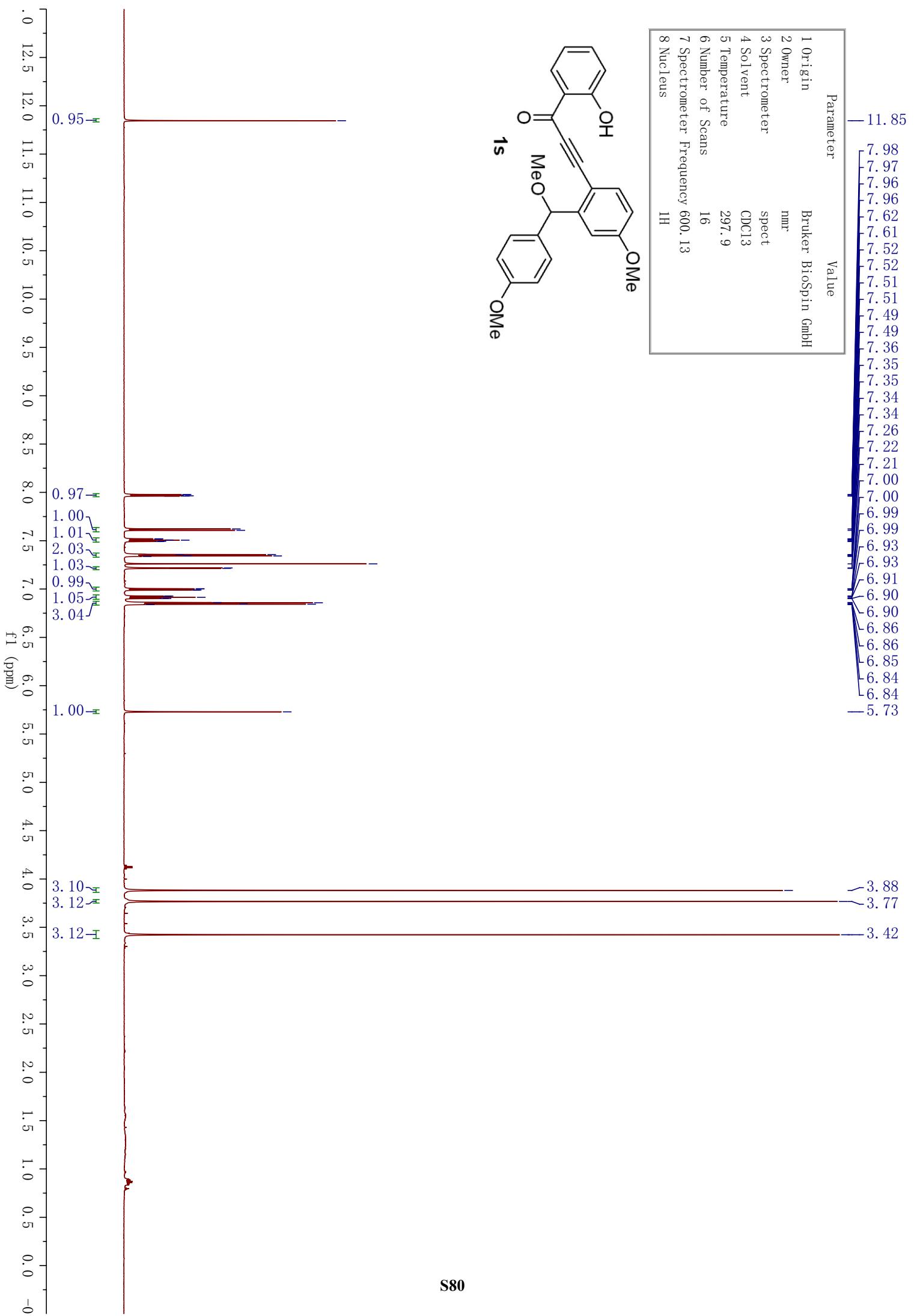


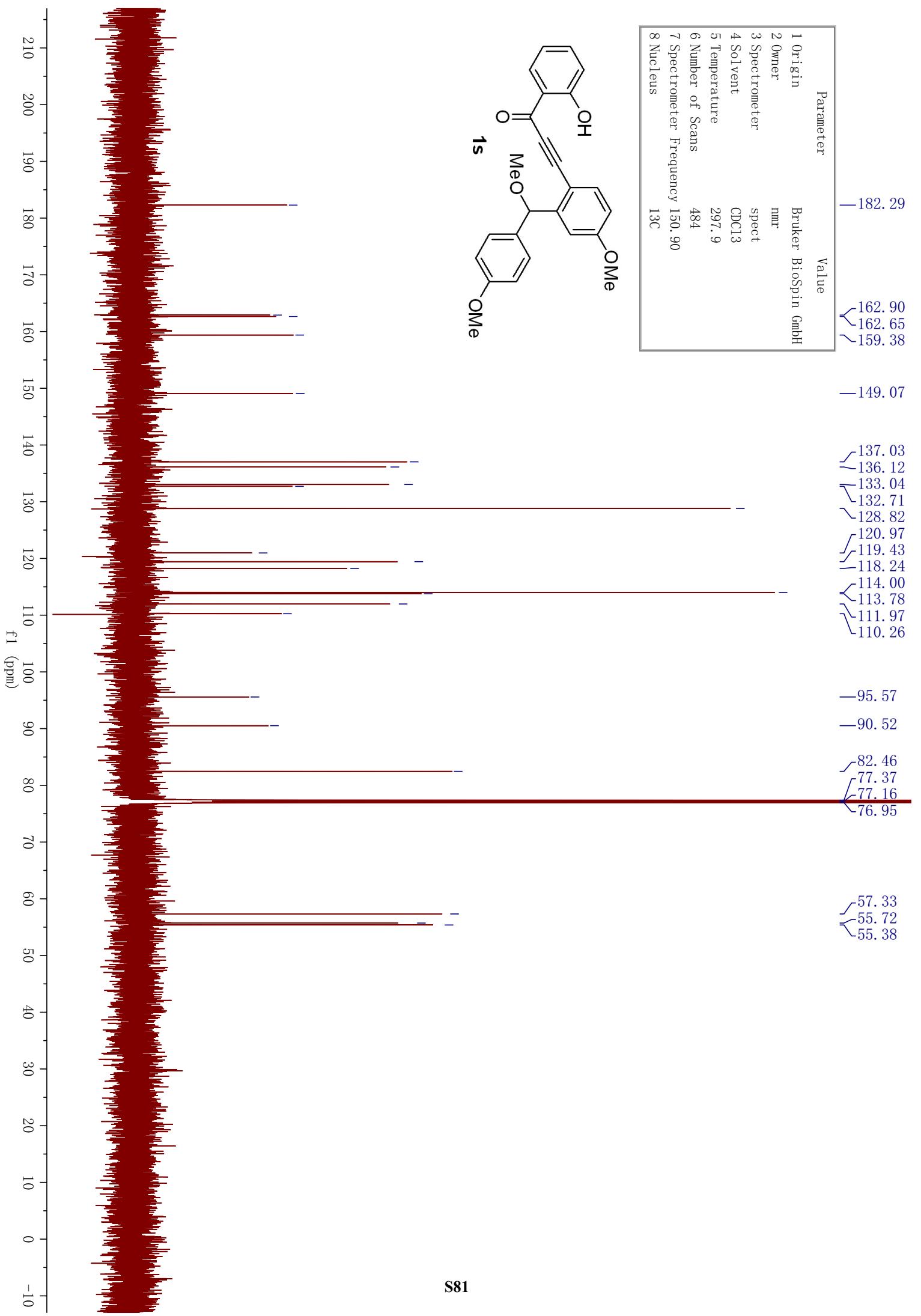


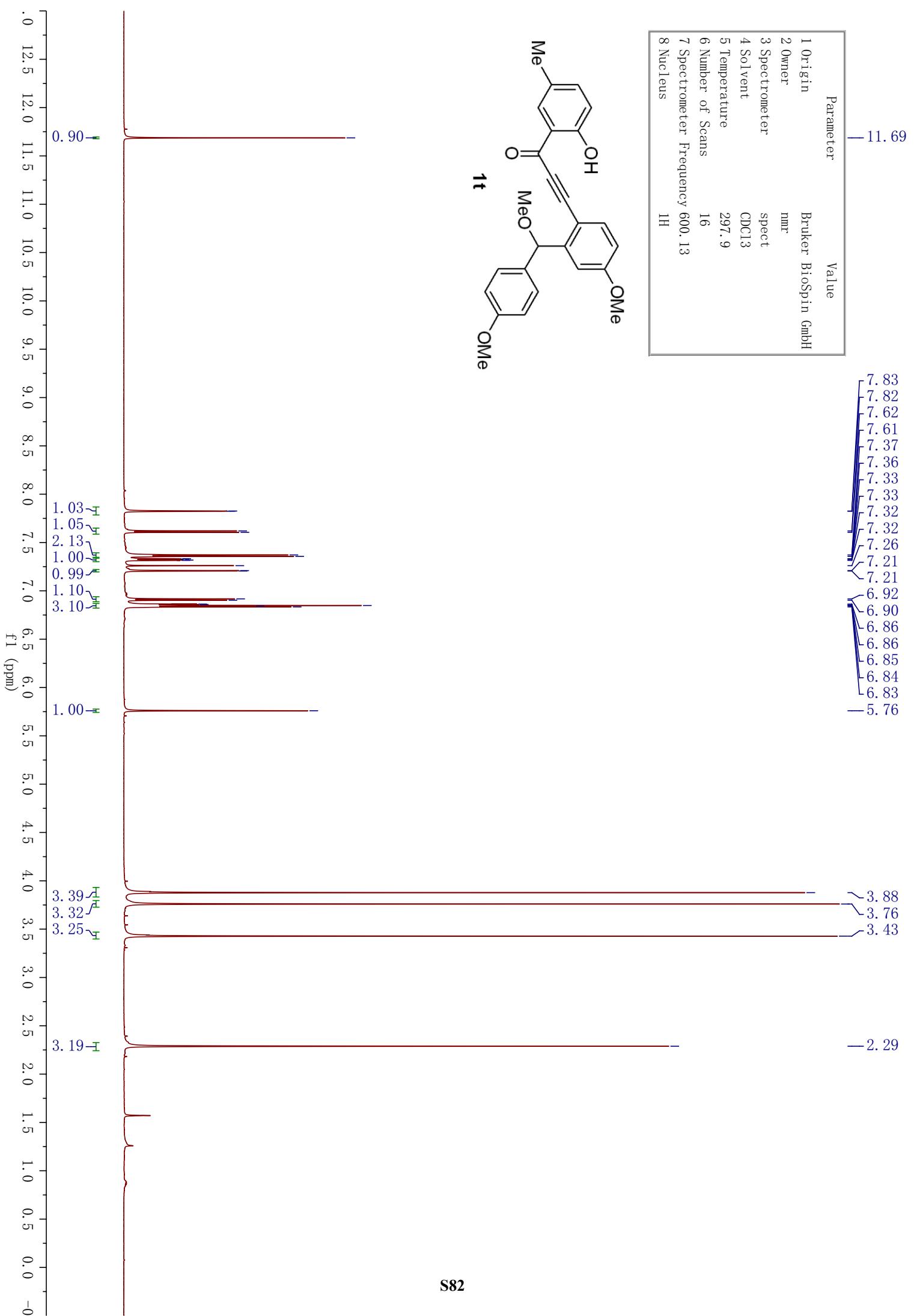


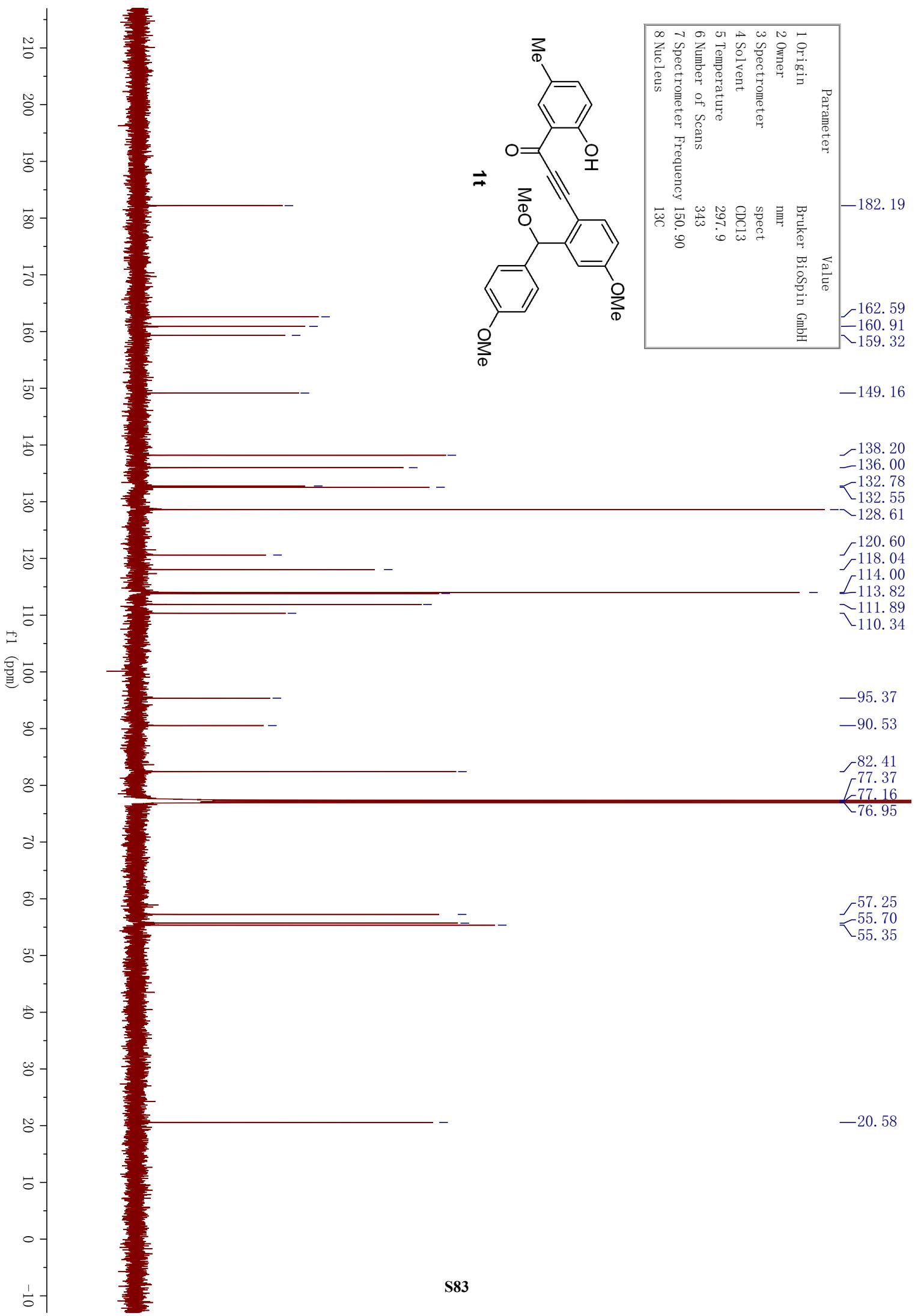


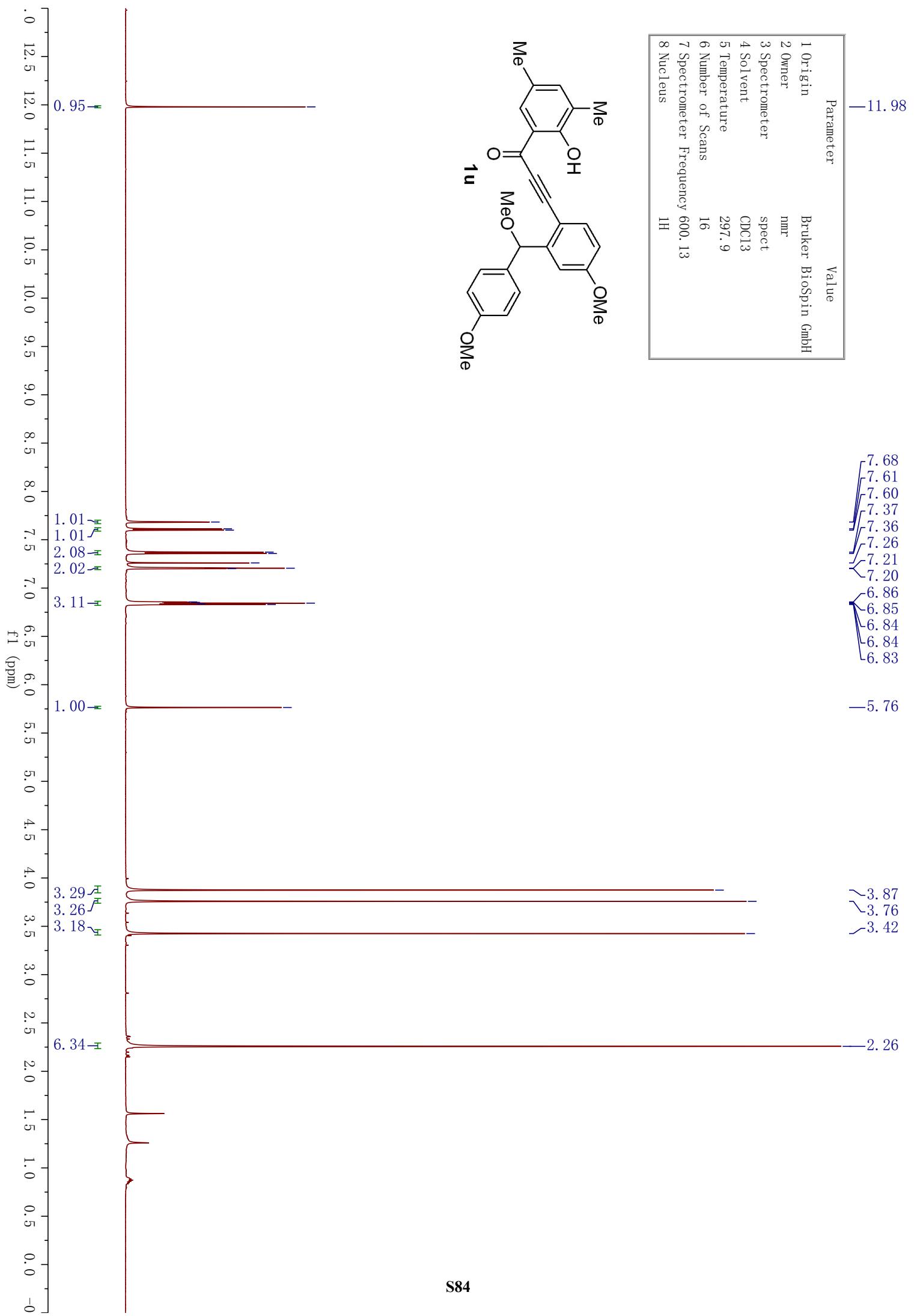


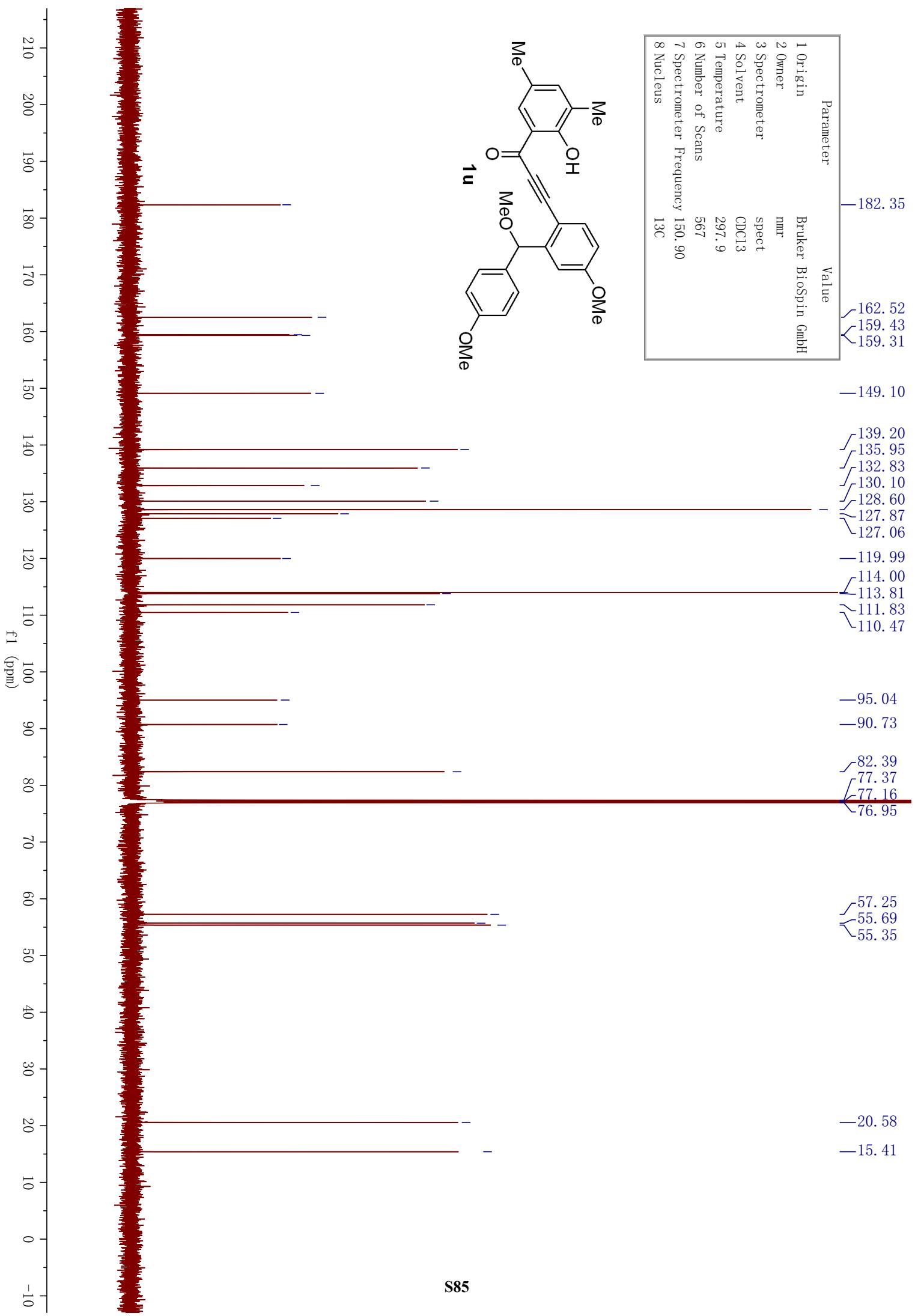


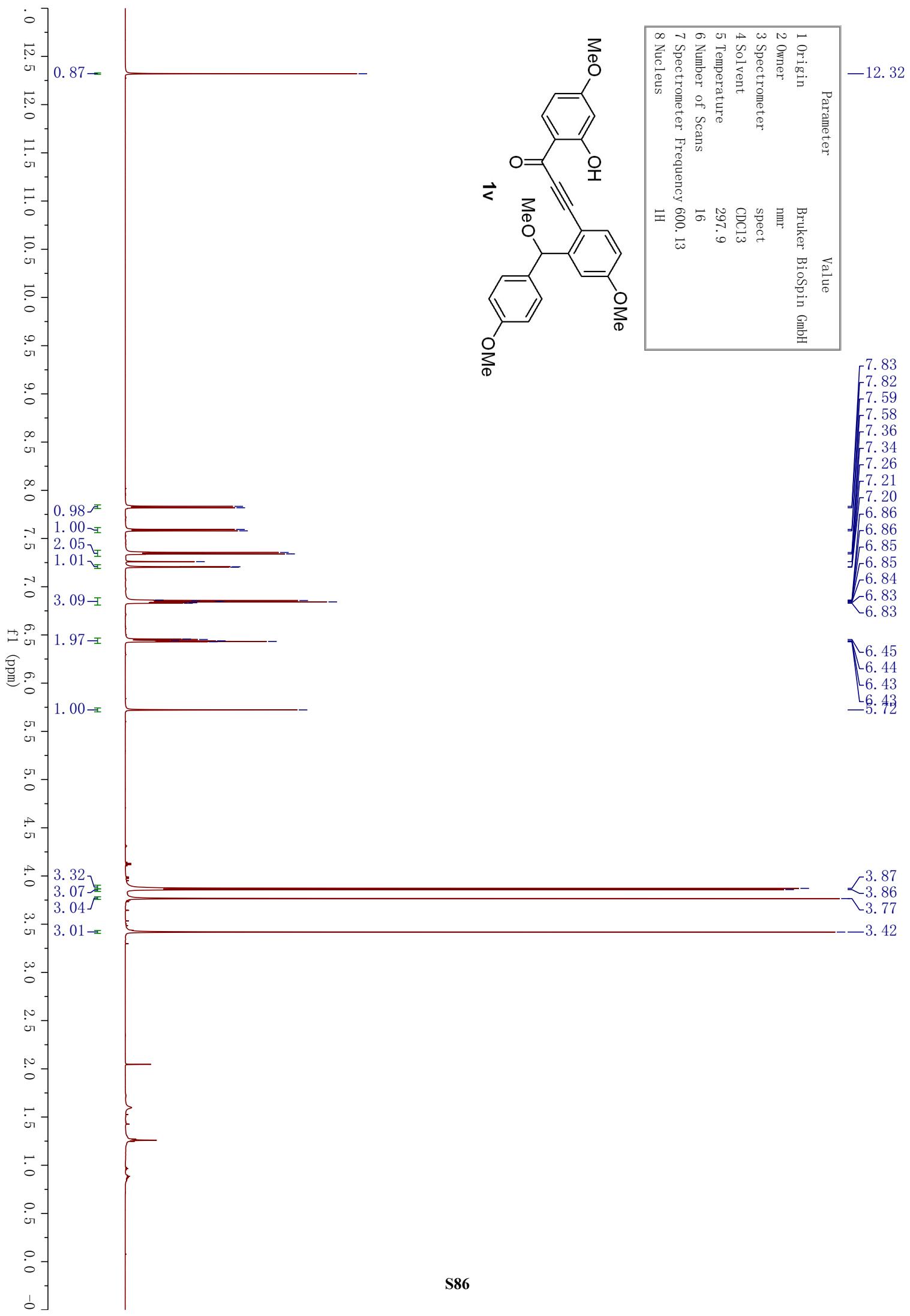


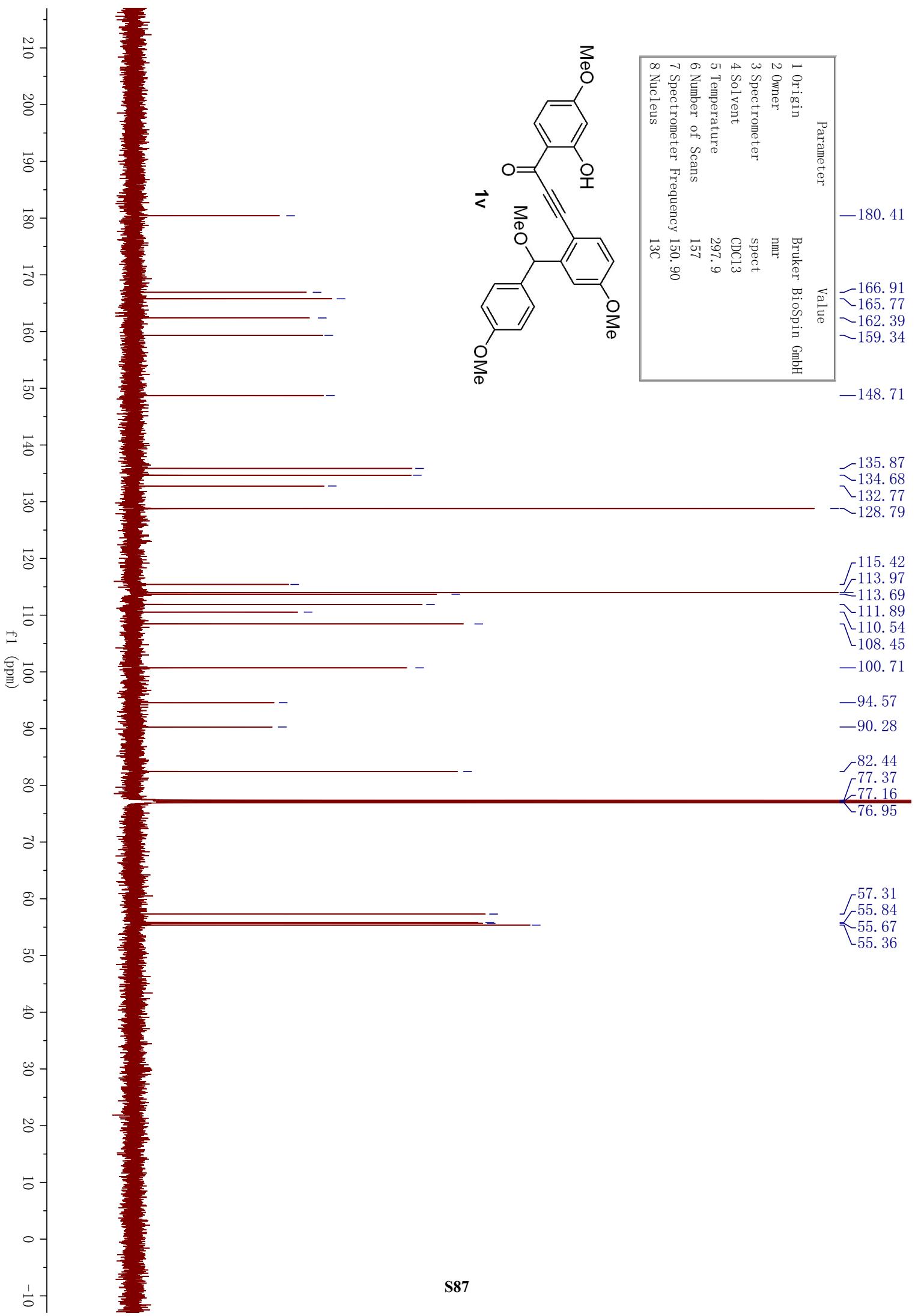


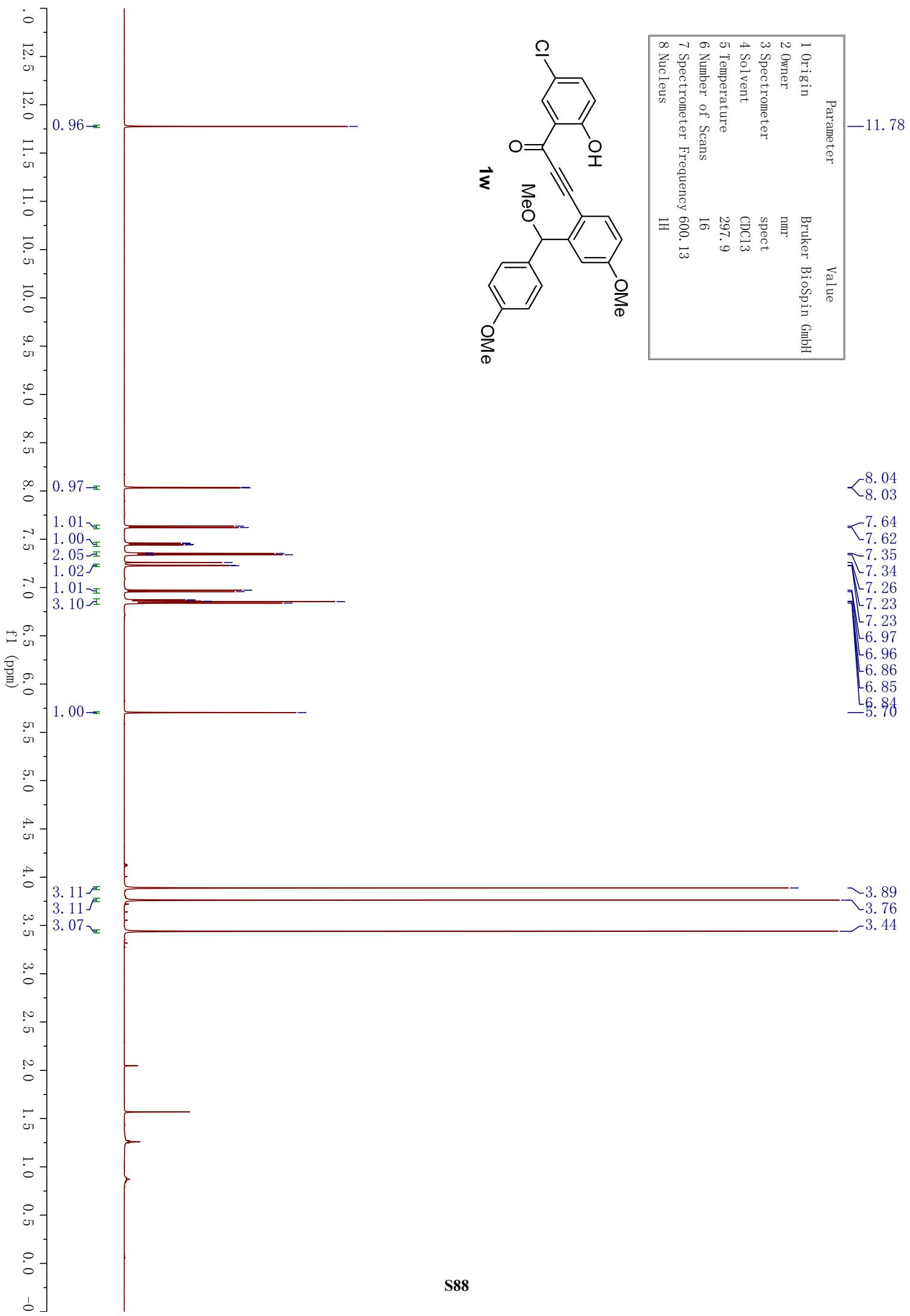


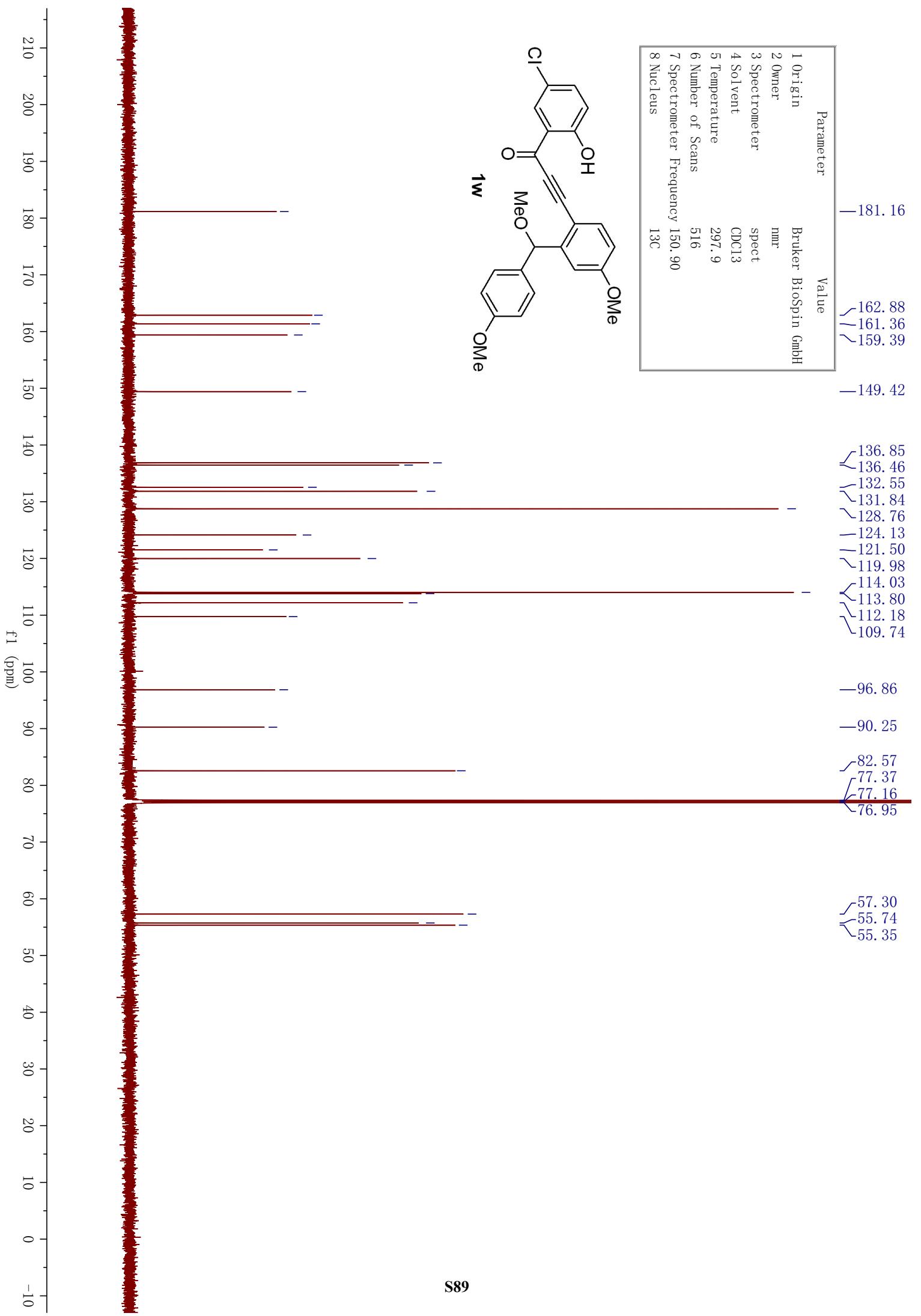


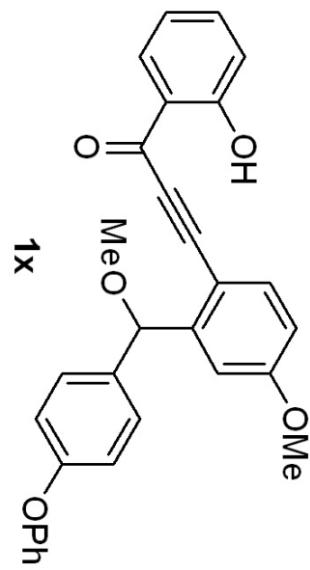
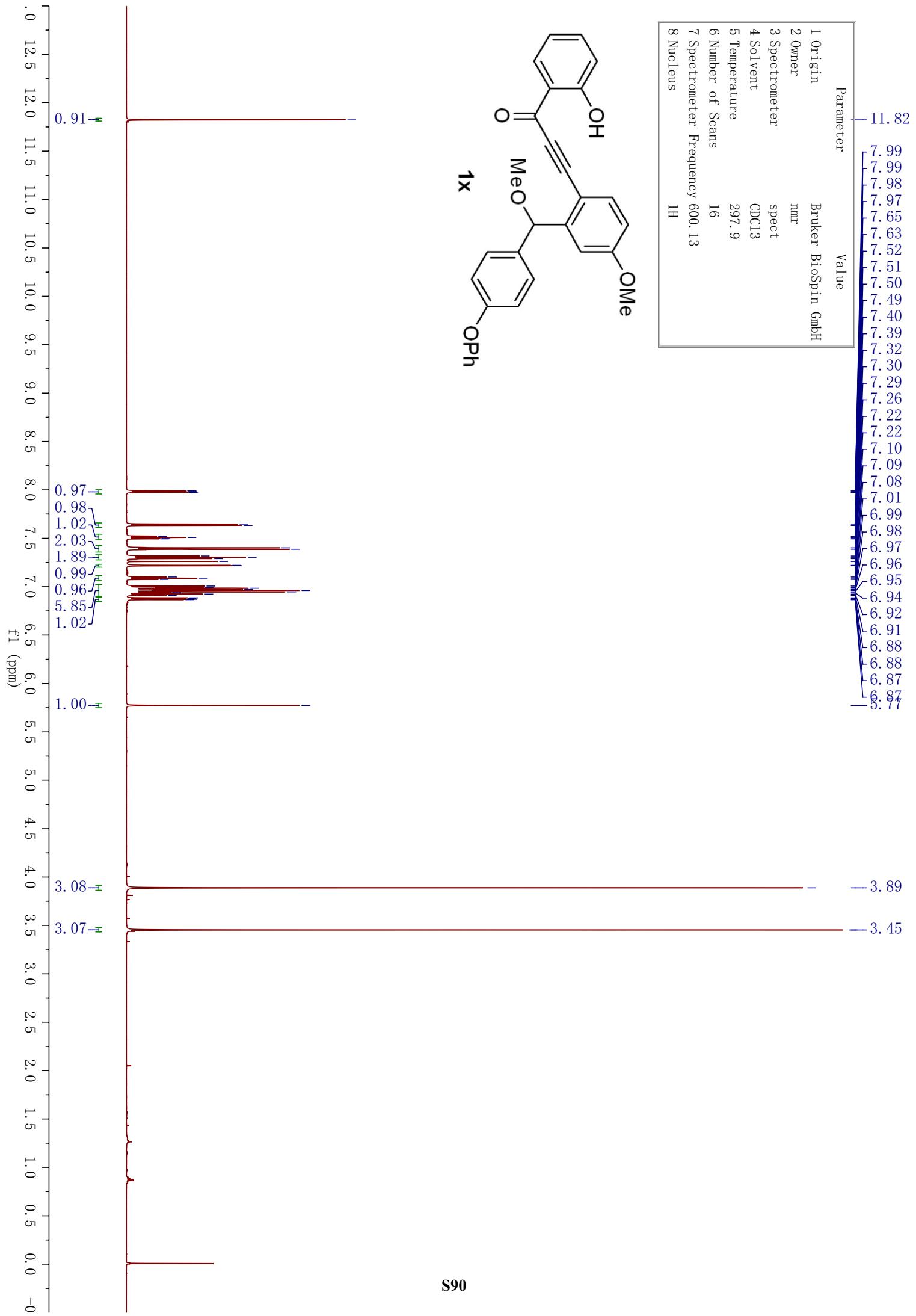




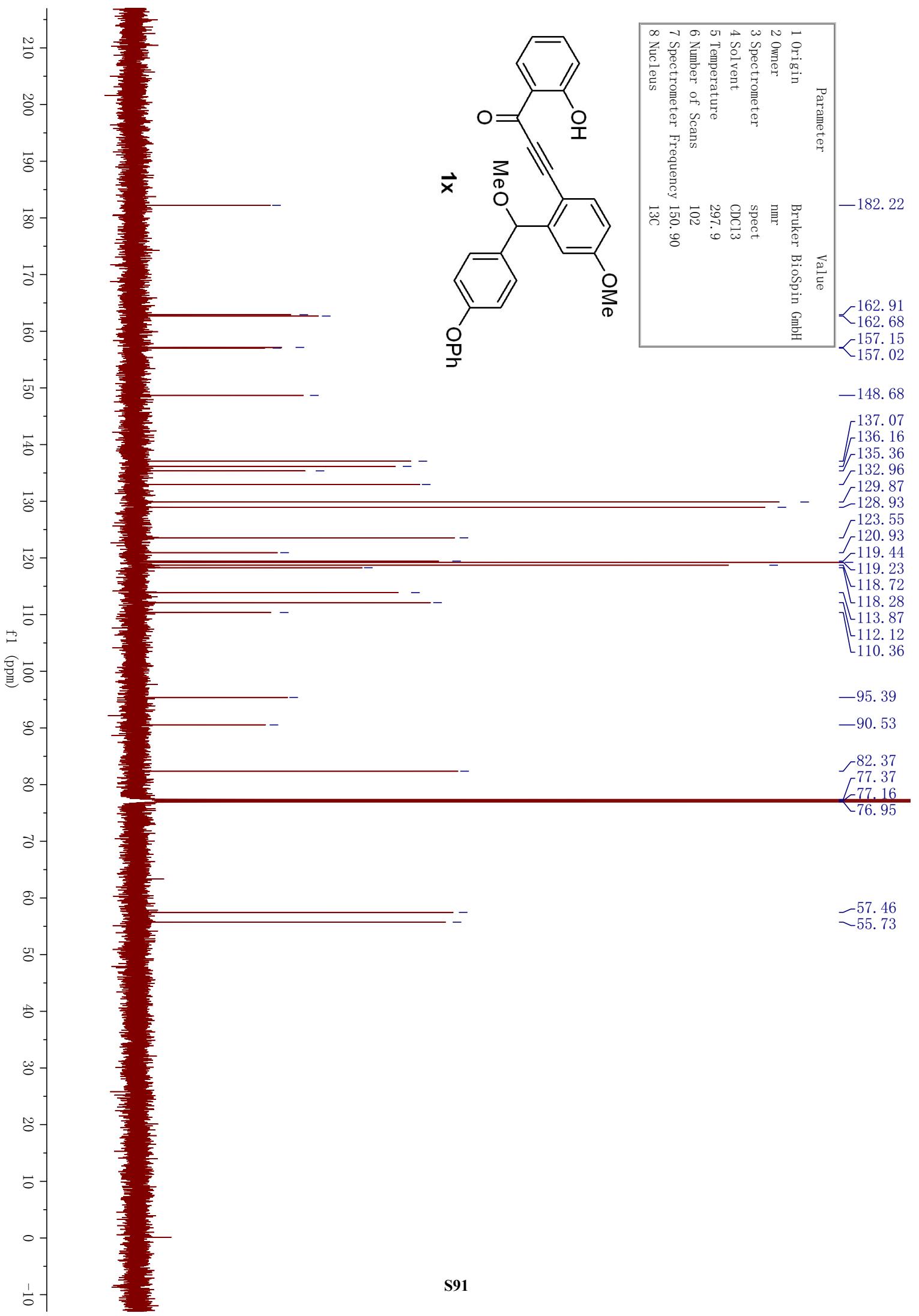


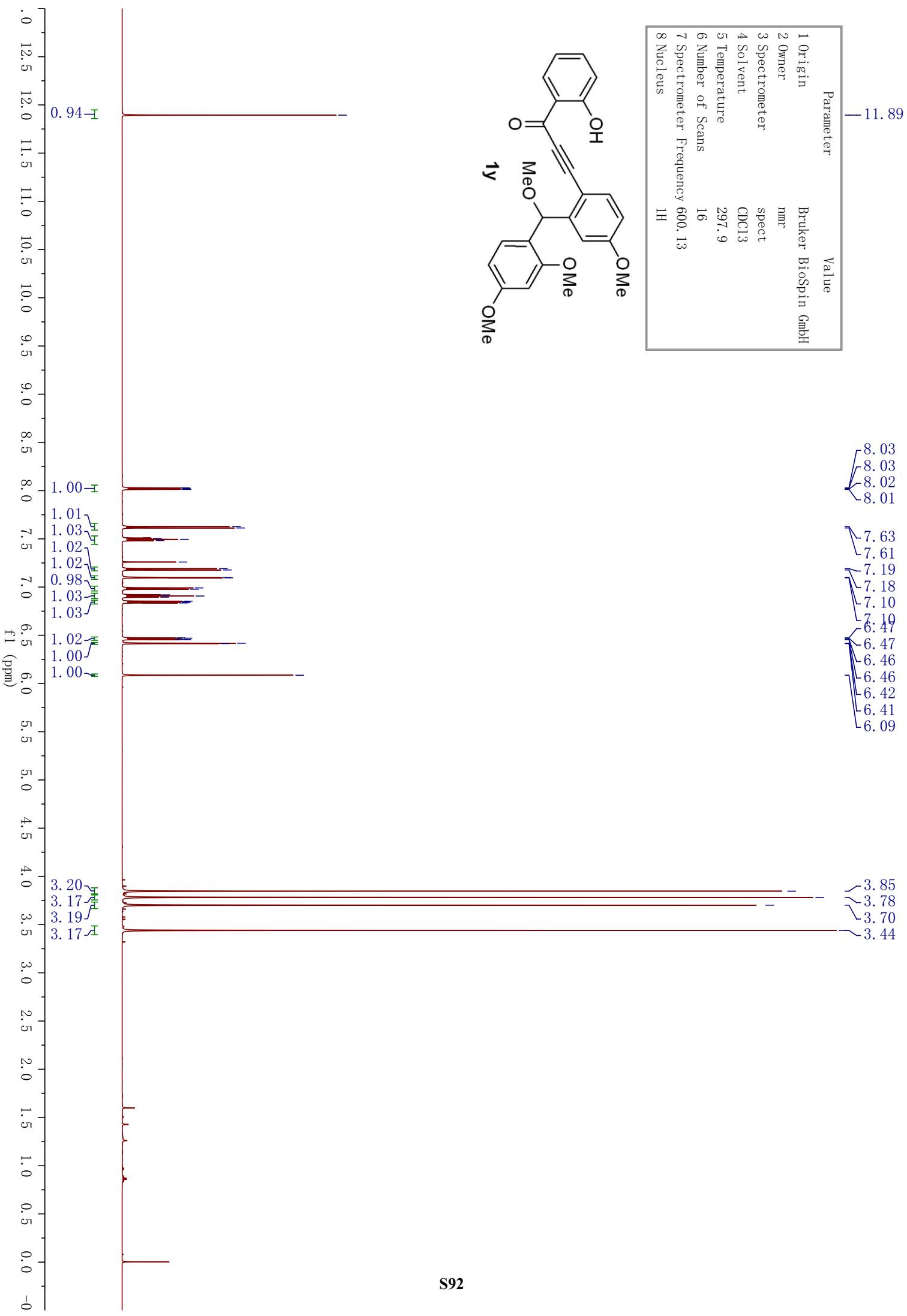


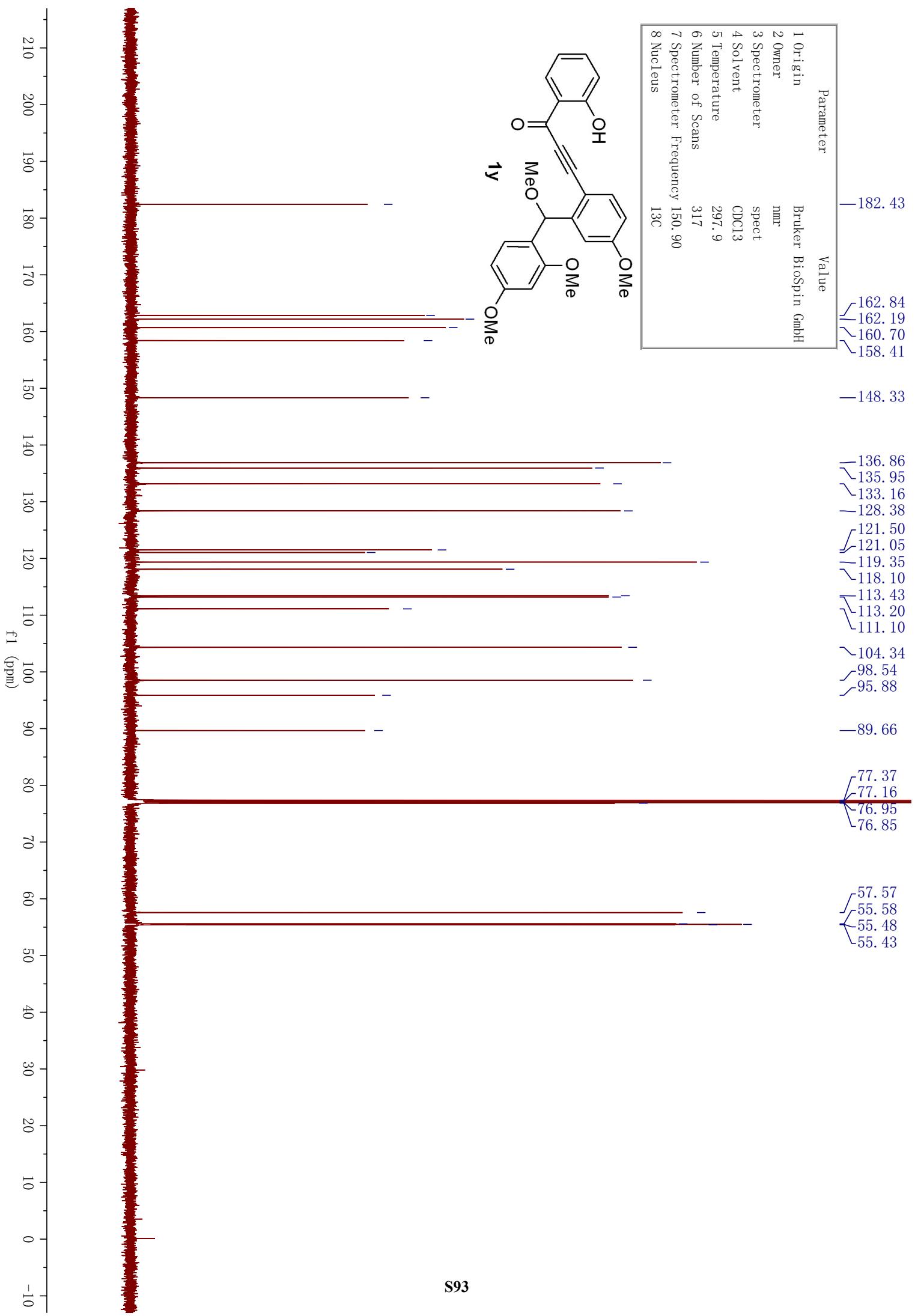


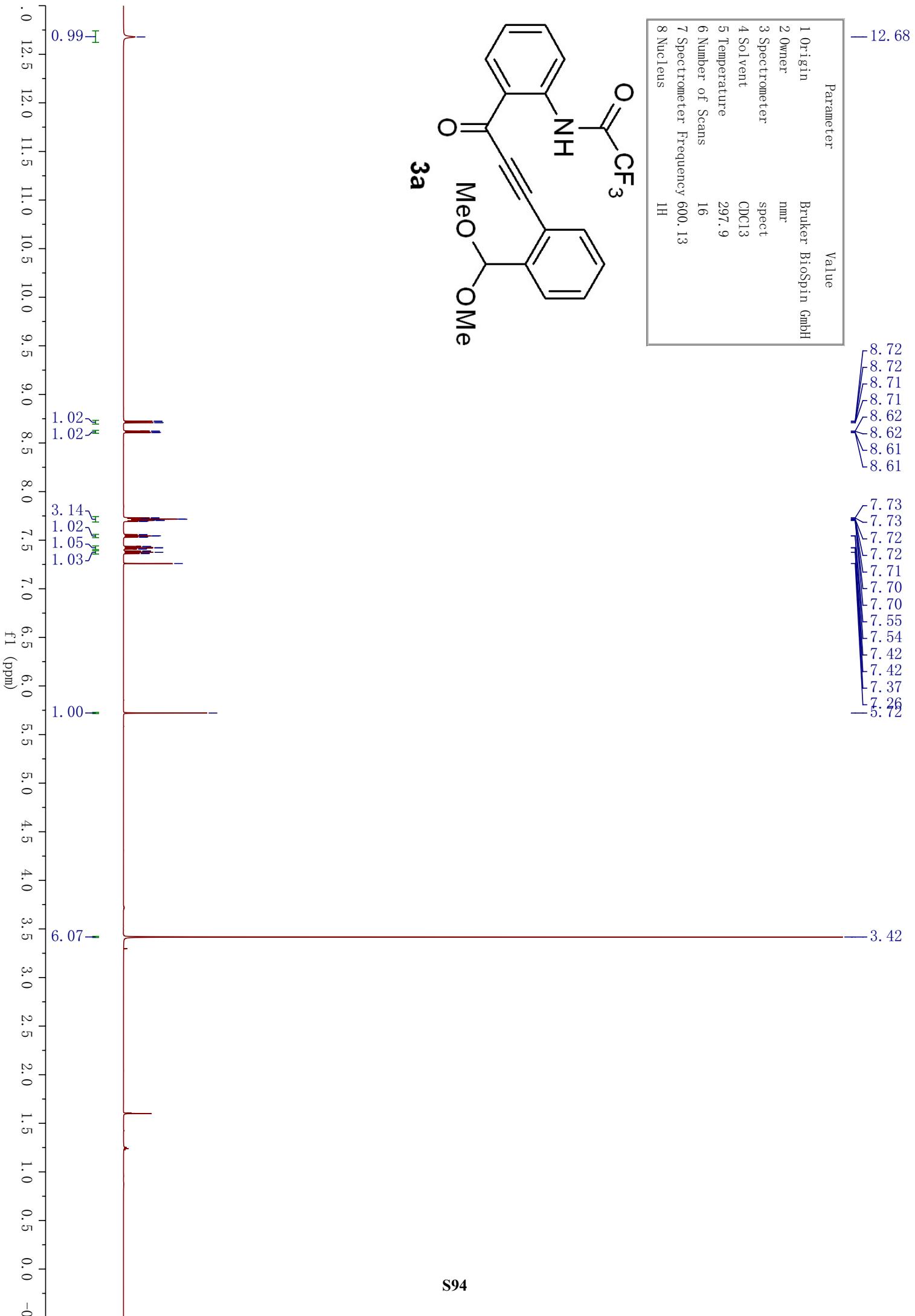


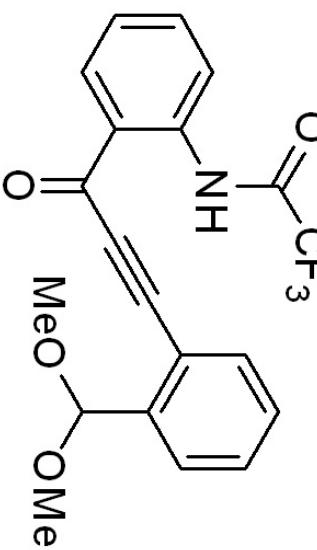
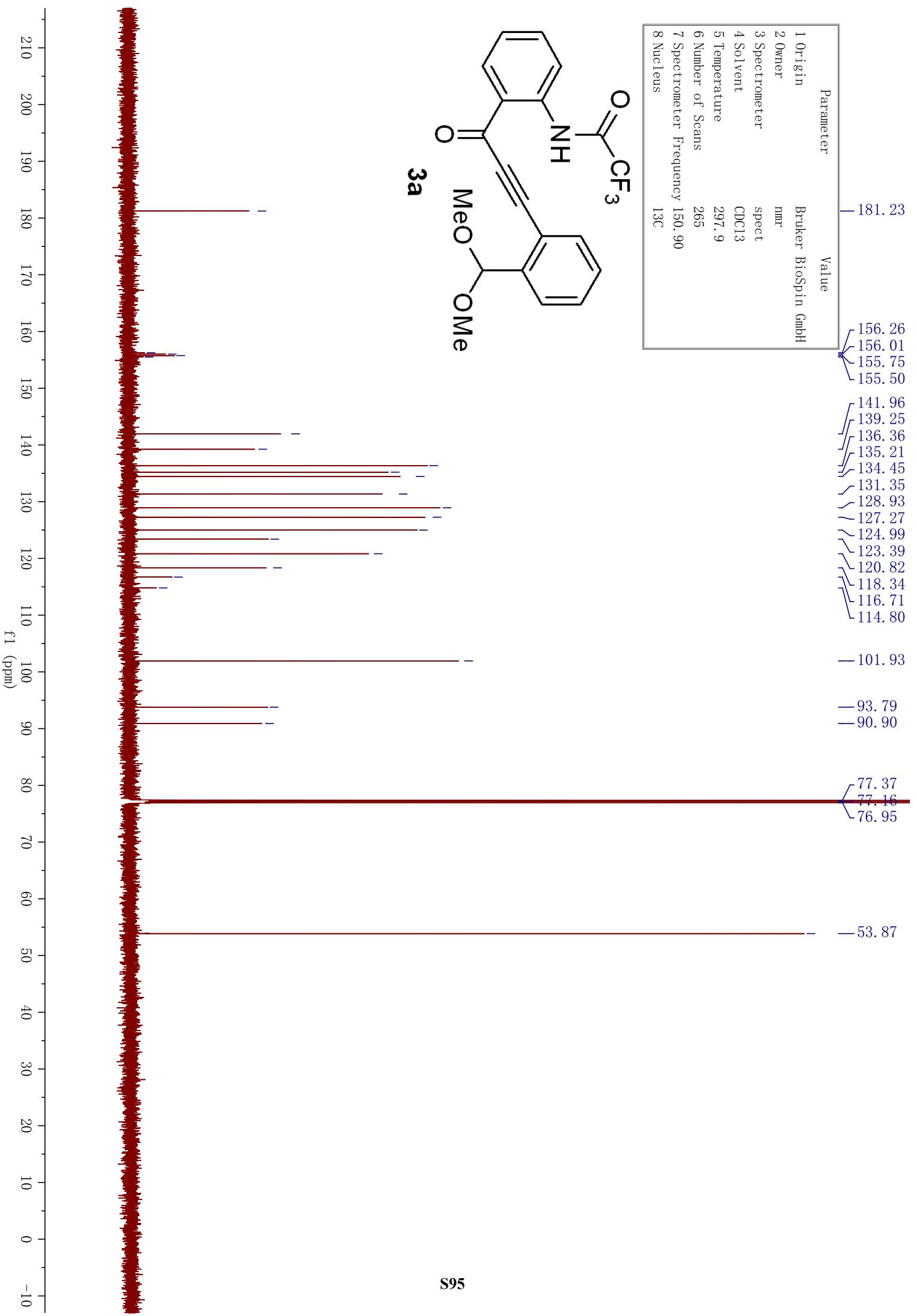
S90

