SUPPORTING INFORMATION

Lewis acid-promoted cyclizations of *o*-alkyloxyphenyl-substituted ynamides to construct 2-amidobenzofurans

Hui Xu, Yangpeng Liu, Lixia Ding, Xiao-Na Wang,* and Junbiao Chang*

Collaborative Innovation Center of New Drug Research and Safety Evaluation, Henan Province, Key Laboratory of Advanced Drug Preparation Technologies, Ministry of Education, School of Pharmaceutical Sciences, Zhengzhou University, Zhengzhou, Henan 450001, P. R. China

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Part I Experimental Part

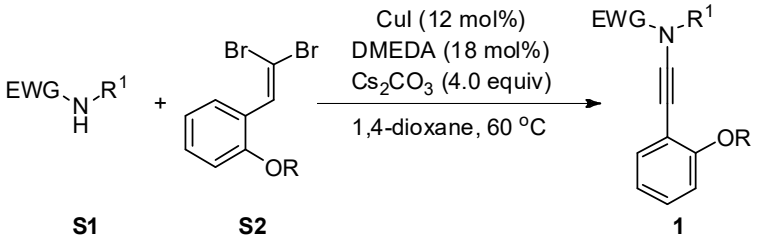
General Information

Unless otherwise indicated, all starting materials were obtained from commercial supplies and used as received. *o*-Alkyloxyphenyl-substituted ynamides were prepared according to the literatures.[1-12] All acyl chlorides were purchased. All reactions were performed in oven-dried glassware under nitrogen atmosphere. Solvents were distilled prior to use. Chromatographic separations were performed using 200~300 mesh silica gel. ^{1}H NMR and ^{13}C NMR spectra were obtained on a Bruker's Ascend^{TM} 400 NMR spectrometer using $CDCl_3$ as solvent with TMS or residual solvent as standard unless otherwise noted. ^{13}C NMR (100 MHz) spectra were reported in ppm with the internal chloroform signal at 77.2 ppm as a standard. Infrared spectra were obtained on a PerkinElmer FT/IR spectrophotometer and relative intensities are expressed qualitatively as s (strong), m (medium), and w (weak). TLC analysis was performed using 254 nm polyester-backed plates and visualized using UV and $KMnO_4$ stain. High-resolution mass spectra (HRMS) were performed on a Bruker MicrOTOF-Q II mass spectrometer.

1.1 Synthesis of *o*-Alkyloxyphenyl-Substituted Ynamides.

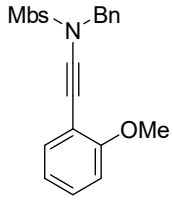
o-Alkyloxyphenyl-substituted ynamides **1a**[1], **1f**[2], **1g**[3], **1j**[4], **1l**[5] and **1n**[6] were known compounds and synthesized according to corresponding literatures, the data were matched with reported values. *o*-Alkyloxyphenyl-substituted ynamides **1b**, **1c**, **1d**, **1e**, **1h**, **1i**, **1k**, **1m**, **1o** and **1p** were new compounds and synthesized according to literatures.[1,5]

Synthesis of *o*-Alkyloxyphenyl-Substituted Ynamides 1b-1e, 1h, 1i, 1k, 1o and 1p.[1]



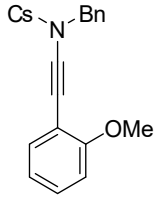
S1 **S2** **1**

To an oven-dried flask were charged with amide **S1**[7] (832.0 mg, 3.00 mmol), 1,1-dibromo-1-alkene **S2**[8] (583.9 mg, 2.00 mmol), Cs_2CO_3 (2.6 g, 8.00 mmol), CuI (45.7 mg, 0.24 mmol) and 1,4-dioxane (3.8 mL). Then DMEDA (39.5 μL, 0.36 mmol) was added gradually to the flask. The reaction was stirred at 60 °C for 10.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 8:1~4:1 petroleum ether/EtOAc] to afford *o*-anisole-substituted ynamide **1b** (711.6 mg, 1.75 mmol) in 87% yield.



1b

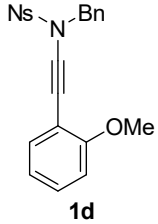
1b: R_f = 0.15 [6:1 petroleum ether/EtOAc]; white solid; mp = 75–76 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86 (dt, 2H, J = 9.0, 3.0 Hz), 7.39-7.36 (m, 2H), 7.30-7.27 (m, 3H), 7.22-7.17 (m, 2H), 6.93 (dt, 2H, J = 9.0, 3.0 Hz), 6.85-6.79 (m, 2H), 4.59 (s, 2H), 3.84 (s, 3H), 3.80 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 163.6, 159.7, 134.7, 132.8, 130.1, 129.4, 129.1, 129.0, 128.5, 128.3, 120.4, 114.2, 112.3, 110.8, 86.8, 67.8, 55.9, 55.81, 55.79; IR (KBr) (cm^{-1}) 3436m, 2937w, 2234m, 1596m, 1496s, 1362s, 1132w; HRMS (ESI): m/z calcd for $C_{24}H_{26}NO_5S$ [M + MeOH + H]$^+$: 440.1526; found 440.1520.



1c

To an oven-dried flask were charged with amide **S1**[9] (845.3 mg, 3.00 mmol), 1,1-dibromo-1-alkene **S2**[8] (584.0 mg, 2.00 mmol), Cs_2CO_3 (2.6 g, 8.00 mmol), CuI (45.7 mg, 0.24 mmol) and 1,4-dioxane (3.8 mL). Then DMEDA (39.5 μL, 0.36 mmol) was added gradually to the flask. The reaction was stirred at 60 °C for 10.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford *o*-anisole-substituted ynamide **1c** (591.9 mg, 1.44 mmol) in 72% yield.

1c: R_f = 0.39 [6:1 petroleum ether/EtOAc]; white solid; mp = 78–79 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83 (dt, 2H, J = 8.6, 2.6 Hz), 7.42 (dt, 2H, J = 8.8, 2.5 Hz), 7.38-7.36 (m, 2H), 7.30-7.27 (m, 3H), 7.24-7.20 (m, 2H), 6.88-6.82 (m, 2H), 4.63 (s, 2H), 3.83 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.9, 140.1, 136.3, 134.4, 133.0, 129.41, 129.36, 129.28, 129.1, 128.6, 128.5, 120.5, 111.9, 110.8, 86.2, 68.1, 56.3, 55.8; IR (KBr) (cm^{-1}) 3442s, 2935w, 2234w, 1495m, 1372s, 1097m; HRMS (ESI): m/z calcd for $C_{23}H_{23}ClNO_4S$ [M + MeOH + H]$^+$: 444.1031; found 444.1029.

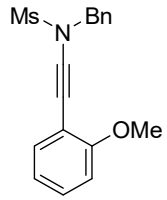


1d

To an oven-dried flask were charged with amide **S1**[7] (876.9 mg, 3.00 mmol), 1,1-dibromo-1-alkene **S2**[8] (583.9 mg, 2.00 mmol), Cs_2CO_3 (2.6 g, 8.00 mmol), CuI (45.7 mg, 0.24

mmol) and 1,4-dioxane (3.8 mL). Then DMEDA (39.5 μL, 0.36 mmol) was added gradually to the flask. The reaction was stirred at 60 °C for 10.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford *o*-anisole-substituted ynamide **1d** (405.6 mg, 0.96 mmol) in 48% yield.

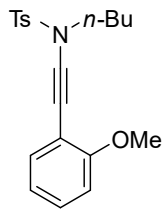
1d: R_f = 0.32 [6:1 petroleum ether/EtOAc]; white solid; mp = 119–120 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.24 (dt, 2H, J = 8.9, 2.4 Hz), 8.02 (dt, 2H, J = 9.0, 2.4 Hz), 7.38-7.35 (m, 2H), 7.29-7.22 (m, 5H), 6.90-6.85 (m, 2H), 4.69 (s, 2H), 3.85 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.1, 150.4, 143.3, 134.0, 133.1, 129.8, 129.14, 129.13, 128.8, 128.7, 124.0, 120.6, 111.5, 110.8, 85.7, 68.4, 56.7, 55.9; IR (KBr) (cm^{-1}) 3442s, 2850w, 2242w, 1588w, 1365s, 1026m, 944w; HRMS (ESI): m/z calcd for $C_{22}H_{18}N_2O_5SNa$ $[M + Na]^+$: 445.0829; found 445.0828.



1e

To an oven-dried flask were charged with amide **S1**[10] (555.7 mg, 3.00 mmol), 1,1-dibromo-1-alkene **S2**[8] (583.9 mg, 2.00 mmol), Cs_2CO_3 (2.6 g, 8.00 mmol), CuI (45.7 mg, 0.24 mmol) and 1,4-dioxane (3.8 mL). Then DMEDA (39.5 μL, 0.36 mmol) was added gradually to the flask. The reaction was stirred at 60 °C for 10.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 8:1~4:1 petroleum ether/EtOAc] to afford *o*-anisole-substituted ynamide **1e** (554.0 mg, 1.76 mmol) in 88% yield.

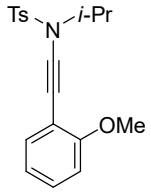
1e: R_f = 0.18 [6:1 petroleum ether/EtOAc]; white solid; mp = 62–63 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.57-7.55 (m, 2H), 7.42-7.34 (m, 3H), 7.31 (dd, 1H, J = 7.6, 1.7 Hz), 7.28-7.23 (m, 1H), 6.90-6.84 (m, 2H), 4.72 (s, 2H), 3.85 (s, 3H), 2.91 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.1, 134.8, 133.1, 129.5, 129.3, 128.87, 128.81, 120.5, 111.9, 110.7, 86.1, 68.2, 56.1, 55.9, 38.9; IR (KBr) (cm^{-1}) 3439s, 2242w, 1497m, 1359s, 1162s, 1025m; HRMS (ESI): m/z calcd for $C_{18}H_{22}NO_4S$ $[M + MeOH + H]^+$:348.1264; found 348.1268.



1h

To an oven-dried flask were charged with amide **S1**[11] (628.0 mg, 3.00 mmol), 1,1-dibromo-1-alkene **S2**[8] (583.9 mg, 2.00 mmol), Cs_2CO_3 (2.6 g, 8.00 mmol), CuI (45.7 mg, 0.24 mmol) and 1,4-dioxane (3.8 mL). Then DMEDA (39.5 μL, 0.36 mmol) was added gradually to the flask. The reaction was stirred at 60 °C for 11.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford *o*-anisole-substituted ynamide **1h** (658.8 mg, 1.84 mmol) in 92% yield.

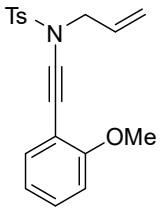
1h: R_f = 0.31 [6:1 petroleum ether/EtOAc]; white solid; mp = 44–45 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 (d, 2H, J = 8.3 Hz), 7.35-7.31 (m, 3H), 7.25-7.21 (m, 1H), 6.90-6.83 (m, 2H), 3.85 (s, 3H), 3.39 (t, 2H, J = 7.2 Hz), 2.44 (s, 3H), 1.75-1.67 (m, 2H), 1.41-1.34 (m, 2H), 0.92 (t, 3H, J = 7.3 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.8, 144.5, 134.8, 132.9, 129.8, 129.1, 127.9, 120.5, 112.5, 110.8, 86.3, 67.2, 55.8, 51.5, 29.9, 21.8, 19.6, 13.8; IR (KBr) (cm^{-1}) 3428s, 2836w, 2236m, 1698w, 1495m, 1362s, 1090m; HRMS (ESI): m/z calcd for $C_{21}H_{28}NO_4S$ $[M + MeOH + H]^+$: 390.1734; found 390.1730.



1i

To an oven-dried flask were charged with amide **S1**[12] (639.9 mg, 3.00 mmol), 1,1-dibromo-1-alkene **S2**[8] (583.9 mg, 2.00 mmol), Cs_2CO_3 (2.6 g, 8.00 mmol), CuI (45.7 mg, 0.24 mmol) and 1,4-dioxane (3.8 mL). Then DMEDA (39.5 μL, 0.36 mmol) was added gradually to the flask. The reaction was stirred at 60 °C for 16.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 20:1~15:1 petroleum ether/EtOAc] to afford *o*-anisole-substituted ynamide **1i** (607.0 mg, 1.77 mmol) in 88% yield.

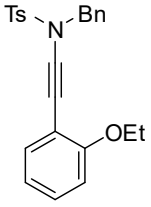
1i: R_f = 0.33 [10:1 petroleum ether/EtOAc]; colorless oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.91 (d, 2H，J = 8.2 Hz), 7.36-7.31 (m, 3H), 7.26-7.21 (m, 1H), 6.90-6.84 (m, 2H), 4.31-4.21 (m, 1H), 3.86 (s, 3H), 2.43 (s, 3H), 1.17 (d, 6H, J = 6.6 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.8, 144.4, 136.2, 132.6, 129.8, 128.9, 127.7, 120.5, 112.8, 110.8, 83.4, 69.2, 55.9, 52.9, 21.8, 20.8; IR (KBr) (cm^{-1}) 2966w, 2235m, 1705m, 1495s, 1359s, 1246s, 1170s; HRMS (ESI): m/z calcd for $C_{19}H_{22}NO_3S$ $[M+H]^+$: 344.1315; found 344.1315.



1k

To an oven-dried flask were charged with amide **S1**[13] (633.8 mg, 3.00 mmol), 1,1-dibromo-1-alkene **S2**[8] (583.9 mg, 2.00 mmol), Cs_2CO_3 (2.6 g, 8.00 mmol), CuI (45.7 mg, 0.24 mmol) and 1,4-dioxane (3.8 mL). Then DMEDA (39.5 μL, 0.36 mmol) was added gradually to the flask. The reaction was stirred at 60 °C for 11.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford *o*-anisole-substituted ynamide **1k** (609.8 mg, 1.79 mmol) in 89% yield.

1k: R_f = 0.32 [6:1 petroleum ether/EtOAc]; white solid; mp = 100–101 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 (d, 2H, J = 8.2 Hz), 7.34 (d, 2H, J = 8.0 Hz), 7.30 (dd, 1H, J = 7.5, 1.7 Hz), 7.25-7.21 (m, 1H), 6.89-6.83 (m, 2H), 5.86-5.76 (m, 1H), 5.32-5.21 (m, 2H), 4.06 (d, 2H, J = 6.4 Hz), 3.85 (s, 3H), 2.44 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.9, 144.7, 134.9, 133.1, 131.0, 129.8, 129.2, 128.1, 120.5, 120.2, 112.3, 110.8, 86.2, 67.4, 55.9, 54.6, 21.8; IR (KBr) (cm^{-1}) 3445s, 2834w, 2236m, 1597w, 1362s, 1168s, 1022m; HRMS (ESI): m/z calcd for $C_{20}H_{24}NO_4S$ $[M + MeOH + H]^+$: 374.1421; found 374.1419.

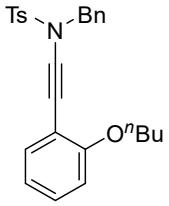


1o

To an oven-dried flask were charged with amide **S1**[7] (1.2 g, 4.50 mmol), 1,1-dibromo-1-alkene **S2**[8] (918.0 mg, 3.00 mmol), Cs_2CO_3 (3.9 g, 12.00 mmol), CuI (68.6 mg, 0.36 mmol) and 1,4-dioxane (5.6 mL). Then DMEDA (59.3 μL, 0.54 mmol) was added gradually to the flask. The reaction was stirred at 60 °C for 15.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford *o*-ethoxyphenyl-substituted ynamide **1o** (1.10 g, 2.71 mmol) in 90% yield.

1o: R_f = 0.39 [6:1 petroleum ether/EtOAc]; white solid; mp = 66–67 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (d, 2H, J = 8.4 Hz), 7.38-7.35 (m, 2H), 7.28-7.23 (m, 5H), 7.18-7.12 (m, 2H), 6.81-6.76 (m, 2H), 4.57 (s, 2H), 3.98 (q, 2H, J = 7.2 Hz), 2.37 (s, 3H), 1.36 (t, 3H, J = 7.2 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.0, 144.50, 144.48, 134.8, 134.7, 132.6, 129.6, 128.9, 128.5, 128.2, 127.7, 120.3,

112.4, 111.9, 86.5, 67.9, 64.1, 55.9, 21.6, 14.9; IR (KBr) (cm^{-1}) 3442s, 2979w, 2923w, 2236m, 1495m, 1358s; HRMS (ESI): m/z calcd for $C_{24}H_{24}NO_3S$ $[M + H]^+$: 406.1471; found 406.1466.

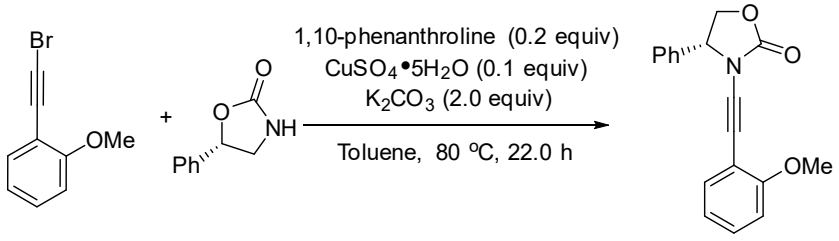


1p

To an oven-dried flask were charged with amide **S1**[7] (1.2 g, 4.50 mmol), 1,1-dibromo-1-alkene **S2**[8] (1.0 g, 3.00 mmol), Cs_2CO_3 (3.9 g, 12.00 mmol), CuI (68.6 mg, 0.36 mmol) and 1,4-dioxane (5.6 mL). Then DMEDA (59.3 μL, 0.54 mmol) was added gradually to the flask. The reaction was stirred at 60 °C for 13.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 12:1~8:1 petroleum ether/EtOAc] to afford *o*-butoxyphenyl-substituted ynamide **1p** (432.0 mg, 1.00 mmol) in 33% yield.

1p: R_f = 0.46 [6:1 petroleum ether/EtOAc]; colorless oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79 (d, 2H, J = 8.0 Hz), 7.36-7.34 (m, 2H), 7.28-7.22 (m, 5H), 7.19-7.13 (m, 2H), 6.81-6.76 (m, 2H), 4.57 (s, 2H), 3.91 (t, 2H, J = 6.4 Hz), 2.36 (s, 3H), 1.75-1.68 (m, 2H), 1.48-1.39 (m, 2H), 0.90 (t, 3H, J = 7.2 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.1, 144.4, 134.9, 134.7, 132.7, 129.6, 128.9, 128.8, 128.4, 128.2, 127.7, 120.1, 112.4, 111.7, 86.4, 68.2, 67.7, 55.9, 31.3, 21.6, 19.2, 13.9; IR (KBr) (cm^{-1}) 3449s, 2958m, 2870w, 2234m, 1597w, 1365s; HRMS (ESI): m/z calcd for $C_{26}H_{28}NO_3S$ $[M + H]^+$: 434.1784; found 434.1775.

Synthesis of *o*-Anisole-Substituted Ynamide 1m.

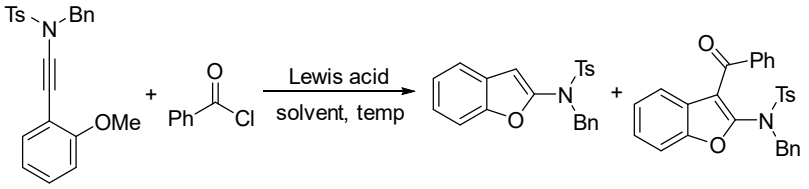


S3 **S4** **1m**

To an oven-dried flask were charged with alkynyl bromide **S3**[12] (253.2 mg, 1.20 mmol), amide **S4** (163.2 mg, 1.00 mmol), $CuSO_4 \cdot 5H_2O$ (25.0 mg, 0.10 mmol), 1,10-phenanthroline (36.0 mg, 0.20 mmol) and K_2CO_3 (276.4 mg, 2.00 mmol), toluene (6.0 mL). The reaction was stirred at 80 °C for 22.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 4:1~2:1 petroleum ether/EtOAc] to afford *o*-anisole-substituted ynamide **1m** (275.2 mg, 0.94 mmol) in 94% yield.

1m: R_f = 0.37 [2:1 petroleum ether/EtOAc]; white solid; mp = 110–111 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46-7.39 (m, 5H), 7.23-7.19 (m, 2H), 6.83-6.76 (m, 2H), 5.16 (dd, 1H, J = 8.8, 7.1 Hz), 4.77 (t, 1H, J = 8.8 Hz), 4.31 (dd, 1H, J = 9.0, 7.1 Hz), 3.72 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.0, 155.6, 136.3, 133.6, 129.7, 129.5, 129.3, 127.2, 120.4, 111.5, 110.8, 81.8, 70.9, 69.3, 62.4, 55.8; IR (KBr) (cm^{-1}) 2965w, 2255w, 1757s, 1497m, 1252m, 1116m; HRMS (ESI): m/z calcd for $C_{19}H_{20}NO_4$ $[M + MeOH + H]^+$: 294.1125; found 294.1119.

1.2 Optimization of the Intermolecular Reaction (Table S1).



1a **2a** **3a** **4a**

Entry[a]	Catalyst (equiv)	Solvent	Temp (°C)	Time (h)	Yield[b] (%)	
					3a	**4a**
1	BF_3•Et_2O (0.15)	CH_2Cl_2	30	11.0	19	56
2	$AlCl_3$ (0.15)	CH_2Cl_2	30	10.0	5	48
3	$FeCl_3$ (0.15)	CH_2Cl_2	30	3.0	7	78
4	$ZnCl_2$ (0.15)	CH_2Cl_2	30	10.0	23	74
5	$ZnBr_2$ (0.15)	CH_2Cl_2	30	6.0	34	50
6	$SnCl_4$ (0.15)	CH_2Cl_2	30	12.0	10	86
7	$SnCl_4$ (0.15)	DCE	30	5.0	7	87
8	$SnCl_4$ (0.15)	toluene	30	27.0	7	28
9	$SnCl_4$ (0.15)	THF	30	11.0	31	0
10	$SnCl_4$ (0.15)	EtOAc	30	32.0	43	0
11	$SnCl_4$ (0.3)	DCE	30	4.0	4	91
12	$SnCl_4$ (0.3)	DCE	50	2.5	0	97
13	$SnCl_4$ (0.3)	DCE	70	0.5	0	85
14[c]	$SnCl_4$ (0.3)	DCE	50	3.0	13	82
15[d]	$SnCl_4$ (0.3)	DCE	50	3.5	9	69
16[e]	$SnCl_4$ (0.3)	DCE	50	2.5	0	96

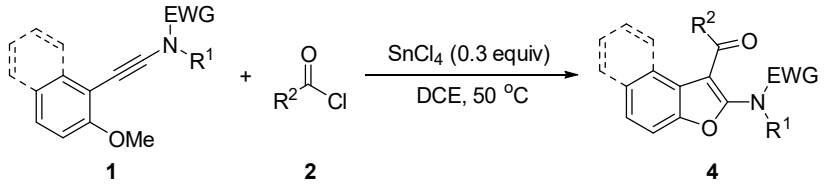
[a]Unless otherwise specified, reactions were carried out using **1a** (0.20 mmol), **2a** (0.40 mmol) with catalyst in solvent (2.0 mL). [b]Isolated yields. [c]1.5 equiv of **2a** was used. [d]1.2 equiv of **2a** was used. [e]**1a** (1.00 mmol) and **2a** (2.00 mmol) were added.

Entry 16: To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (391.5 mg, 1.00 mmol), acyl chloride **2a** (232.0 μL, 2.00 mmol), DCE (10.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (300.0 μL, 0.30 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 2.5 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum

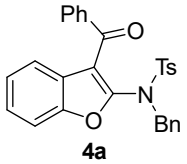
ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4a** (461.8 mg, 0.96 mmol) in 96% yield.

1.3 Cyclization of *o*-Anisole-Substituted Ynamides with Acyl Chlorides.

3-Acyl-2-amidobenzofurans **4a-4mm** were new compounds.

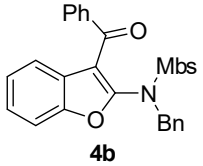


To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (78.3 mg, 0.20 mmol), acyl chloride **2a** (46.4 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 2.5 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4a** (93.5 mg, 0.19 mmol) in 97% yield.



4a

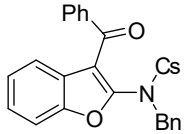
4a: R_f = 0.31 [6:1 petroleum ether/EtOAc]; white solid; mp = 136–137 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.60 (d, 2H, J = 8.2 Hz), 7.59-7.53 (m, 3H), 7.41 (d, 2H, J = 8.5 Hz), 7.36-7.29 (m, 3H), 7.24-7.15 (m, 8H), 4.77 (s, 2H), 2.34 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.1, 151.5, 149.1, 144.6, 137.9, 135.3, 134.4, 132.9, 129.9, 129.6, 129.4, 128.6, 128.4, 128.0, 126.8, 125.8, 124.0, 122.0, 115.6, 111.3, 54.2, 21.7, one carbon missing due to overlap, overlapped signal at 128.4 ppm; IR (KBr) (cm^{-1}) 3448s, 2926w, 1568m, 1362s, 1177m, 1096w; HRMS (ESI): m/z calcd for $C_{29}H_{24}NO_4S$ $[M + H]^+$: 482.1421; found 482.1424.



4b

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1b** (81.5 mg, 0.20 mmol), acyl chloride **2a** (46.4 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 1.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 8:1~4:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4b** (87.3 mg, 0.18 mmol) in 88% yield.

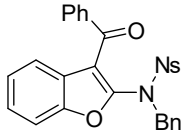
4b: R_f = 0.18 [6:1 petroleum ether/EtOAc]; white solid; mp = 120–121 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.65 (dt, 2H, J = 9.0, 3.0 Hz), 7.59-7.53 (m, 3H), 7.43-7.40 (m, 2H), 7.37-7.29 (m, 3H), 7.21-7.18 (m, 6H), 6.84 (dt, 2H, J = 9.0, 3.0 Hz), 4.76 (s, 2H), 3.78 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.1, 163.6, 151.5, 149.3, 137.9, 134.4, 132.9, 130.3, 129.74, 129.67, 129.4, 128.7, 128.41, 128.38, 126.9, 125.8, 124.0, 122.1, 115.6, 114.4, 111.3, 55.8, 54.1; IR (KBr) (cm^{-1}) 3442s, 2924w, 1499m, 1159s, 1026w; HRMS (ESI): m/z calcd for $C_{29}H_{24}NO_5S$ $[M + H]^+$:498.1370; found 498.1371.



4c

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1c** (82.4 mg, 0.20 mmol), acyl chloride **2a** (46.4 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 9.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4c** (96.0 mg, 0.19 mmol) in 96% yield.

4c: R_f = 0.38 [6:1 petroleum ether/EtOAc]; white solid; mp = 112-113 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.65 (dt, 2H, J = 8.6, 2.6 Hz), 7.60-7.55 (m, 3H), 7.43 (d, 1H, J = 8.3 Hz), 7.40-7.31 (s, 6H), 7.22-7.18 (m, 6H), 4.79 (s, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 189.9, 151.5, 148.9, 140.2, 137.7, 137.0, 134.1, 133.2, 129.6, 129.5, 129.44, 129.39, 128.7, 128.5, 126.6, 126.0, 124.2, 122.1, 116.0, 111.4, 54.7, one carbon missing due to overlap, overlapped signal at 128.5 ppm; IR (KBr) (cm^{-1}) 3441s, 3088w, 1651s, 1362s, 1167m, 893m; HRMS (ESI): m/z calcd for $C_{28}H_{21}ClNO_4S$ $[M + H]^+$: 502.0874; found 502.0875.

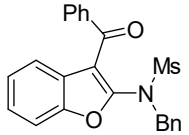


4d

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1d** (84.5 mg, 0.20 mmol), acyl chloride **2a** (46.4 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 11.5 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4d** (99.4 mg, 0.19 mmol) in 97% yield.

4d: R_f = 0.31 [6:1 petroleum ether/EtOAc]; white solid; mp = 150–151 °C; ^{1}H NMR (400 MHz,

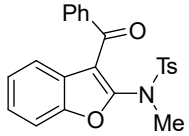
$CDCl_3$) δ 8.13 (dt, 2H, J = 8.9, 2.2 Hz), 7.87 (dt, 2H, J = 8.9, 2.4 Hz), 7.61-7.57 (m, 3H), 7.44 (d, 1H, J = 8.3 Hz), 7.40-7.31 (m, 4H), 7.24-7.17 (m, 6H), 4.89 (s, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 189.6, 151.5, 150.3, 148.6, 144.3, 137.4, 133.9, 133.5, 129.6, 129.3, 129.2, 128.8, 128.63, 128.56, 126.3, 126.2, 124.29, 124.27, 122.1, 116.4, 111.6, 55.7; IR (KBr) (cm^{-1}) 3441s, 2850w, 1652s, 1449m, 1241m, 1061w; HRMS (ESI): m/z calcd for $C_{28}H_{21}N_2O_6S$ $[M+H]^+$: 513.1115; found 513.1118.



4e

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1e** (63.1 mg, 0.20 mmol), acyl chloride **2a** (46.4 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 9.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 8:1~4:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4e** (76.8 mg, 0.19 mmol) in 95% yield.

4e: R_f = 0.21 [6:1 petroleum ether/EtOAc]; colorless oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.70-7.68 (m, 2H), 7.62-7.58 (m, 1H), 7.48 (d, 1H, J = 8.3 Hz), 7.45-7.41 (m, 2H), 7.36-7.30 (m, 2H), 7.25-7.18 (m, 6H), 4.80 (s, 2H), 3.08 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.5, 151.5, 150.6, 138.0, 134.5, 133.4, 129.6, 129.1, 128.9, 128.6, 126.4, 126.0, 124.2, 122.1, 114.7, 111.6, 55.1, 41.4, one carbon missing due to overlap, overlapped signal at 128.6 ppm; IR (KBr) (cm^{-1}) 3450s, 2925w, 1675m, 1654m, 1160m, 1602w; HRMS (ESI): m/z calcd for $C_{23}H_{20}NO_4S$ $[M+H]^+$:406,1108; found 406.1107.

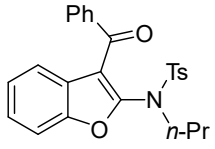


4f

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1f**[2] (63.1 mg, 0.20 mmol), acyl chloride **2a** (46.4 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 3.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4f** (73.7 mg, 0.18 mmol) in 91% yield.

4f: R_f = 0.29 [6:1 petroleum ether/EtOAc]; white solid; mp = 129–130 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86-7.83 (m, 2H), 7.70 (d, 1H, J = 7.6 Hz), 7.63-7.59 (m, 1H), 7.53 (d, 2H, J = 8.4 Hz),

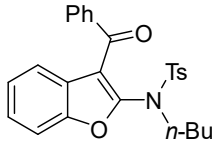
7.51-7.47 (m, 2H), 7.42-7.40 (m, 1H), 7.36 (td, 1H, J = 7.0, 1.4 Hz), 7.31-7.27 (m, 1H), 7.19 (d, 2H, J = 8.1 Hz), 3.19 (s, 3H), 2.37 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.5, 151.5, 150.8, 144.6, 138.2, 134.5, 133.0, 129.9, 129.5, 128.4, 127.9, 126.7, 125.9, 124.3, 122.2, 114.2, 111.3, 37.1, 21.7; IR (KBr) (cm^{-1}) 3430s, 2844w, 1654s, 1449s, 1235w, 1169s; HRMS (ESI): m/z calcd for $C_{23}H_{20}NO_4S$ $[M+H]^+$: 406.1108; found 406.1109.



4g

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1g**[3] (68.7 mg, 0.20 mmol), acyl chloride **2a** (46.4 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 2.5 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 20:1~15:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4g** (78.8 mg, 0.18 mmol) in 91% yield.

4g: R_f = 0.35 [10:1 petroleum ether/EtOAc]; white solid; mp = 89–90 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86-7.83 (m, 2H), 7.63-7.58 (m, 3H), 7.49-7.42 (m, 4H), 7.39-7.34 (m, 1H), 7.26-7.22 (m, 1H), 7.15 (d, 2H, J = 8.0 Hz), 3.59-3.56 (m, 2H), 2.33 (s, 3H), 1.63-1.55 (m, 2H), 0.87 (t, 3H, J = 7.3 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.3, 151.6, 149.6, 144.3, 138.0, 135.7, 133.3, 129.83, 129.82, 128.5, 127.9, 126.8, 125.9, 124.1, 122.0, 116.2, 111.5, 52.9, 22.1, 21.7, 11.3; IR (KBr) (cm^{-1}) 3438w, 1649s, 1597s, 1448s, 1358s, 1234m, 1172s, 1107m; HRMS (ESI): m/z calcd for $C_{25}H_{24}NO_4S$ $[M+H]^+$: 434.1421; found 434.1422.

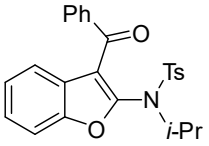


4h

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1h** (71.5 mg, 0.20 mmol), acyl chloride **2a** (46.4 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 4.5 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4h** (80.2 mg, 0.18 mmol) in 90% yield.

4h: R_f= 0.35 [6:1 petroleum ether/EtOAc]; colorless oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86-7.83 (m, 2H), 7.63-7.58 (m, 3H), 7.49-7.42 (m, 4H), 7.36 (td, 1H, J = 7.2, 1.3 Hz), 7.26-7.24 (m, 1H), 7.14 (d,

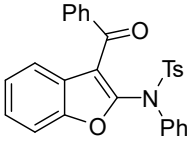
2H, J = 8.1 Hz), 3.61 (t, 2H, J = 7.6 Hz), 2.32 (s, 3H), 1.59-1.51 (m, 2H), 1.32-1.26 (m, 2H), 0.84 (t, 3H, J = 7.4 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.3, 151.6, 149.6, 144.3, 138.0, 135.6, 133.2, 129.81, 129.79, 128.5, 127.9, 126.8, 125.9, 124.1, 122.0, 116.2, 111.5, 51.0, 30.8, 21.7, 19.9, 13.8; IR (KBr) (cm^{-1}) 3435s, 3608w, 2928m, 1167s, 1606s, 1449w; HRMS (ESI): m/z calcd for $C_{26}H_{26}NO_4S$ $[M+H]^+$: 448.1577; found 448.1577.



4i

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1i** (68.7 mg, 0.20 mmol), acyl chloride **2a** (46.4 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 4.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 20:1~15:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4i** (67.3 mg, 0.16 mmol) in 78% yield.

4i: R_f = 0.37 [10:1 petroleum ether/EtOAc]; white solid; mp = 120–121 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.95-7.92 (m, 2H), 7.79 (d, 2H, J = 8.3 Hz), 7.64-7.60 (m, 1H), 7.52-7.46 (m, 3H), 7.41-7.36 (m, 2H), 7.26-7.22 (m, 3H), 4.22-4.12 (m, 1H), 2.37 (s, 3H), 1.09 (d, 6H, J = 6.7 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.3, 151.8, 146.9, 144.2, 137.8, 136.7, 133.5, 130.1, 129.8, 128.6, 128.3, 126.7, 126.1, 123.9, 122.0, 119.2, 111.7, 54.2, 21.9, 21.7; IR (KBr) (cm^{-1}) 3442w, 1653s, 1597s, 1451s, 1342s, 1231m, 1123m; HRMS (ESI): m/z calcd for $C_{25}H_{24}NO_4S$ $[M+H]^+$: 434.1421; found 434.1424.

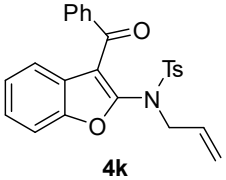


4j

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1j**[3] (75.5 mg, 0.20 mmol), acyl chloride **2a** (46.4 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 3.5 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4j** (63.7 mg, 0.14 mmol) in 68% yield.

4j: R_f = 0.43 [6:1 petroleum ether/EtOAc]; white solid, mp = 200–201 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92-7.89 (m, 2H), 7.72-7.66 (m, 2H), 7.56-7.52 (m, 4H), 7.48 (d, 1H, J = 8.2 Hz), 7.39 (td, 1H, J = 7.2, 1.4 Hz), 7.30 (td, 1H, J = 7.8, 1.2 Hz), 7.23-7.15 (m, 5H), 6.91-6.88 (m, 2H), 2.41 (s,

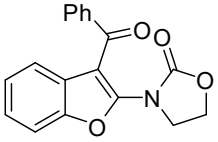
3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.5, 151.8, 150.6, 144.7, 138.8, 138.4, 135.3, 133.3, 129.9, 129.6, 129.2, 128.69, 128.65, 128.60, 126.8, 126.2, 124.4, 122.5, 115.1, 111.4, 21.8, one carbon missing due to overlap, overlapped signal at 128.69 ppm; IR (KBr) (cm^{-1}) 3442s, 2847w, 1664s, 1453m, 1241m, 1167s, 1072w; HRMS (ESI): m/z calcd for $C_{28}H_{22}NO_4S$ $[M+H]^+$: 468.1264; found 468.1264.



4k

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1k** (68.3 mg, 0.20 mmol), acyl chloride **2a** (46.4 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 4.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4k** (45.5 mg, 0.11 mmol) in 53% yield.

4k: R_f = 0.37 [6:1 petroleum ether/EtOAc]; white solid; mp = 100-101 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85-7.83 (m, 2H), 7.63-7.59 (m, 3H), 7.51-7.43 (m, 4H), 7.36 (td, 1H, *J* = 7.2, 1.4 Hz), 7.27-7.23 (m, 1H), 7.17 (d, 2H, *J* = 8.0 Hz), 5.85-5.75 (m, 1H), 5.20 (dd, 1H, *J* = 17.1, 1.4 Hz), 5.11 (dd, 1H, *J* = 10.1, 1.2 Hz), 4.22 (d, 2H, *J* = 6.7 Hz), 2.35 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.3, 151.6, 149.6, 144.5, 138.1, 135.5, 133.2, 131.8, 129.9, 129.8, 128.5, 128.0, 126.7, 125.9, 124.1, 122.2, 126.5, 116.0, 111.5, 53.6, 21.7; IR (KBr) (cm^{-1}) 3442s, 2850w, 1649s, 1448m, 1168s, 1059w; HRMS (ESI): m/z calcd for $C_{25}H_{22}NO_4S$ $[M+H]^+$: 432.1264; found 432.1264.

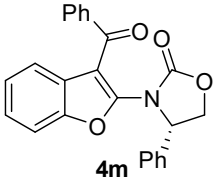


4l

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1l** (43.4 mg, 0.20 mmol), acyl chloride **2a** (46.4 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 1.5 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 4:1~2:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4l** (55.4 mg, 0.18 mmol) in 90% yield.

4l: R_f = 0.19 [2:1 petroleum ether/EtOAc]; white solid, mp = 178–179 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.87-7.84 (m, 2H), 7.61-7.53 (m, 2H), 7.50-7.46 (m, 3H), 7.34 (td, 1H, *J* = 7.5, 1.4 Hz),

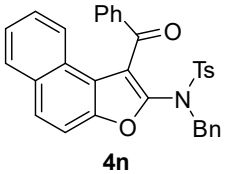
7.28-7.24 (m, 1H), 4.38 (t, 2H, J = 7.4 Hz), 4.12 (t, 2H, J = 8.4 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.3, 153.9, 151.0, 149.2, 138.6, 133.1, 129.1, 128.6, 126.8, 125.3, 124.5, 121.7, 111.2, 109.3, 63.1, 45.7; IR (KBr) (cm^{-1}) 3445s, 2848w, 1770s, 1597s, 1382w, 1023w; HRMS (ESI): m/z calcd for $C_{18}H_{14}NO_4$ $[M+H]^+$: 308.0918; found 308.0917.



4m

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1m** (58.7 mg, 0.20 mmol), acyl chloride **2a** (46.4 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 2.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 4:1~2:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4m** (63.6 mg, 0.17 mmol) in 83% yield.

4m: R_f = 0.38 [2:1 petroleum ether/EtOAc]; colorless oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.74-7.72 (m, 2H), 7.62-7.57 (m, 1H), 7.47-7.43 (m, 2H), 7.40-7.35 (m, 3H), 7.33-7.27 (m, 3H), 7.26-7.22 (m, 2H), 7.15-7.11 (m, 1H), 5.48 (t, 1H, J = 8.3 Hz), 4.70 (t, 1H, J = 8.8 Hz), 4.27 (t, 1H, J = 8.1 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.2, 154.0, 151.1, 148.7, 138.5, 136.2, 133.1, 129.5, 129.33, 129.28, 128.6, 127.4, 126.5, 125.1, 124.1, 121.5, 111.3, 110.5, 71.0, 60.9; IR (KBr) (cm^{-1}) 3439s, 2926w, 1778s, 1655m, 1411m, 1114w; HRMS (ESI): m/z calcd for $C_{24}H_{18}NO_4$ $[M+H]^+$: 384.1230; found 384.1221.

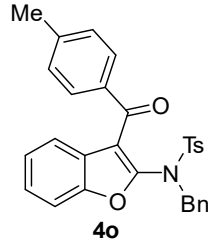


4n

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1n** (88.3 mg, 0.20 mmol), acyl chloride **2a** (46.4 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 45.0 minutes. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4n** (89.4 mg, 0.17 mmol) in 84% yield.

4n: R_f = 0.31 [6:1 petroleum ether/EtOAc]; white solid; mp = 63–64 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (d, 1H, J = 8.0 Hz), 7.77 (d, 1H, J = 9.0 Hz), 7.70-7.67 (m, 2H), 7.64 (d, 1H, J = 8.4 Hz), 7.59 (d, 2H, J = 8.2 Hz), 7.55-7.50 (m, 2H), 7.39-7.35 (m, 1H), 7.31-7.22 (m, 3H), 7.17-7.12 (m, 7H),

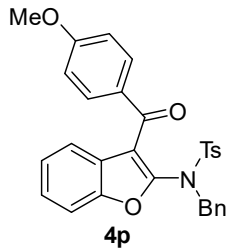
4.78 (s, 2H), 2.34 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 191.4, 149.5, 146.2, 144.4, 137.5, 135.5, 134.5, 133.5, 131.0, 130.0, 129.8, 129.4, 129.1, 128.64, 128.59, 128.3, 128.0, 127.8, 127.2, 126.8, 125.1, 124.7, 121.1, 118.7, 112.0, 55.1, 21.7; IR (KBr) (cm^{-1}) 3438s, 2922w, 1629w, 1575w, 1360m, 1114s; HRMS (ESI): m/z calcd for $C_{33}H_{26}NO_4S$ $[M+H]^+$: 532.1577; found 532.1589.



4o

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (78.3 mg, 0.20 mmol), acyl chloride **2b** (52.9 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 3.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4o** (95.2 mg, 0.19 mmol) in 95% yield.

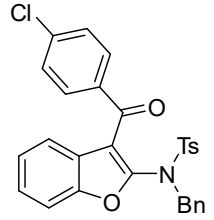
4o: R_f = 0.34 [6:1 petroleum ether/EtOAc]; white solid; mp = 105–106 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.62 (d, 2H, *J* = 8.0 Hz), 7.51 (d, 2H, *J* = 7.1 Hz), 7.41 (d, 1H, *J* = 8.2 Hz), 7.37 (d, 1H, *J* = 7.9 Hz), 7.33-7.29 (m, 1H), 7.25-7.14 (m, 10H), 4.80 (s, 2H), 2.43 (s, 3H), 2.34 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 189.6, 151.5, 148.9, 144.5, 143.9, 135.4, 135.3, 134.6, 129.90, 129.87, 129.4, 129.1, 128.6, 128.3, 128.0, 126.9, 125.7, 123.9, 122.1, 115.9, 111.3, 54.4, 22.0, 21.7; IR (KBr) (cm^{-1}) 3425s, 2852w, 1652s, 1459m, 1167s, 1019w; HRMS (ESI): m/z calcd for $C_{30}H_{26}NO_4S$ $[M+H]^+$: 496.1577; found 496.1575.



4p

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (78.3 mg, 0.20 mmol), acyl chloride **2c** (68.2 mg, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 3.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4p** (96.2 mg, 0.19 mmol) in 94% yield.

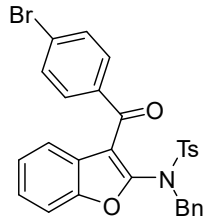
4p: R_f = 0.26 [6:1 petroleum ether/EtOAc]; white solid; mp = 51–52 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.63-7.59 (m, 4H), 7.41-7.38 (m, 2H), 7.30 (td, 1H, J = 7.2, 1.1 Hz), 7.25-7.18 (m, 6H), 7.16 (d, 2H, J = 7.9 Hz), 6.82 (dt, 2H, J = 8.9, 2.8 Hz), 4.81 (s, 2H), 3.86 (s, 3H), 2.33 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 188.4, 163.6, 151.4, 148.5, 144.5, 135.4, 134.6, 132.1, 130.6, 129.8, 129.3, 128.6, 128.3, 128.0, 127.0, 125.7, 123.9, 122.0, 115.9, 113.6, 111.3, 55.6, 54.4, 21.7; IR (KBr) (cm^{-1}) 3435s, 2925w, 1651w, 1450w, 1169m; HRMS (ESI): m/z calcd for $C_{30}H_{26}NO_5S$ $[M+H]^+$: 512.1526; found 512.1526.



4q

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (78.3 mg, 0.20 mmol), acyl chloride **2d** (51.1 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 4.5 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4q** (84.6 mg, 0.16 mmol) in 82% yield.

4q: R_f = 0.36 [6:1 petroleum ether/EtOAc]; white solid; mp = 64-65 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.57 (d, 2H, J = 8.3 Hz), 7.50 (d, 1H, J = 7.8 Hz), 7.46 (d, 2H, J = 8.2 Hz), 7.41 (d, 1H, J = 8.2 Hz), 7.33 (td, 1H, J = 7.3, 1.1 Hz), 7.28-7.19 (m, 10 H), 4.75 (s, 2H), 2.37 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 188.9, 151.5, 148.9, 144.8, 139.1, 136.2, 135.1, 134.2, 131.0, 129.97, 129.62, 128.74, 128.67, 128.56, 128.0, 126.8, 125.9, 124.2, 122.0, 115.2, 111.3, 53.8, 21.7; IR (KBr) (cm^{-1}) 3433s, 2850w, 1652m, 1366m, 1168s, 882m; HRMS (ESI): m/z calcd for $C_{29}H_{23}ClNO_4S$ $[M+H]^+$: 516.1031; found 516.1031.

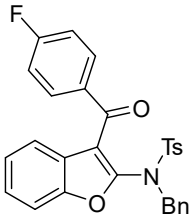


4r

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (78.3 mg, 0.20 mmol), acyl chloride **2e** (87.8 mg, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 3.5 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by

flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4r** (91.1 mg, 0.16 mmol) in 81% yield.

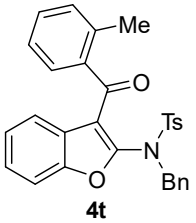
4r: R_f = 0.41 [6:1 petroleum ether/EtOAc]; white solid; mp = 47–48 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.57 (d, 2H, J = 8.4 Hz), 7.50 (d, 1H, J = 7.4 Hz), 7.45-7.37 (m, 5H), 7.34 (td, 1H, J = 7.4, 1.4 Hz), 7.26-7.20 (m, 8H), 4.75 (s, 2H), 2.38 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 189.1, 151.5, 148.9, 144.8, 136.7, 135.1, 134.2, 131.7, 131.1, 130.0, 129.6, 128.8, 128.6, 128.0, 127.8, 126.8, 125.9, 124.3, 122.0, 115.2, 111.3, 53.8, 21.8; IR (KBr) (cm^{-1}) 3442s, 3032w, 2852w, 1653m, 1365m, 1168m, 1010w; HRMS (ESI): m/z calcd for $C_{29}H_{23}BrNO_4S$ $[M+H]^+$: 560.0526; found 560.0523.



4s

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (78.3 mg, 0.20 mmol), acyl chloride **2f** (47.3 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 5.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4s** (80.9 mg, 0.16 mmol) in 81% yield.