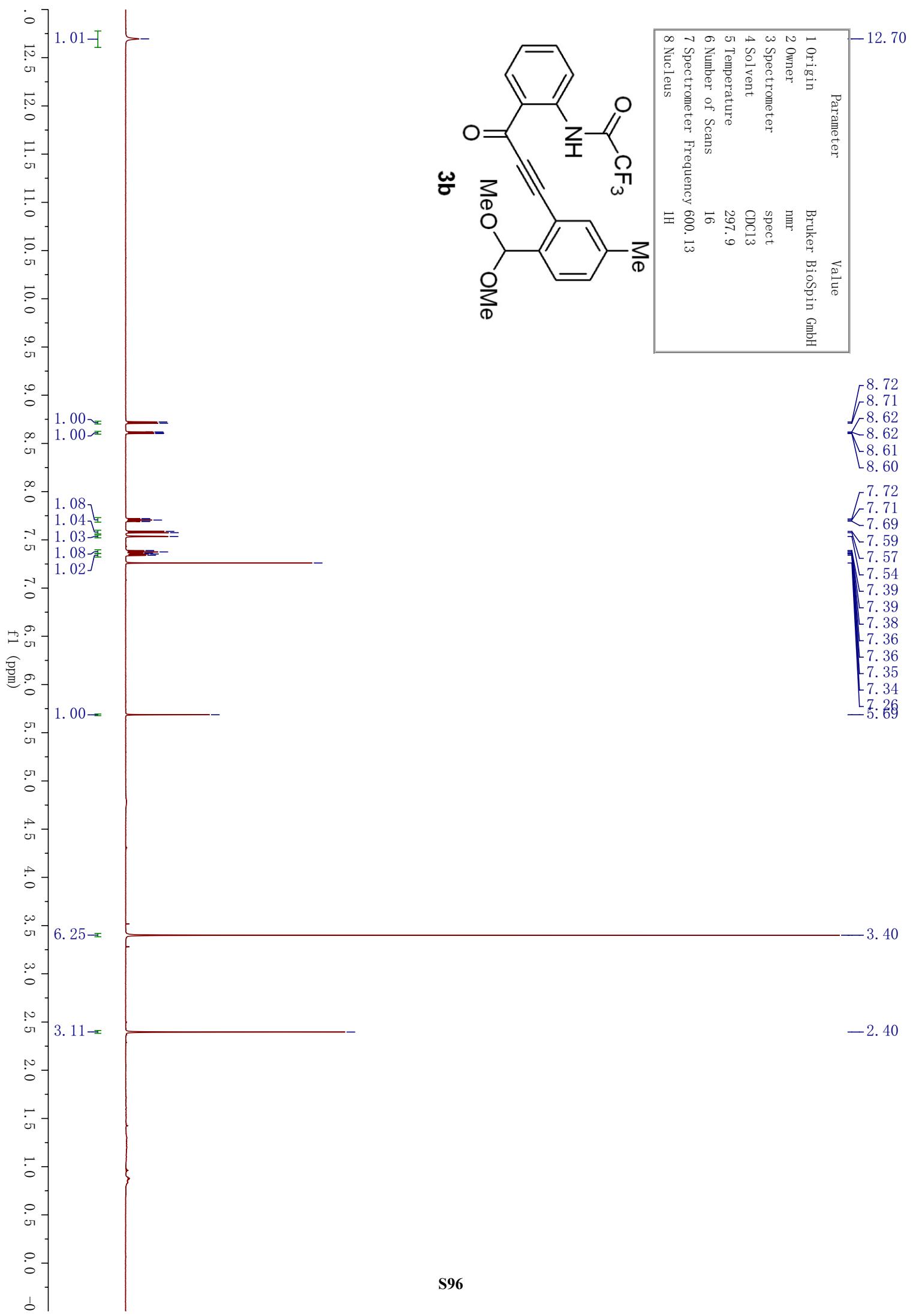


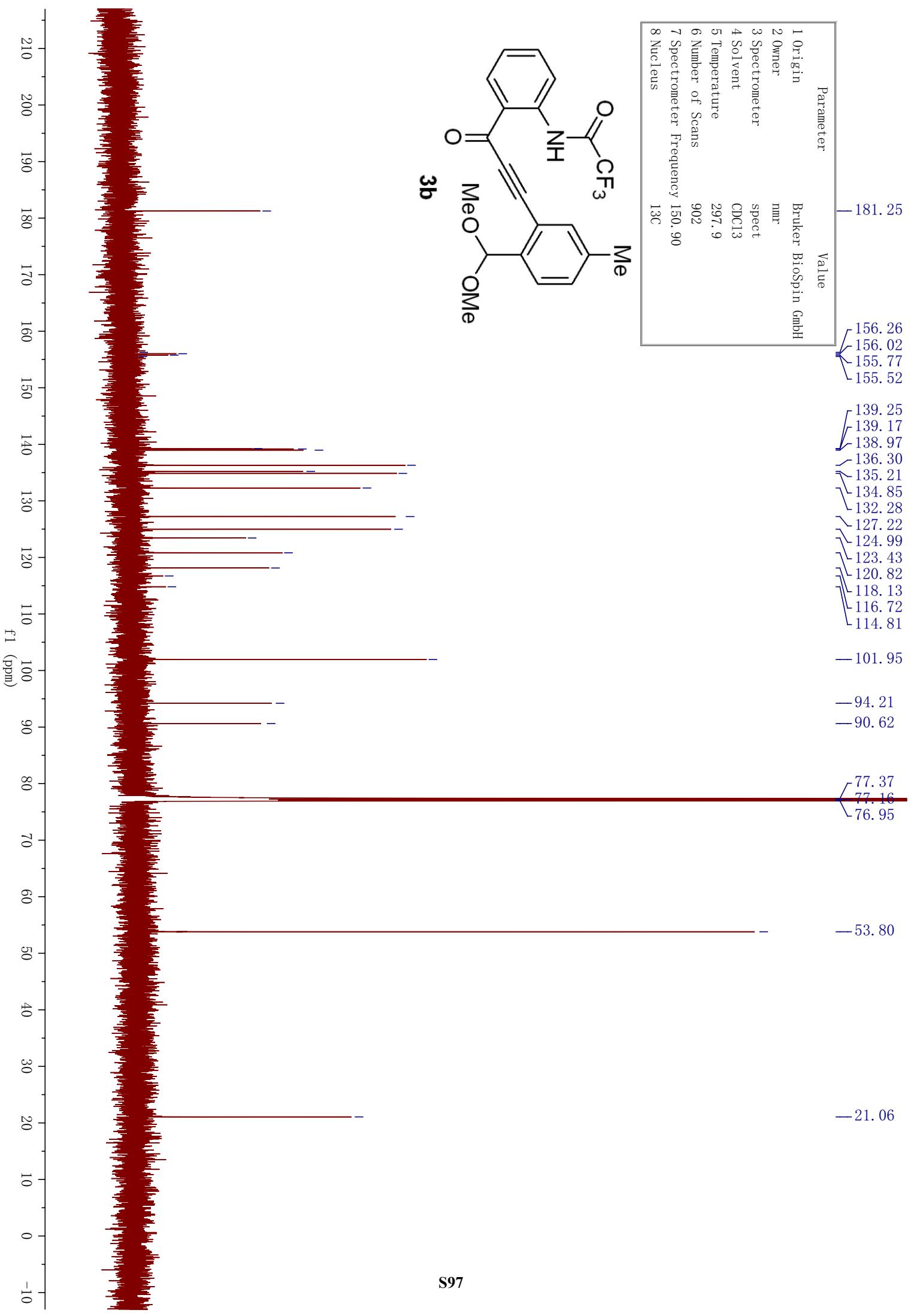


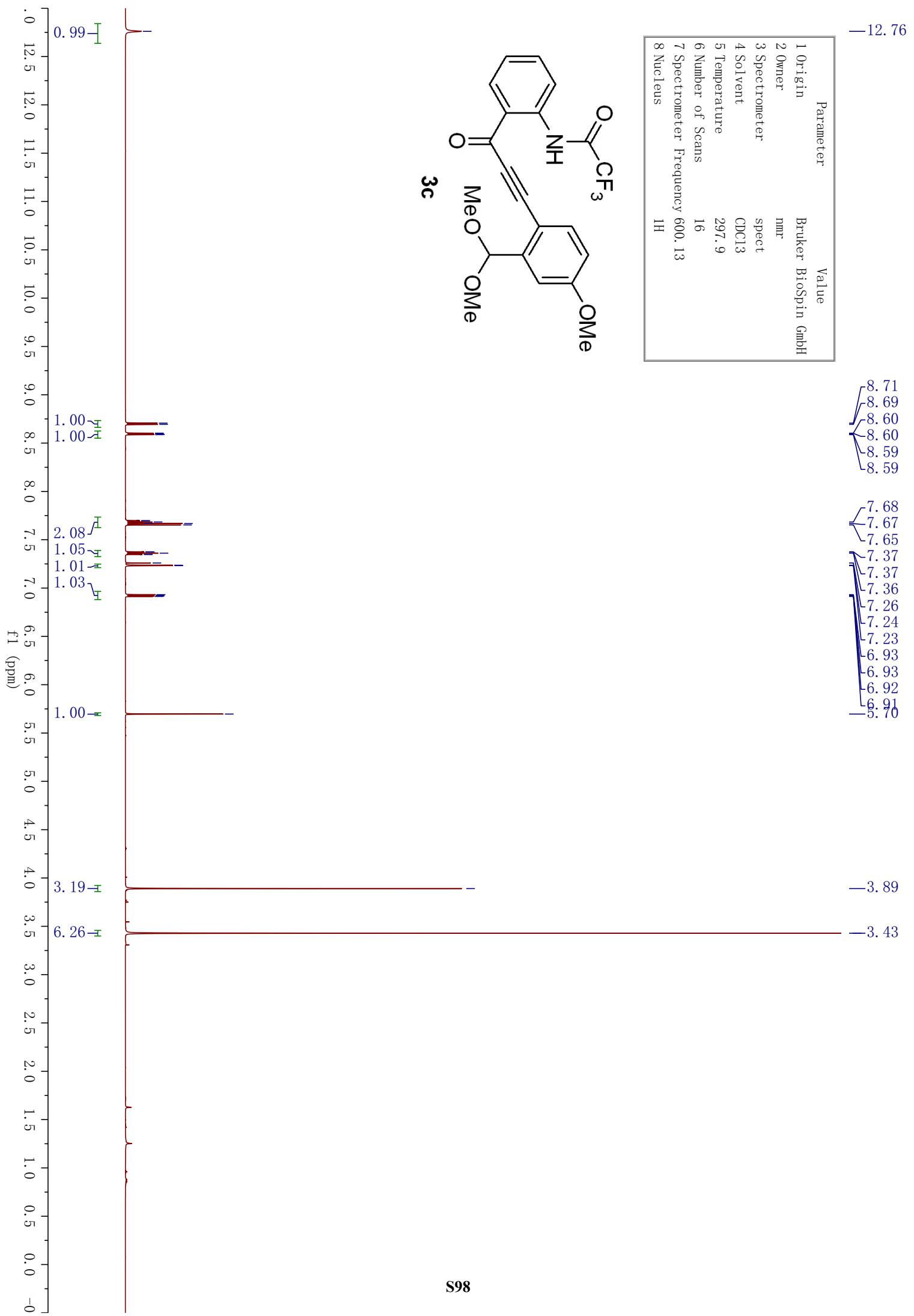


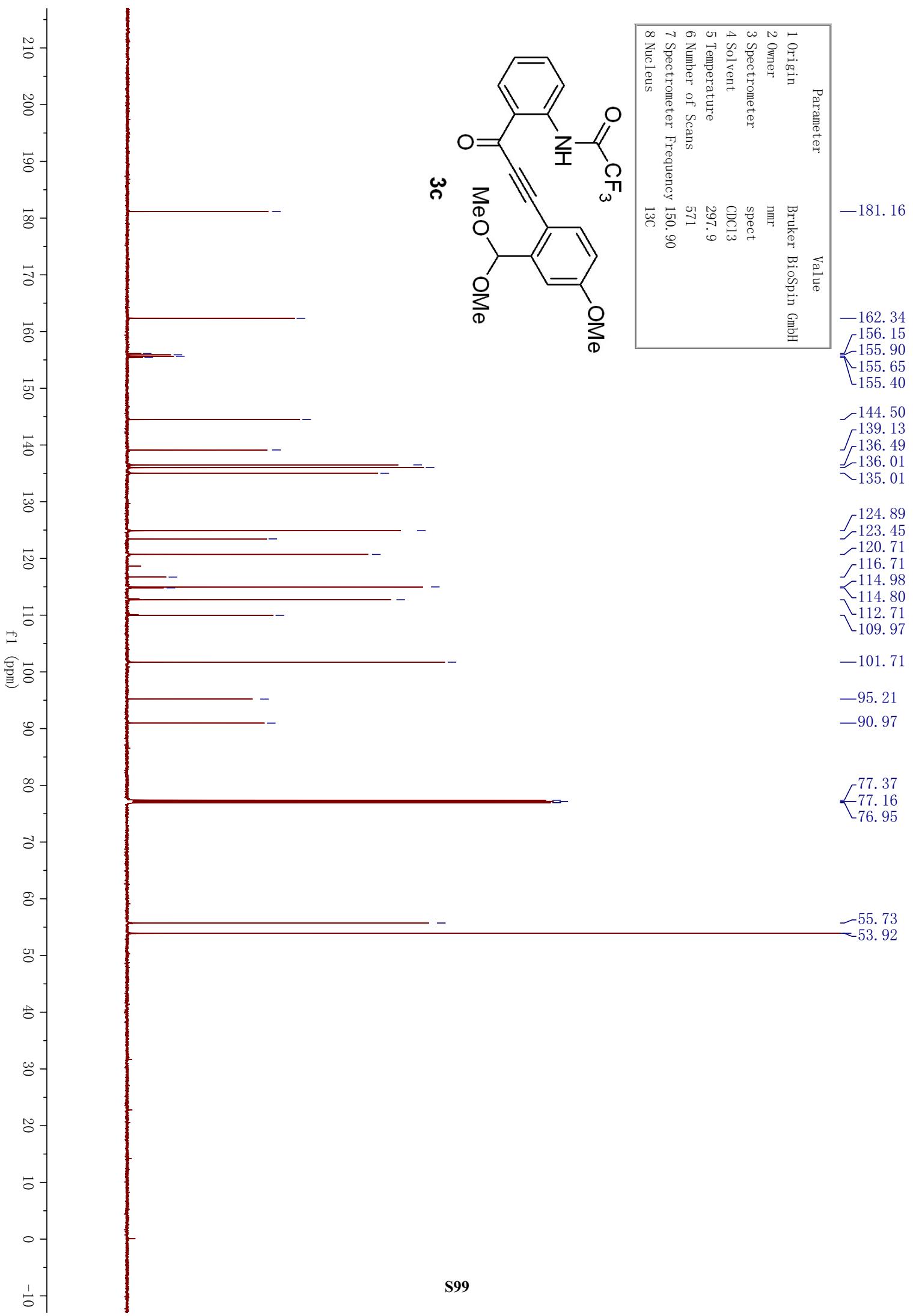


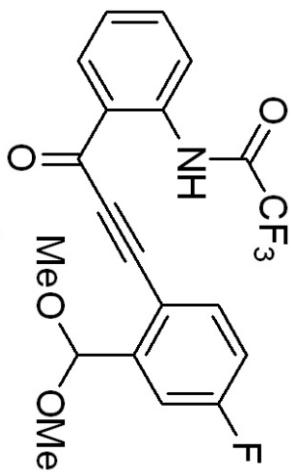
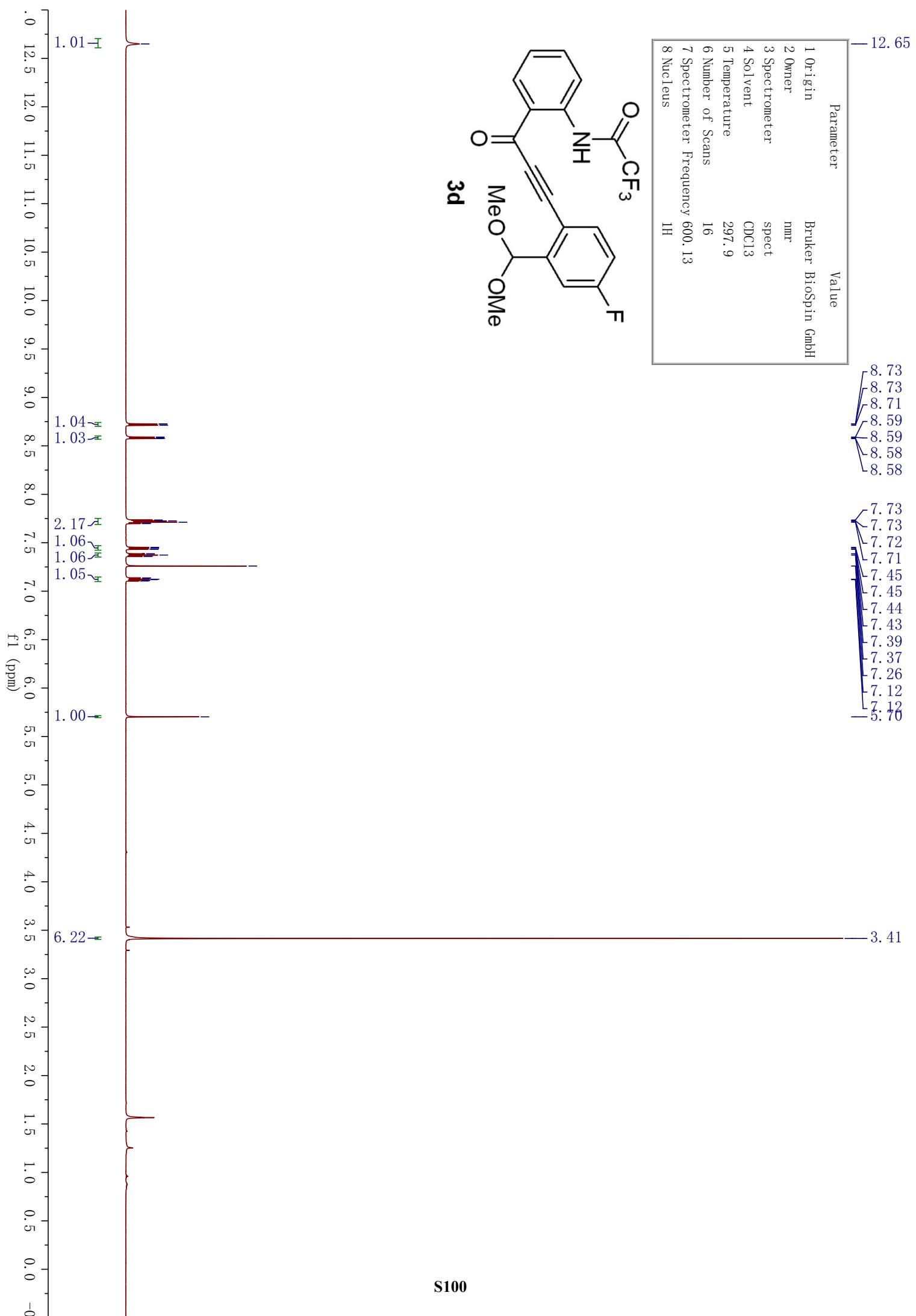


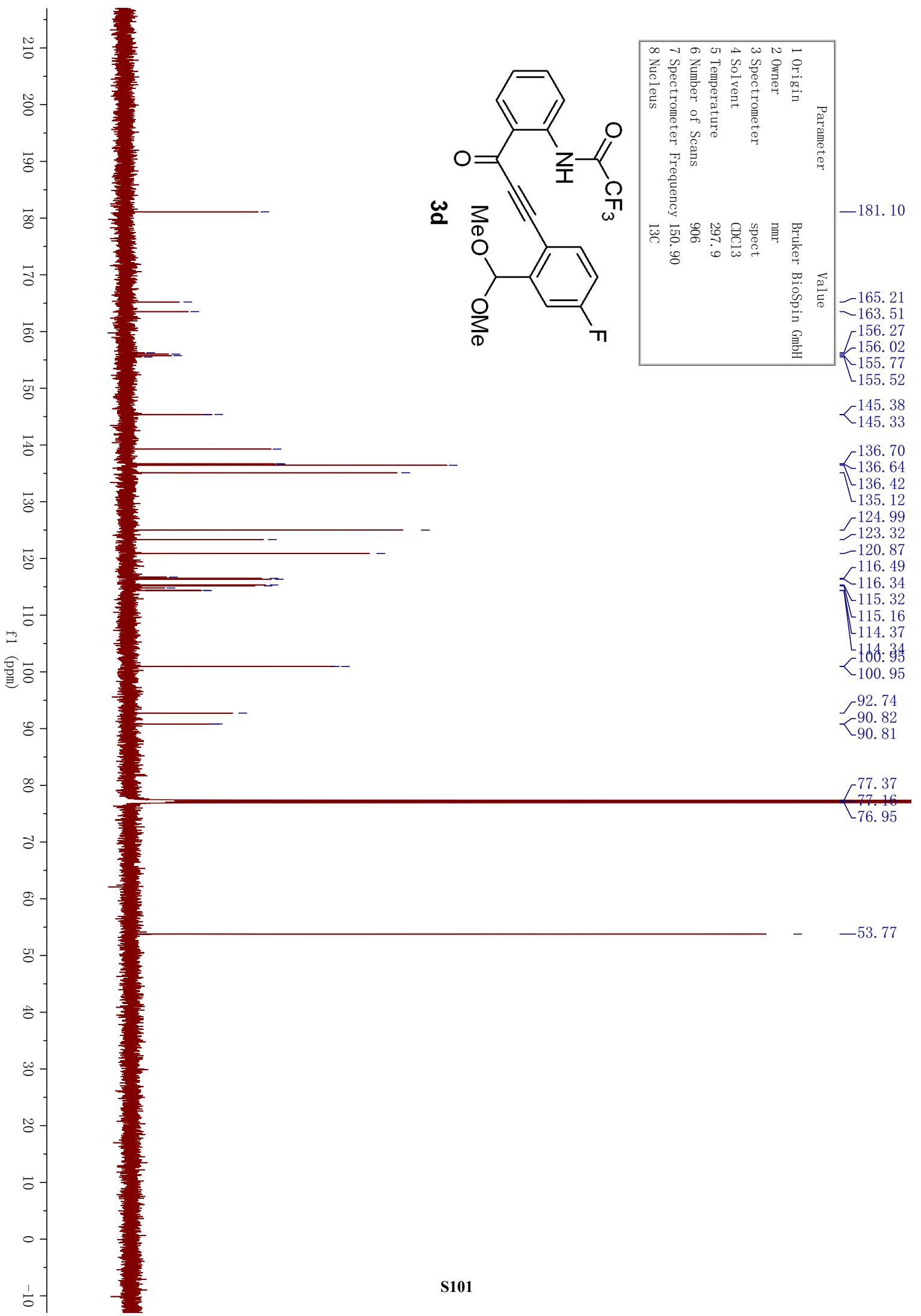


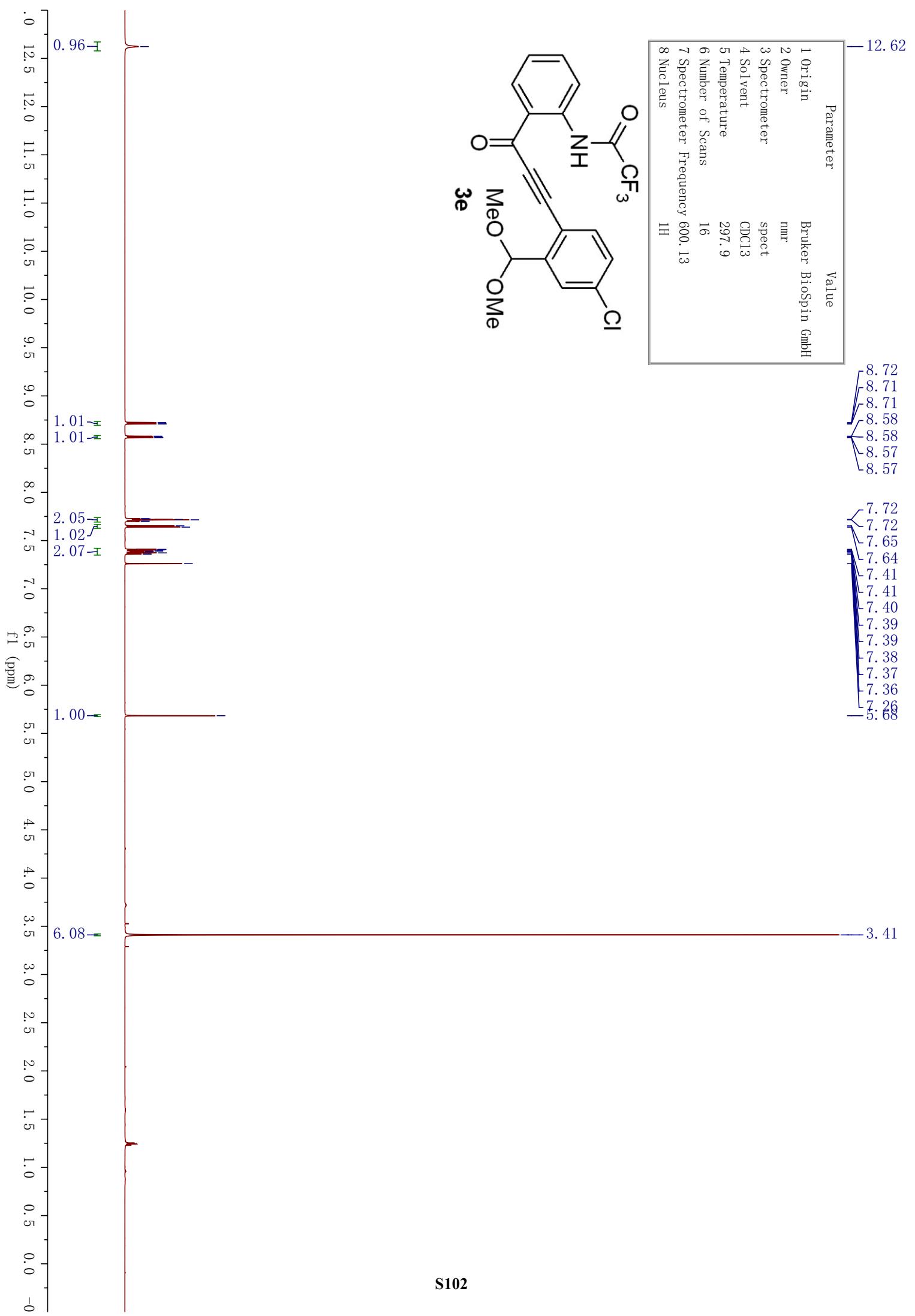


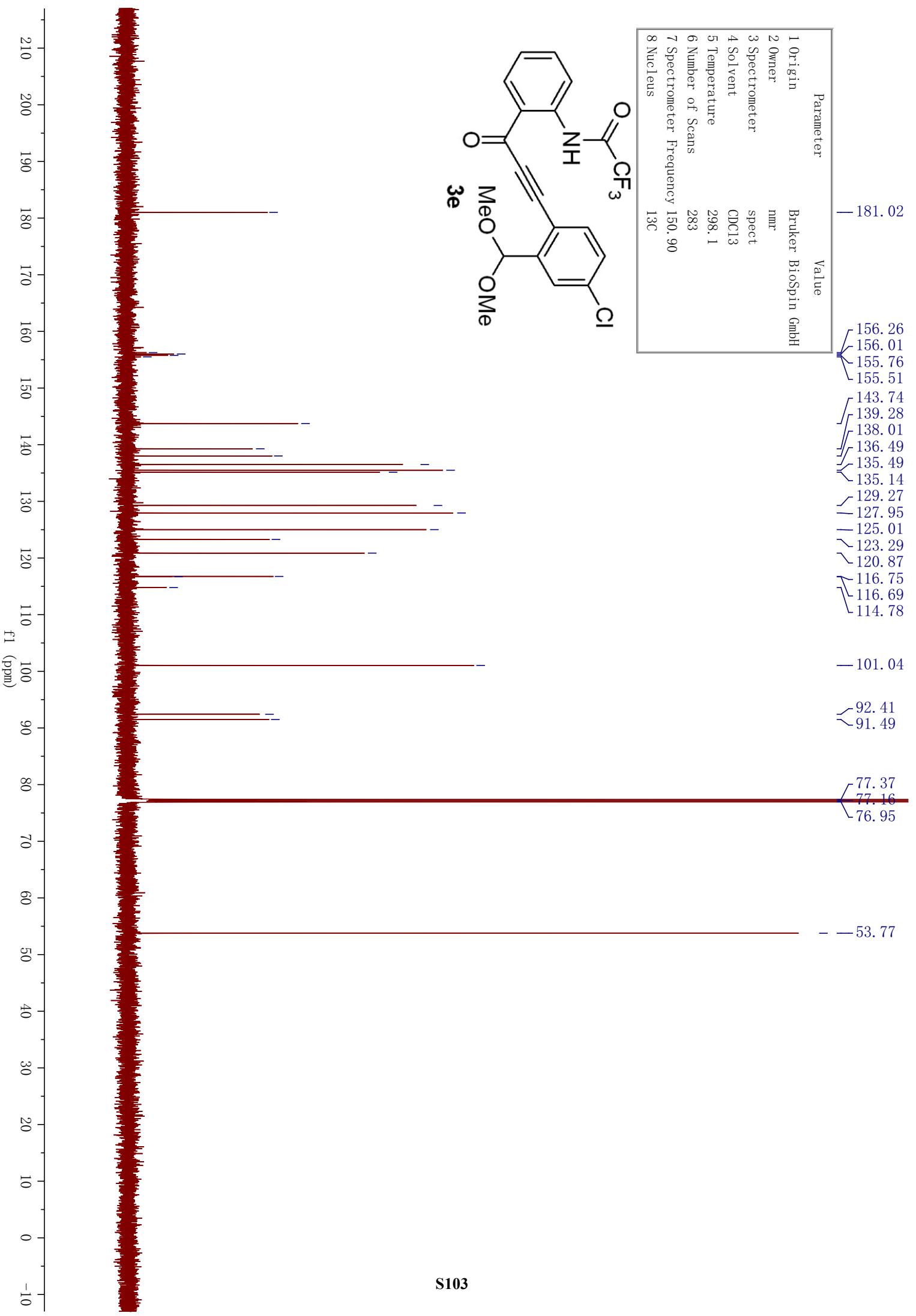


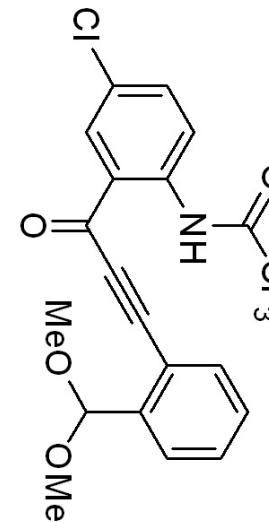
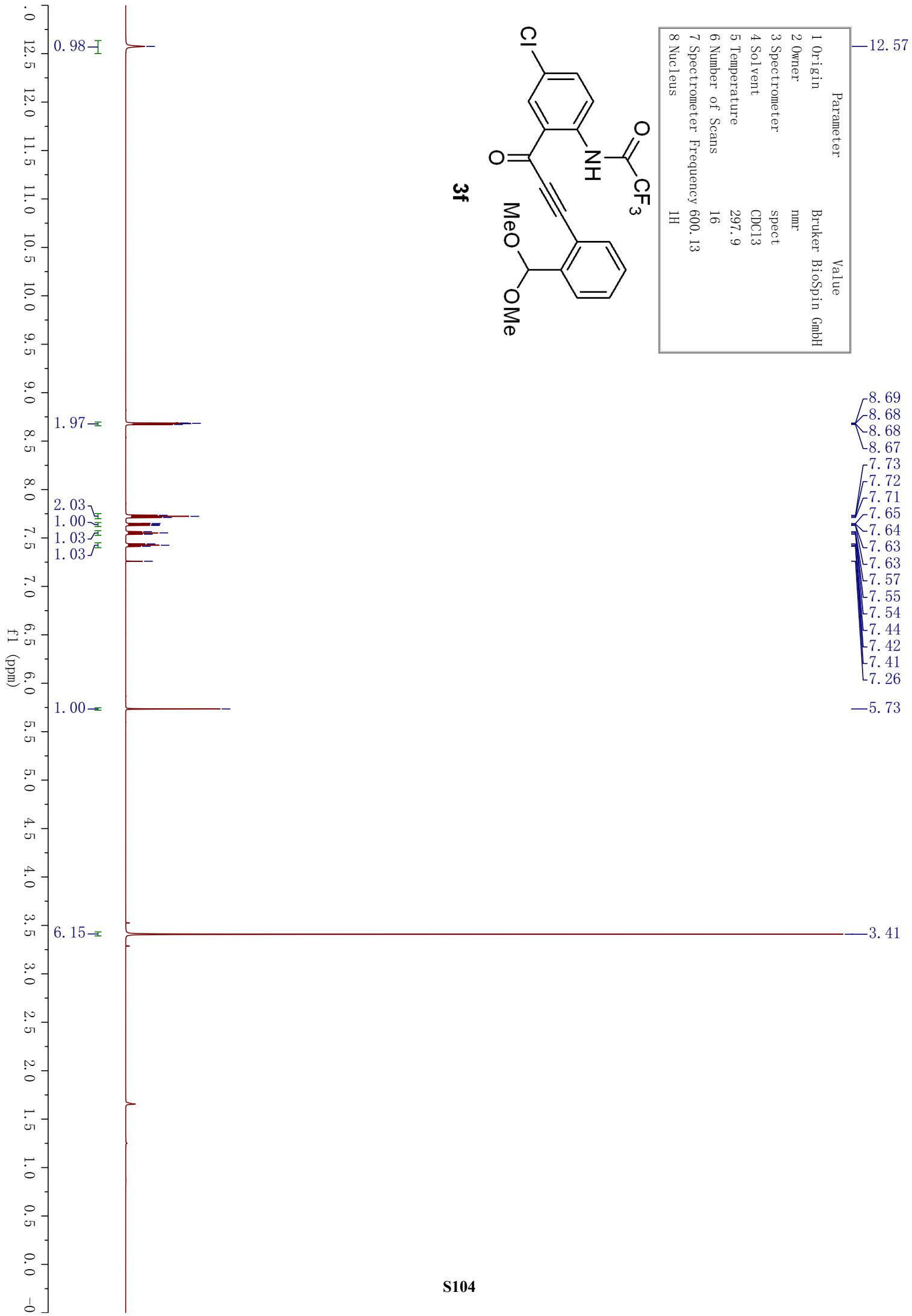






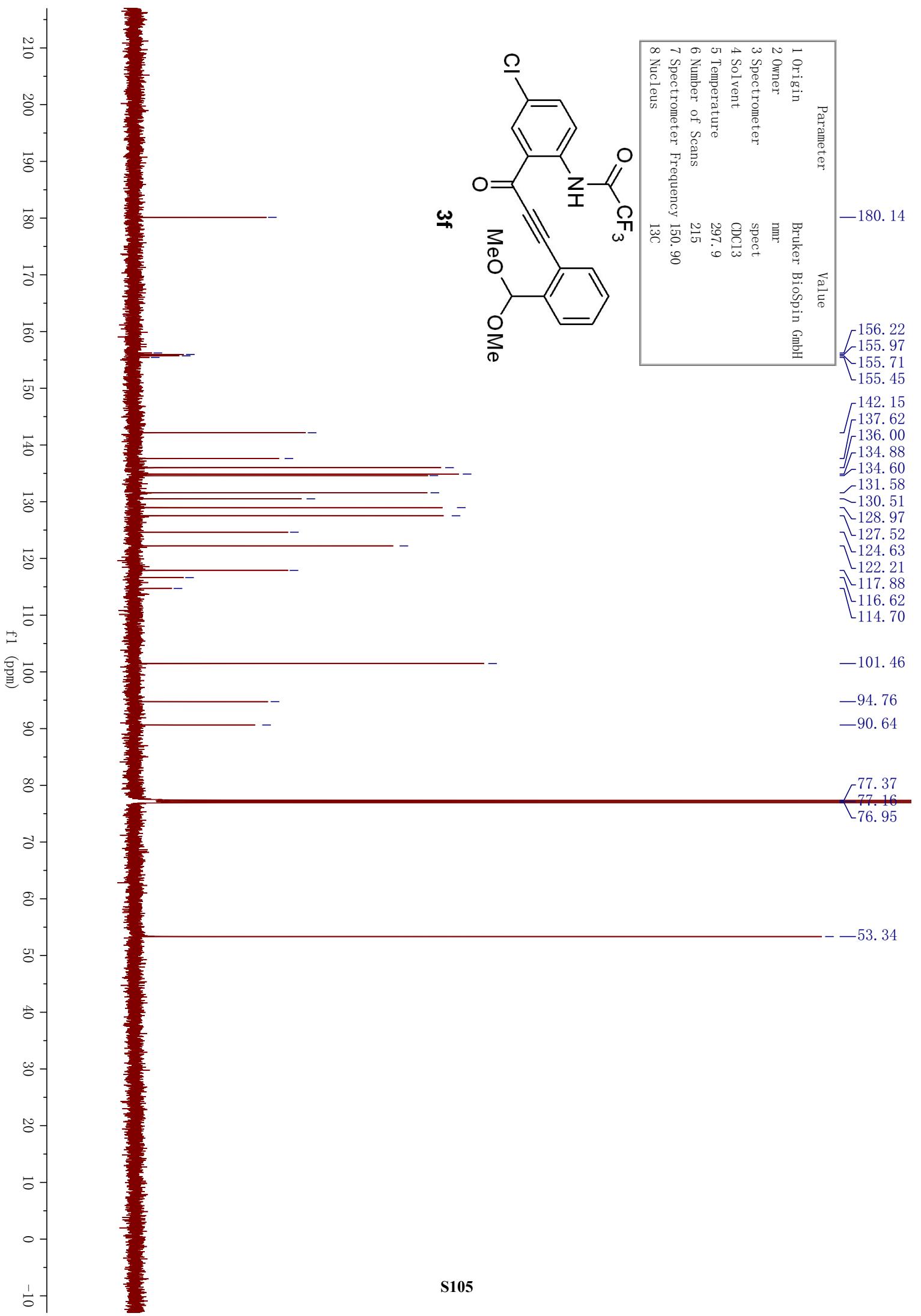


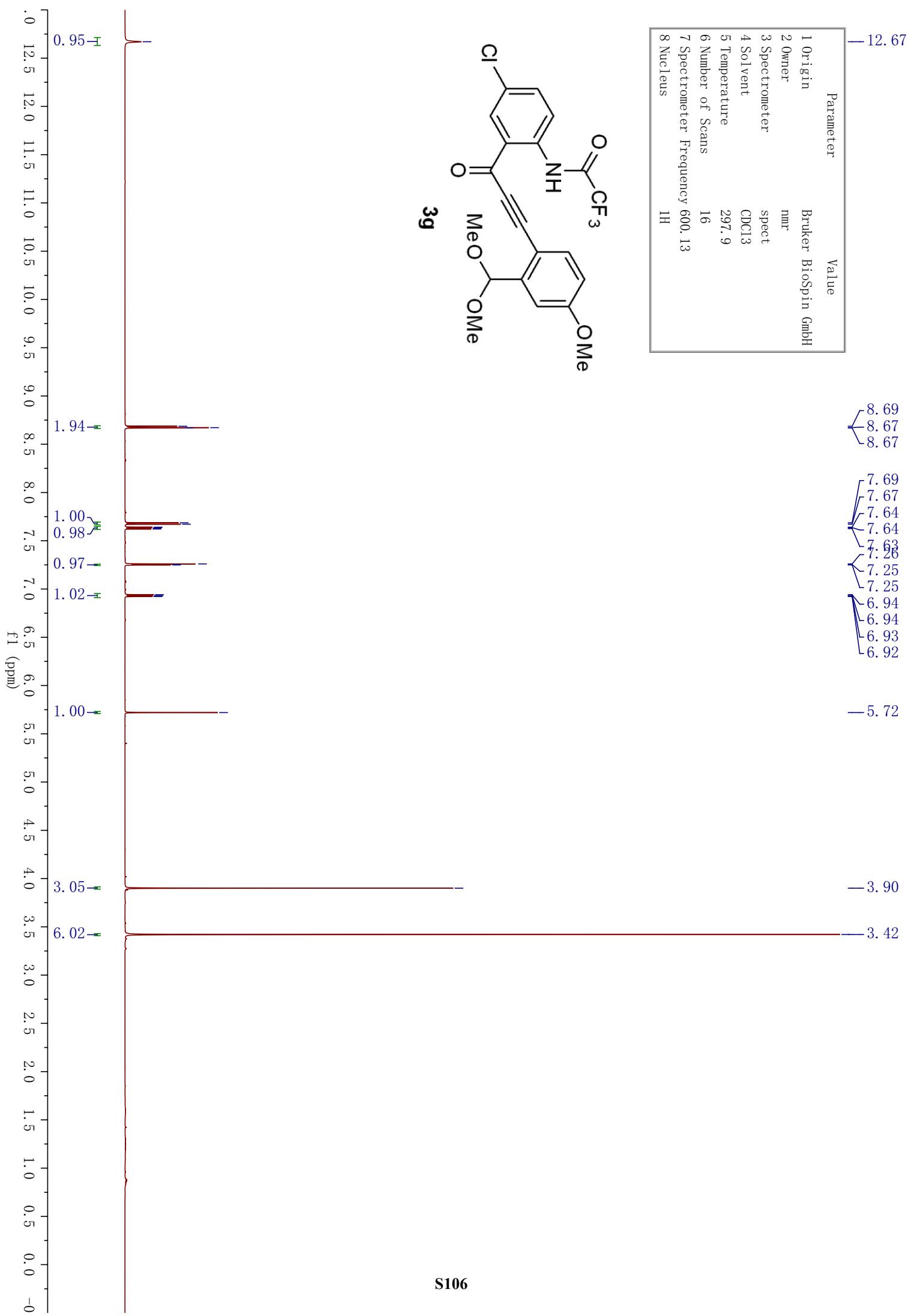


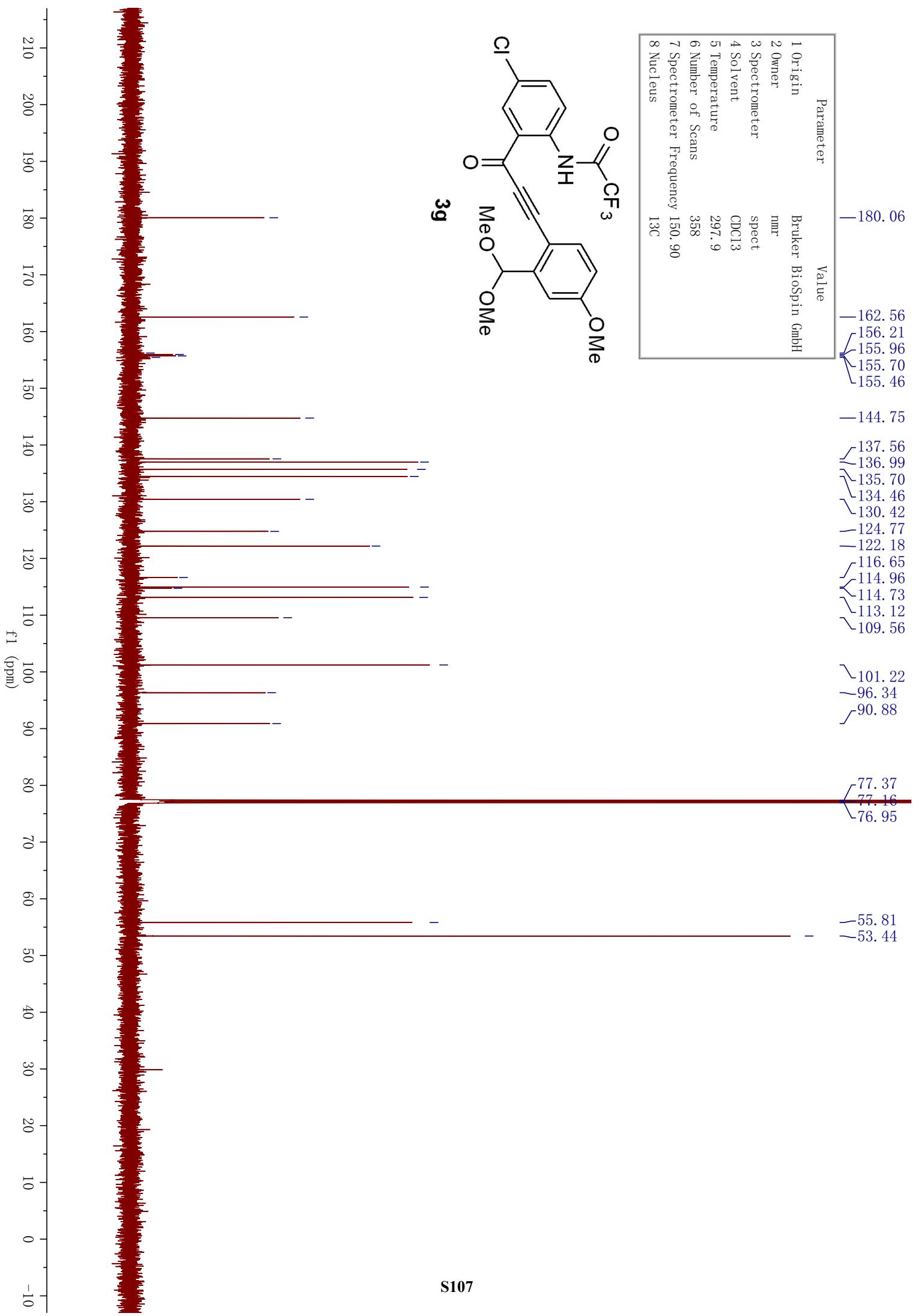


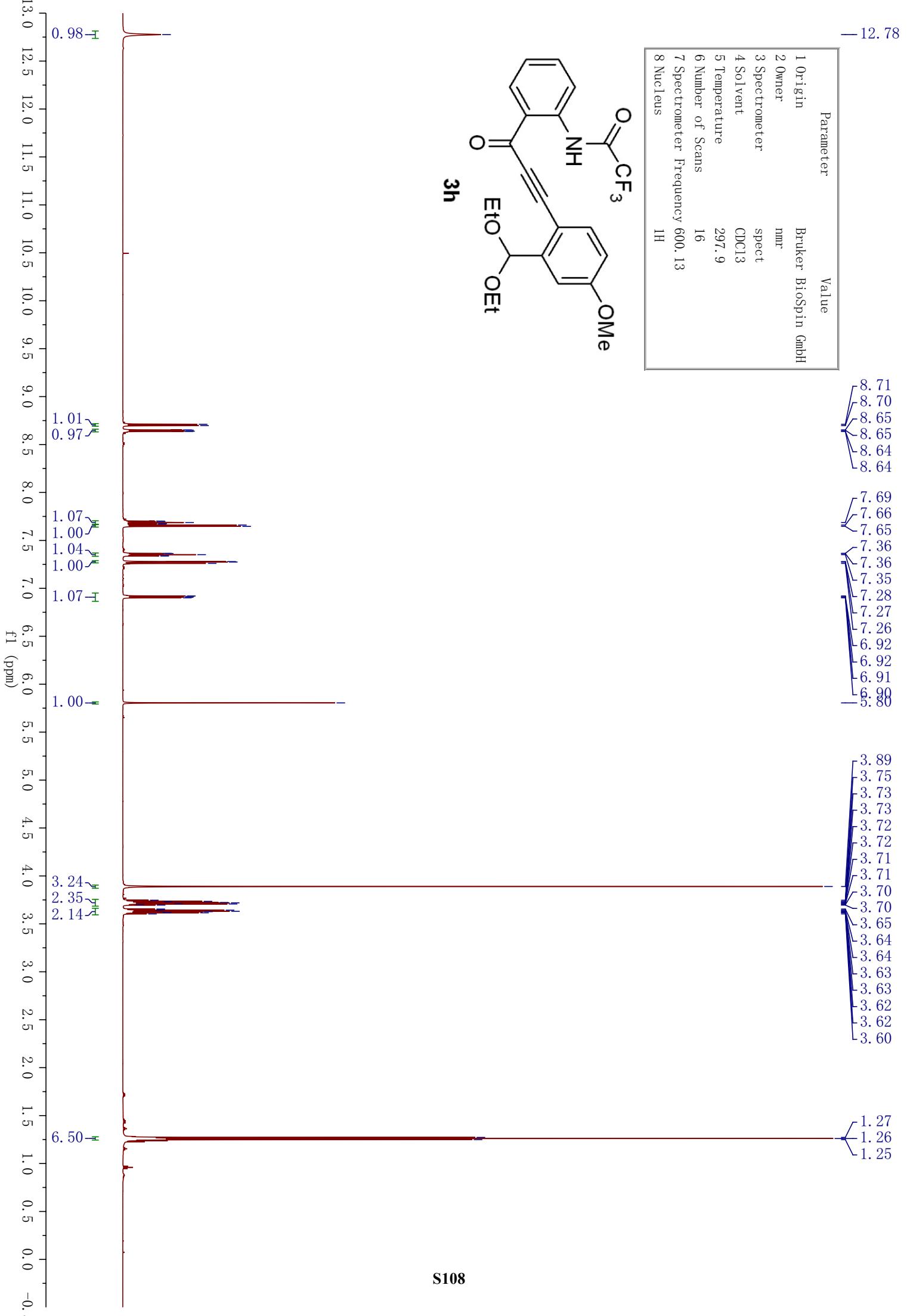
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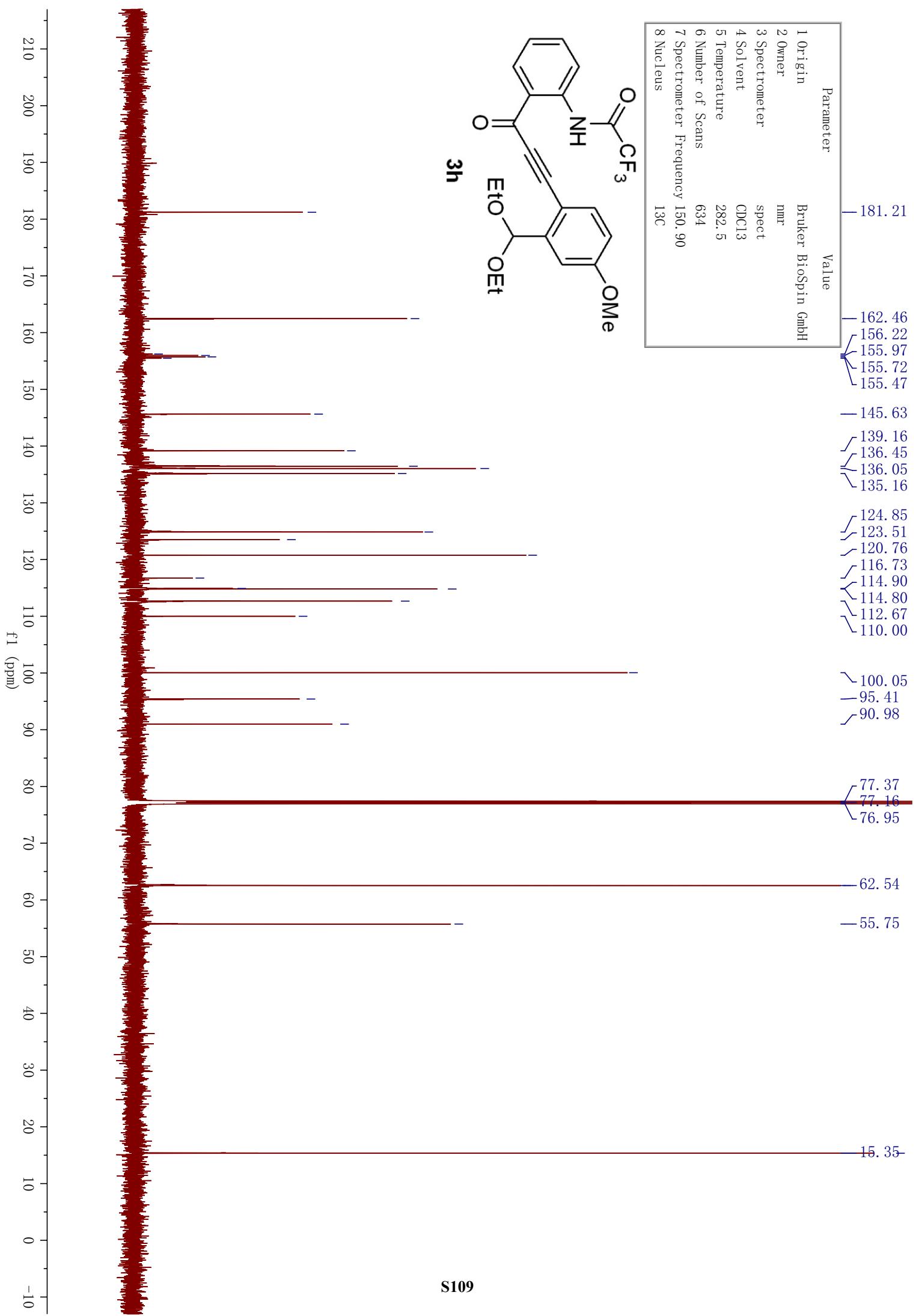
S104

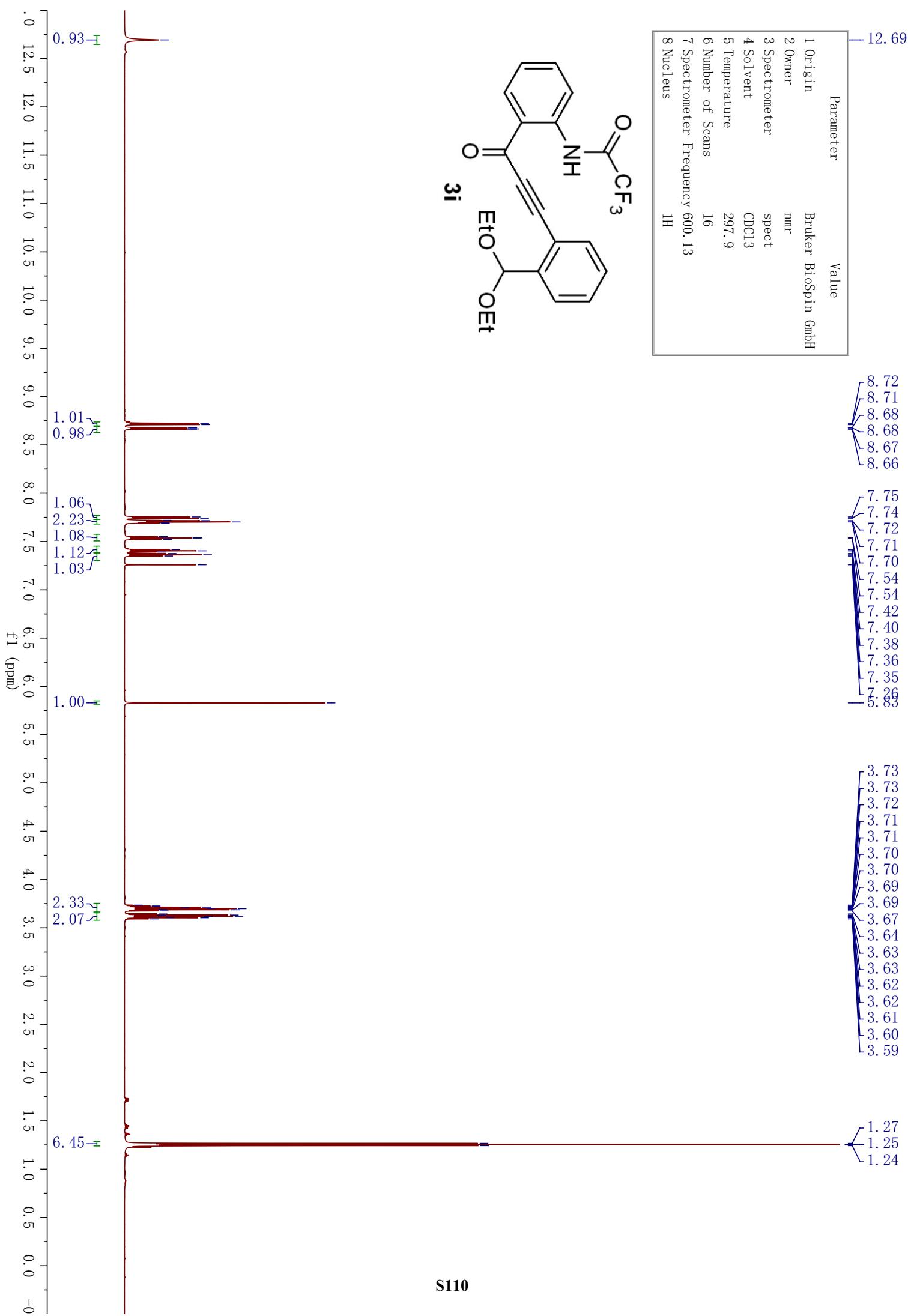


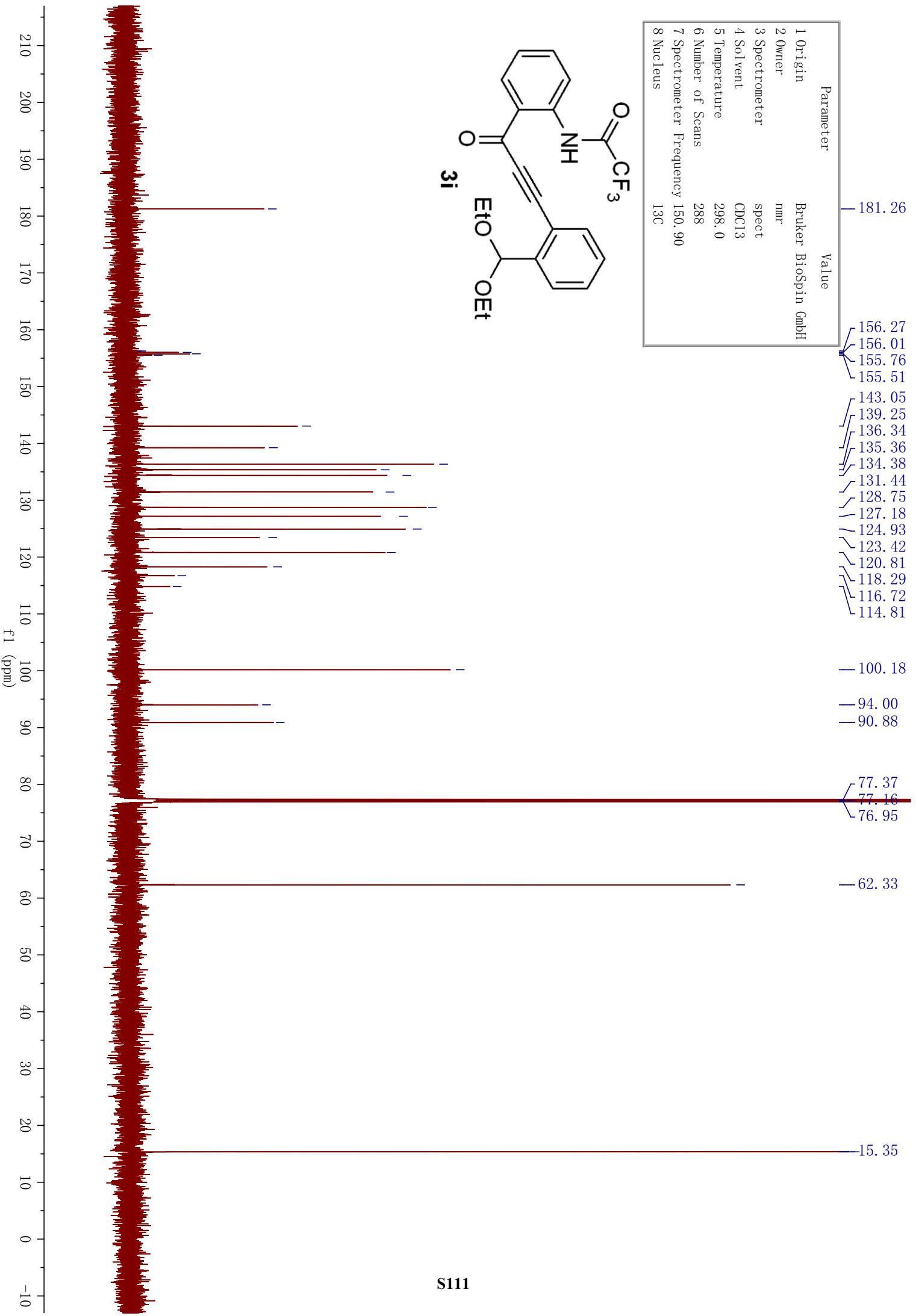


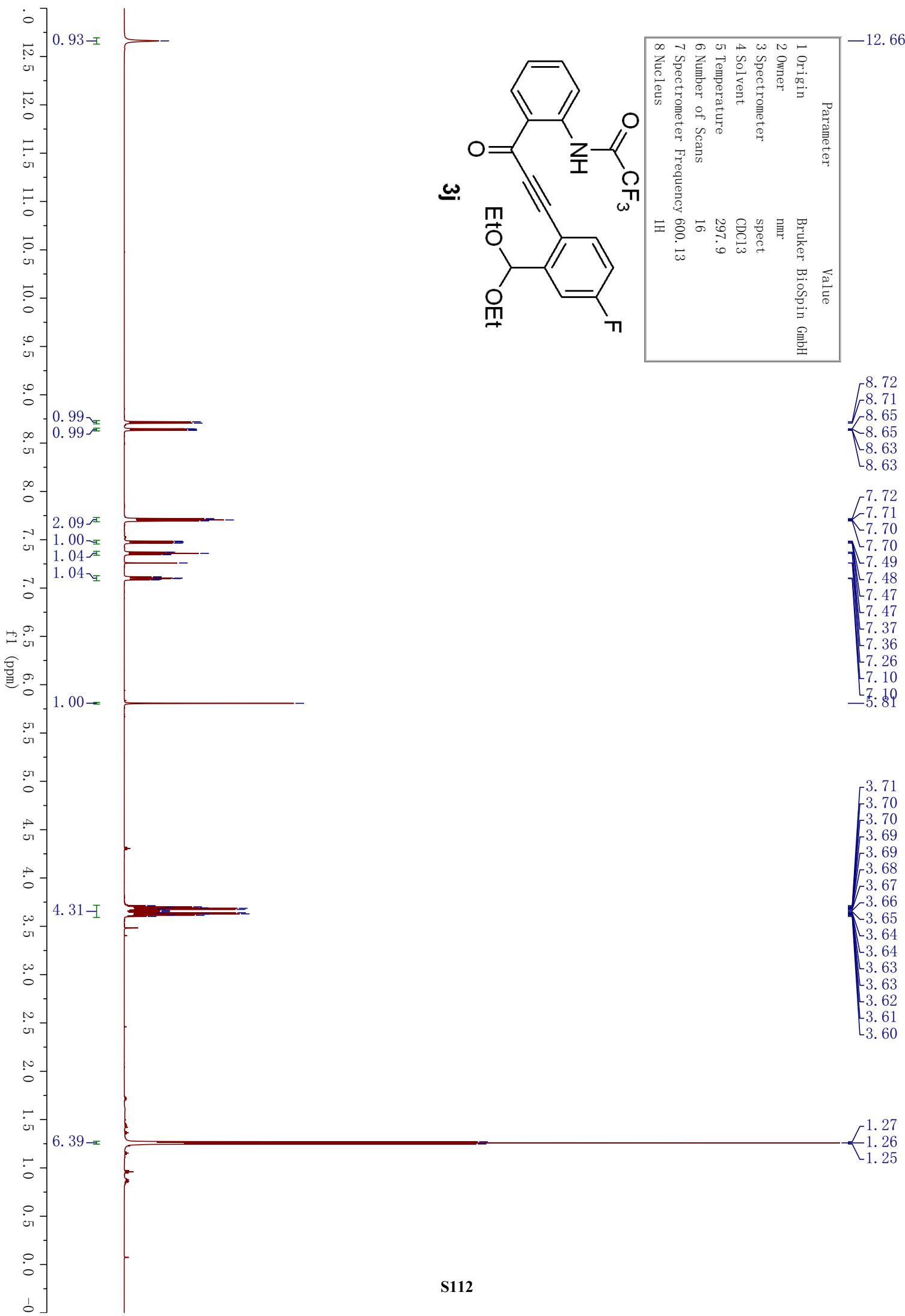


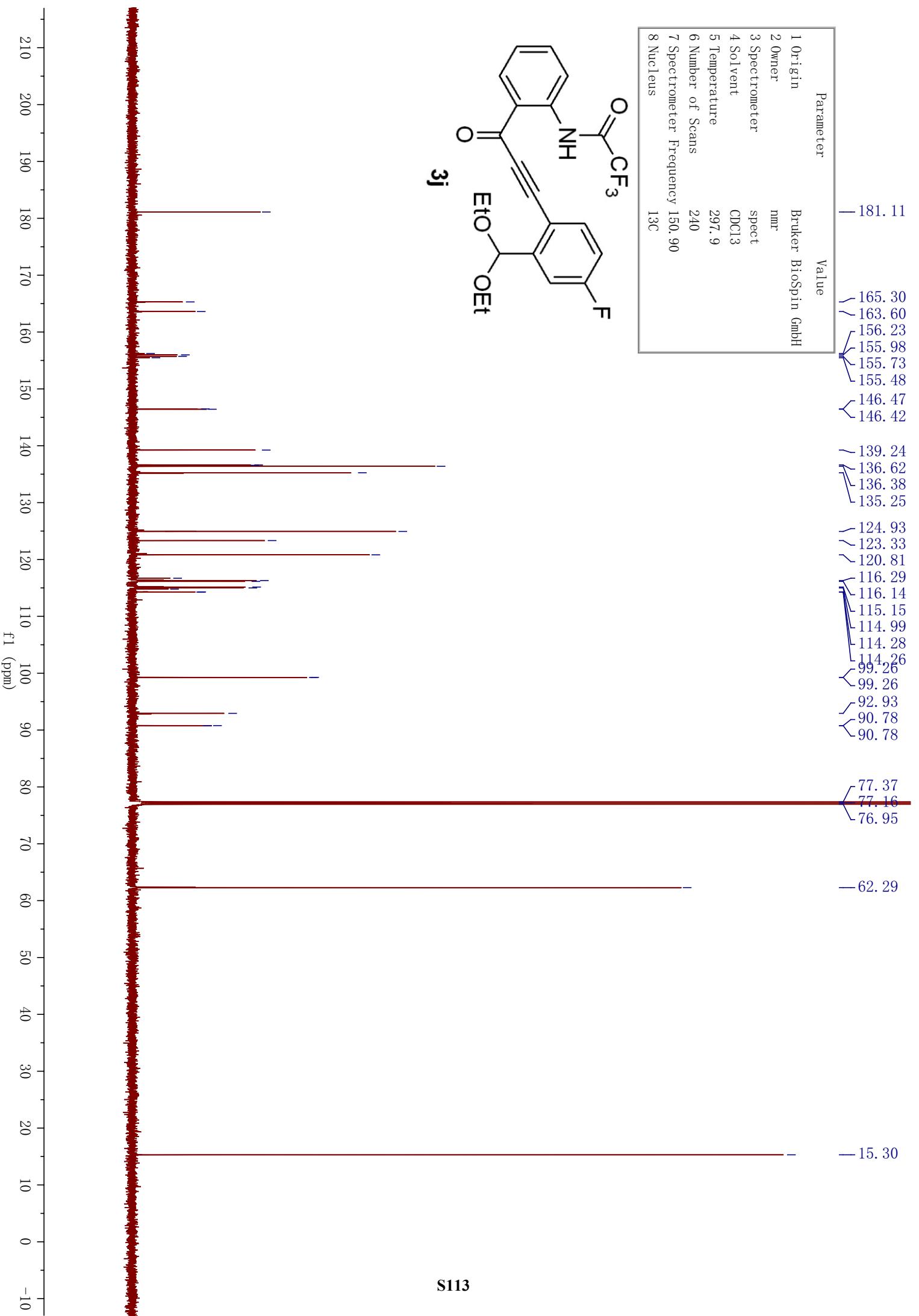


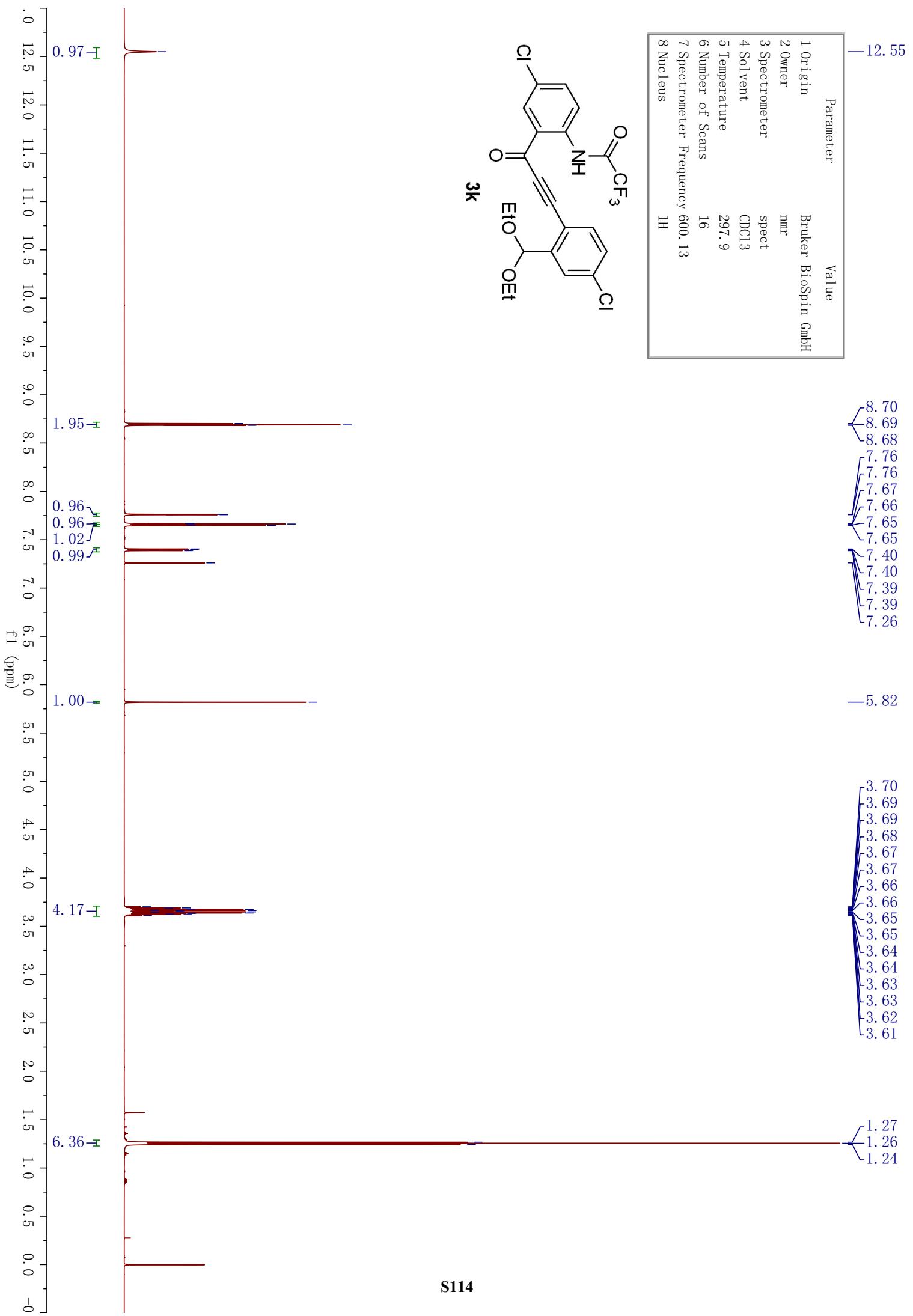


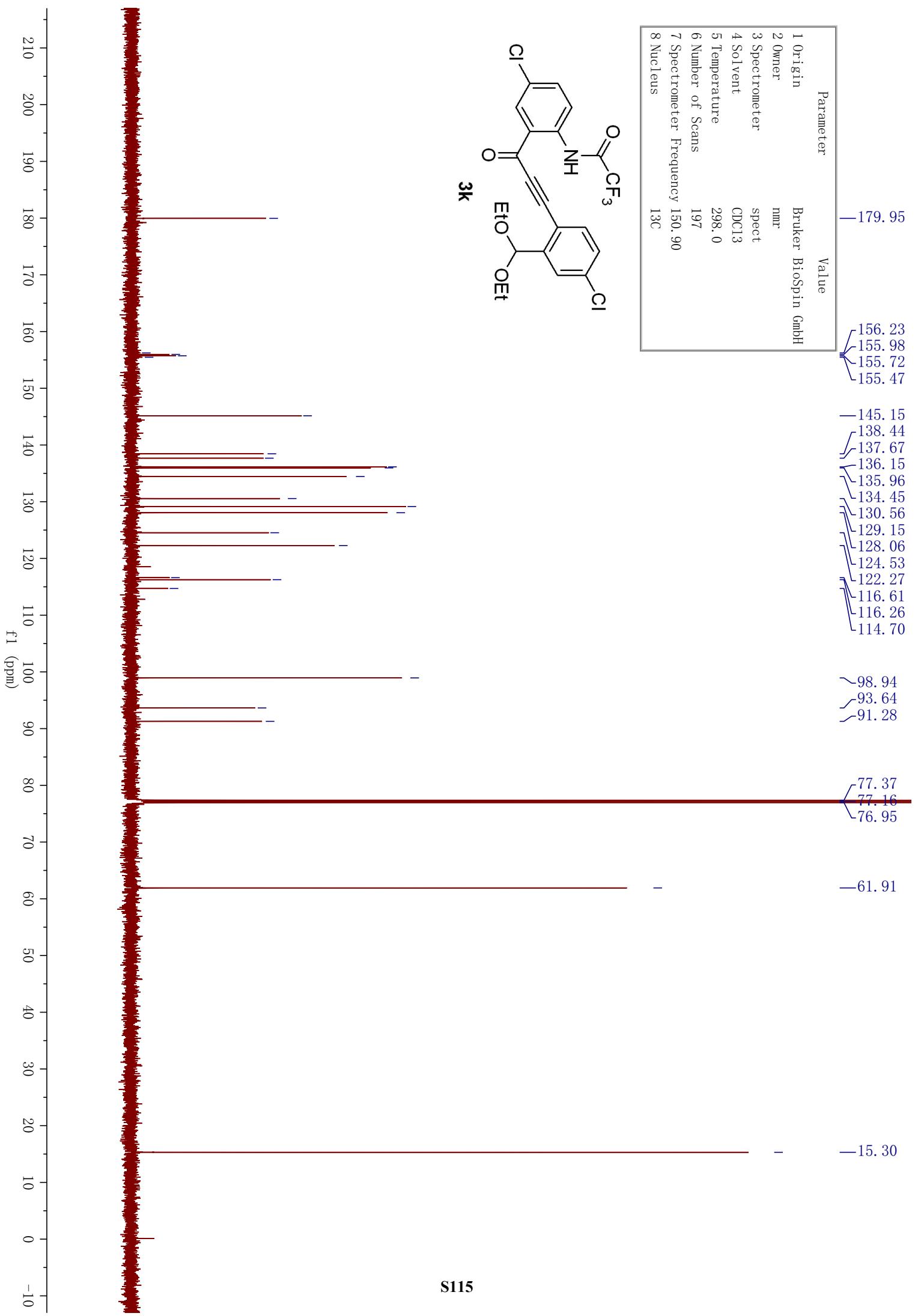


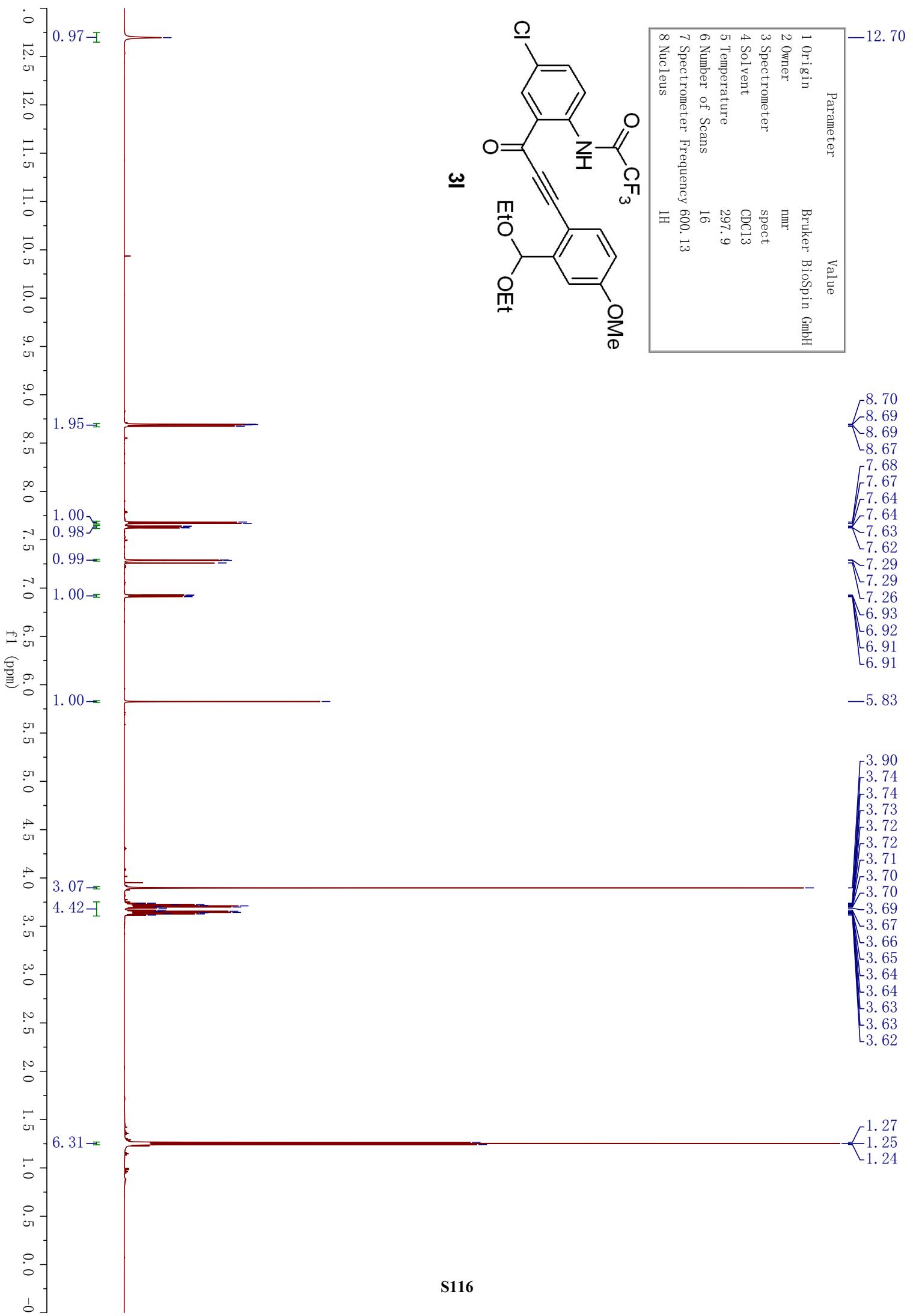


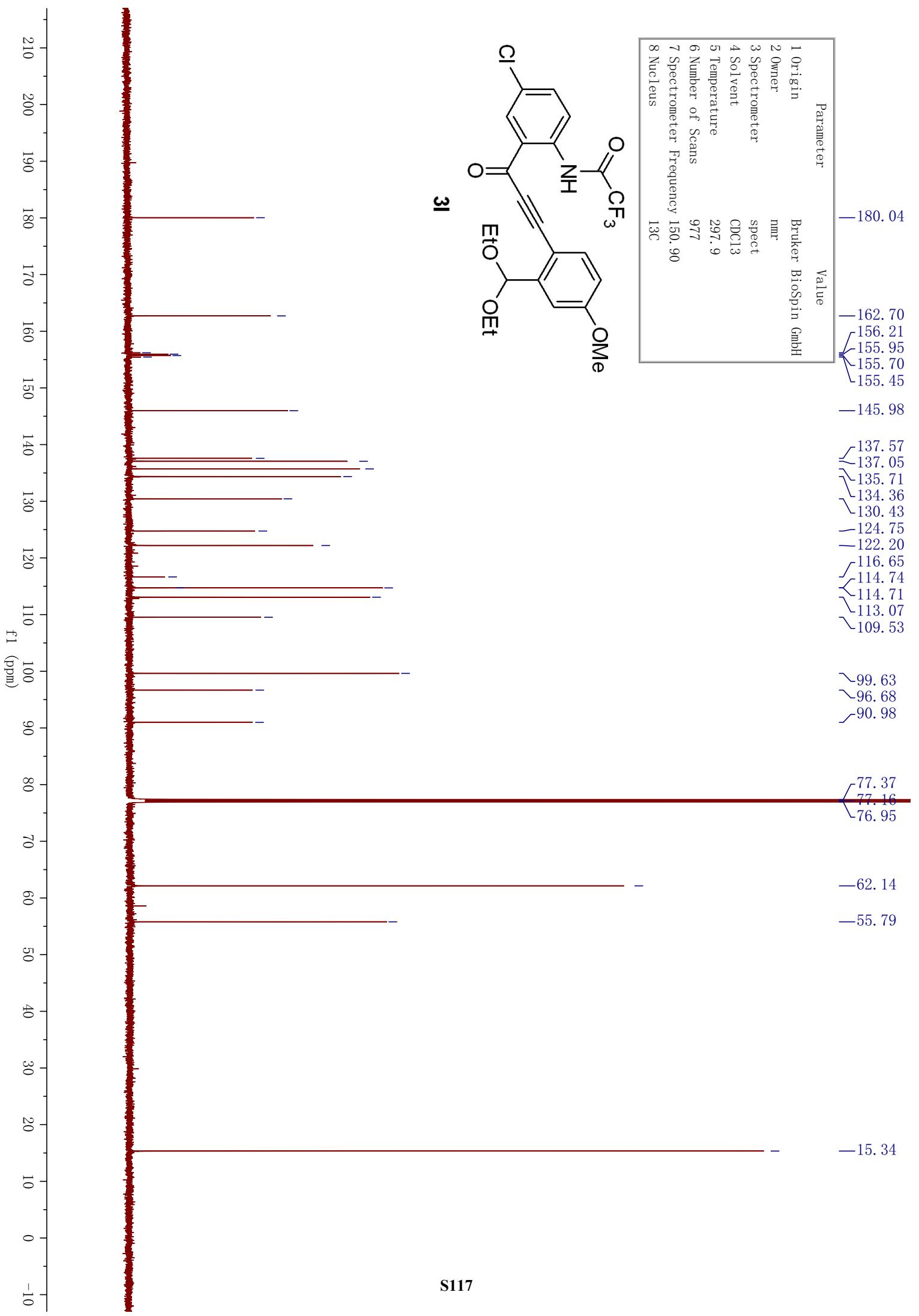


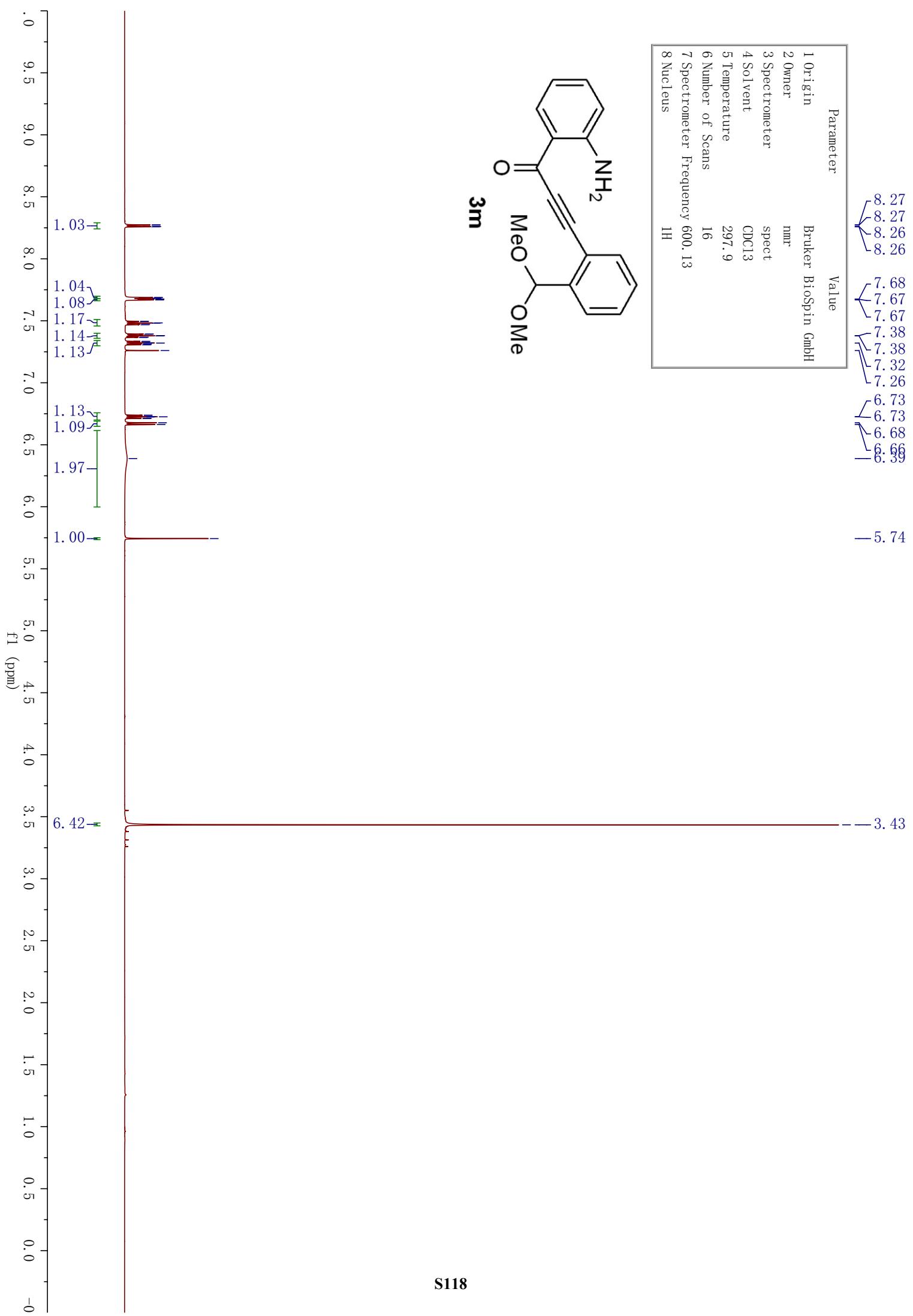


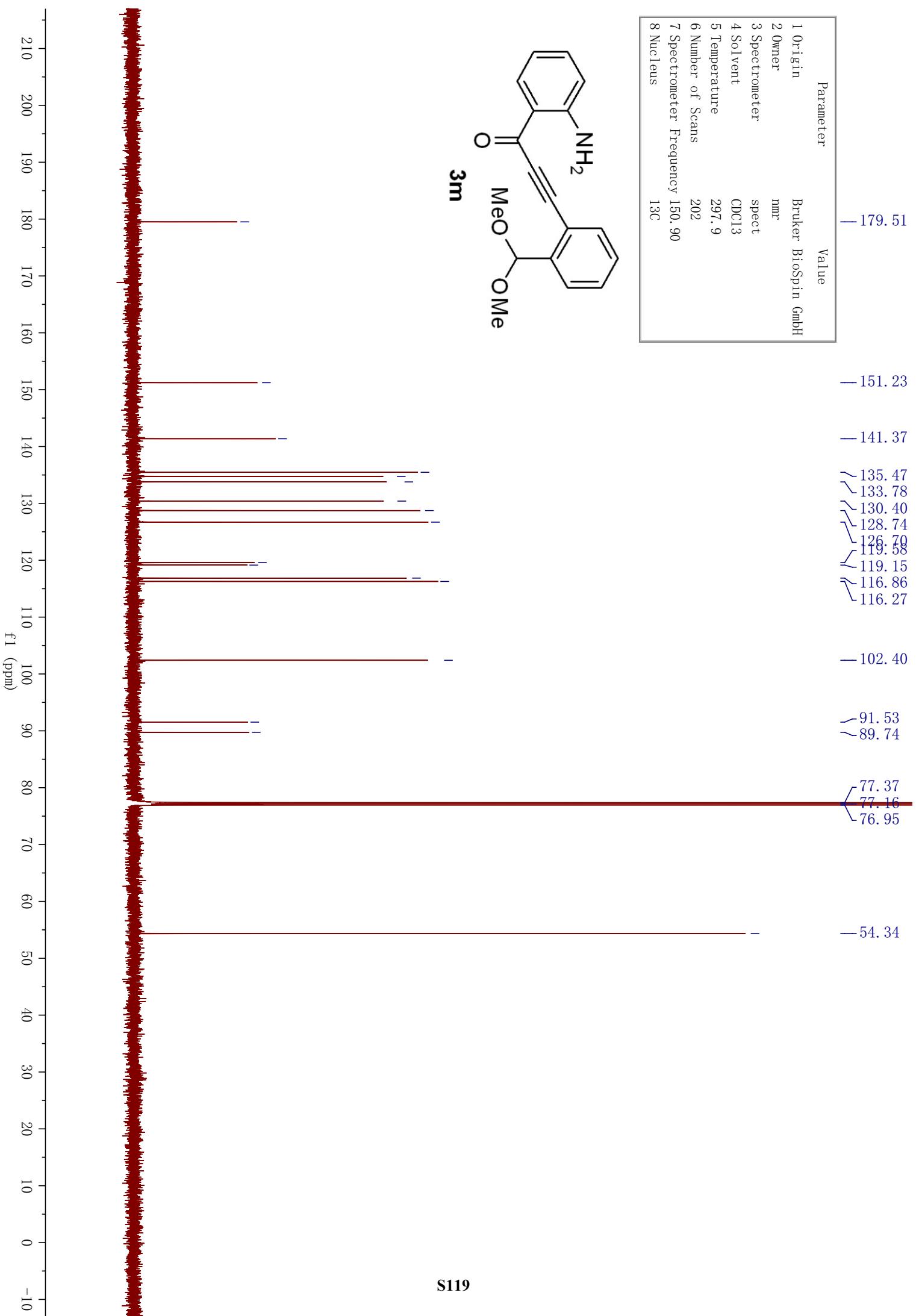


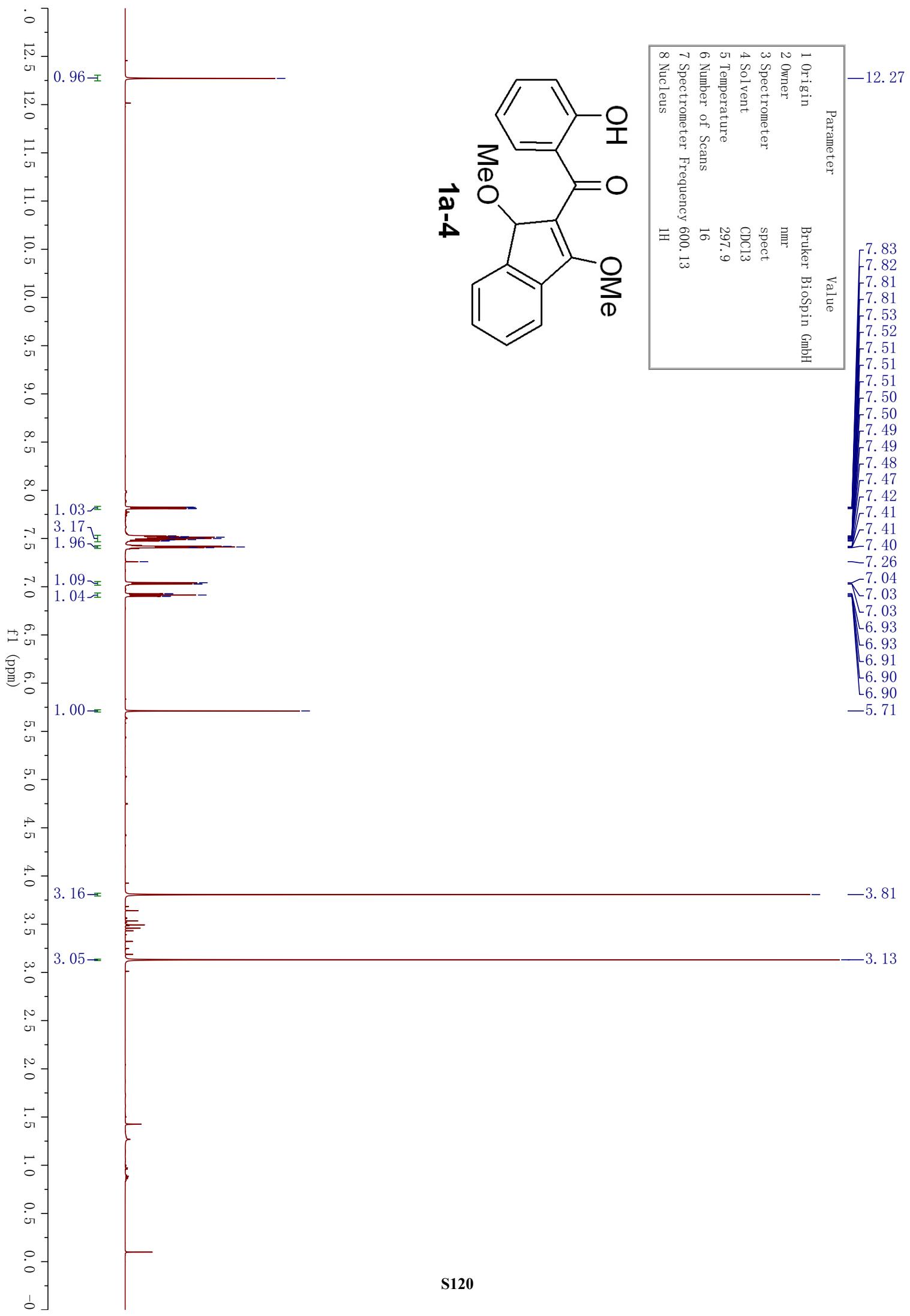


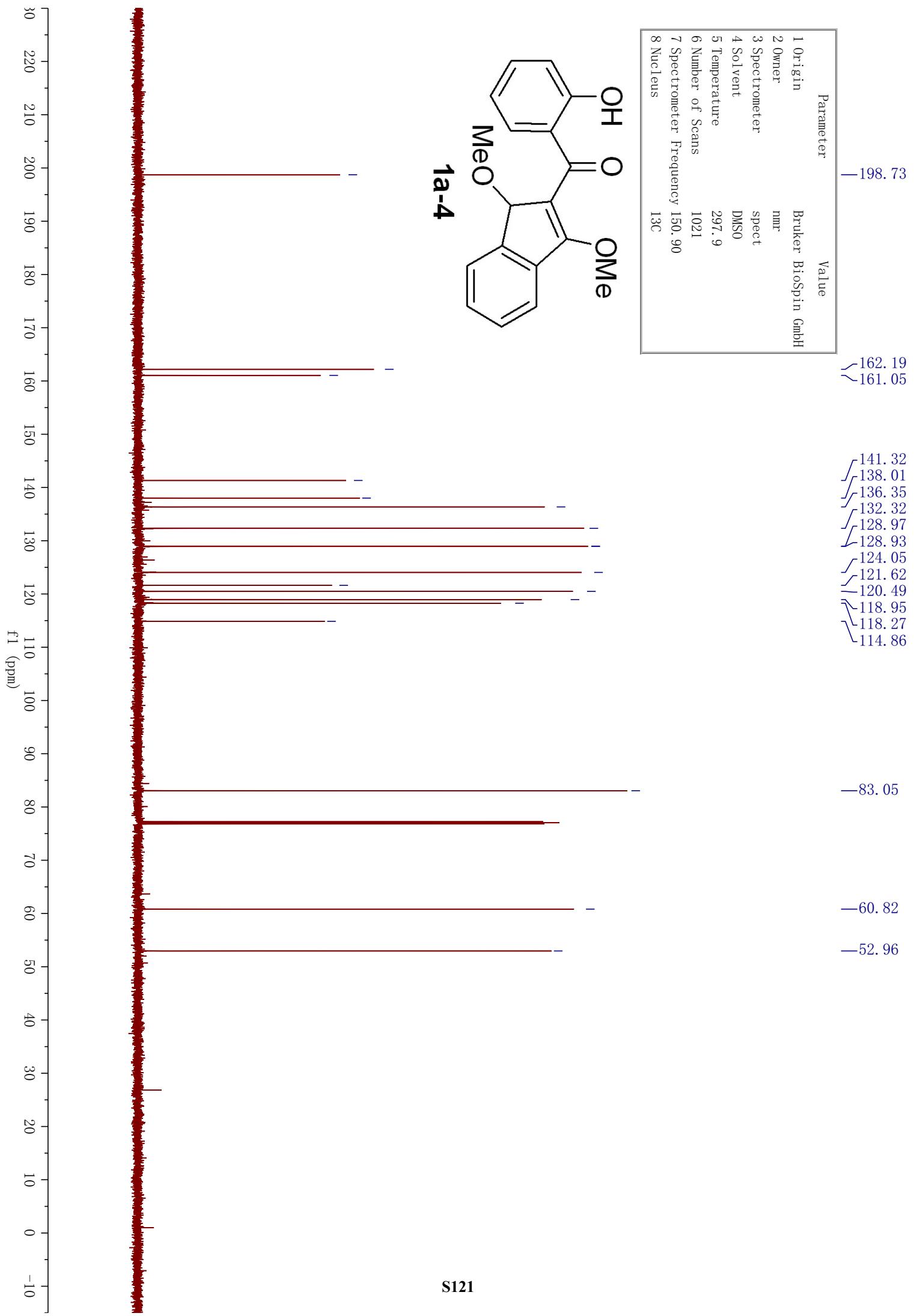


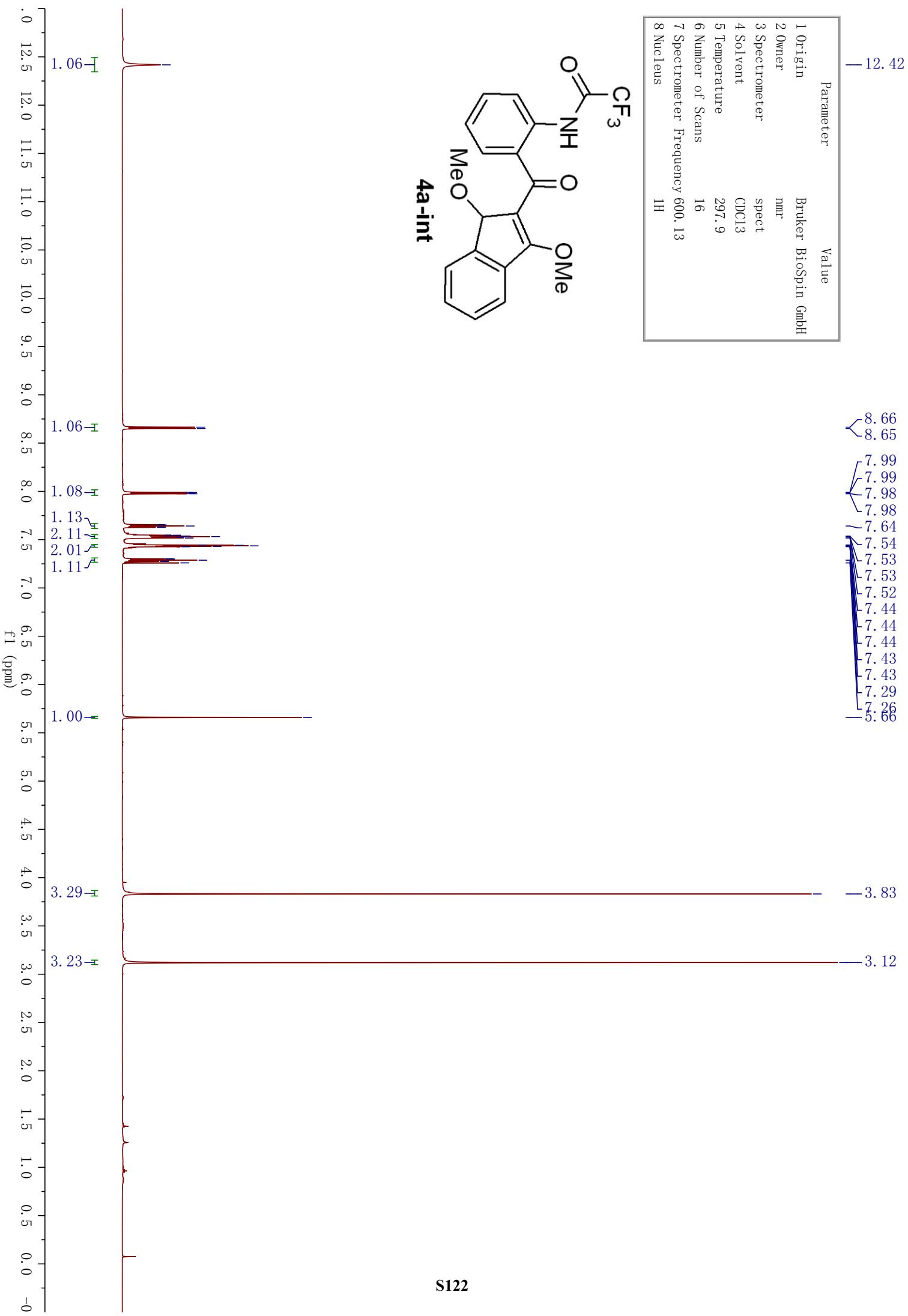


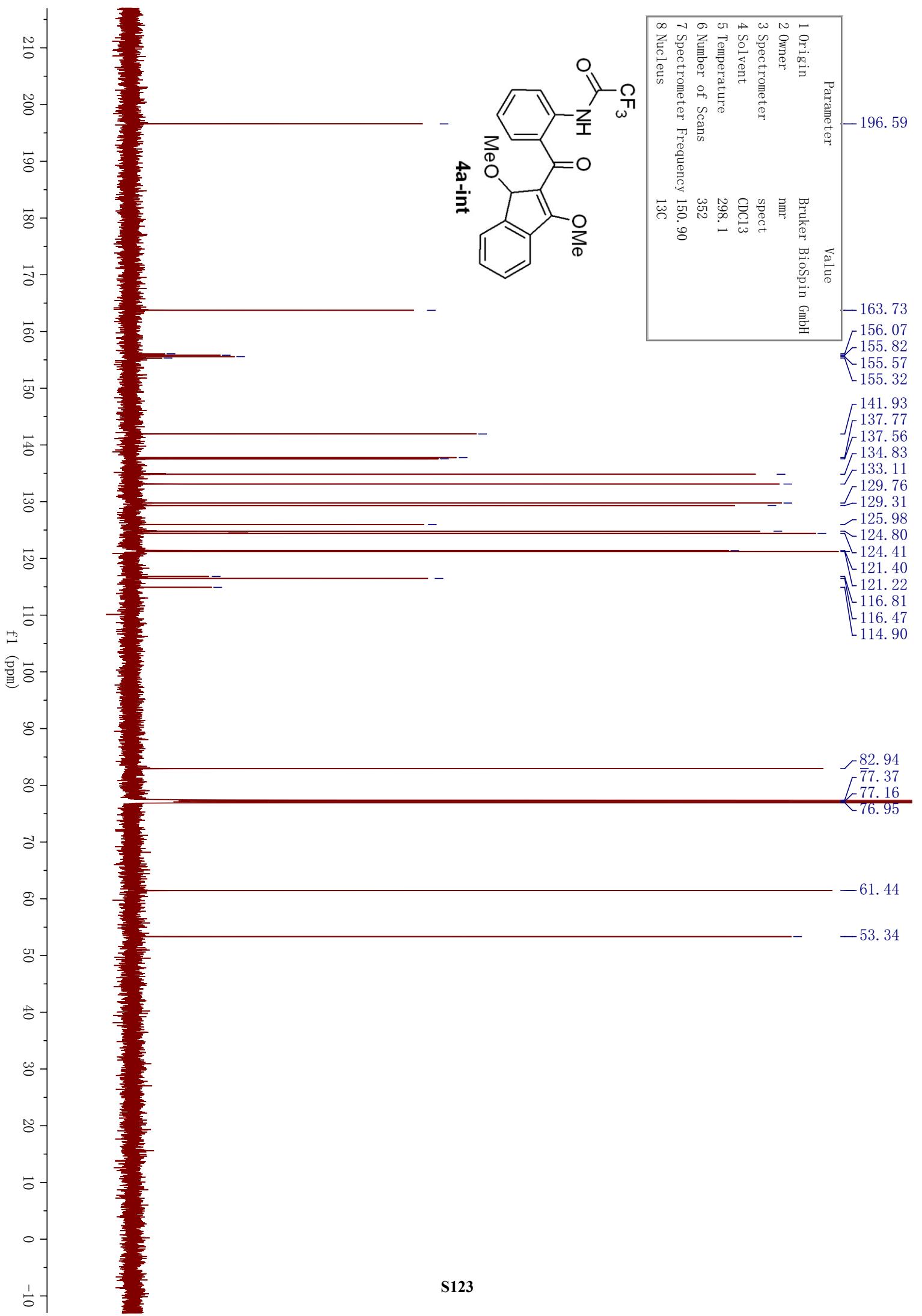


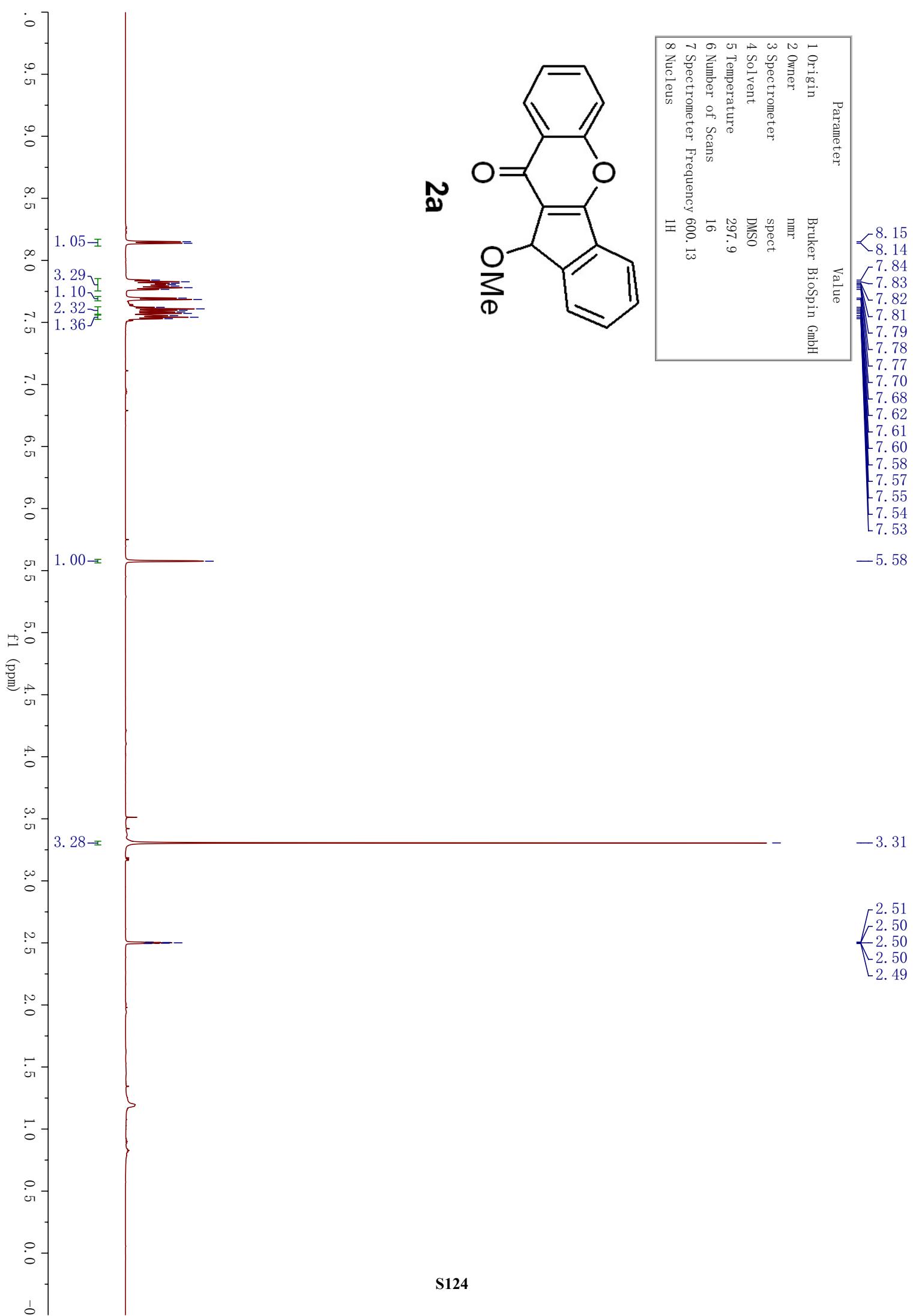


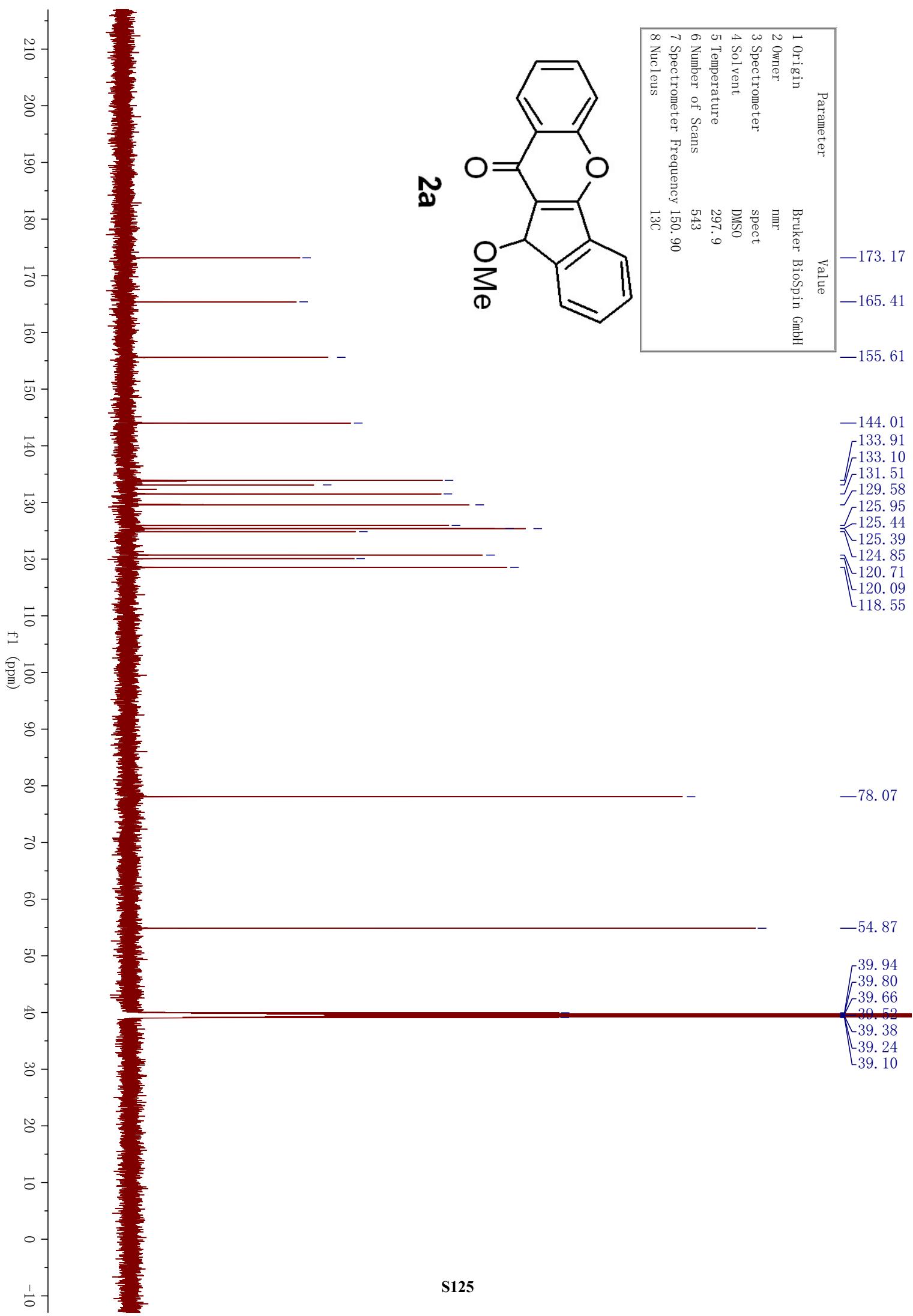


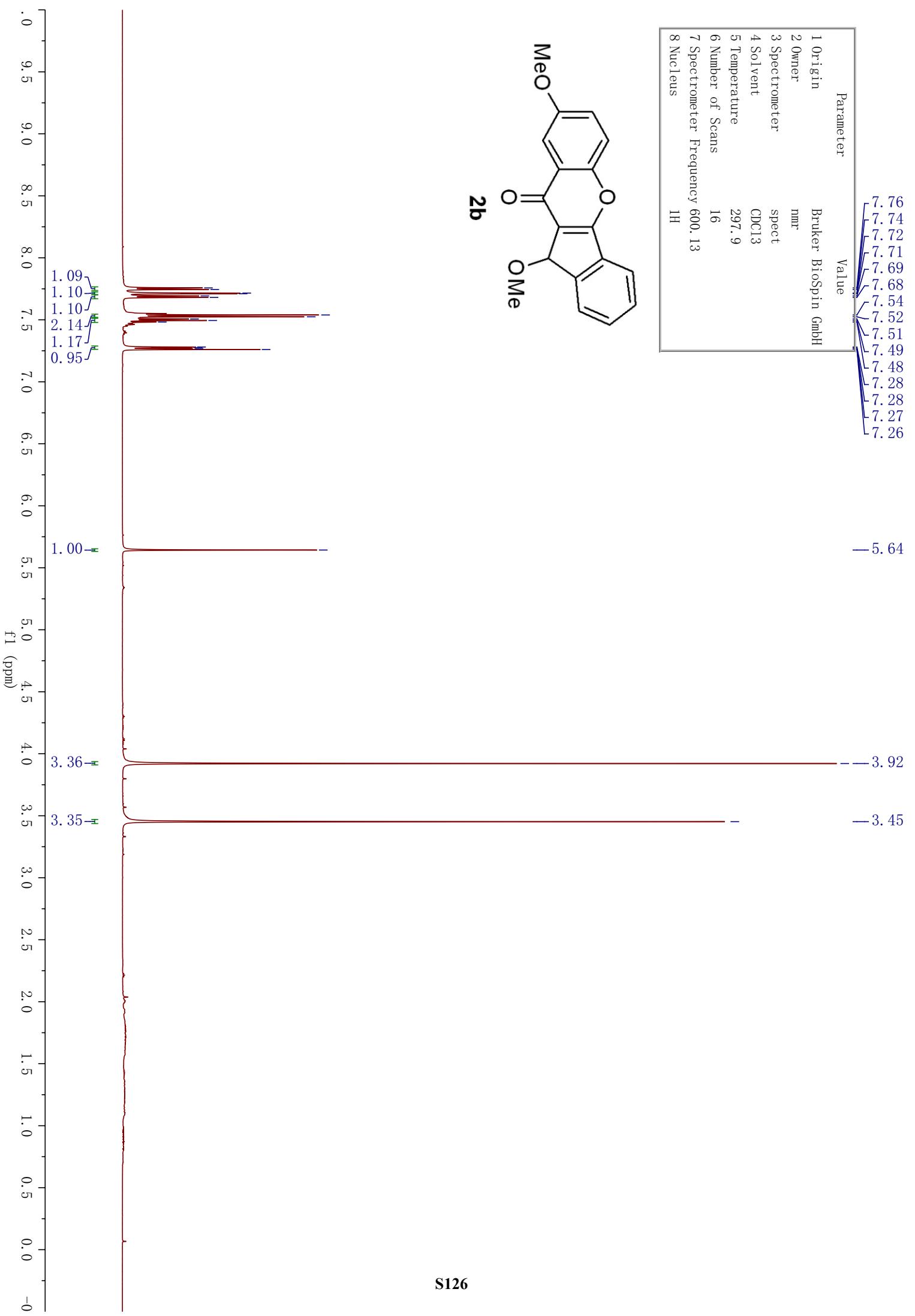


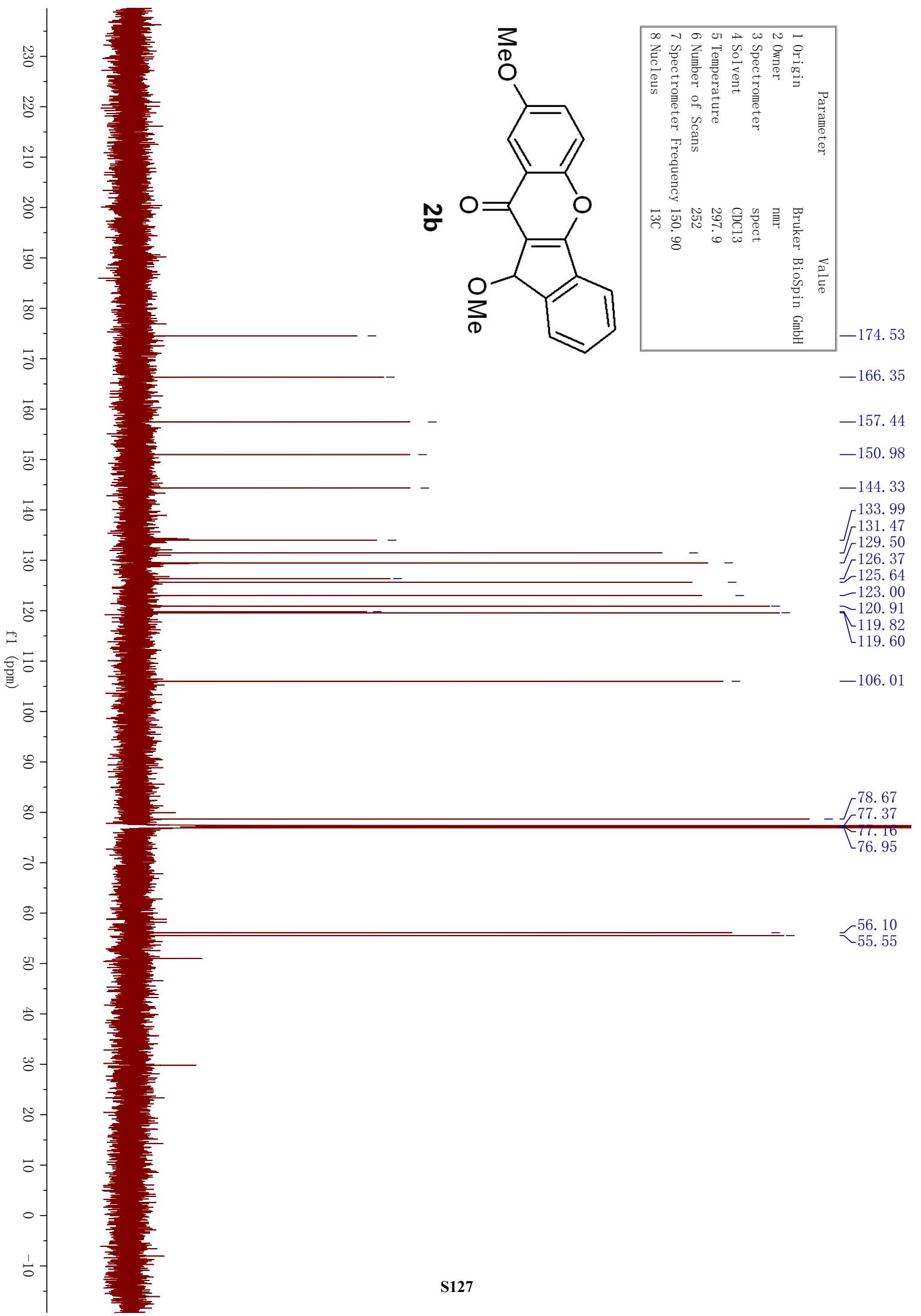


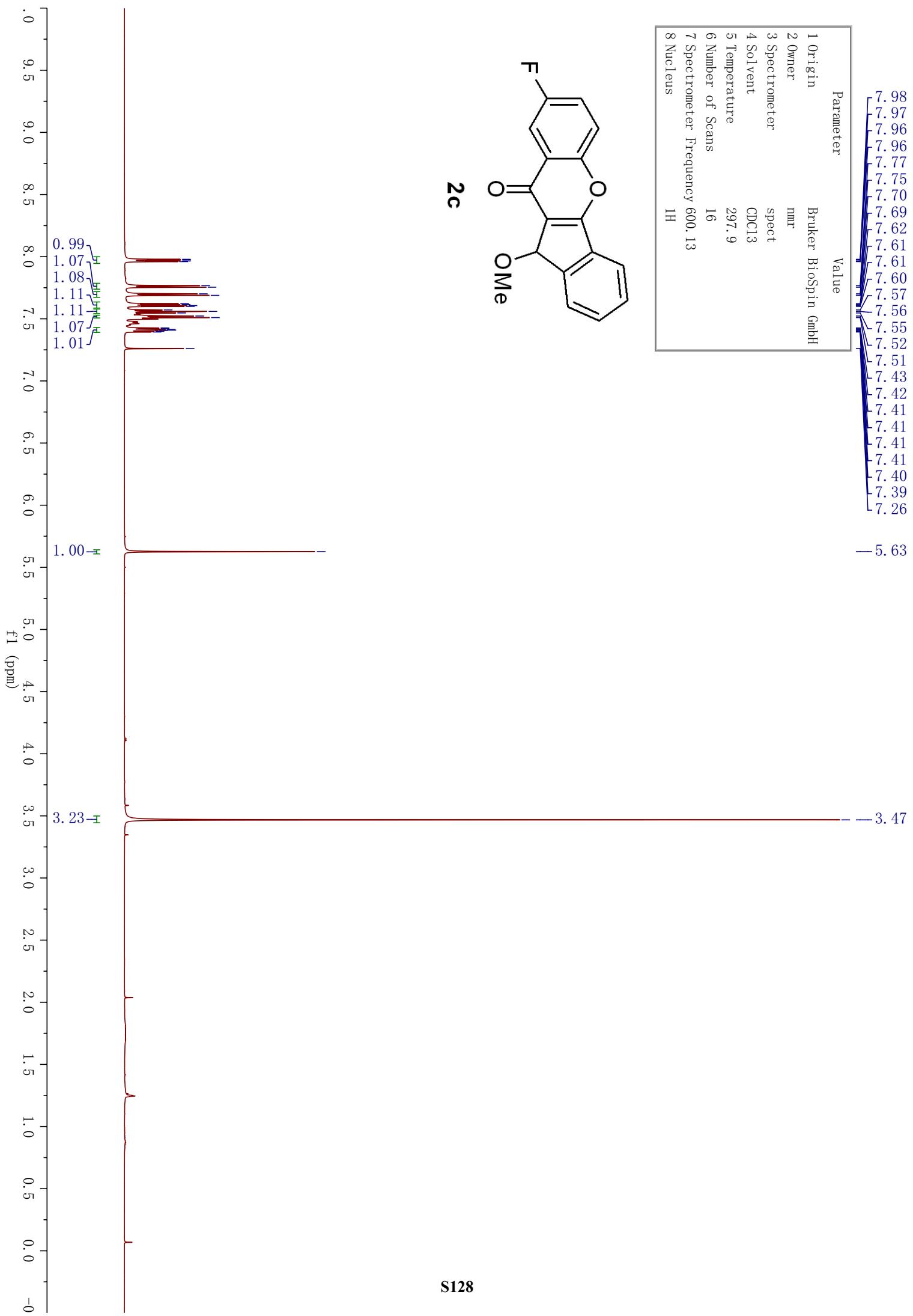


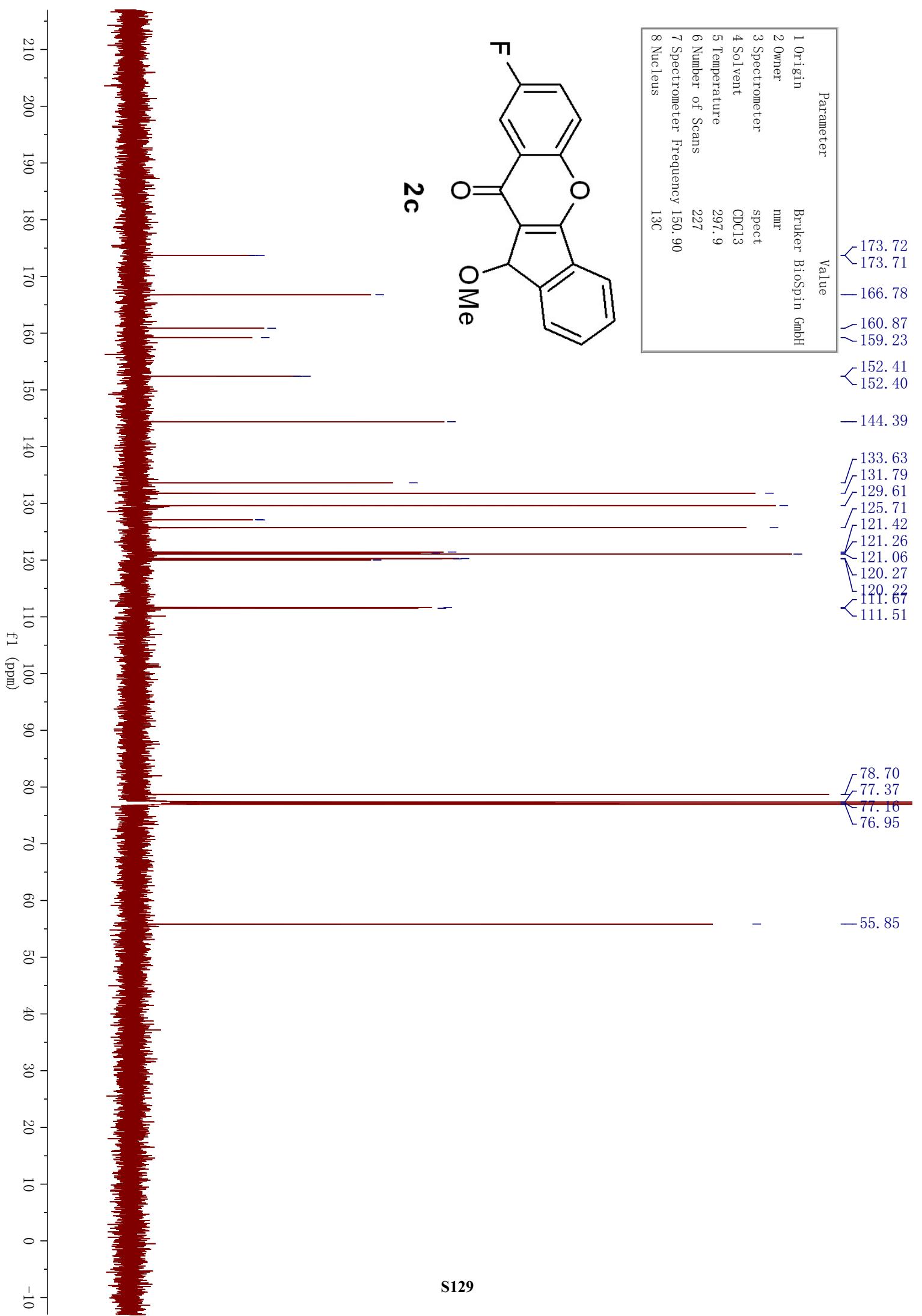


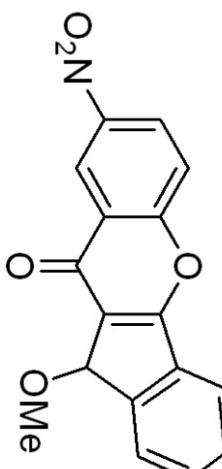
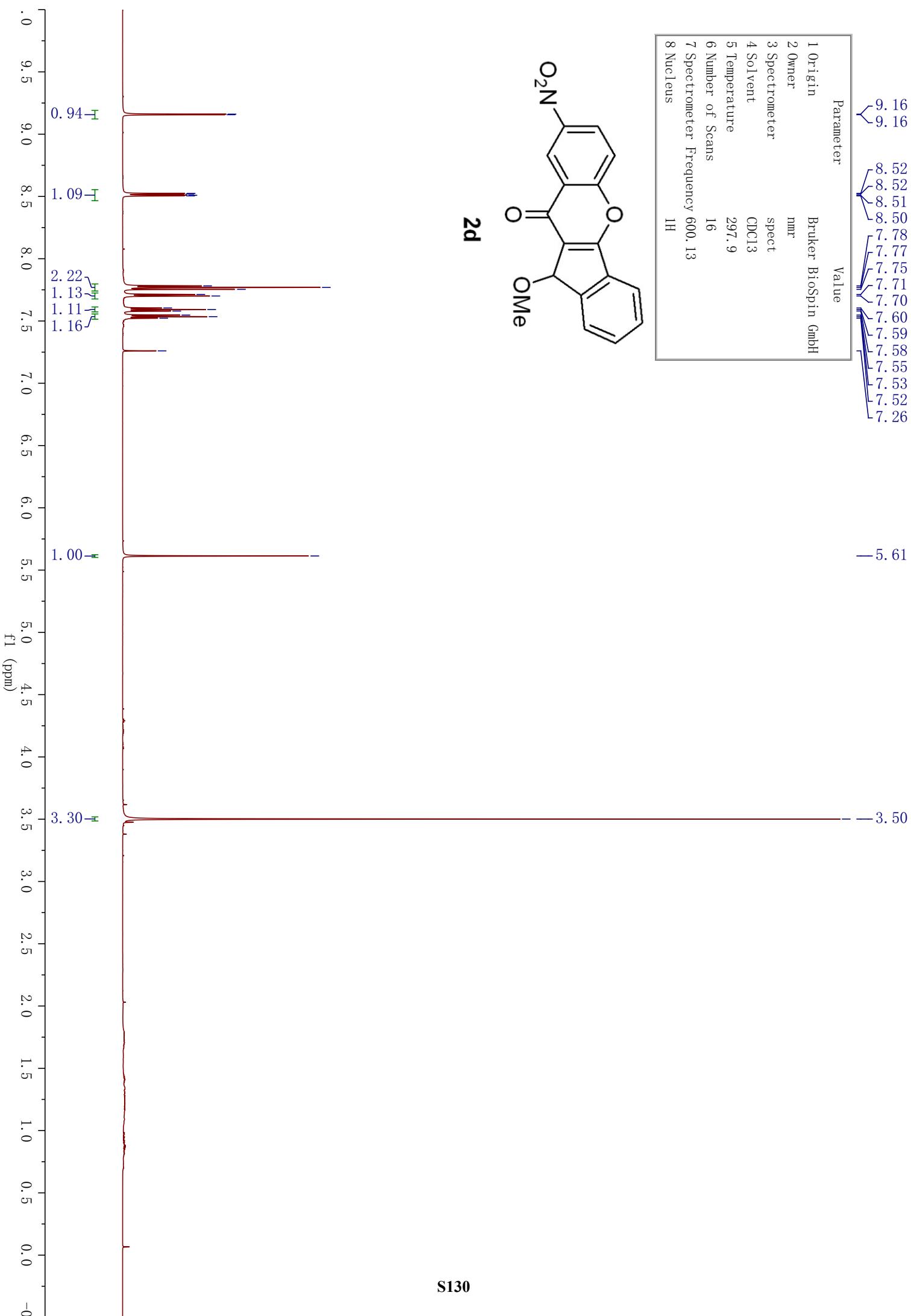


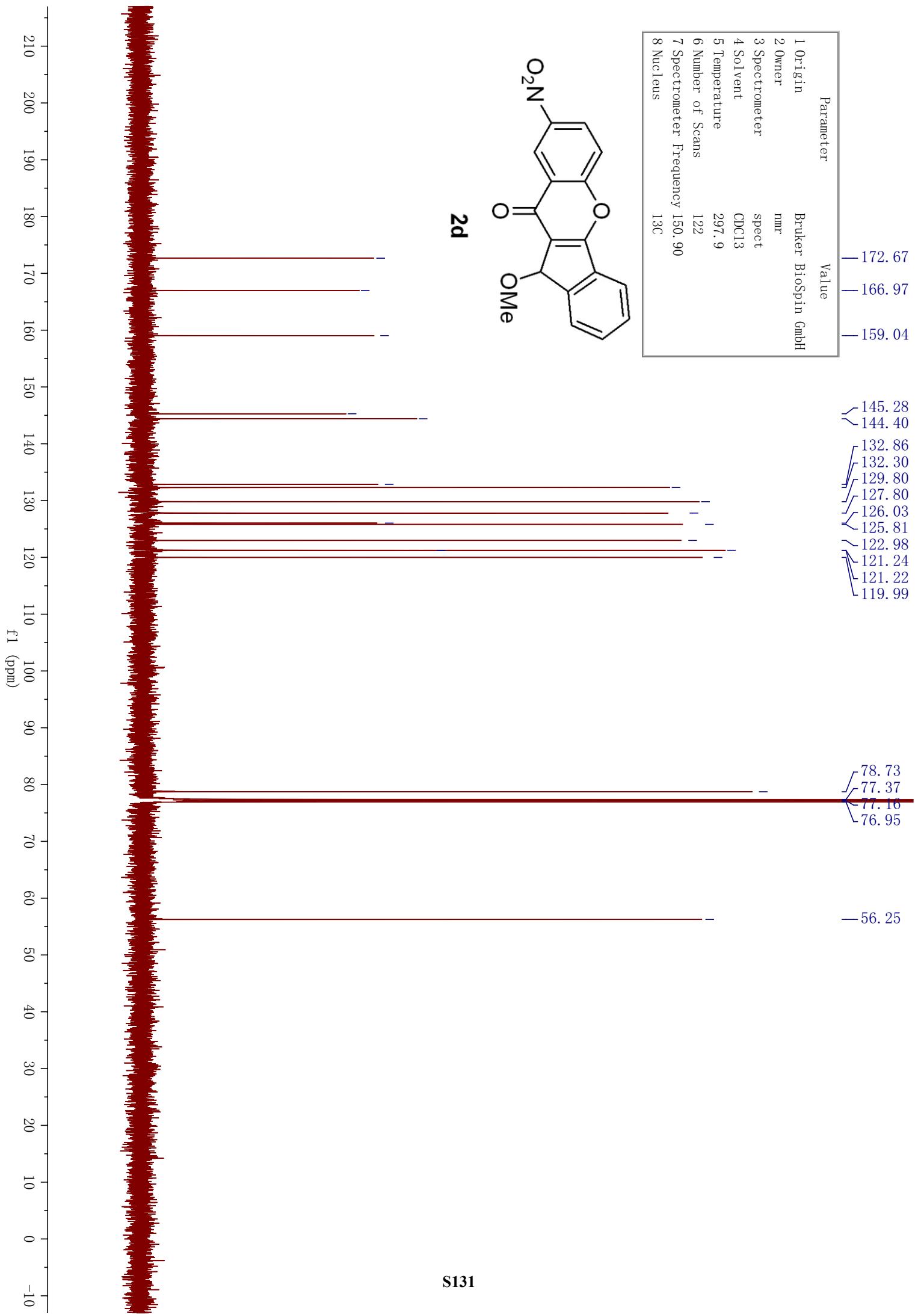


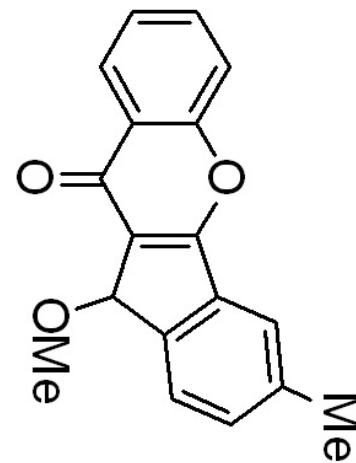
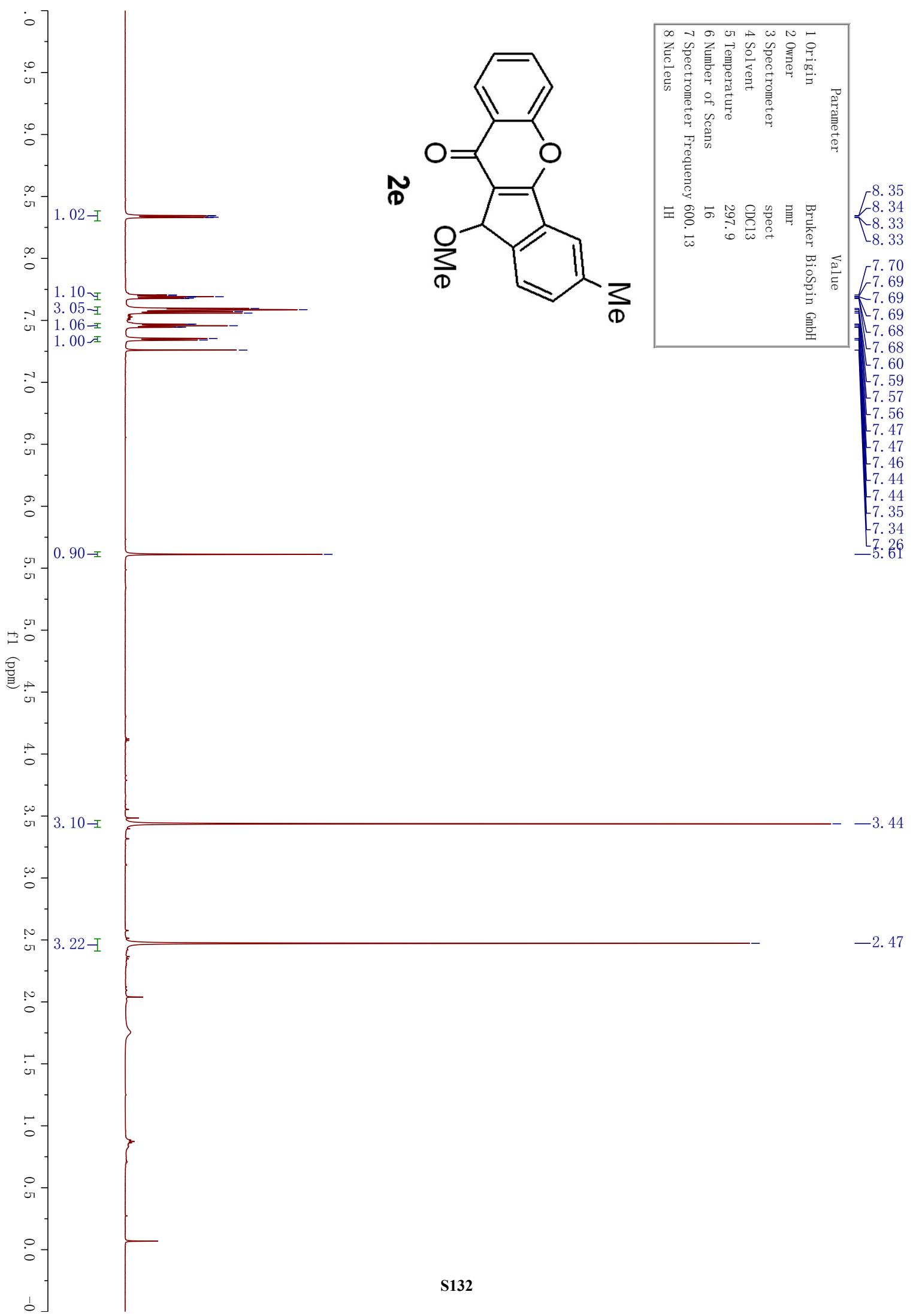




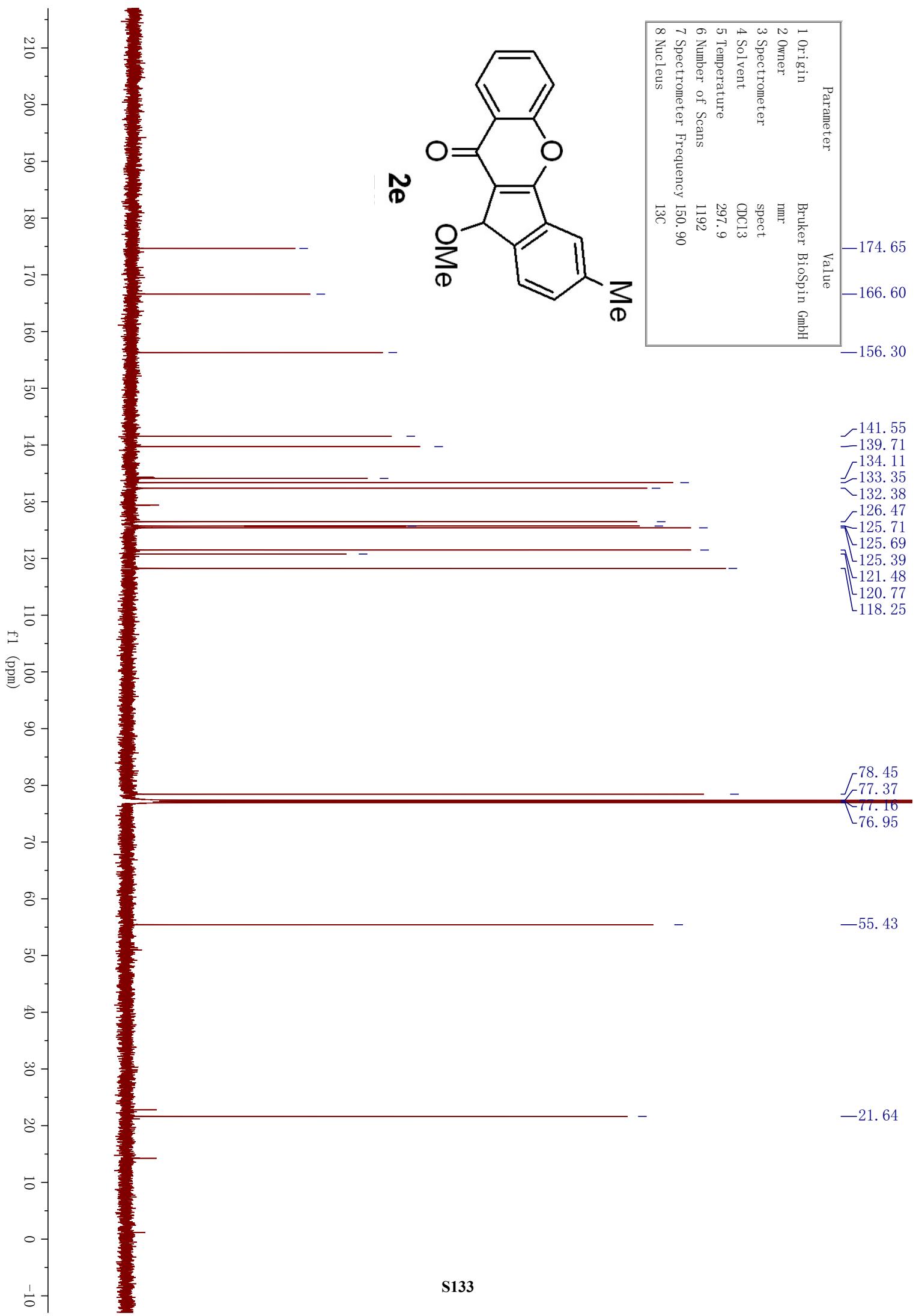


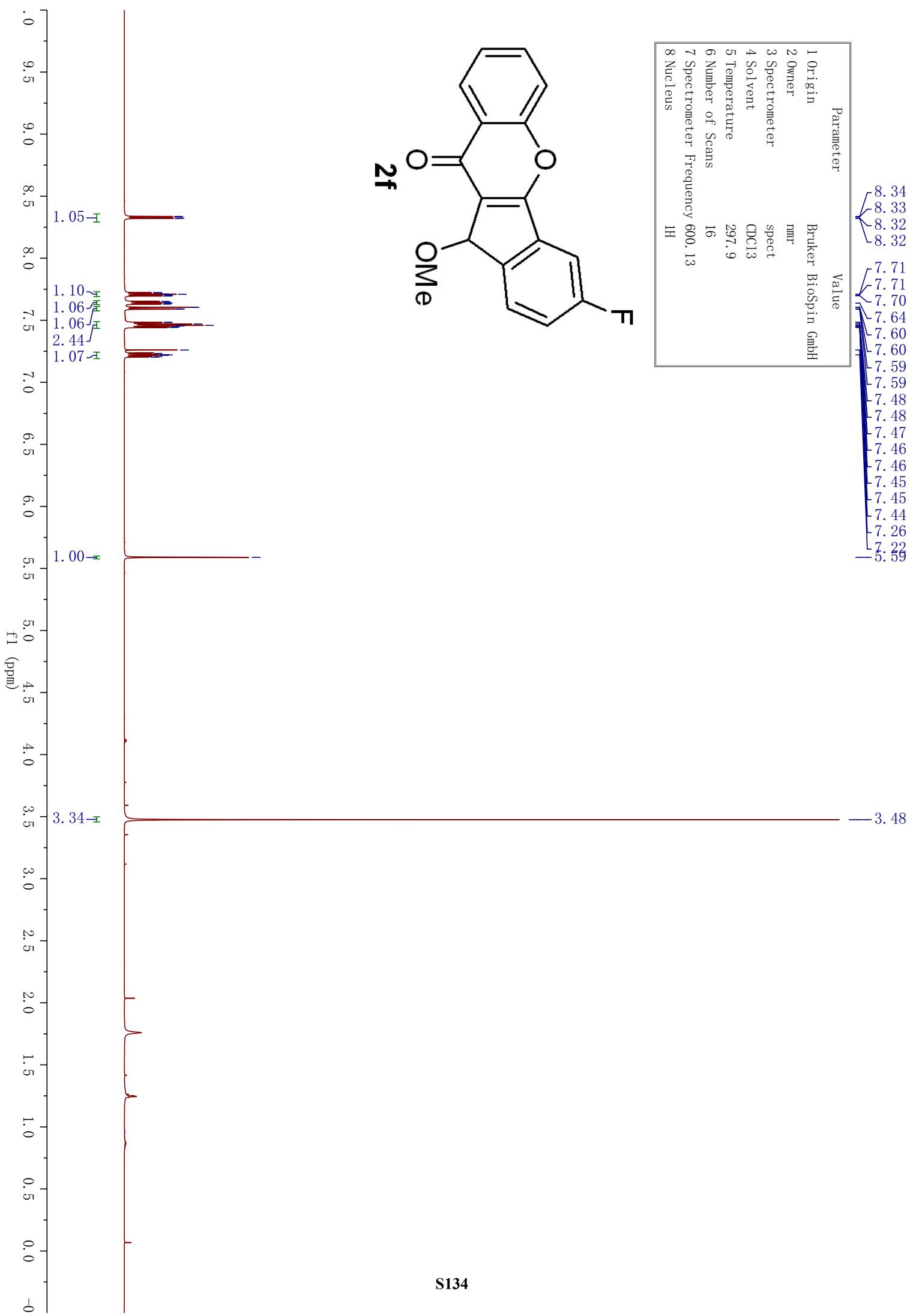


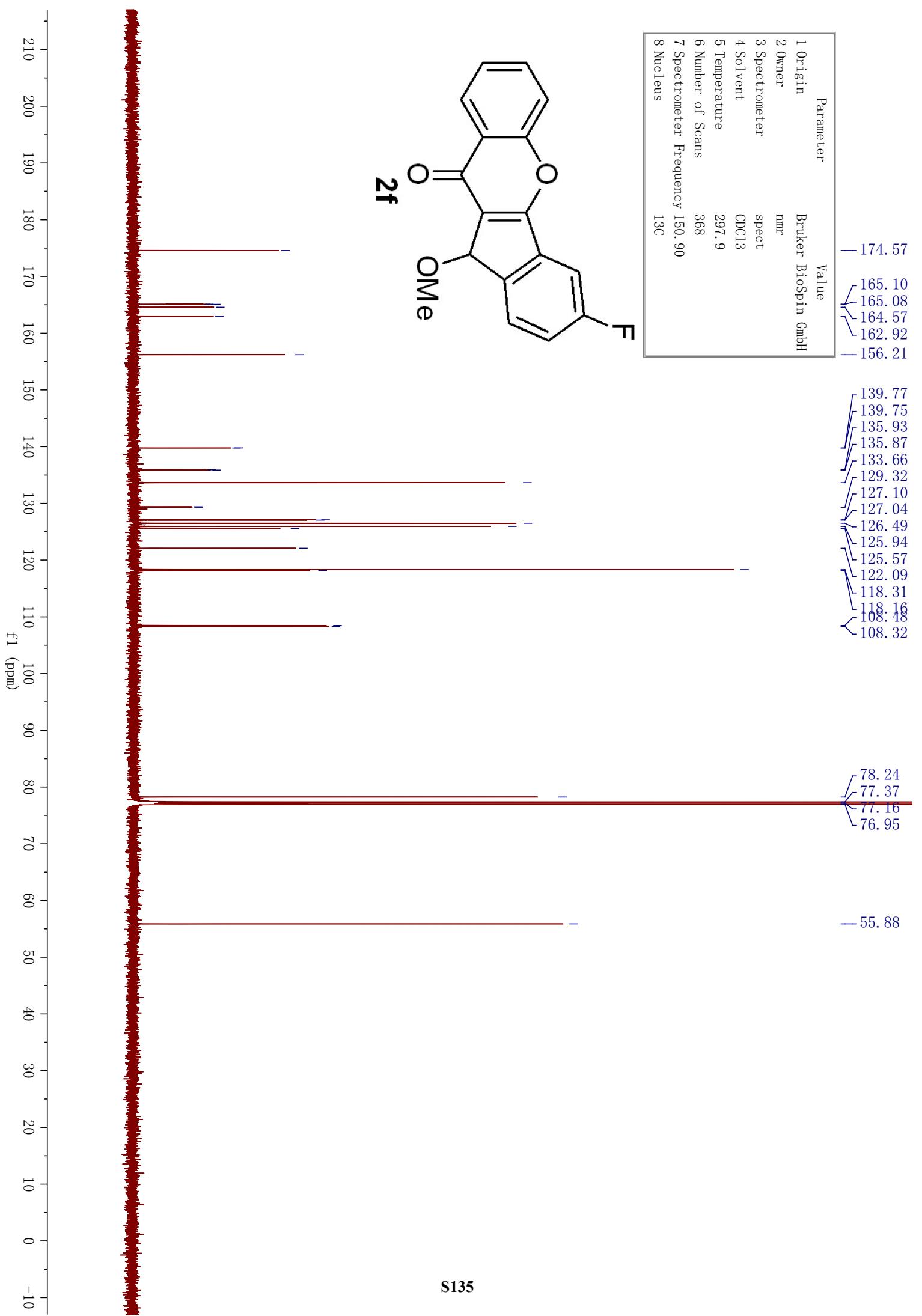


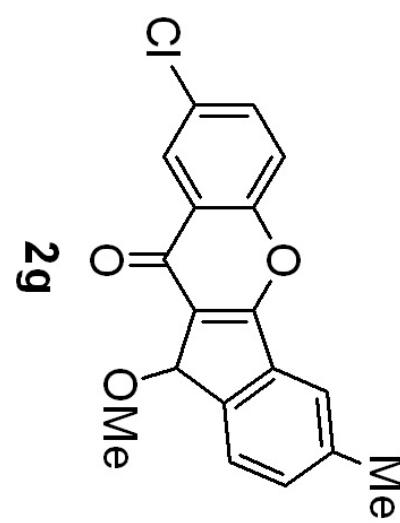
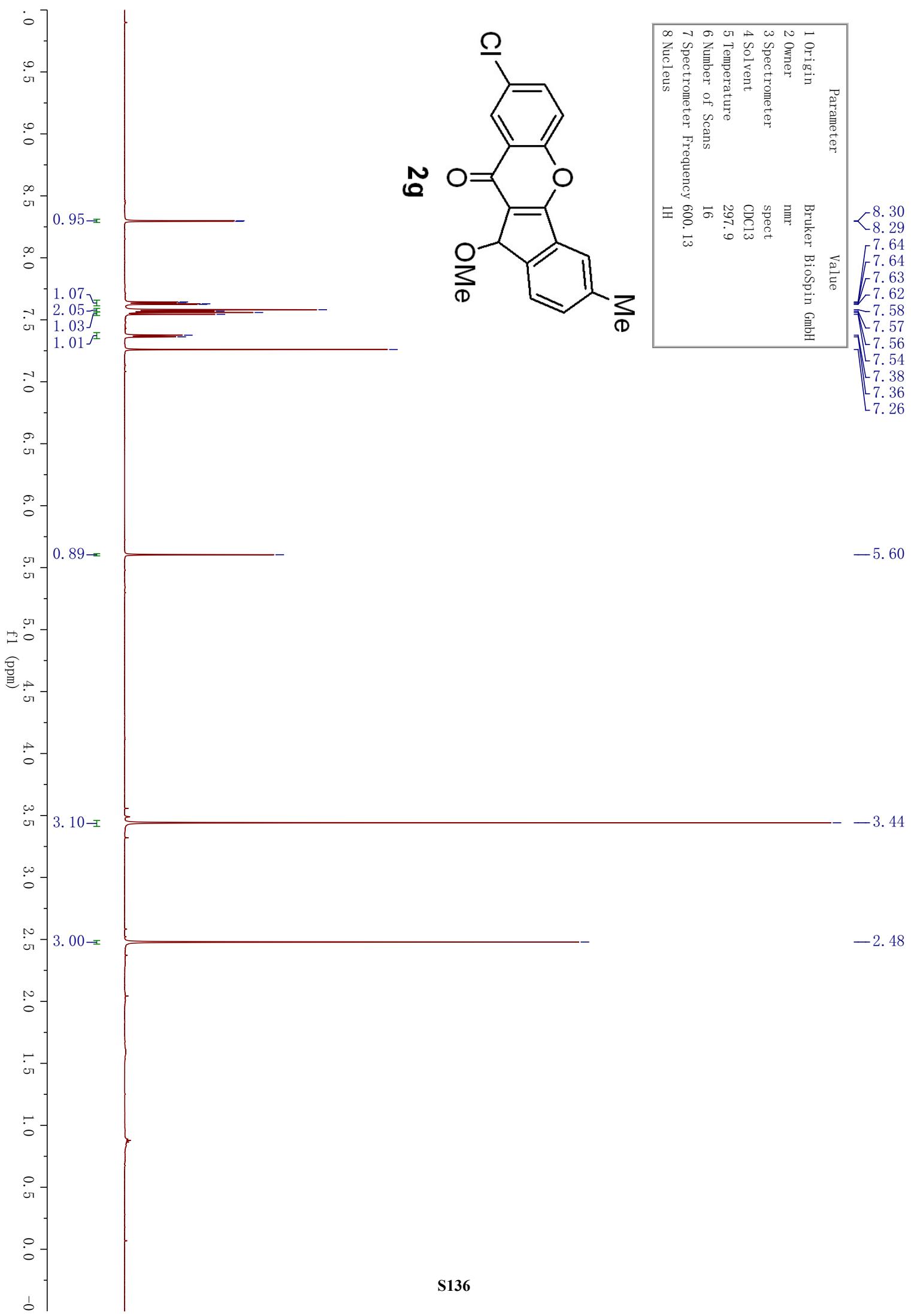