4s: R_f = 0.40 [6:1 petroleum ether/EtOAc]; white solid, mp = 122–123 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.60-7.54 (m, 4H), 7.50 (d, 1H, J = 7.8 Hz), 7.42 (d, 1H, J = 8.2 Hz), 7.33 (td, 1H, J = 7.2, 1.4 Hz), 7.25-7.20 (m, 8H), 6.98 (t, 2H, J = 8.7 Hz), 4.75 (s, 2H), 2.37 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 188.6, 165.6 (d, J = 252.4 Hz), 151.5, 148.7, 144.7, 135.1, 134.21, 134.18 (d, J = 2.9 Hz), 132.2 (d, J = 9.1 Hz), 130.0, 129.6, 128.7, 128.5, 128.0, 126.9, 125.9, 124.2, 122.0, 115.5 (d, J = 21.8), 115.4, 111.3, 53.8, 21.7; IR (KBr) (cm^{-1}) 3428m, 2850w, 1652s, 1362m, 1169s, 930w; HRMS (ESI): m/z calcd for $C_{29}H_{23}FNO_4S$ $[M+H]^+$: 500.1326; found 500.1325.

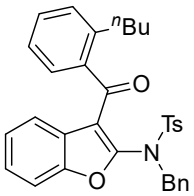


4t

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (79.7 mg, 0.20 mmol), acyl chloride **2g** (52.2 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 3.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and

filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~8:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4t** (85.3 mg, 0.17 mmol) in 86% yield.

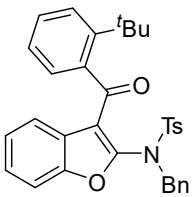
4t: R_f = 0.38 [10:1 petroleum ether/EtOAc]; colorless oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.60 (d, 2H, J = 8.3 Hz), 7.42-7.28 (m, 5H), 7.24-7.14 (m, 8H), 7.05-6.97 (m, 2H), 4.70 (s, 2H), 2.391 (s, 3H), 2.386 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 192.1, 151.4, 150.0, 144.6, 138.6, 138.0, 135.3, 134.2, 131.4, 131.0, 129.9, 129.5, 129.4, 128.6, 128.3, 128.1, 126.6, 125.7, 125.5, 124.2, 122.1, 116.2, 111.2, 53.7, 21.8, 20.1; IR (KBr) (cm^{-1}) 3450w, 1651m, 1597m, 1451s, 1366s, 1168s, 1089m; HRMS (ESI): m/z calcd for $C_{30}H_{26}NO_4S$ $[M+H]^+$: 496.1577; found 496.1565.



4u

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (79.7 mg, 0.20 mmol), acyl chloride **2h** (78.7 mg, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 3.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 30:1~20:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4u** (90.6 mg, 0.17 mmol) in 84% yield.

4u: R_f = 0.30 [10:1 petroleum ether/EtOAc]; colorless oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.67 (d, 2H, J = 8.3 Hz), 7.43-7.40 (m, 2H), 7.35-7.27 (m, 3H), 7.24 (s, 1H), 7.19-7.12 (m, 6H), 7.08-7.05 (m, 2H), 6.98-6.96 (m, 1H), 4.76 (s, 2H), 2.69 (t, 2H, J = 7.8 Hz), 2.41 (s, 3H), 1.57-1.51 (m, 2H), 1.32-1.25 (m, 2H), 0.85 (t, 3H, J = 7.3 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 192.0, 151.5, 150.6, 144.6, 142.6, 138.8, 135.6, 134.5, 130.9, 130.5, 129.9, 129.3, 129.2, 128.6, 128.28, 128.26, 126.4, 125.7, 125.5, 124.1, 122.1, 116.2, 111.4, 53.9, 34.1, 32.9, 22.9, 21.8, 14.1; IR (KBr) (cm^{-1}) 3450w, 1660m, 1598m, 1451m, 1365s, 1168s, 1090w; HRMS (ESI): m/z calcd for $C_{33}H_{32}NO_4S$ $[M+H]^+$: 538.2047; found 538.2042.

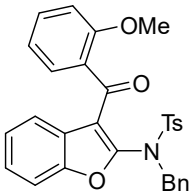


4v

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (79.7 mg, 0.20 mmol), acyl chloride **2i** (78.7 mg, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL,

0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 3.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 30:1~20:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4v** (94.6 mg, 0.18 mmol) in 88% yield.

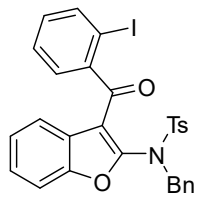
4v: R_f = 0.35 [10:1 petroleum ether/EtOAc]; colorless oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.88 (d, 2H, J = 8.4 Hz), 7.57 (d, 1H, J = 7.8 Hz), 7.40 (d, 2H, J = 8.2 Hz), 7.32 (d, 2H, J = 8.1 Hz), 7.28-7.26 (m, 2H), 7.23-7.10 (m, 5H), 6.93 (t, 1H, J = 7.6 Hz), 6.72 (dd, 1H, J = 7.6, 1.1 Hz), 6.15 (d, 1H, J = 7.9 Hz), 4.98 (s, 2H), 2.42 (s, 3H), 1.30 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 193.0, 152.2, 151.5, 147.5, 144.5, 140.6, 136.1, 134.9, 129.9, 129.7, 128.58, 128.56, 128.3, 128.1, 127.5, 127.2, 125.7, 125.5, 125.4, 123.9, 121.6, 115.4, 111.6, 53.3, 36.3, 32.1, 21.8; IR (KBr) (cm^{-1}) 3442w, 1666m, 1556m, 1451s, 1365s, 1168s, 1090m; HRMS (ESI): m/z calcd for $C_{33}H_{32}NO_4S$ $[M+H]^+$: 538.2047; found 538.2036.



4w

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (78.3 mg, 0.20 mmol), acyl chloride **2j** (57.6 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 4.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 8:1~4:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4w** (85.2 mg, 0.17 mmol) in 83% yield.

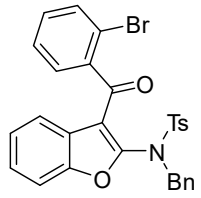
4w: R_f = 0.19 [6:1 petroleum ether/EtOAc]; white solid; mp = 72–73 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71 (d, 2H, J = 8.3 Hz), 7.49 (td, 1H, J = 8.3, 1.7 Hz), 7.38 (d, 1H, J = 8.3 Hz), 7.28-7.24 (m, 2H), 7.21 (d, 2H, J = 8.1 Hz), 7.16-7.09 (m, 7H), 6.99-6.92 (m, 2H), 4.77 (s, 2H), 3.55 (s, 3H), 2.36 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 189.4, 157.8, 151.3, 150.5, 144.3, 135.9, 134.9, 132.9, 130.2, 129.7, 129.4, 128.9, 128.5, 128.2, 128.0, 126.1, 125.5, 123.9, 121.5, 120.5, 116.7, 111.6, 111.3, 55.6, 54.3, 21.7; IR (KBr) (cm^{-1}) 3442s, 2848w, 1655s, 1485w, 1249m, 1103m; HRMS (ESI): m/z calcd for $C_{30}H_{26}NO_5S$ $[M+H]^+$: 512.1526; found 512.1526.



4x

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (78.3 mg, 0.20 mmol), acyl chloride **2k** (55.2 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 4.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4x** (103.8 mg, 0.17 mmol) in 85% yield.

4x: R_f = 0.36 [6:1 petroleum ether/EtOAc]; white solid; mp = 90–91 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.87 (d, 1H, J = 7.9 Hz), 7.63 (d, 2H, J = 8.3 Hz), 7.42-7.30 (m, 4H), 7.26-7.20 (m, 5H), 7.19-7.13 (m, 3H), 7.10-7.08 (m, 2H), 4.69 (s, 2H), 2.41 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 191.2, 151.5, 151.3, 144.7, 143.9, 140.1, 135.5, 134.3, 131.7, 129.9, 129.8, 129.2, 128.7, 128.4, 128.24, 128.15, 126.2, 126.0, 124.5, 122.1, 114.8, 111.3, 92.5, 53.8, 21.8; IR (KBr) (cm^{-1}) 3442s, 2845w, 1663m, 1451m, 1366m, 1265m, 1016w; HRMS (ESI): m/z calcd for $C_{29}H_{23}INO_4S$ $[M+H]^+$: 608.0387; found 608.0383.

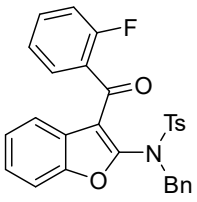


4y

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (78.3 mg, 0.20 mmol), acyl chloride **2l** (52.8 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 4.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4y** (93.4 mg, 0.17 mmol) in 83% yield.

4y: R_f = 0.34 [6:1 petroleum ether/EtOAc]; white solid; mp = 118–119 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.63 (d, 2H, J = 8.2 Hz), 7.58-7.56 (m, 1H), 7.41 (d, 1H, J = 8.2 Hz), 7.37-7.32 (m, 3H), 7.31-7.23 (m, 4H), 7.21-7.13 (m, 4H), 7.09-7.07 (m, 2H), 4.68 (s, 2H), 2.42 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 189.9, 151.5, 151.2, 144.7, 140.8, 135.5, 134.3, 133.5, 131.7, 130.2, 129.9, 129.2, 128.7, 128.3, 128.2, 127.5, 126.010, 125.995, 124.5, 122.0, 119.9, 115.5, 111.3, 53.8, 21.8; IR (KBr)

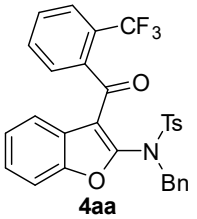
(cm^{-1}) 3446s, 2853w, 1654m, 1385w, 1265w, 1168s, 1057w; HRMS (ESI): m/z calcd for $C_{29}H_{23}BrNO_4S$ $[M+H]^+$: 560.0526; found 560.0522.



4z

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (78.3 mg, 0.20 mmol), acyl chloride **2m** (47.3 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 5.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4z** (82.8 mg, 0.17 mmol) in 83% yield.

4z: R_f = 0.37 [6:1 petroleum ether/EtOAc]; colorless oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.57 (d, 2H, *J* = 8.4 Hz), 7.53-7.47 (m, 2H), 7.40 (d, 1H, *J* = 8.2 Hz), 7.36-7.30 (m, 2H), 7.24-7.18 (m, 8H), 7.12 (td, 1H, *J* = 7.6, 0.9 Hz), 7.06-7.01 (m, 1H), 4.72 (s, 2H), 2.37 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 187.0, 160.5 (d, *J* = 254.0 Hz), 151.4, 150.3, 144.6, 135.3, 134.2, 133.5 (d, *J* = 8.6 Hz), 131.1 (d, *J* = 2.1 Hz), 129.9, 129.4, 128.6, 128.4, 128.0, 127.7 (d, *J* = 12.1 Hz), 126.2, 125.9, 124.4, 124.1 (d, *J* = 3.8 Hz), 121.8, 116.4 (d, *J* = 21.4 Hz), 116.3, 111.3, 53.9, 21.7; IR (KBr) (cm^{-1}) 3428s, 2850w, 1655m, 1451s, 1292w, 1168s, 1006w; HRMS (ESI): m/z calcd for $C_{29}H_{23}FNO_4S$ $[M+H]^+$: 500.1326; found 500.1323.

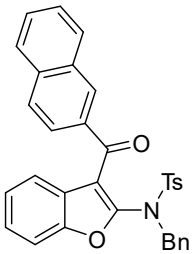


4aa

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (78.3 mg, 0.20 mmol), acyl chloride **2n** (52.9 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 8.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4aa** (83.3 mg, 0.15 mmol) in 76% yield.

4aa: R_f = 0.30 [6:1 petroleum ether/EtOAc]; white solid; mp = 122–123 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.77 (d, 1H, *J* = 7.7 Hz), 7.70 (d, 2H, *J* = 8.4 Hz), 7.62 (t, 1H, *J* = 7.6 Hz), 7.55 (t, 1H, *J* = 7.5 Hz), 7.41 (d, 1H, *J* = 8.3 Hz), 7.32-7.23 (m, 4H), 7.17-7.07 (m, 6H), 6.98 (d, 1H, *J* = 8.0 Hz),

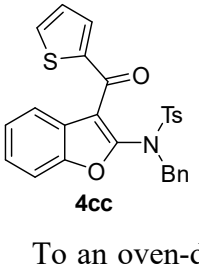
4.74 (s, 2H), 2.42 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 189.0, 152.0, 151.5, 144.8, 138.8 (q, J = 2.0 Hz), 135.6, 134.3, 131.9, 130.4, 129.9, 128.9, 128.8, 128.6, 128.29, 128.27, 127.8 (q, J = 32.1 Hz), 127.0 (q, J = 4.8 Hz), 126.0, 125.7, 124.5, 123.7 (q, J = 272.5 Hz), 121.8, 115.2, 111.5, 54.0, 21.8; IR (KBr) (cm^{-1}) 3446s, 2854w, 1667m, 1558m, 1314m, 1067w; HRMS (ESI): m/z calcd for $C_{30}H_{23}F_3NO_4S$ $[M+H]^+$: 550.1294; found 550.1293.



4bb

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (78.3 mg, 0.20 mmol), acyl chloride **2o** (76.3 mg, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 1.5 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4bb** (100.8 mg, 0.19 mmol) in 95% yield.

4bb: R_f = 0.31 [6:1 petroleum ether/EtOAc]; colorless oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.13 (s, 1H), 7.90 (d, 1H, J = 8.2 Hz), 7.85 (d, 1H, J = 8.6 Hz), 7.79 (d, 1H, J = 8.2 Hz), 7.77-7.74 (m, 1H), 7.66 (d, 2H, J = 8.1 Hz), 7.63-7.59 (m, 1H), 7.54-7.50 (m, 1H), 7.45 (d, 1H, J = 8.3 Hz), 7.35-7.30 (m, 2H), 7.18-7.10 (m, 8H), 4.79 (s, 2H), 2.23 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 189.8, 151.6, 149.3, 144.5, 135.8, 135.4, 135.2, 134.6, 132.4, 131.8, 130.0, 129.8, 129.2, 128.68, 128.64, 128.32, 128.29, 128.16, 127.9, 126.81, 126.75, 125.8, 125.2, 124.0, 122.0, 116.0, 111.5, 54.8, 21.6; IR (KBr) (cm^{-1}) 3434m, 2848w, 1653m, 1451m, 1362s, 1089m; HRMS (ESI): m/z calcd for $C_{33}H_{26}NO_4S$ $[M+H]^+$: 532.1577; found 532.1577.

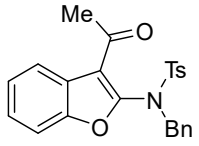


4cc

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (78.3 mg, 0.20 mmol), acyl chloride **2p** (42.8 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 2.5 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford

3-acyl-2-amidobenzofuran **4cc** (88.8 mg, 0.18 mmol) in 92% yield.

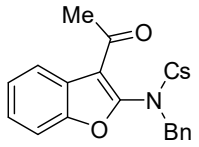
4cc: R_f = 0.32 [6:1 petroleum ether/EtOAc]; white solid; mp = 125–126 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.64 (dd, 1H, J = 4.9, 1.2 Hz), 7.64-7.62 (m, 2H), 7.53 (d, 1H, J = 7.5 Hz), 7.41 (d, 1H, J = 8.3 Hz), 7.32 (td, 1H, J = 7.2, 1.2 Hz), 7.27-7.19 (m, 6H), 7.17-7.14 (m, 3H), 6.96 (dd, 1H, J = 4.9, 3.7 Hz), 4.86 (s, 2H), 2.35 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 181.4, 151.5, 148.3, 144.6, 144.3, 135.4, 135.0, 134.6, 134.5, 129.8, 129.3, 128.7, 128.4, 128.03, 127.96, 126.5, 125.9, 124.0, 121.8, 115.9, 111.4, 54.8, 21.7; IR (KBr) (cm^{-1}) 3449s, 2854w, 1654w, 1355w, 1169m, 1089w; HRMS (ESI): m/z calcd for $C_{27}H_{22}NO_4S_2$ $[M+H]^+$: 488.0983; found 488.0985.



4dd

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (78.3 mg, 0.20 mmol), acyl chloride **2q** (28.4 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 1.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 12:1~8:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4dd** (66.0 mg, 0.16 mmol) in 79% yield.

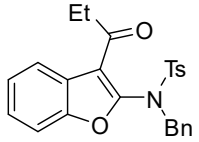
4dd: R_f = 0.39 [6:1 petroleum ether/EtOAc]; white solid; mp = 124–125 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.18-8.15 (m, 1H), 7.66 (d, 2H, J = 8.3 Hz), 7.36-7.28 (m, 5H), 7.23-7.19 (m, 5H), 4.73 (s, 2H), 2.48 (s, 3H), 2.40 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.7, 151.4, 149.9, 145.1, 134.7, 133.6, 130.1, 129.6, 128.87, 128.85, 128.29, 126.2, 125.8, 124.7, 123.7, 118.4, 110.7, 54.2, 29.1, 21.8; IR (KBr) (cm^{-1}) 3436m, 2926w, 1670s, 1449m, 1168s, 958w; HRMS (ESI): m/z calcd for $C_{24}H_{22}NO_4S$ $[M+H]^+$: 420.1264; found 420.1260.



4ee

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1c** (82.4 mg, 0.20 mmol), acyl chloride **2q** (28.4 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 1.5 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 12:1~8:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4ee** (70.8 mg, 0.16 mmol) in 80% yield.

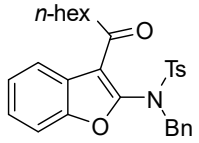
4ee: R_f = 0.49 [6:1 petroleum ether/EtOAc]; white solid; mp = 136–137 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.17-8.14 (m, 1H), 7.71 (dt, 2H, J = 8.7, 2.4 Hz), 7.52 (dt, 2H, J = 8.7, 2.4 Hz), 7.39-7.30 (m, 3H), 7.25-7.20 (m, 5H), 4.75 (s, 2H), 2.39 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.4, 151.5, 149.3, 140.7, 136.3, 133.3, 129.8, 129.69, 129.67, 129.03, 128.97, 126.4, 125.7, 124.8, 123.8, 118.5, 110.8, 54.6, 29.2; IR (KBr) (cm^{-1}) 3441s, 2856w, 1665s, 1585m, 1371s, 1072m; HRMS (ESI): m/z calcd for $C_{23}H_{19}ClNO_{24}S$ $[M+H]^+$: 440.0718; found 440.0714.



4ff

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (78.3 mg, 0.20 mmol), acyl chloride **2r** (34.9 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 3.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 12:1~8:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4ff** (73.1 mg, 0.17 mmol) in 84% yield.

4ff: R_f = 0.38 [6:1 petroleum ether/EtOAc]; white solid; mp = 75–76 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.15-8.13 (m, 1H), 7.66 (d, 2H, J = 8.4, 2.3 Hz), 7.34-7.27 (m, 5H), 7.19-7.22 (m, 5H), 4.73 (s, 2H), 2.82 (q, 2H, J = 7.2 Hz), 2.47 (s, 3H), 0.99 (t, 3H, J = 7.2 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 197.7, 151.5, 149.3, 145.0, 134.8, 133.8, 130.04, 129.60, 128.79, 128.77, 128.3, 126.1, 126.0, 124.6, 123.6, 118.0, 110.8, 54.3, 34.0, 21.8, 7.7; IR (KBr) (cm^{-1}) 3442s, 2850w, 1665s, 1449m, 1089m, 947w; HRMS (ESI): m/z calcd for $C_{25}H_{24}NO_4S$ $[M+H]^+$: 434.1421; found 434.1421.

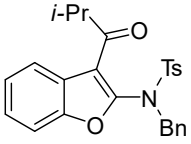


4gg

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (78.3 mg, 0.20 mmol), acyl chloride **2s** (61.9 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 0.5 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 12:1~8:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4gg** (75.0 mg, 0.15 mmol) in 77% yield.

4gg: R_f = 0.43 [6:1 petroleum ether/EtOAc]; colorless oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.15-8.13 (m, 1H), 7.67 (d, 2H, J = 8.1 Hz), 7.35-7.30 (m, 5H), 7.24-7.20 (m, 5H), 4.74 (s, 2H), 2.76 (t, 2H, J =

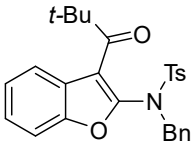
7.4 Hz), 2.48 (s, 3H), 1.52-1.45 (m, 2H), 1.33-1.20 (m, 6H), 0.90 (t, 3H, J = 6.8 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 197.5, 151.5, 149.2, 145.0, 135.0, 134.0, 130.1, 129.7, 128.9, 128.8, 128.3, 126.12 126.09, 124.6, 123.6, 118.2, 110.8, 54.2, 40.9, 31.9, 29.0, 23.6, 22.7, 21.9, 14.3; IR (KBr) (cm^{-1}) 3442s, 2851w, 1598m, 1365m, 1266w, 1168m; HRMS (ESI): m/z calcd for $C_{29}H_{32}NO_4S$ $[M+H]^+$: 490.2046; found 490.2043.



4hh

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (78.3 mg, 0.20 mmol), acyl chloride **2t** (41.4 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 45.0 minutes. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 12:1~8:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4hh** (72.5 mg, 0.16 mmol) in 81% yield.

4hh: R_f = 0.38 [6:1 petroleum ether/EtOAc]; white solid; mp = 124–125 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.04 (d, 1H, J = 7.1 Hz), 7.60 (d, 2H, J = 8.4 Hz), 7.33-7.28 (m, 5H), 7.27-7.24 (m, 2H), 7.23-7.20 (m, 3H), 4.76 (s, 2H), 3.60-3.50 (m, 1H), 2.45 (s, 3H), 0.91 (d, 6H, J = 6.8 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 202.0, 151.5, 148.6, 144.9, 134.7, 134.0, 130.1, 129.6, 128.9, 128.7, 128.1, 126.4, 126.0, 124.4, 123.2, 116.7, 110.8, 53.7, 37.4, 21.8, 18.7, one carbon missing due to overlap, overlapped signal at 21.8 ppm; IR (KBr) (cm^{-1}) 3440s, 2853w, 1660s, 1451m, 1061m, 952w; HRMS (ESI): m/z calcd for $C_{26}H_{26}NO_4S$ $[M+H]^+$: 448.1577; found 448.1578.

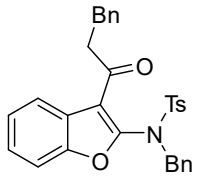


4ii

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (78.3 mg, 0.20 mmol), acyl chloride **2u** (47.8 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 1.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 12:1~8:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4ii** (75.7 mg, 0.16 mmol) in 82% yield.

4ii: R_f = 0.44 [6:1 petroleum ether/EtOAc]; white solid; mp = 117–118 °C ; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.60 (d, 2H, J = 8.3 Hz), 7.43 (d, 1H, J = 7.9 Hz), 7.32-7.25 (m, 4H), 7.24-7.22 (m, 3H),

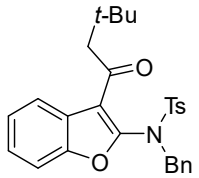
7.21-7.18 (m, 3H), 4.80 (s, 2H), 2.40 (s, 3H), 1.16 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 206.3, 151.1, 144.6, 144.1, 135.4, 134.3, 129.9, 129.8, 128.6, 128.4, 127.9, 127.5, 125.5, 123.8, 121.4, 117.2, 111.0, 53.9, 45.2, 26.8, 21.8, two carbons missing due to overlap, overlapped signals at 26.8 ppm; IR (KBr) (cm^{-1}) 3442s, 2923m, 2852w, 1600m, 1356m, 1170s, 1012w; HRMS (ESI): m/z calcd for $C_{27}H_{28}NO_4S$ $[M+H]^+$: 462.1734; found 462.1732.



4jj

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (78.3 mg, 0.20 mmol), acyl chloride **2v** (61.3 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 3.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 12:1~8:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4jj** (80.6 mg, 0.16 mmol) in 79% yield.

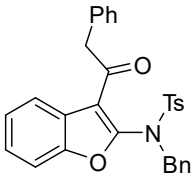
4jj: R_f = 0.39 [6:1 petroleum ether/EtOAc]; mp = 102–103 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.15-8.12 (m, 1H), 7.64 (d, 2H, *J* = 8.3 Hz), 7.33-7.27 (m, 7H), 7.22-7.20 (m, 1H), 7.16 (d, 3H, *J* = 7.2 Hz), 7.13 (d, 2H, *J* = 7.1 Hz), 7.08-7.05 (m, 2H), 4.71 (s, 2H), 3.11 (t, 2H, *J* = 7.7 Hz), 2.89 (t, 2H, *J* = 7.1 Hz), 2.46 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 196.1, 151.5, 149.4, 145.0, 141.4, 134.8, 133.7, 130.1, 129.6, 128.8, 128.7, 128.6, 128.4, 128.3, 126.2, 125.93, 125.92, 124.6, 123.5, 118.1, 110.8, 54.2, 42.0, 29.4, 21.8; IR (KBr) (cm^{-1}) 3448s, 2923w, 1668m, 1447m, 1165s, 1011w, 934w; HRMS (ESI): m/z calcd for $C_{31}H_{28}NO_4S$ $[M+H]^+$: 510.1730; found 510.1734.



4kk

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (78.3 mg, 0.20 mmol), acyl chloride **2w** (56.1 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 2.5 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 12:1~8:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4kk** (75.3 mg, 0.16 mmol) in 79% yield.

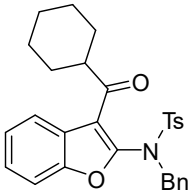
4kk: R_f = 0.44 [6:1 petroleum ether/EtOAc]; white solid; mp = 164–165 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.10-8.07 (m, 1H), 7.60 (d, 2H, J = 8.4 Hz), 7.32-7.31 (m, 3H), 7.30-7.22 (m, 7H), 4.76 (s, 2H), 2.69 (s, 2H), 2.45 (s, 3H), 0.84 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 197.3, 151.4, 148.5, 144.9, 134.9, 134.1, 130.1, 129.8, 129.0, 128.8, 128.1, 126.1, 126.0, 124.4, 123.3, 119.0, 110.7, 53.7, 52.4, 31.4, 29.7, 21.8, two carbons missing due to overlap, overlapped signals at 29.7 ppm; IR (KBr) (cm^{-1}) 3451s, 2928w, 1645m, 1452w, 1163m, 1008w; HRMS (ESI): m/z calcd for $C_{28}H_{30}NO_4S$ $[M+H]^+$: 476.1890; found 476.1887.



4ll

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (78.3 mg, 0.20 mmol), acyl chloride **2x** (52.9 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 45.0 minutes. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4ll** (78.6 mg, 0.16 mmol) in 79% yield.

4ll: R_f = 0.34 [6:1 petroleum ether/EtOAc]; white solid; mp = 122–123 °C ; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.12 (d, 1H, J = 7.6 Hz), 7.67 (d, 2H, J = 8.2 Hz), 7.35-7.32 (m, 4H), 7.31-7.26 (m, 6H), 7.25-7.21 (m, 3H), 6.97 (d, 2H, J = 7.2 Hz), 4.79 (s, 2H), 4.13 (s, 2H), 2.48 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.4, 151.5, 149.6, 145.2, 134.7, 134.6, 133.9, 130.19, 130.15, 129.8, 129.1, 129.0, 128.34, 128.29, 126.7, 126.3, 126.0, 124.7, 123.7, 118.1, 110.7, 54.2, 47.1, 21.9; IR (KBr) (cm^{-1}) 3455s, 3092w, 2853w, 1671m, 1449m, 1282w, 1061m; HRMS (ESI): m/z calcd for $C_{30}H_{26}NO_4S$ $[M+H]^+$: 496.1577; found 496.1576.



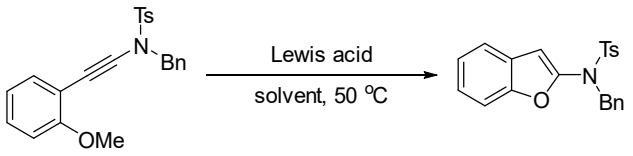
4mm

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (78.3 mg, 0.20 mmol), acyl chloride **2y** (53.7 μL, 0.40 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 2.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford

3-acyl-2-amidobenzofuran **4mm** (62.7 mg, 0.13 mmol) in 64% yield.

4mm: R_f = 0.46 [6:1 petroleum ether/EtOAc]; white solid; mp = 146–147 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.08-8.06 (m, 1H), 7.65 (d, 2H, J = 8.1 Hz), 7.33-7.28 (m, 5H), 7.25-7.21 (m, 5H), 4.73 (s, 2H), 3.33-3.26 (m, 1H), 2.47 (s, 3H), 1.73-1.67 (m, 4H), 1.34-1.17 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 201.3, 151.5, 148.7, 145.0, 134.8, 134.0, 130.1, 129.6, 128.9, 128.7, 128.3, 126.6, 126.0, 124.5, 123.4, 117.0, 110.7, 53.9, 47.5, 28.9, 26.1, 25.9, 21.9; IR (KBr) (cm^{-1}) 3446s, 2927m, 1669m, 1451m, 1165m, 950w; HRMS (ESI): m/z calcd for $C_{29}H_{30}NO_4S$ $[M+H]^+$: 488.1890; found 488.1888.

1.4 Optimization of the Intramolecular Reaction (Table S2).



1a **3a**

Entry[a]	Acid (equiv)	Solvent	Time (h)	Yield[b] (%)
1	$SnCl_4$ (0.3 eq)	DCE	26.0	62
2	$SnCl_4$ (0.6 eq)	DCE	7.0	68
3	$ZnCl_2$ (0.6 eq)	DCE	28.0	70[c]
4	$ZnBr_2$ (0.6 eq)	DCE	30.0	68[d]
5	$FeCl_3$ (0.6 eq)	DCE	8.0	49
6	$AlCl_3$ (0.6 eq)	DCE	47.0	40
7	BF_3•Et_2O (0.6 eq)	DCE	30.0	28
8	$SnCl_4$ (1.0 eq)	DCE	4.0	51
9	$ZnCl_2$ (1.0 eq)	DCE	11.0	96
10	$ZnBr_2$ (1.0 eq)	DCE	14.0	84
11	$ZnCl_2$ (1.0 eq)	CH_2Cl_2	23.0	86
12	$ZnCl_2$ (1.0 eq)	toluene	27.0	91
13	$ZnCl_2$ (1.0 eq)	THF	33.0	35
14	$ZnCl_2$ (1.0 eq)	EtOAc	28.0	53
15[e]	$ZnCl_2$ (1.0 eq)	DCE	11.0	95

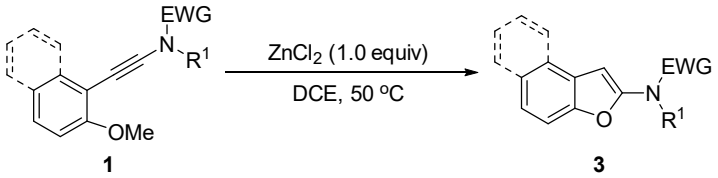
[a]Unless otherwise specified, reactions were carried out using **1a** (0.20 mmol) with Lewis acid in solvent (2.0 mL) at 50 °C. [b]Isolated yields. [c]Recovery of **1a**, 27%. [d]Recovery of **1a**, 30%. [e]**1a** (1.00 mmol) was added.

Entry 15: To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (391.5 mg, 1.00 mmol), DCE (10.0 mL, ynamide *concn* = 0.10 *M*), and $ZnCl_2$ (136.3 mg, 1.00 mmol) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 11.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography

[gradient eluent: 15:1~10:1 petroleum ether/EtOAc] to afford 3-unsubstituted 2-amidobenzofuran **3a** (358.5 mg, 0.95 mmol) in 95% yield.

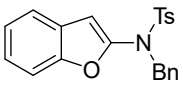
1.5 Intramolecular Reaction of *o*-Anisole-Substituted Ynamides.

3-Unsubstituted 2-amidobenzofurans **3a**[15] and **3m**[16] were known compounds, the data were matched with the reported values. 3-Unsubstituted 2-amidobenzofurans **3b**, **3c**, **3d**, **3e**, **3f**, **3g**, **3h**, **3i**, **3j**, **3k**, **3l** and **3n** were new compounds.



1 **3**

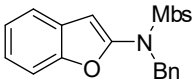
To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (78.3 mg, 0.20 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $ZnCl_2$ (27.3 mg, 0.20 mmol) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 11.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 15:1~10:1 petroleum ether/EtOAc] to afford 3-unsubstituted 2-amidobenzofuran **3a** (72.4 mg, 0.19 mmol) in 96% yield.



3a

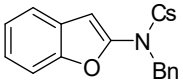
3a: R_f = 0.46 [8:1 petroleum ether/EtOAc]; white solid; mp = 112–113 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.66 (d, 2H, J = 8.3 Hz), 7.46-7.43 (m, 1H), 7.31-7.29 (m, 4H), 7.27-7.24 (m, 3H), 7.23-7.21 (m, 2H), 7.17 (td, 1H, J = 7.4, 1.3 Hz), 6.48 (d, 1H, J = 0.6 Hz), 4.80 (s, 2H), 2.43 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.4, 147.8, 144.4, 135.8, 135.4, 129.9, 128.64, 128.57, 128.1, 128.0, 127.8, 124.6, 123.1, 121.3, 111.1, 103.1, 53.3, 21.8. Spectral data are in agreement with literature values.[13]

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1b** (81.5 mg, 0.20 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $ZnCl_2$ (27.3 mg, 0.20 mmol) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 9.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 12:1~8:1 petroleum ether/EtOAc] to afford 3-unsubstituted 2-amidobenzofuran **3b** (63.6 mg, 0.16 mmol) in 81% yield.



3b

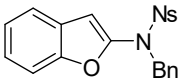
3b: R_f = 0.30 [8:1 petroleum ether/EtOAc]; white solid; mp = 127–128 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.70 (d, 2H, J = 8.4 Hz), 7.43 (d, 1H, J = 7.6 Hz), 7.31-7.29 (m, 3H), 7.26-7.19 (m, 4H), 7.16 (td, 1H, J = 7.2, 0.8 Hz), 6.93 (dt, 2H, J = 8.9, 3.0 Hz), 6.47-6.46 (m, 1H), 4.79 (s, 2H), 3.84 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 163.5, 152.4, 147.9, 135.5, 130.3, 129.9, 128.62, 128.56, 128.0, 124.6, 123.1, 121.3, 114.4, 111.1, 103.0, 55.8, 53.3, one carbon missing due to overlap, overlapped signal at 128.56 ppm; IR (KBr) (cm^{-1}) 3442s, 2917w, 1579m, 1454m, 1354m, 1160s; HRMS (ESI): m/z calcd for $C_{22}H_{20}NO_4S$ $[M+H]^+$: 394.1108; found 394.1108.



3c

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1c** (82.4 mg, 0.20 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $ZnCl_2$ (27.3 mg, 0.20 mmol) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 35.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 15:1~10:1 petroleum ether/EtOAc] to afford 3-unsubstituted 2-amidobenzofuran **3c** (76.6 mg, 0.19 mmol) in 96% yield.

3c: R_f = 0.48 [8:1 petroleum ether/EtOAc]; white solid; mp = 70–71 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.68 (dt, 2H, J = 8.6, 2.6 Hz), 7.45-7.40 (m, 3H), 7.31-7.27 (m, 3H), 7.26-7.20 (m, 4H), 7.16 (td, 1H, J = 7.5, 1.3 Hz), 6.49 (d, 1H, J = 1.0 Hz), 4.80 (s, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.4, 147.2, 140.0, 137.4, 135.1, 129.6, 129.1, 128.7, 128.6, 128.2, 127.8, 124.9, 123.3, 121.4, 111.1, 103.5, 53.6; IR (KBr) (cm^{-1}) 3448s, 2920w, 1648w, 1452m, 1357s, 1165s; HRMS (ESI): m/z calcd for $C_{21}H_{17}ClNO_3S$ $[M+H]^+$: 398.0612; found 398.0615.

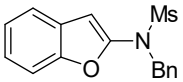


3d

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1d** (84.5 mg, 0.20 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $ZnCl_2$ (27.3 mg, 0.20 mmol) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 48.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 15:1~10:1 petroleum ether/EtOAc] to afford 3-unsubstituted 2-amidobenzofuran **3d** (78.9 mg, 0.19 mmol) in 97% yield.

3d: R_f = 0.41 [8:1 petroleum ether/EtOAc]; yellow solid; mp = 143–144 °C; ^{1}H NMR (400 MHz,

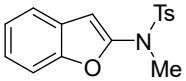
$CDCl_3$) δ 8.28 (dt, 2H, J = 8.9, 2.5 Hz), 7.90 (dt, 2H, J = 8.9, 2.5 Hz), 7.47 (d, 1H, J = 7.4 Hz), 7.32-7.28 (m, 3H), 7.26-7.23 (m, 4H), 7.20 (td, 1H, J = 7.6, 1.4 Hz), 6.54 (d, 1H, J = 0.4 Hz), 4.84 (s, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.5, 150.4, 146.4, 144.7, 134.6, 129.0, 128.8, 128.7, 128.5, 127.7, 125.2, 124.4, 123.5, 121.6, 111.2, 104.2, 54.0; IR (KBr) (cm^{-1}) 3442s, 2917w, 11610m, 1451m, 1167s, 1088w; HRMS (ESI): m/z calcd for $C_{21}H_{17}N_2O_2S$ $[M+H]^+$: 409.0853; found 409.0856.



3e

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1e** (63.1 mg, 0.20 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $ZnCl_2$ (27.3 mg, 0.20 mmol) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 23.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 12:1~8:1 petroleum ether/EtOAc] to afford 3-unsubstituted 2-amidobenzofuran **3e** (58.8 mg, 0.20 mmol) in 98% yield.

3e: R_f = 0.28 [8:1 petroleum ether/EtOAc]; white solid; mp = 70–71 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.45 (d, 1H, J = 7.7 Hz), 7.40 (d, 1H, J = 8.3 Hz), 7.36-7.33 (m, 2H), 7.31-7.25 (m, 4H), 7.21-7.17 (m, 1H), 6.45 (s, 1H), 4.90 (s, 2H), 3.03 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.5, 147.7, 135.5, 128.8, 128.7, 128.3, 128.0, 124.9, 123.3, 121.5, 111.2, 102.8, 54.0, 40.1; IR (KBr) (cm^{-1}) 3039s, 3108w, 2926w, 1454m, 1349s, 1041w; HRMS (ESI): m/z calcd for $C_{16}H_{16}NO_3S$ $[M+H]^+$: 302.0845; found 302.0845.

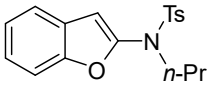


3f

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1f** (63.1 mg, 0.20 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $ZnCl_2$ (27.3 mg, 0.20 mmol) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 24.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 15:1~10:1 petroleum ether/EtOAc] to afford 3-unsubstituted 2-amidobenzofuran **3f** (36.8 mg, 0.12 mmol) in 61% yield.

3f: R_f = 0.45 [8:1 petroleum ether/EtOAc]; white solid; mp = 77–78 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.62 (dt, 2H, J = 8.4, 2.1 Hz), 7.53-7.50 (m, 1H), 7.33-7.30 (m, 1H), 7.28-7.24 (m, 3H), 7.23-7.21 (m, 1H), 6.56 (d, 1H, J = 0.9 Hz), 3.27 (s, 3H), 2.41 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.1, 149.7, 144.5, 134.3, 129.9, 128.2, 127.8, 124.4, 123.3, 121.2, 111.1, 99.6, 36.7, 21.7; IR (KBr) (cm^{-1})

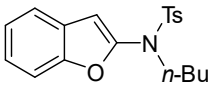
3439s, 2923w, 1597w, 1358m, 1166s, 1056w; HRMS (ESI): m/z calcd for $C_{16}H_{16}NO_3S$ $[M+H]^+$: 302.0845; found 302.0842.



3g

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1g** (68.7 mg, 0.20 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $ZnCl_2$ (27.3 mg, 0.20 mmol) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 26.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 20:1~15:1 petroleum ether/EtOAc] to afford 3-unsubstituted 2-amidobenzofuran **3g** (42.3 mg, 0.13 mmol) in 64% yield.

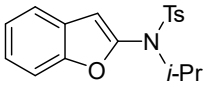
3g: R_f = 0.51 [10:1 petroleum ether/EtOAc]; white solid; mp = 68–69 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.64 (d, 2H, J = 8.3 Hz), 7.54-7.52 (m, 1H), 7.33 (d, 1H, J = 8.0 Hz), 7.28-7.20 (m, 4H), 6.62 (d, 1H, J = 0.7 Hz), 3.57 (t, 2H, J = 7.2 Hz), 2.42 (s, 3H), 1.60-1.51 (m, 2H), 0.92 (t, 3H, J = 7.4 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.5, 148.1, 144.1, 135.8, 129.8, 128.1, 127.7, 124.7, 123.2, 121.3, 111.2, 103.0, 51.4, 21.9, 21.8, 11.1; IR (KBr) (cm^{-1}) 3424m, 1597m, 1453m, 1356s, 1254w, 1164s, 1054m; HRMS (ESI): m/z calcd for $C_{18}H_{20}NO_3S$ $[M+H]^+$: 330.1158; found 330.1161.



3h

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1h** (71.5 mg, 0.20 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $ZnCl_2$ (27.3 mg, 0.20 mmol) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 22.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 15:1~10:1 petroleum ether/EtOAc] to afford 3-unsubstituted 2-amidobenzofuran **3h** (45.3 mg, 0.13 mmol) in 66% yield.

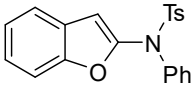
3h: R_f = 0.49 [8:1 petroleum ether/EtOAc]; colorless oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.65 (d, 2H, J = 8.3 Hz), 7.54-7.52 (m, 1H), 7.35-7.32 (m, 1H), 7.28-7.25 (m, 3H), 7.24-7.20 (m, 1H), 6.61 (d, 1H, J = 0.6 Hz), 3.60 (t, 2H, J = 7.2 Hz), 2.42 (s, 3H), 1.55-1.47 (m, 2H), 1.40-1.33 (m, 2H), 0.87 (t, 3H, J = 7.3 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.5, 148.2, 144.1, 135.8, 129.8, 128.1, 127.7, 124.7, 123.2, 121.3, 111.2, 103.0, 49.5, 30.6, 21.7, 19.7, 13.7; IR (KBr) (cm^{-1}) 3442s, 2870w, 1611m, 1454m, 1257w, 1169s, 1093w; HRMS (ESI): m/z calcd for $C_{19}H_{22}NO_3S$ $[M+H]^+$: 344.1315; found 344.1320.



3i

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1i** (68.7 mg, 0.20 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $ZnCl_2$ (27.3 mg, 0.20 mmol) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 24.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~8:1 petroleum ether/EtOAc] to afford 3-unsubstituted 2-amidobenzofuran **3i** (46.1 mg, 0.14 mmol) in 70% yield.

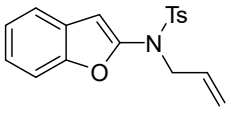
3i: R_f = 0.55 [10:1 petroleum ether/EtOAc]; white solid; mp = 128–129 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79 (d, 2H, J = 8.0 Hz), 7.56 (d, 1H, J = 7.6 Hz), 7.43 (d, 1H, J = 8.2 Hz), 7.34-7.30 (m, 3H), 7.26-7.22 (m, 1H), 6.58 (s, 1H), 4.44-4.34 (m, 1H), 2.45 (s, 3H), 1.12 (d, 6H, J = 6.7 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 153.1, 145.5, 143.9, 137.5, 129.8, 127.83, 127.77, 125.2, 123.1, 121.5, 111.6, 106.8, 52.4, 21.9, 21.8; IR (KBr) (cm^{-1}) 3451w, 1608m, 1453m, 1345s, 1167s, 1084m, 1010m; HRMS (ESI): m/z calcd for $C_{18}H_{20}NO_3S$ $[M+H]^+$: 330.1158; found 330.1155.



3j

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1j** (75.5 mg, 0.20 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $ZnCl_2$ (27.3 mg, 0.20 mmol) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 22.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 15:1~10:1 petroleum ether/EtOAc] to afford 3-unsubstituted 2-amidobenzofuran **3j** (53.2 mg, 0.15 mmol) in 73% yield.

3j: R_f = 0.47 [8:1 petroleum ether/EtOAc]; white solid; mp = 134–135 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.64 (dt, 2H, J = 8.3, 2.2 Hz), 7.53-7.50 (m, 1H), 7.37-7.34 (m, 3H), 7.33-7.30 (m, 3H), 7.28-7.23 (m, 3H), 7.20 (td, 1H, J = 8.5, 1.1 Hz), 6.66 (d, 1H, J = 0.9 Hz), 2.43 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.6, 148.8, 144.5, 139.2, 135.9, 129.7, 129.4, 128.6, 128.5, 128.3, 127.9, 125.0, 123.2, 121.5, 111.4, 102.8, 21.8; IR (KBr) (cm^{-1}) 3442s, 2848w, 1599w, 1362m, 1161s, 1074w; HRMS (ESI): m/z calcd for $C_{21}H_{18}NO_3S$ $[M+H]^+$: 364.1002; found 364.1005.

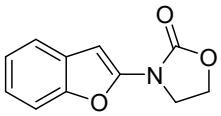


3k

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1k** (68.3 mg, 0.20 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $ZnCl_2$ (27.3 mg, 0.20 mmol) at 50 °C. The reaction

vessel was capped and stirred at 50 °C for 7.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 15:1~10:1 petroleum ether/EtOAc] to afford 3-unsubstituted 2-amidobenzofuran **3k** (47.1 mg, 0.14 mmol) in 72% yield.

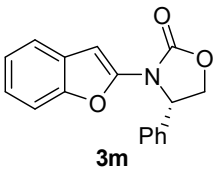
3k: R_f = 0.45 [8:1 petroleum ether/EtOAc]; white solid; mp = 63–64 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.66 (d, 2H, J = 8.3 Hz), 7.53-7.51 (m, 1H), 7.32 (d, 1H, J = 8.1 Hz), 7.29-7.25 (m, 3H), 7.21 (td, 1H, J = 7.4, 1.5 Hz), 6.59 (s, 1H), 5.87-5.77 (m, 1H), 5.20 (dd, 1H, J = 17.1, 1.4 Hz), 5.11 (dd, 1H, J = 10.1, 1.2 Hz), 4.24 (dt, 2H, J = 6.3, 1.4 Hz), 2.43 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.5, 148.1, 144.3, 135.8, 132.1, 129.9, 128.0, 127.8, 124.7, 123.2, 121.3, 119.6, 111.2, 102.8, 52.5, 21.8; IR (KBr) (cm^{-1}) 3436m, 2922w, 1593m, 1453m, 1356s, 1090m; HRMS (ESI): m/z calcd for $C_{18}H_{18}NO_3S$ $[M+H]^+$: 328.1002; found 328.1002.



3l

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1l** (43.4 mg, 0.20 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $ZnCl_2$ (27.3 mg, 0.20 mmol) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 26.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 6:1~2:1 petroleum ether/EtOAc] to afford 3-unsubstituted 2-amidobenzofuran **3l** (34.5 mg, 0.17 mmol) in 85% yield.

3l: R_f = 0.34 [2:1 petroleum ether/EtOAc]; white solid; mp = 145–146 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.50-7.47 (m, 1H), 7.39-7.36 (m, 1H), 7.24-7.17 (m, 2H), 7.65 (d, 1H, J = 0.7 Hz), 4.54 (t, 2H, J = 7.6 Hz), 4.22 (t, 2H, J = 8.4 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 153.9, 150.6, 147.8, 129.3, 123.6, 122.9, 120.5, 110.5, 89.6, 62.8, 44.2. IR (KBr) (cm^{-1}) 3448m, 2923w, 1754s, 1604s, 1416s, 1113m, 1016w; HRMS (ESI): m/z calcd for $C_{11}H_{10}NO_3$ $[M+H]^+$: 204.0655; found 204.0654.

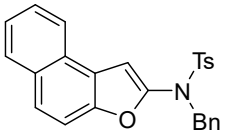


3m

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1m** (58.7 mg, 0.20 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $ZnCl_2$ (27.3 mg, 0.20 mmol) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 50.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient

eluent: 10:1~6:1 petroleum ether/EtOAc] to afford 3-unsubstituted 2-amidobenzofuran **3m** (43.6 mg, 0.16 mmol) in 78% yield.

3m: R_f = 0.22 [6:1 petroleum ether/EtOAc]; white solid; mp = 128–129 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.42-7.40 (m, 1H), 7.35-7.27 (m, 5H), 7.25-7.22 (m, 1H), 7.15-7.09 (m, 2H), 6.60 (s, 1H), 5.50 (dd, 1H, J = 8.7, 5.0 Hz), 4.80 (t, 1H, J = 8.7 Hz), 4.30 (dd, 1H, J = 8.8, 5.0 Hz); ^{13}C NMR (100 MHz, $CDCl_3$): δ 154.2, 150.9, 146.8, 138.3, 129.3, 129.1, 128.7, 126.3, 123.3, 123.2, 120.6, 110.7, 93.2, 70.8, 59.9. Spectral data are in agreement with literature values.[14]

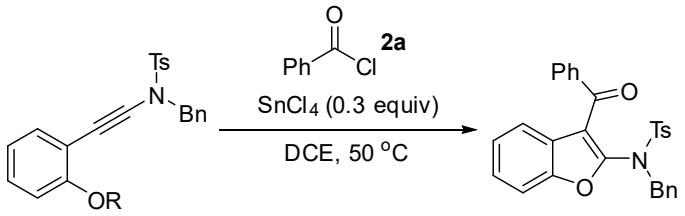


3n

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1n** (88.3 mg, 0.20 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $ZnCl_2$ (27.3 mg, 0.20 mmol) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 22.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 15:1~10:1 petroleum ether/EtOAc] to afford 3-unsubstituted 2-amidobenzofuran **3n** (83.2 mg, 0.19 mmol) in 97% yield.

3n: R_f = 0.40 [8:1 petroleum ether/EtOAc]; white solid; mp = 115–116 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.95 (d, 1H, J = 8.2 Hz), 7.83 (d, 1H, J = 8.0 Hz), 7.67-7.61 (m, 3H), 7.51-7.47 (m, 1H), 7.44-7.39 (m, 2H), 7.31 (d, 2H, J = 7.0 Hz), 7.24-7.17 (m, 5H), 6.97 (s, 1H), 4.84 (s, 2H), 2.37 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 149.8, 146.9, 144.3, 135.8, 135.4, 130.3, 129.9, 128.8, 128.6, 128.1, 127.7, 127.5, 126.6, 125.6, 124.9, 123.6, 123.3, 112.0, 102.8, 53.6, 21.7, one carbon missing due to overlap, overlapped signal at 128.6 ppm; IR (KBr) (cm^{-1}) 3438s, 2922w, 1629w, 1575w, 1360m, 1114s; HRMS (ESI): m/z calcd for $C_{26}H_{22}NO_3S$ $[M+H]^+$: 428.1315; found 428.1305.

1.6 Cyclizations of Other *o*-Alkyloxyphenyl-Substituted Ynamides (Scheme 2).

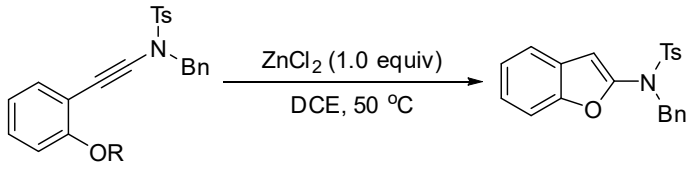


1o: R = Et
1p: R = *n*-Bu

4a: 3.0 h, 90%
4a: 3.0 h, 90%

To an oven-dried sealed tube was added *o*-alkyloxyphenyl-substituted ynamide **1o** (81.1 mg, 0.2 mmol) or **1p** (86.7 mg, 0.2 mmol), acyl chloride **2a** (46.4 μL, 0.40 mmol), DCE (2.0 mL, ynamide

concn = 0.10 *M*), and $SnCl_4$ (60.0 μL, 0.06 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for the corresponding reaction time. The reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4a**.



1o: R = Et — **3a:** 26.0 h, 94%

1p: R = *n*-Bu — **3a:** 24.0 h, 97%

To an oven-dried sealed tube was added *o*-alkyloxyphenyl-substituted ynamide **1o** (81.1 mg, 0.2 mmol) or **1p** (86.7 mg, 0.2 mmol), DCE (2.0 mL, ynamide *concn* = 0.10 *M*), and $ZnCl_2$ (27.3 mg, 0.20 mmol). The reaction vessel was capped and stirred at 50 °C for the corresponding reaction time. The reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 15:1~10:1 petroleum ether/EtOAc] to afford 3-unsubstituted 2-amidobenzofuran **3a**.

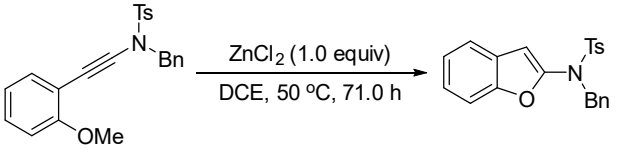
1.7 Gram-Scale Synthesis and Chemical Transformations (Scheme 3).

Gram-Scale Intermolecular Cyclization.

1a: 4 mmol **2a:** 8 mmol **4a:** 1.84 g, 96%

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (1.57 g, 4.00 mmol), acyl chloride **2a** (0.93 mL, 8.00 mmol), DCE (40.0 mL, ynamide *concn* = 0.10 *M*), and $SnCl_4$ (1.20 mL, 1.20 mmol, 1.0 *M* in CH_2Cl_2) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 2.5 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **4a** (1.84 g, 3.82 mmol) in 96% yield.

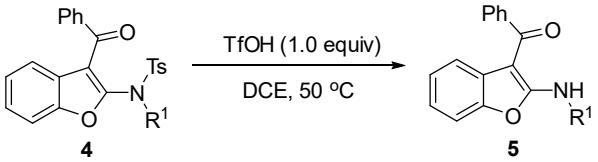
Gram-Scale Intramolecular Cyclization.



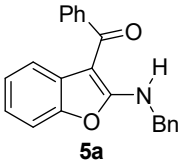
1a: 4 mmol — **3a:** 1.37 g, 91%

To an oven-dried sealed tube was added *o*-anisole-substituted ynamide **1a** (1.57 g, 4.00 mmol), DCE (40.0 mL, ynamide *concn* = 0.10 *M*), and $ZnCl_2$ (545.12 mg, 4.00 mmol) at 50 °C. The reaction vessel was capped and stirred at 50 °C for 71.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 15:1~10:1 petroleum ether/EtOAc] to afford 3-unsubstituted 2-amidobenzofuran **3a** (1.37 g, 3.63 mmol) in 91% yield.

Synthesis of 3-Acyl-2-Amidobenzofurans 5a, **5b and 5c.**

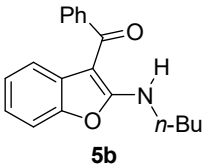


To an oven-dried sealed tube was added 3-acyl-2-amidobenzofuran **4a** (96.3 mg, 0.20 mmol), DCE (2.0 mL), and TfOH (17.7 μL, 0.20 mmol) in sequence. The reaction vessel was capped and stirred at 50 °C in an oil bath for 6.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **5a** (64.4 mg, 0.20 mmol) in 98% yield.



5a

5a: R_f = 0.40 [6:1 petroleum ether/EtOAc]; yellow solid; mp = 120–121 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.34 (t, 1H, J = 6.3 Hz), 7.70-7.67 (m, 2H), 7.52-7.45 (m, 3H), 7.42-7.35 (m, 4H), 7.33-7.24 (m, 2H), 7.05-6.97 (m, 2H), 6.89-6.87 (m, 1H), 4.81 (d, 2H, J = 6.4 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.0, 166.7, 149.6, 141.2, 137.3, 130.8, 129.0, 128.5, 128.0, 127.6, 127.5, 126.7, 124.0, 121.7, 118.9, 110.3, 94.0, 46.1; IR (KBr) (cm^{-1}) 3432s, 2923w, 1642s, 1553w, 1478w, 1182m; HRMS (ESI): m/z calcd for $C_{22}H_{18}NO_2$ $[M+H]^+$: 328.1332; found 328.1329.

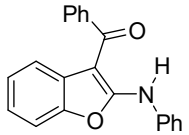


5b

To an oven-dried sealed tube was added 3-acyl-2-amidobenzofuran **4h** (89.5 mg, 0.20 mmol), DCE (2.0 mL), and TfOH (17.7 μL, 0.20 mmol) in sequence. The reaction vessel was capped and

stirred at 50 °C in an oil bath for 5.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **5b** (54.2 mg, 0.18 mmol) in 92% yield.

5b: R_f = 0.42 [6:1 petroleum ether/EtOAc]; yellow solid; mp = 87–88 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.06 (t, 1H, J = 6.3 Hz), 7.69-7.66 (m, 2H), 7.54-7.45 (m, 3H), 7.26-7.24 (m, 1H), 7.03-6.95 (m, 2H), 6.86-6.83 (m, 1H), 3.62 (dd, 2H, J = 13.5, 6.8 Hz), 1.77-1.69 (m, 2H), 1.54-1.44 (m, 2H), 0.99 (t, 3H, J = 7.4 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 189.6, 167.1, 149.6, 141.4, 130.6, 128.5, 127.5, 126.8, 123.9, 121.5, 118.7, 110.2, 93.7, 42.0, 32.2, 20.1, 13.9; IR (KBr) (cm^{-1}) 3440s, 2963w, 1645s, 1592w, 1494w, 1182m; HRMS (ESI): m/z calcd for $C_{19}H_{20}NO_2$ $[M+H]^+$: 294.1489; found 294.1487.

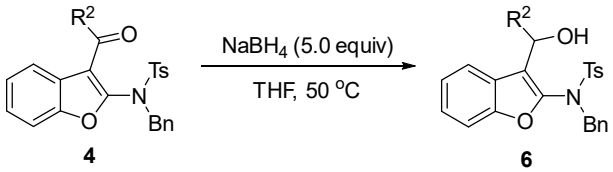


5c

To an oven-dried sealed tube was added 3-acyl-2-amidobenzofuran **4j** (93.5 mg, 0.20 mmol), DCE (2.0 mL), and TfOH (17.7 μL, 0.20 mmol) in sequence. The reaction vessel was capped and stirred at 50 °C in an oil bath for 6.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 15:1~10:1 petroleum ether/EtOAc] to afford 3-acyl-2-amidobenzofuran **5c** (58.8 mg, 0.19 mmol) in 94% yield.

5c: R_f = 0.60 [6:1 petroleum ether/EtOAc]; yellow solid; mp = 122–123 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 11.3 (s, 1H), 7.75-7.73 (m, 2H), 7.59-7.49 (m, 5H), 7.45-7.41 (m, 2H), 7.38 (d, 1H, J = 7.7 Hz), 7.19 (t, 1H, J = 7.4 Hz), 7.10 (td, 1H, J = 7.5, 1.2 Hz), 7.05 (td, 1H, J = 7.8, 1.0 Hz), 6.96-6.94 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.7, 163.0, 149.7, 140.9, 137.2, 131.2, 129.7, 128.6, 127.7, 125.6, 124.6, 124.3, 122.5, 120.1, 119.3, 110.7, 95.5; IR (KBr) (cm^{-1}) 3440s, 2921w, 1638s, 1561m, 1457w, 1167m; HRMS (ESI): m/z calcd for $C_{21}H_{16}NO_2$ $[M+H]^+$: 314.1176; found 314.1166.

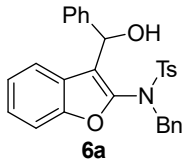
Synthesis of 3-Alkyl-2-Amidobenzofurans 6a, 6b and 6c.[17]



4 **6**

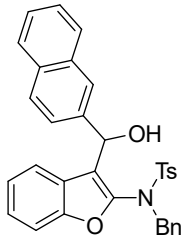
To an oven-dried sealed tube was added 3-acyl-2-amidobenzofuran **4a** (96.3 mg, 0.20 mmol), THF

(2.0 mL), and $NaBH_4$ (37.8 mg, 1.00 mmol) in sequence. The reaction vessel was capped and stirred at 50 °C in an oil bath for 24.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford 3-alkyl-2-amidobenzofuran **6a** (93.4 mg, 0.19 mmol) in 97% yield.



6a

6a: R_f = 0.38 [6:1 petroleum ether/EtOAc]; white solid; mp = 142–143 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71 (d, 2H, J = 8.0 Hz), 7.35 (d, 2H, J = 8.1 Hz), 7.31-7.23 (m, 6H), 7.19-7.14 (m, 4H), 6.96-6.86 (m, 4H), 5.85 (s, 1H), 4.98 (d, 1H, J = 10.6 Hz), 4.51 (d, 1H, J = 12.6 Hz), 2.48 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.5, 144.8, 144.1, 140.7, 135.2, 134.7, 130.1, 129.5, 129.1, 128.6, 128.04, 127.98, 126.9, 125.81, 125.78, 125.2, 123.0, 122.0, 121.4, 111.0, 66.3, 53.4, 21.9; IR (KBr) (cm^{-1}) 3449s, 2848w, 1632w, 1451m, 1164s; HRMS (ESI): m/z calcd for $C_{29}H_{25}NO_4SNa$ $[M+Na]^+$: 506.1396; found 506.1392.

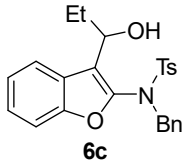


6b

To an oven-dried sealed tube was added 3-acyl-2-amidobenzofuran **4bb** (106.3 mg, 0.20 mmol), THF (2.0 mL), and $NaBH_4$ (37.8 mg, 1.00 mmol) in sequence. The reaction vessel was capped and stirred at 50 °C in an oil bath for 24.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford 3-alkyl-2-amidobenzofuran **6b** (105.8 mg, 0.20 mmol) in 99% yield.

6b: R_f = 0.33 [6:1 petroleum ether/EtOAc]; white solid; mp = 115–116 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.76-7.70 (m, 5H), 7.53 (d, 1H, J = 8.2 Hz), 7.44-7.37 (m, 2H), 7.34-7.23 (m, 8H), 7.15-7.10 (m, 1H), 6.84-6.81 (m, 2H), 6.60 (s, 1H), 6.01 (s, 1H), 5.02 (s, 1H), 4.51 (d, 1H, J = 11.5 Hz), 2.44 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.5, 144.9, 144.2, 138.2, 135.1, 134.7, 133.2, 132.6, 130.1, 129.5, 129.1, 128.7, 128.3, 128.0, 127.64, 127.59, 125.9, 125.8, 125.7, 125.2, 124.4, 124.0, 123.0, 122.0, 121.1, 111.0, 66.4, 53.3, 21.8; IR (KBr) (cm^{-1}) 3441s, 2923w, 1626w, 1450m,

1352s, 1235w, 1165s; HRMS (ESI): m/z calcd for $C_{33}H_{27}NO_4SNa$ $[M+Na]^+$: 556.1553; found 556.1550.



6c

To an oven-dried sealed tube was added 3-acyl-2-amidobenzofuran **4ff** (86.7 mg, 0.20 mmol), THF (2.0 mL), and $NaBH_4$ (37.8 mg, 1.00 mmol) in sequence. The reaction vessel was capped and stirred at 50 °C in an oil bath for 72.0 h. After the reaction was judged to be complete by TLC, the reaction mixture was cooled to rt and filtered through a short pad of silica gel. Then the filtrate was concentrated in vacuo and purified by flash silica gel column chromatography [gradient eluent: 10:1~6:1 petroleum ether/EtOAc] to afford 3-alkyl-2-amidobenzofuran **6c** (84.3 mg, 0.19 mmol) in 97% yield.

6c: R_f = 0.33 [6:1 petroleum ether/EtOAc]; colorless oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71 (d, 1H, J = 7.8 Hz), 7.65 (d, 2H, J = 8.3 Hz), 7.32-7.26 (m, 4H), 7.23-7.16 (m, 6H), 4.83 (d, 1H, J = 11.6 Hz), 4.56-4.46 (m, 2H), 2.46 (s, 3H), 1.97-1.86 (m, 1H), 1.61-1.50 (m, 1H), 0.56 (t, 3H, J = 7.9 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.4, 144.6, 143.3, 135.4, 134.7, 130.0, 129.4, 128.7, 128.4, 128.0, 126.2, 125.2, 123.0, 121.9, 121.2, 111.2, 68.0, 53.3, 27.7, 21.8, 10.3; IR (KBr) (cm^{-1}) 3432s, 2963w, 1631m, 1452m, 1357m, 1236w, 1166s; HRMS (ESI): m/z calcd for $C_{25}H_{25}NO_4SNa$ $[M+Na]^+$: 458.1396; found 458.1392.

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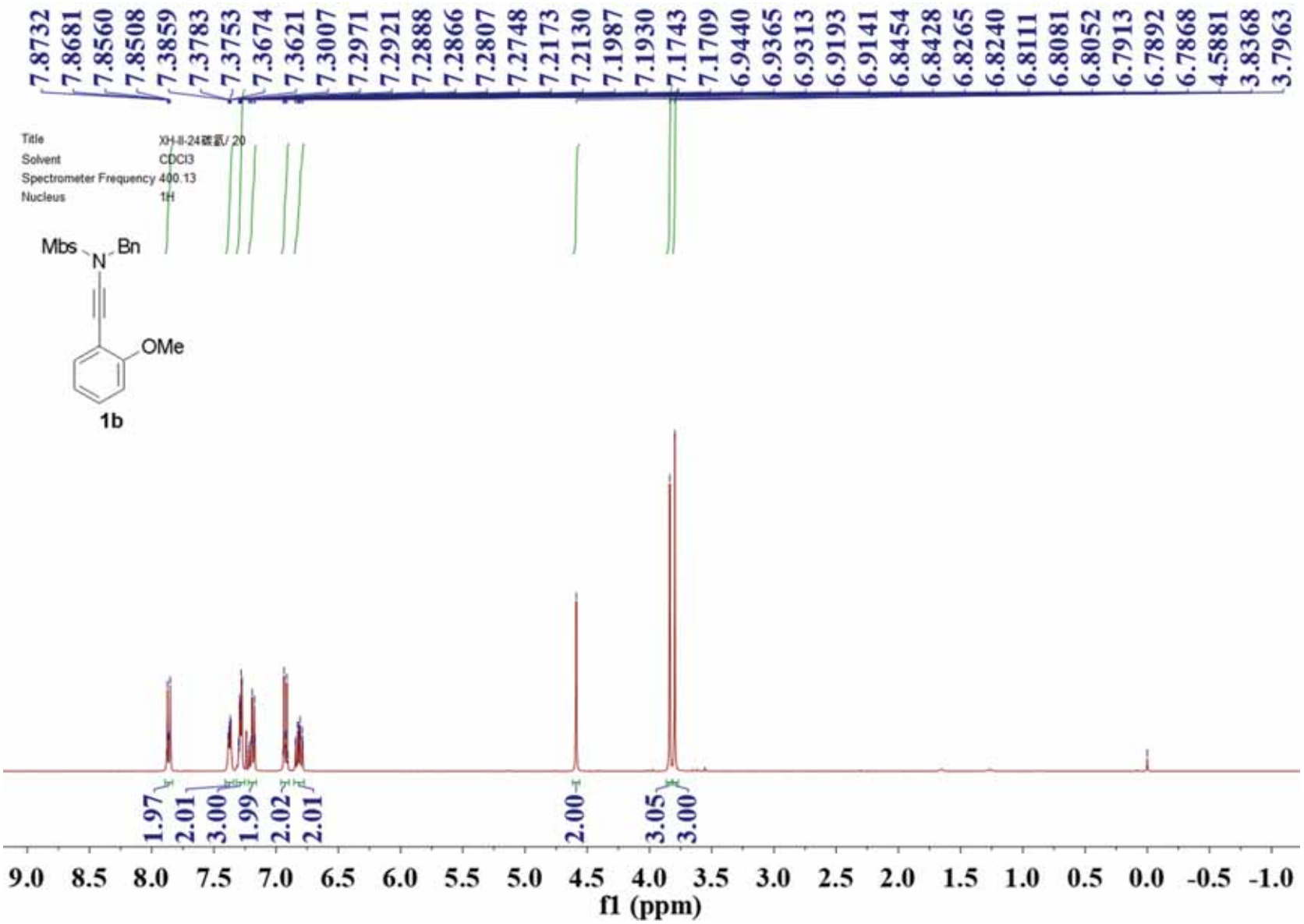
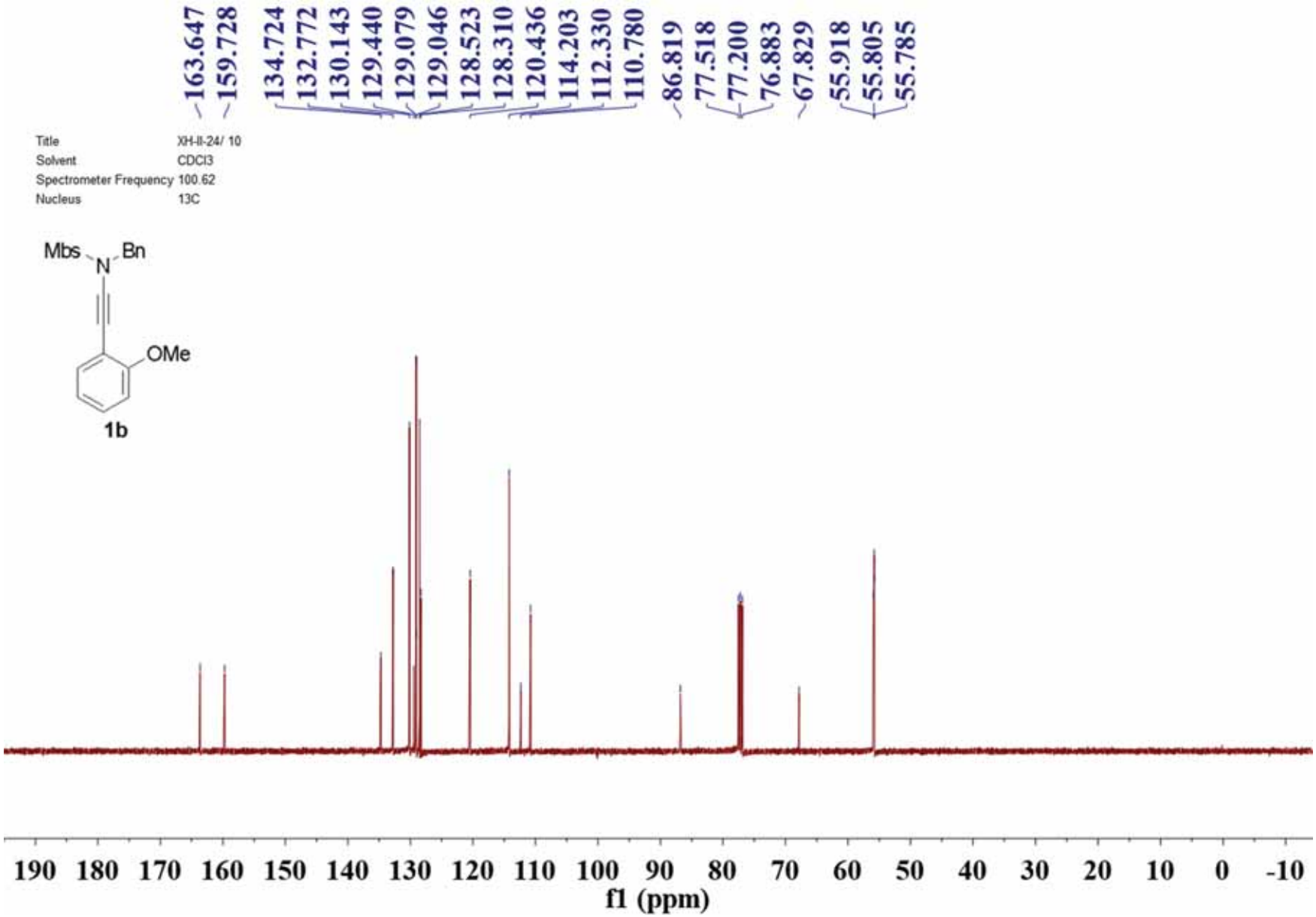
Part II Copies of ^{1}H NMR and ^{13}C NMR Spectra.

^{1}H NMR and ^{13}C NMR Spectra of *o*-Alkyloxyphenyl-Substituted Ynamides 1.