S132

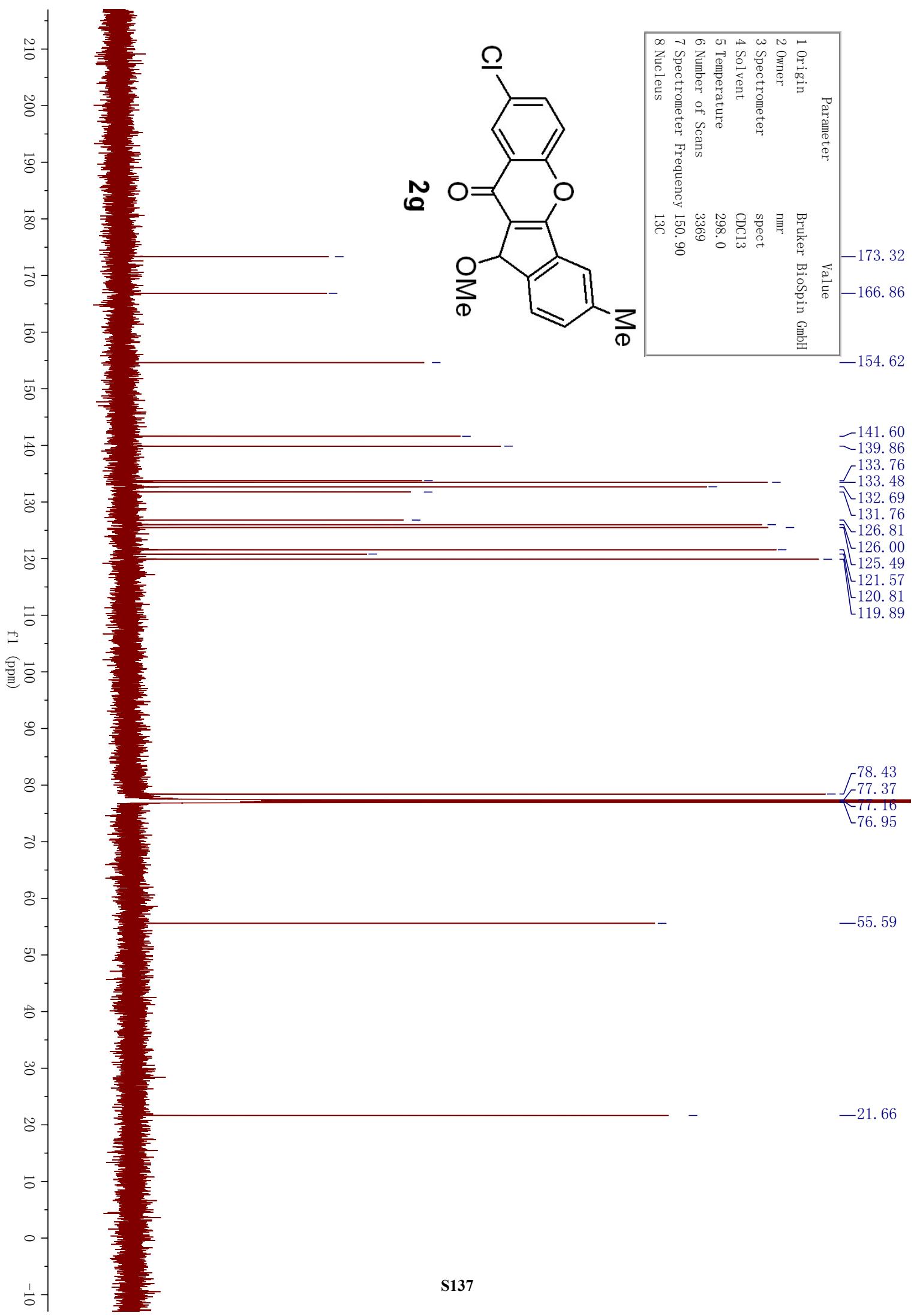


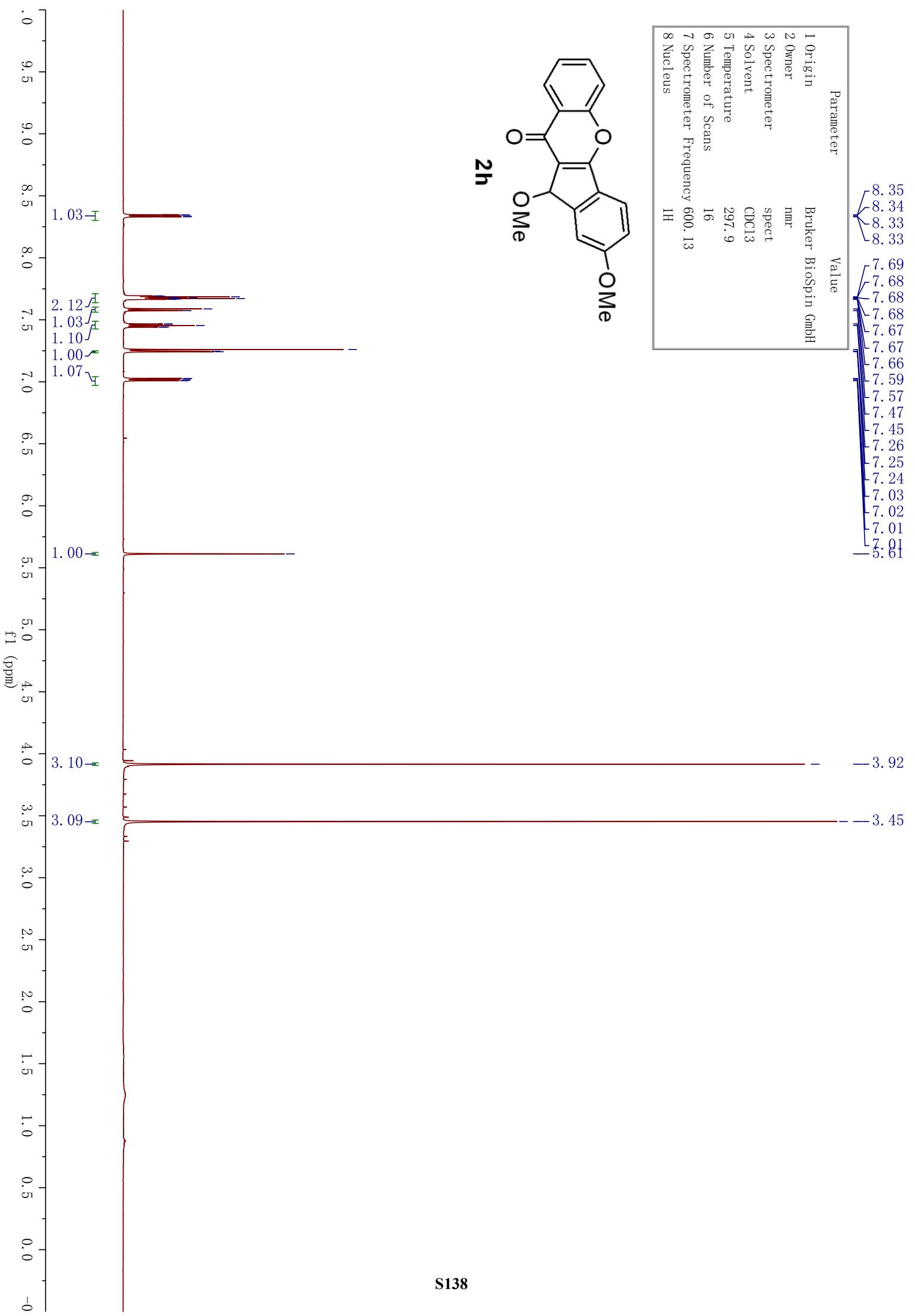


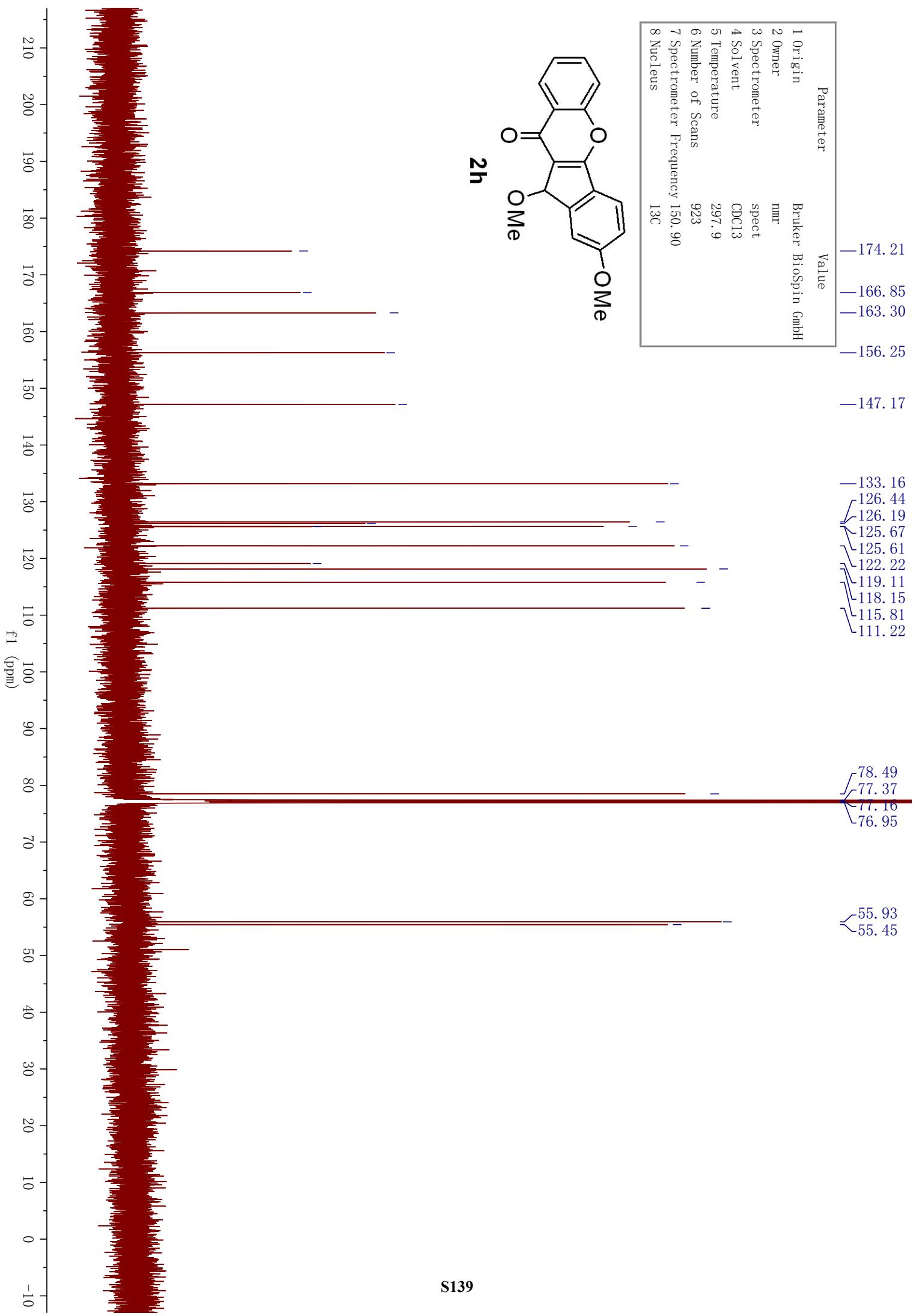


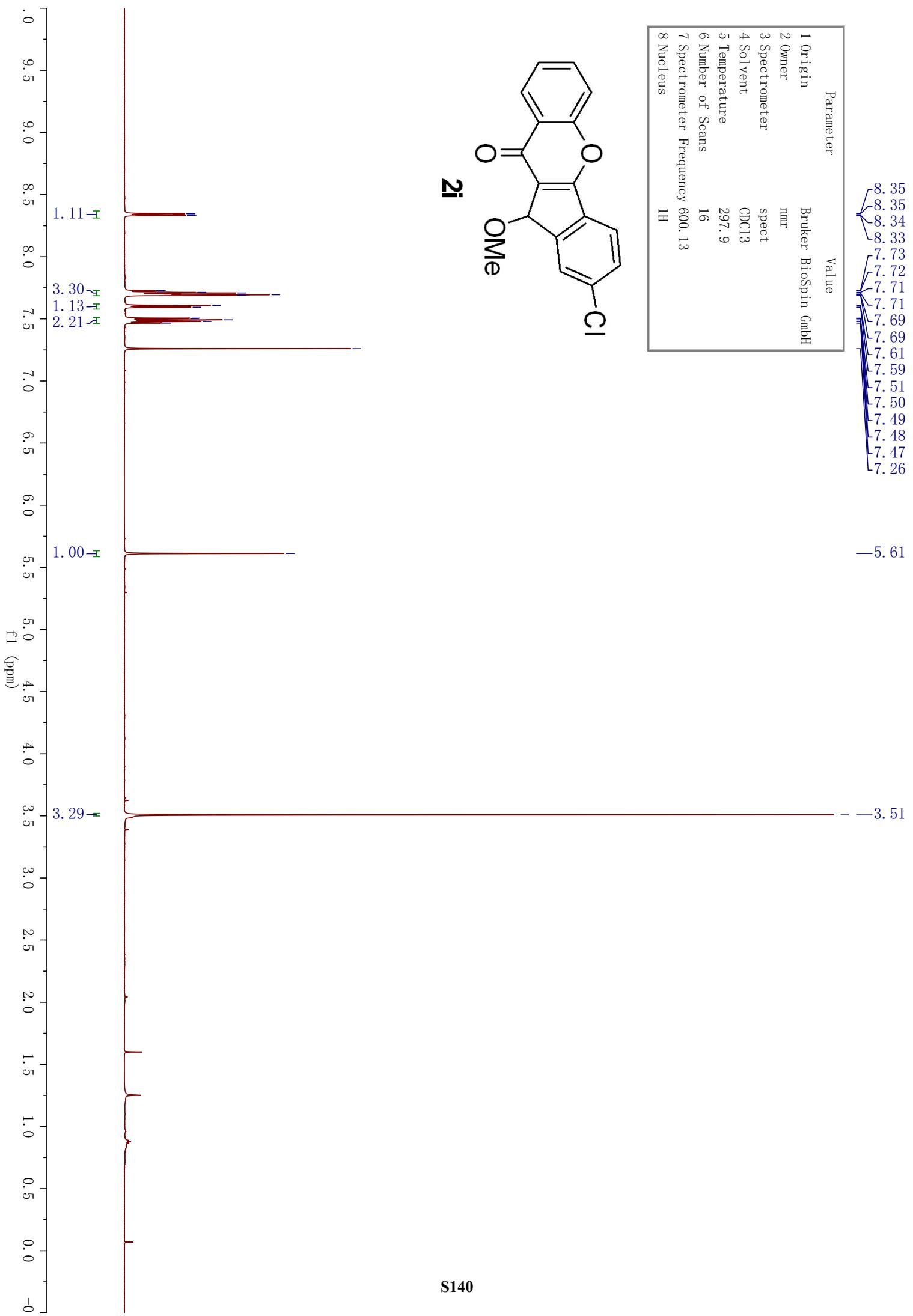


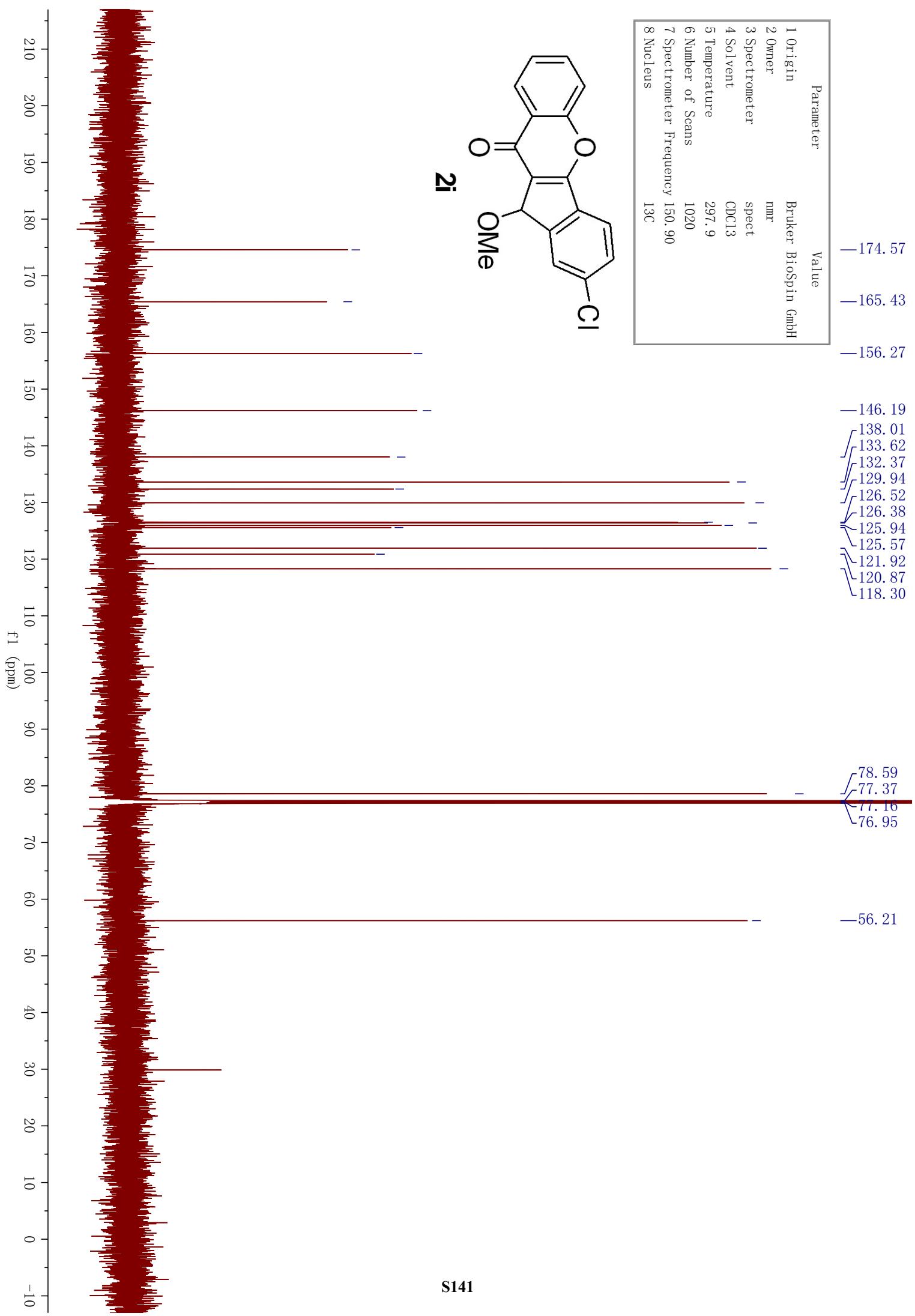
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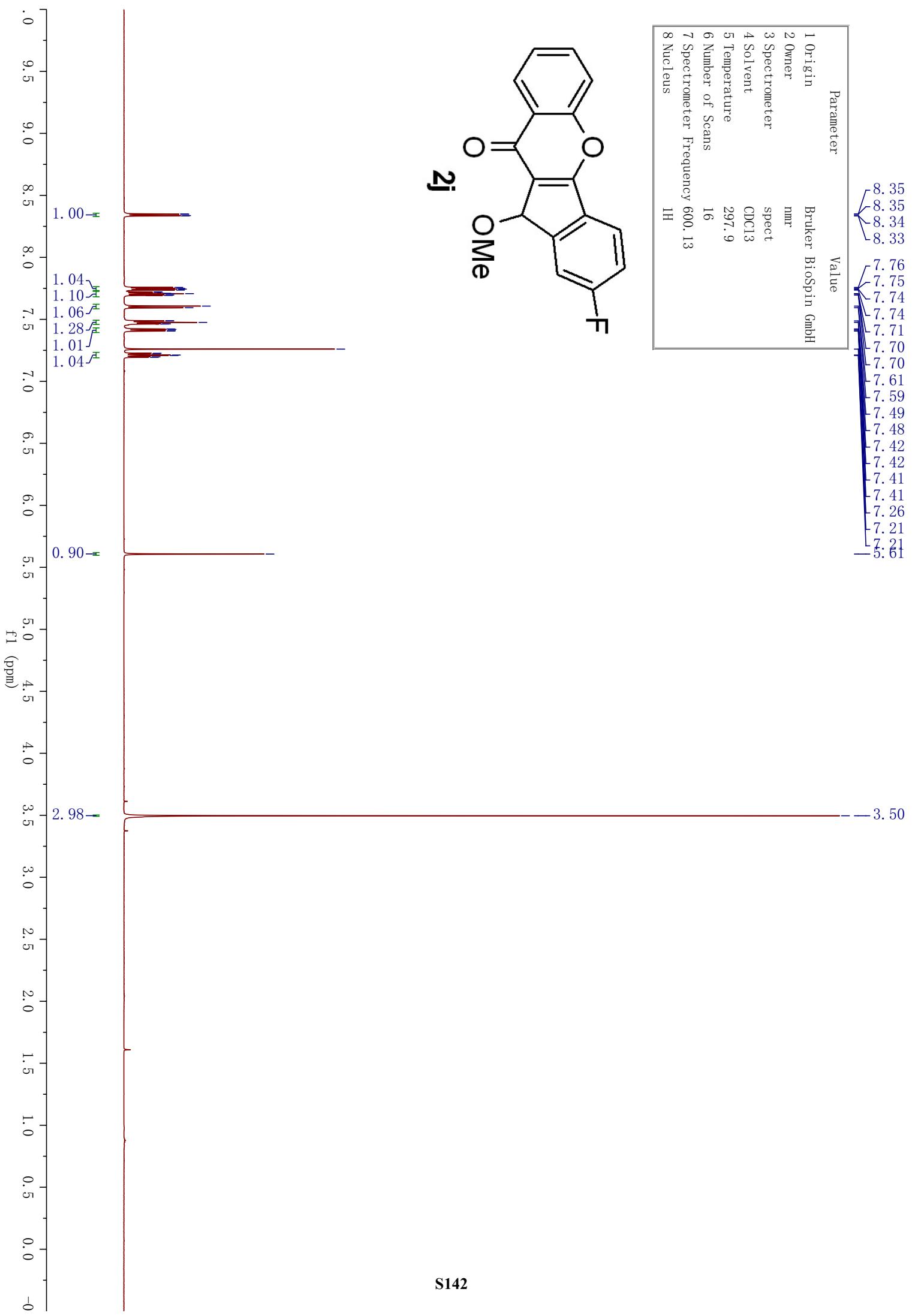