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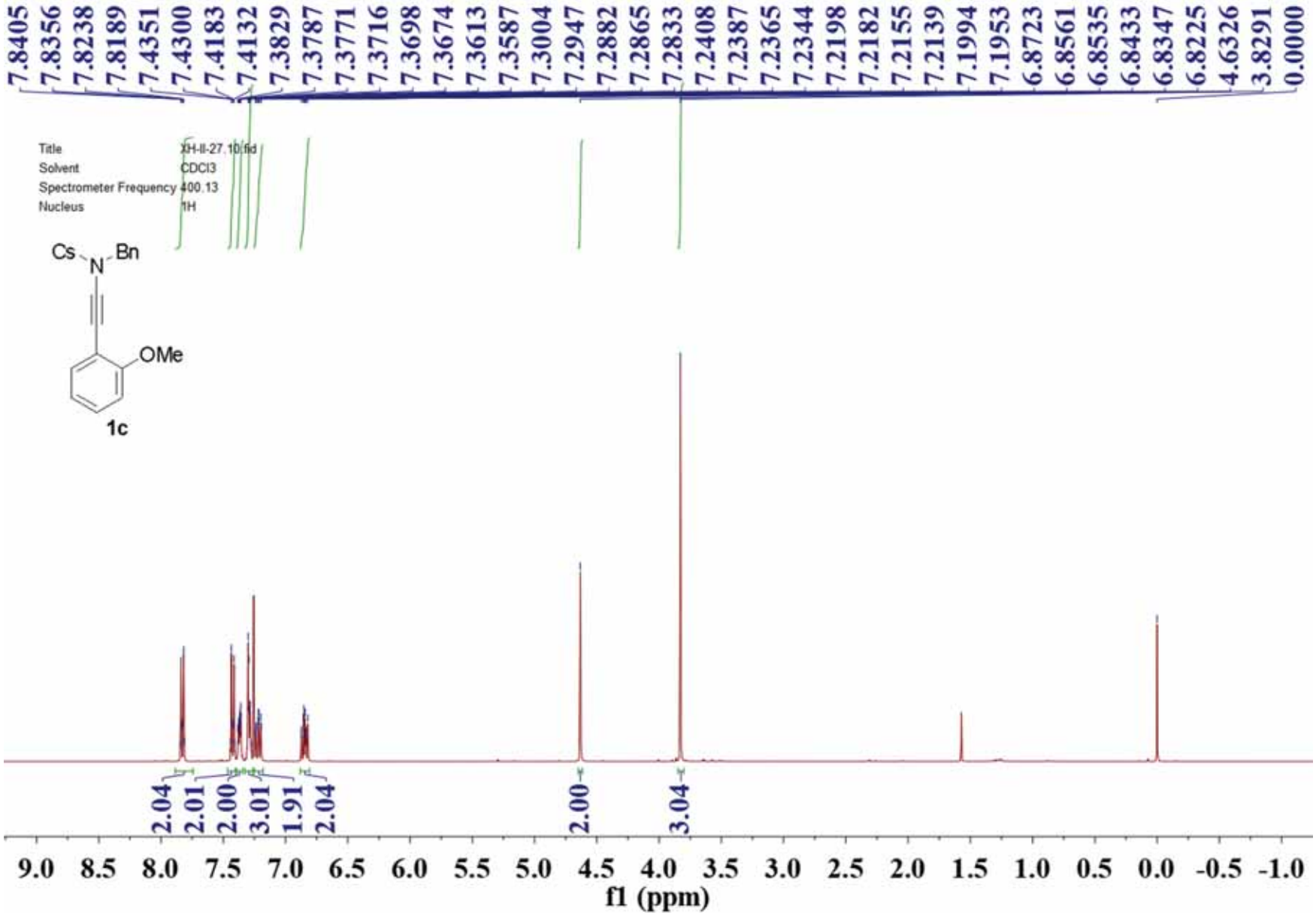
159.728
134.724
132.772
130.143
129.440
129.079
129.046
128.523
128.310
120.436
114.203
112.330
110.780
86.819
77.518
77.200
76.883
67.829
55.918
55.805
55.785
Title XH-II-24/ 10
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Mbs
N
Bn
OMe
1b
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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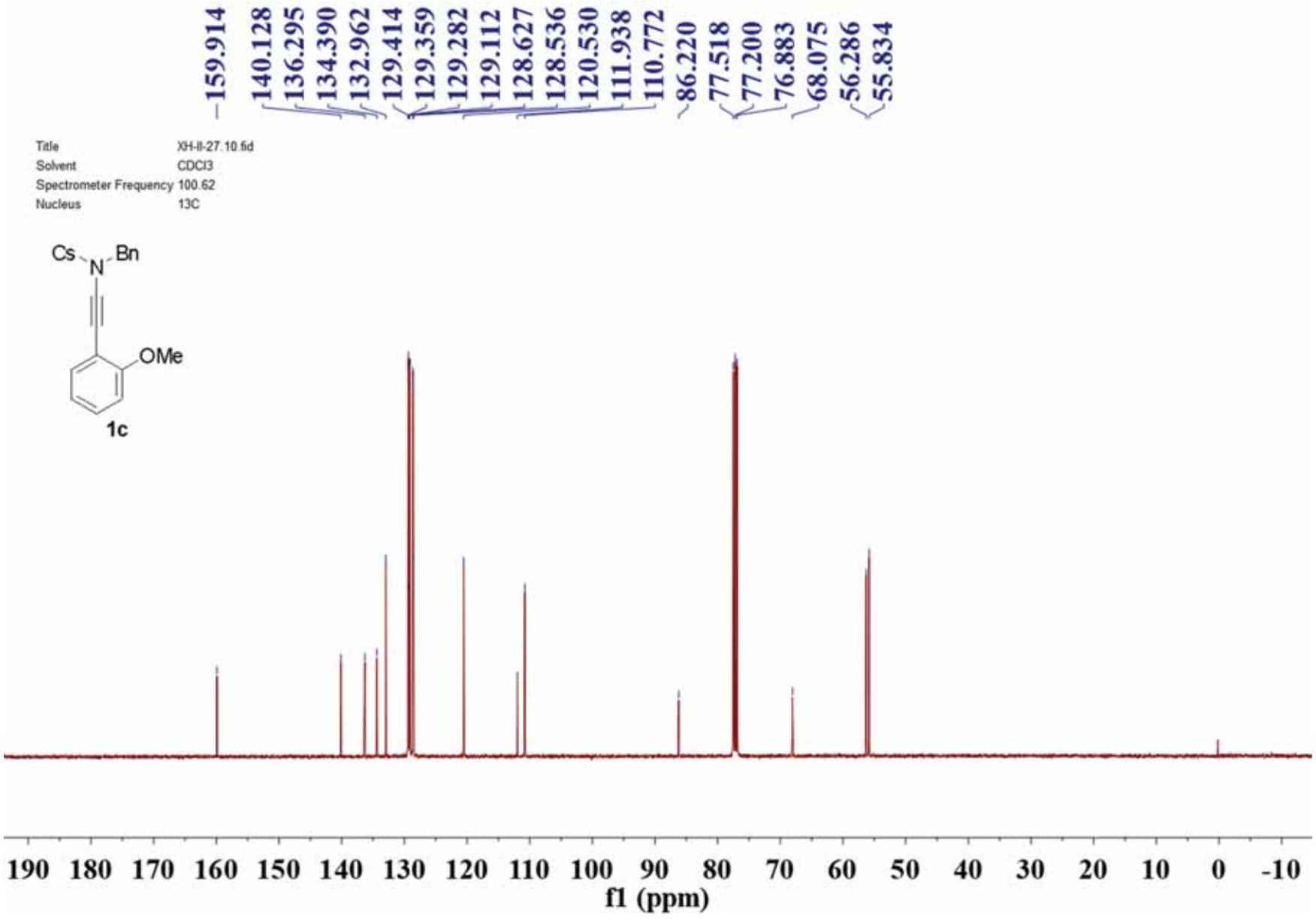
7.8356
7.8238
7.8189
7.4351
7.4300
7.4183
7.4132
7.3829
7.3787
7.3771
7.3716
7.3698
7.3674
7.3613
7.3587
7.3004
7.2947
7.2882
7.2865
7.2833
7.2408
7.2387
7.2365
7.2344
7.2198
7.2182
7.2155
7.2139
7.1994
7.1953
6.8723
6.8561
6.8535
6.8433
6.8347
6.8225
4.6326
3.8291
0.0000
Title XH-II-27.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Cs
N
Bn
OMe
1c
2.04
2.01
2.00
3.01
1.91
2.04
2.00
3.04
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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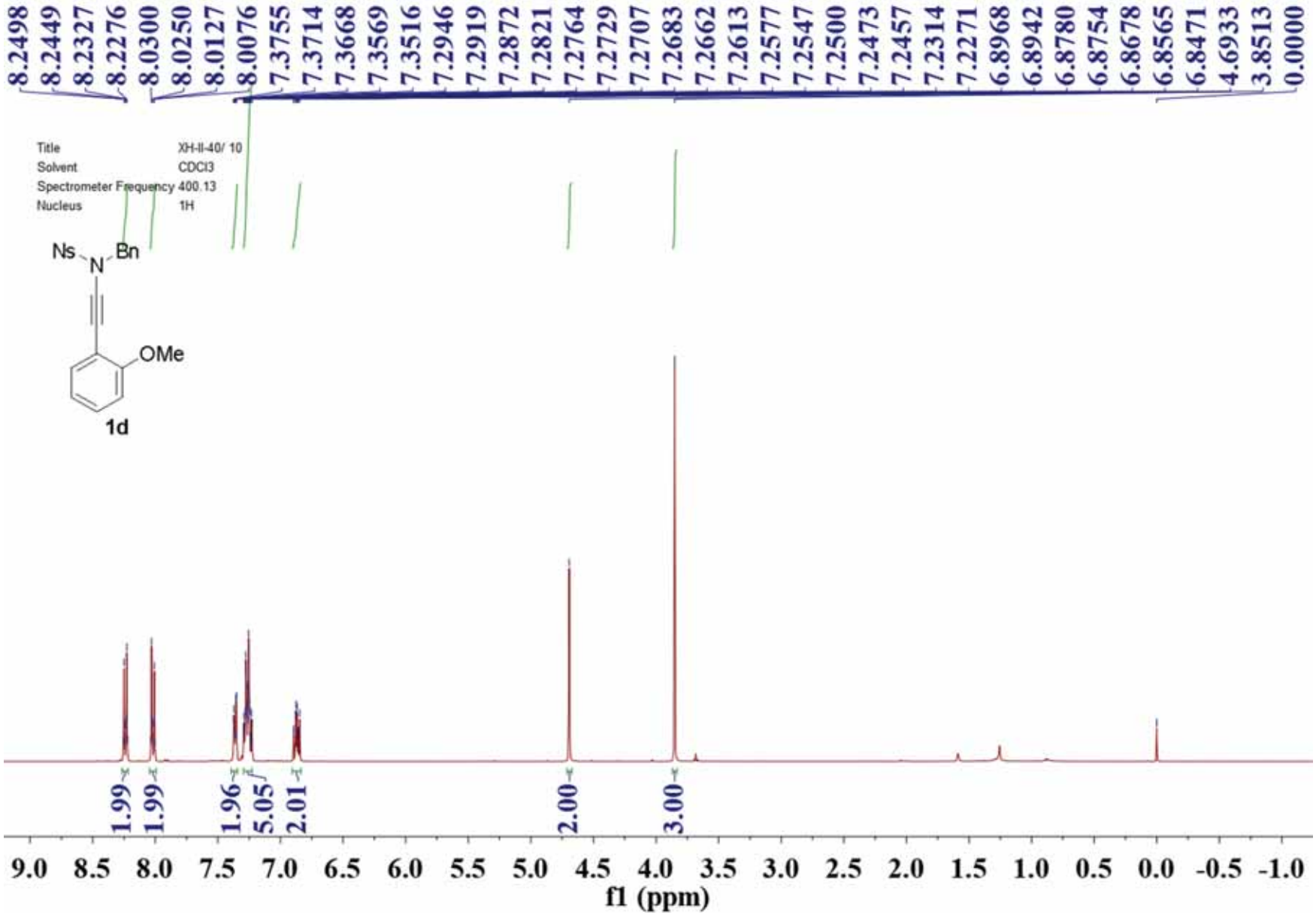
136.295
134.390
132.962
129.414
129.359
129.282
129.112
128.627
128.536
120.530
111.938
110.772
86.220
77.518
77.200
76.883
68.075
56.286
55.834
Title XH-II-27.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Cs
N
Bn
OMe
1c
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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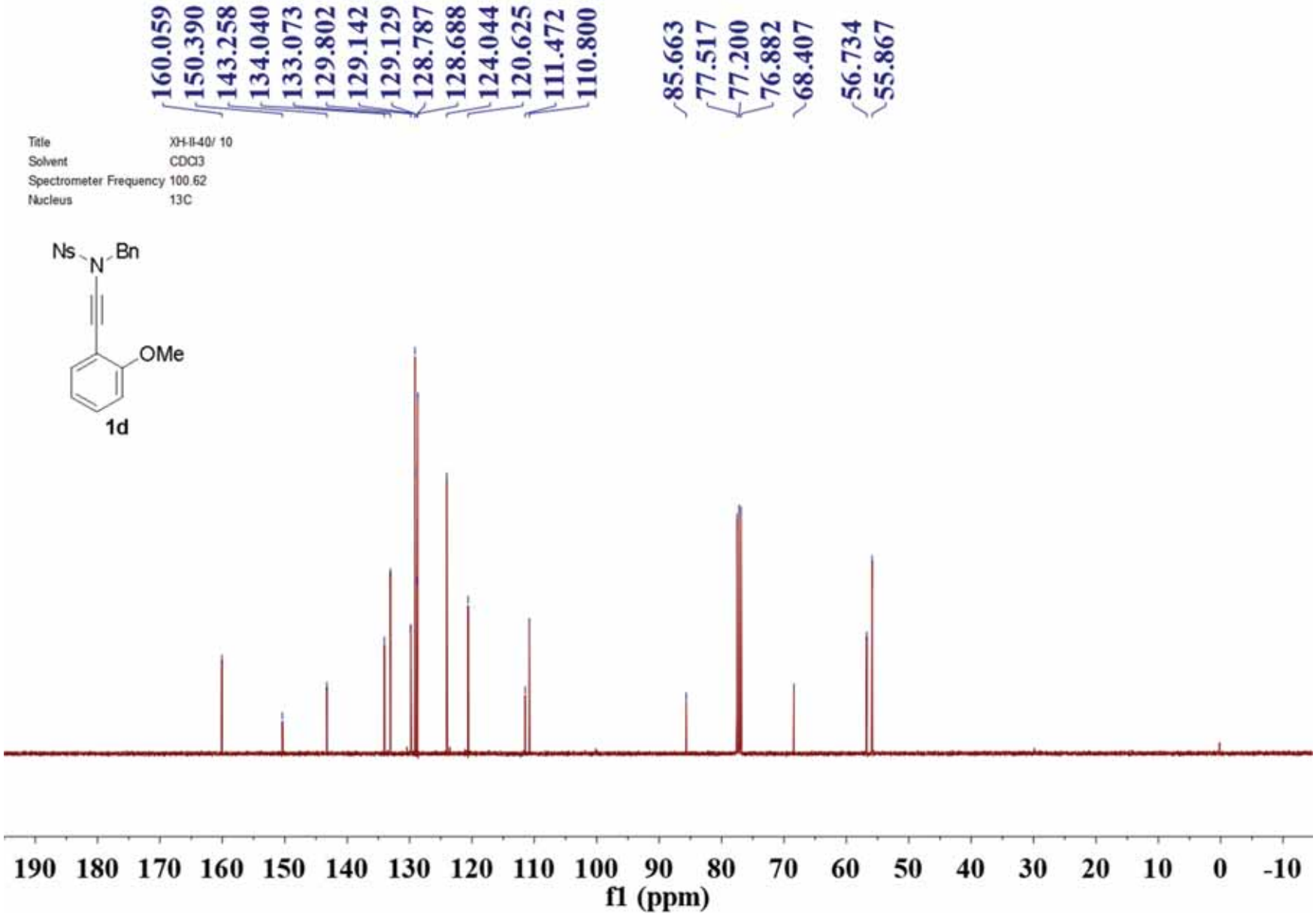
8.2327
8.2276
8.0300
8.0250
8.0127
8.0076
7.3755
7.3714
7.3668
7.3569
7.3516
7.2946
7.2919
7.2872
7.2821
7.2764
7.2729
7.2707
7.2683
7.2662
7.2613
7.2577
7.2547
7.2500
7.2473
7.2457
7.2314
7.2271
6.8968
6.8942
6.8780
6.8754
6.8678
6.8565
6.8471
4.6933
3.8513
0.0000
Title XH-II-40/ 10
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ns
N
Bn
OMe
1d
1.99
1.99
1.96
5.05
2.01
2.00
3.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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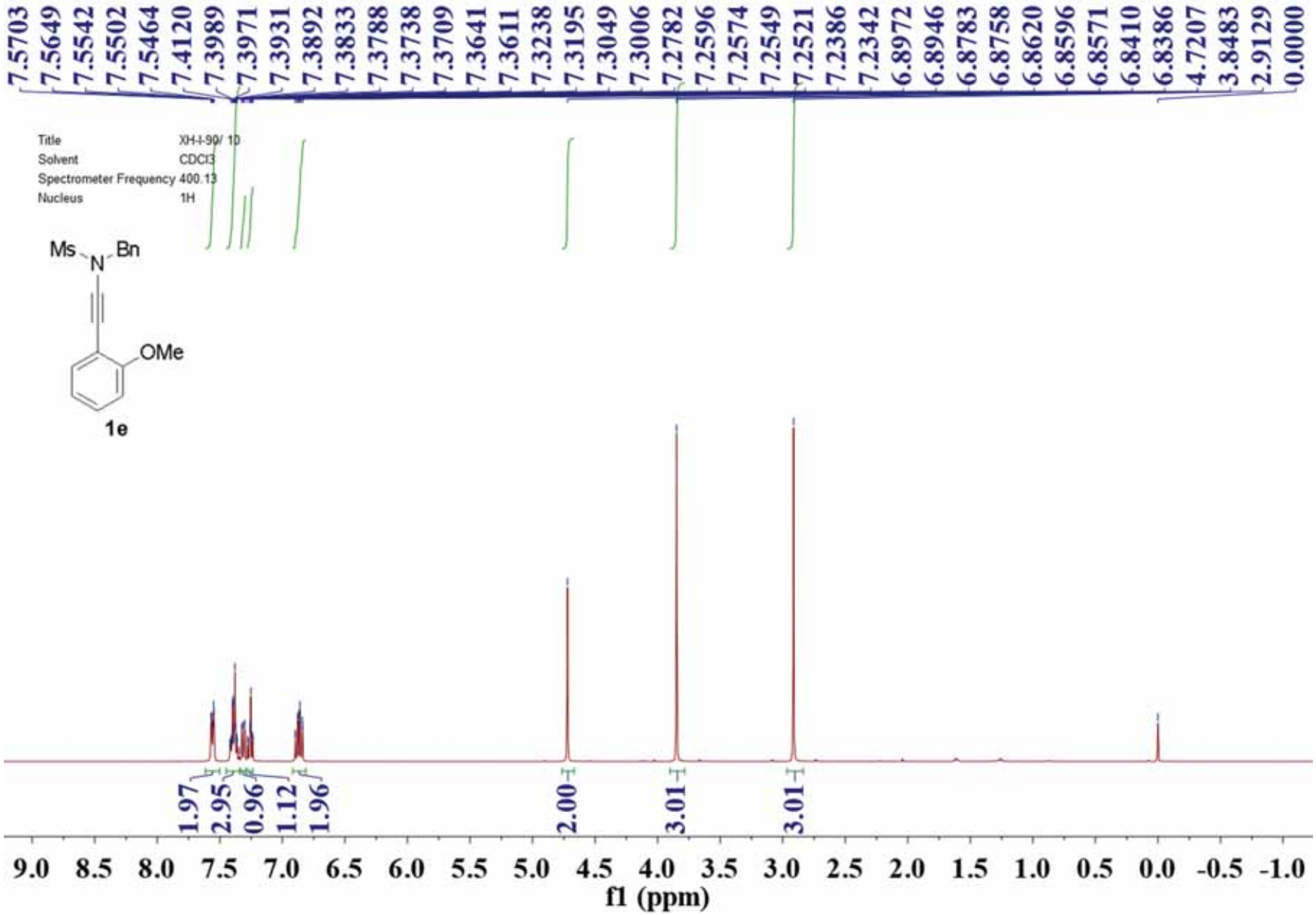
129.802
129.142
129.129
128.787
128.688
124.044
120.625
111.472
110.800
85.663
77.517
77.200
76.882
68.407
56.734
55.867
Title XH-II-40/ 10
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ns
N
Bn
OMe
1d
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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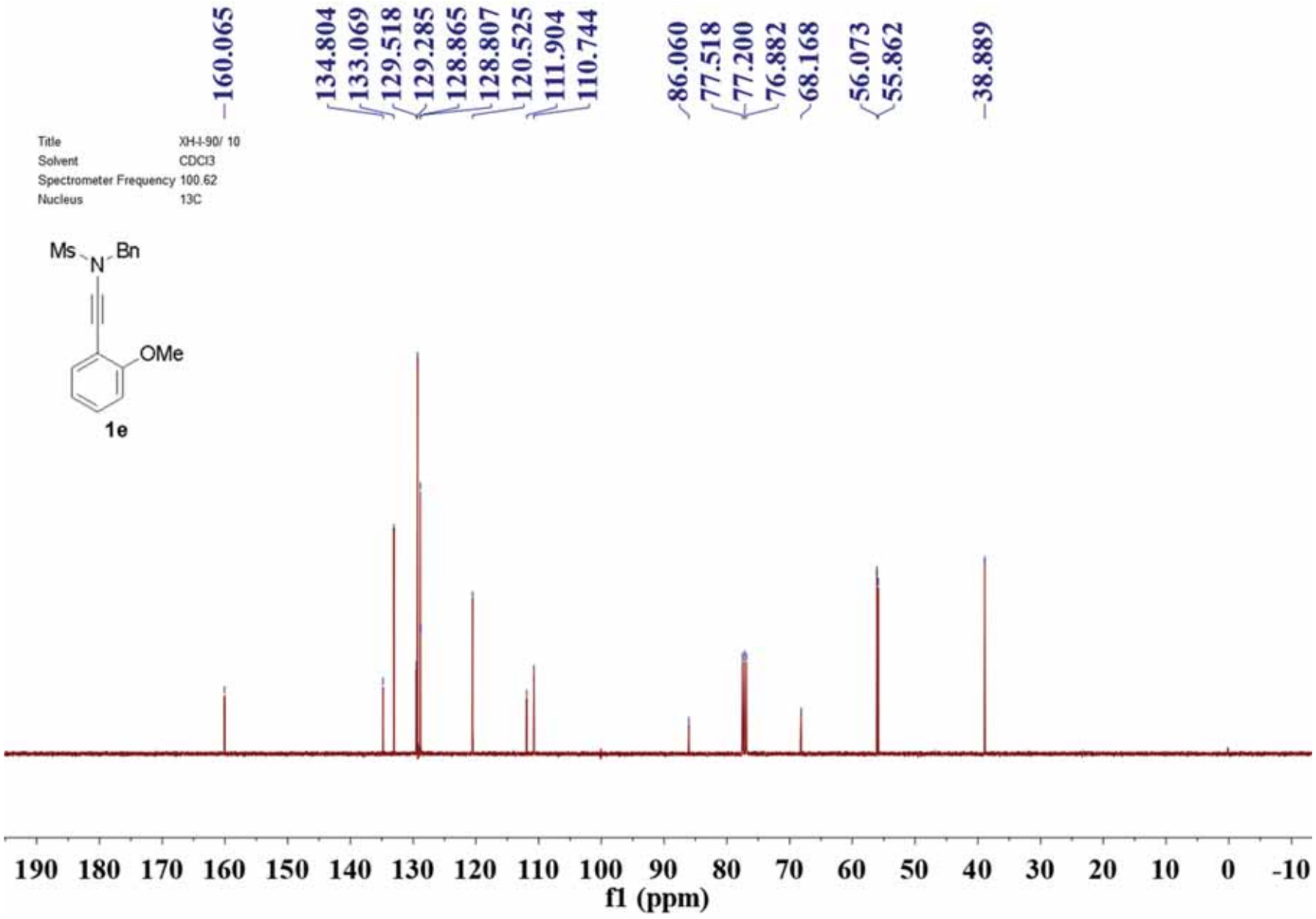
7.5649
7.5542
7.5502
7.5464
7.4120
7.3989
7.3971
7.3931
7.3892
7.3833
7.3788
7.3738
7.3709
7.3641
7.3611
7.3238
7.3195
7.3049
7.3006
7.2782
7.2596
7.2574
7.2549
7.2521
7.2386
7.2342
6.8972
6.8946
6.8783
6.8758
6.8620
6.8596
6.8571
6.8410
6.8386
4.7207
3.8483
2.9129
0.0000
Title XH-I-90/ 10
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ms
N
Bn
OMe
1e
1.97
2.95
0.96
1.12
1.96
2.00
3.01
3.01
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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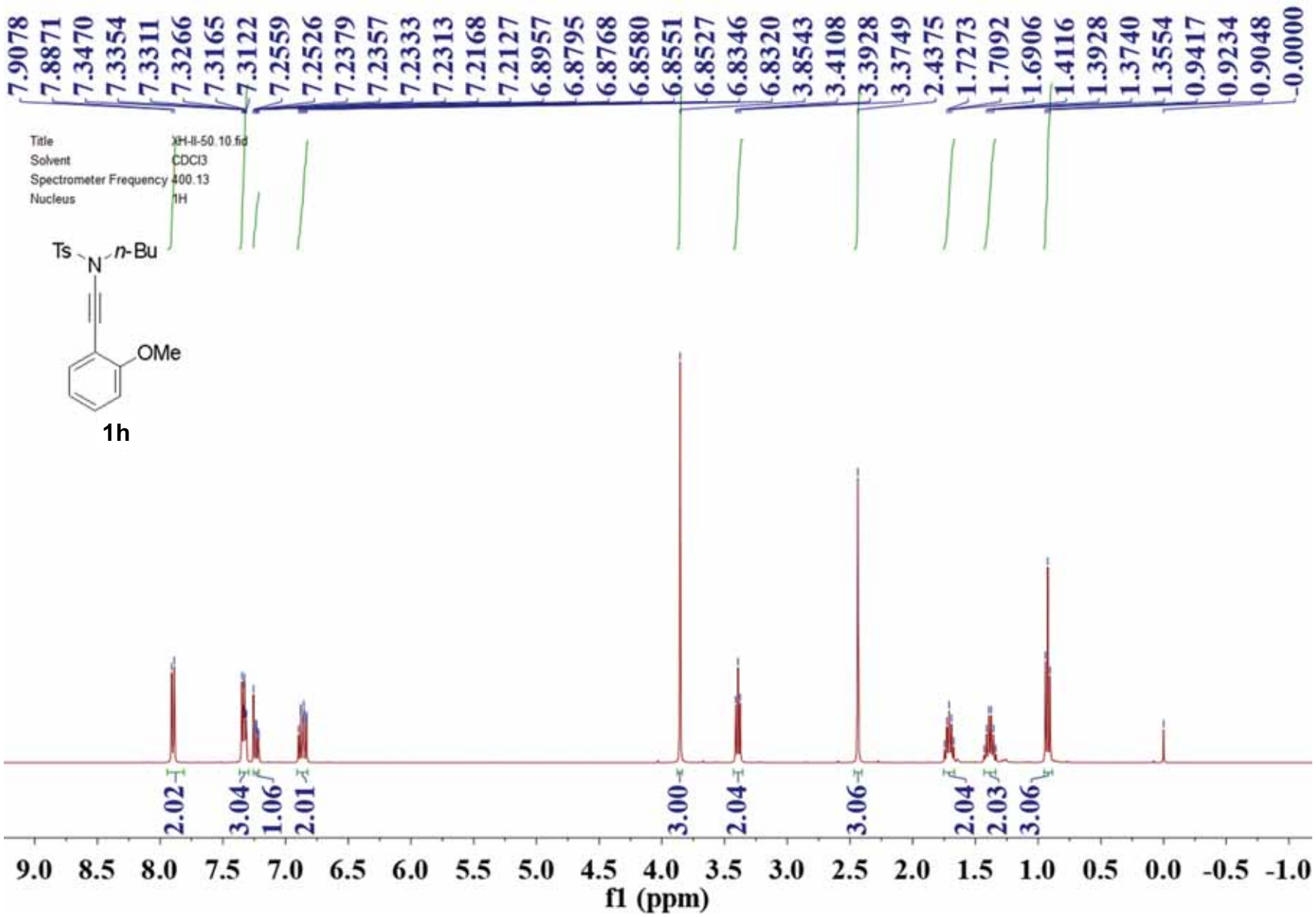
133.069
129.518
129.285
128.865
128.807
120.525
111.904
110.744
86.060
77.518
77.200
76.882
68.168
56.073
55.862
38.889
Title XH-I-90/ 10
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ms
N
Bn
OMe
1e
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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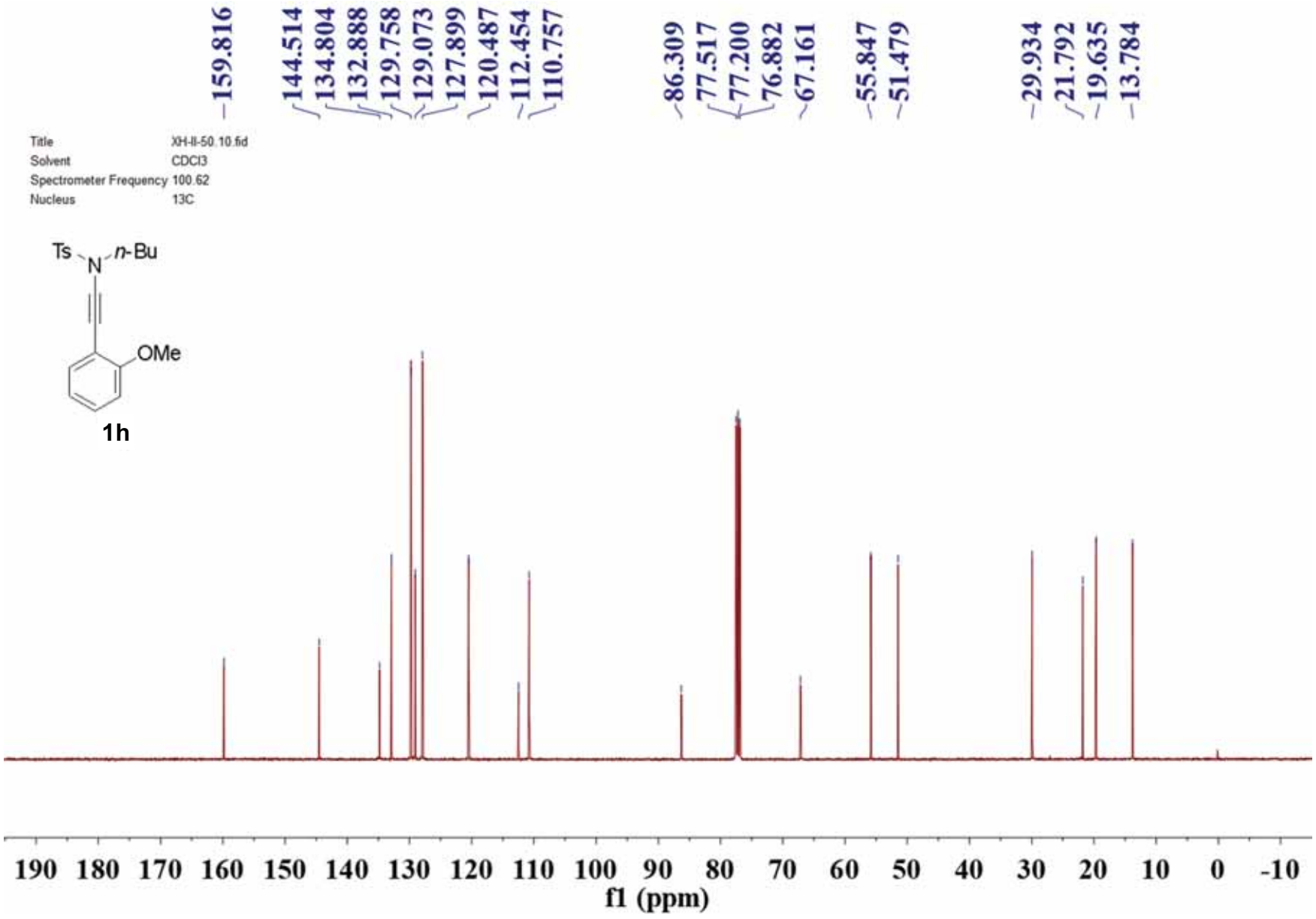
7.3470
7.3354
7.3311
7.3266
7.3165
7.3122
7.2559
7.2526
7.2379
7.2357
7.2333
7.2313
7.2168
7.2127
6.8957
6.8795
6.8768
6.8580
6.8551
6.8527
6.8346
6.8320
3.8543
3.4108
3.3928
3.3749
2.4375
1.7273
1.7092
1.6906
1.4116
1.3928
1.3740
1.3554
0.9417
0.9234
0.9048
-0.0000
Title XH-II-50.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ts
N
n-Bu
OMe
1h
2.02
3.04
1.06
2.01
3.00
2.04
3.06
2.04
2.03
3.06
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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132.888
129.758
129.073
127.899
120.487
112.454
110.757
86.309
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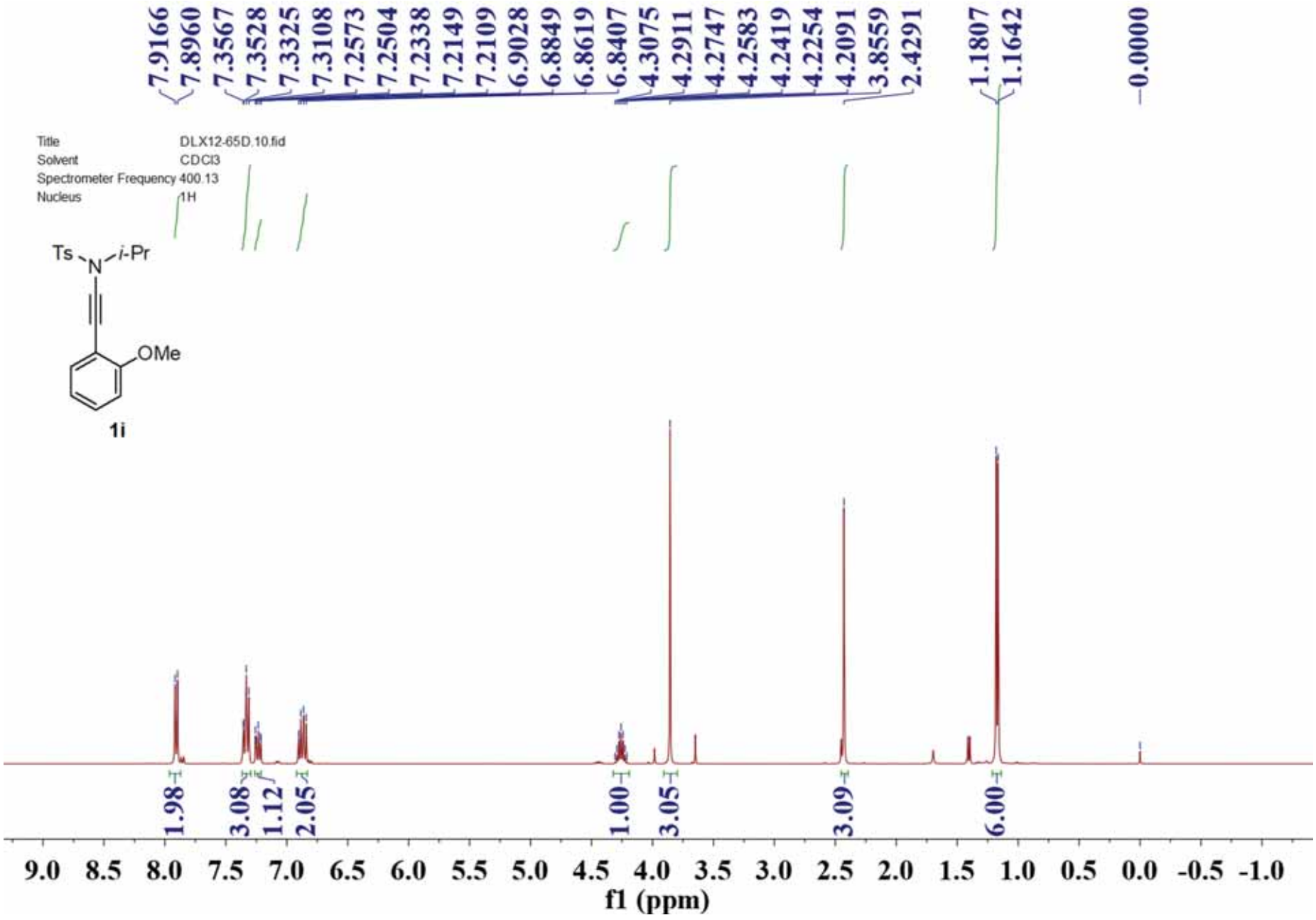
77.200
76.882
67.161
55.847
51.479
29.934
21.792
19.635
13.784
Title XH-II-50.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ts
N
n-Bu
OMe
1h
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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7.3528
7.3325
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7.2573
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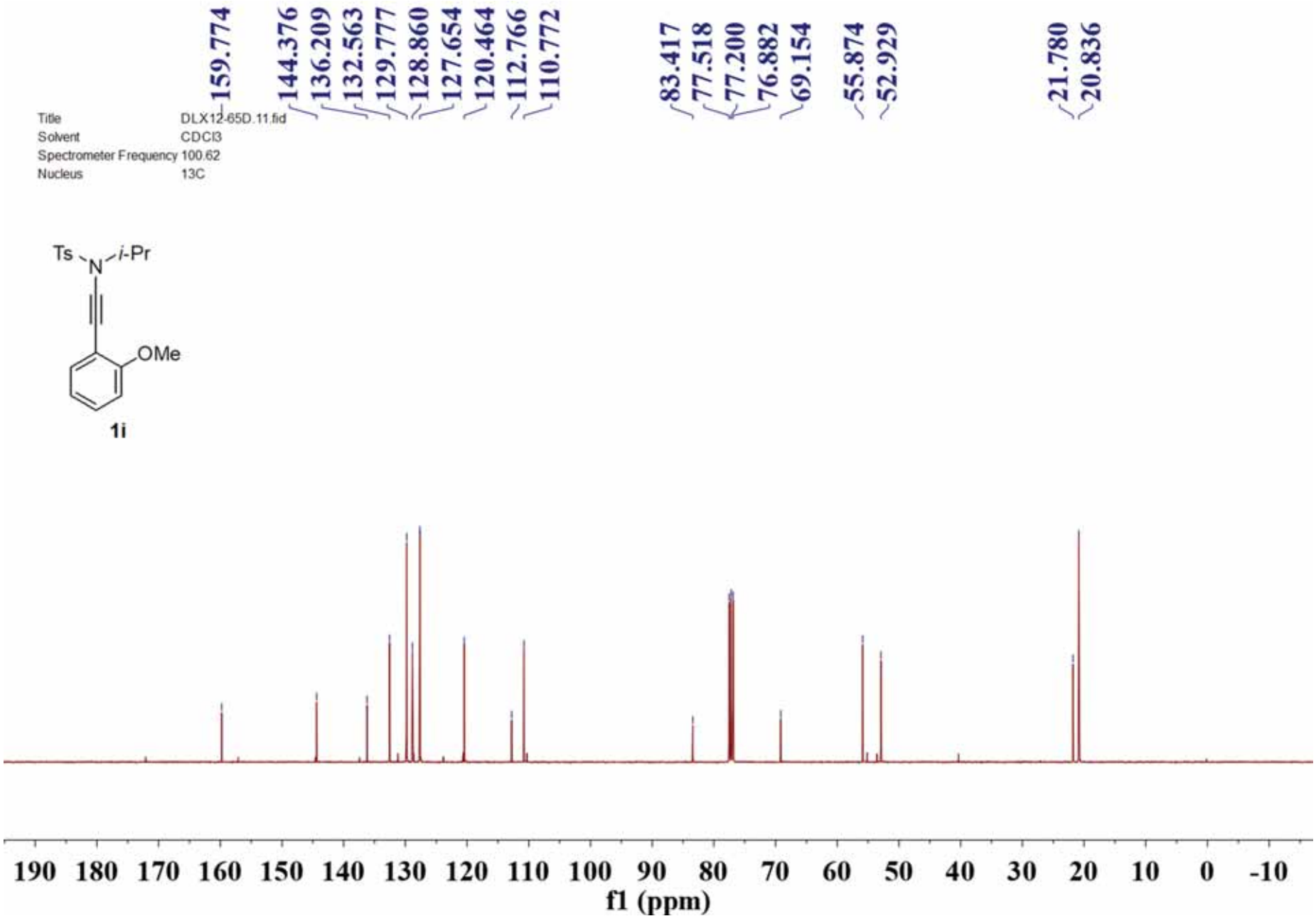
7.2338
7.2149
7.2109
6.9028
6.8849
6.8619
6.8407
4.3075
4.2911
4.2747
4.2583
4.2419
4.2254
4.2091
3.8559
2.4291
1.1807
1.1642
0.0000
Title DLX12-65D.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ts
N
i-Pr
OMe
1i
1.98
3.08
1.12
2.05
1.00
3.05
3.09
6.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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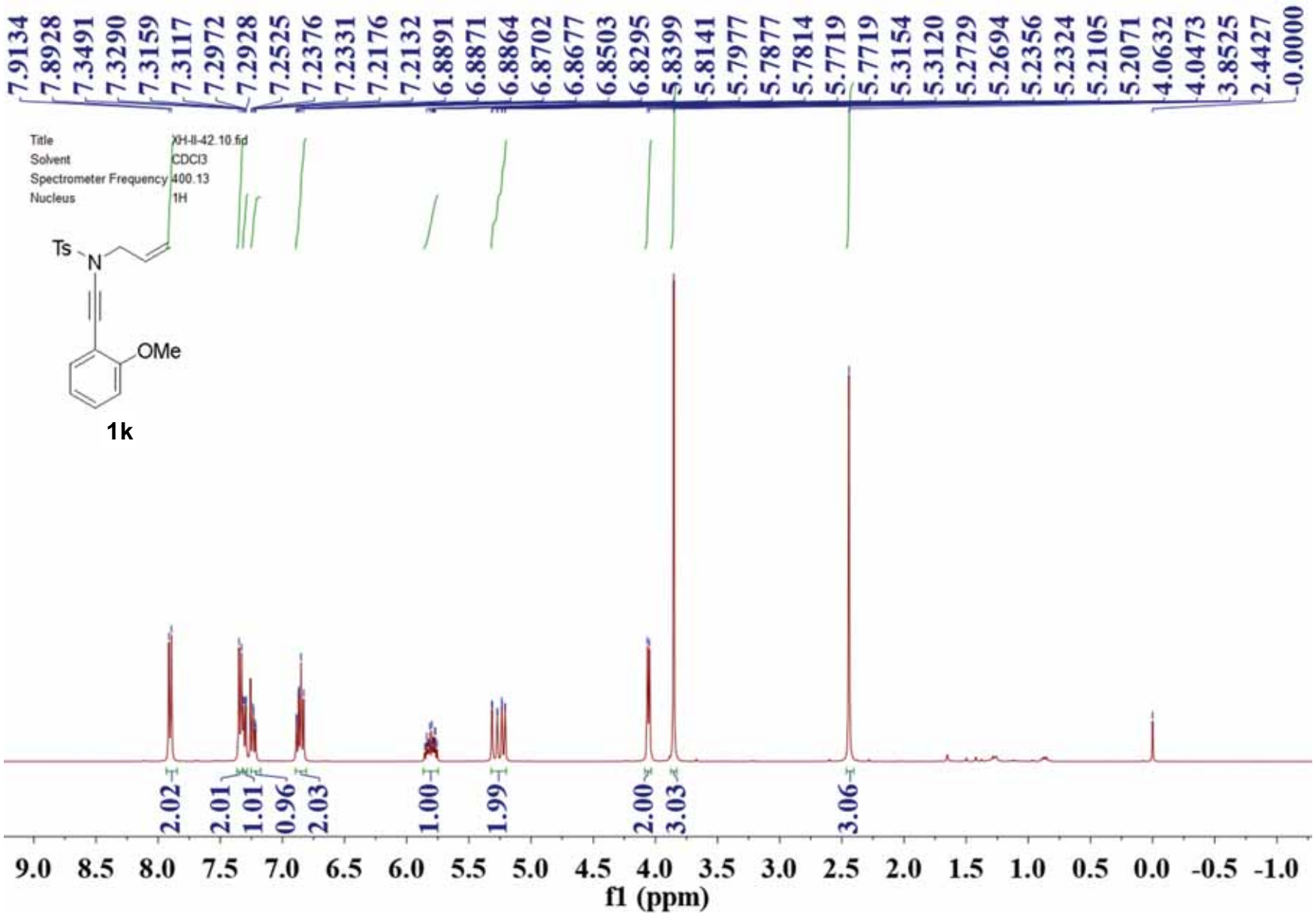
127.654
120.464
112.766
110.772
83.417
77.518
77.200
76.882
69.154
55.874
52.929
21.780
20.836
Title DLX12-65D.11.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ts
N
i-Pr
OMe
1i
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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7.3159
7.3117
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7.2928
7.2525
7.2376
7.2331
7.2176
7.2132
6.8891
6.8871
6.8864
6.8702
6.8677
6.8503
6.8295
5.8399
5.8141
5.7977
5.7877
5.7814
5.7719
5.7719
5.3154
5.3120
5.2729
5.2694
5.2356
5.2324
5.2105
5.2071
4.0632
4.0473
3.8525
2.4427
-0.0000
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Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ts
N
OMe
1k
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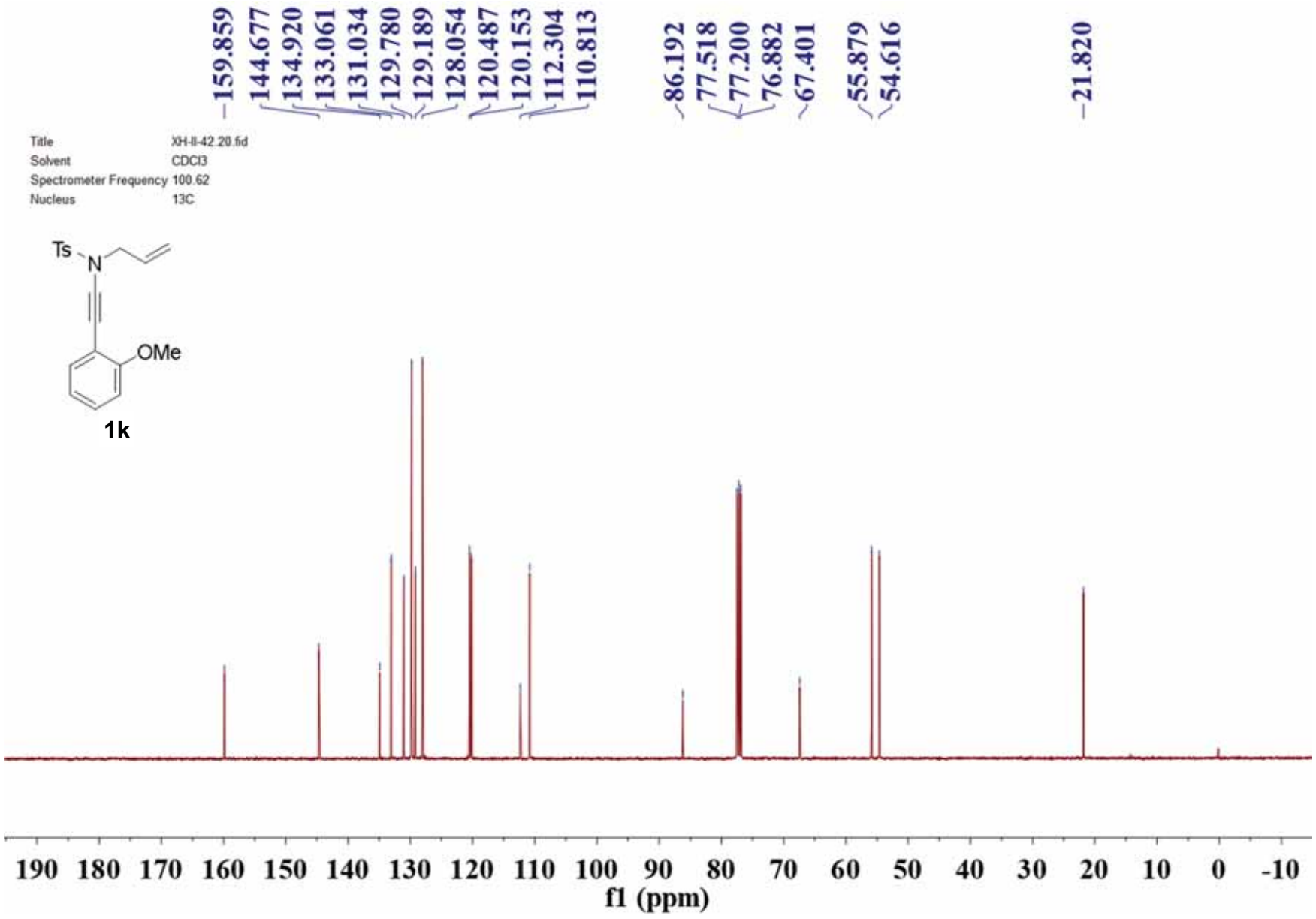
2.01
1.01
0.96
2.03
1.00
1.99
2.00
3.03
3.06
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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120.153
112.304
110.813
86.192
77.518
77.200
76.882
67.401
55.879
54.616
21.820
Title XH-II-42.20.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ts
N
OMe
1k
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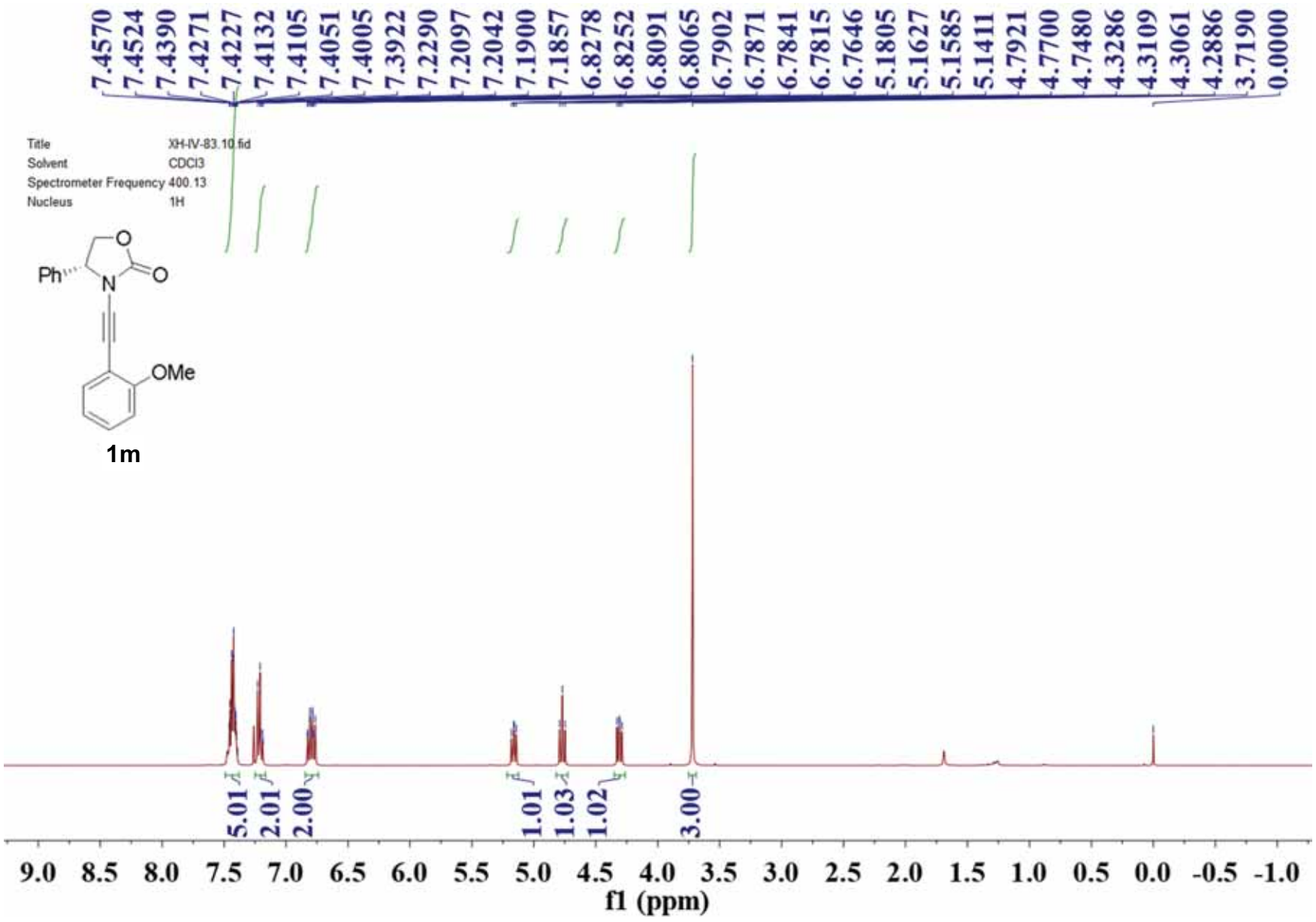
f1 (ppm)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7.4005
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7.2290
7.2097
7.2042
7.1900
7.1857
6.8278
6.8252
6.8091
6.8065
6.7902
6.7871
6.7841
6.7815
6.7646
5.1805
5.1627
5.1585
5.1411
4.7921
4.7700
4.7480
4.3286
4.3109
4.3061
4.2886
3.7190
0.0000
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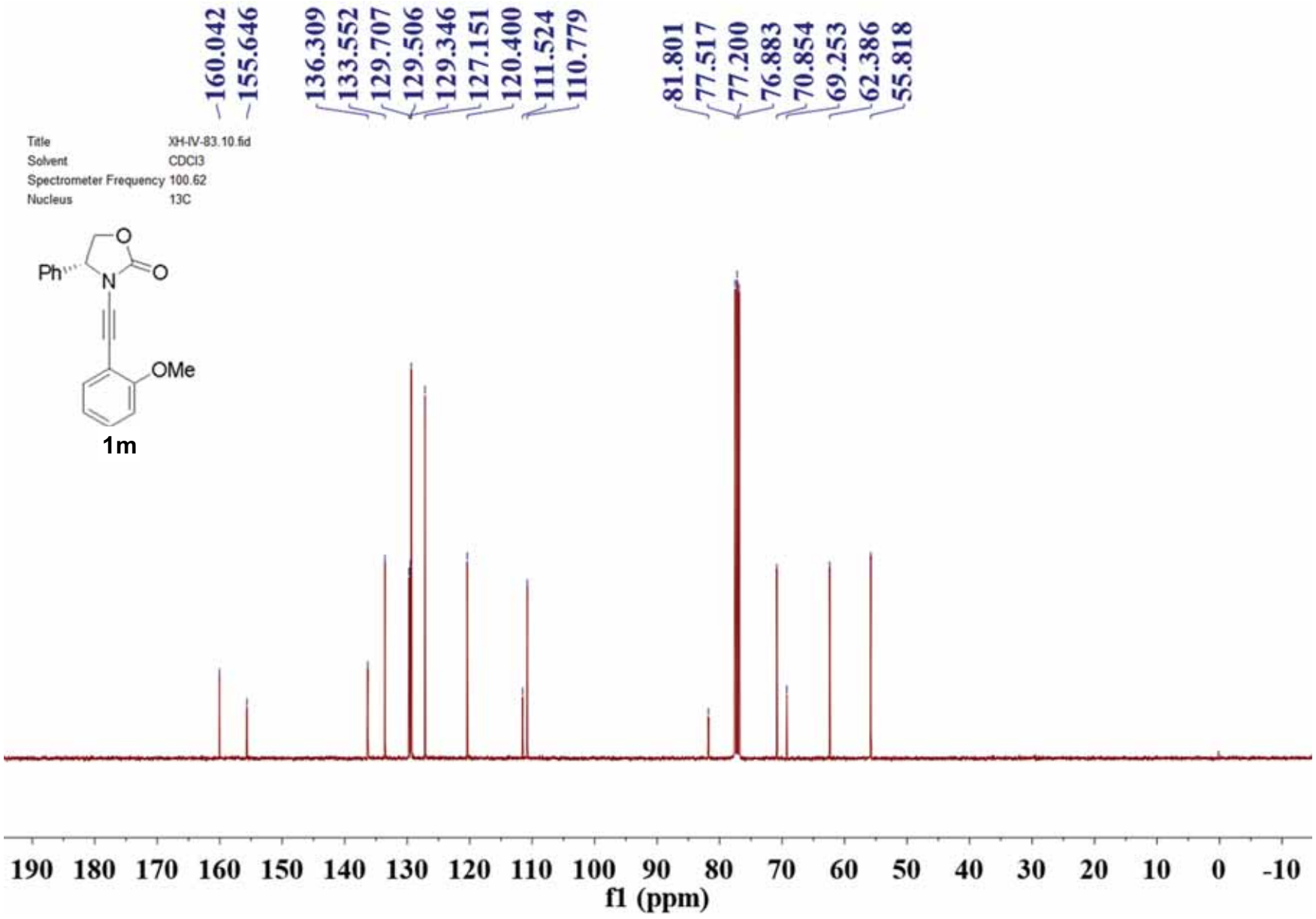
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ph
O
N
O
OMe
1m
5.01
2.01
2.00
1.01
1.03
1.02
3.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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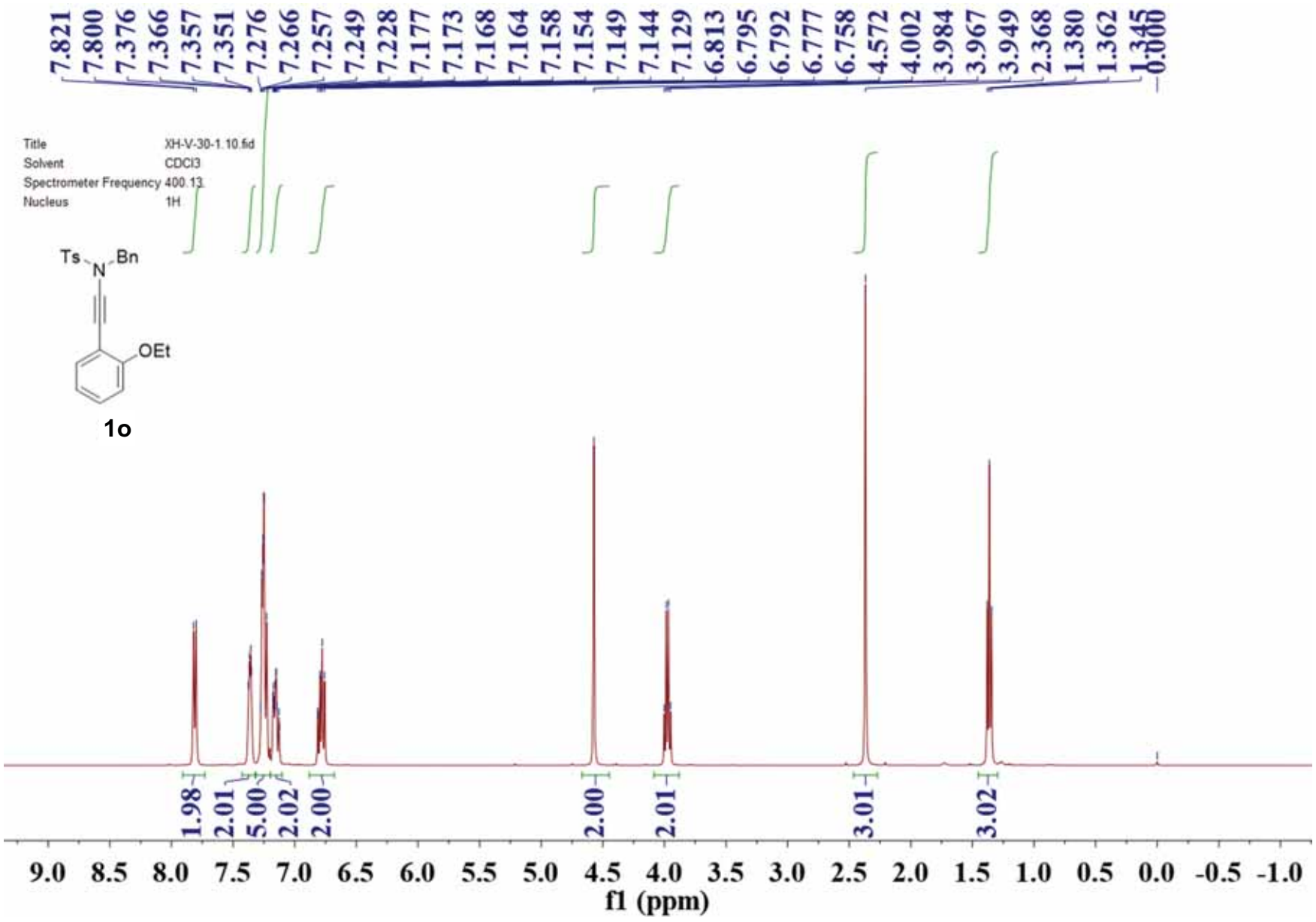
129.346
127.151
120.400
111.524
110.779
81.801
77.517
77.200
76.883
70.854
69.253
62.386
55.818
Title X9-IV-83.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ph
N
O
O
OMe
1m
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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7.249
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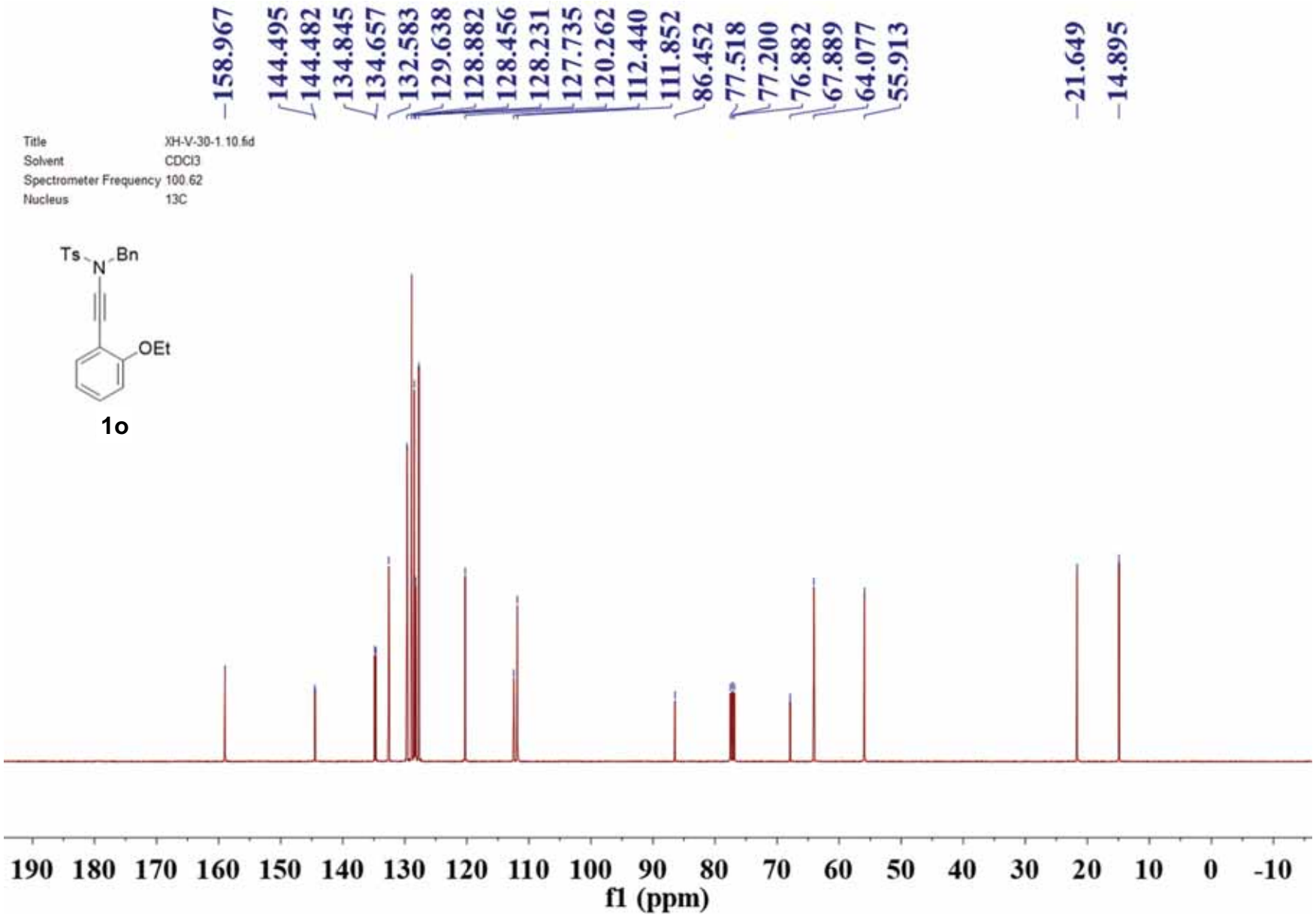
7.177
7.173
7.168
7.164
7.158
7.154
7.149
7.144
7.129
6.813
6.795
6.792
6.777
6.758
4.572
4.002
3.984
3.967
3.949
2.368
1.380
1.362
1.345
0.000
Title XH-V-30-1.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ts
N
Bn
OEt
1o
1.98
2.01
5.00
2.02
2.00
2.00
2.01
3.01
3.02
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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134.657
132.583
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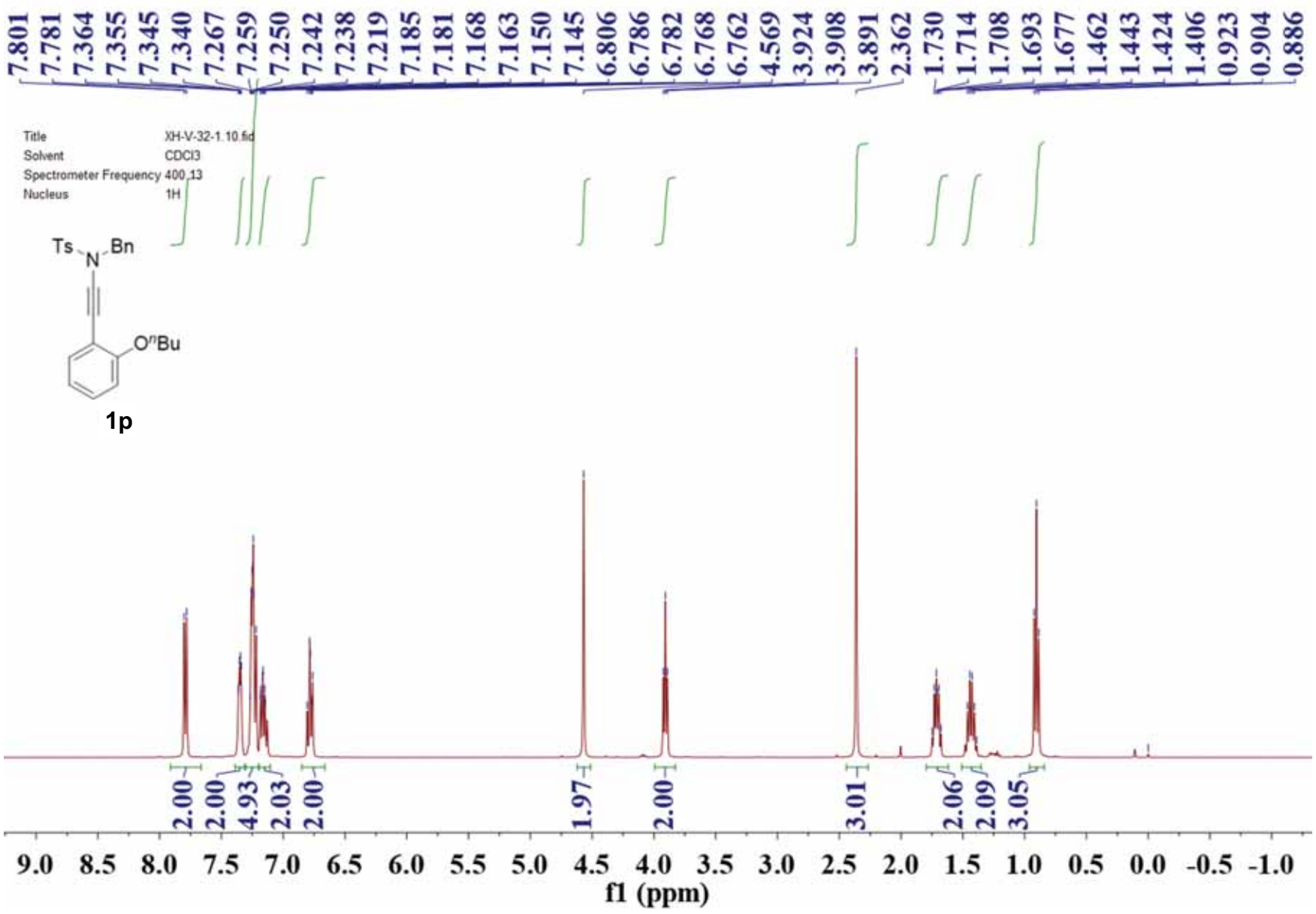
128.231
127.735
120.262
112.440
111.852
86.452
77.518
77.200
76.882
67.889
64.077
55.913
21.649
14.895
Title XH-V-30-1.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ts
N
Bn
OEt
1o
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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7.238
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7.185
7.181
7.168
7.163
7.150
7.145
6.806
6.786
6.782
6.768
6.762
4.569
3.924
3.908
3.891
2.362
1.730
1.714
1.708
1.693
1.677
1.462
1.443
1.424
1.406
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0.904
0.886
Title XH-V-32-1.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ts
N
Bn
O^{n}Bu
1p
2.00
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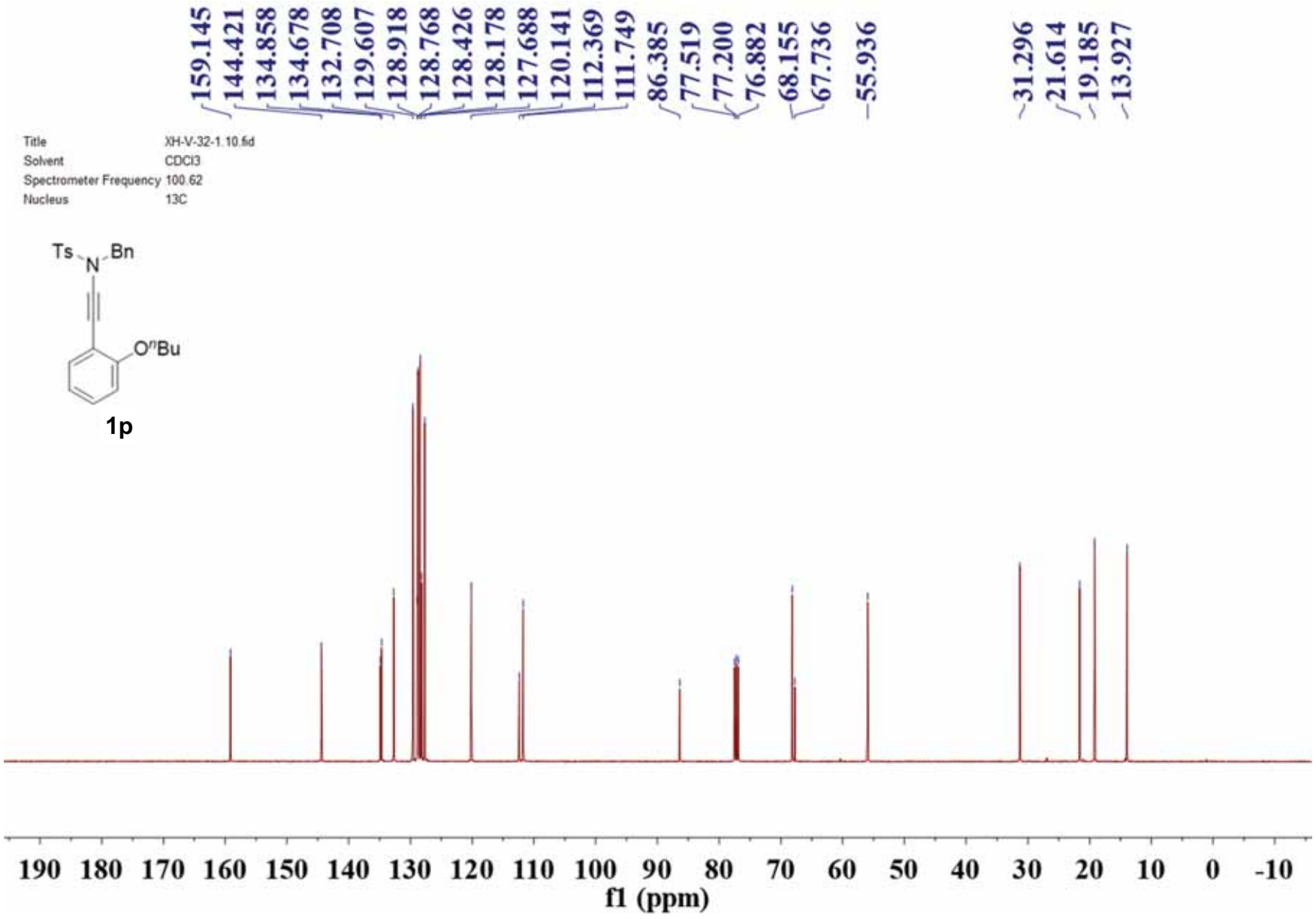
2.03
2.00
1.97
2.00
3.01
2.06
2.09
3.05
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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134.858
134.678
132.708
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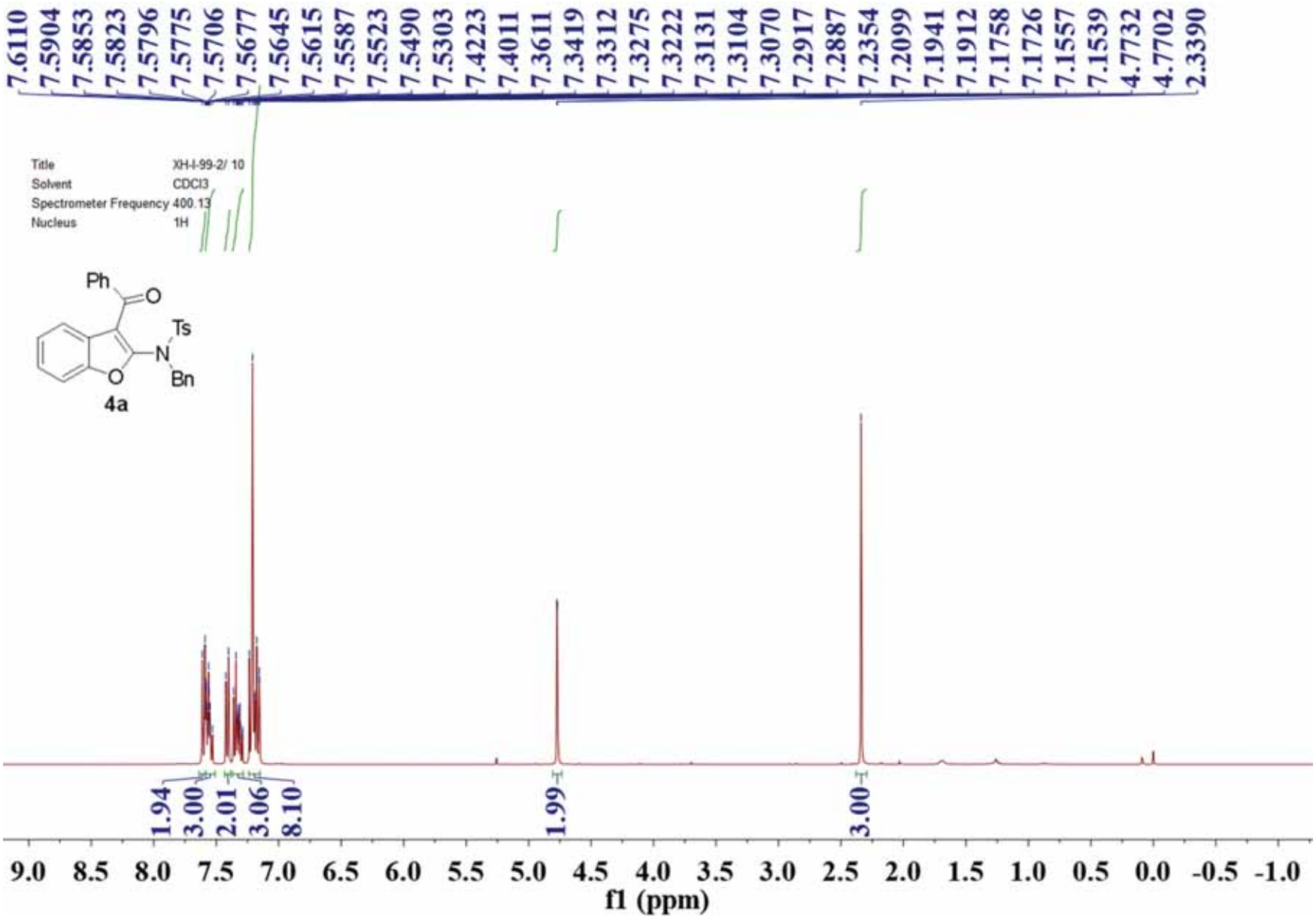
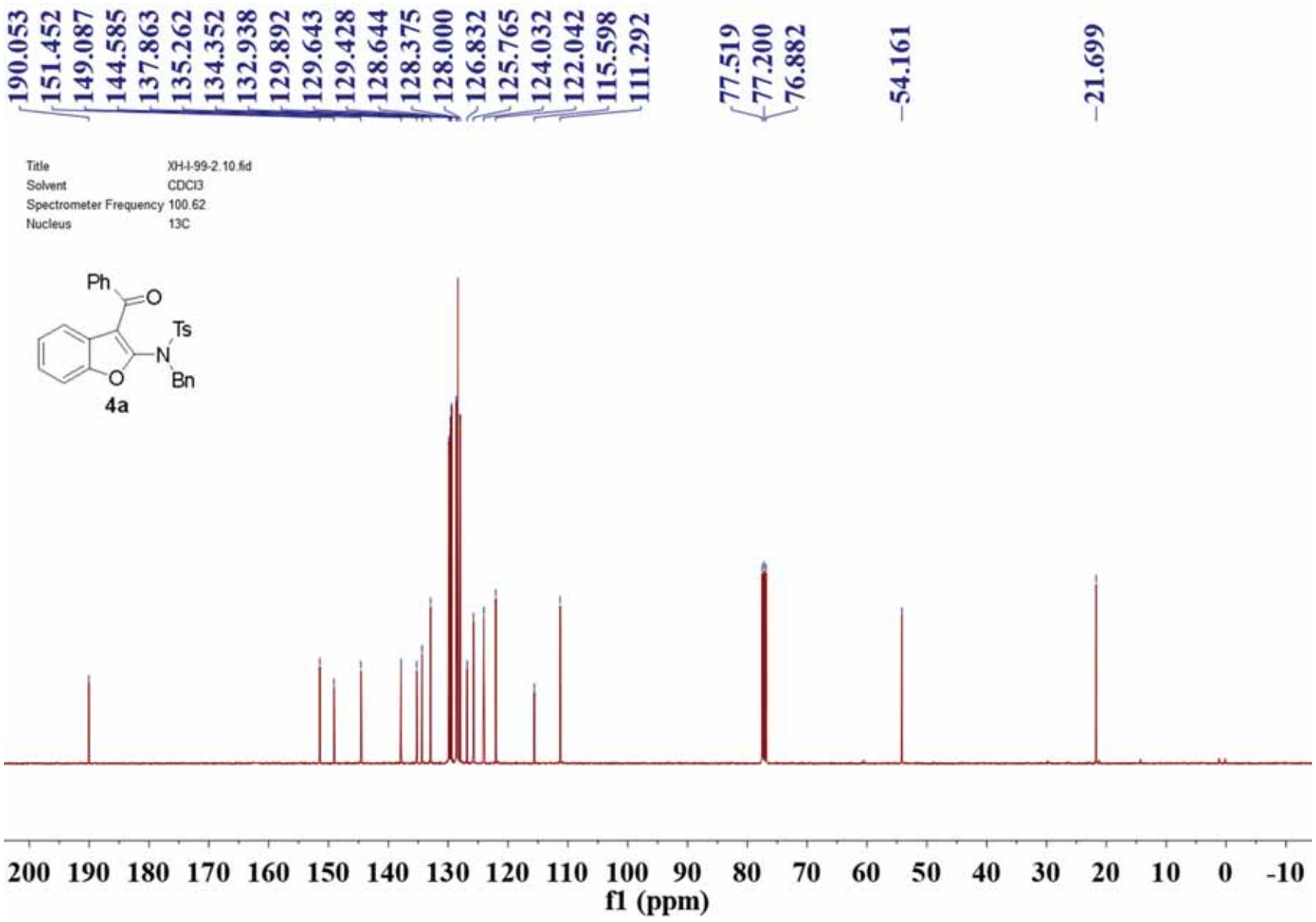
128.768
128.426
128.178
127.688
120.141
112.369
111.749
86.385
77.519
77.200
76.882
68.155
67.736
55.936
31.296
21.614
19.185
13.927
Title XH-V-32-1.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ts
N
Bn
O^{n}Bu
1p
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