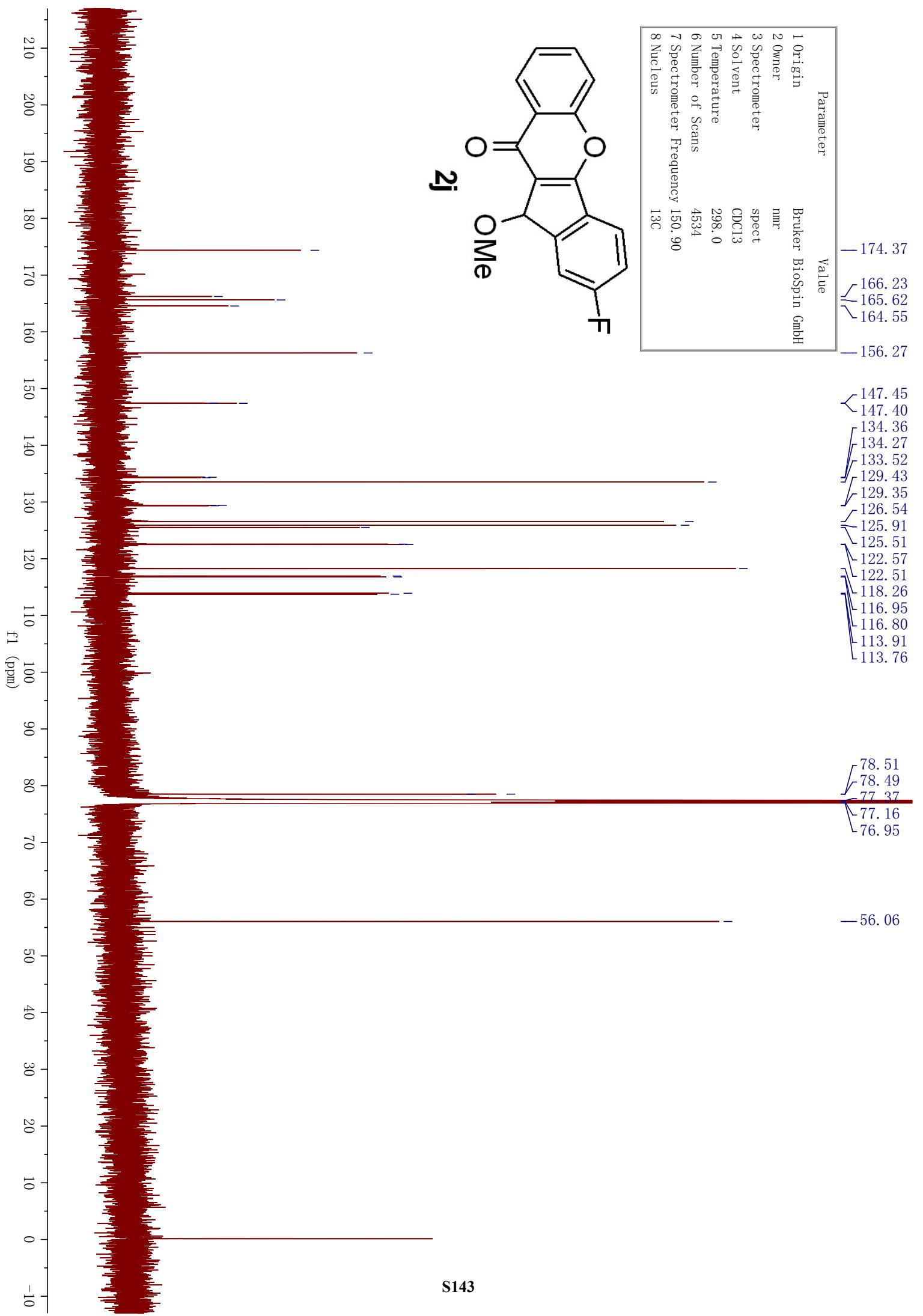


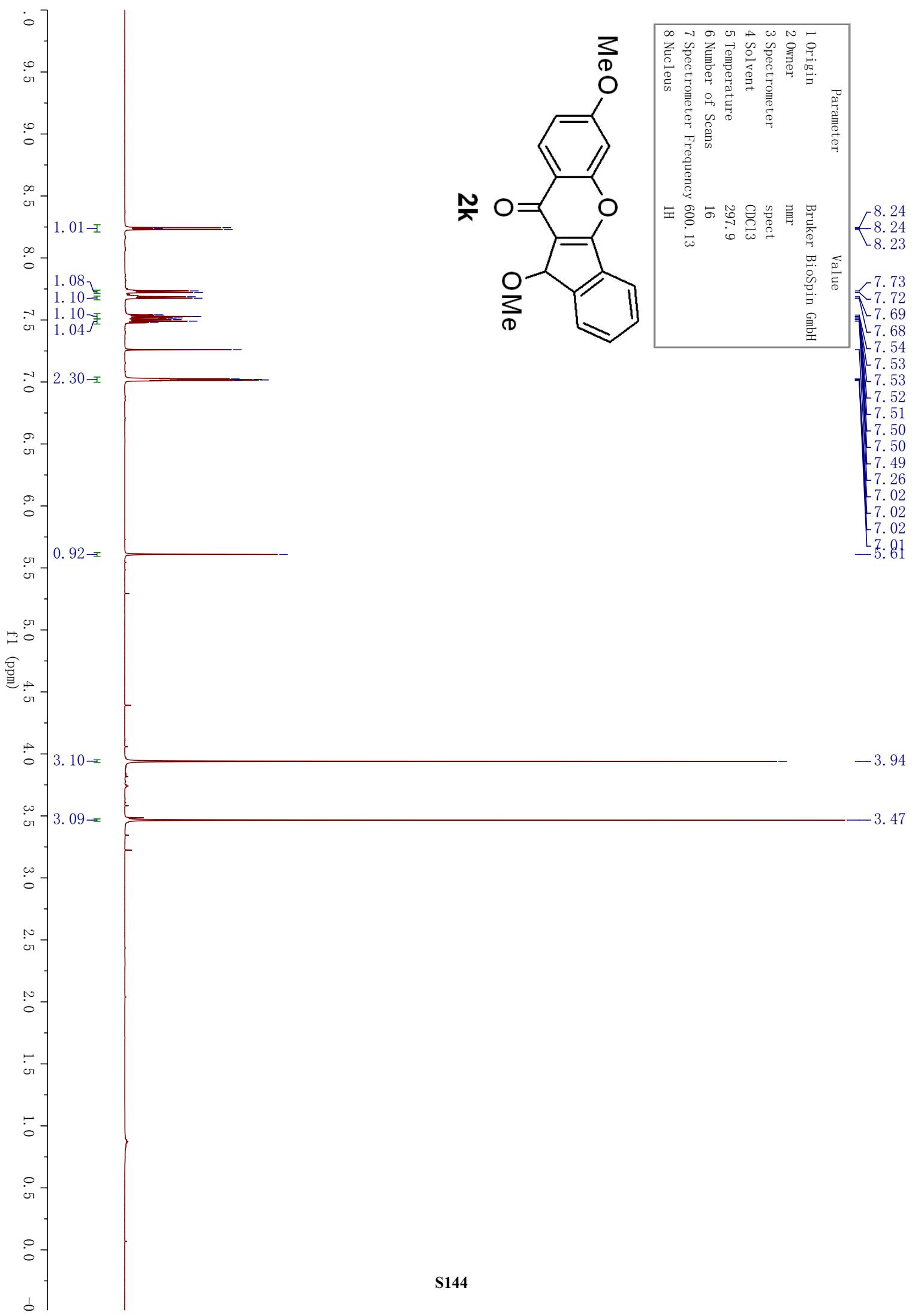


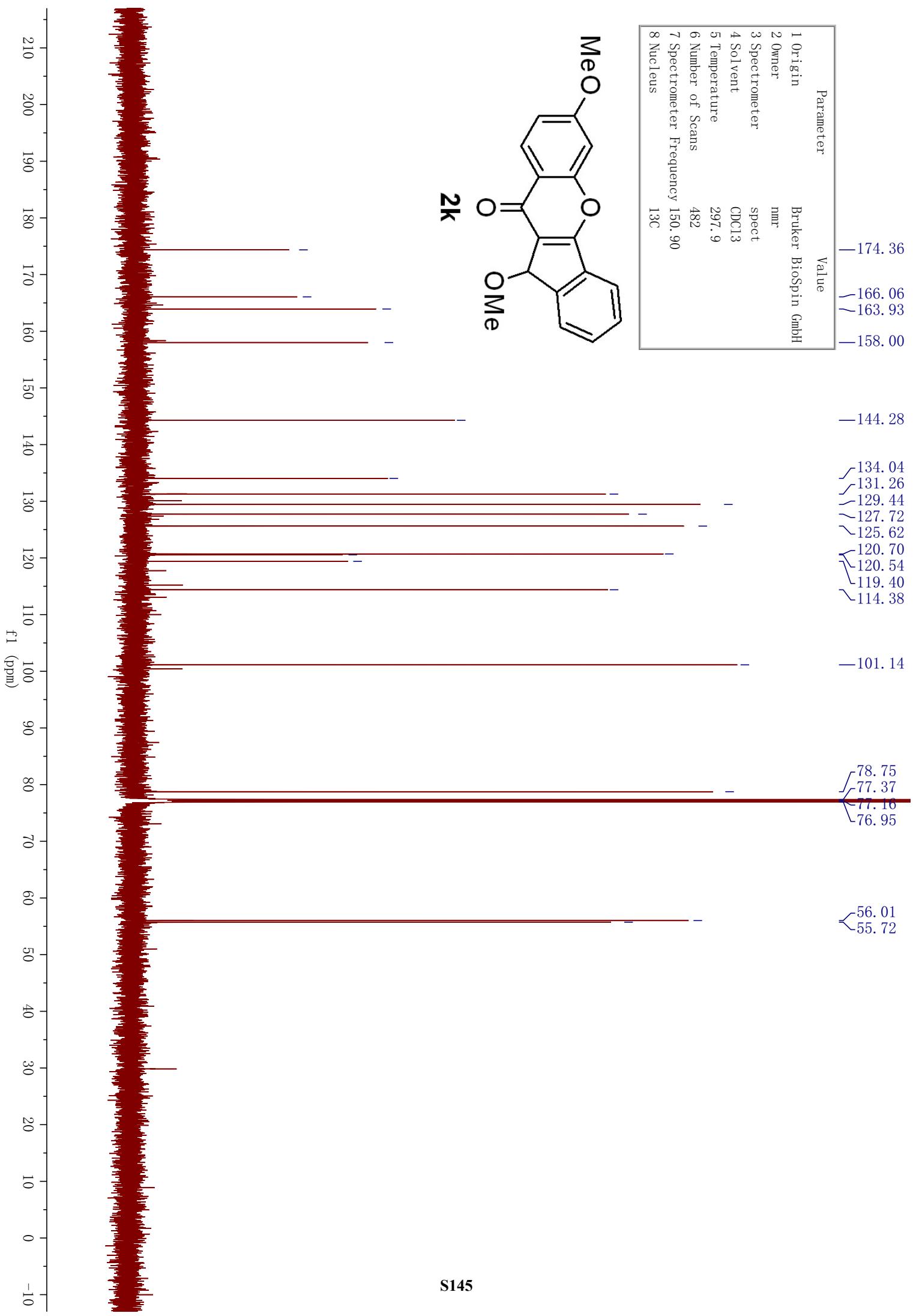


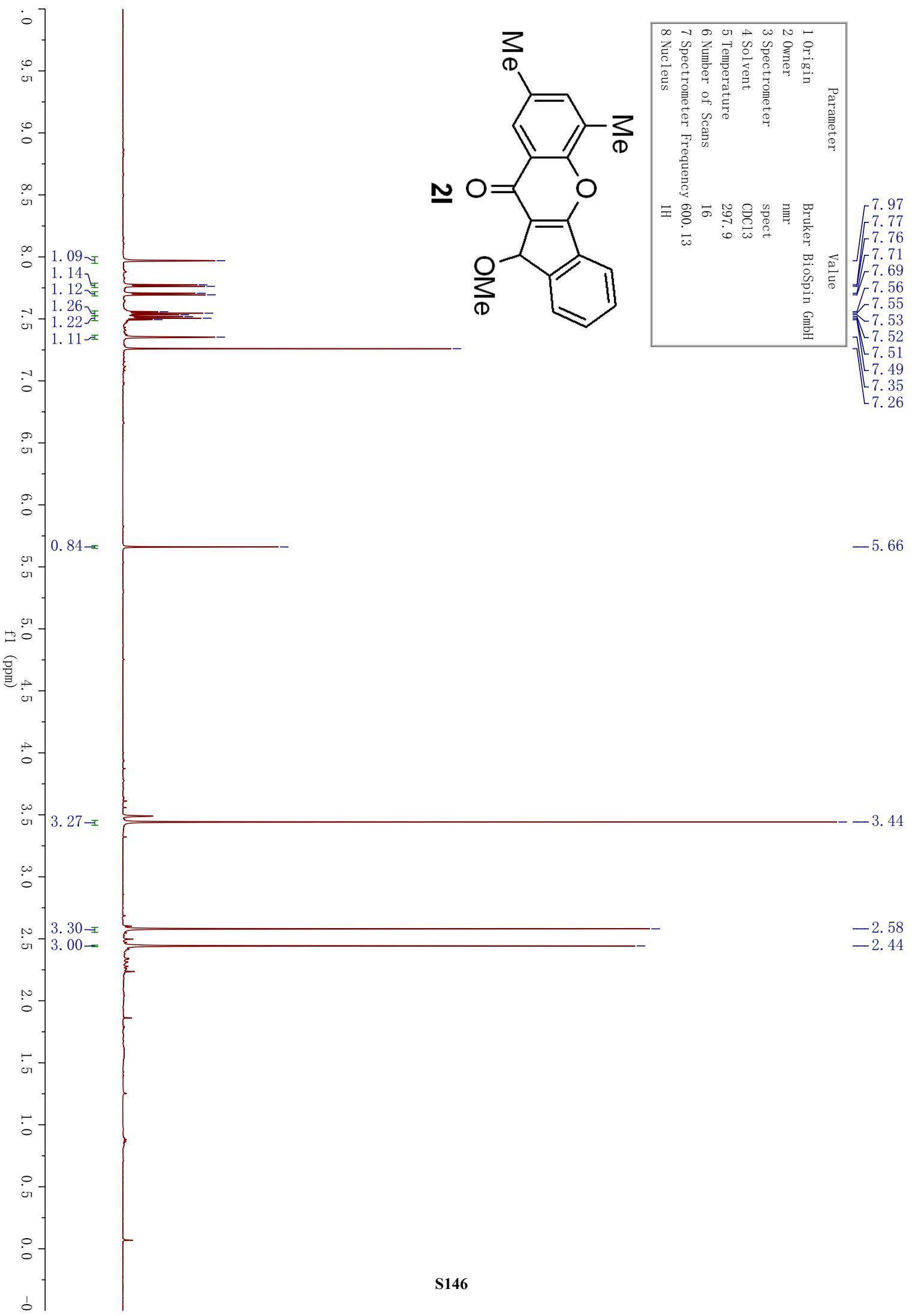


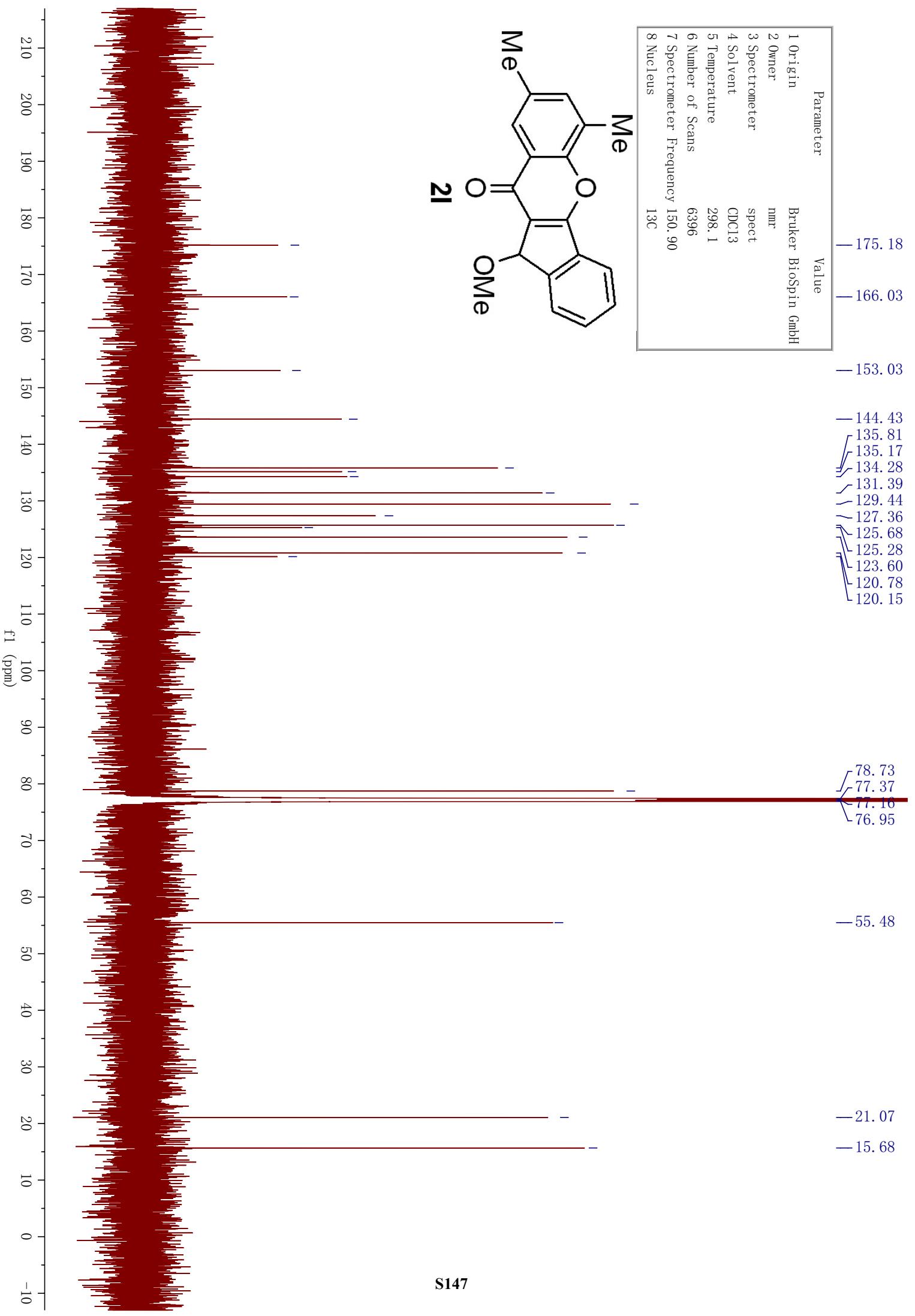


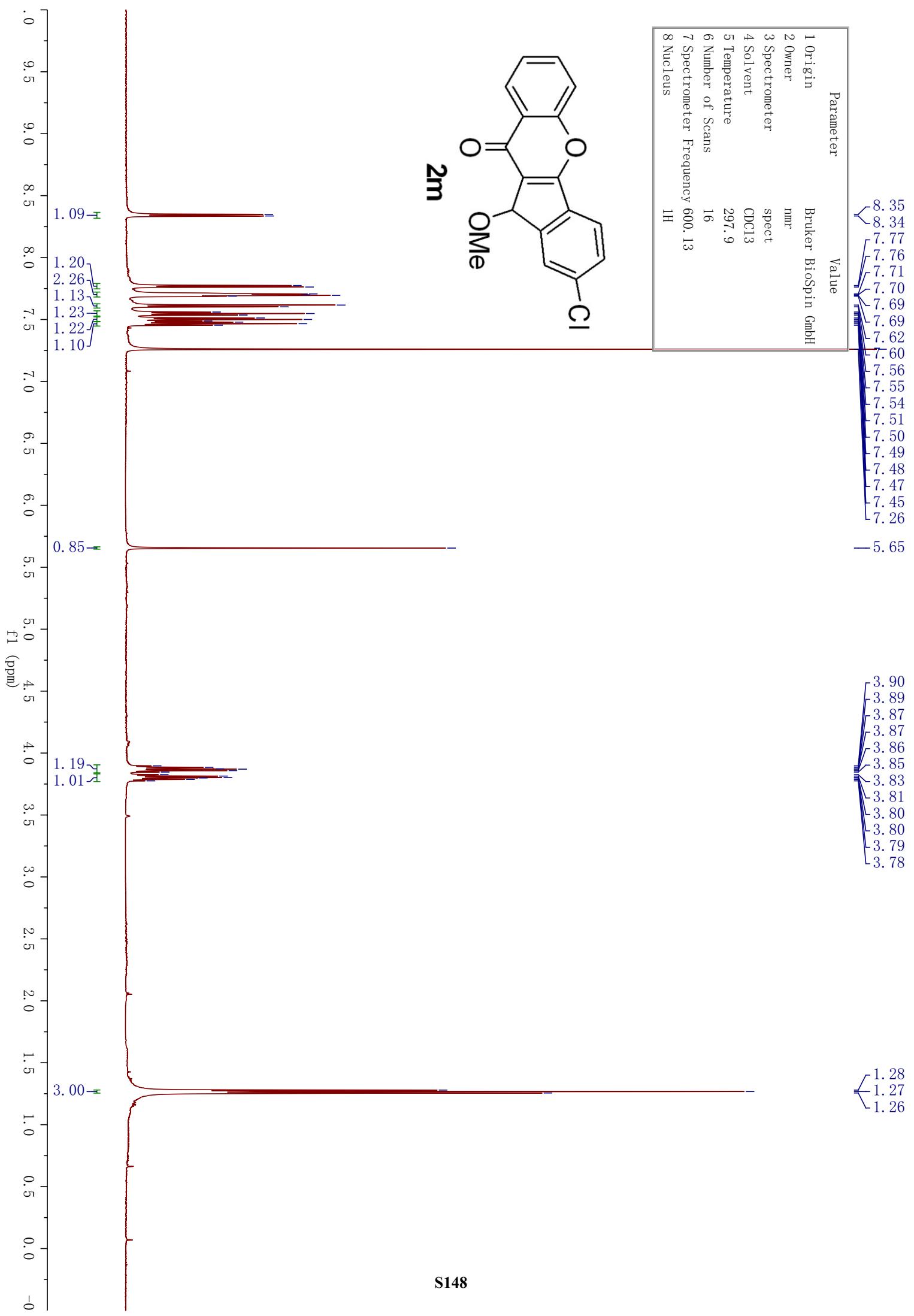


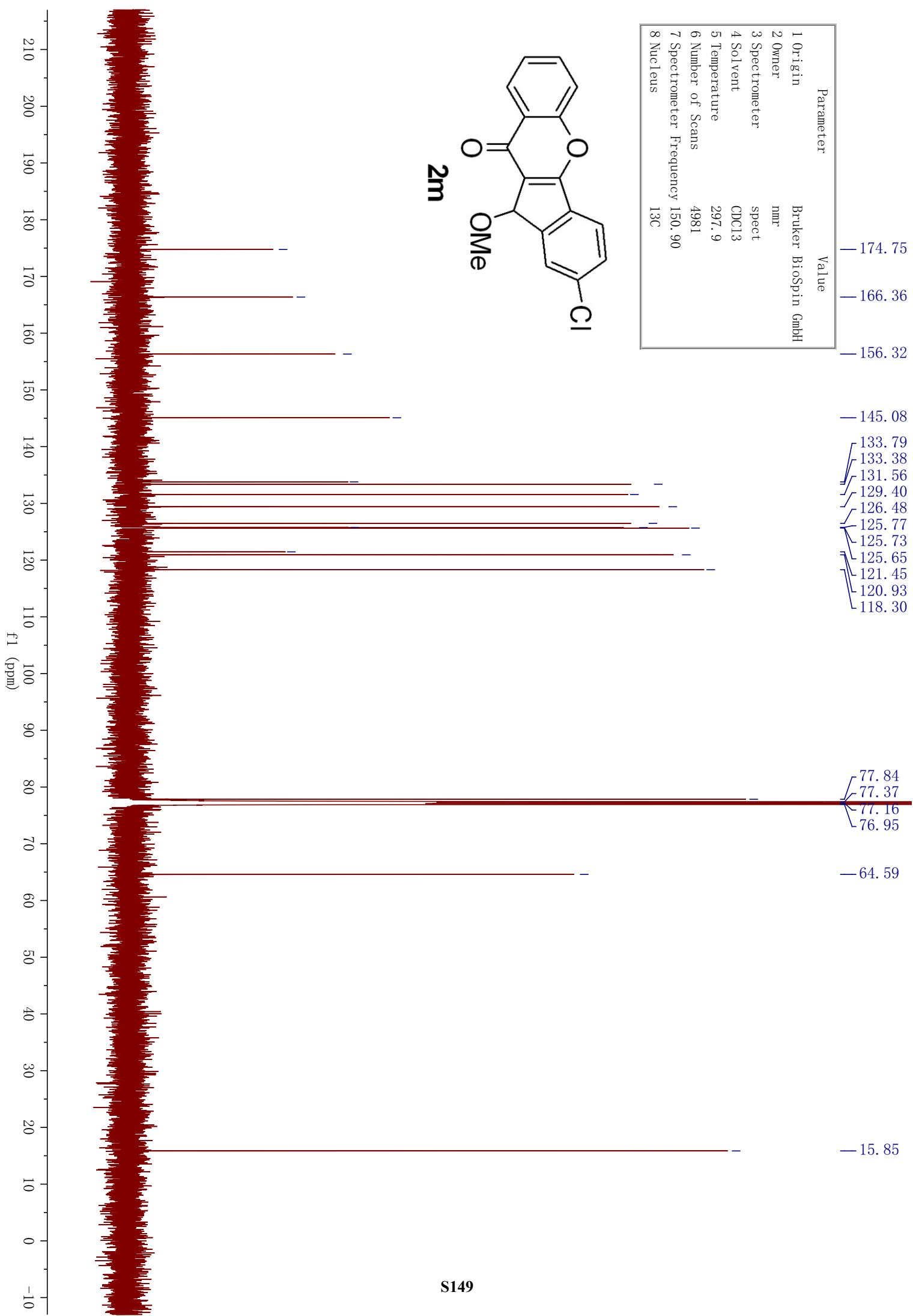


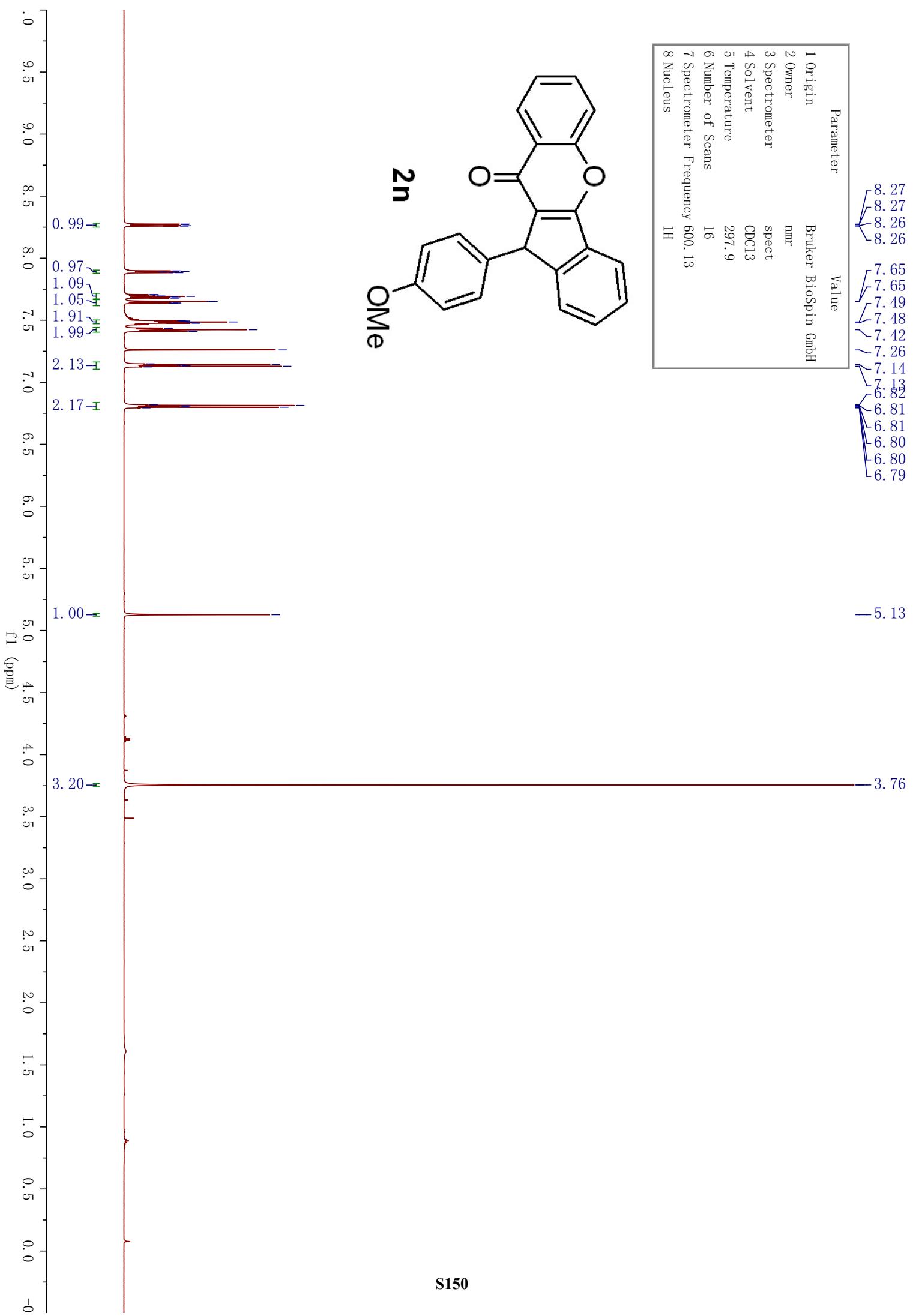


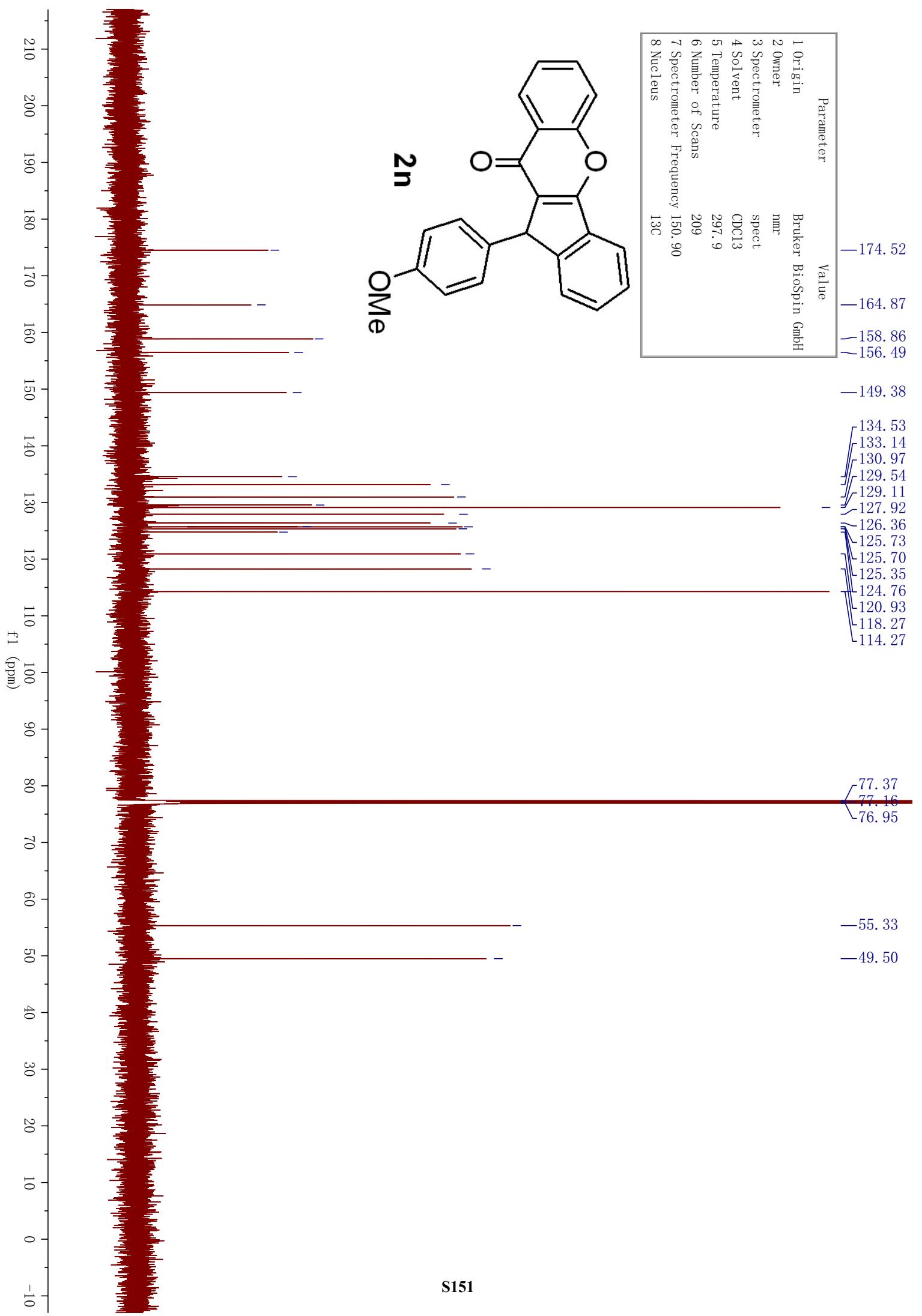


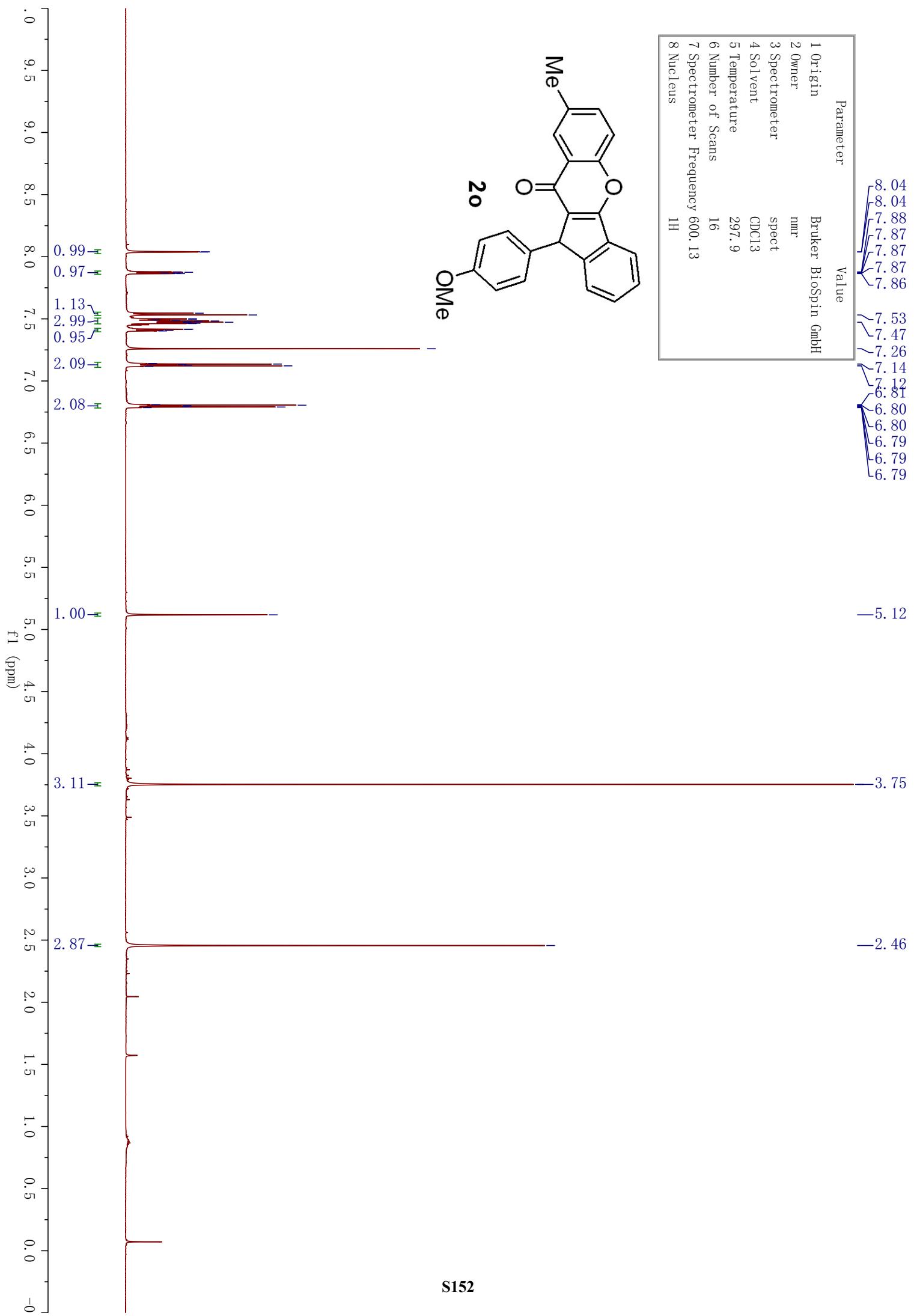


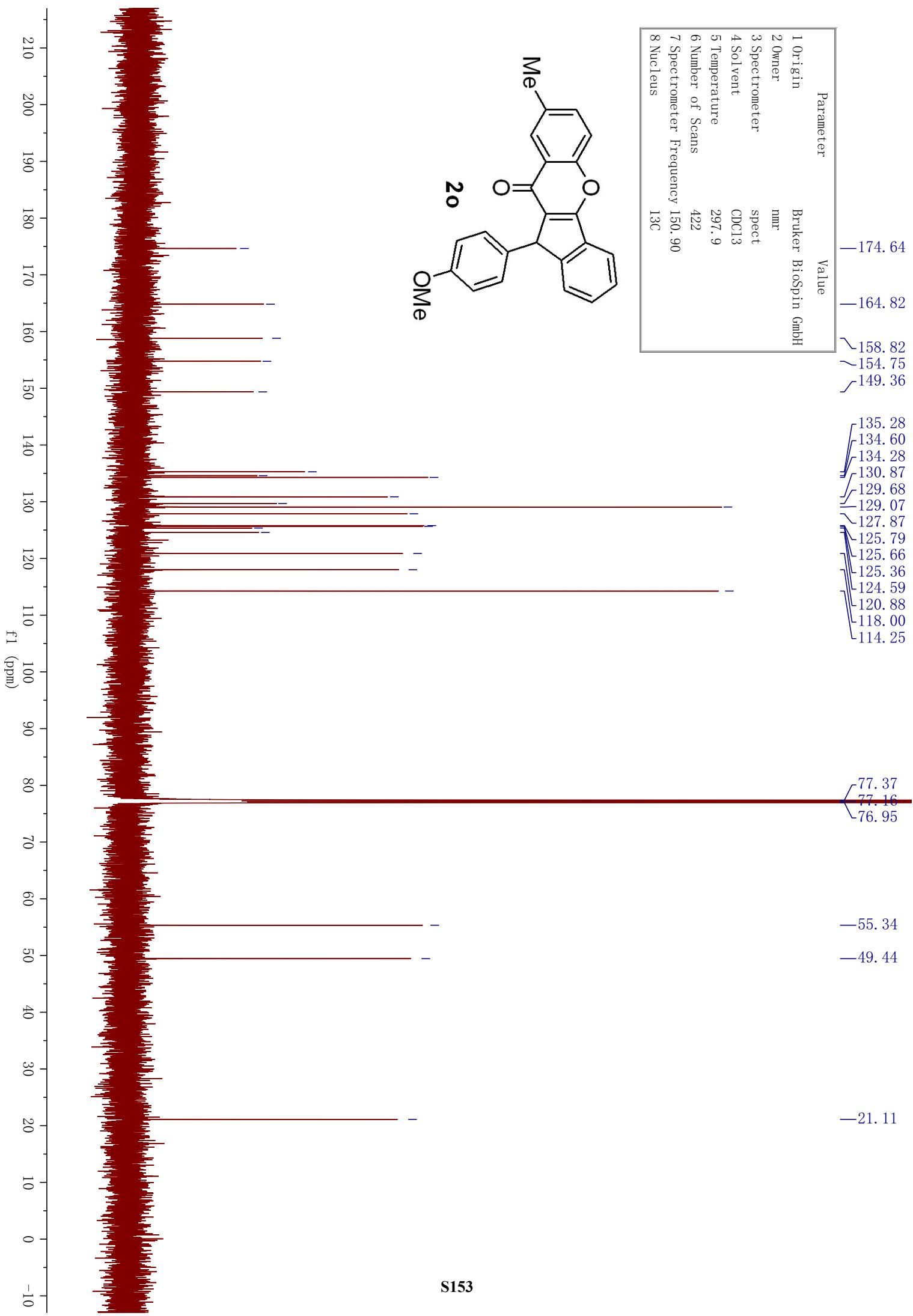


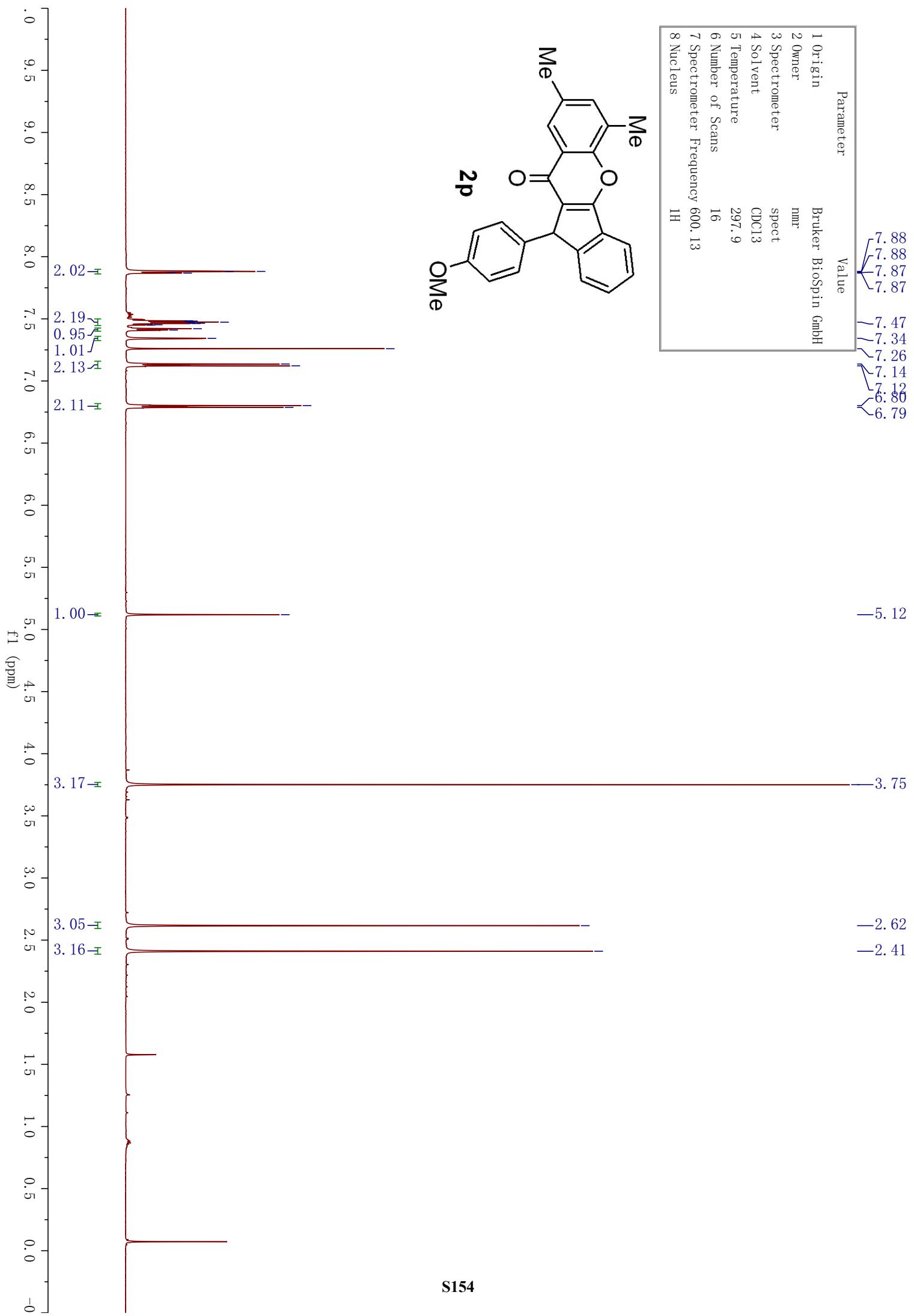


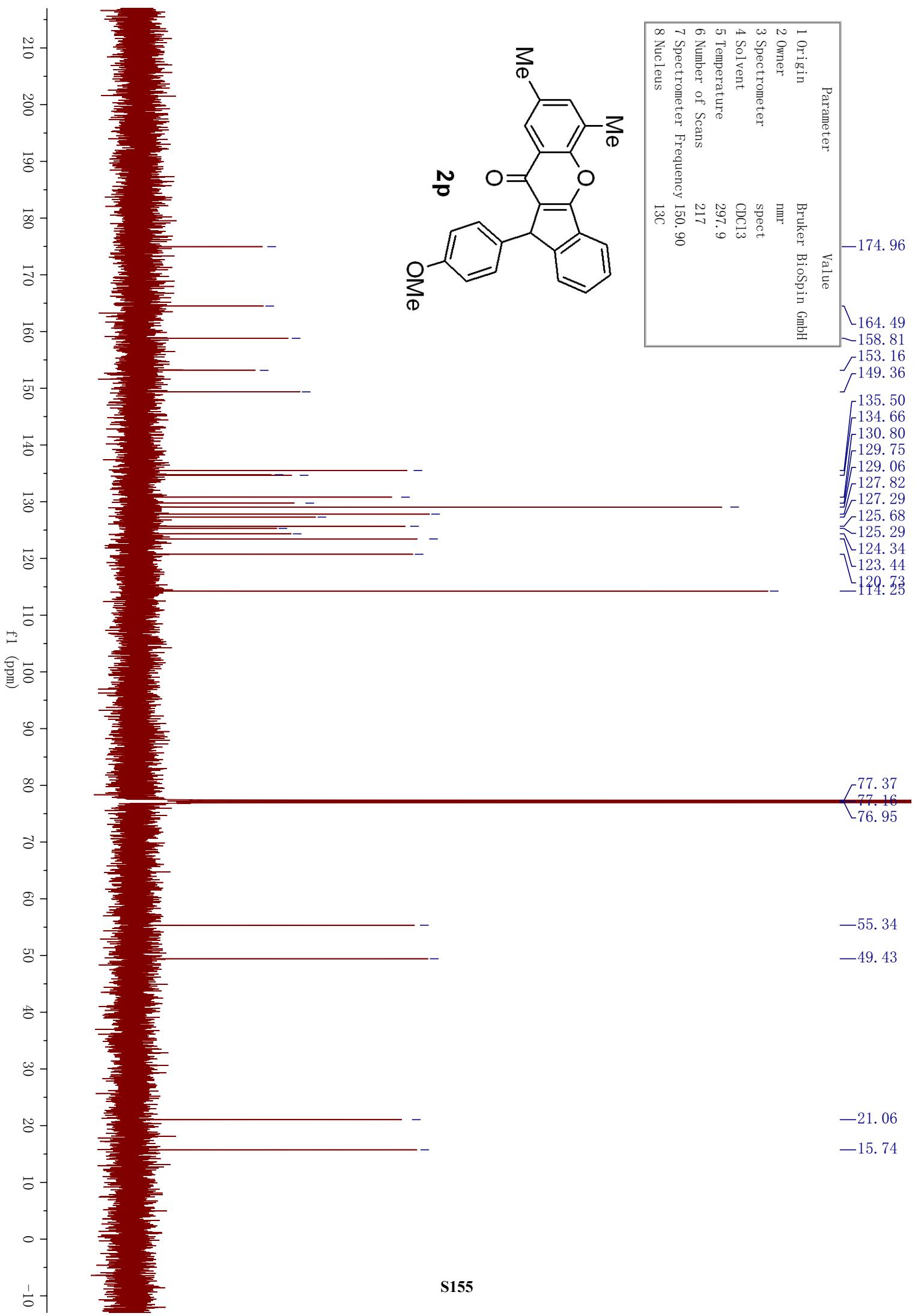


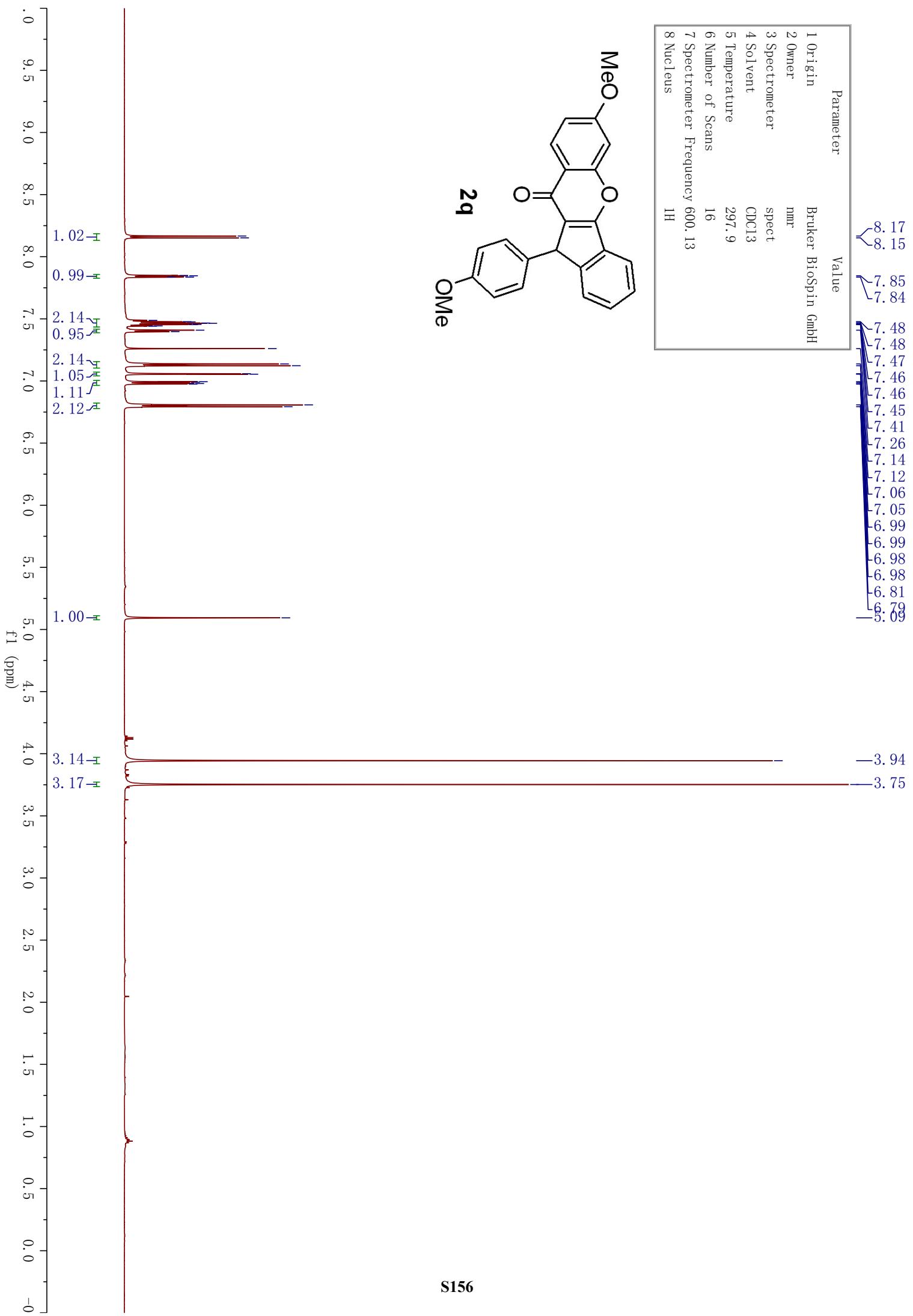


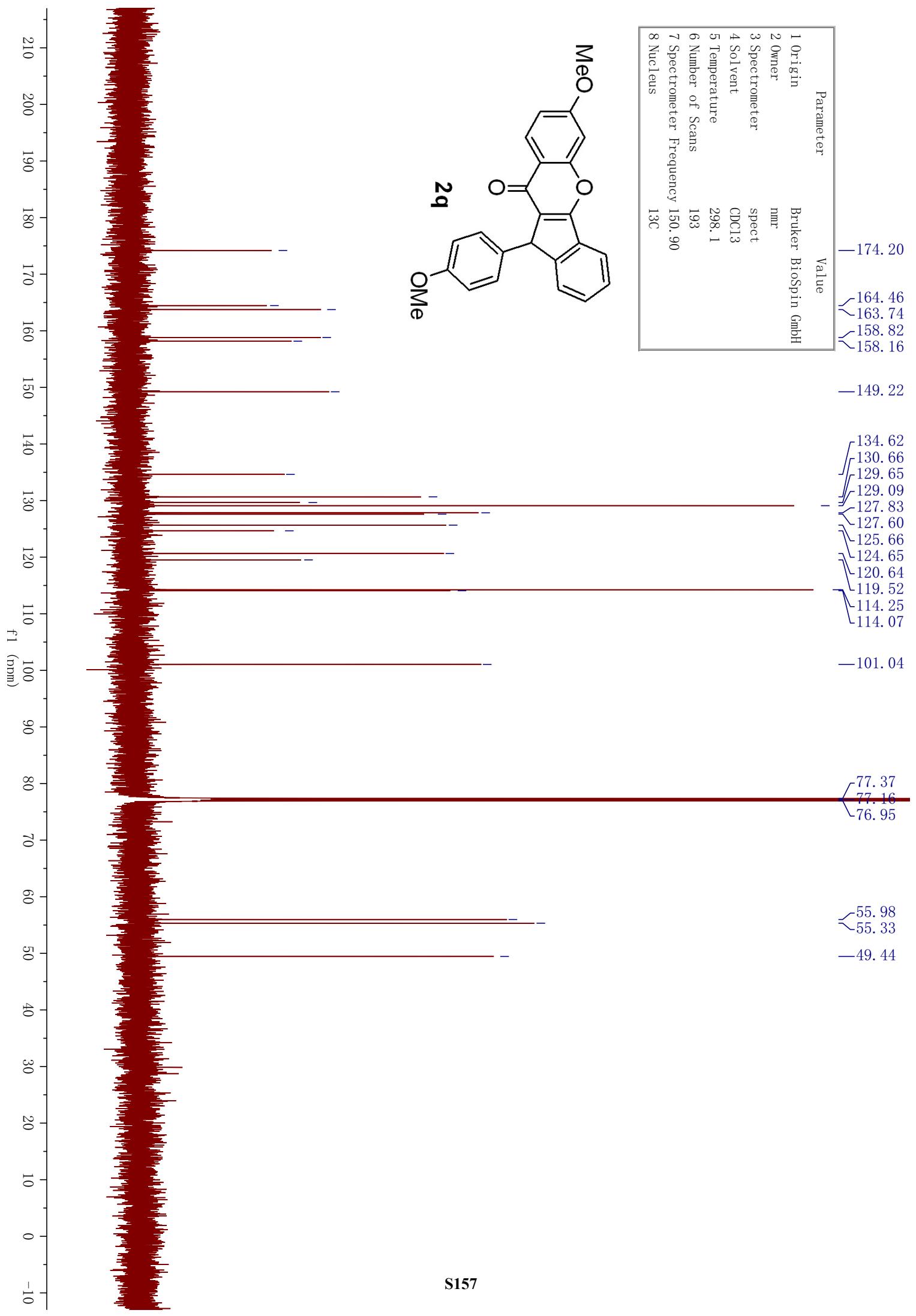