^{1}H NMR and ^{13}C NMR Spectra of 3-Acyl-2-Amidobenzofurans 4.

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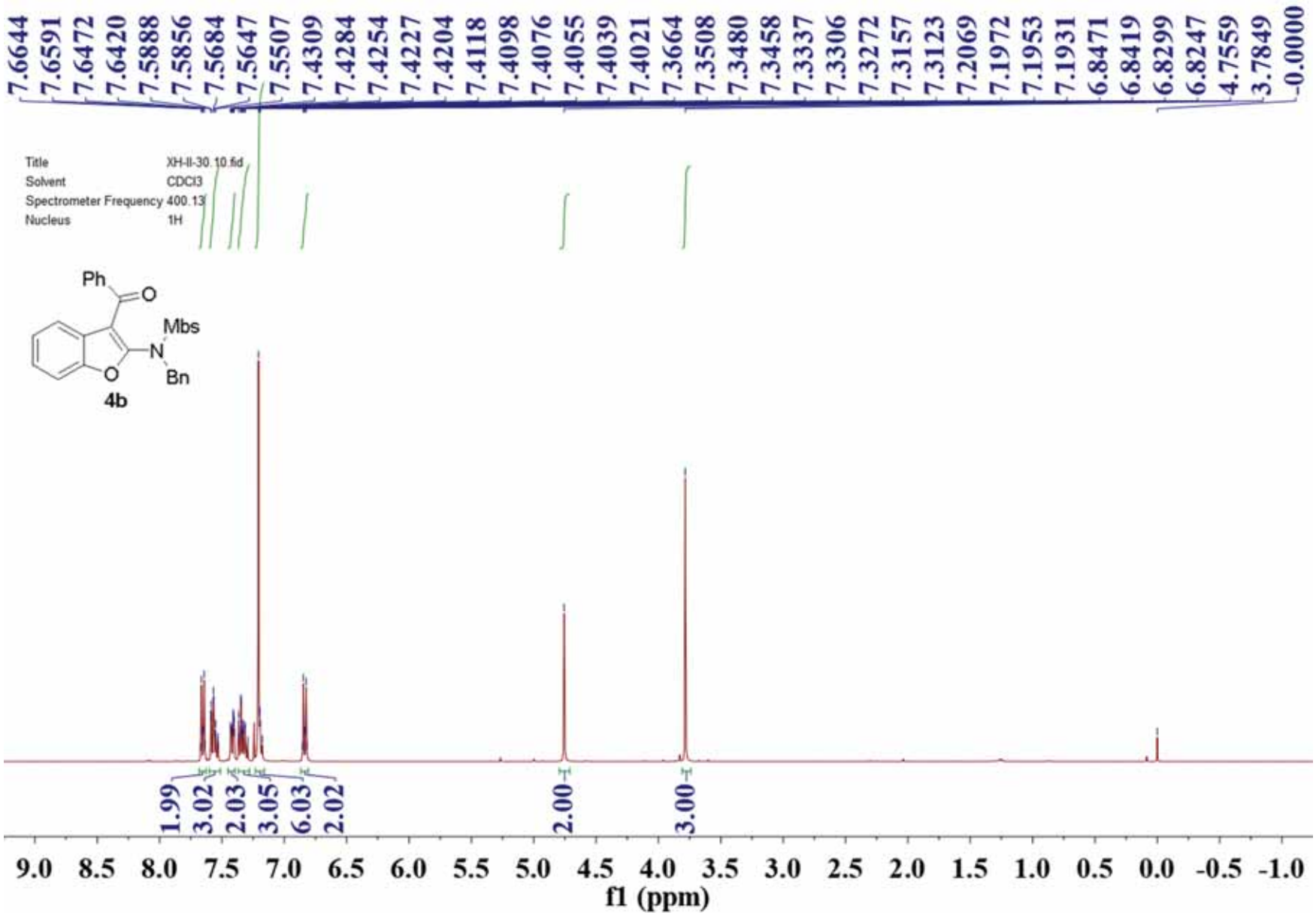
151.452
149.087
144.585
137.863
135.262
134.352
132.938
129.892
129.643
129.428
128.644
128.375
128.000
126.832
125.765
124.032
122.042
115.598
111.292
77.519
77.200
76.882
54.161
21.699
Title XH-I-99-2.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ph
O
Ts
N
Bn
O
4a
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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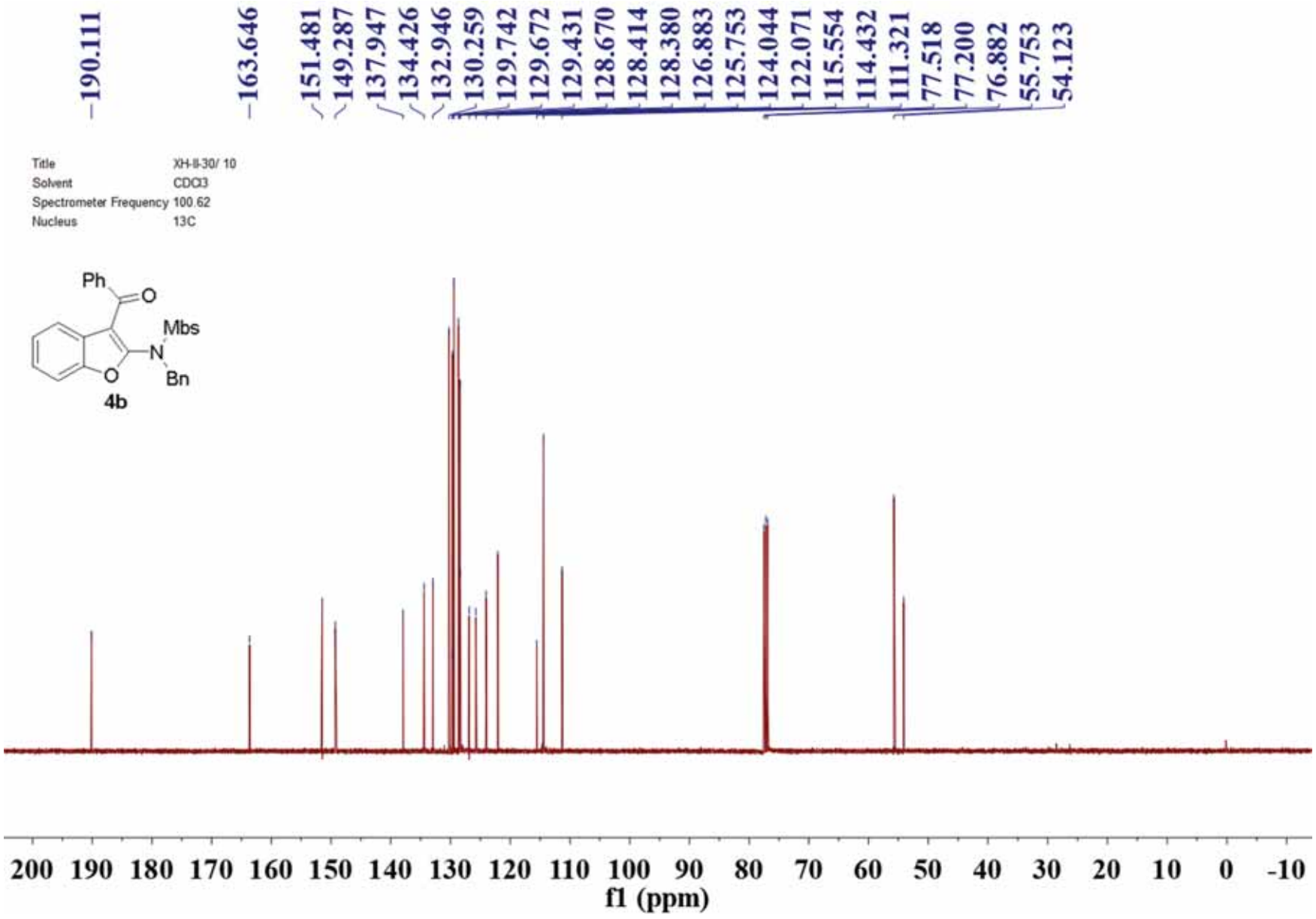
7.6420
7.5888
7.5856
7.5684
7.5647
7.5507
7.4309
7.4284
7.4254
7.4227
7.4204
7.4118
7.4098
7.4076
7.4055
7.4039
7.4021
7.3664
7.3508
7.3480
7.3458
7.3337
7.3306
7.3272
7.3157
7.3123
7.2069
7.1972
7.1953
7.1931
6.8471
6.8419
6.8299
6.8247
4.7559
3.7849
-0.0000
Title XH-II-30.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ph
O
Mbs
N
Bn
O
4b
1.99
3.02
2.03
3.05
6.03
2.02
2.00
3.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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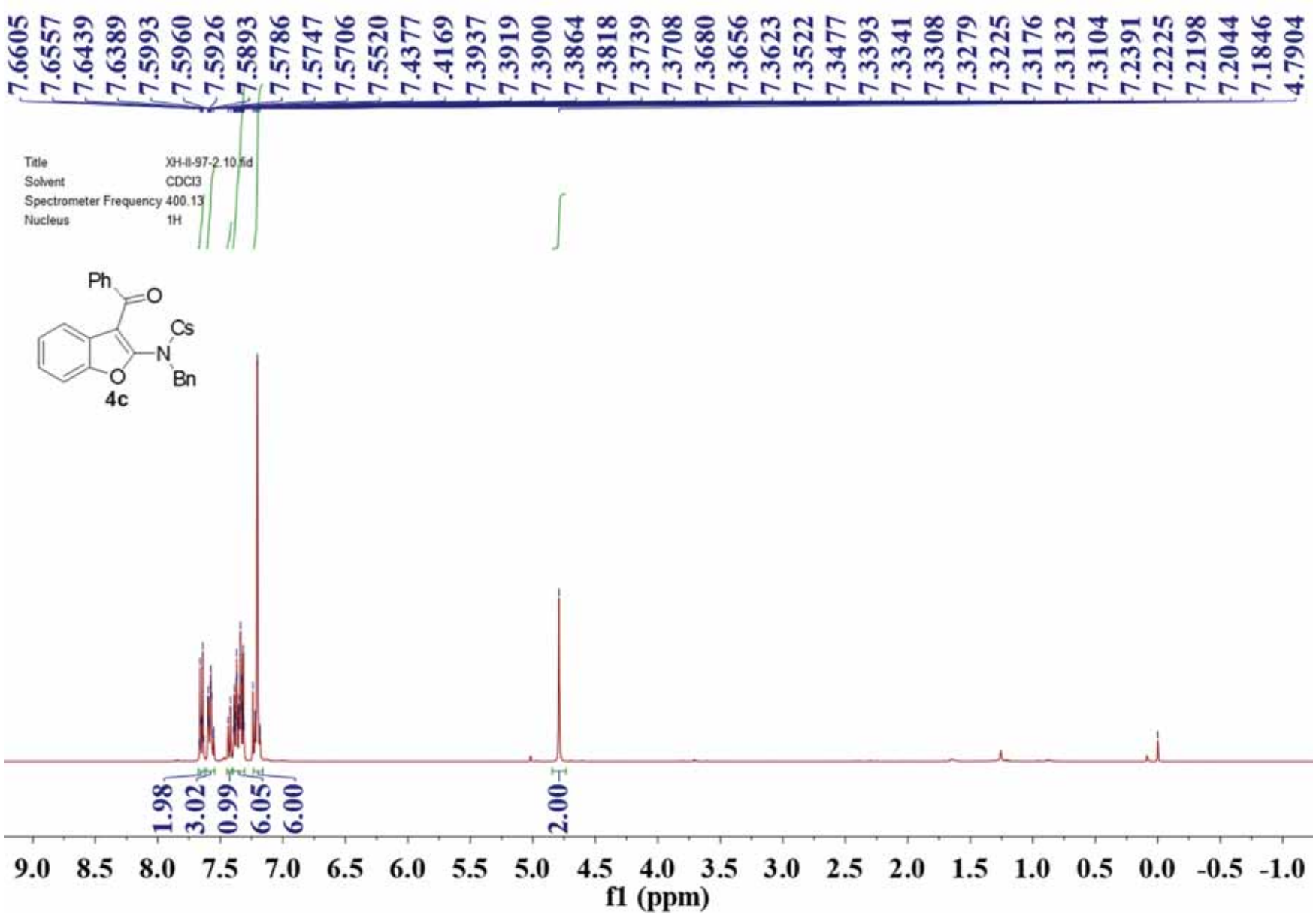
151.481
149.287
137.947
134.426
132.946
130.259
129.742
129.672
129.431
128.670
128.414
128.380
126.883
125.753
124.044
122.071
115.554
114.432
111.321
77.518
77.200
76.882
55.753
54.123
Title XH-II-30/ 10
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ph
O
Mbs
N
Bn
O
4b
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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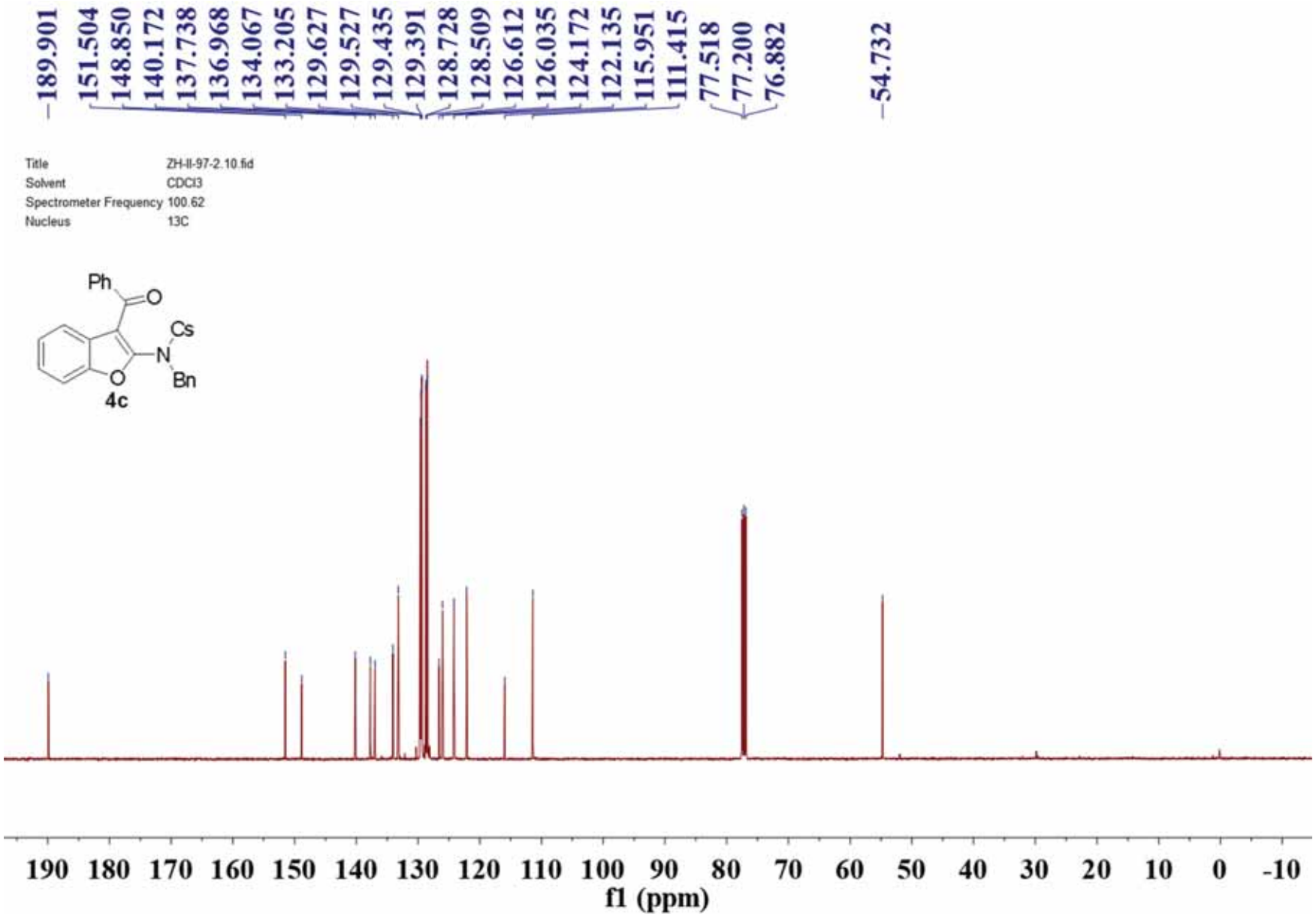
7.6557
7.6439
7.6389
7.5993
7.5960
7.5926
7.5893
7.5786
7.5747
7.5706
7.5520
7.4377
7.4169
7.3937
7.3919
7.3900
7.3864
7.3818
7.3739
7.3708
7.3680
7.3656
7.3623
7.3522
7.3477
7.3393
7.3341
7.3308
7.3279
7.3225
7.3176
7.3132
7.3104
7.2391
7.2225
7.2198
7.2044
7.1846
4.7904
Title XH-II-97-2.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ph
O
Cs
N
Bn
O
4c
1.98
3.02
0.99
6.05
6.00
2.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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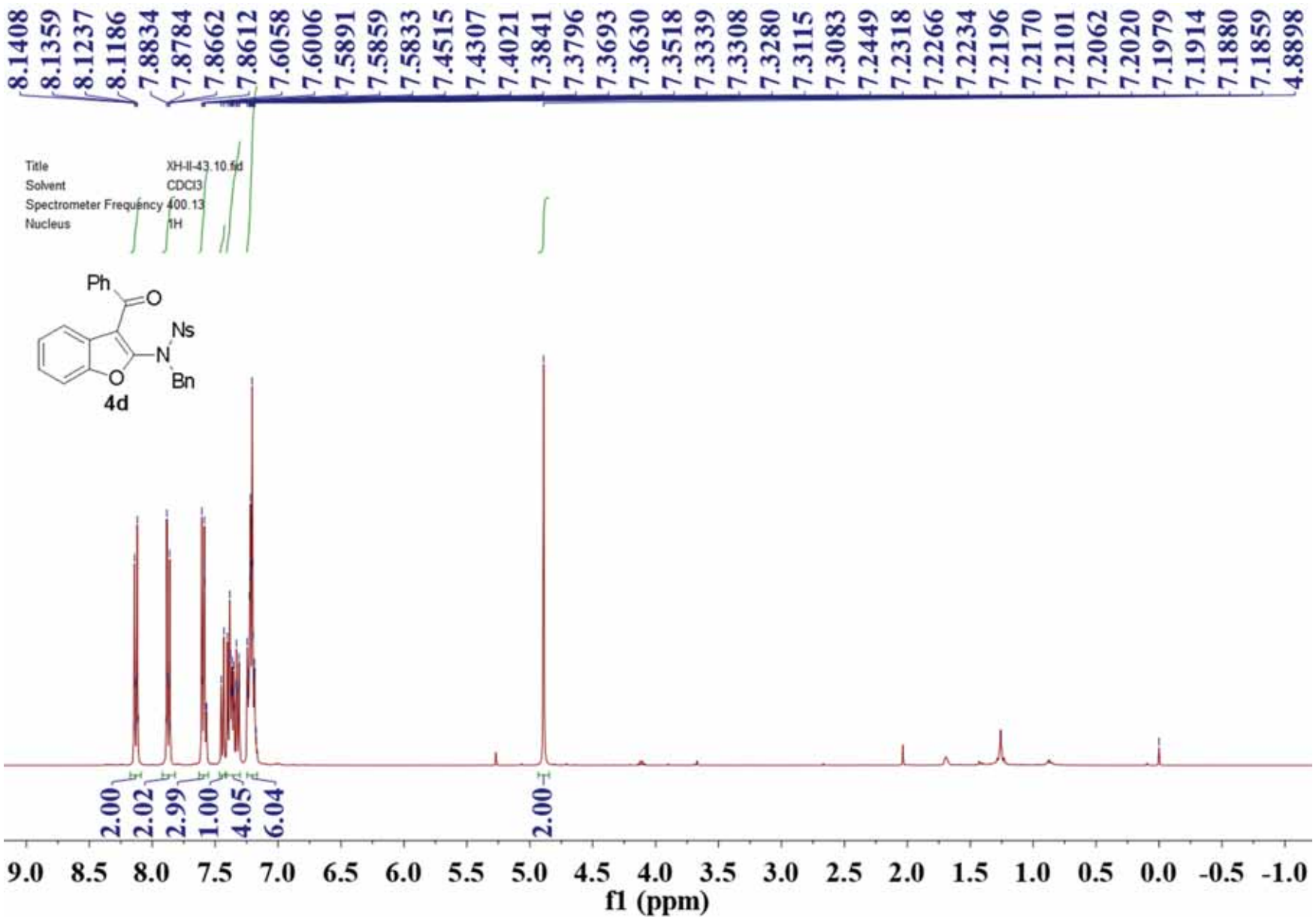
151.504
148.850
140.172
137.738
136.968
134.067
133.205
129.627
129.527
129.435
129.391
128.728
128.509
126.612
126.035
124.172
122.135
115.951
111.415
77.518
77.200
76.882
54.732
Title ZH-II-97-2.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ph
O
Cs
N
Bn
O
4c
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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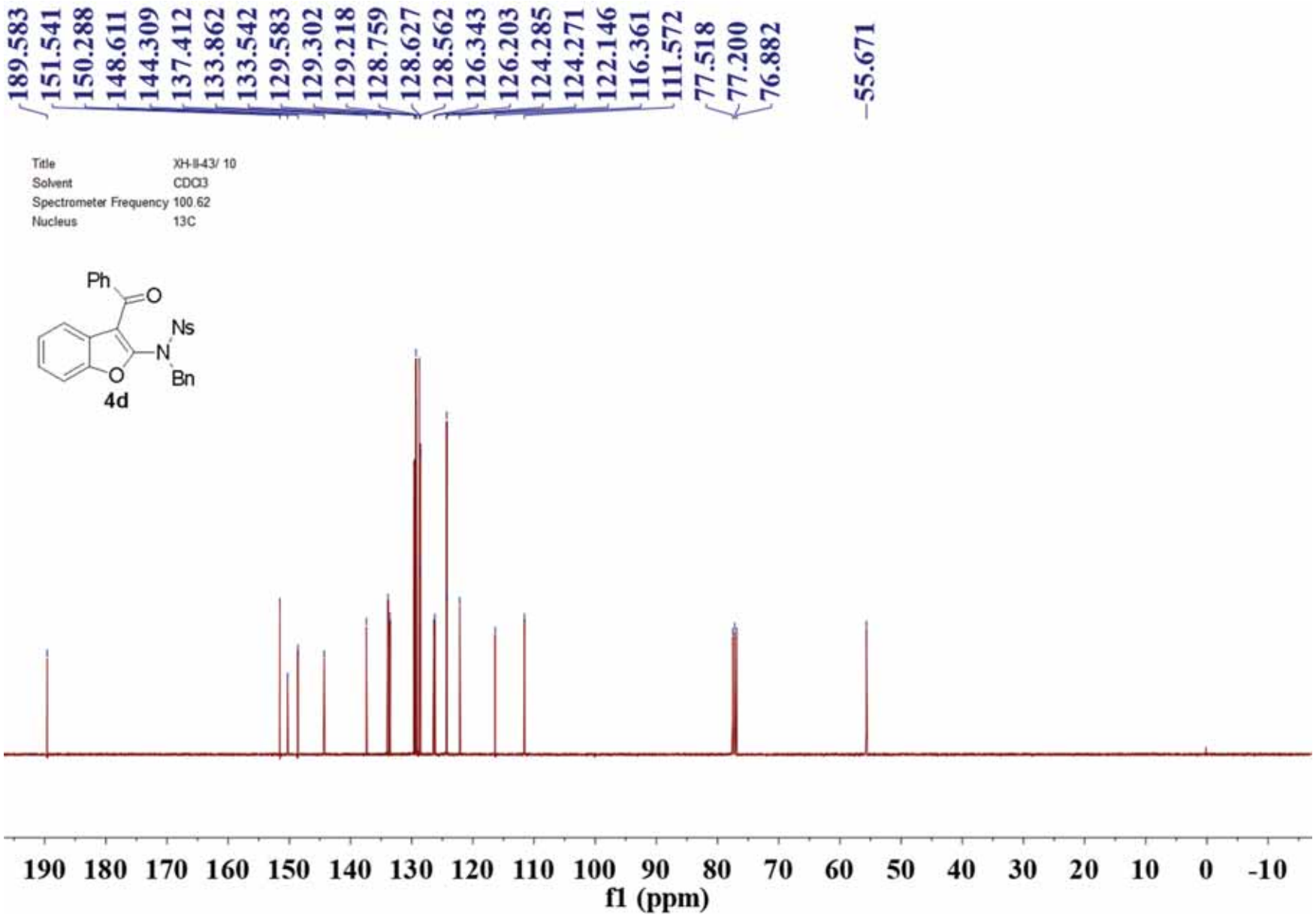
8.1237
8.1186
7.8834
7.8784
7.8662
7.8612
7.6058
7.6006
7.5891
7.5859
7.5833
7.4515
7.4307
7.4021
7.3841
7.3796
7.3693
7.3630
7.3518
7.3339
7.3308
7.3280
7.3115
7.3083
7.2449
7.2318
7.2266
7.2234
7.2196
7.2170
7.2101
7.2062
7.2020
7.1979
7.1914
7.1880
7.1859
4.8898
Title XH-II-43.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ph
O
Ns
N
Bn
O
4d
2.00
2.02
2.99
1.00
4.05
6.04
2.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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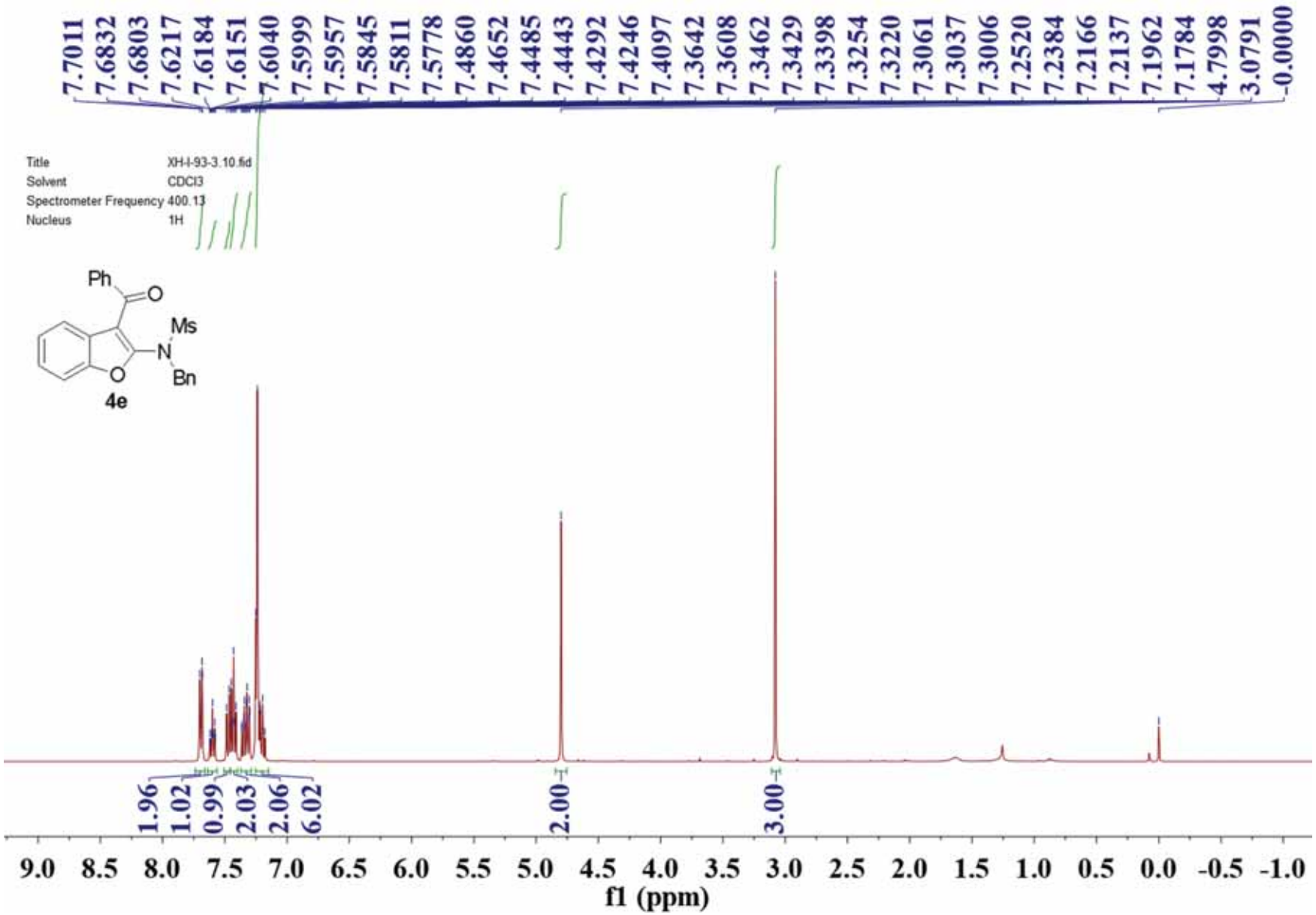
150.288
148.611
144.309
137.412
133.862
133.542
129.583
129.302
129.218
128.759
128.627
128.562
126.343
126.203
124.285
124.271
122.146
116.361
111.572
77.518
77.200
76.882
55.671
Title XH-II-43/ 10
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ph
O
Ns
N
Bn
O
4d
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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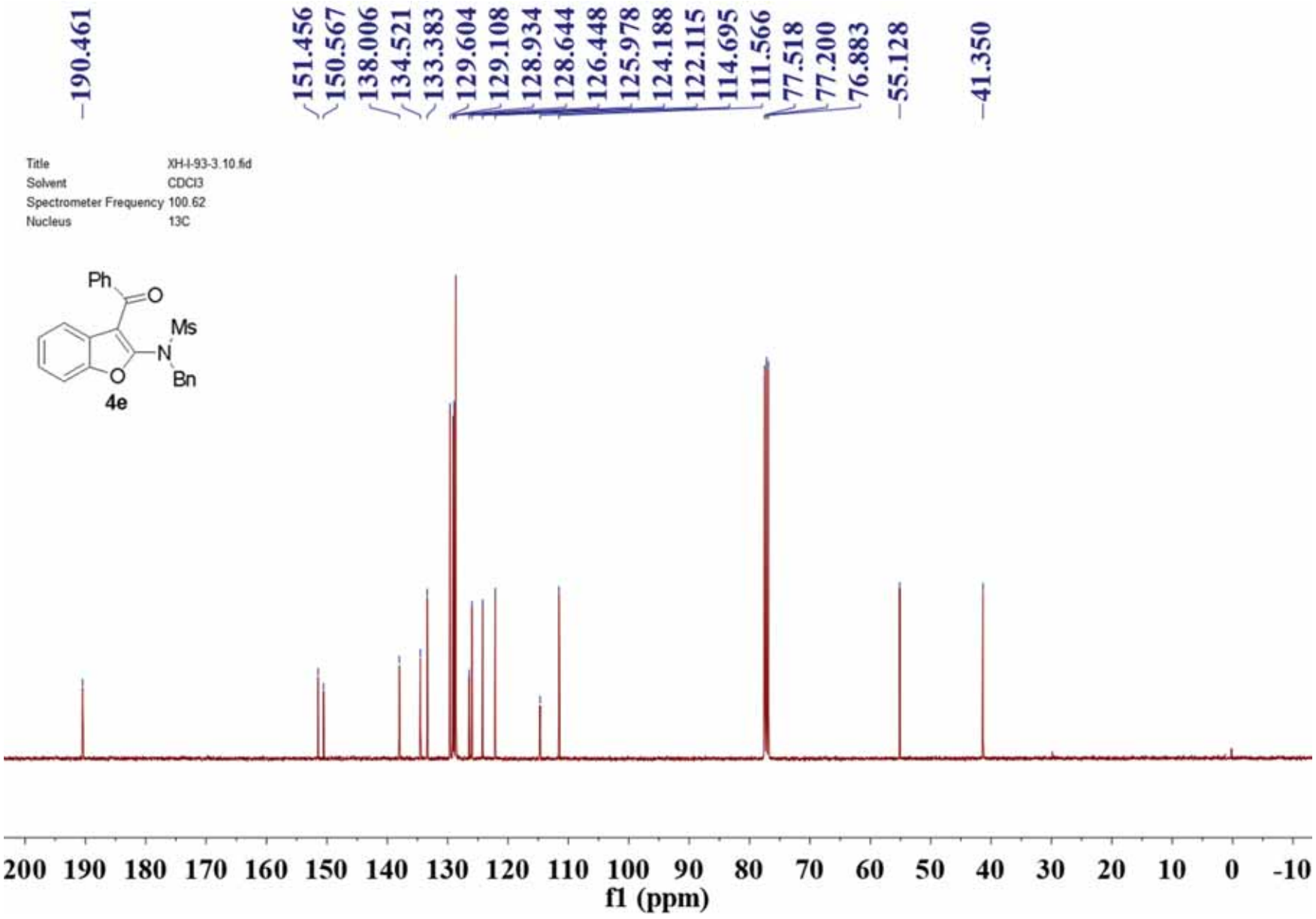
7.6803
7.6217
7.6184
7.6151
7.6040
7.5999
7.5957
7.5845
7.5811
7.5778
7.4860
7.4652
7.4485
7.4443
7.4292
7.4246
7.4097
7.3642
7.3608
7.3462
7.3429
7.3398
7.3254
7.3220
7.3061
7.3037
7.3006
7.2520
7.2384
7.2166
7.2137
7.1962
7.1784
4.7998
3.0791
-0.0000
Title XH-I-93-3.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ph
O
Ms
N
Bn
O
4e
1.96
1.02
0.99
2.03
2.06
6.02
2.00
3.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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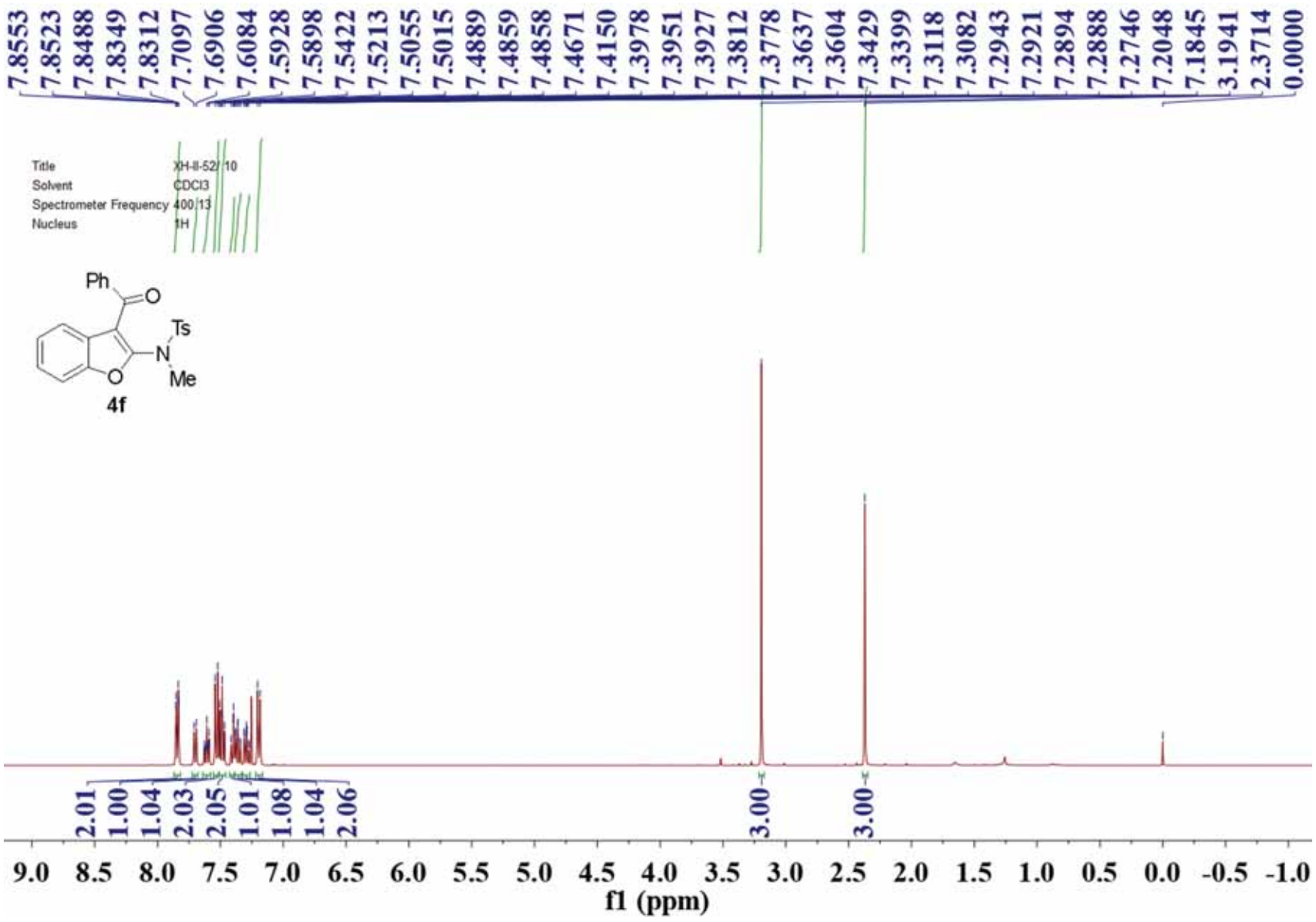
150.567
138.006
134.521
133.383
129.604
129.108
128.934
128.644
126.448
125.978
124.188
122.115
114.695
111.566
77.518
77.200
76.883
55.128
41.350
Title XH-I-93-3.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ph
O
Ms
N
O
Bn
4e
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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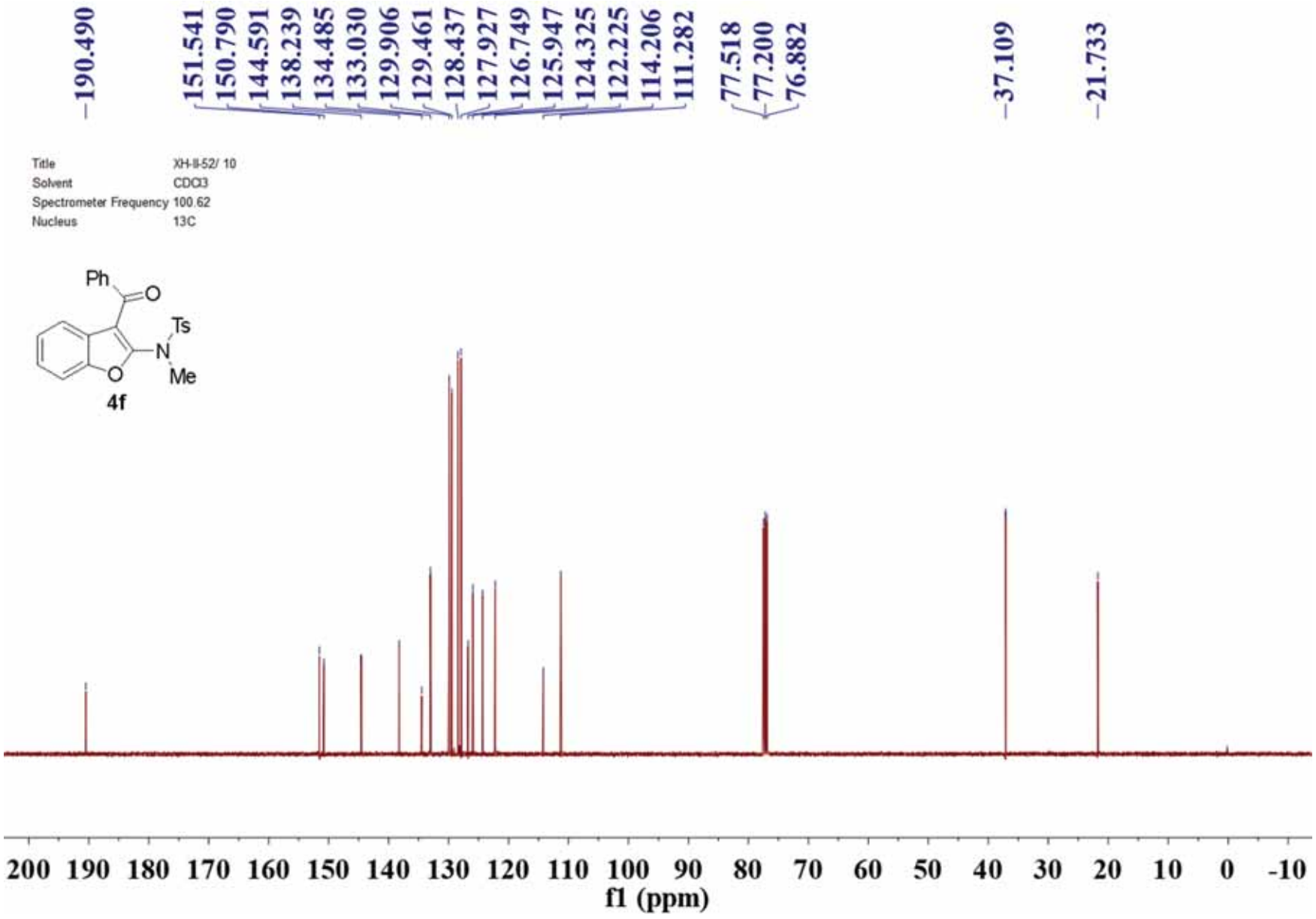
7.8349
7.8312
7.7097
7.6906
7.6084
7.5928
7.5898
7.5422
7.5213
7.5055
7.5015
7.4889
7.4859
7.4858
7.4671
7.4150
7.3978
7.3951
7.3927
7.3812
7.3778
7.3637
7.3604
7.3429
7.3399
7.3118
7.3082
7.2943
7.2921
7.2894
7.2888
7.2746
7.2048
7.1845
3.1941
2.3714
0.0000
Title XH-II-52/10
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ph
O
Ts
N
Me
O
4f
2.01
1.00
1.04
2.03
2.05
1.01
1.08
1.04
2.06
3.00
3.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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150.790
144.591
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134.485
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129.906
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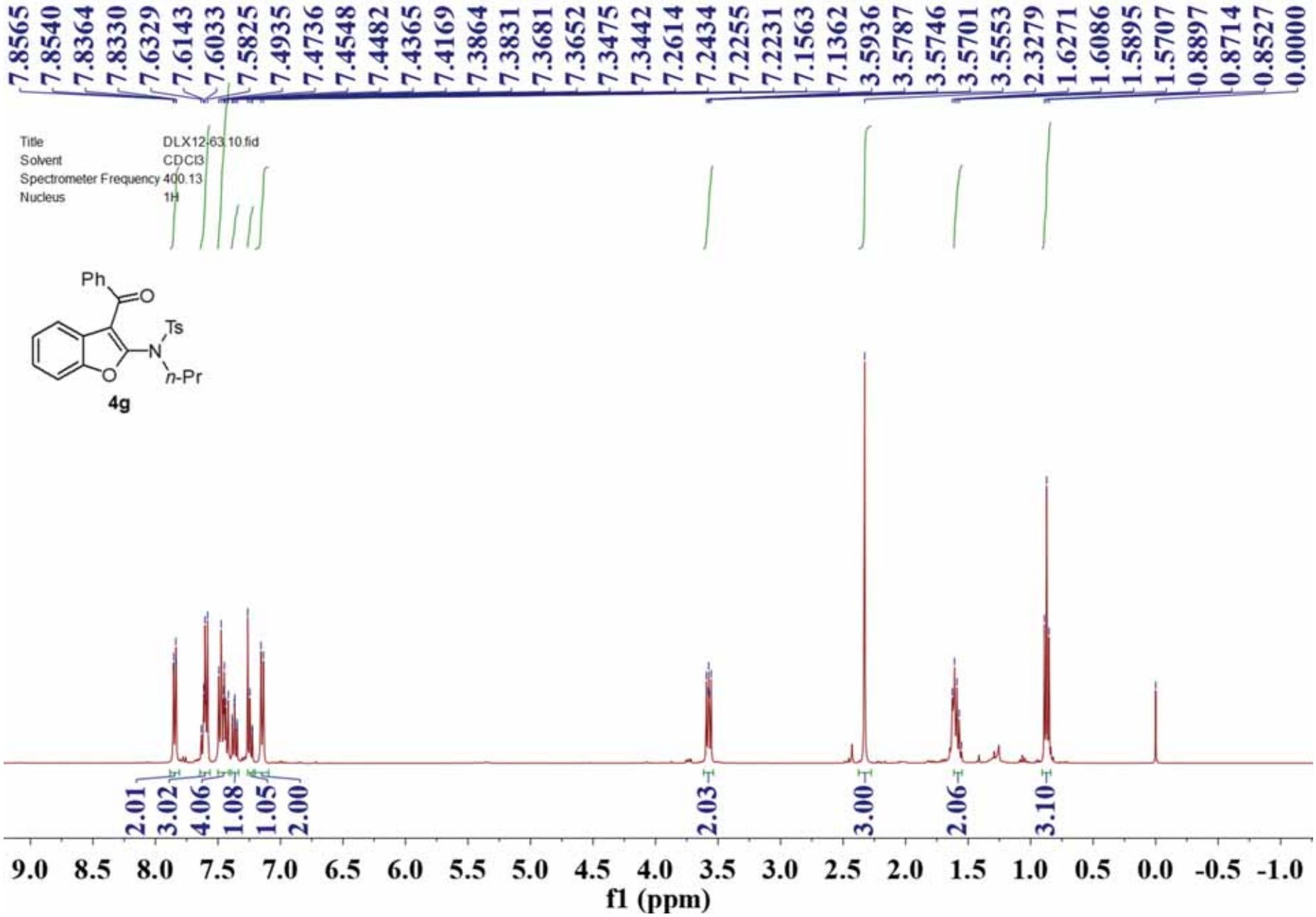
128.437
127.927
126.749
125.947
124.325
122.225
114.206
111.282
77.518
77.200
76.882
37.109
21.733
Title XH-II-52/ 10
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ph
O
Ts
N
Me
O
4f
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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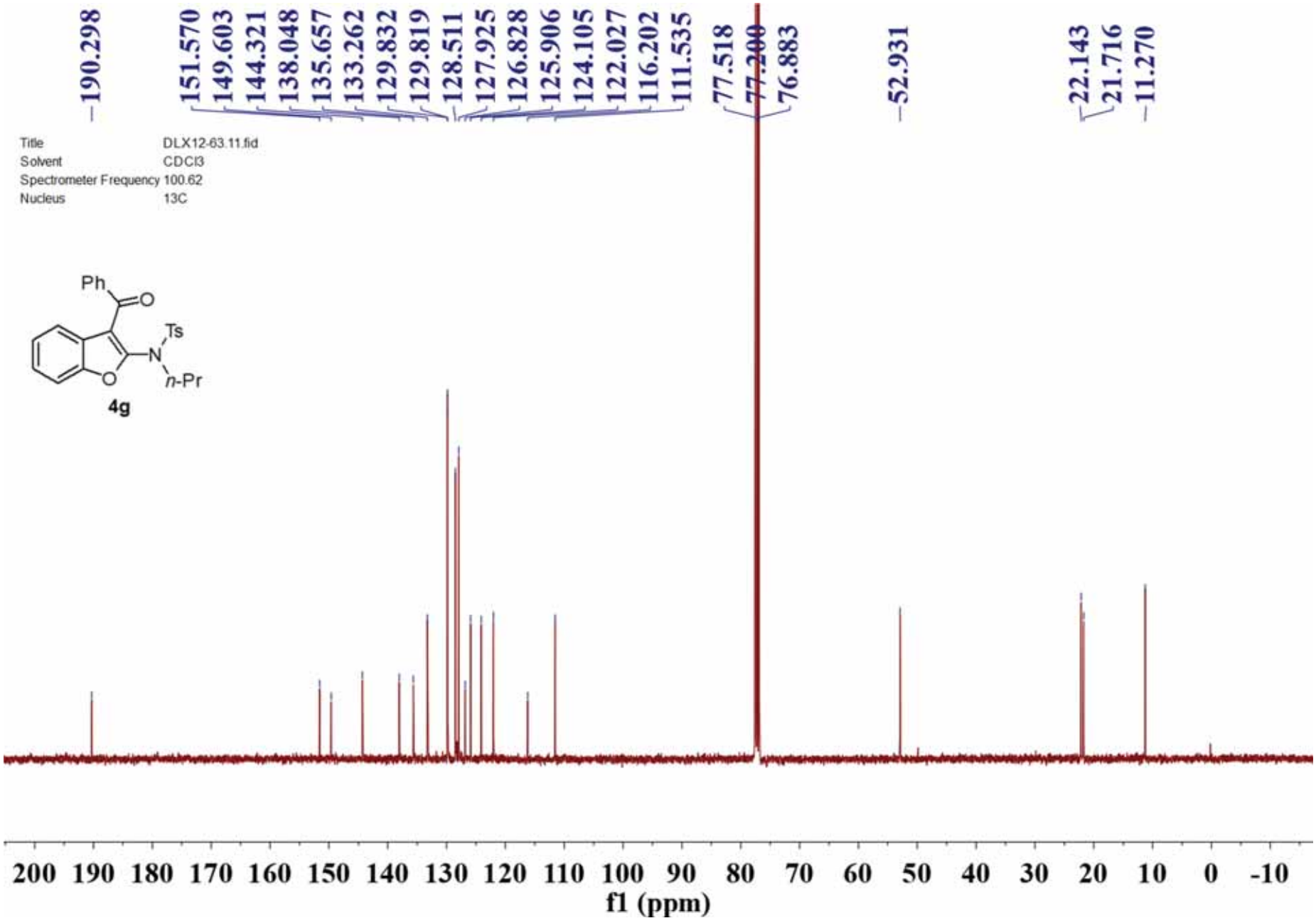
7.6033
7.5825
7.4935
7.4736
7.4548
7.4482
7.4365
7.4169
7.3864
7.3831
7.3681
7.3652
7.3475
7.3442
7.2614
7.2434
7.2255
7.2231
7.1563
7.1362
3.5936
3.5787
3.5746
3.5701
3.5553
2.3279
1.6271
1.6086
1.5895
1.5707
0.8897
0.8714
0.8527
0.0000
Title DLX12-63 10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ph
O
Ts
N
n-Pr
O
4g
2.01
3.02
4.06
1.08
1.05
2.00
2.03
3.00
2.06
3.10
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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144.321
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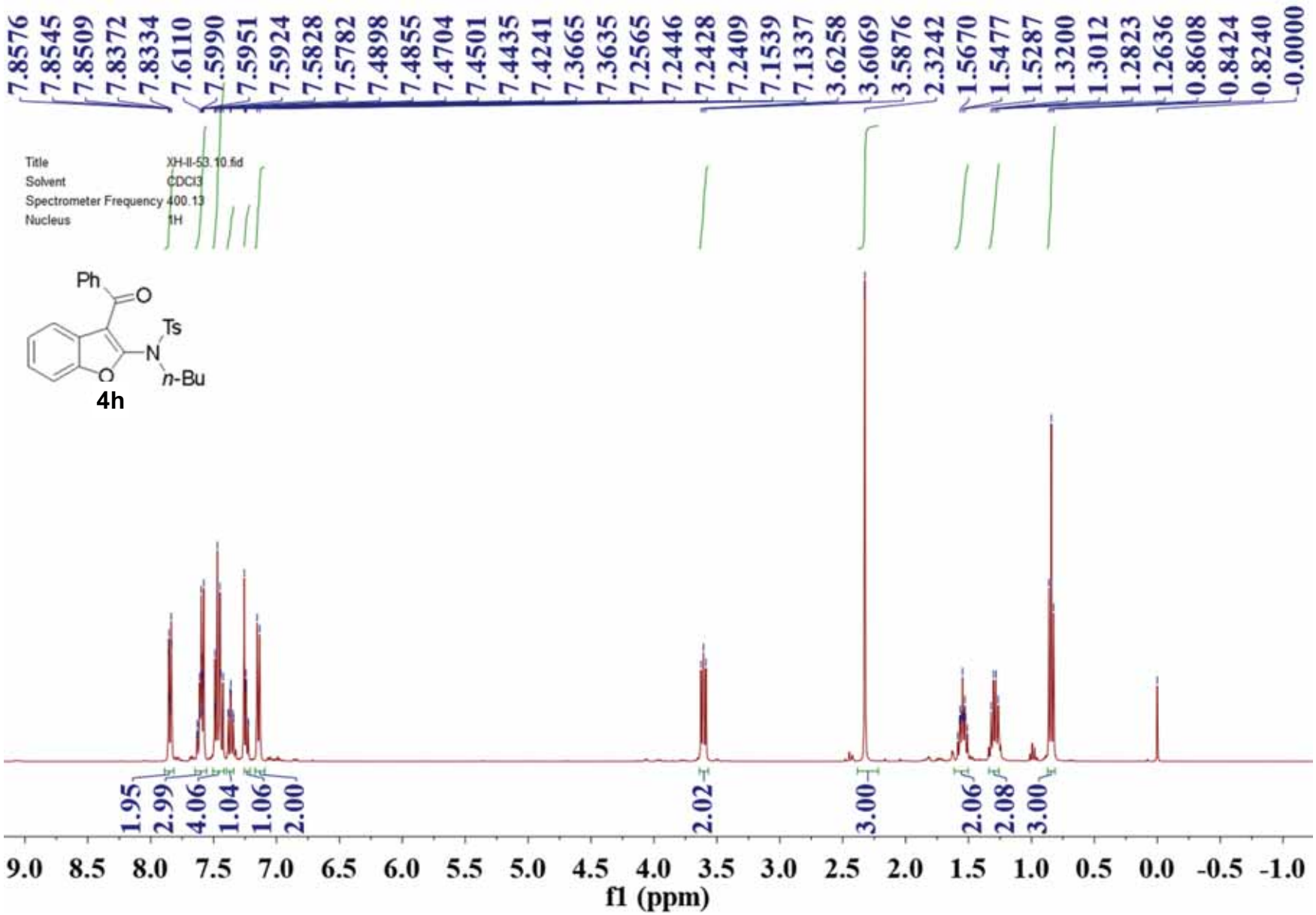
135.657
133.262
129.832
129.819
128.511
127.925
126.828
125.906
124.105
122.027
116.202
111.535
77.518
77.200
76.883
52.931
22.143
21.716
11.270
Title DLX12-63.11.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ph
O
Ts
N
n-Pr
O
4g
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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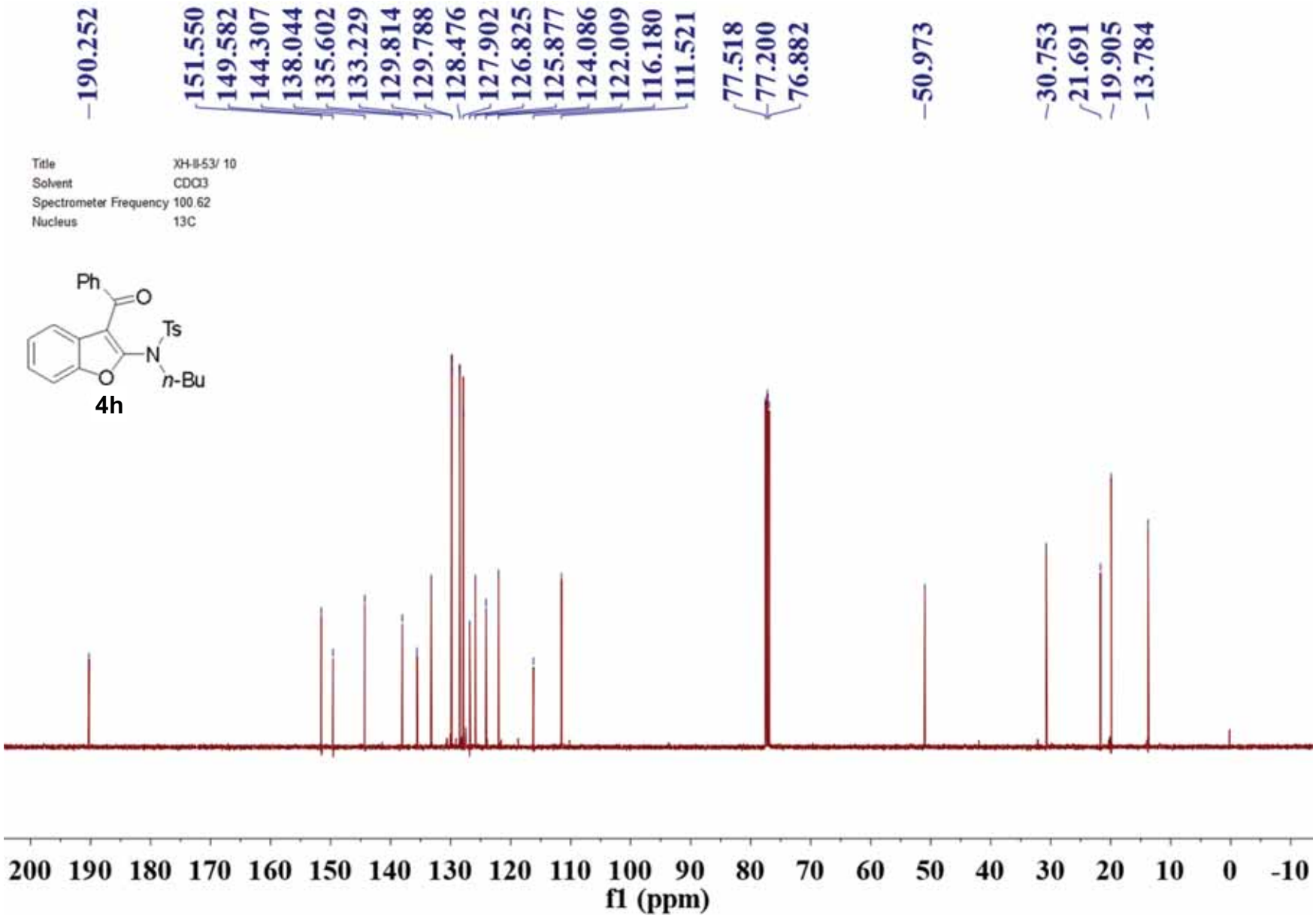
7.8372
7.8334
7.6110
7.5990
7.5951
7.5924
7.5828
7.5782
7.4898
7.4855
7.4704
7.4501
7.4435
7.4241
7.3665
7.3635
7.2565
7.2446
7.2428
7.2409
7.1539
7.1337
3.6258
3.6069
3.5876
2.3242
1.5670
1.5477
1.5287
1.3200
1.3012
1.2823
1.2636
0.8608
0.8424
0.8240
-0.0000