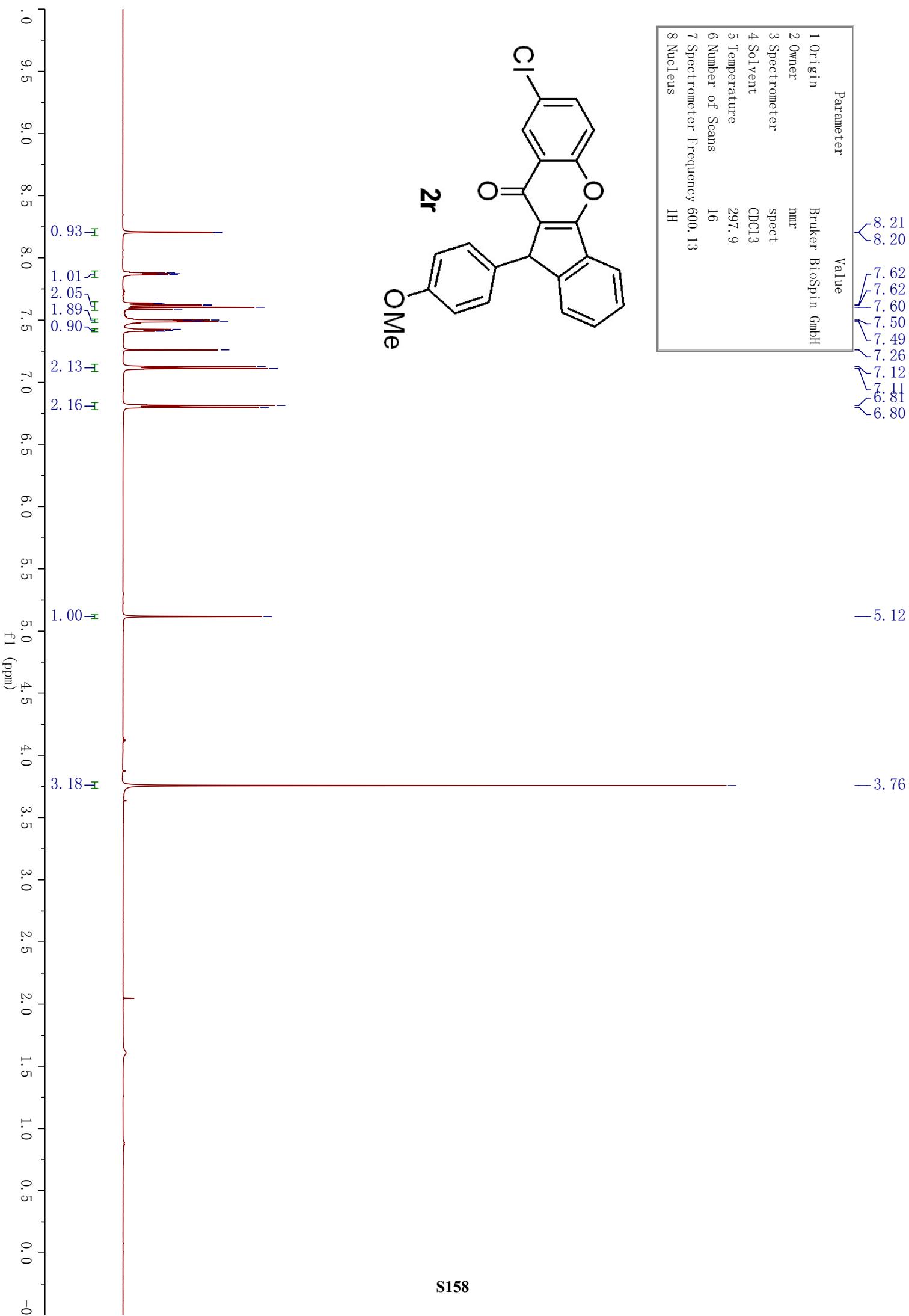


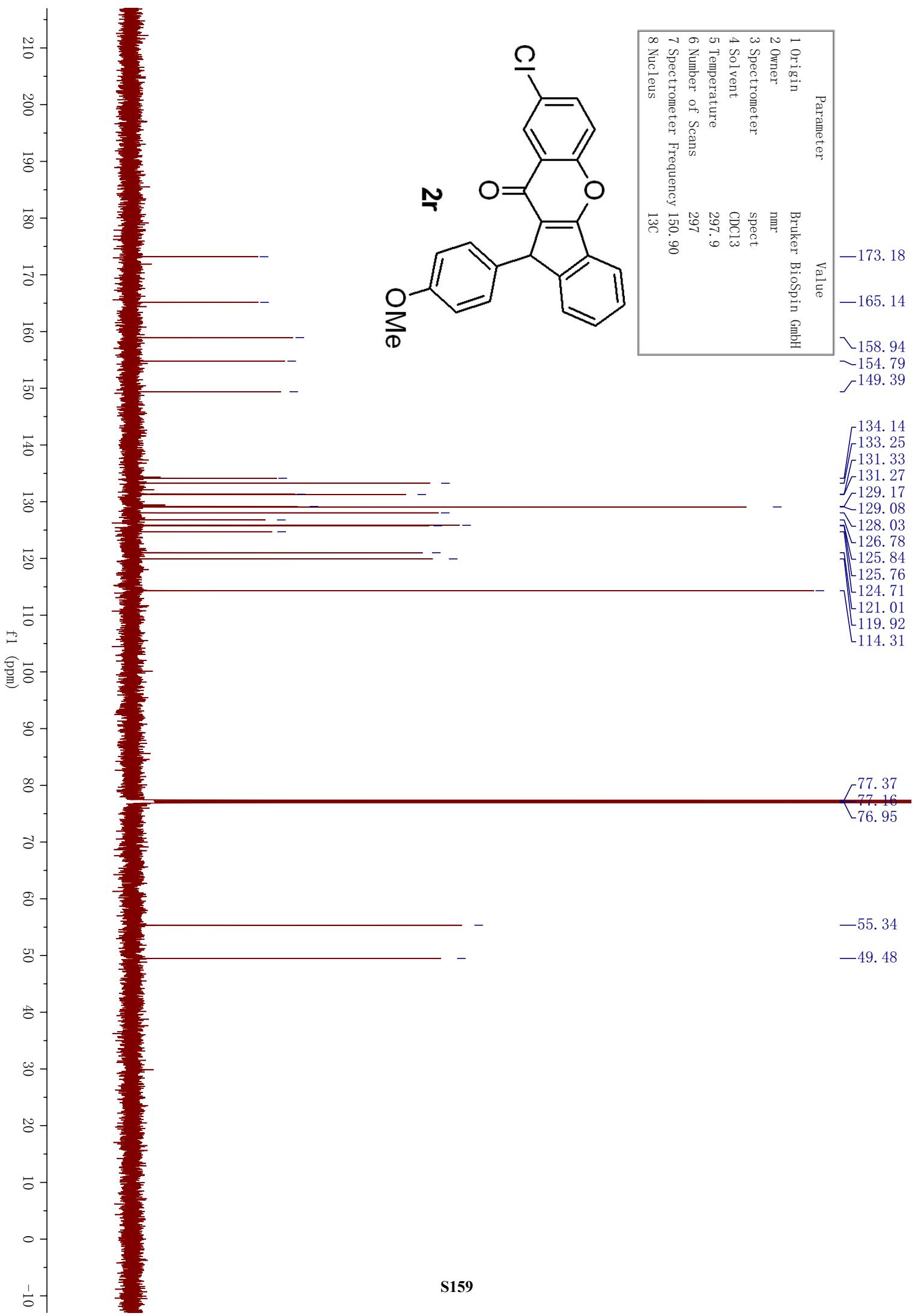


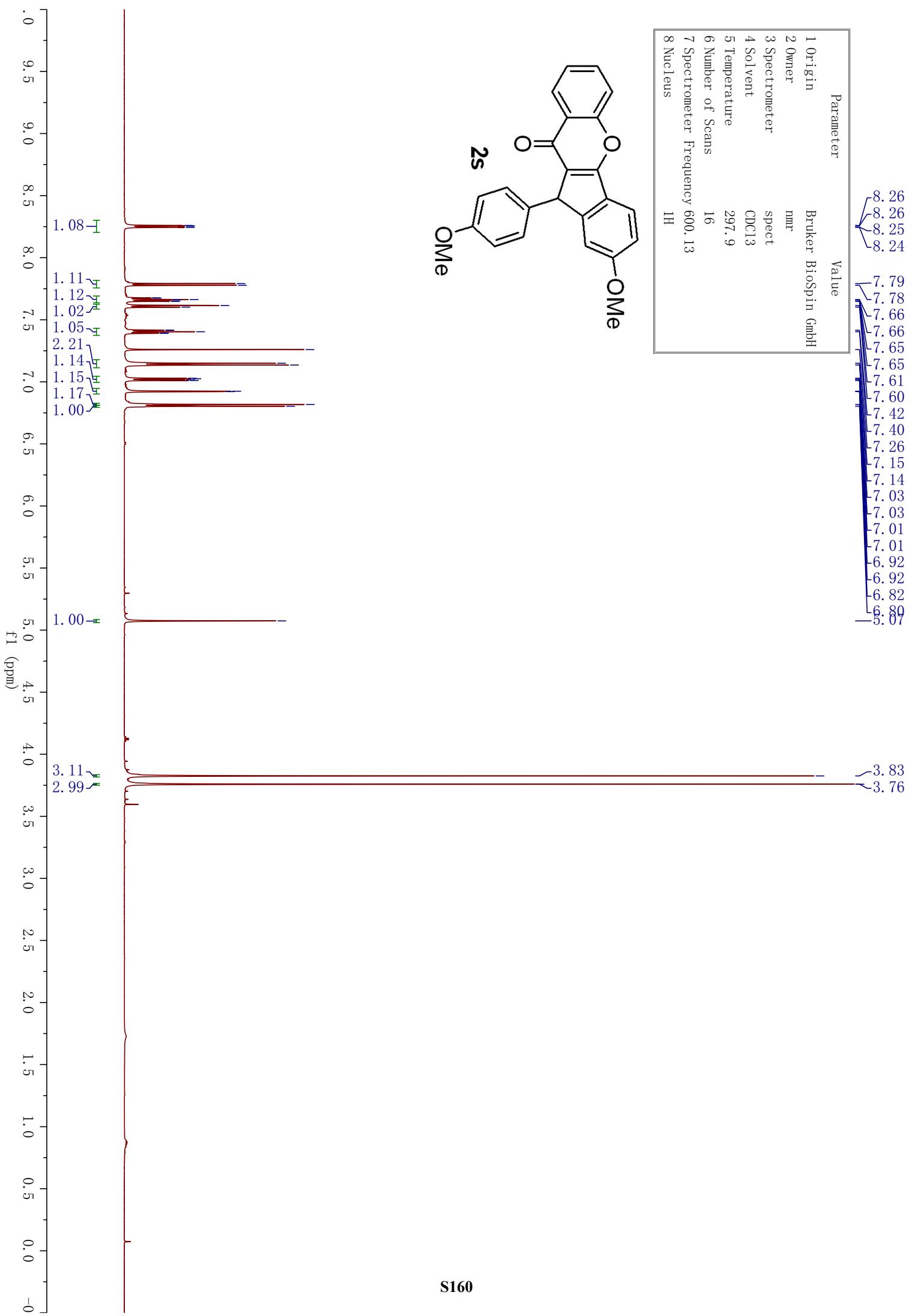


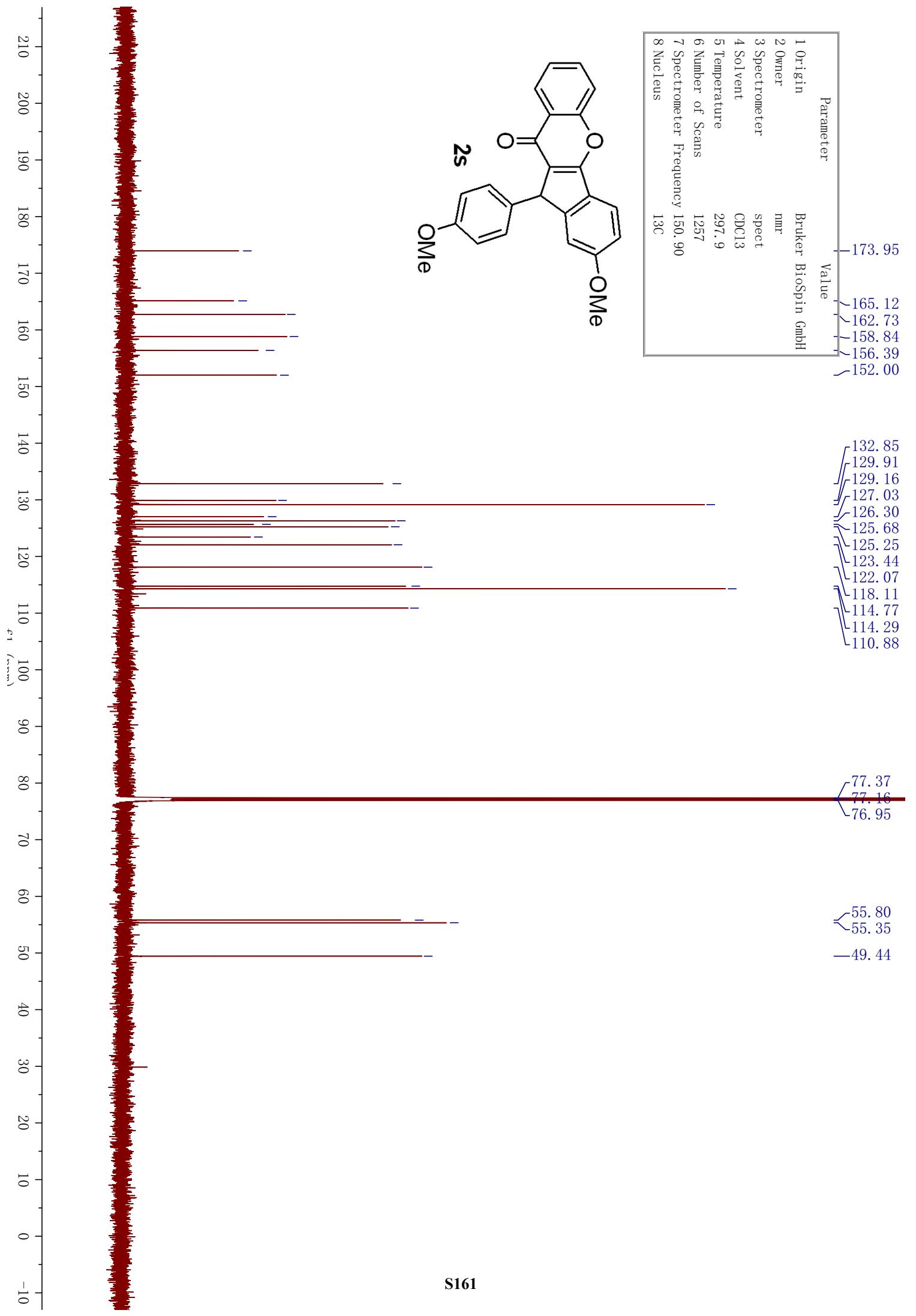


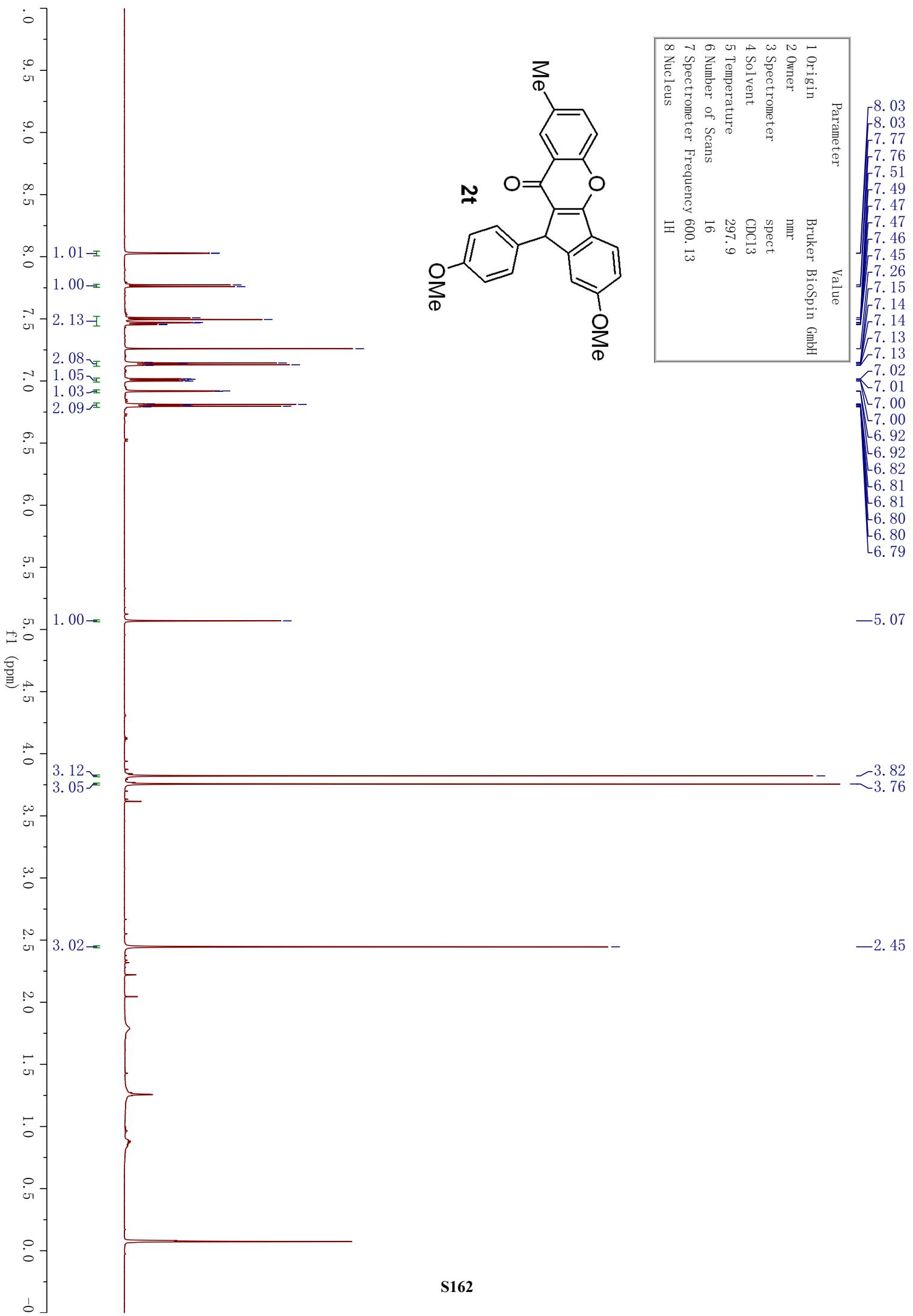


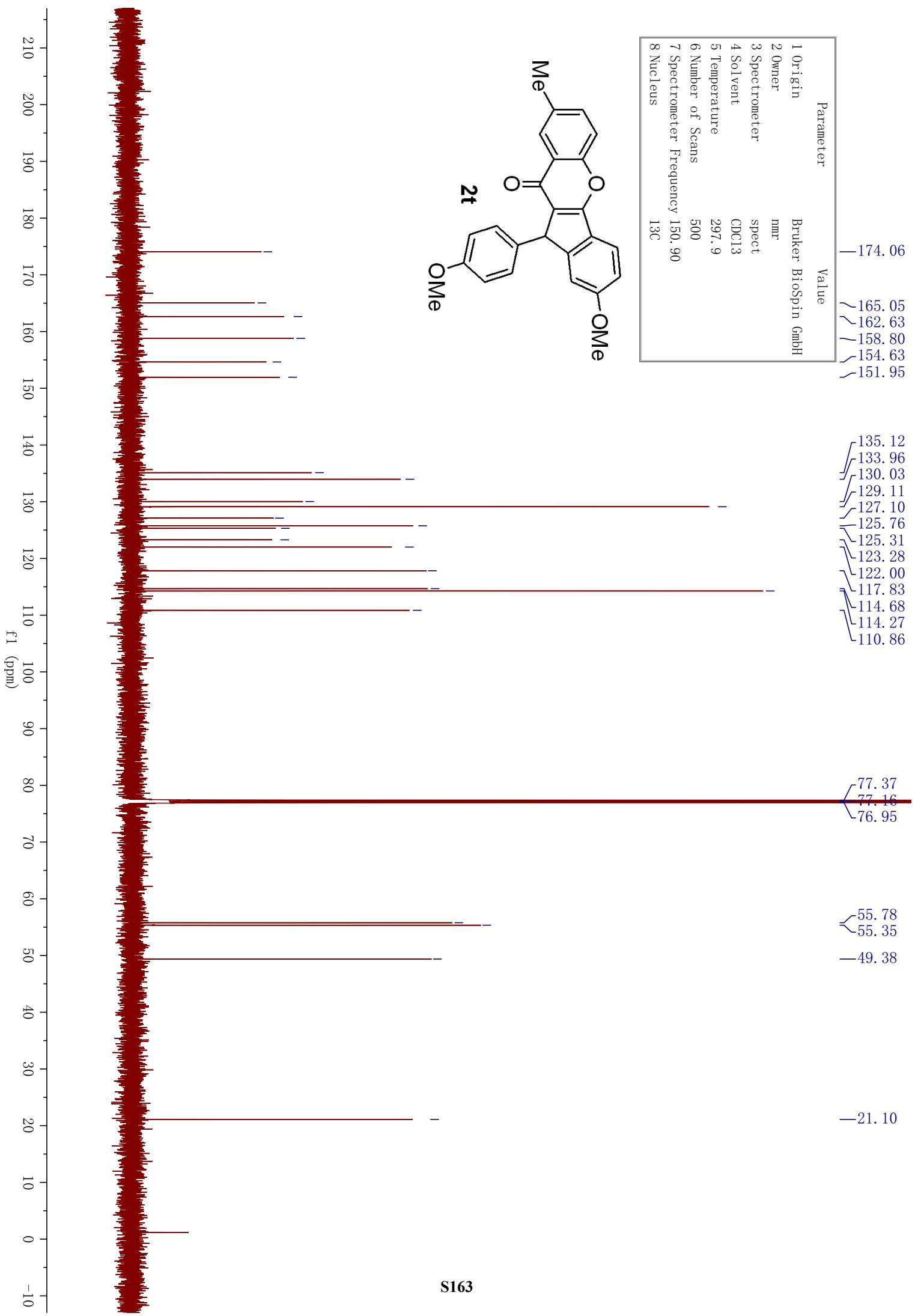


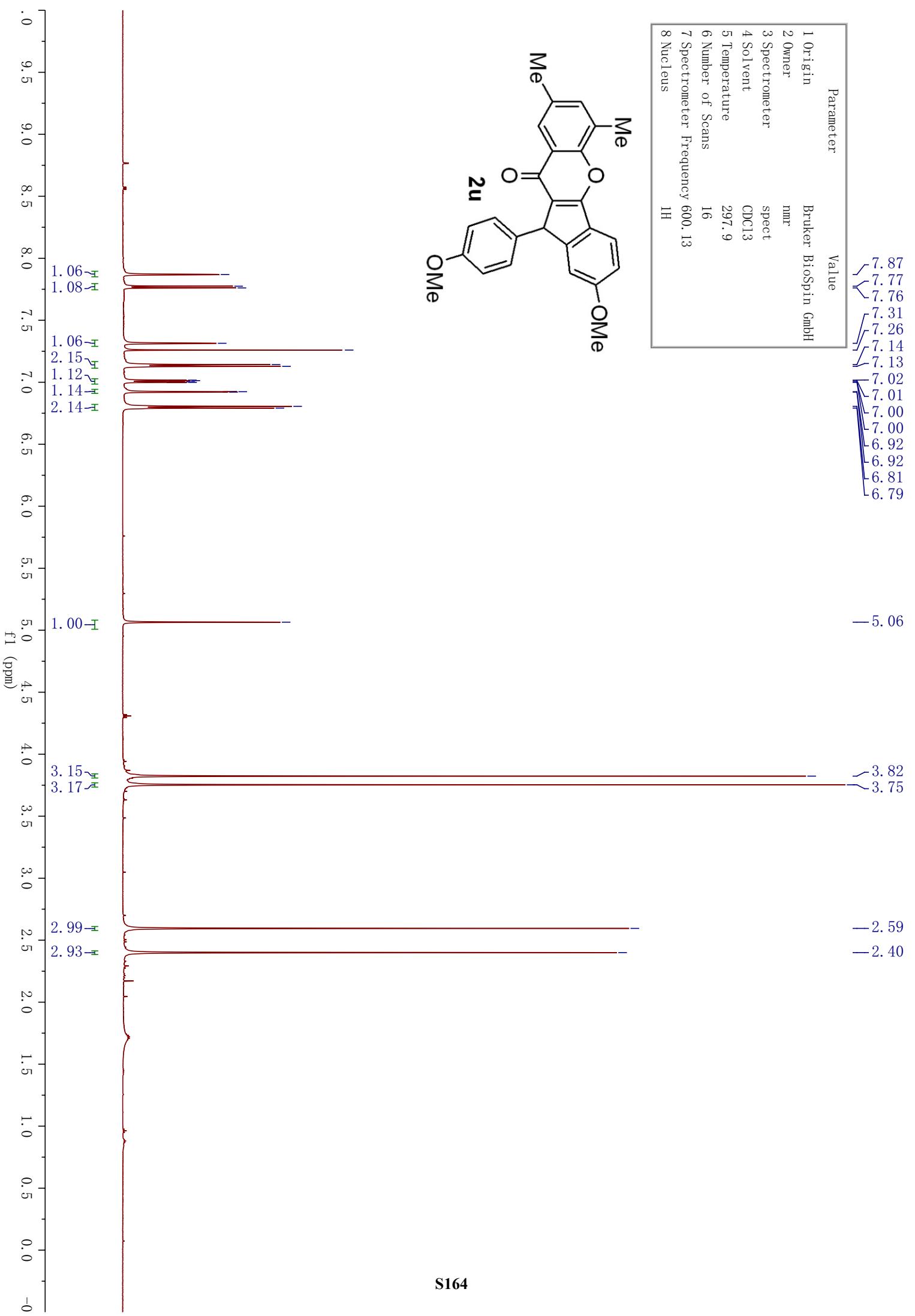


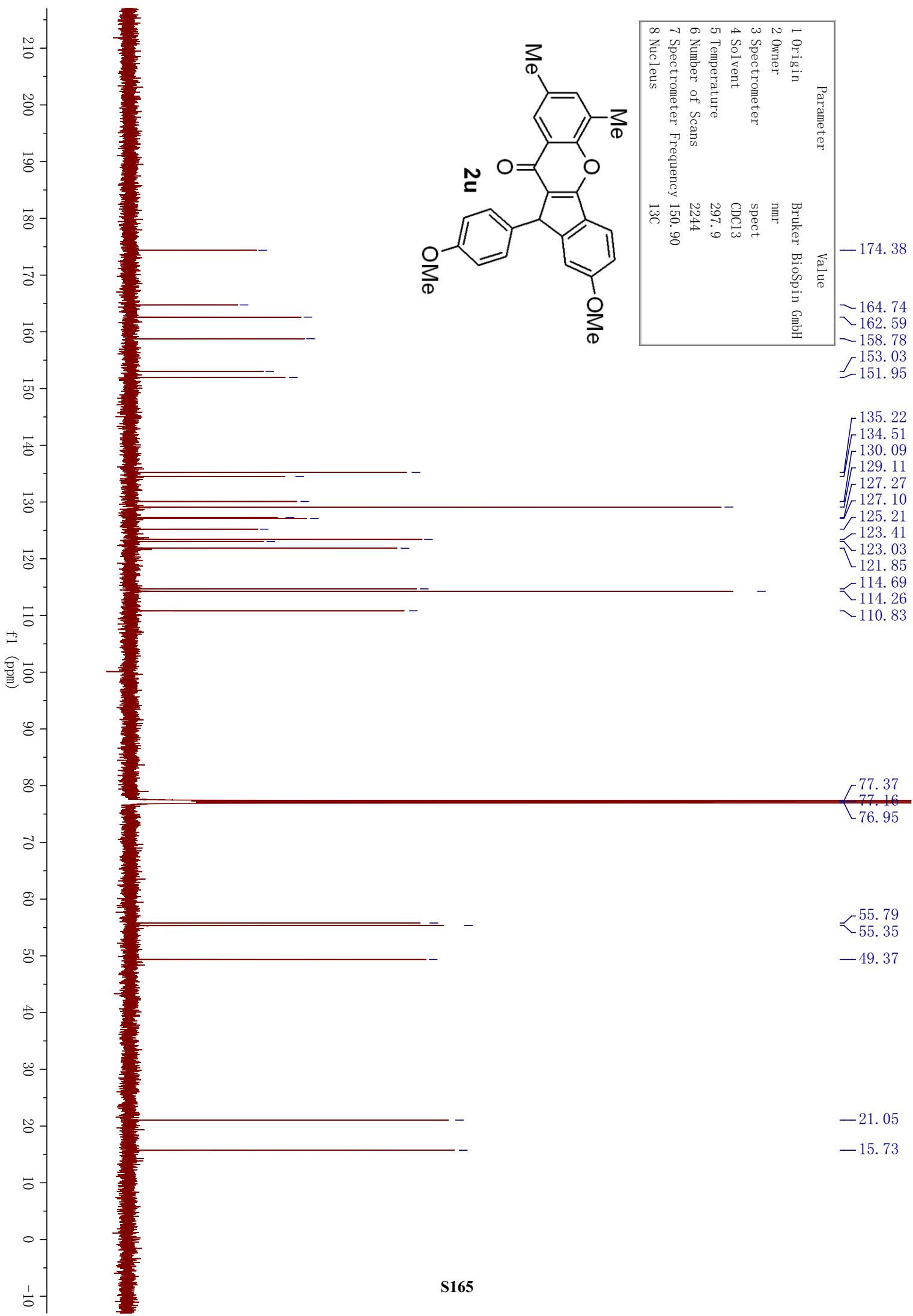


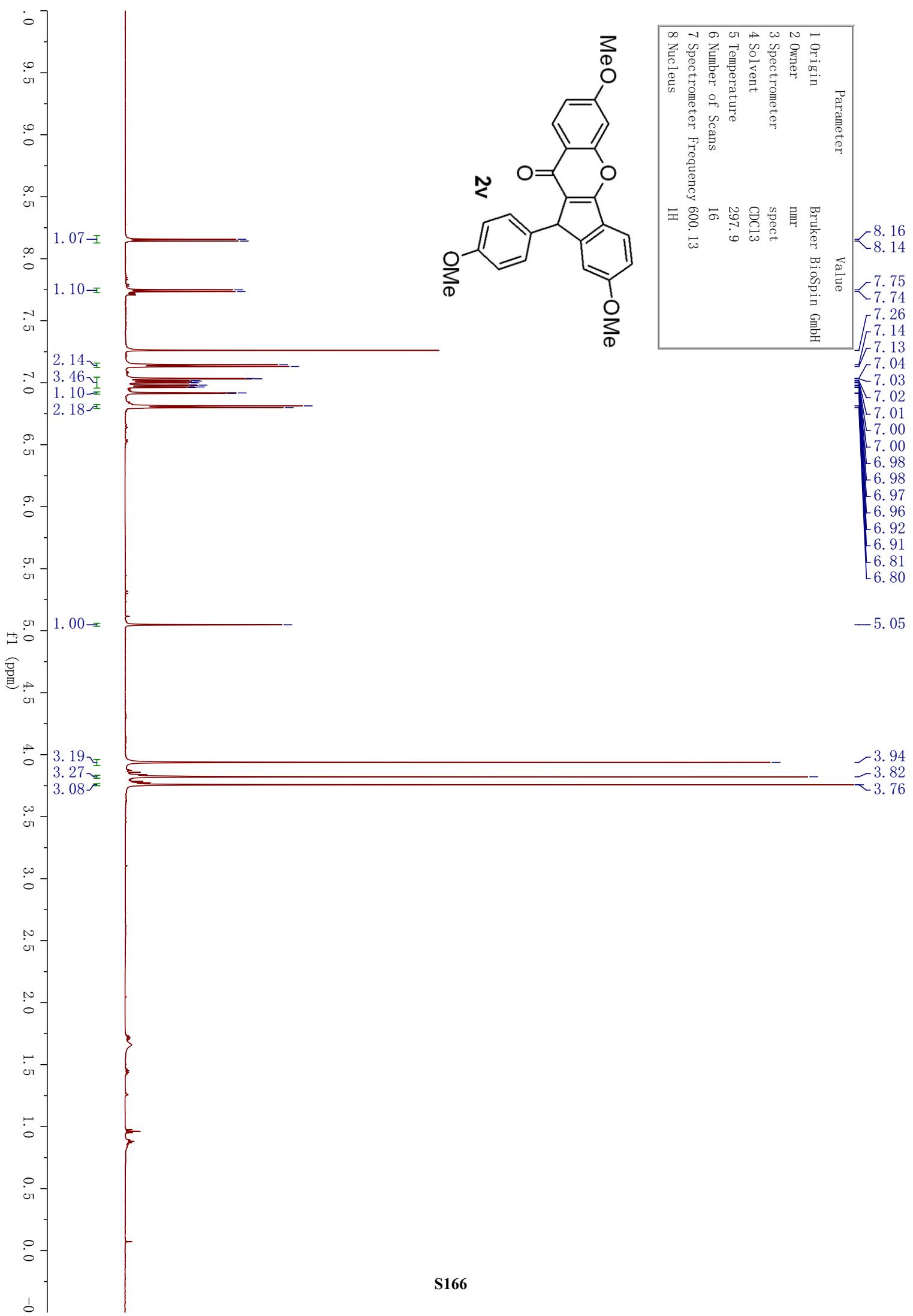


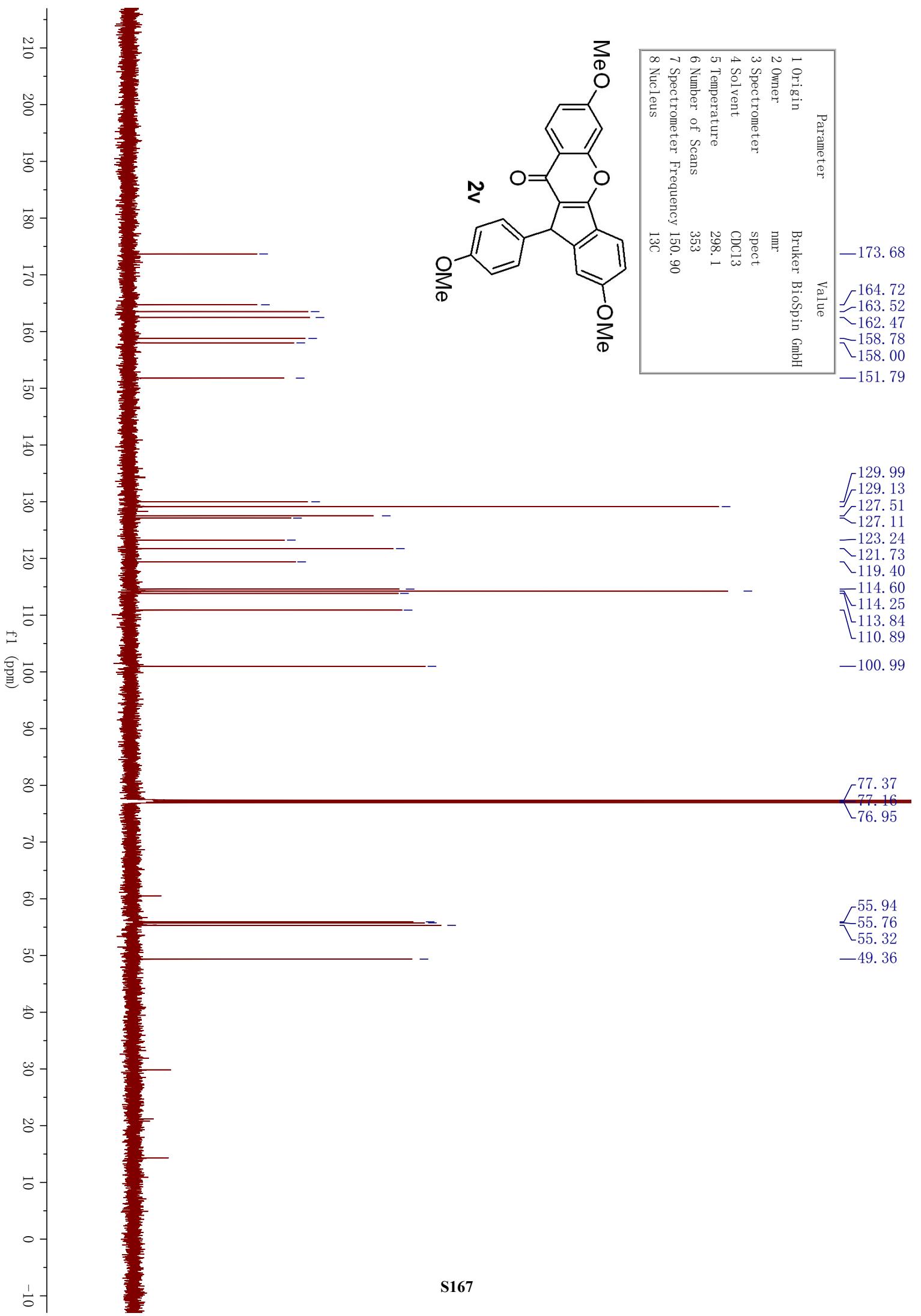


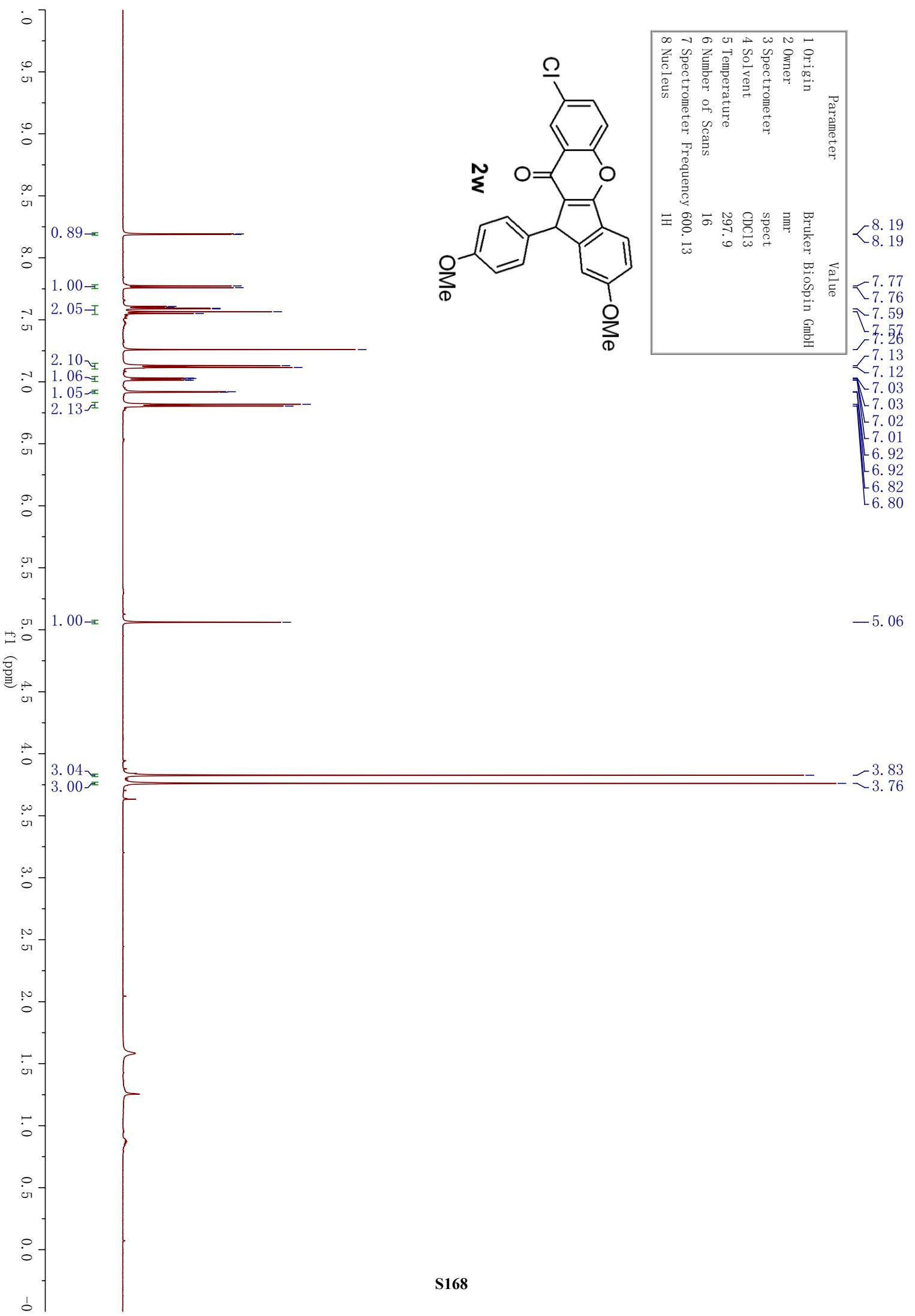


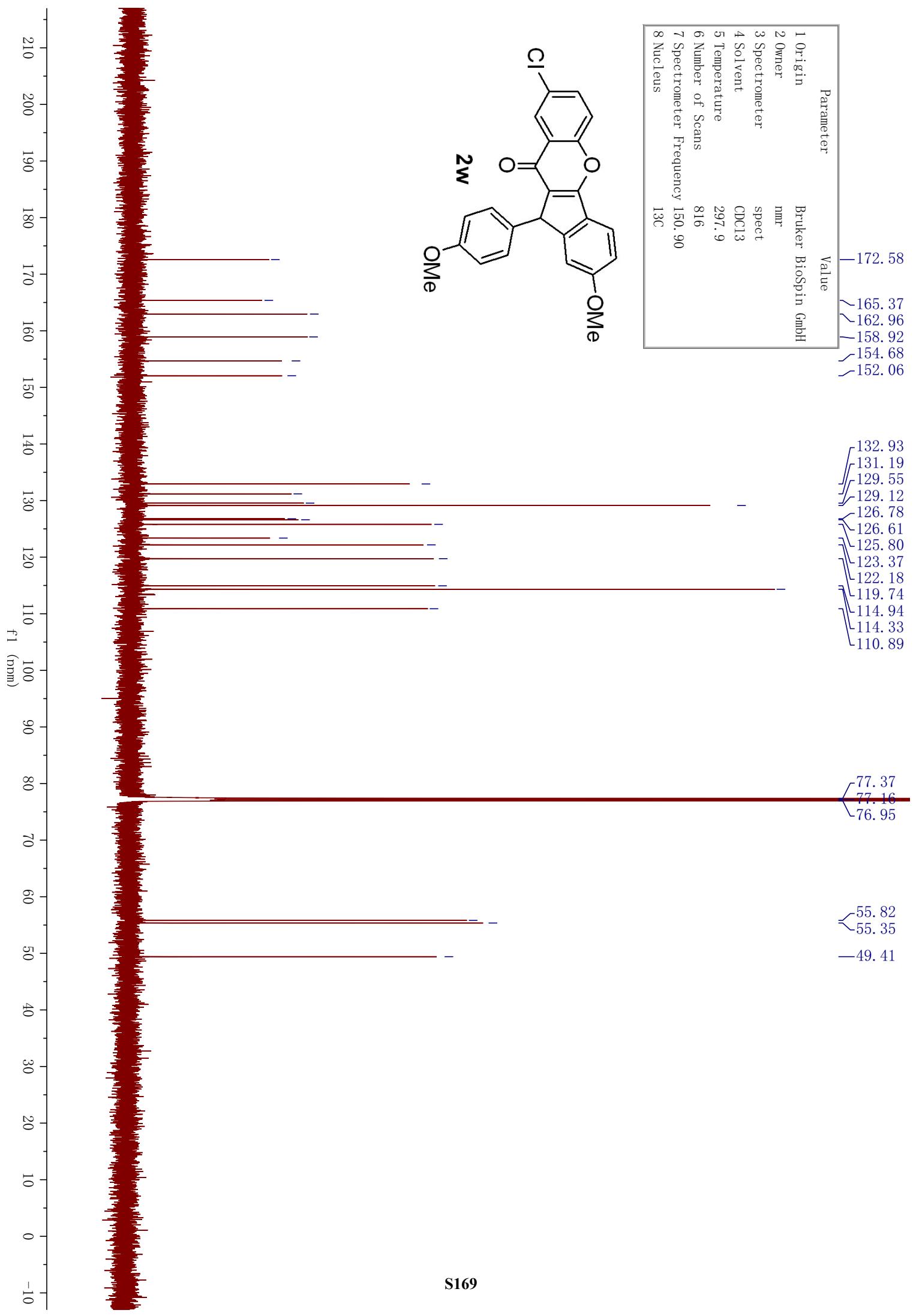


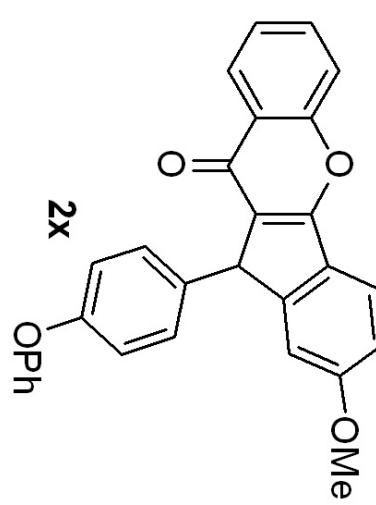
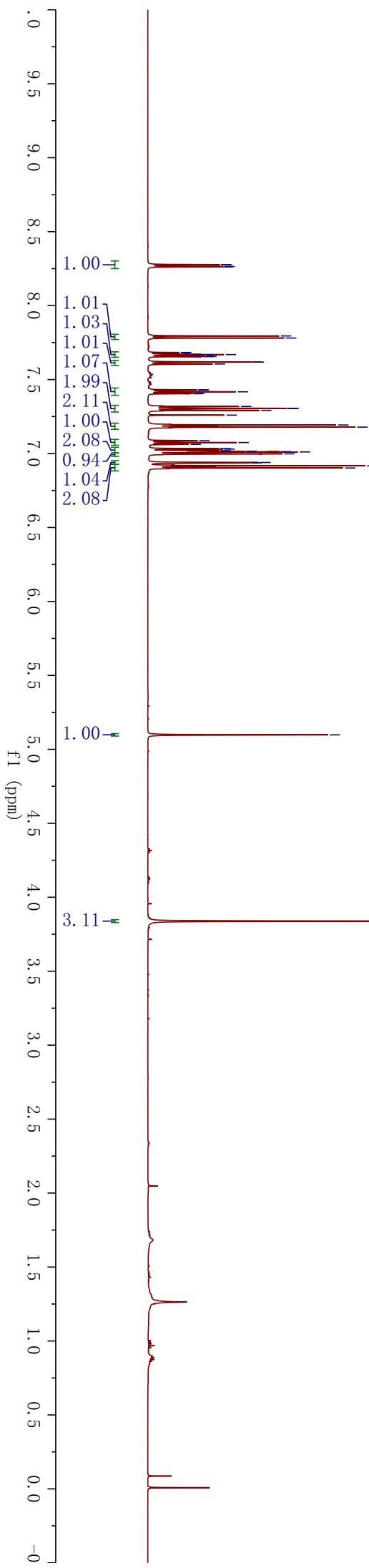






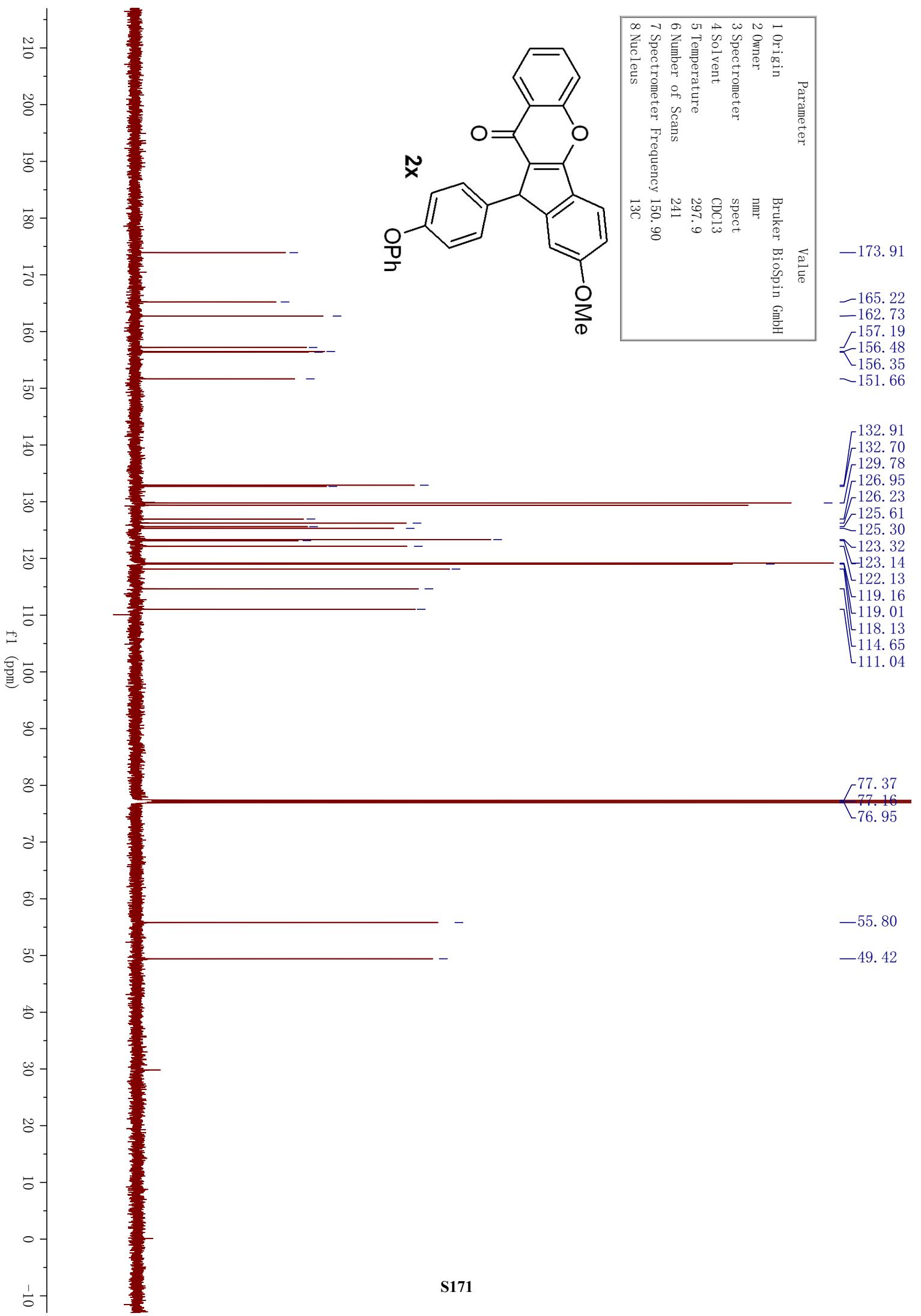


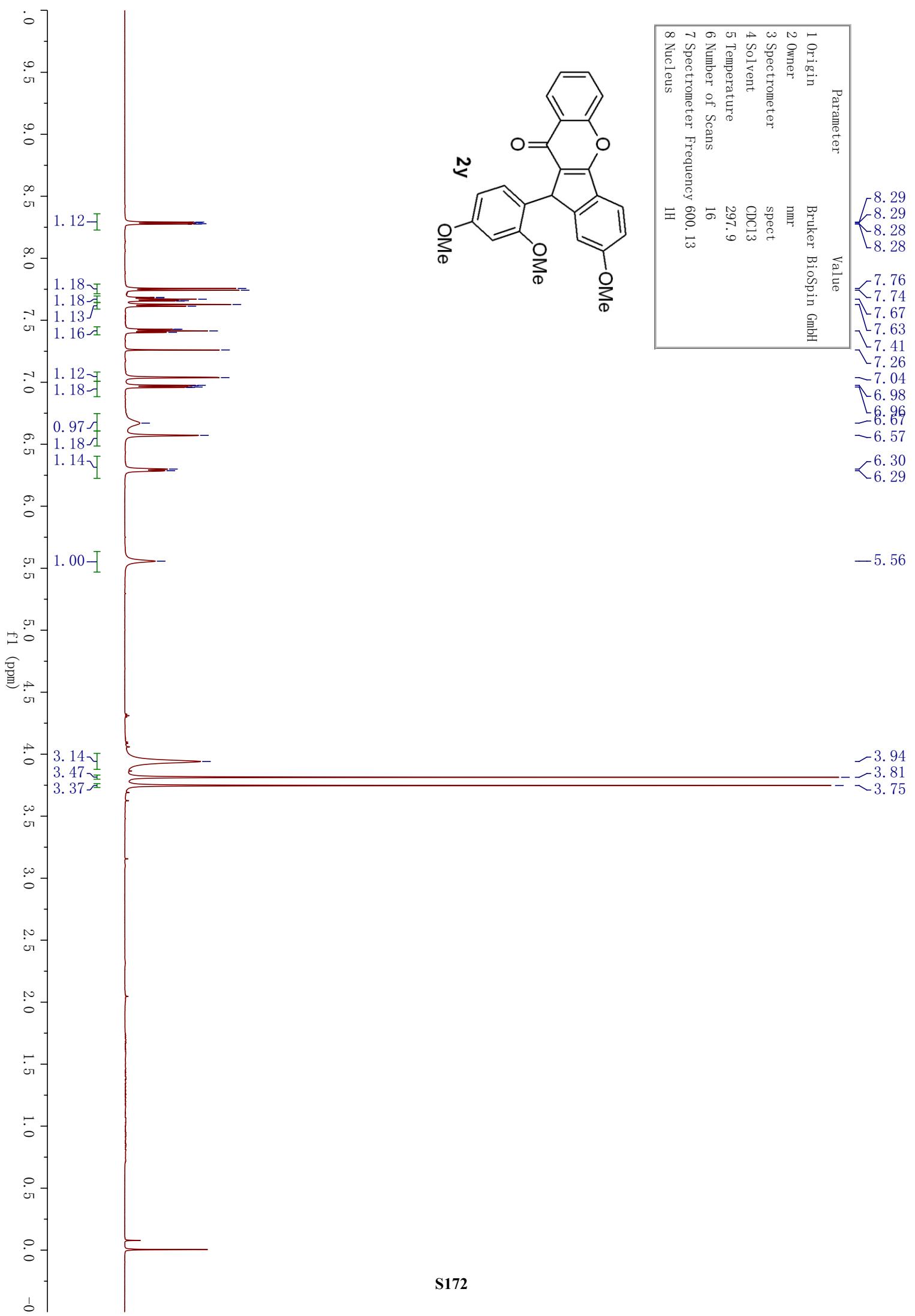


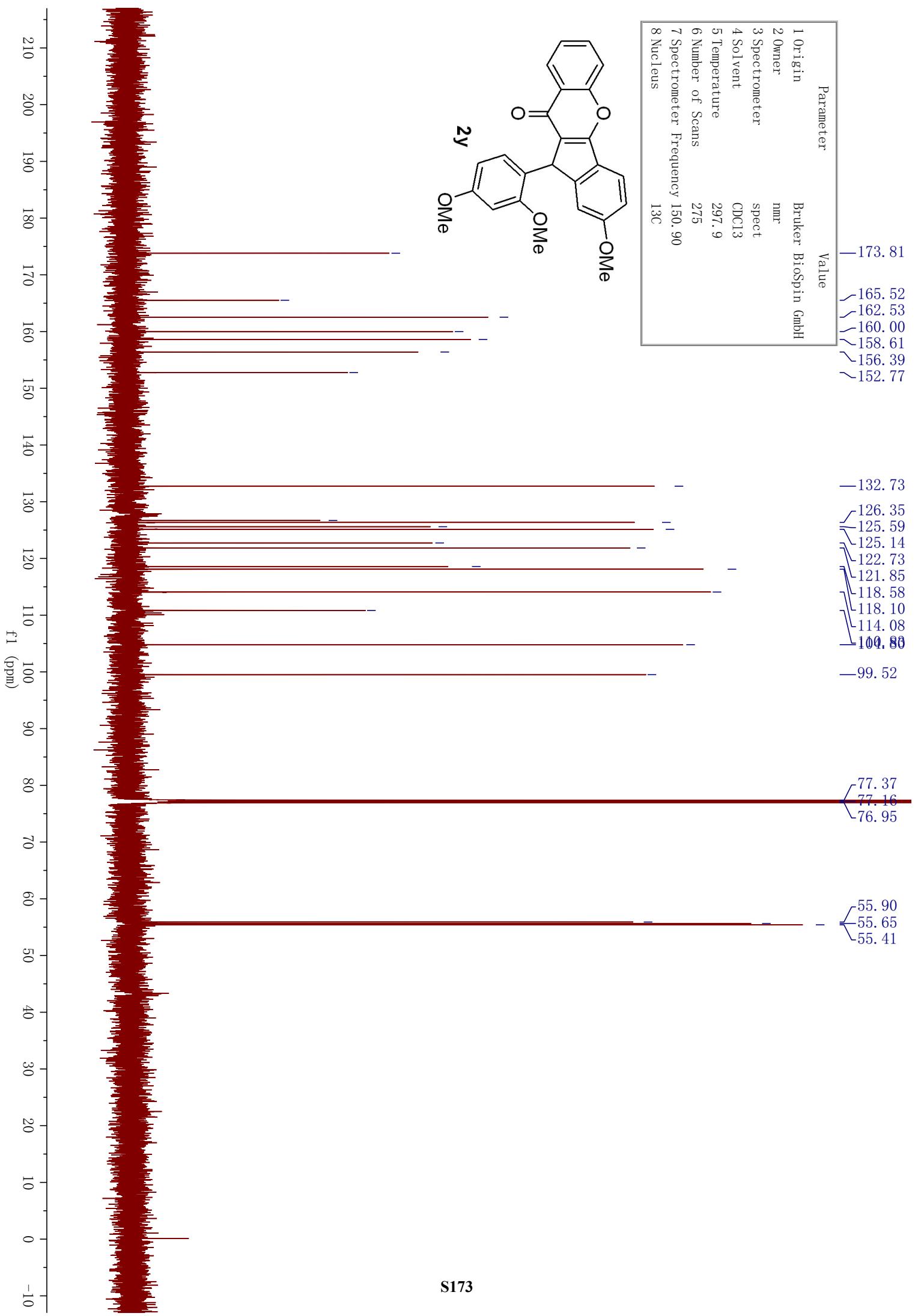


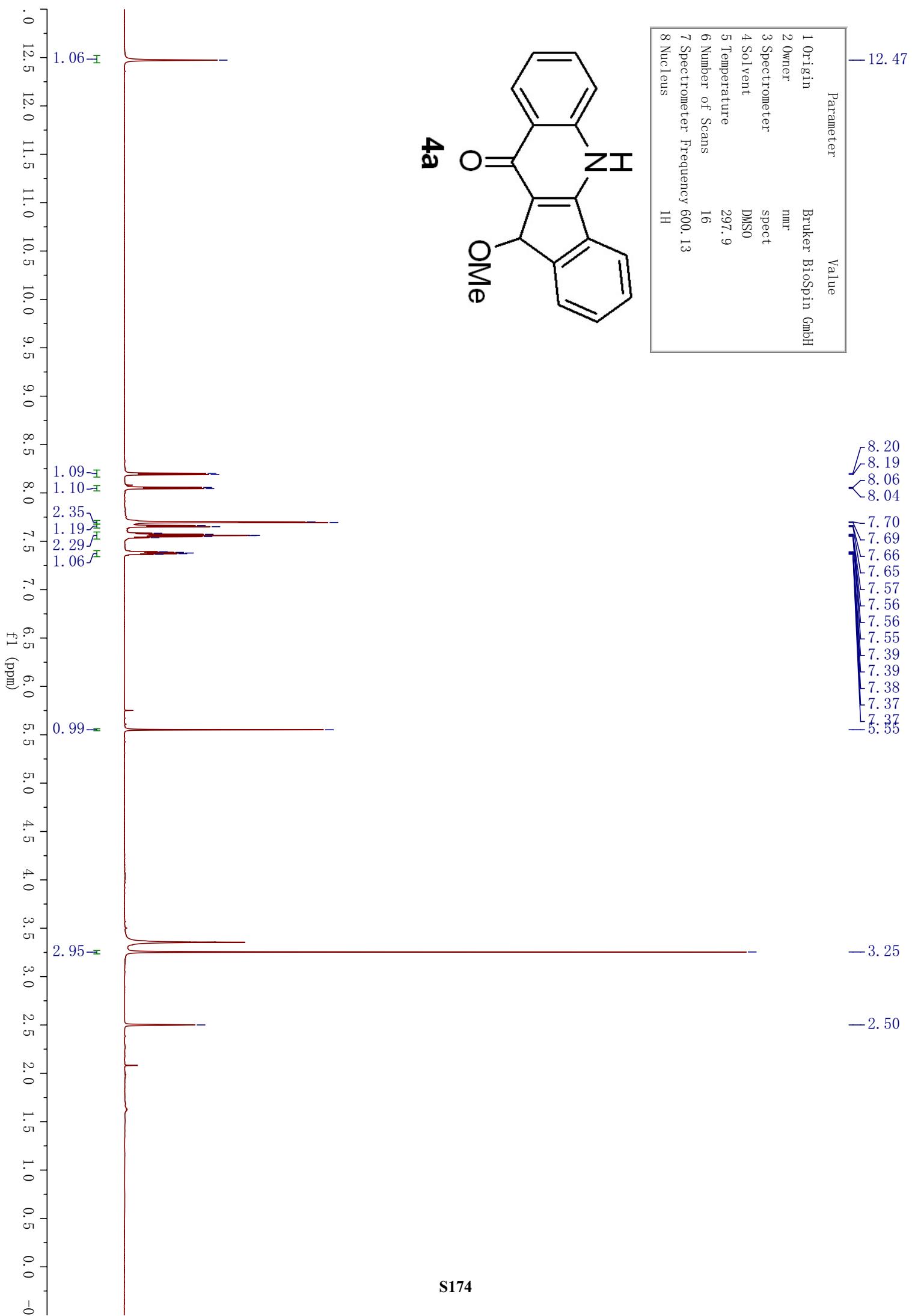
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1 Origin	Bruker BioSpin GmbH
2 Owner	nmr
3 Spectrometer	spect
4 Solvent	CDCl ₃
5 Temperature	297.9
6 Number of Scans	16
7 Spectrometer Frequency	600.13
8 Nucleus	1H

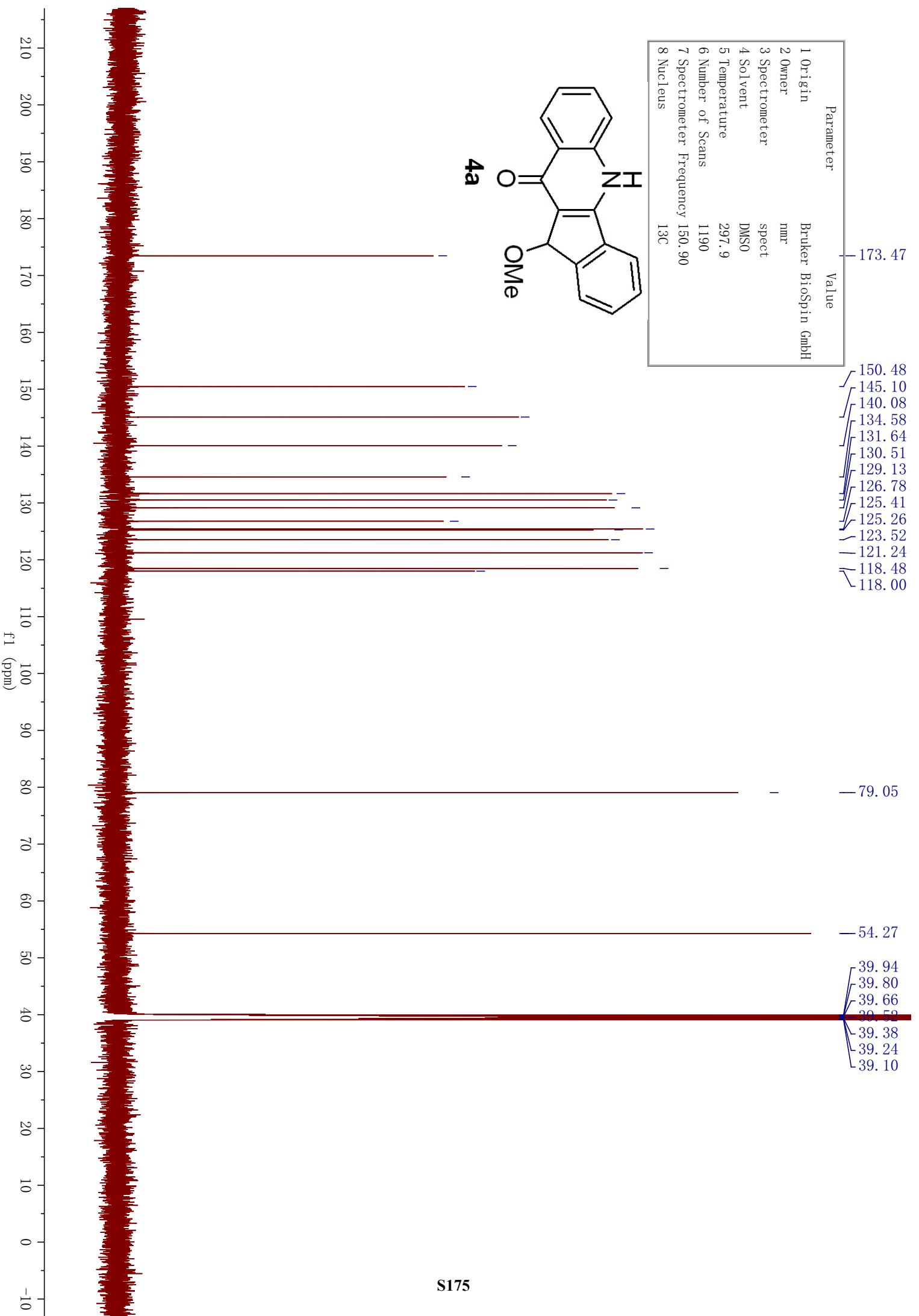
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7.32
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6.10