Title XH-II-53.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ph
O
Ts
N
n-Bu
O
4h
1.95
2.99
4.06
1.04
1.06
2.00
2.02
3.00
2.06
2.08
3.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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144.307
138.044
135.602
133.229
129.814
129.788
128.476
127.902
126.825
125.877
124.086
122.009
116.180
111.521
77.518
77.200
76.882
50.973
30.753
21.691
19.905
13.784
Title XH-II-53/ 10
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ph
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Ts
N
O
n-Bu
4h
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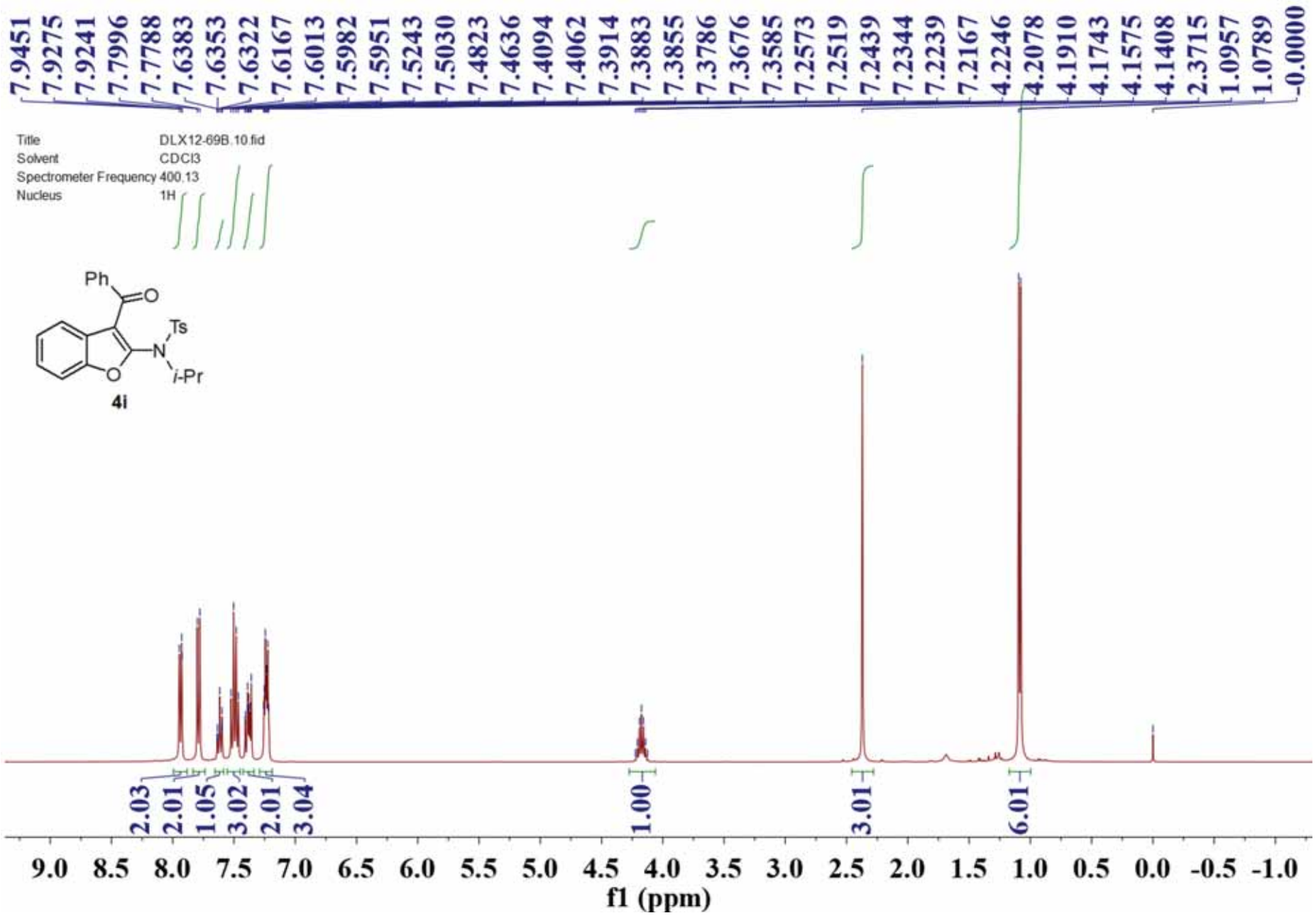
f1 (ppm)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7.6353
7.6322
7.6167
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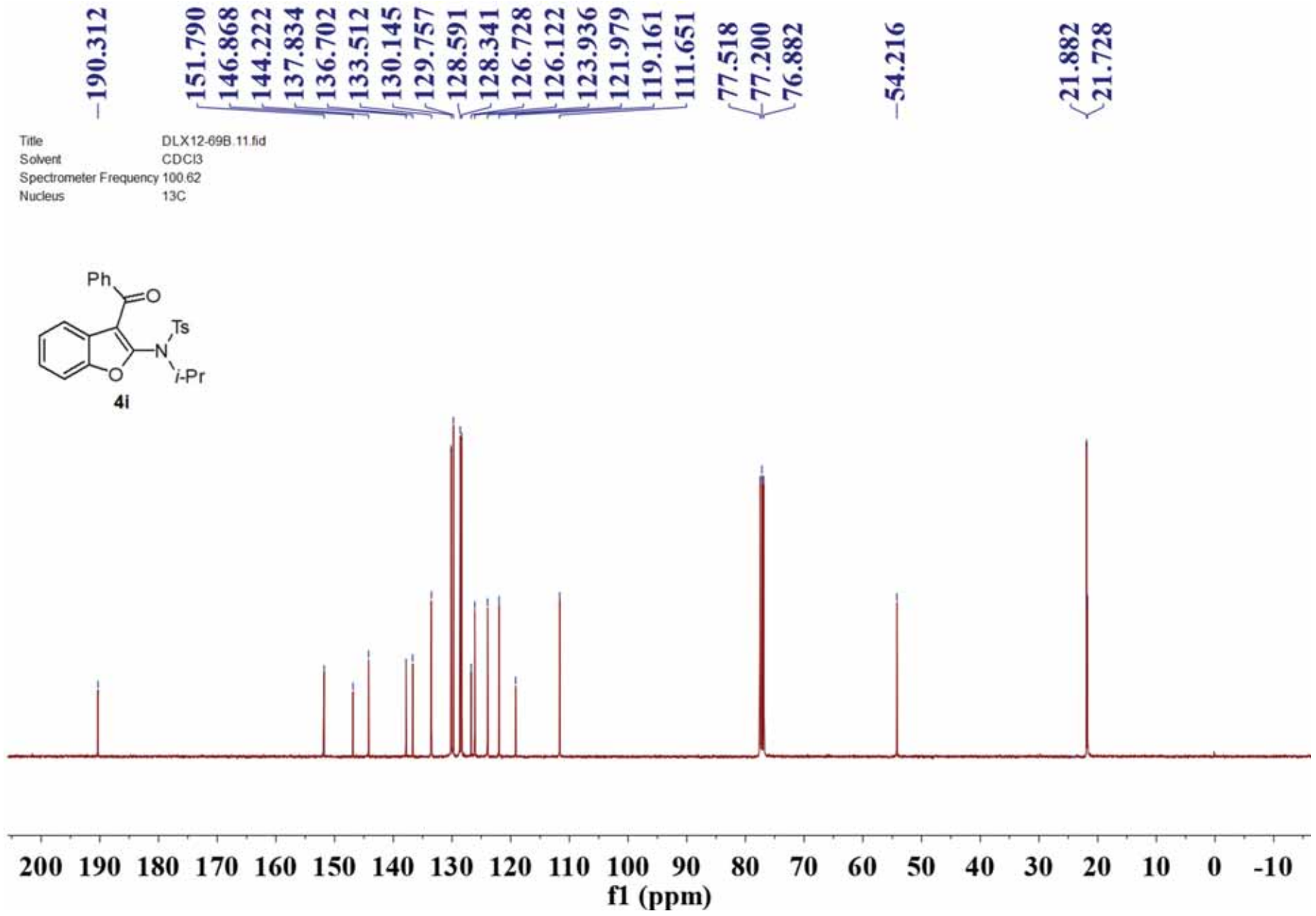
7.5982
7.5951
7.5243
7.5030
7.4823
7.4636
7.4094
7.4062
7.3914
7.3883
7.3855
7.3786
7.3676
7.3585
7.2573
7.2519
7.2439
7.2344
7.2239
7.2167
4.2246
4.2078
4.1910
4.1743
4.1575
4.1408
2.3715
1.0957
1.0789
-0.0000
Title DLX12-69B.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ph
O
Ts
N
i-Pr
O
4i
2.03
2.01
1.05
3.02
2.01
3.04
1.00
3.01
6.01
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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144.222
137.834
136.702
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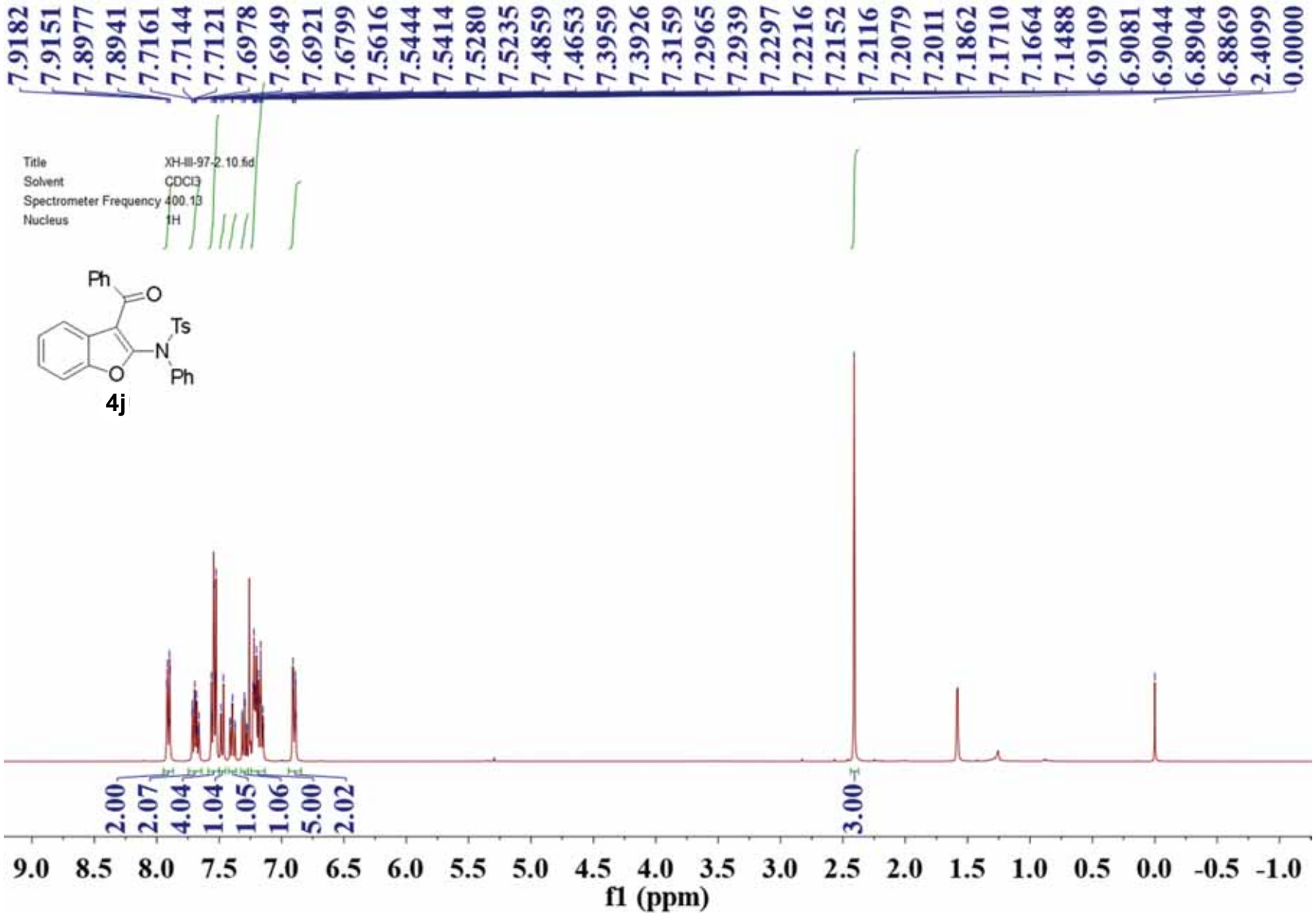
130.145
129.757
128.591
128.341
126.728
126.122
123.936
121.979
119.161
111.651
77.518
77.200
76.882
54.216
21.882
21.728
Title DLX12-69B.11.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ph
O
Ts
N
i-Pr
O
4i
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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7.6949
7.6921
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7.5414
7.5280
7.5235
7.4859
7.4653
7.3959
7.3926
7.3159
7.2965
7.2939
7.2297
7.2216
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7.1862
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7.1664
7.1488
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6.9081
6.9044
6.8904
6.8869
2.4099
0.0000
Title XH-III-97-2_10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ph
O
Ts
N
O
Ph
4j
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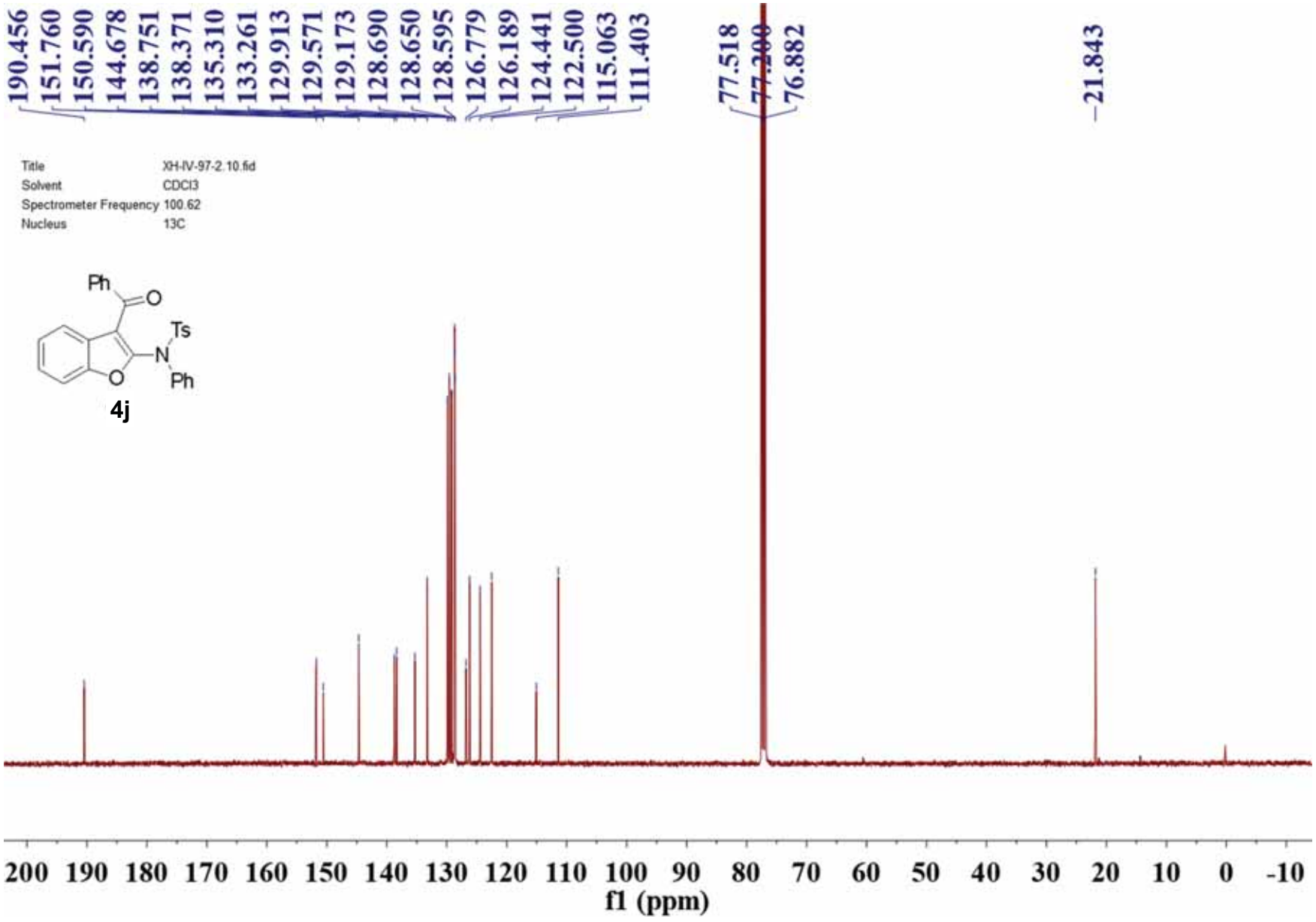
2.07
4.04
1.04
1.05
1.06
5.00
2.02
3.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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138.371
135.310
133.261
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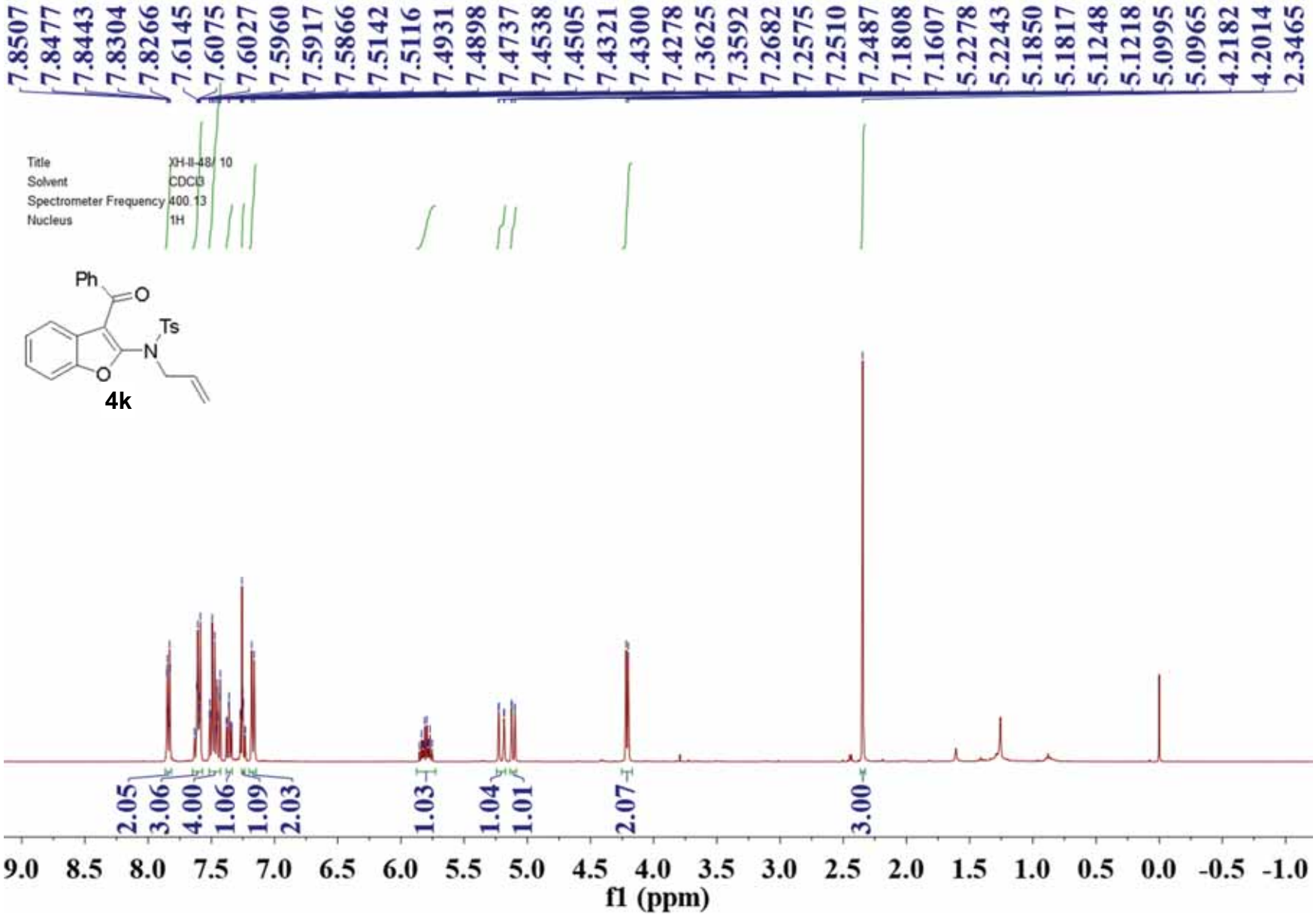
129.571
129.173
128.690
128.650
128.595
126.779
126.189
124.441
122.500
115.063
111.403
77.518
77.200
76.882
21.843
Title XH-IV-97-2.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ph
O
Ts
N
O
Ph
4j
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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7.8266
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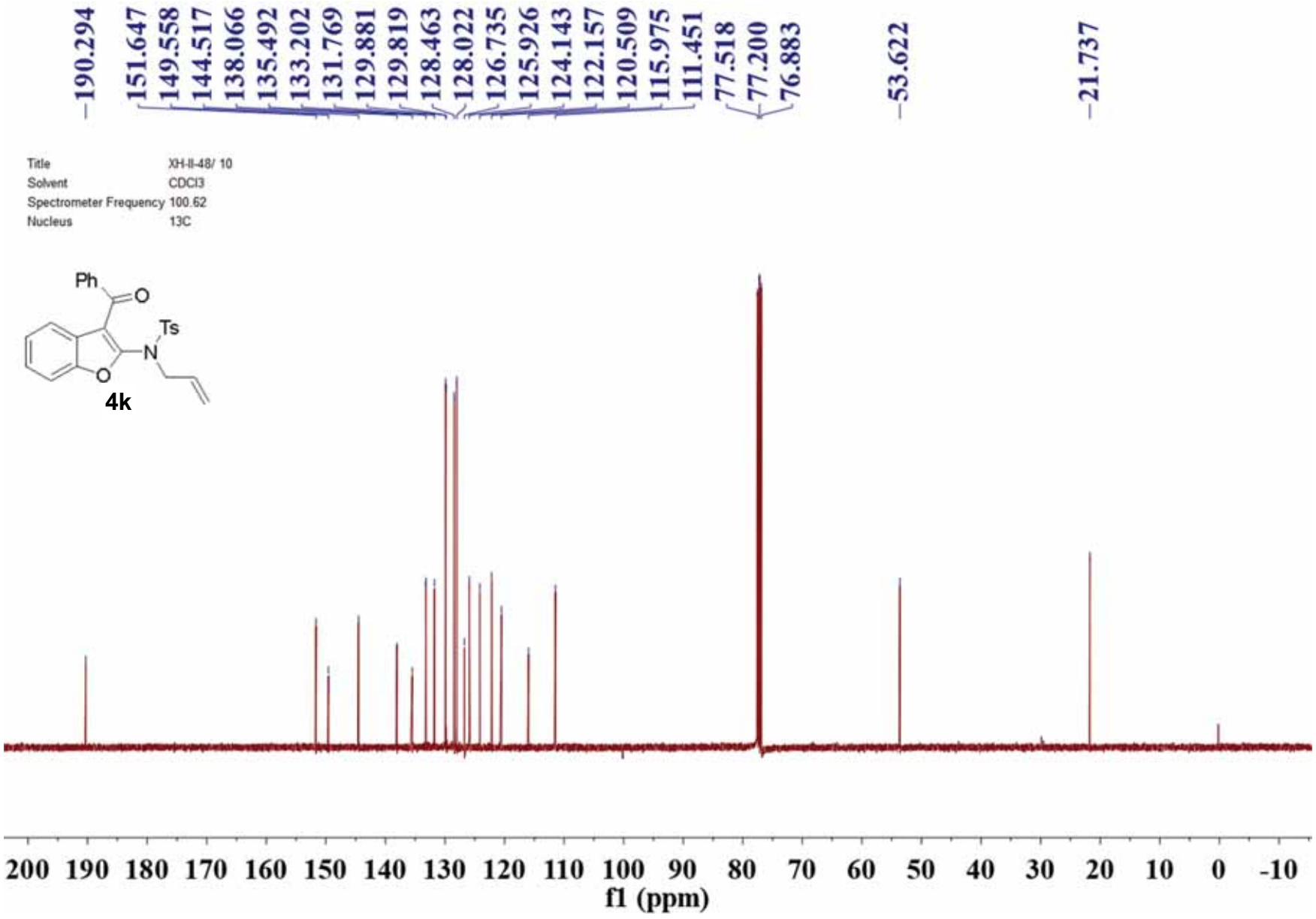
7.6075
7.6027
7.5960
7.5917
7.5866
7.5142
7.5116
7.4931
7.4898
7.4737
7.4538
7.4505
7.4321
7.4300
7.4278
7.3625
7.3592
7.2682
7.2575
7.2510
7.2487
7.1808
7.1607
5.2278
5.2243
5.1850
5.1817
5.1248
5.1218
5.0995
5.0965
4.2182
4.2014
2.3465
Title
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ph
O
Ts
N
O
4k
2.05
3.06
4.00
1.06
1.09
2.03
1.03
1.04
1.01
2.07
3.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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131.769
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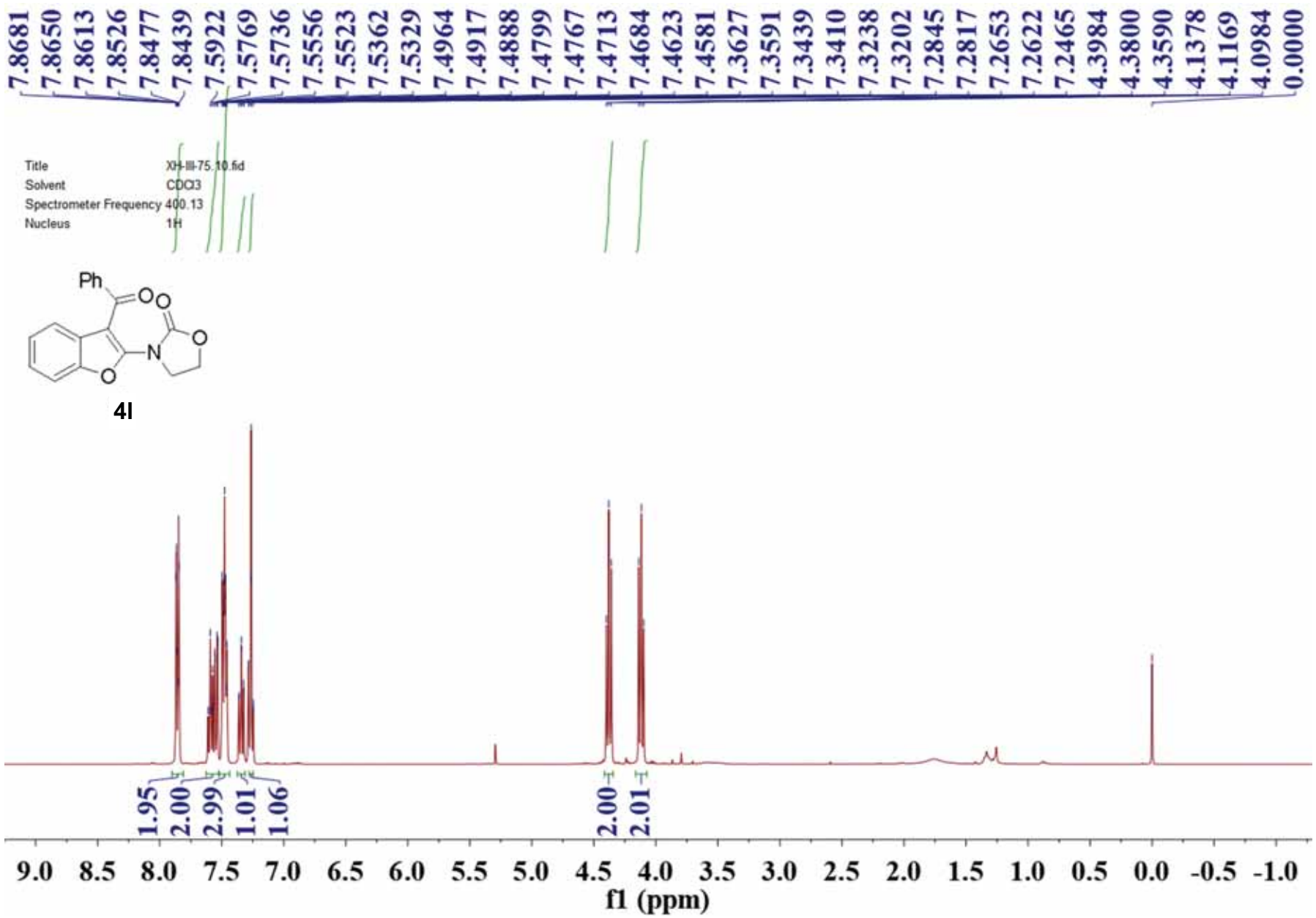
128.463
128.022
126.735
125.926
124.143
122.157
120.509
115.975
111.451
77.518
77.200
76.883
53.622
21.737
Title XH-II-48/ 10
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ph
O
Ts
N
O
4k
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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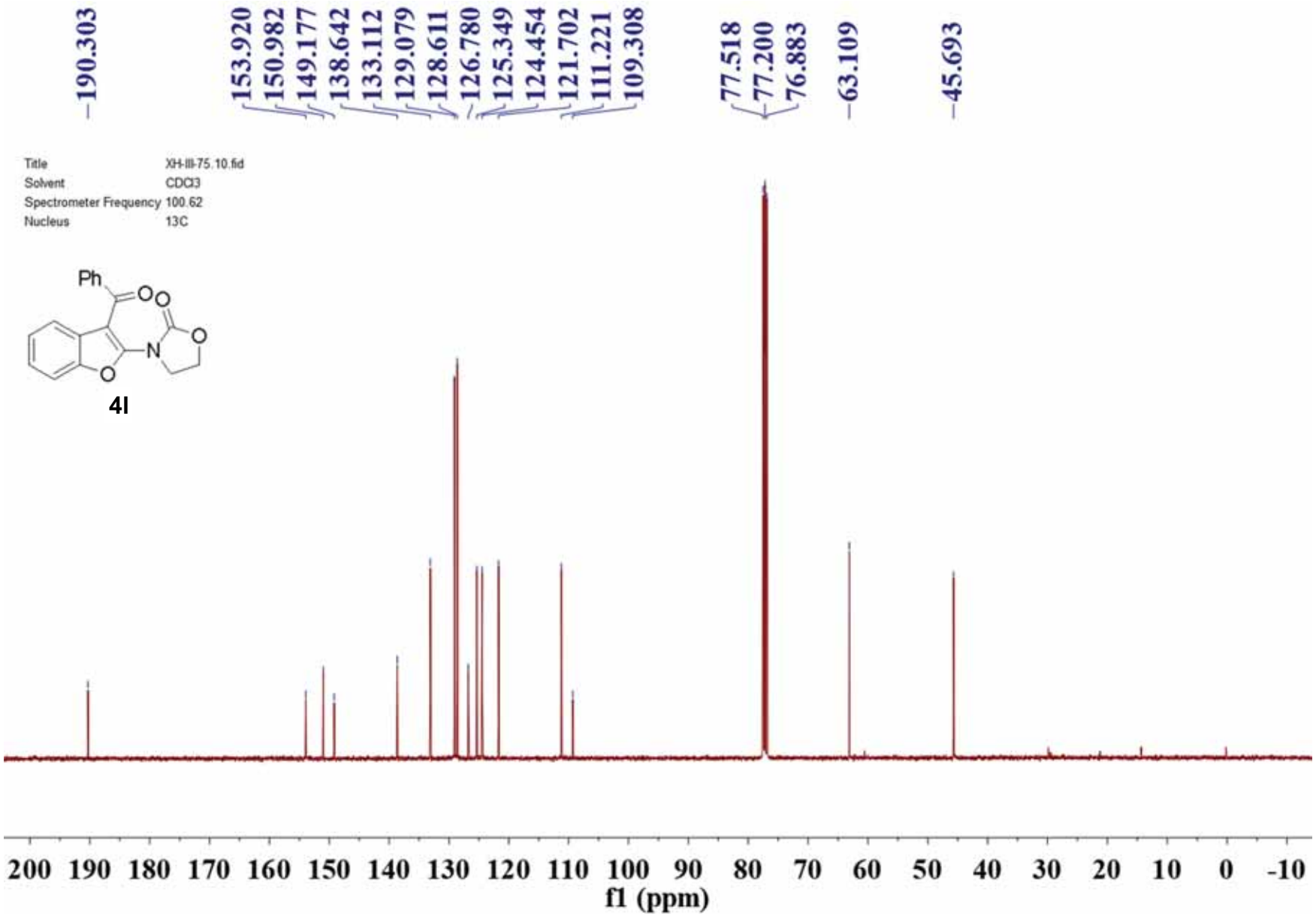
7.8613
7.8526
7.8477
7.8439
7.5922
7.5769
7.5736
7.5556
7.5523
7.5362
7.5329
7.4964
7.4917
7.4888
7.4799
7.4767
7.4713
7.4684
7.4623
7.4581
7.3627
7.3591
7.3439
7.3410
7.3238
7.3202
7.2845
7.2817
7.2653
7.2622
7.2465
4.3984
4.3800
4.3590
4.1378
4.1169
4.0984
0.0000
Title XH-III-75.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ph
O
O
O
N
O
4l
1.95
2.00
2.99
1.01
1.06
2.00
2.01
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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150.982
149.177
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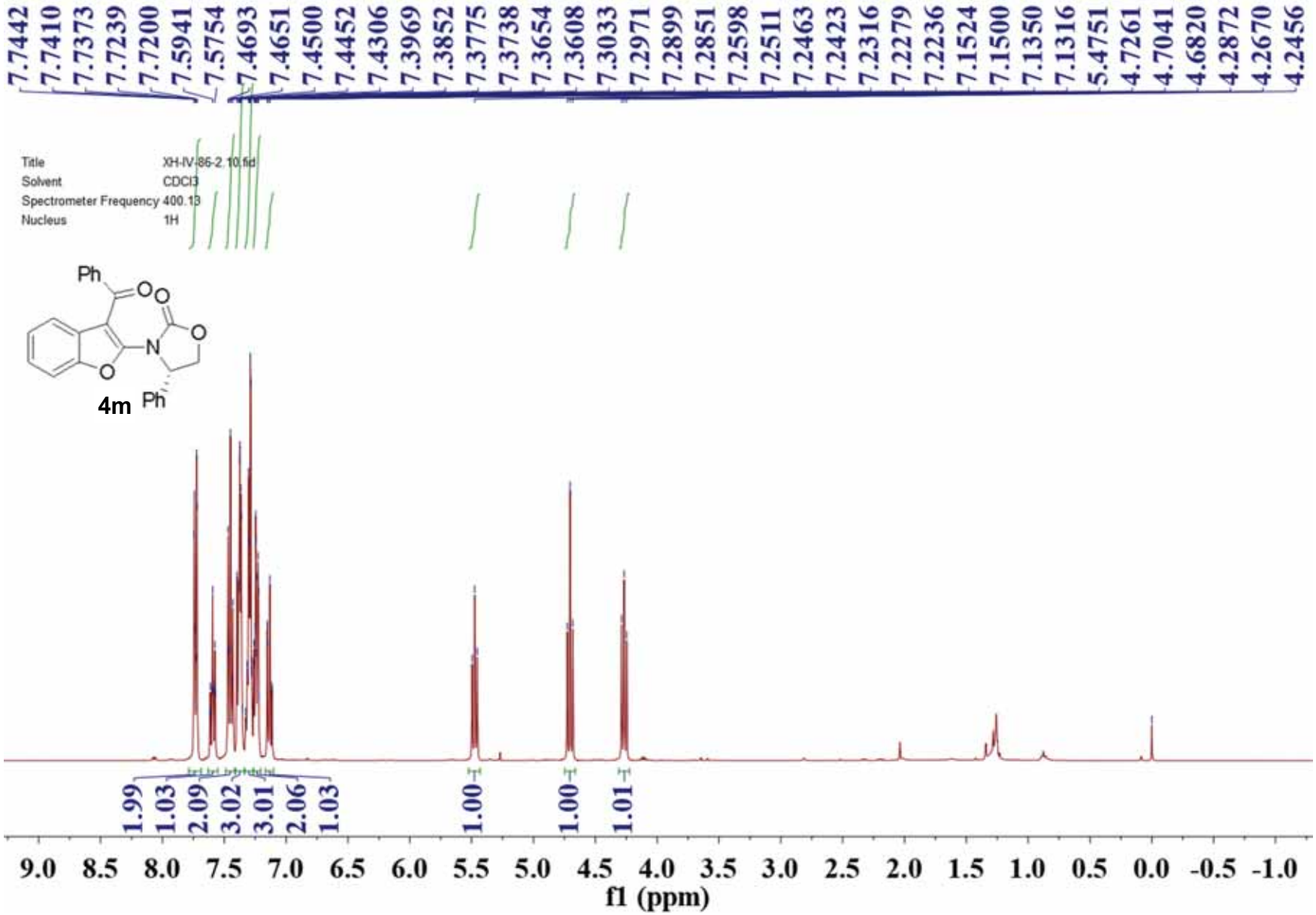
129.079
128.611
126.780
125.349
124.454
121.702
111.221
109.308
77.518
77.200
76.883
63.109
45.693
Title XH-III-75.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ph
O
O
O
N
O
4l
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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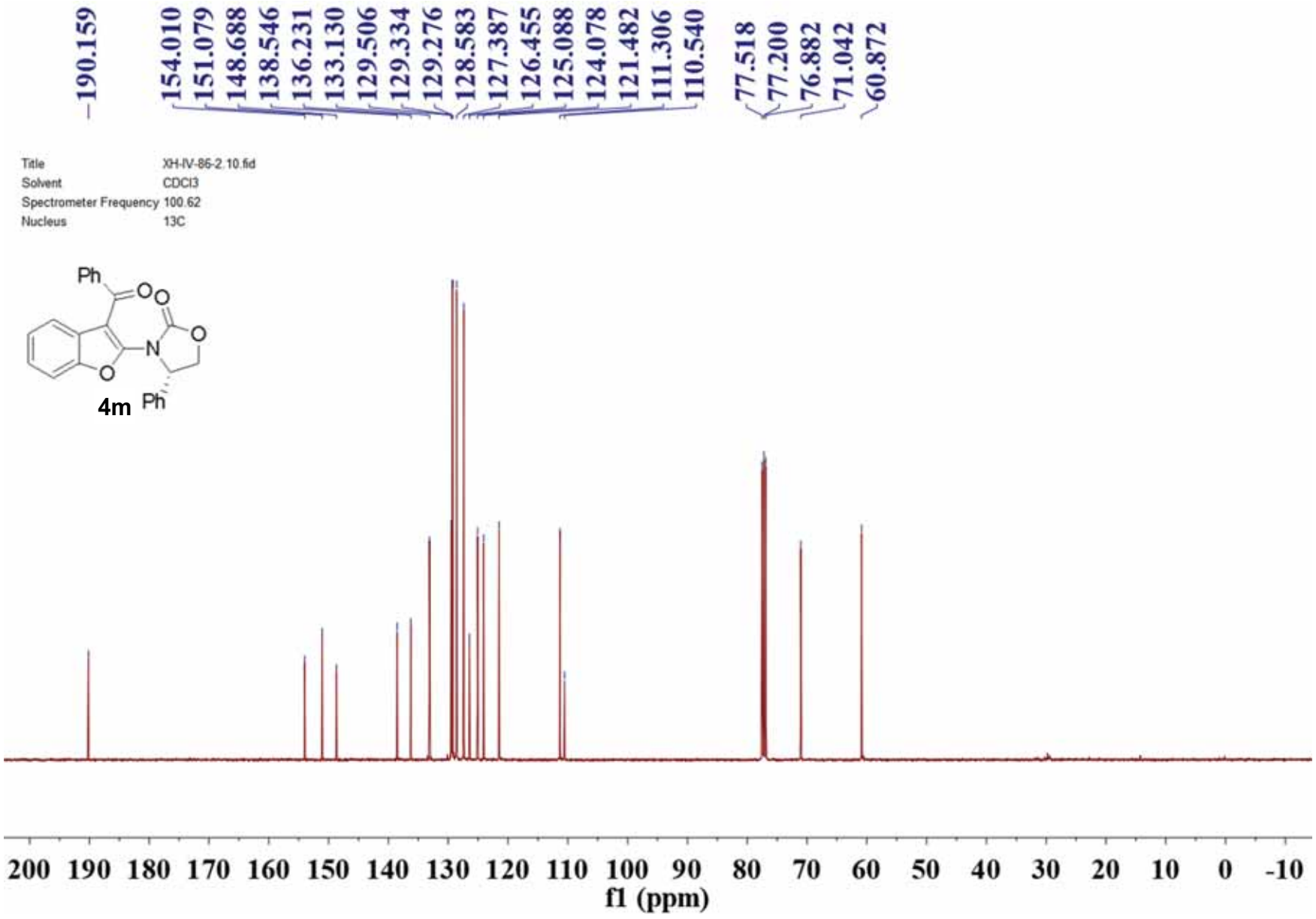
7.7410
7.7373
7.7239
7.7200
7.5941
7.5754
7.4693
7.4651
7.4500
7.4452
7.4306
7.3969
7.3852
7.3775
7.3738
7.3654
7.3608
7.3033
7.2971
7.2899
7.2851
7.2598
7.2511
7.2463
7.2423
7.2316
7.2279
7.2236
7.1524
7.1500
7.1350
7.1316
5.4751
4.7261
4.7041
4.6820
4.2872
4.2670
4.2456
Title XH-IV-86-2_10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ph
O
O
O
N
O
Ph
4m
1.99
1.03
2.09
3.02
3.01
2.06
1.03
1.00
1.00
1.01
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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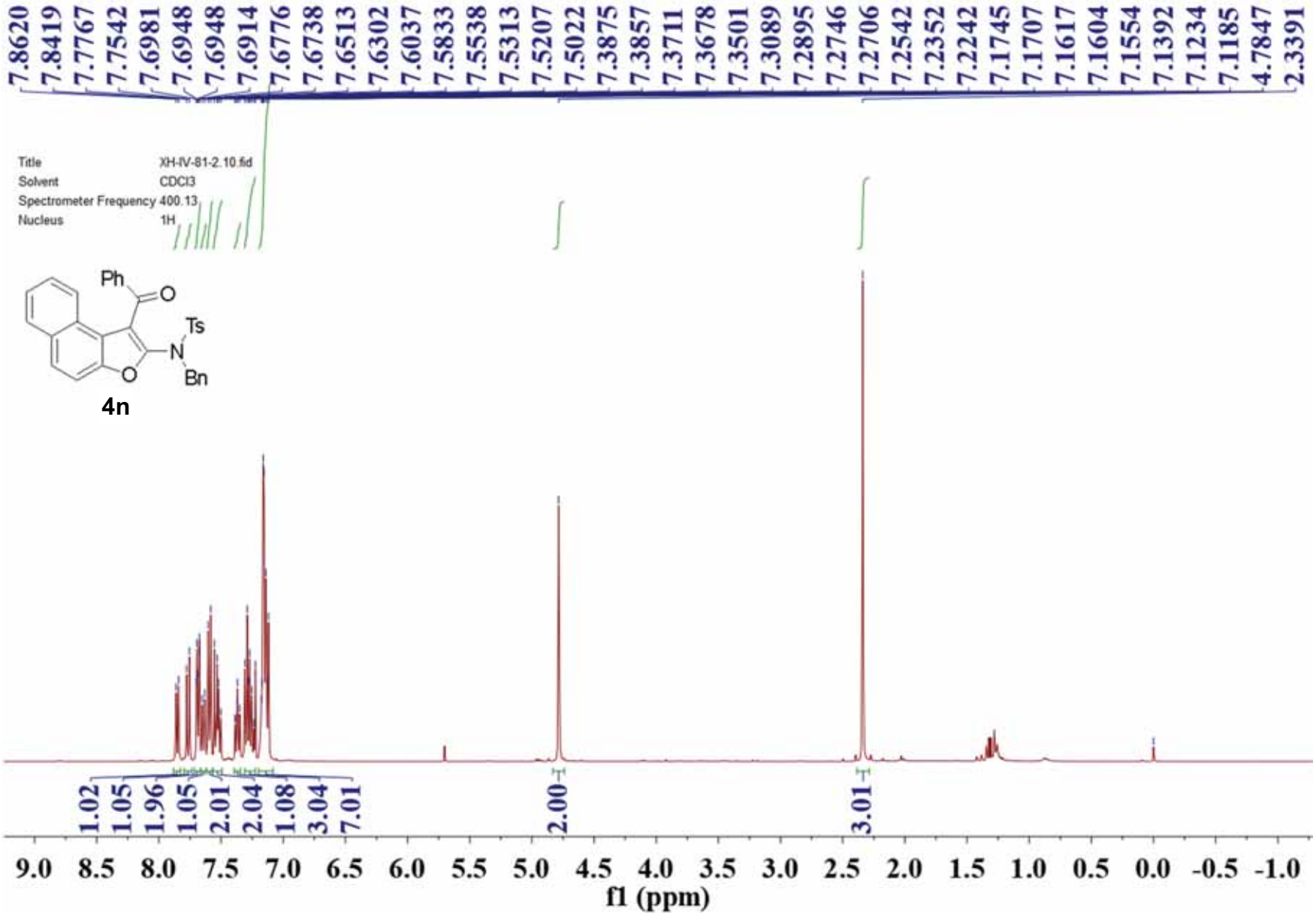
138.546
136.231
133.130
129.506
129.334
129.276
128.583
127.387
126.455
125.088
124.078
121.482
111.306
110.540
77.518
77.200
76.882
71.042
60.872
Title XH-IV-86-2.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ph
O
O
O
N
O
Ph
4m
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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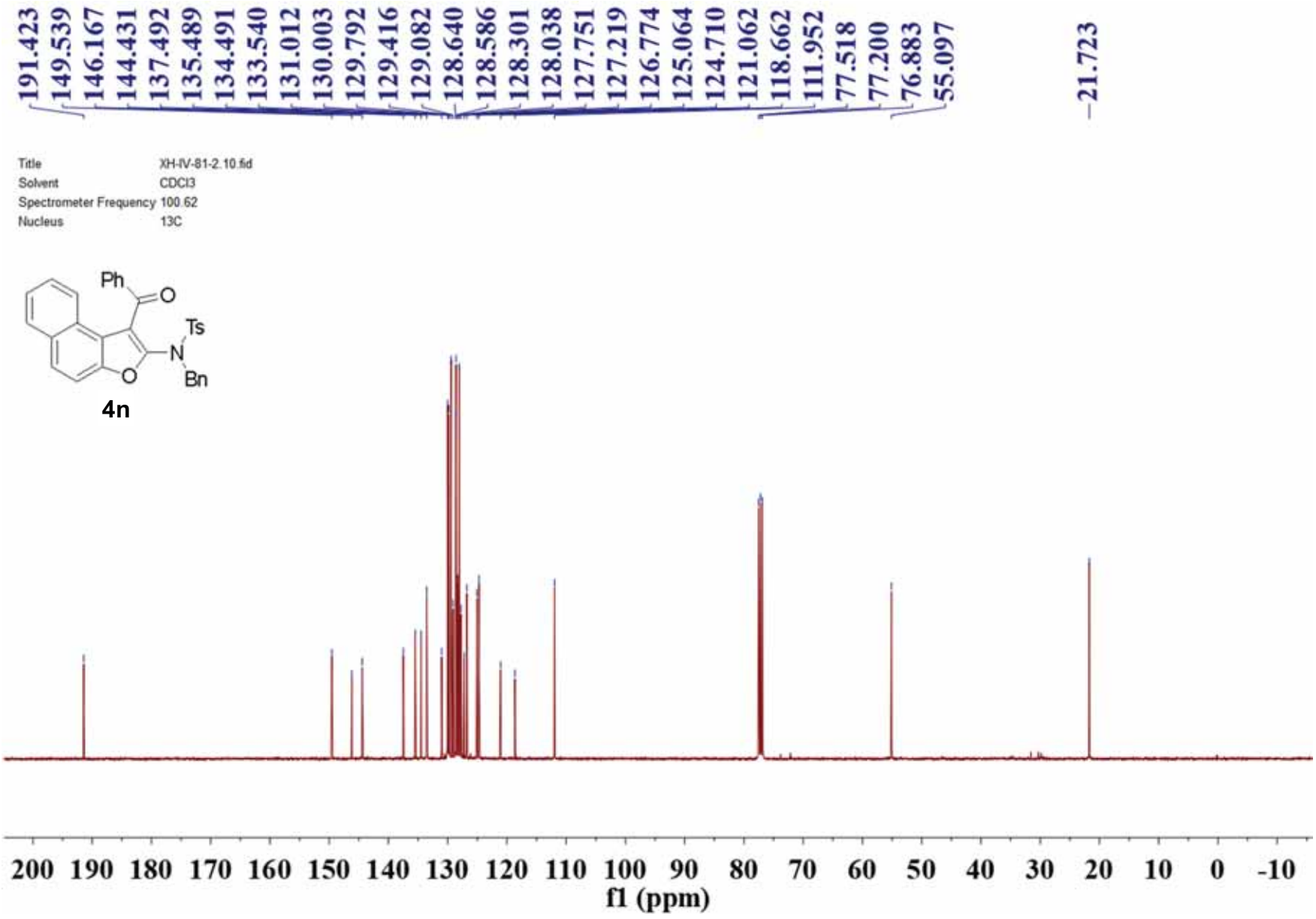
7.6981
7.6948
7.6948
7.6914
7.6776
7.6738
7.6513
7.6302
7.6037
7.5833
7.5538
7.5313
7.5207
7.5022
7.3875
7.3857
7.3711
7.3678
7.3501
7.3089
7.2895
7.2746
7.2706
7.2542
7.2352
7.2242
7.1745
7.1707
7.1617
7.1604
7.1554
7.1392
7.1234
7.1185
4.7847
2.3391
Title XH-IV-81-2.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ph
O
Ts
N
O
Bn
4n
1.02
1.05
1.96
1.05
2.01
2.04
1.08
3.04
7.01
2.00
3.01
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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133.540
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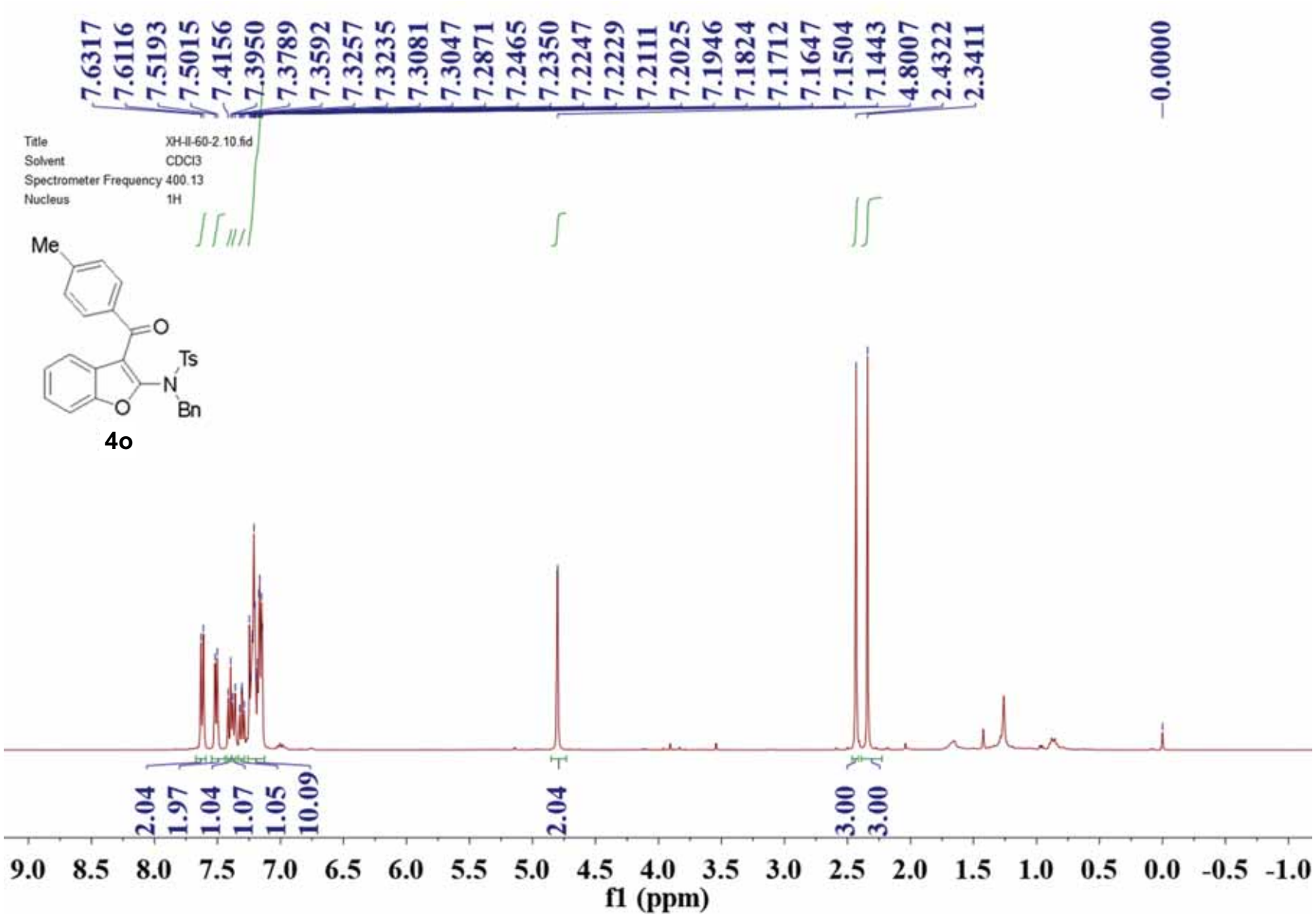
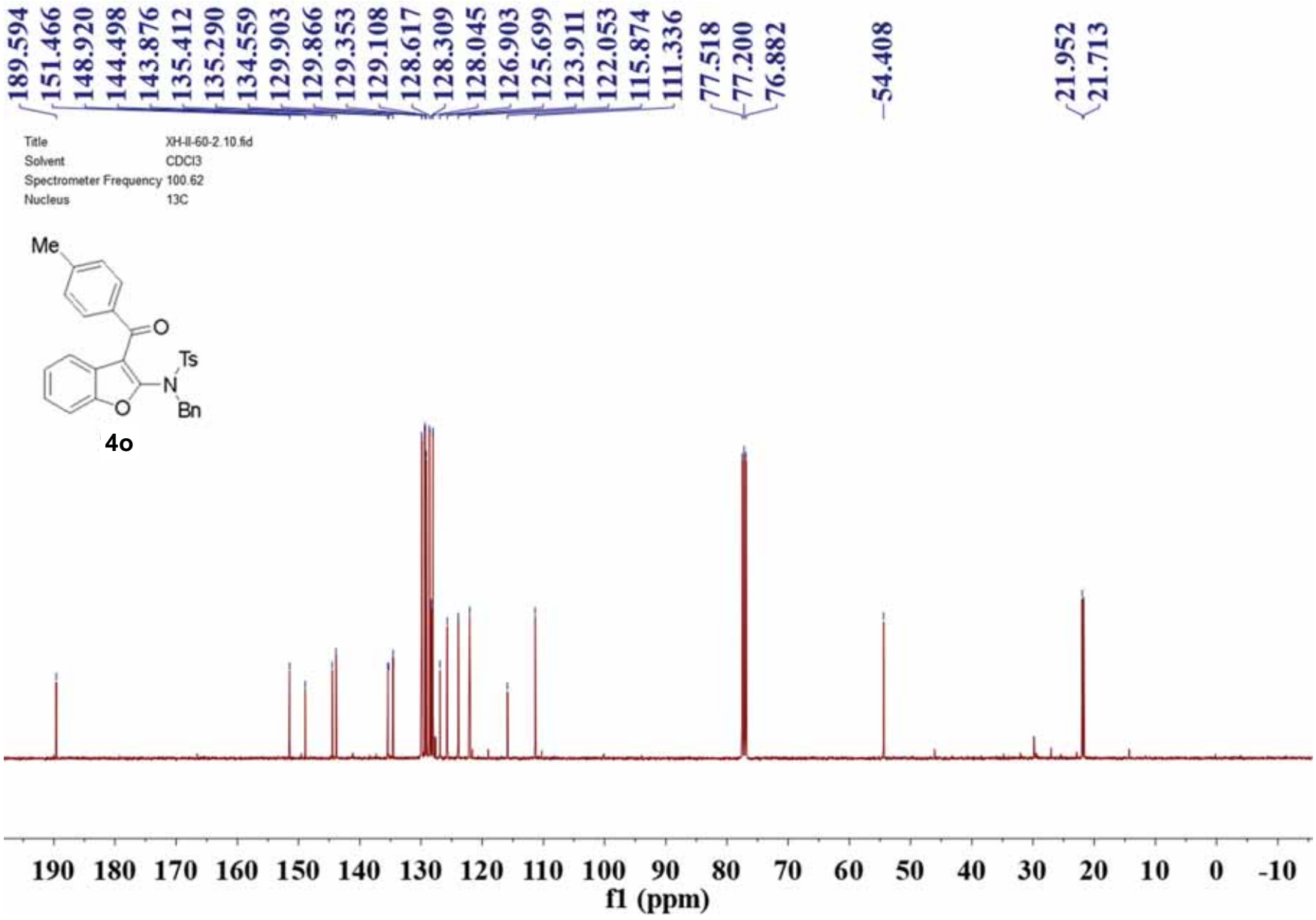
130.003
129.792
129.416
129.082
128.640
128.586
128.301
128.038
127.751
127.219
126.774
125.064
124.710
121.062
118.662
111.952
77.518
77.200
76.883
55.097
21.723
Title XH-IV-81-2.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ph
O
Ts
N
Bn
O
4n
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