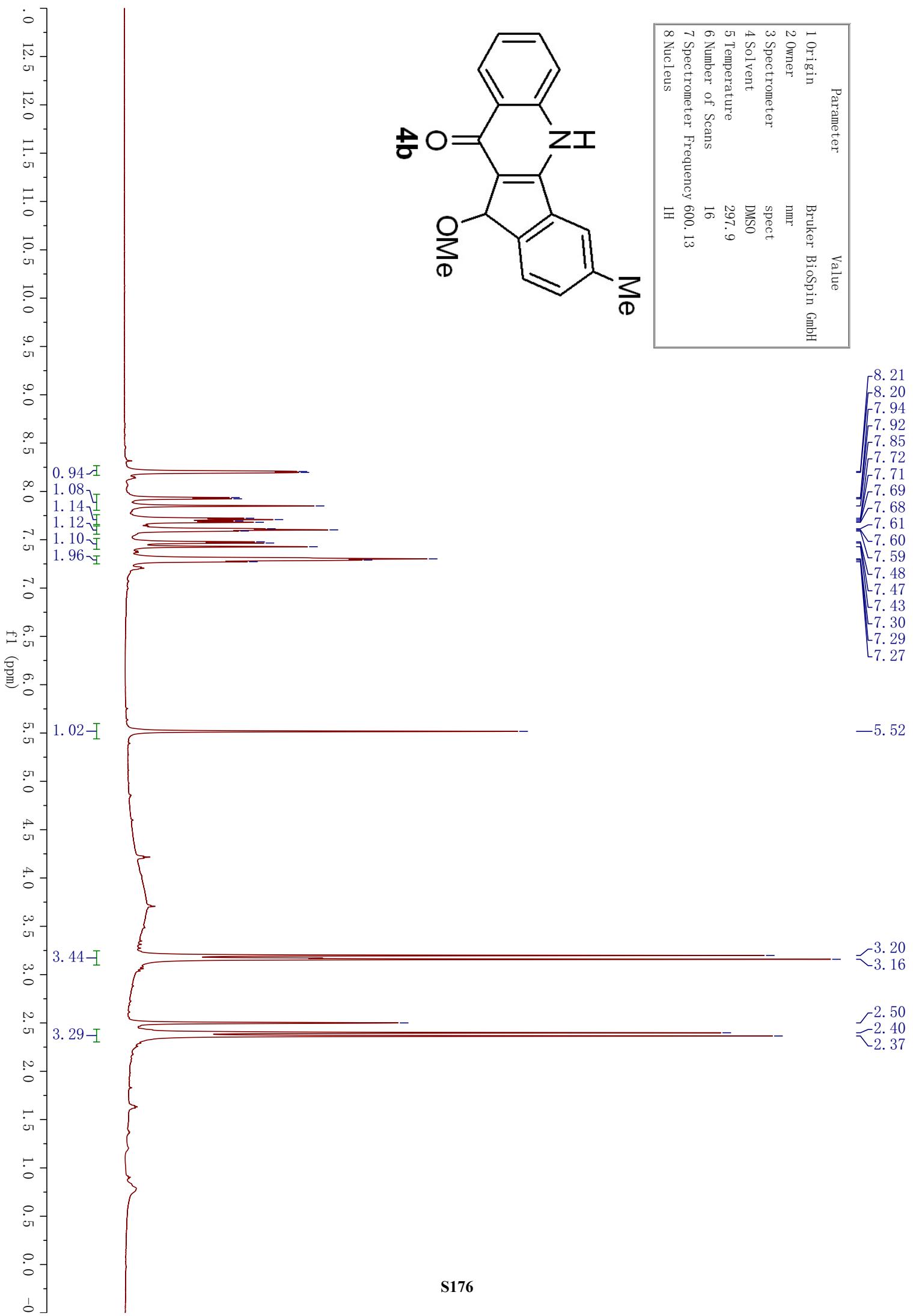


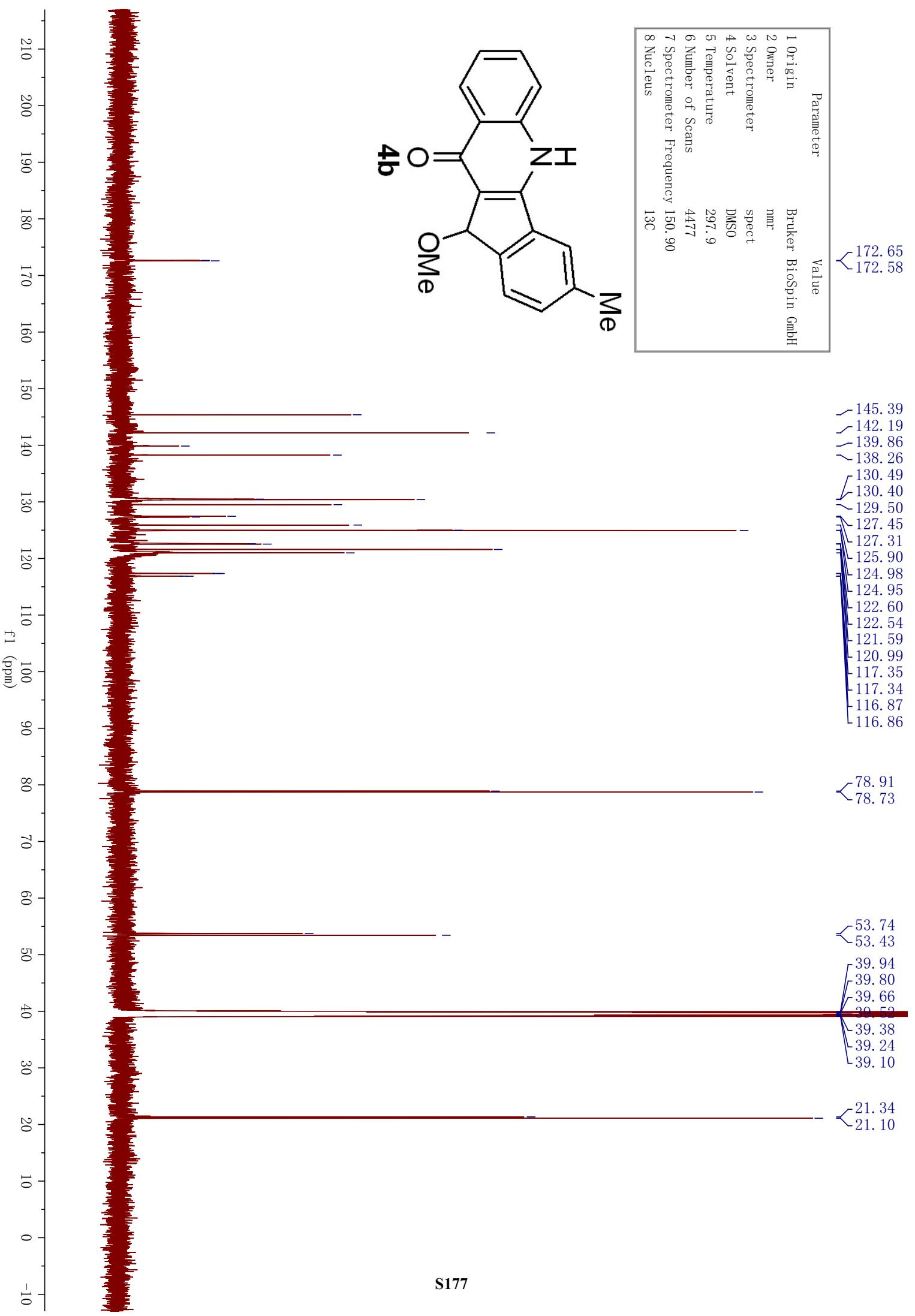


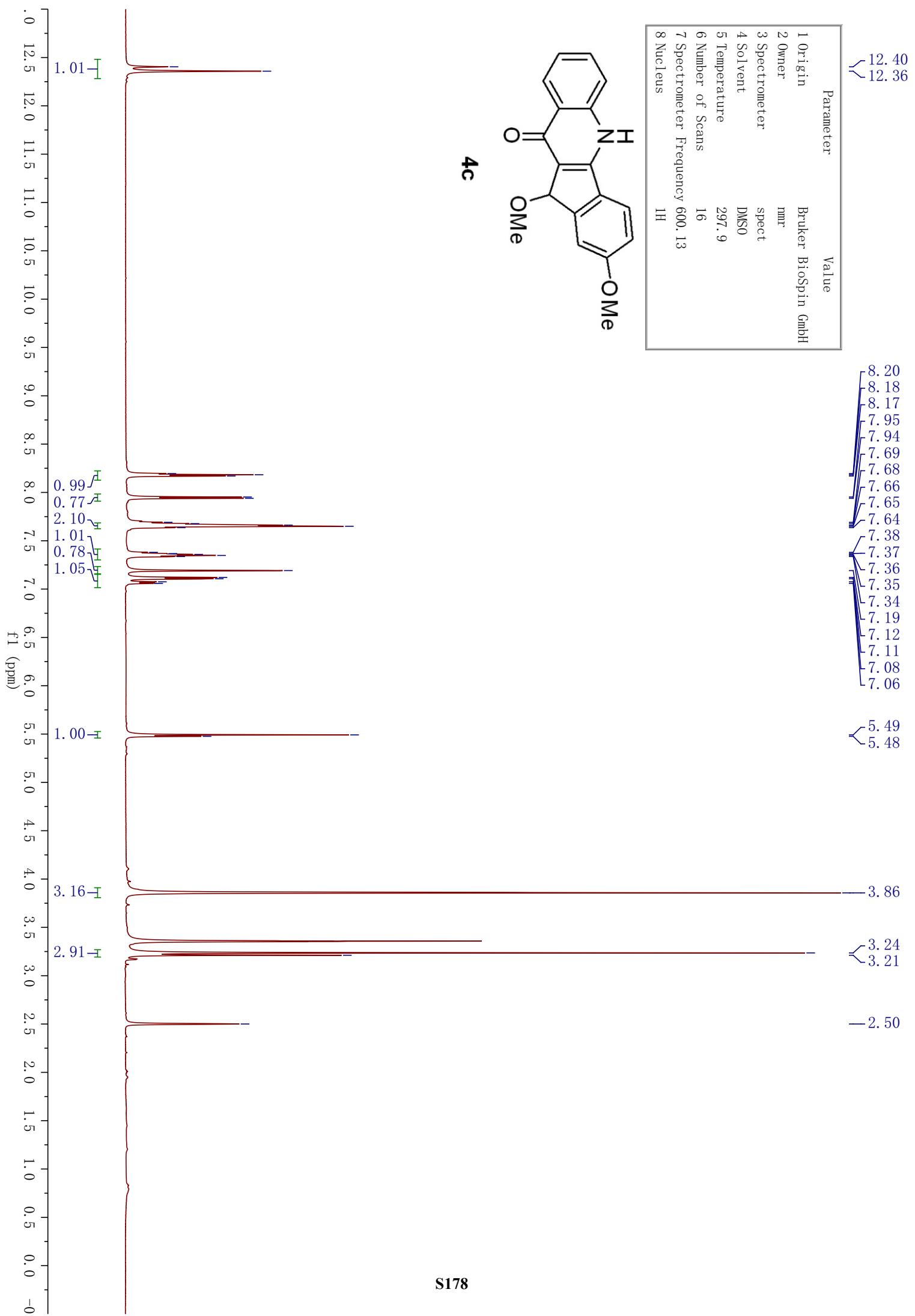


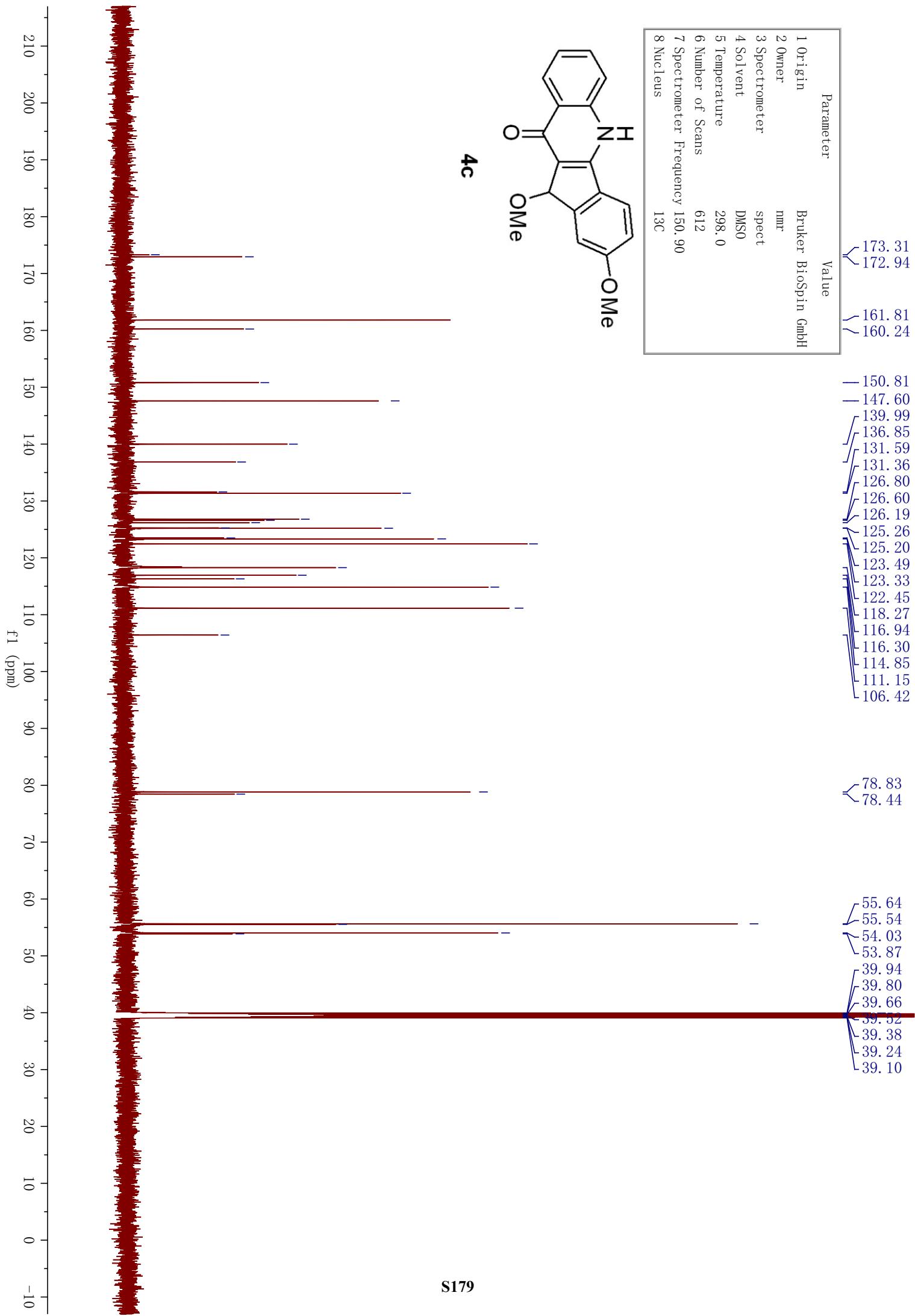


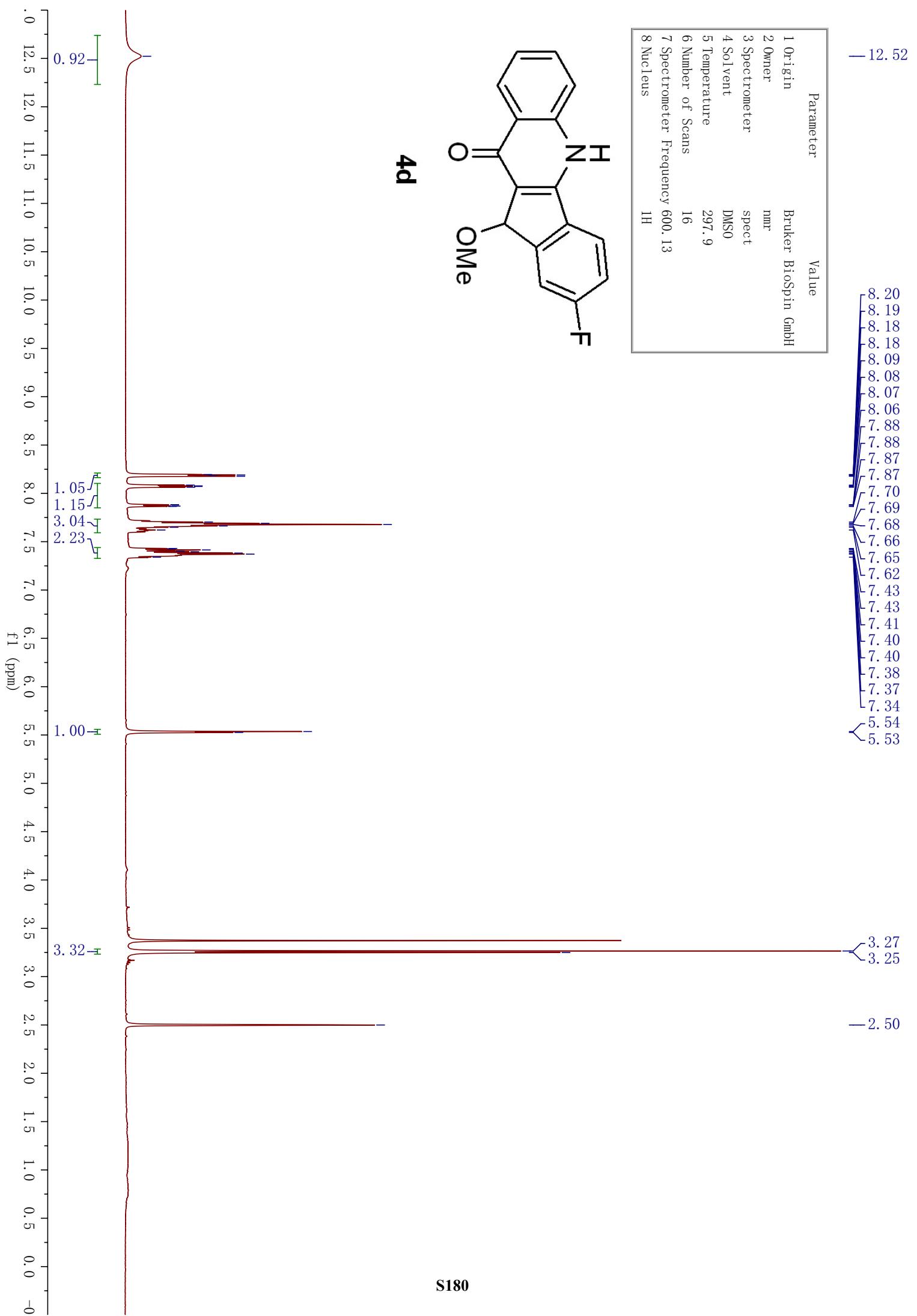


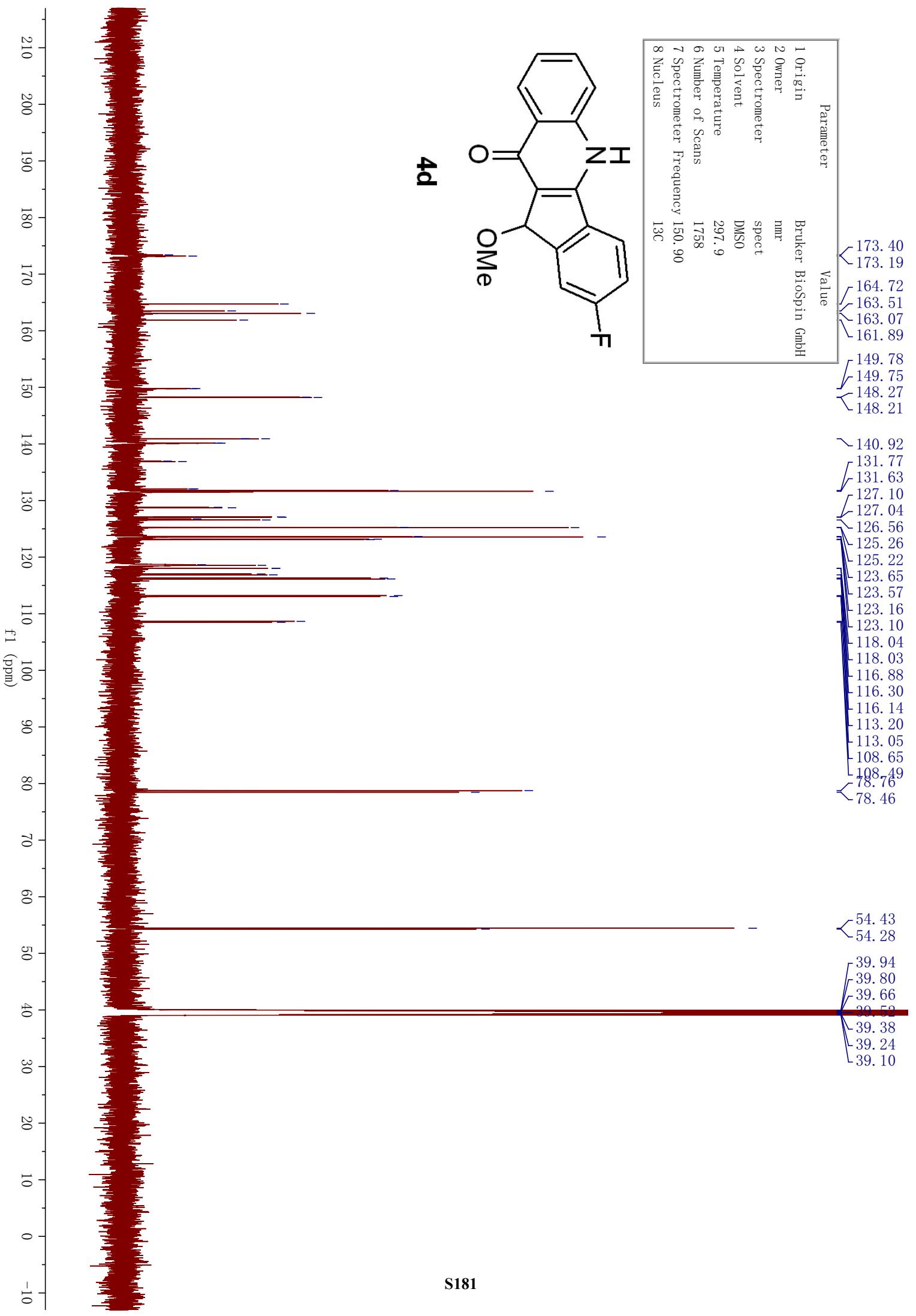


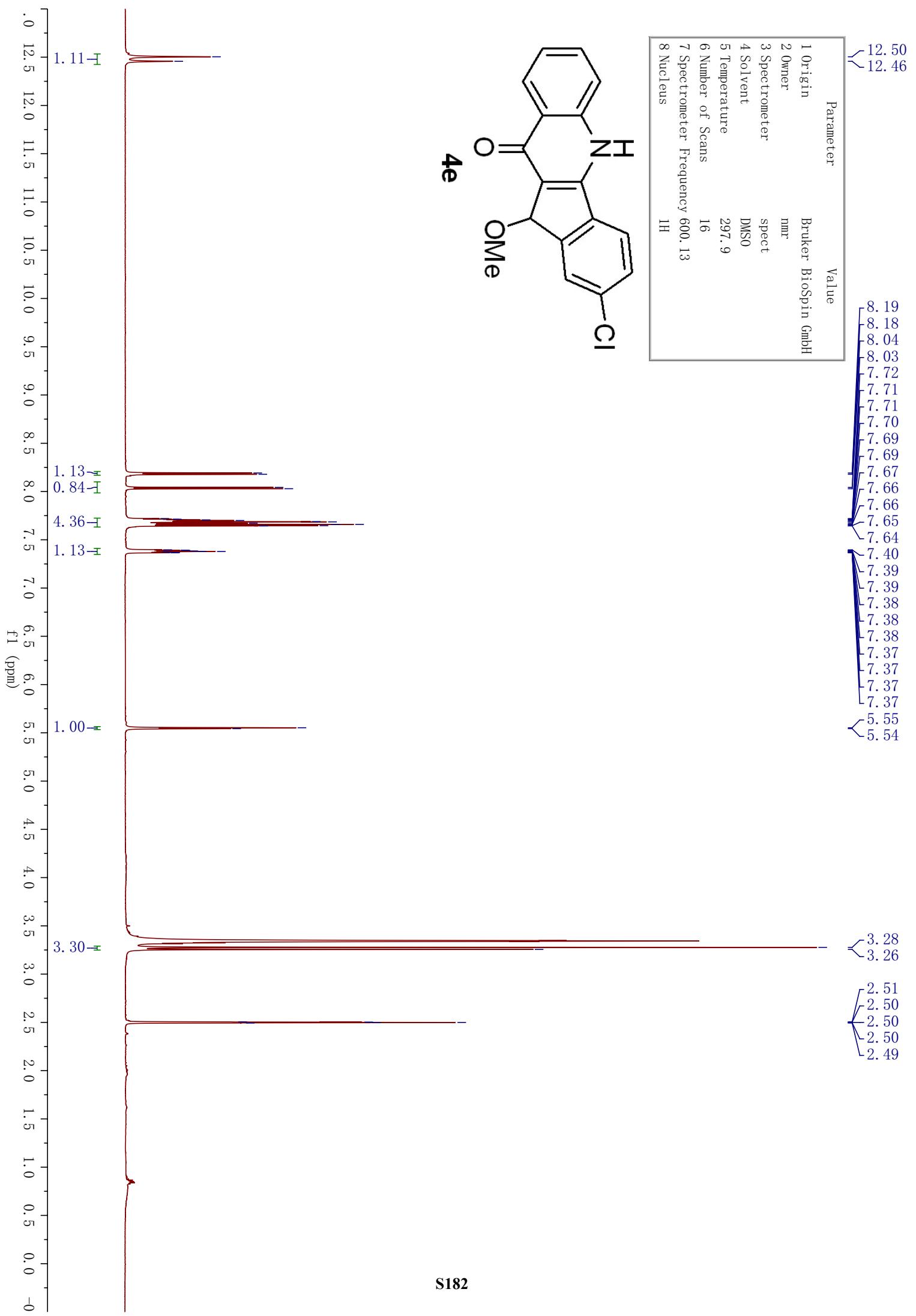


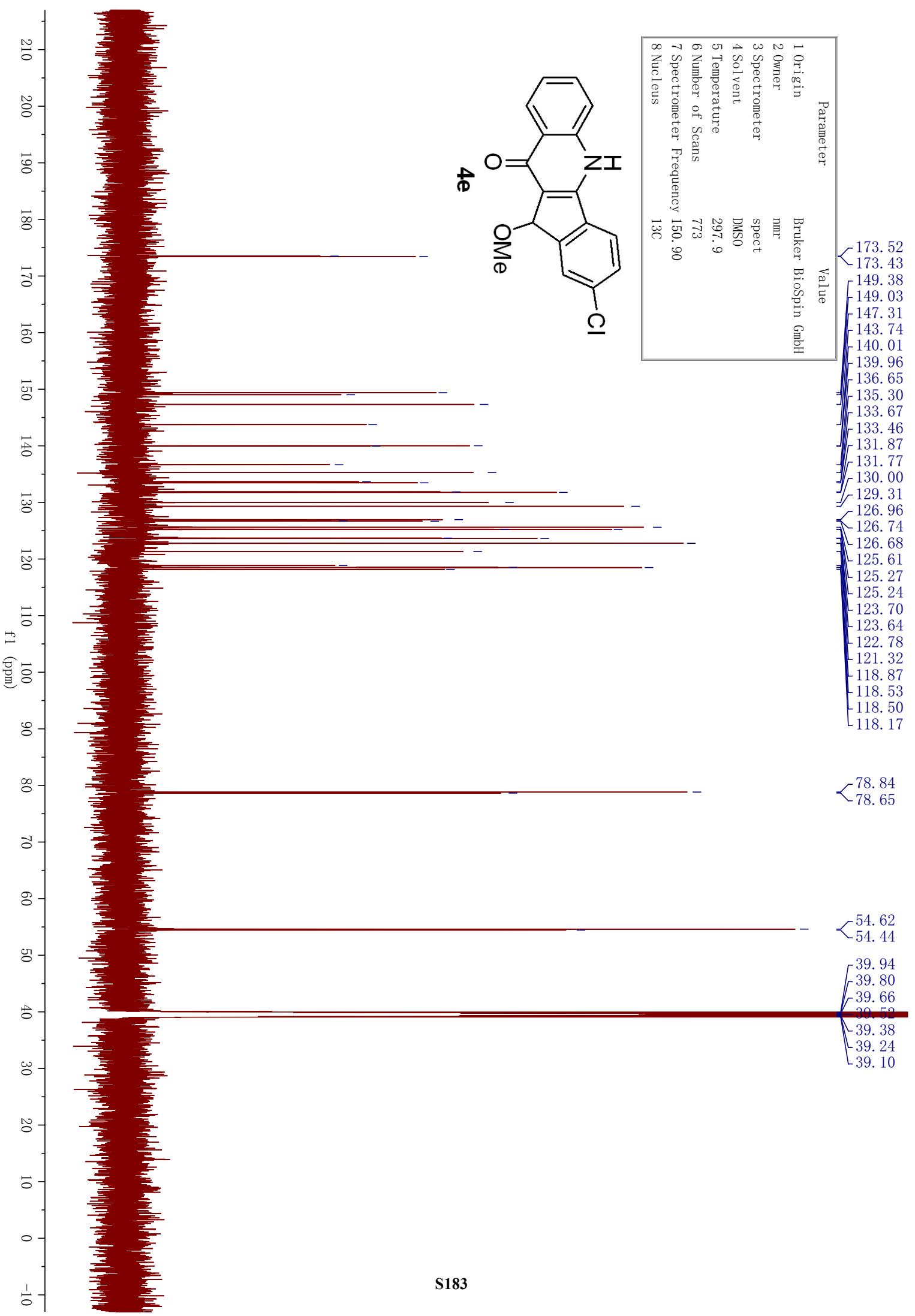


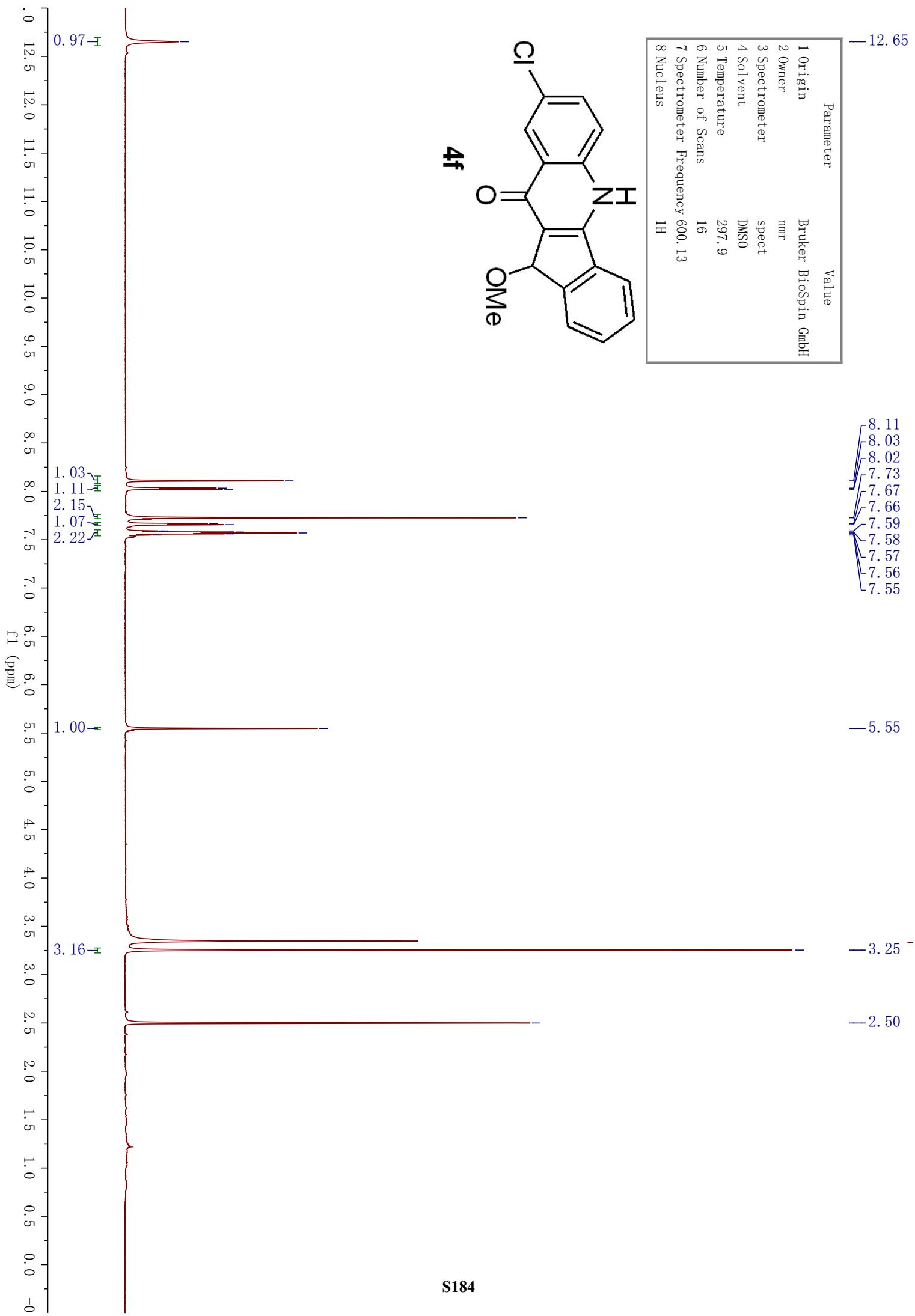


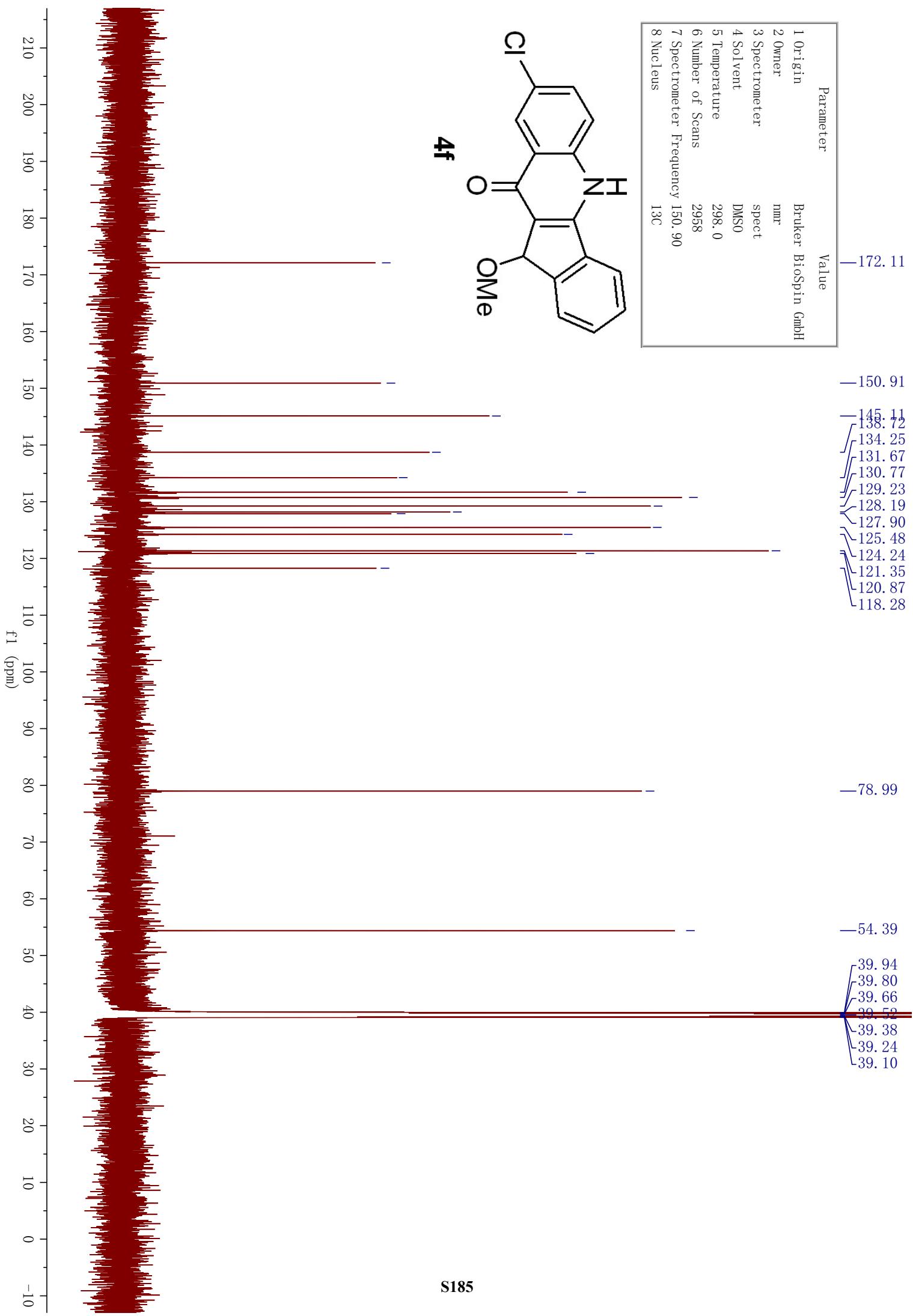


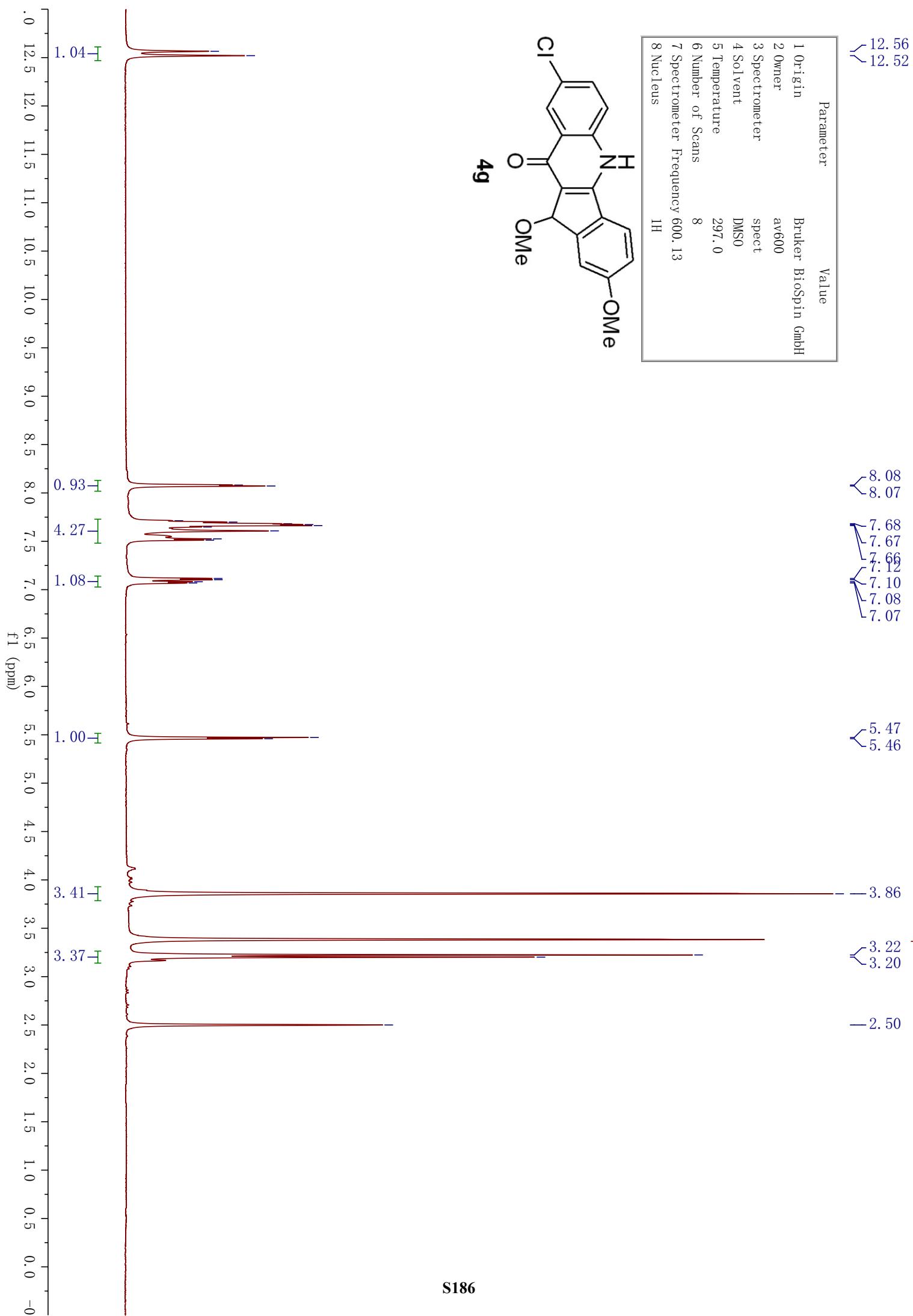


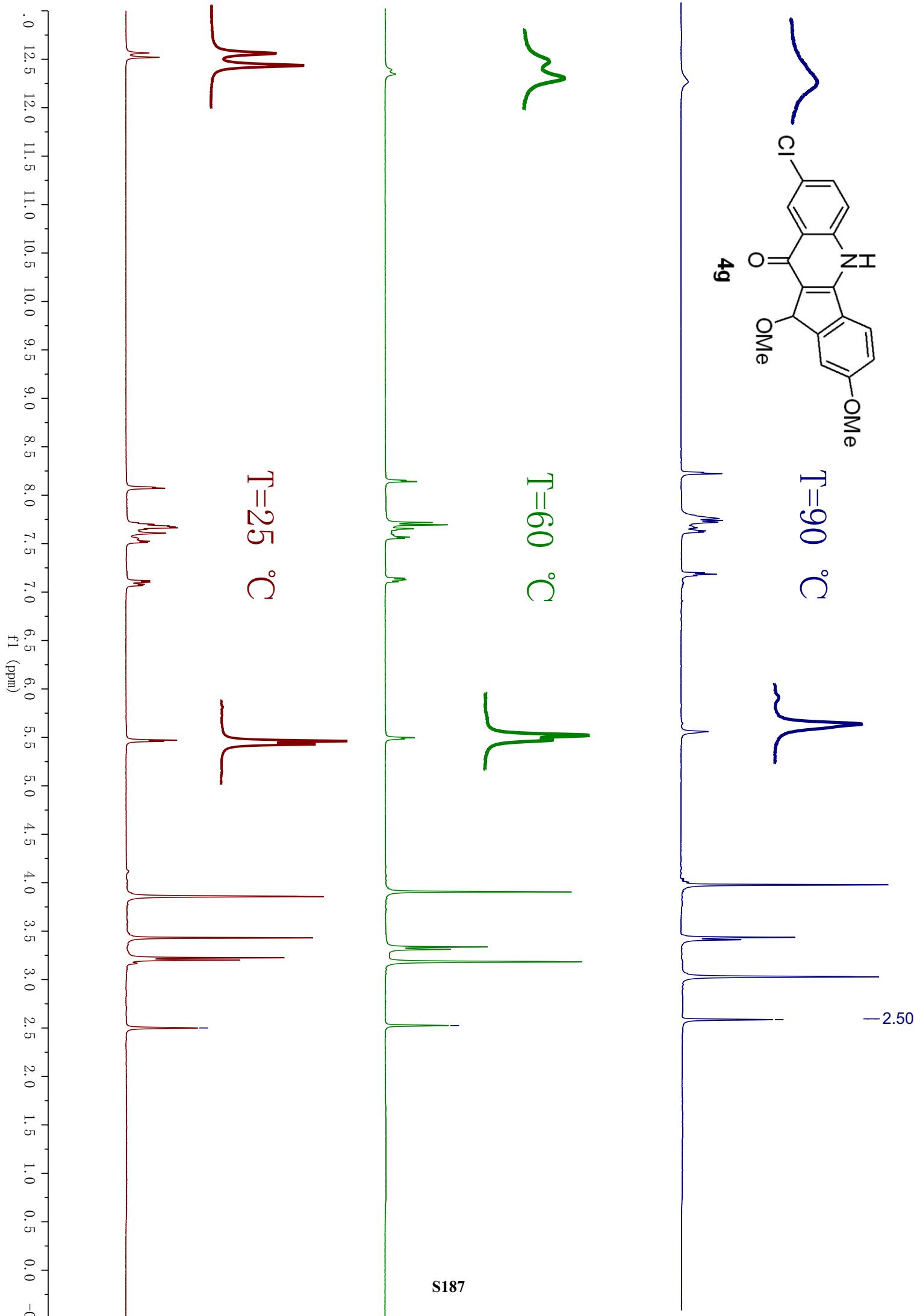




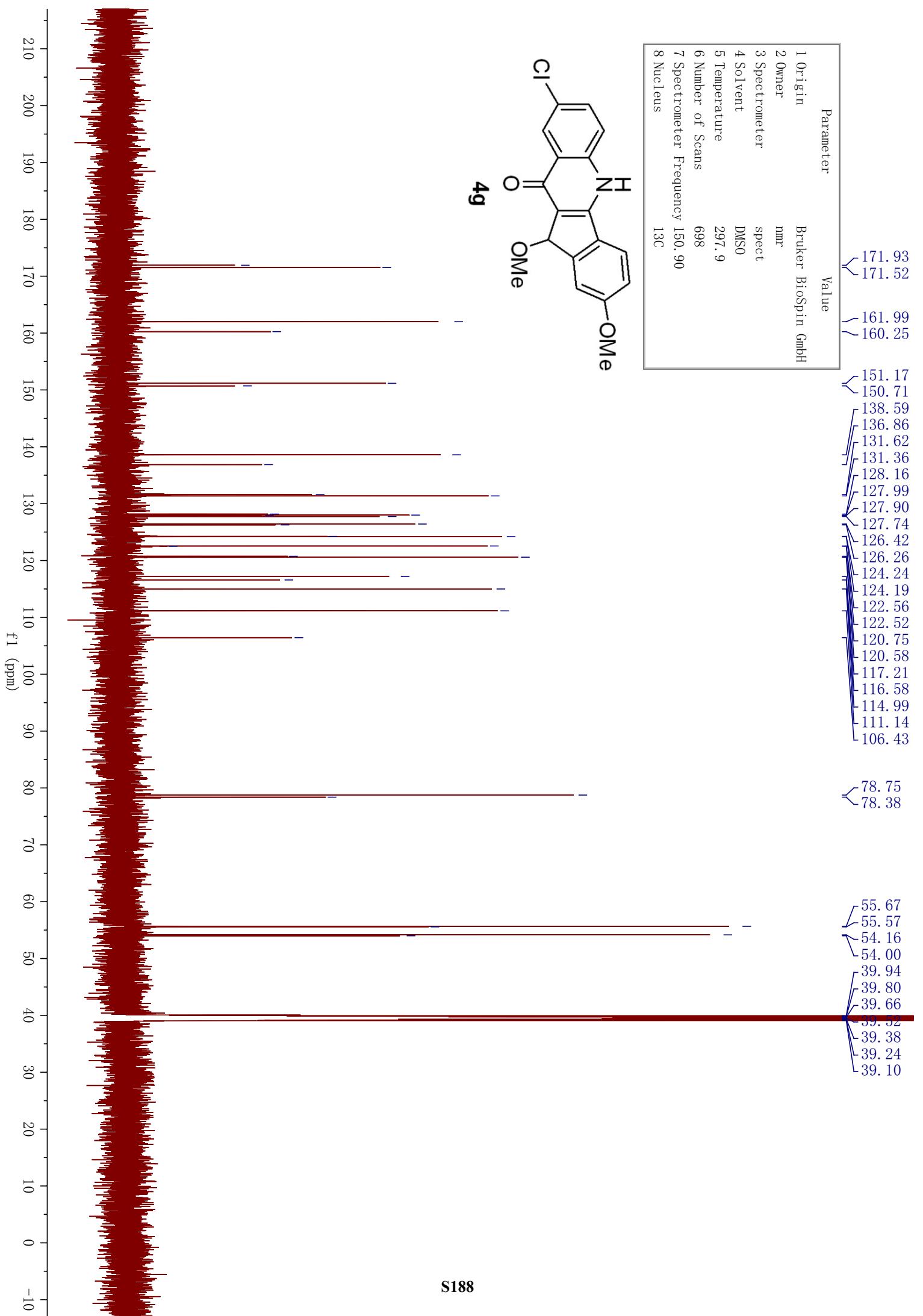


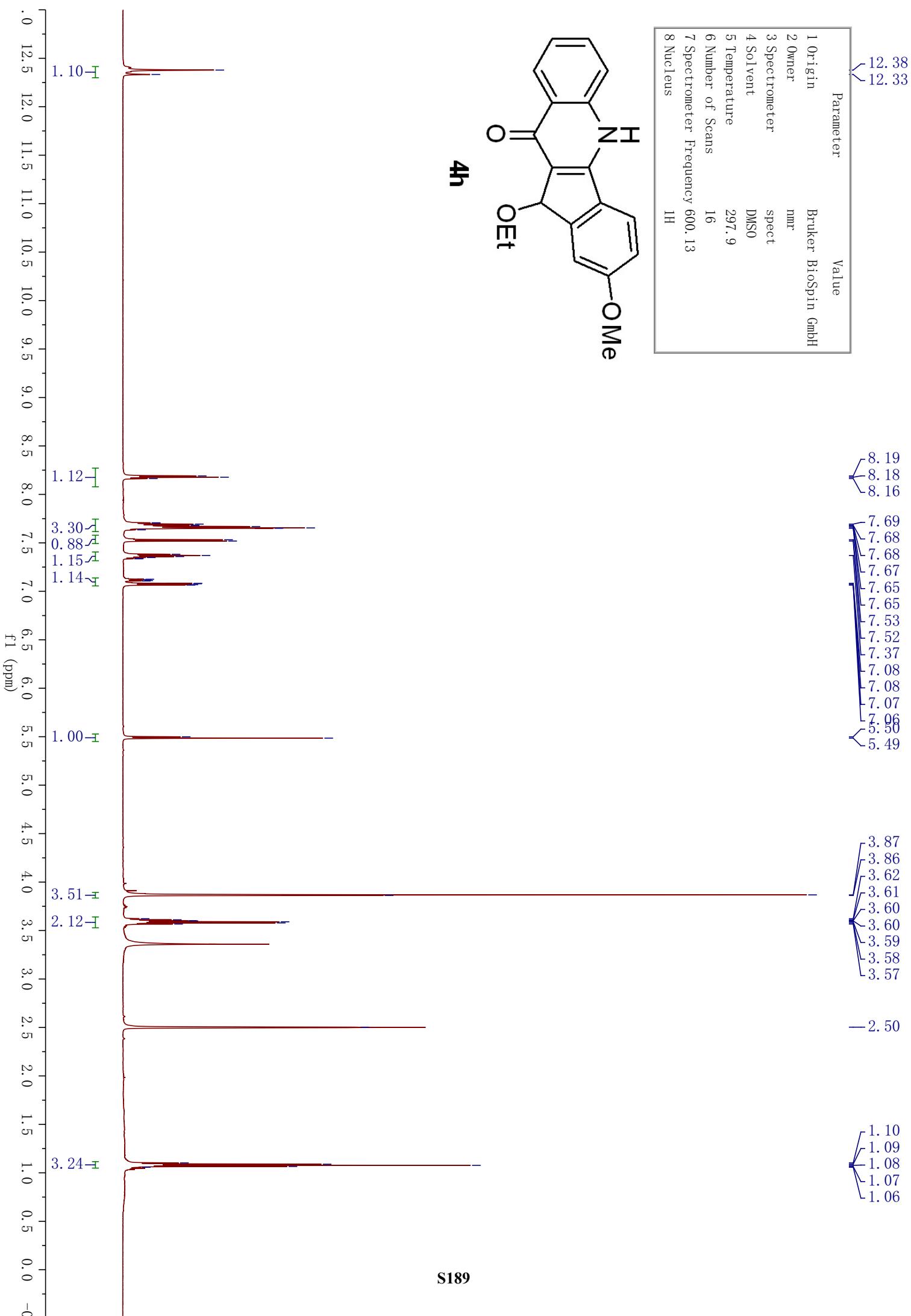


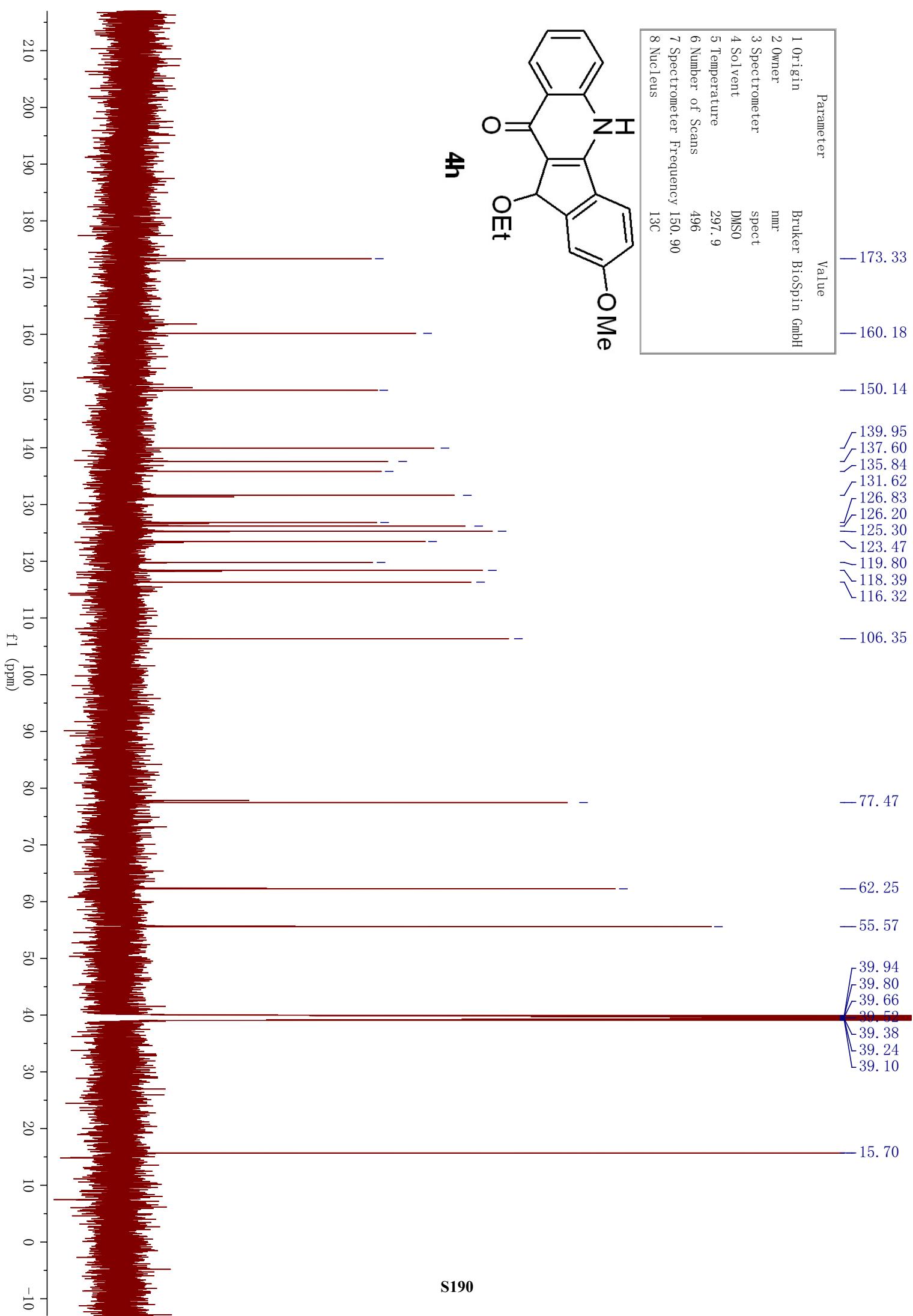


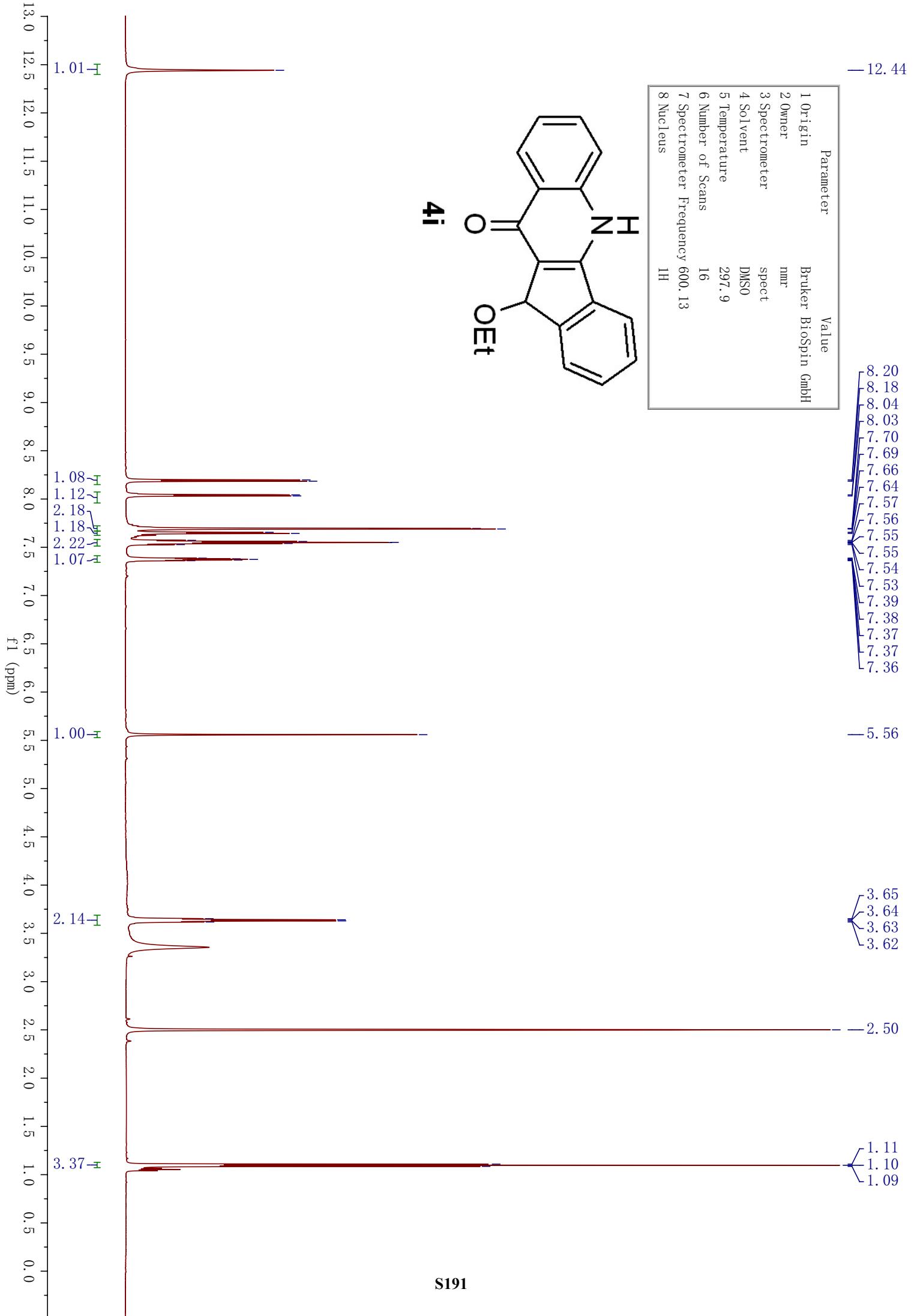


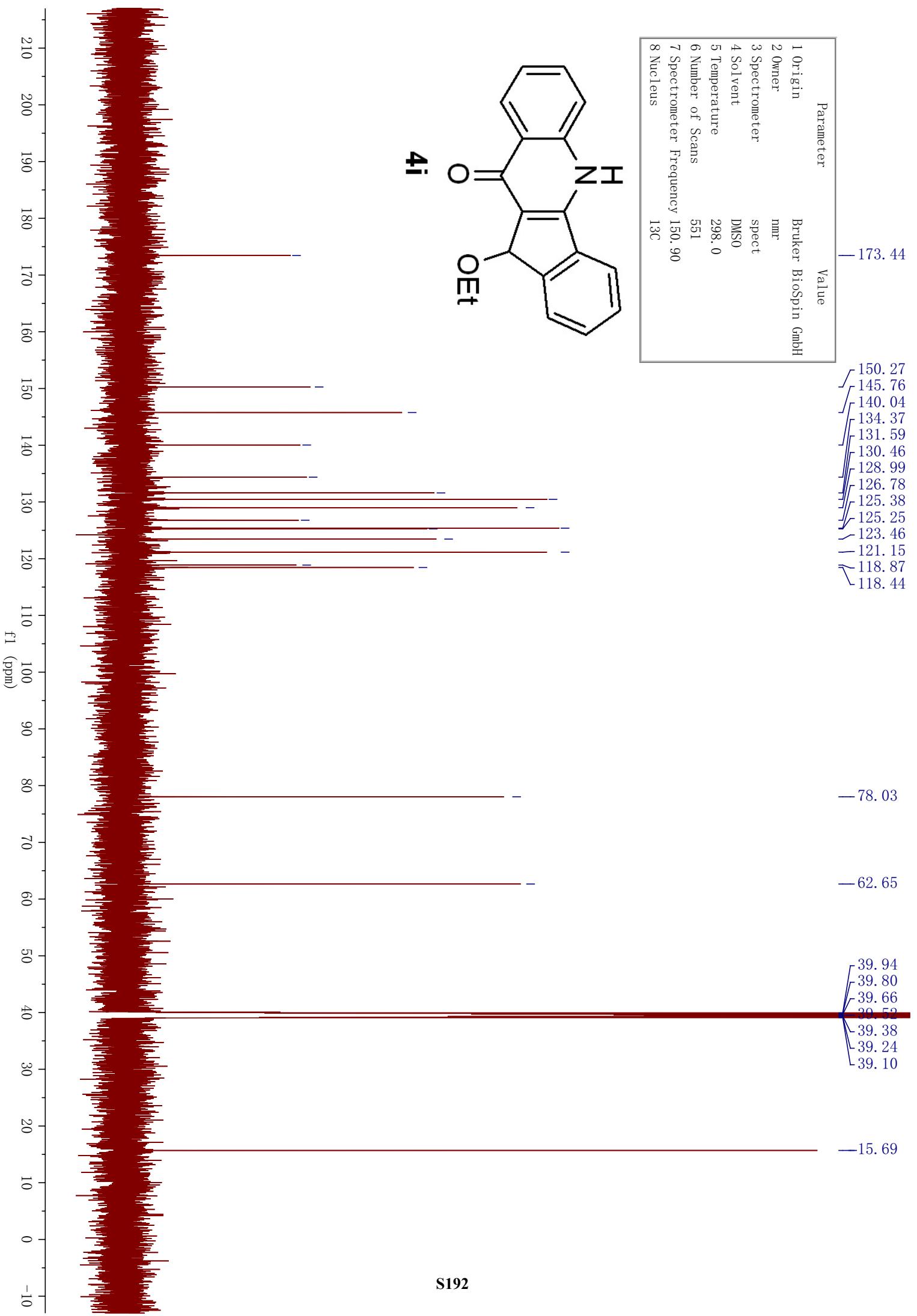
S187

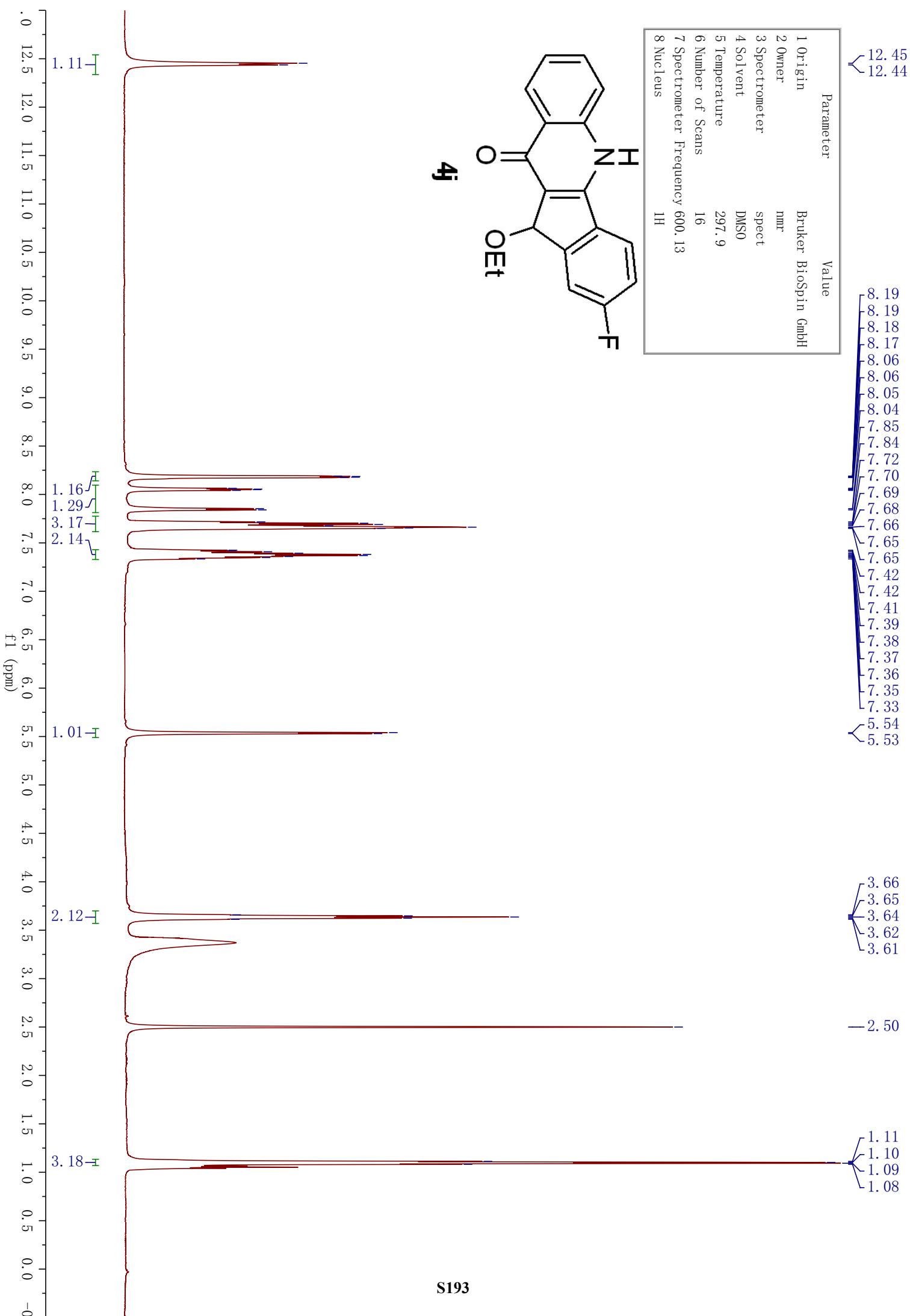


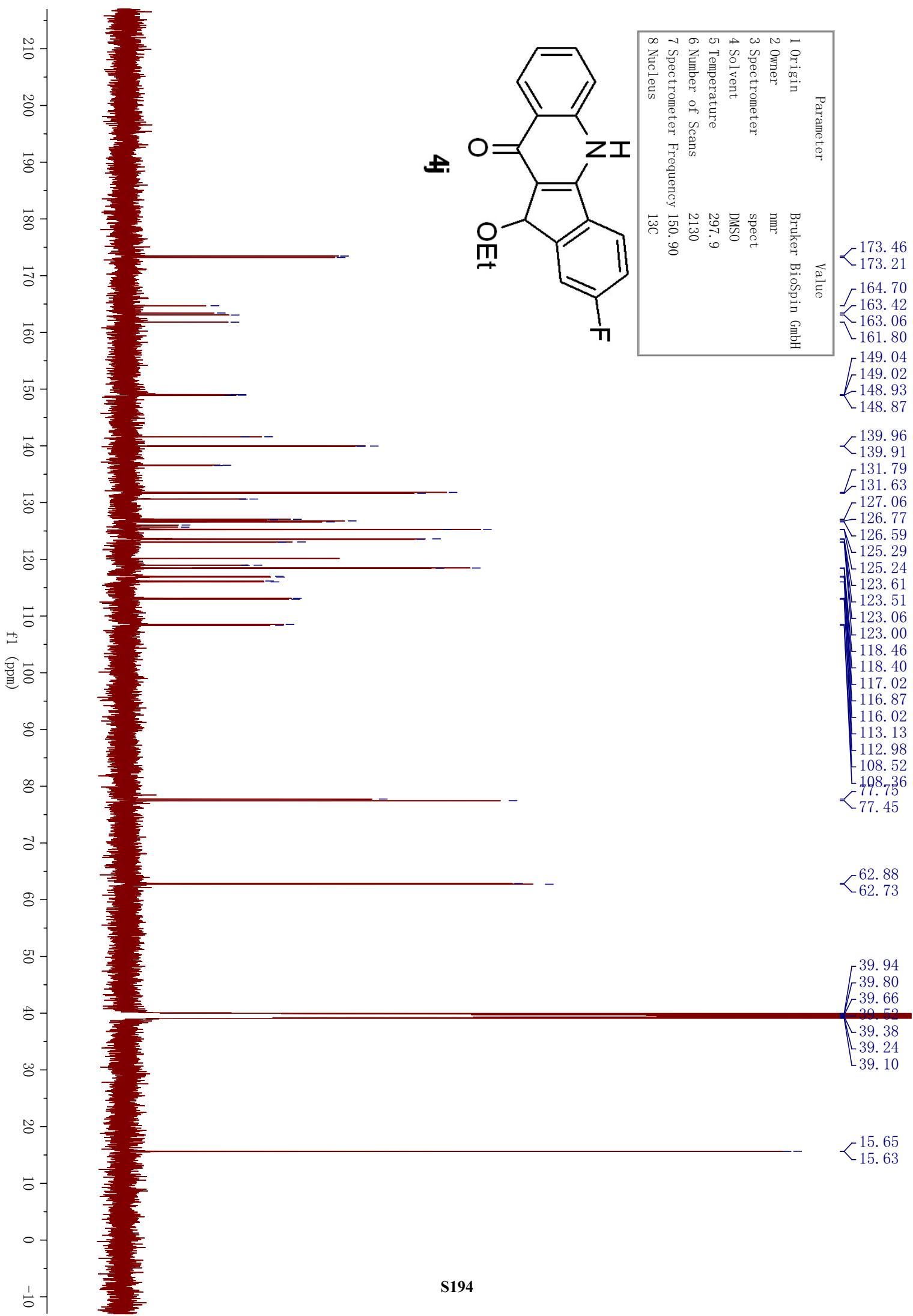


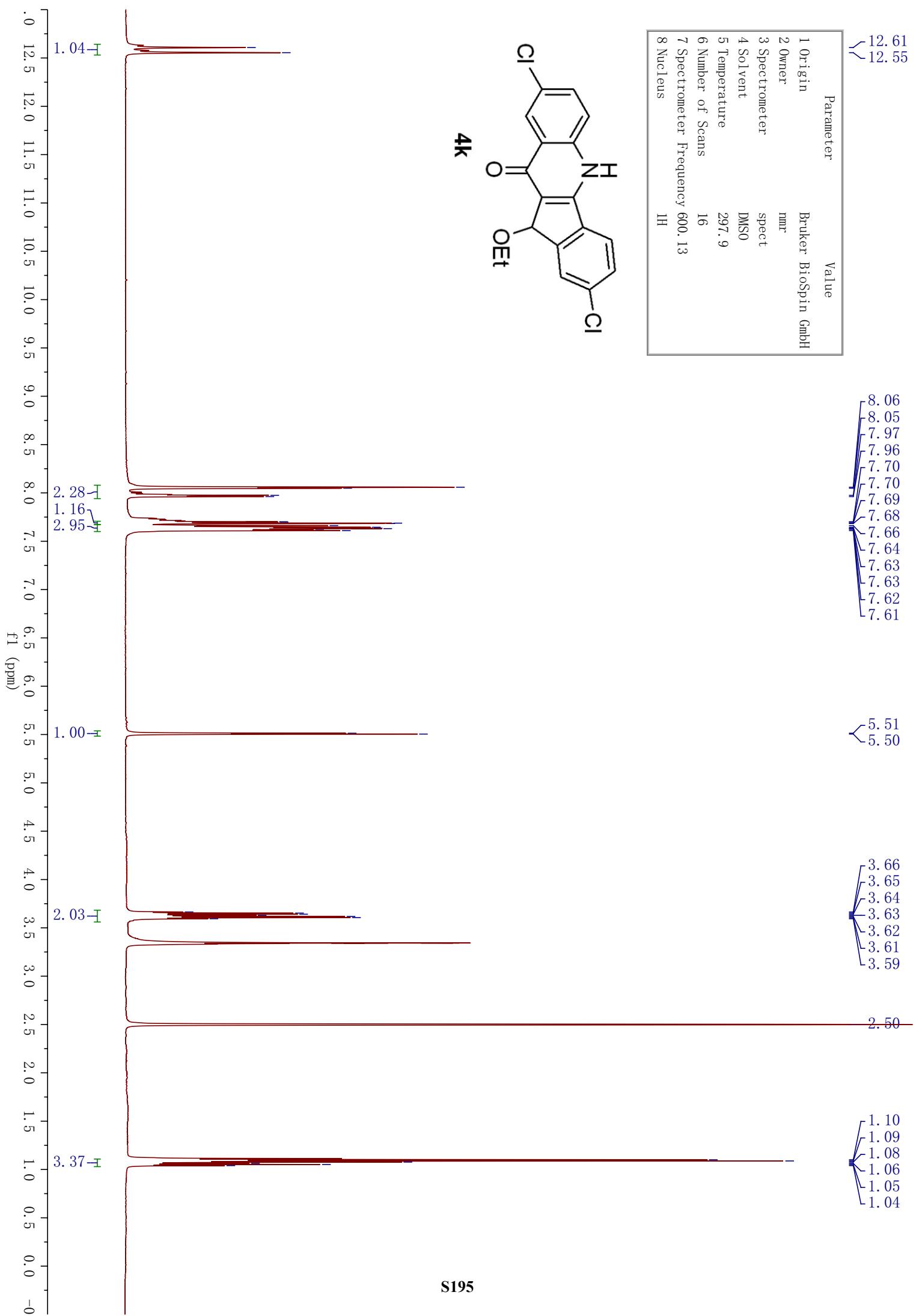


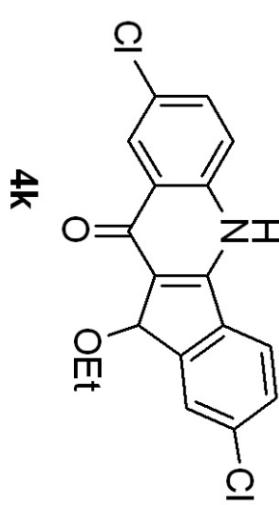
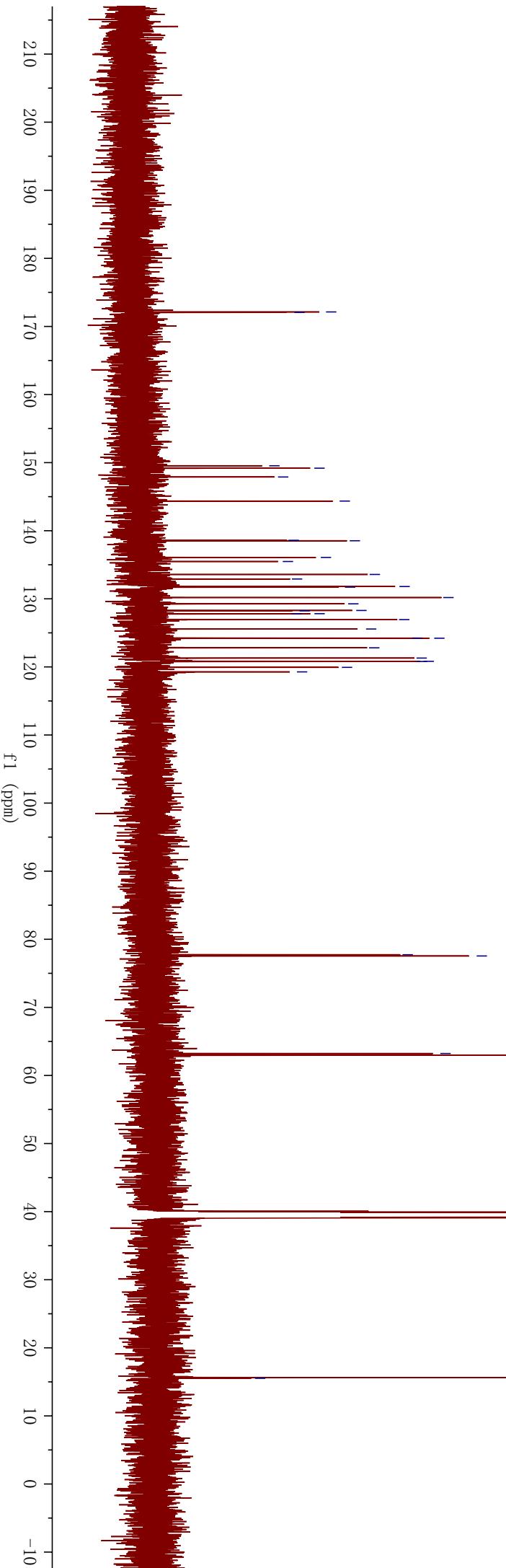




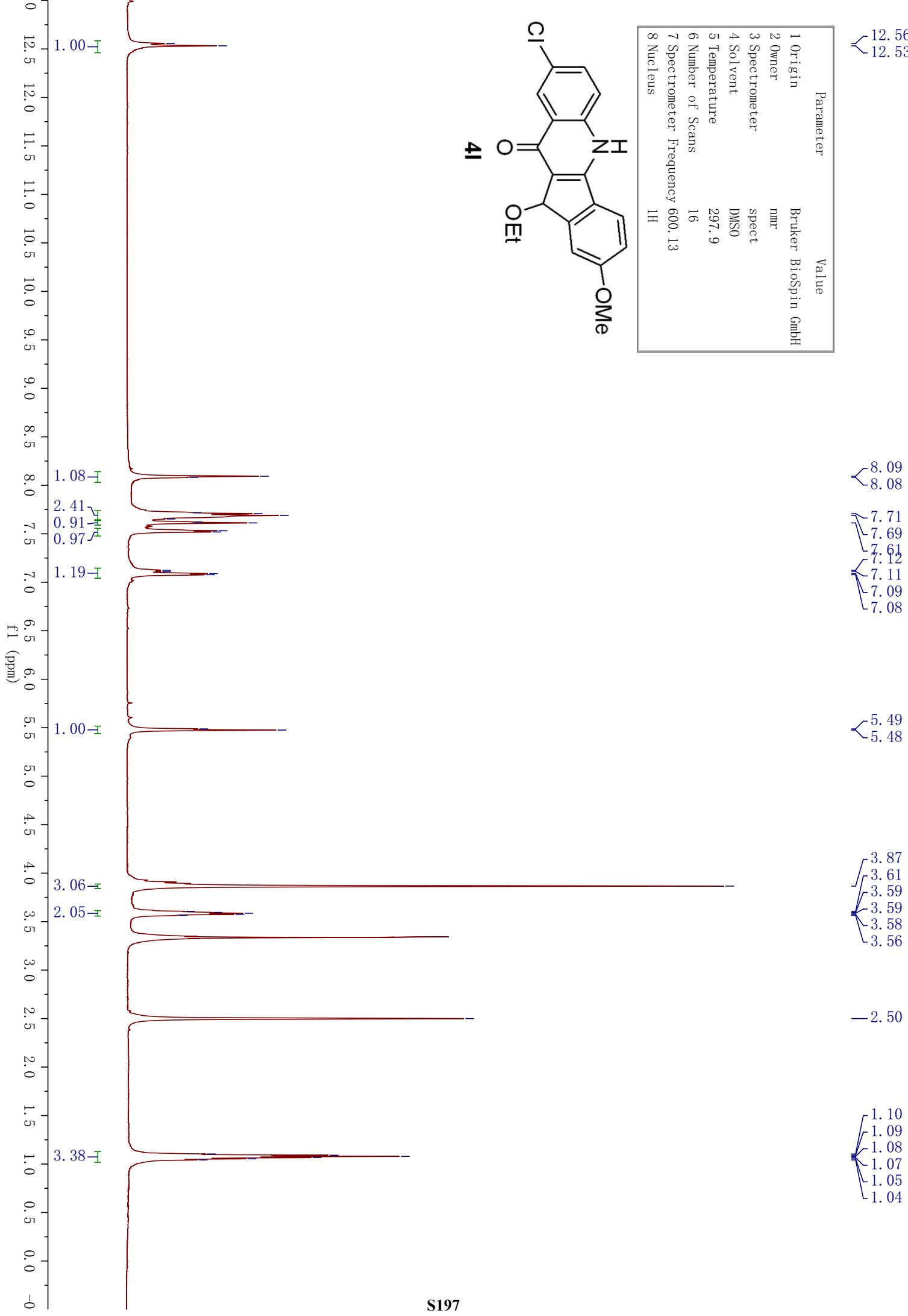


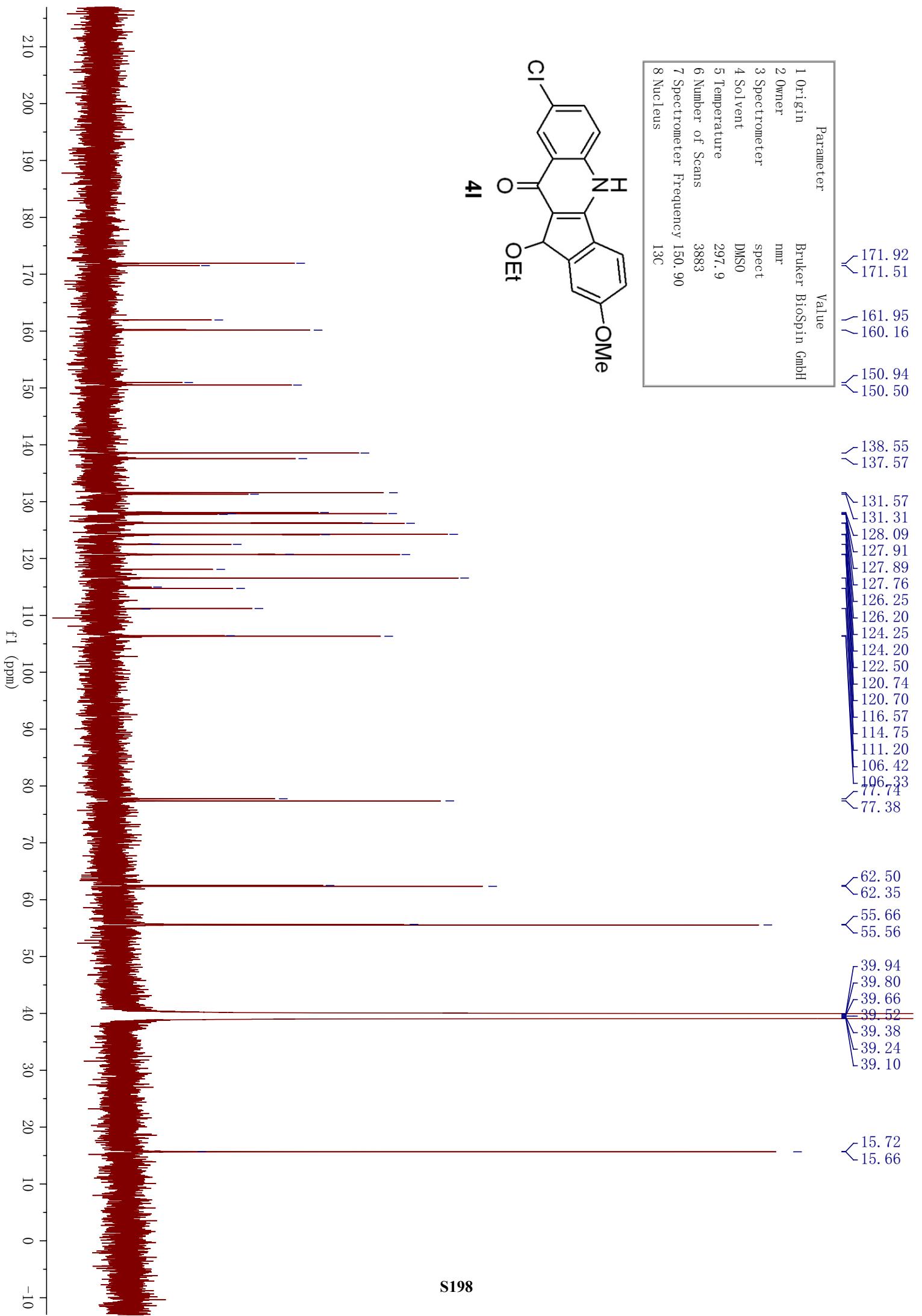






Parameter	Value
1 Origin	Bruker BioSpin GmbH
2 Owner	nmr
3 Spectrometer	spect
4 Solvent	DMSO
5 Temperature	297.9
6 Number of Scans	1021
7 Spectrometer Frequency	150.90
8 Nucleus	¹³ C





11. X-Ray Crystal Structure Analyses

Identification code	exp_5651
Empirical formula	C ₁₉ H ₁₆ O ₃
Formula weight	292.32
Temperature/K	180.01(10)
Crystal system	triclinic
Space group	P-1
a/Å	7.0903(5)
b/Å	10.2299(10)
c/Å	11.0836(10)
α/°	67.824(9)
β/°	77.107(7)
γ/°	79.532(7)
Volume/Å ³	721.45(12)
Z	2
ρ _{calc} g/cm ³	1.346
μ/mm ⁻¹	0.090
F(000)	308.0
Crystal size/mm ³	0.1 × 0.1 × 0.05
Radiation	MoKα ($\lambda = 0.71073$)
2Θ range for data collection/°	6.578 to 52.042
Index ranges	-7 ≤ h ≤ 8, -10 ≤ k ≤ 12, -12 ≤ l ≤ 13
Reflections collected	4530
Independent reflections	2826 [R _{int} = 0.0237, R _{sigma} = 0.0459]
Data/restraints/parameters	2826/0/202
Goodness-of-fit on F ²	1.100
Final R indexes [I>=2σ (I)]	R ₁ = 0.0538, wR ₂ = 0.1363
Final R indexes [all data]	R ₁ = 0.0736, wR ₂ = 0.1531
Largest diff. peak/hole / e Å ⁻³	0.57/-0.28