7.6317
7.6116
7.5193
7.5015
7.4156
7.3950
7.3789
7.3592
7.3257
7.3235
7.3081
7.3047
7.2871
7.2465
7.2350
7.2247
7.2229
7.2111
7.2025
7.1946
7.1824
7.1712
7.1647
7.1504
7.1443
4.8007
2.4322
2.3411
0.0000
Title XH-II-60-2.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Me
O
Ts
N
Bn
O
4o
2.04
1.97
1.04
1.07
1.05
10.09
2.04
3.00
3.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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134.559
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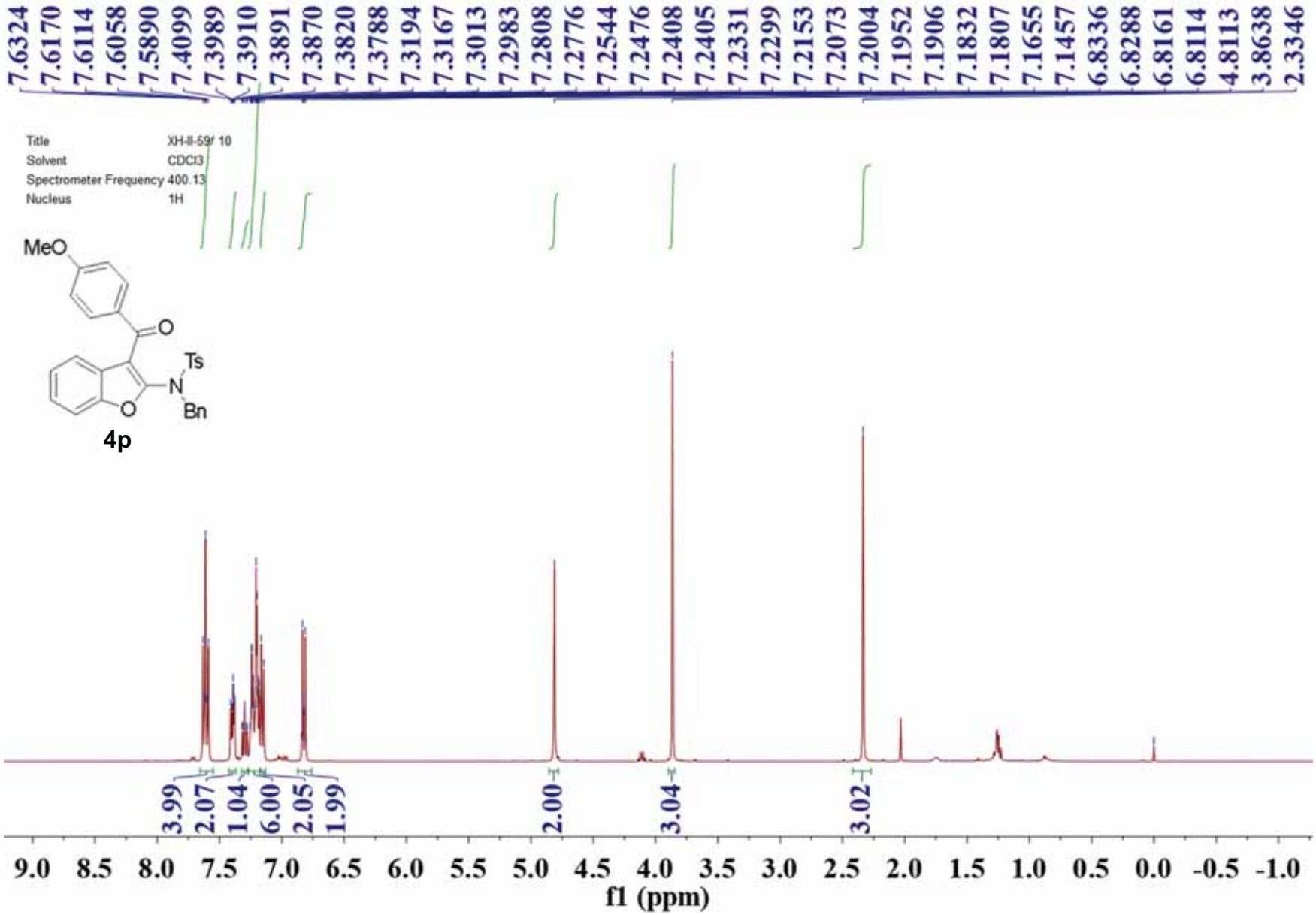
129.866
129.353
129.108
128.617
128.309
128.045
126.903
125.699
123.911
122.053
115.874
111.336
77.518
77.200
76.882
54.408
21.952
21.713
Title XH-II-60-2.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Me
O
Ts
N
Bn
O
4o
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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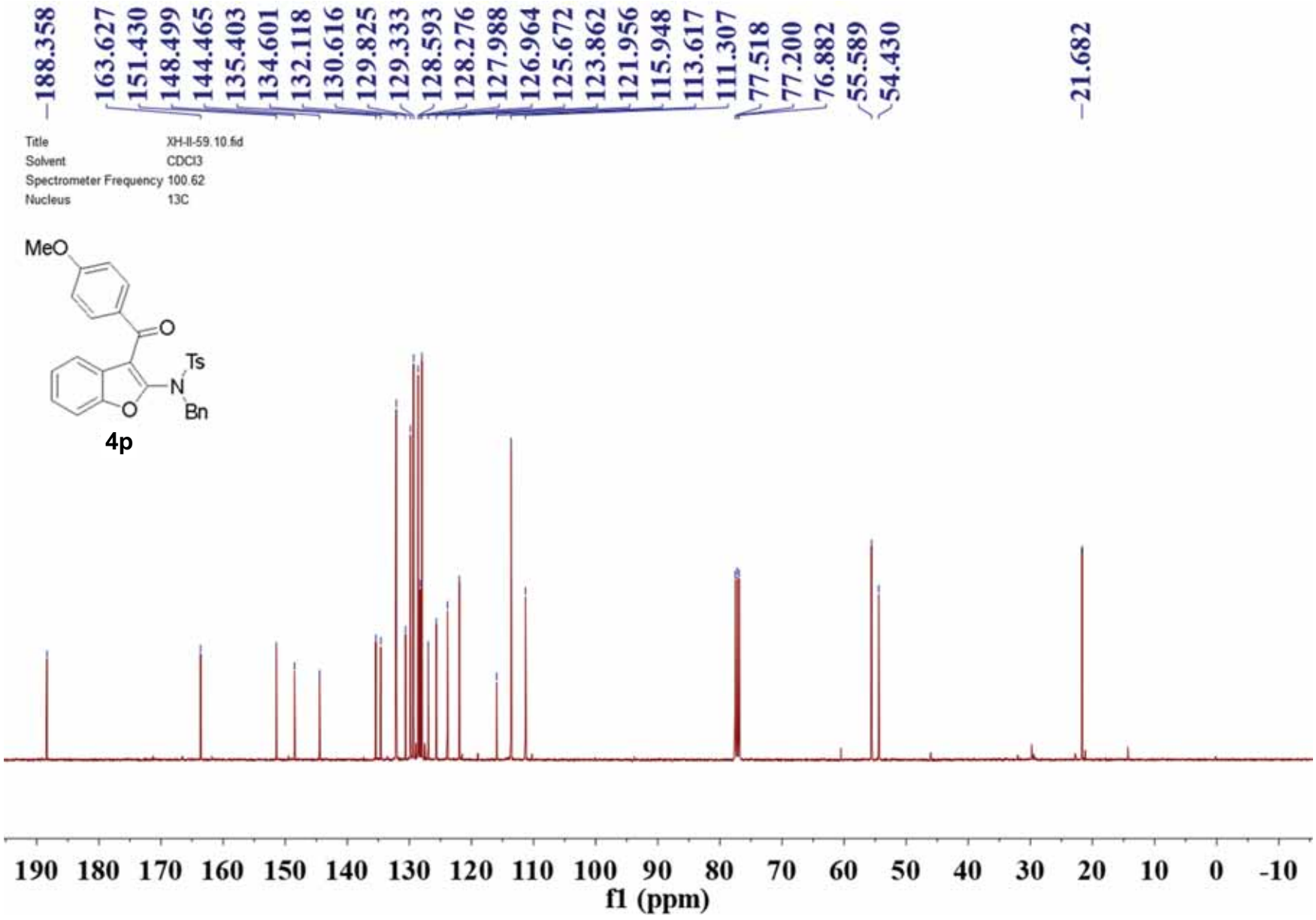
7.5890
7.4099
7.3989
7.3910
7.3891
7.3870
7.3820
7.3788
7.3194
7.3167
7.3013
7.2983
7.2808
7.2776
7.2544
7.2476
7.2408
7.2405
7.2331
7.2299
7.2153
7.2073
7.2004
7.1952
7.1906
7.1832
7.1807
7.1655
7.1457
6.8336
6.8288
6.8161
6.8114
4.8113
3.8638
2.3346
Title XH-II-59r 10
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
MeO
O
Ts
N
Bn
O
4p
3.99
2.07
1.04
6.00
2.05
1.99
2.00
3.04
3.02
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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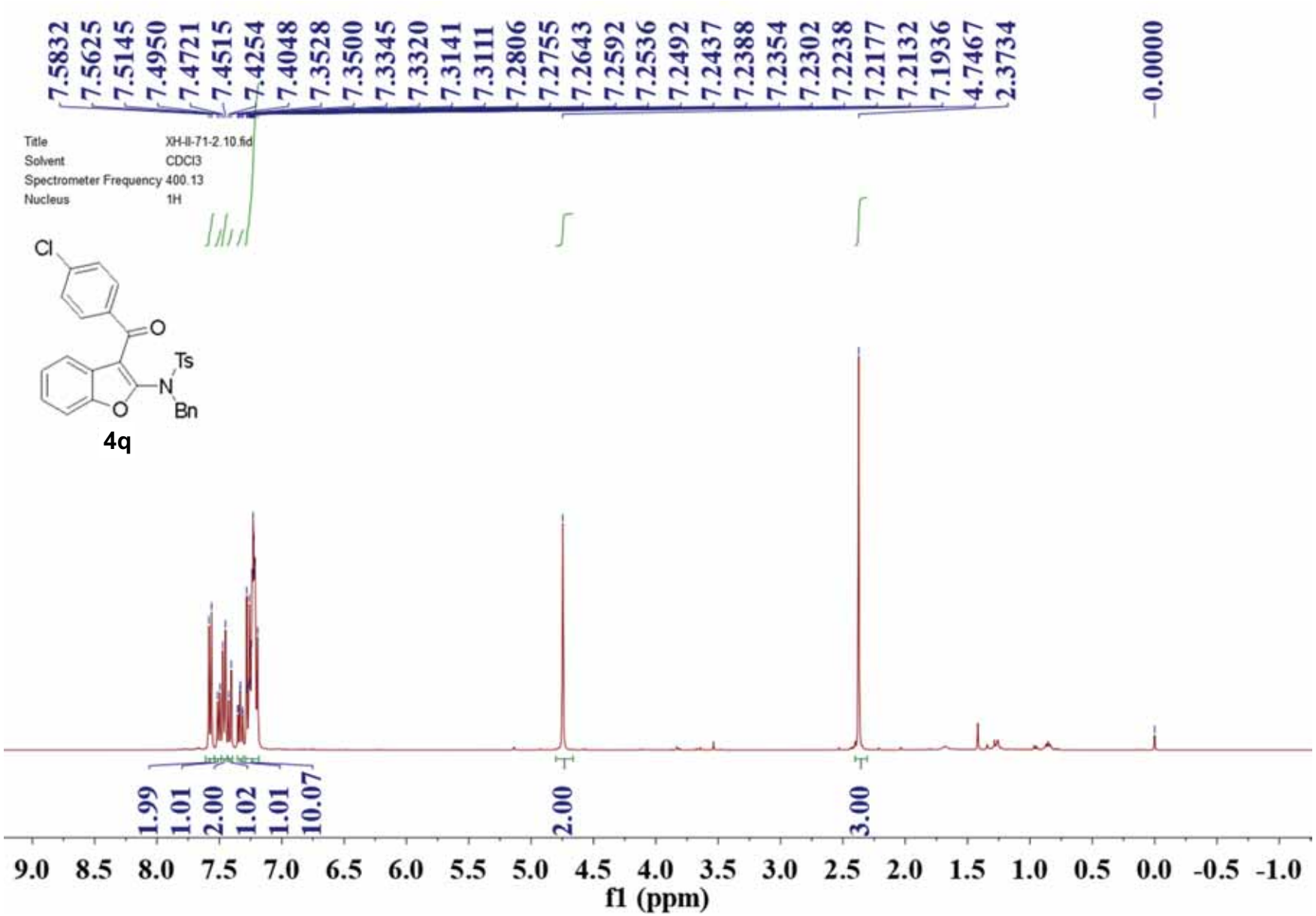
135.403
134.601
132.118
130.616
129.825
129.333
128.593
128.276
127.988
126.964
125.672
123.862
121.956
115.948
113.617
111.307
77.518
77.200
76.882
55.589
54.430
21.682
Title XH-II-59.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
MeO
O
Ts
N
Bn
O
4p
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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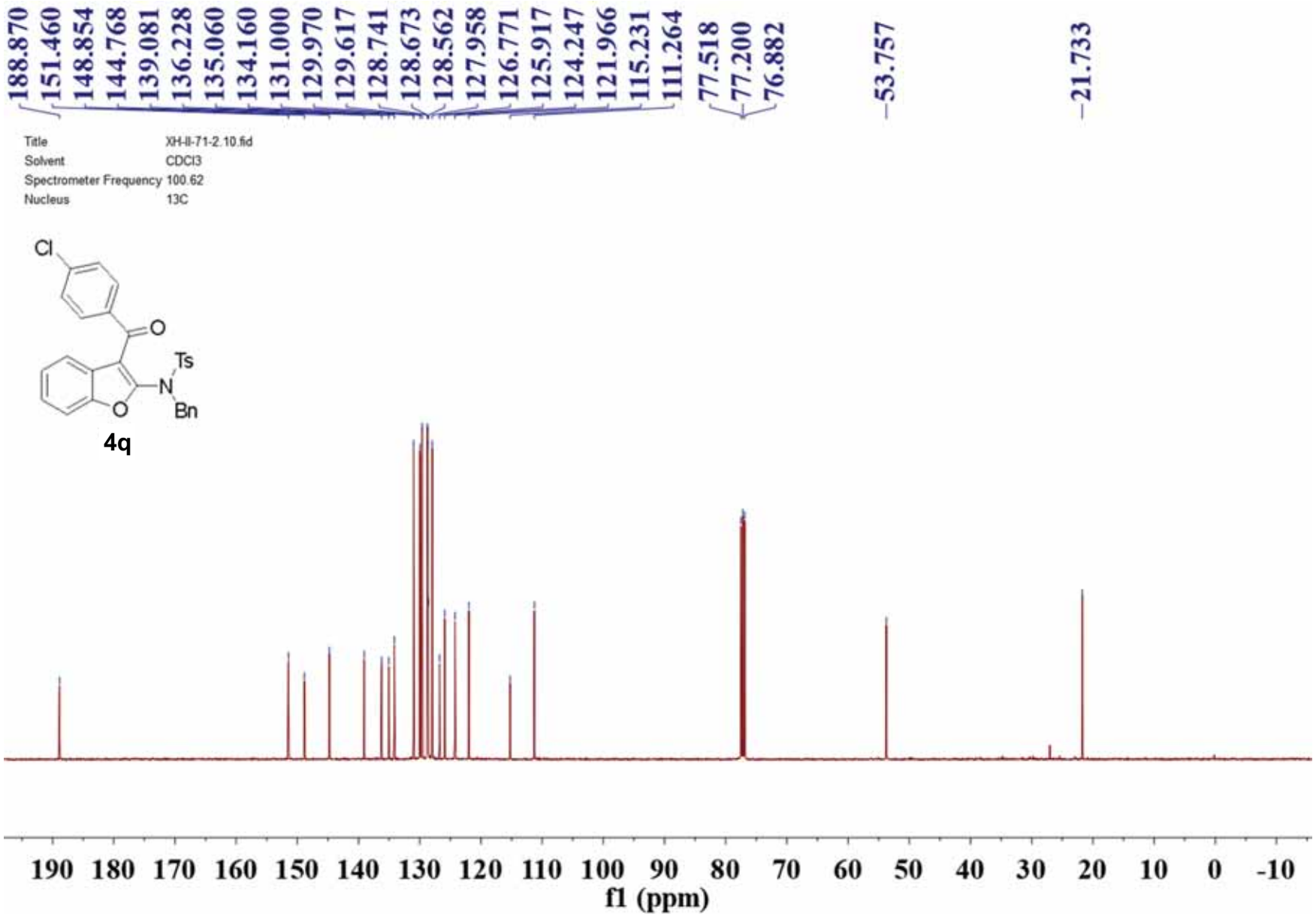
7.5145
7.4950
7.4721
7.4515
7.4254
7.4048
7.3528
7.3500
7.3345
7.3320
7.3141
7.3111
7.2806
7.2755
7.2643
7.2592
7.2536
7.2492
7.2437
7.2388
7.2354
7.2302
7.2238
7.2177
7.2132
7.1936
4.7467
2.3734
0.0000
Title XH-II-71-2.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Cl
O
Ts
N
Bn
O
4q
1.99
1.01
2.00
1.02
1.01
10.07
2.00
3.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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134.160
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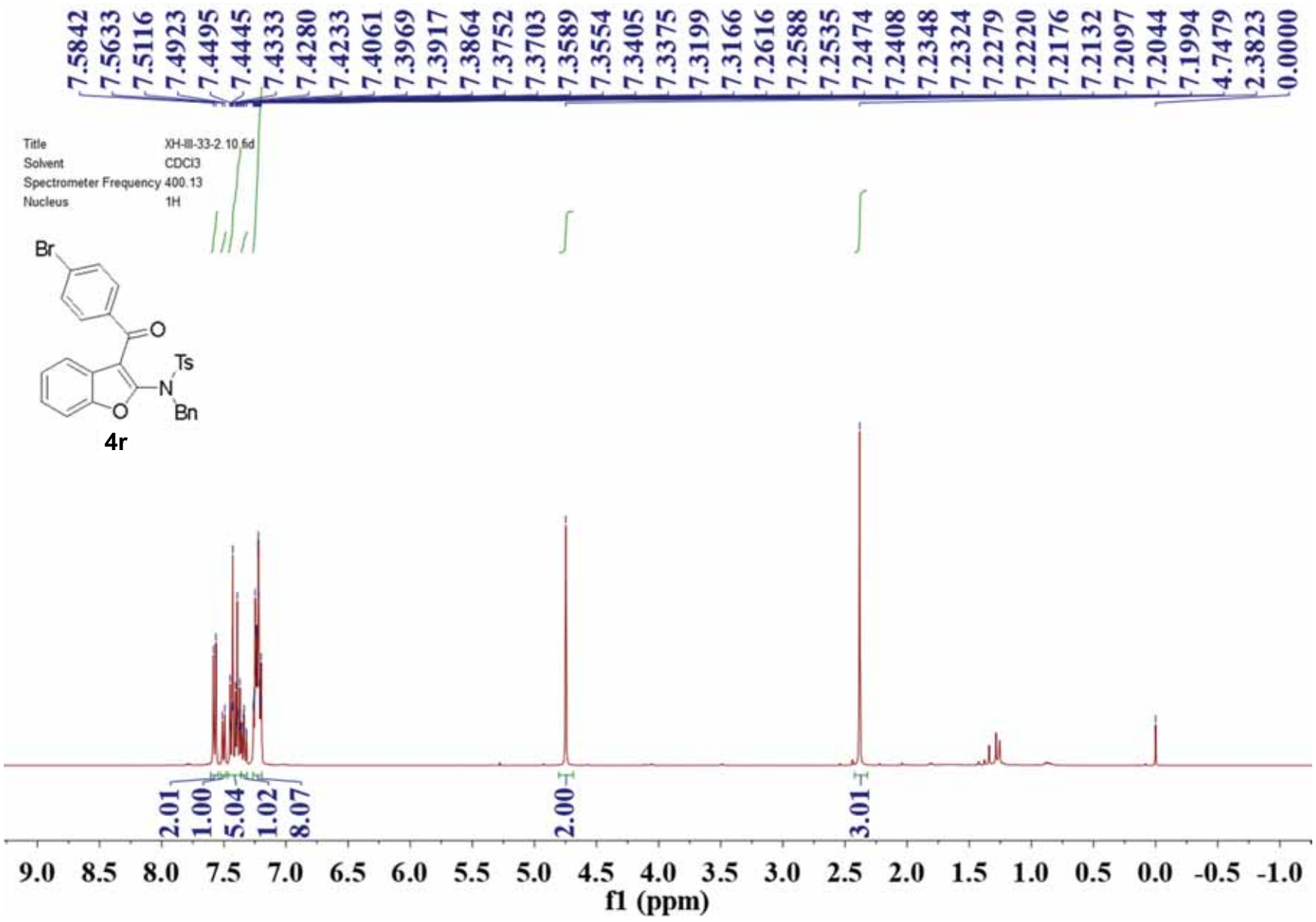
129.970
129.617
128.741
128.673
128.562
127.958
126.771
125.917
124.247
121.966
115.231
111.264
77.518
77.200
76.882
53.757
21.733
Title XH-II-71-2.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Cl
O
Ts
N
Bn
O
4q
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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7.4333
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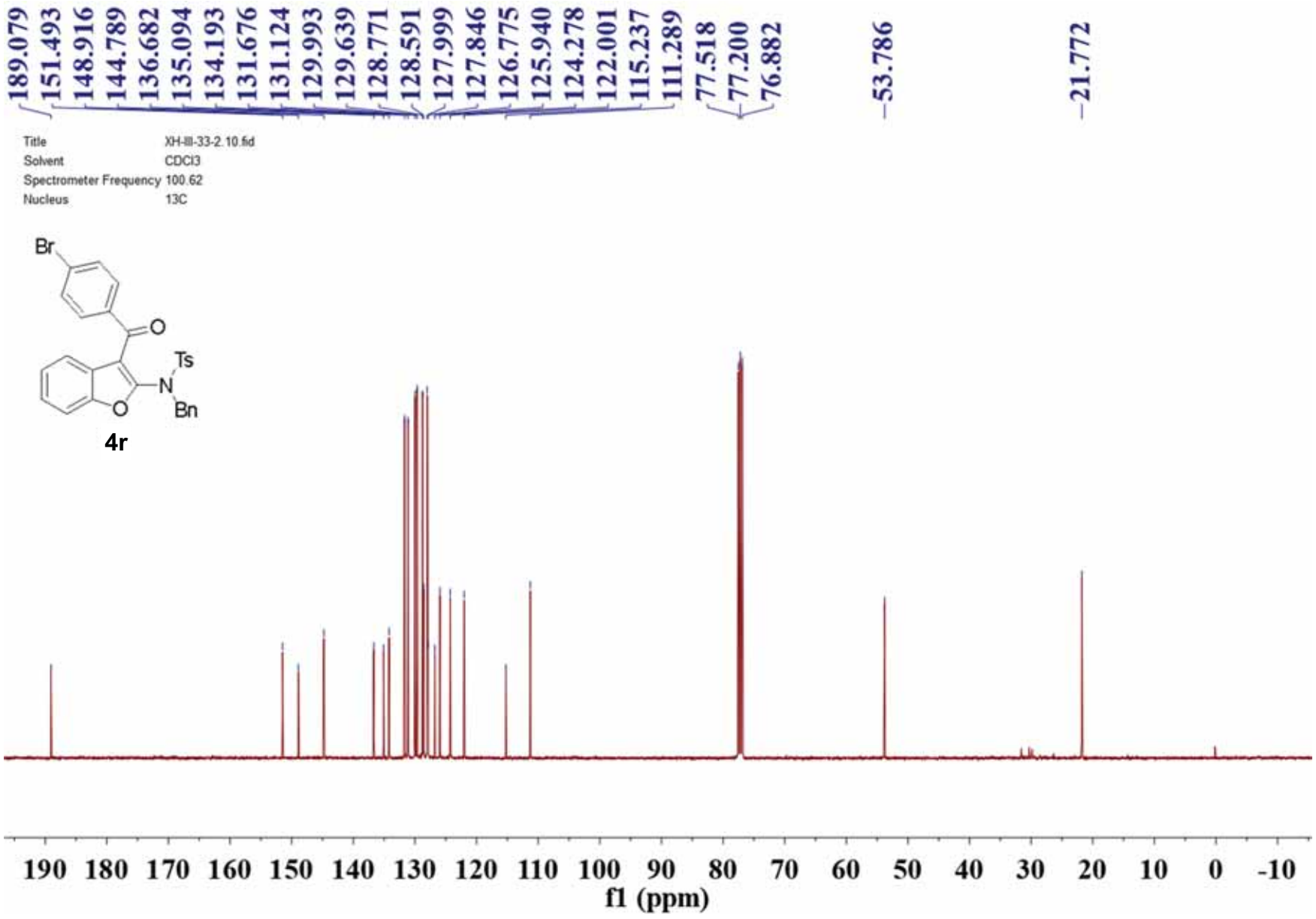
7.4233
7.4061
7.3969
7.3917
7.3864
7.3752
7.3703
7.3589
7.3554
7.3405
7.3375
7.3199
7.3166
7.2616
7.2588
7.2535
7.2474
7.2408
7.2348
7.2324
7.2279
7.2220
7.2176
7.2132
7.2097
7.2044
7.1994
4.7479
2.3823
0.0000
Title XH-III-33-2.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Br
O
Ts
N
Bn
O
4r
2.01
1.00
5.04
1.02
8.07
2.00
3.01
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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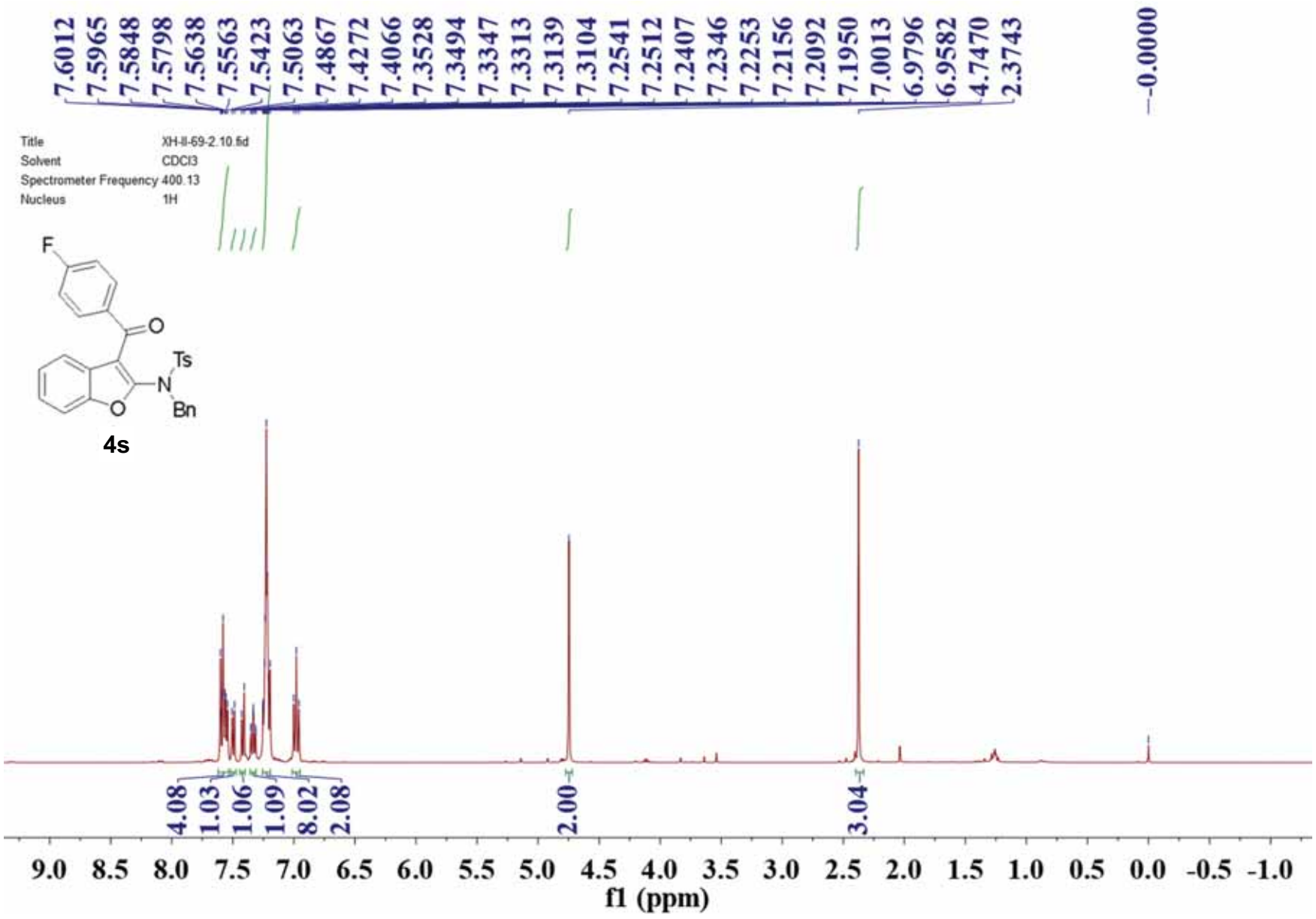
128.771
128.591
127.999
127.846
126.775
125.940
124.278
122.001
115.237
111.289
77.518
77.200
76.882
53.786
21.772
Title XH-III-33-2.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Br
O
Ts
N
Bn
O
4r
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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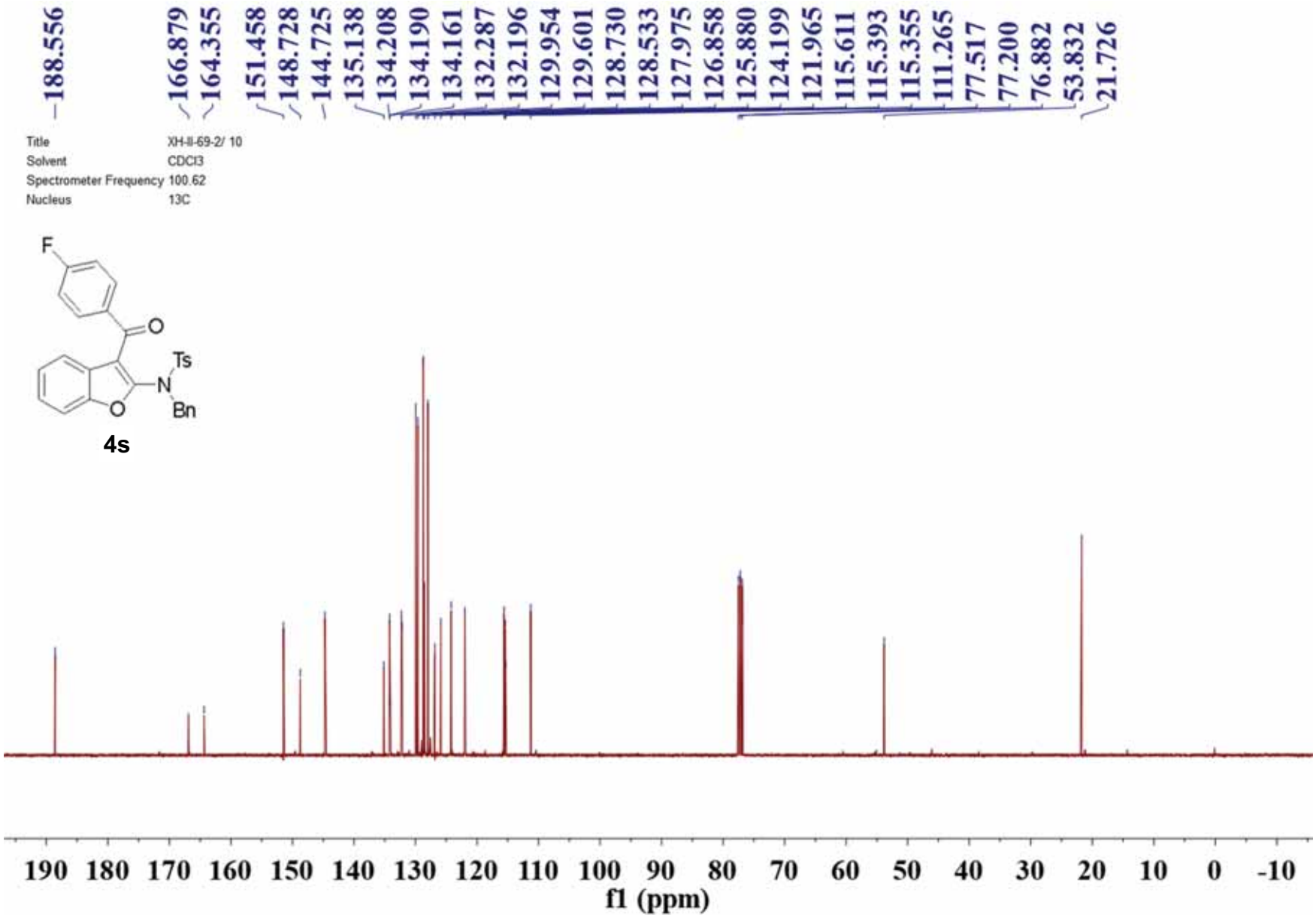
7.5638
7.5563
7.5423
7.5063
7.4867
7.4272
7.4066
7.3528
7.3494
7.3347
7.3313
7.3139
7.3104
7.2541
7.2512
7.2407
7.2346
7.2253
7.2156
7.2092
7.1950
7.0013
6.9796
6.9582
4.7470
2.3743
-0.0000
Title XH-II-69-2.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
F
O
Ts
N
Bn
O
4s
4.08
1.03
1.06
1.09
8.02
2.08
2.00
3.04
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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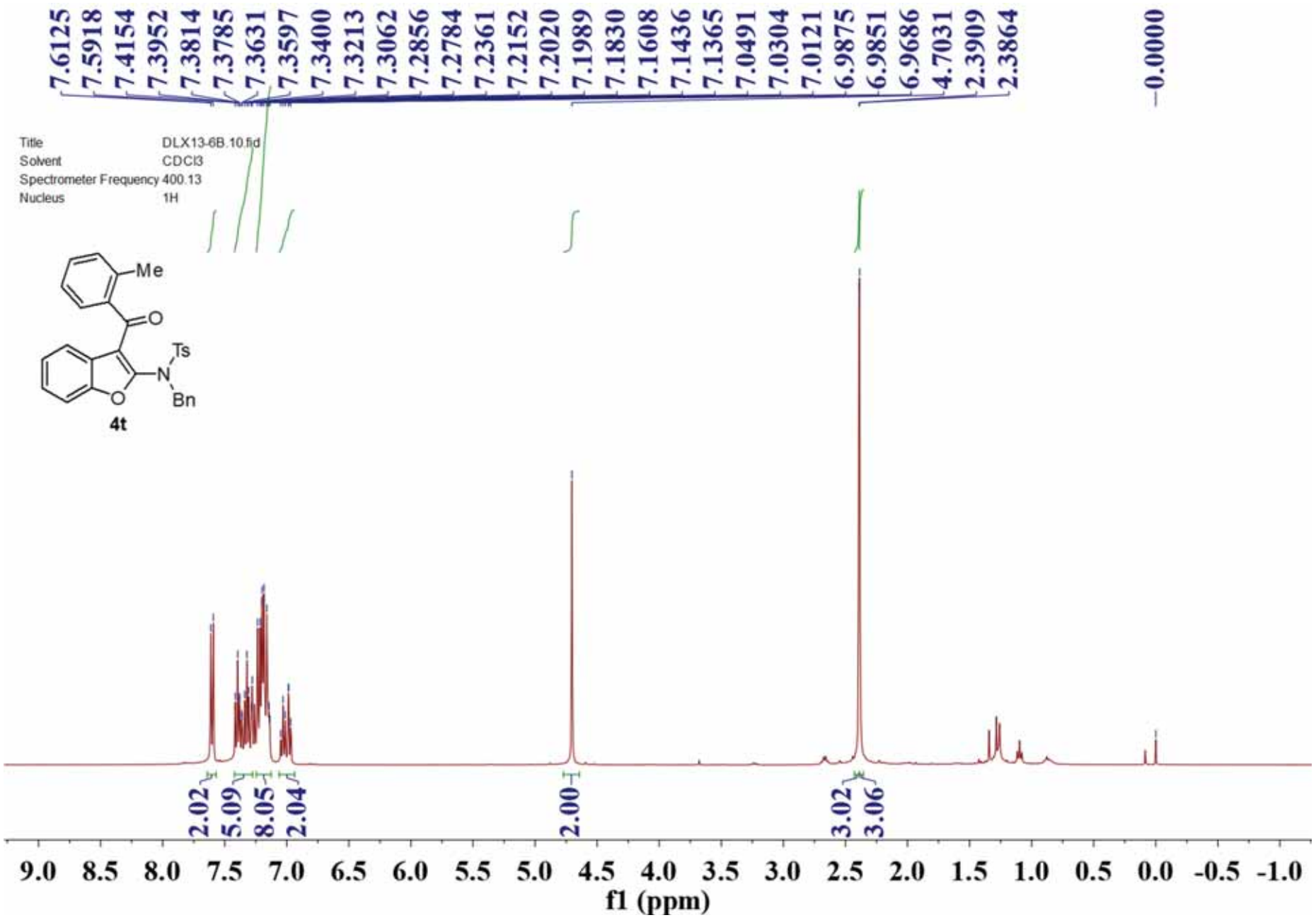
134.161
132.287
132.196
129.954
129.601
128.730
128.533
127.975
126.858
125.880
124.199
121.965
115.611
115.393
115.355
111.265
77.517
77.200
76.882
53.832
21.726
Title XH-II-69-2/ 10
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
F
O
Ts
N
Bn
O
4s
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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7.3952
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7.3785
7.3631
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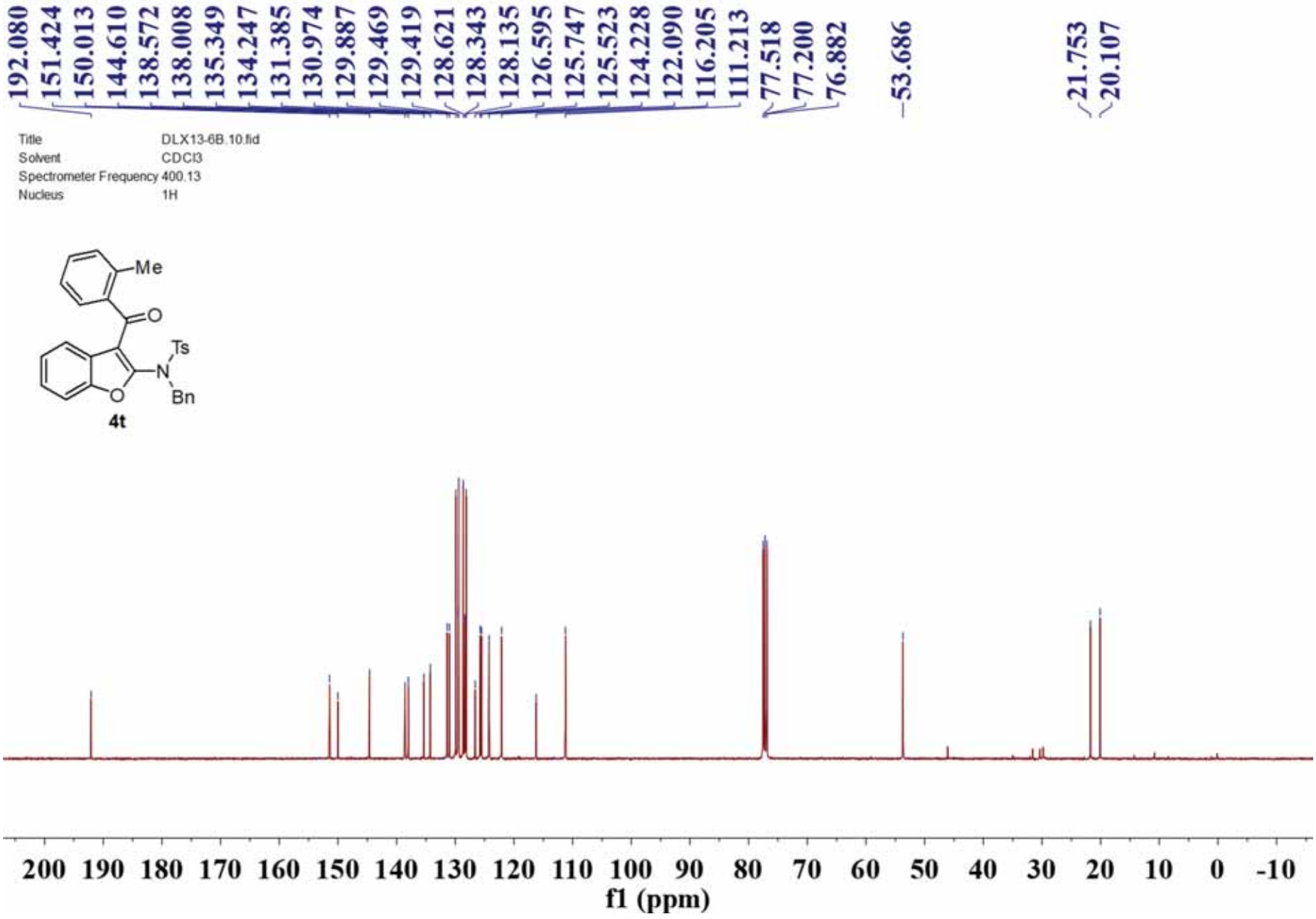
7.3400
7.3213
7.3062
7.2856
7.2784
7.2361
7.2152
7.2020
7.1989
7.1830
7.1608
7.1436
7.1365
7.0491
7.0304
7.0121
6.9875
6.9851
6.9686
4.7031
2.3909
2.3864
0.0000
Title DLX13-6B.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Me
O
Ts
N
Bn
O
4t
2.02
5.09
8.05
2.04
2.00
3.02
3.06
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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150.013
144.610
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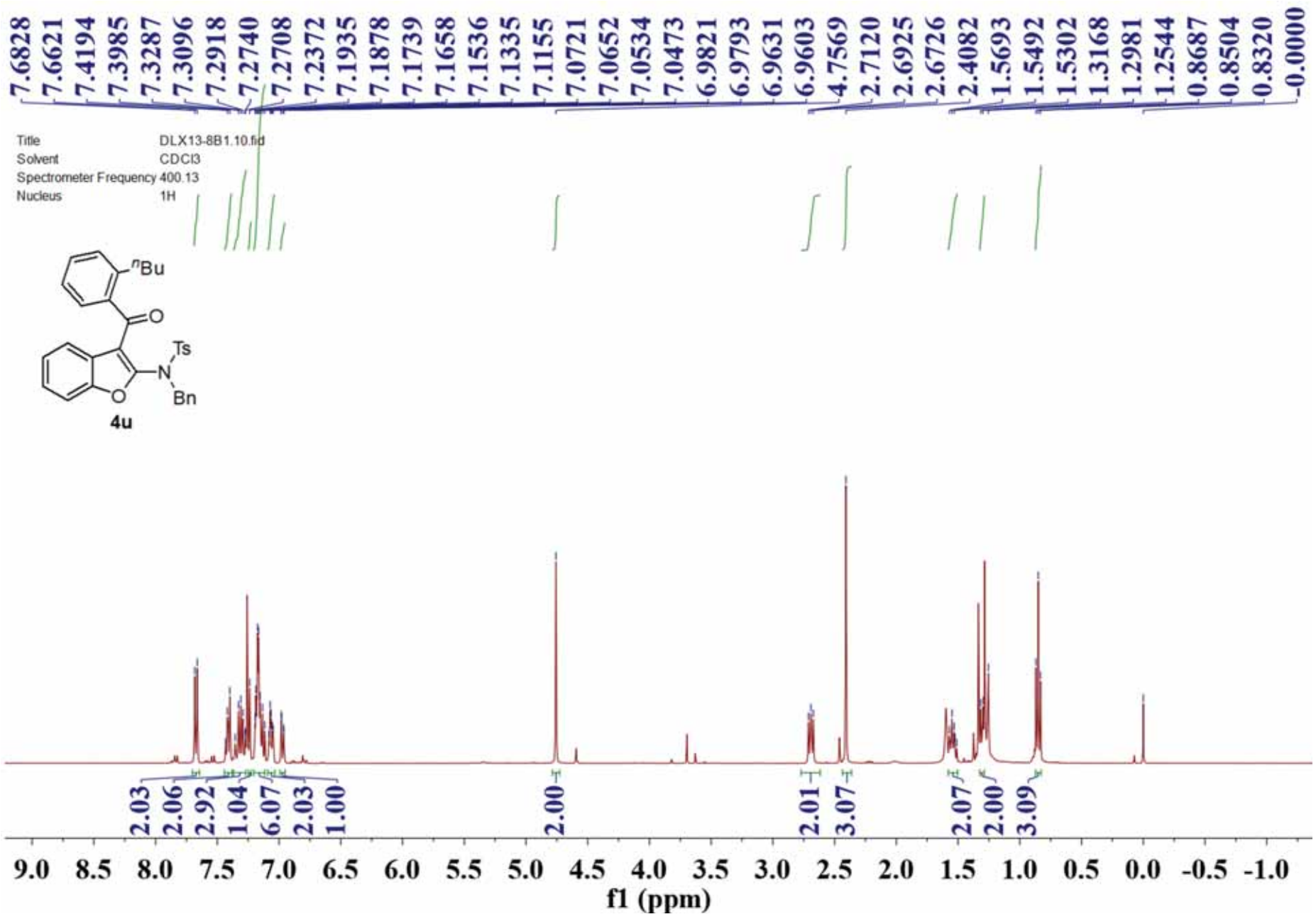
134.247
131.385
130.974
129.887
129.469
129.419
128.621
128.343
128.135
126.595
125.747
125.523
124.228
122.090
116.205
111.213
77.518
77.200
76.882
53.686
21.753
20.107
Title DLX13-6B.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Me
O
Ts
N
Bn
O
4t
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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7.3287
7.3096
7.2918
7.2740
7.2708
7.2372
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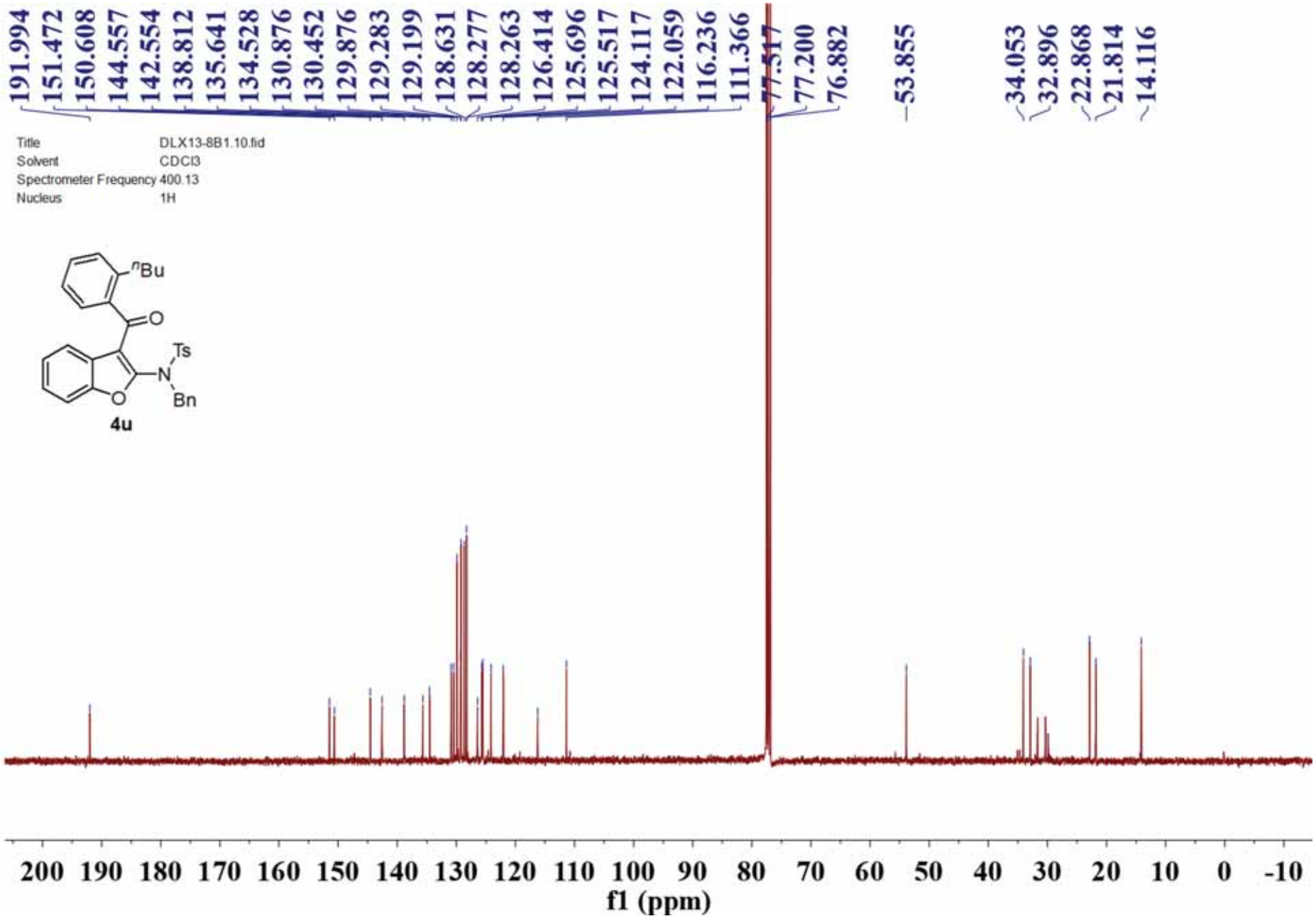
7.1878
7.1739
7.1658
7.1536
7.1335
7.1155
7.0721
7.0652
7.0534
7.0473
6.9821
6.9793
6.9631
6.9603
4.7569
2.7120
2.6925
2.6726
2.4082
1.5693
1.5492
1.5302
1.3168
1.2981
1.2544
0.8687
0.8504
0.8320
-0.0000
Title DLX13-8B1.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
nBu
O
Ts
N
Bn
O
4u
2.03
2.06
2.92
1.04
6.07
2.03
1.00
2.00
2.01
3.07
2.07
2.00
3.09
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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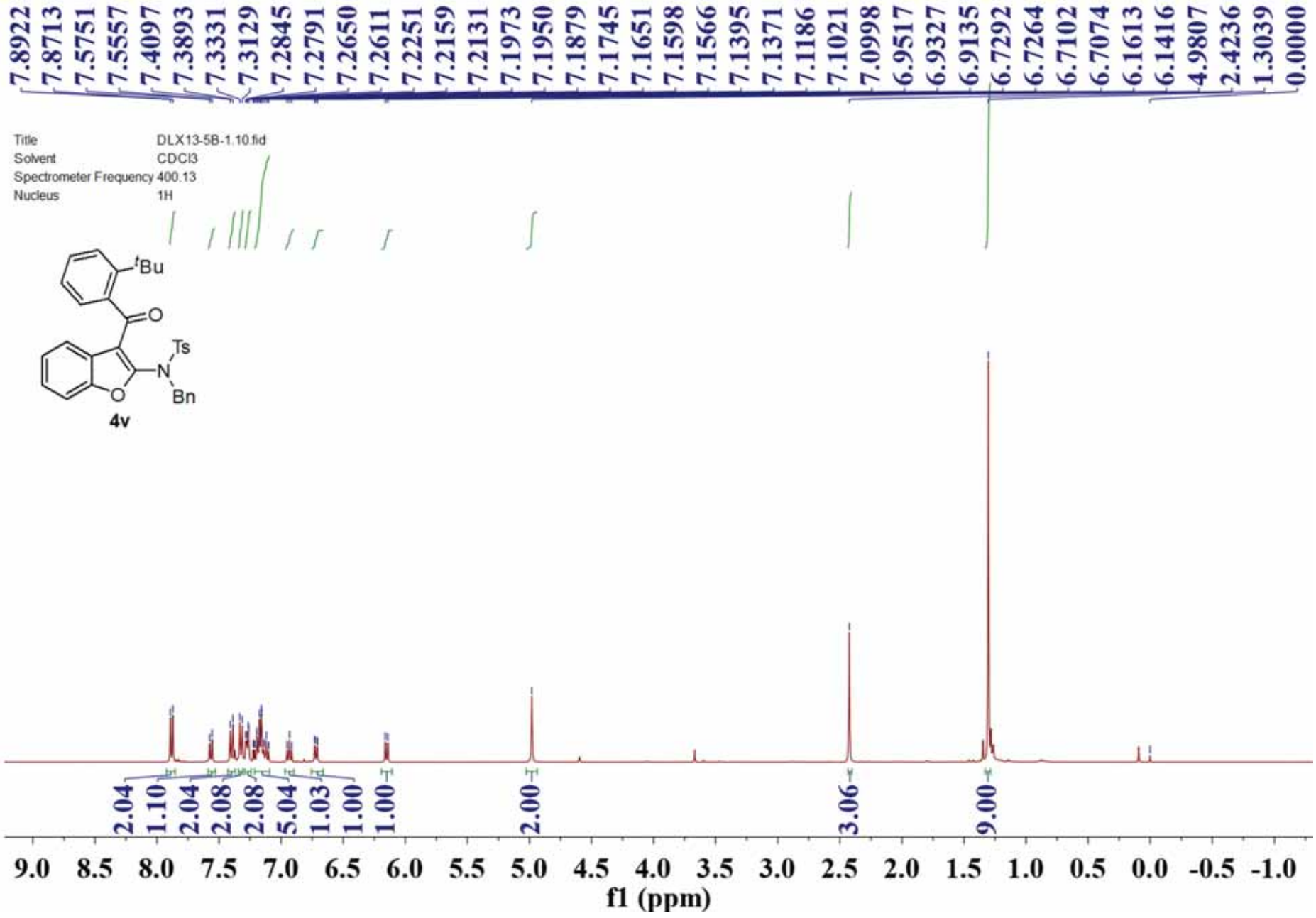
151.472
150.608
144.557
142.554
138.812
135.641
134.528
130.876
130.452
129.876
129.283
129.199
128.631
128.277
128.263
126.414
125.696
125.517
124.117
122.059
116.236
111.366
77.517
77.200
76.882
53.855
34.053
32.896
22.868
21.814
14.116
Title DLX13-8B1.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
nBu
O
Ts
N
Bn
O
4u
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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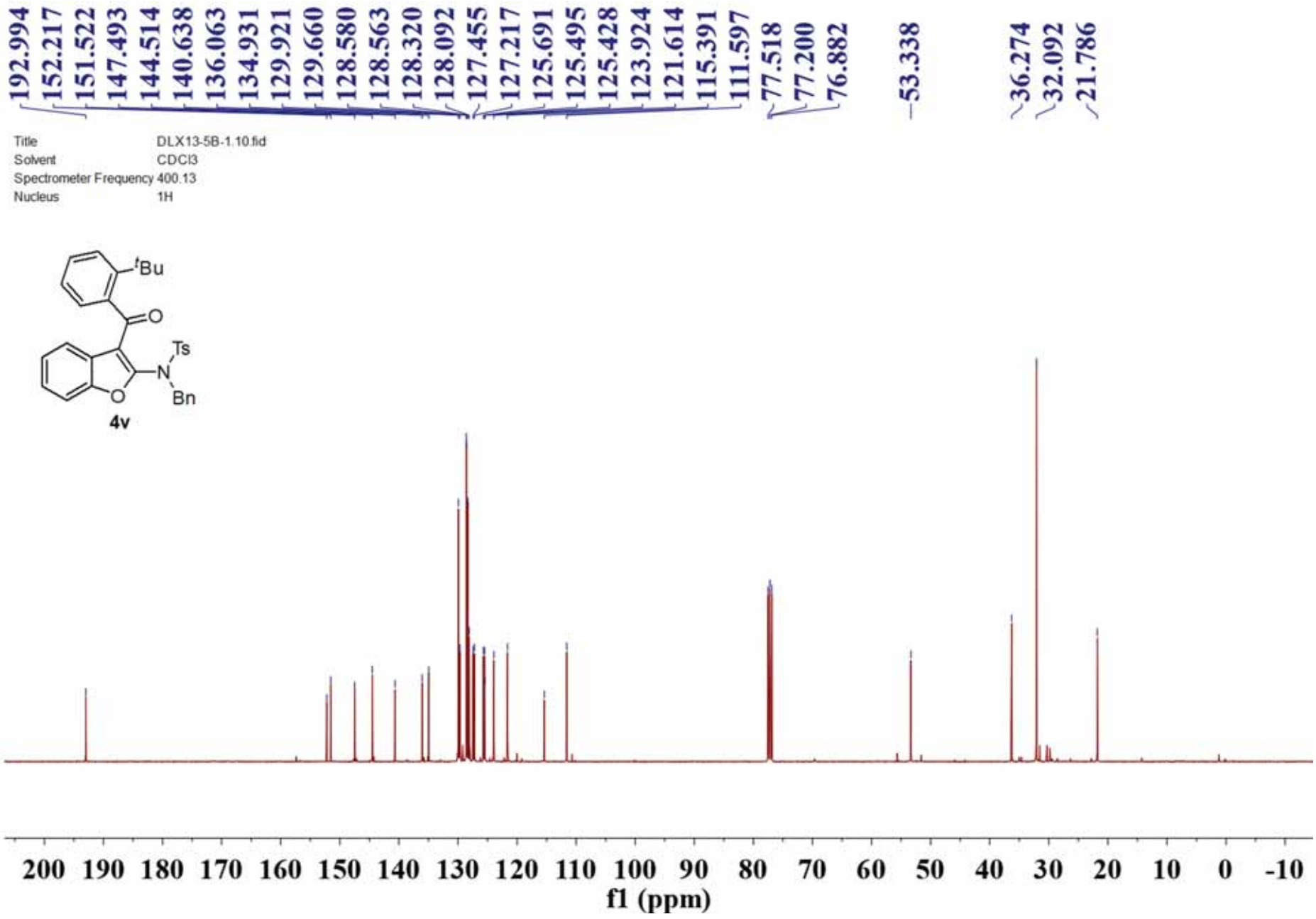
7.5751
7.5557
7.4097
7.3893
7.3331
7.3129
7.2845
7.2791
7.2650
7.2611
7.2251
7.2159
7.2131
7.1973
7.1950
7.1879
7.1745
7.1651
7.1598
7.1566
7.1395
7.1371
7.1186
7.1021
7.0998
6.9517
6.9327
6.9135
6.7292
6.7264
6.7102
6.7074
6.1613
6.1416
4.9807
2.4236
1.3039
0.0000
Title DLX13-5B-1.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
tBu
O
Ts
N
Bn
O
4v
2.04
1.10
2.04
2.08
2.08
5.04
1.03
1.00
1.00
2.00
3.06
9.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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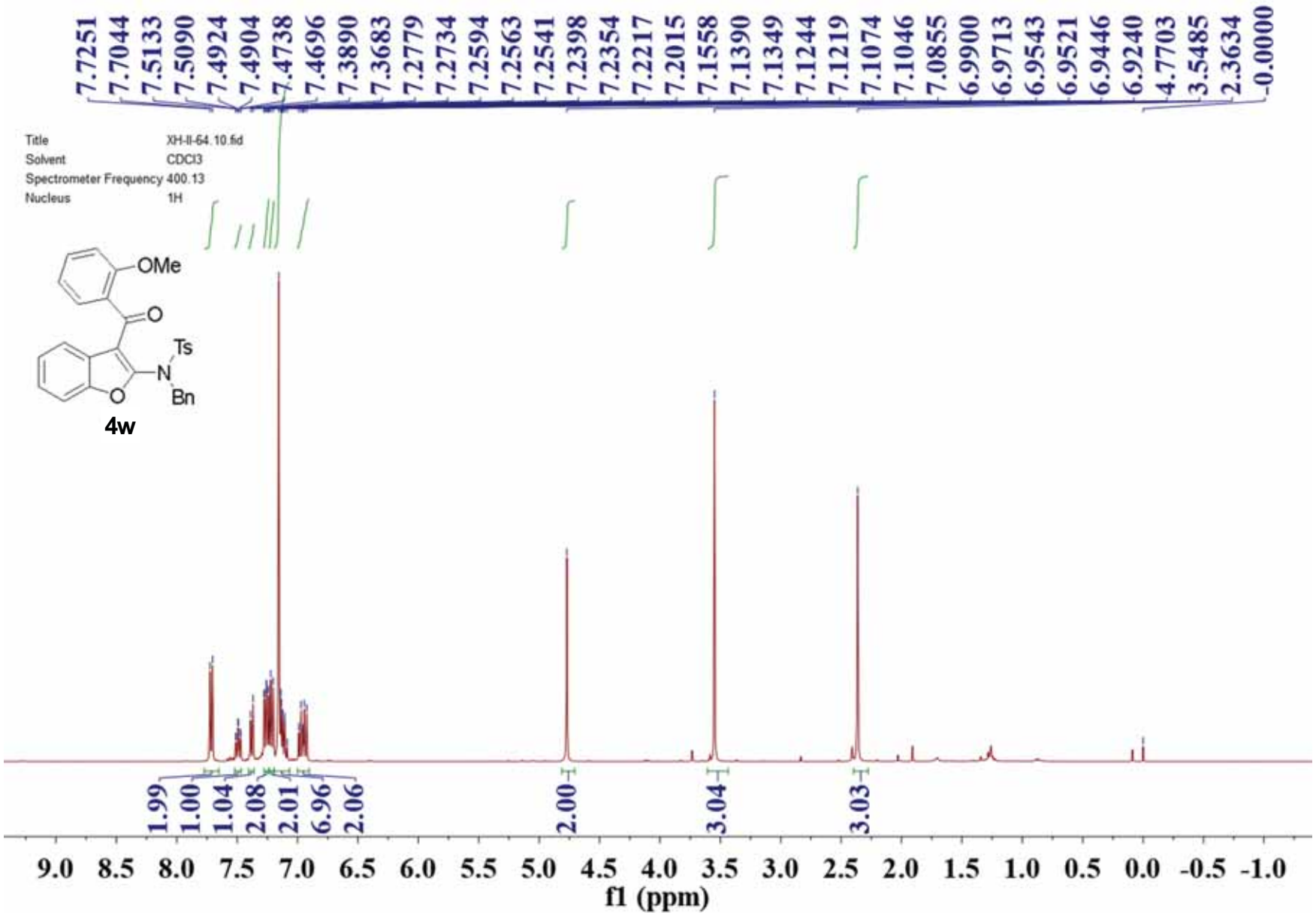
151.522
147.493
144.514
140.638
136.063
134.931
129.921
129.660
128.580
128.563
128.320
128.092
127.455
127.217
125.691
125.495
125.428
123.924
121.614
115.391
111.597
77.518
77.200
76.882
53.338
36.274
32.092
21.786
Title DLX13-5B-1.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
tBu
O
Ts
N
Bn
O
4v
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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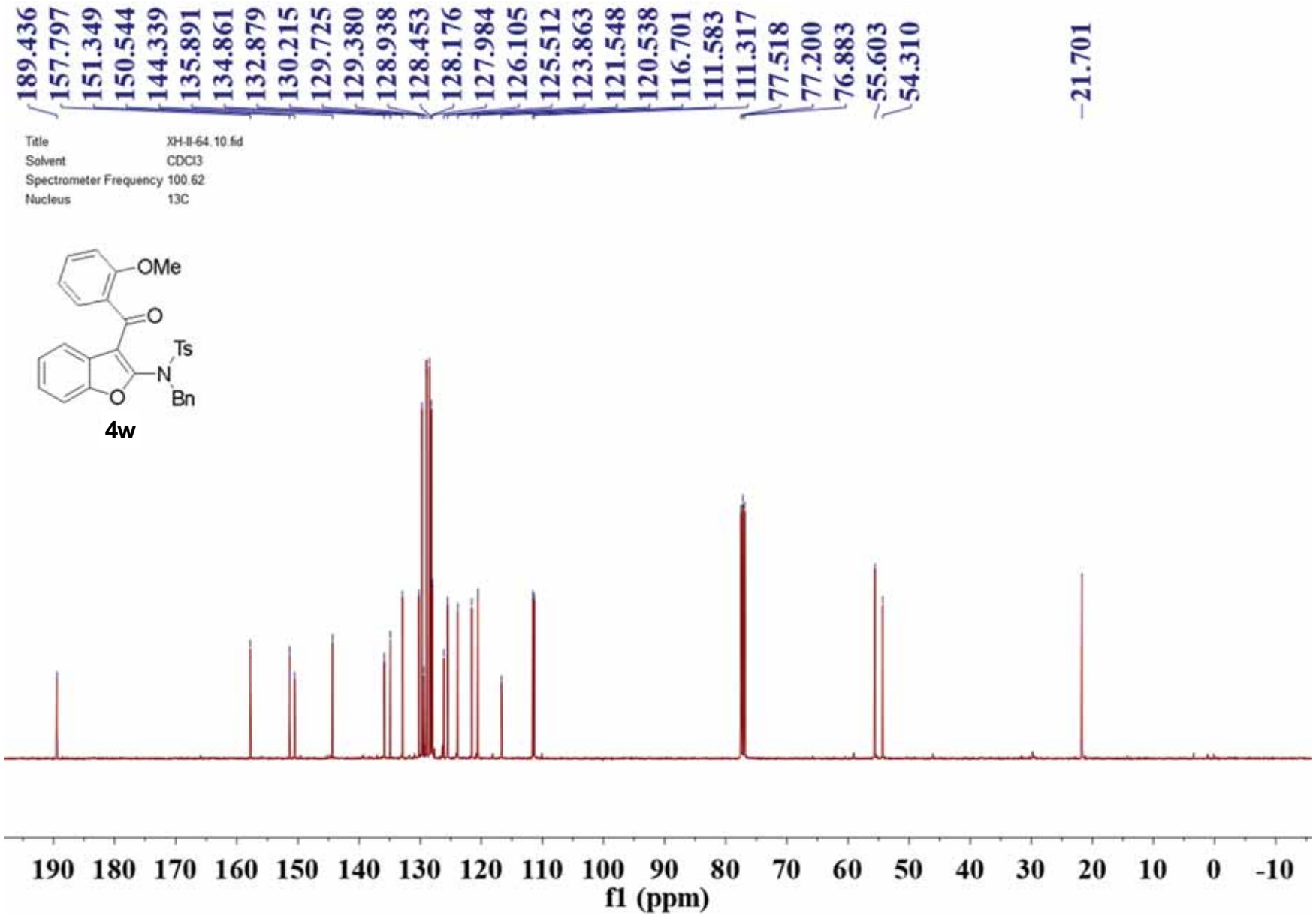
7.7044
7.5133
7.5090
7.4924
7.4904
7.4738
7.4696
7.3890
7.3683
7.2779
7.2734
7.2594
7.2563
7.2541
7.2398
7.2354
7.2217
7.2015
7.1558
7.1390
7.1349
7.1244
7.1219
7.1074
7.1046
7.0855
6.9900
6.9713
6.9543
6.9521
6.9446
6.9240
4.7703
3.5485
2.3634
-0.0000
Title XH-II-64.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
OMe
O
Ts
N
Bn
O
4w
1.99
1.00
1.04
2.08
2.01
6.96
2.06
2.00
3.04
3.03
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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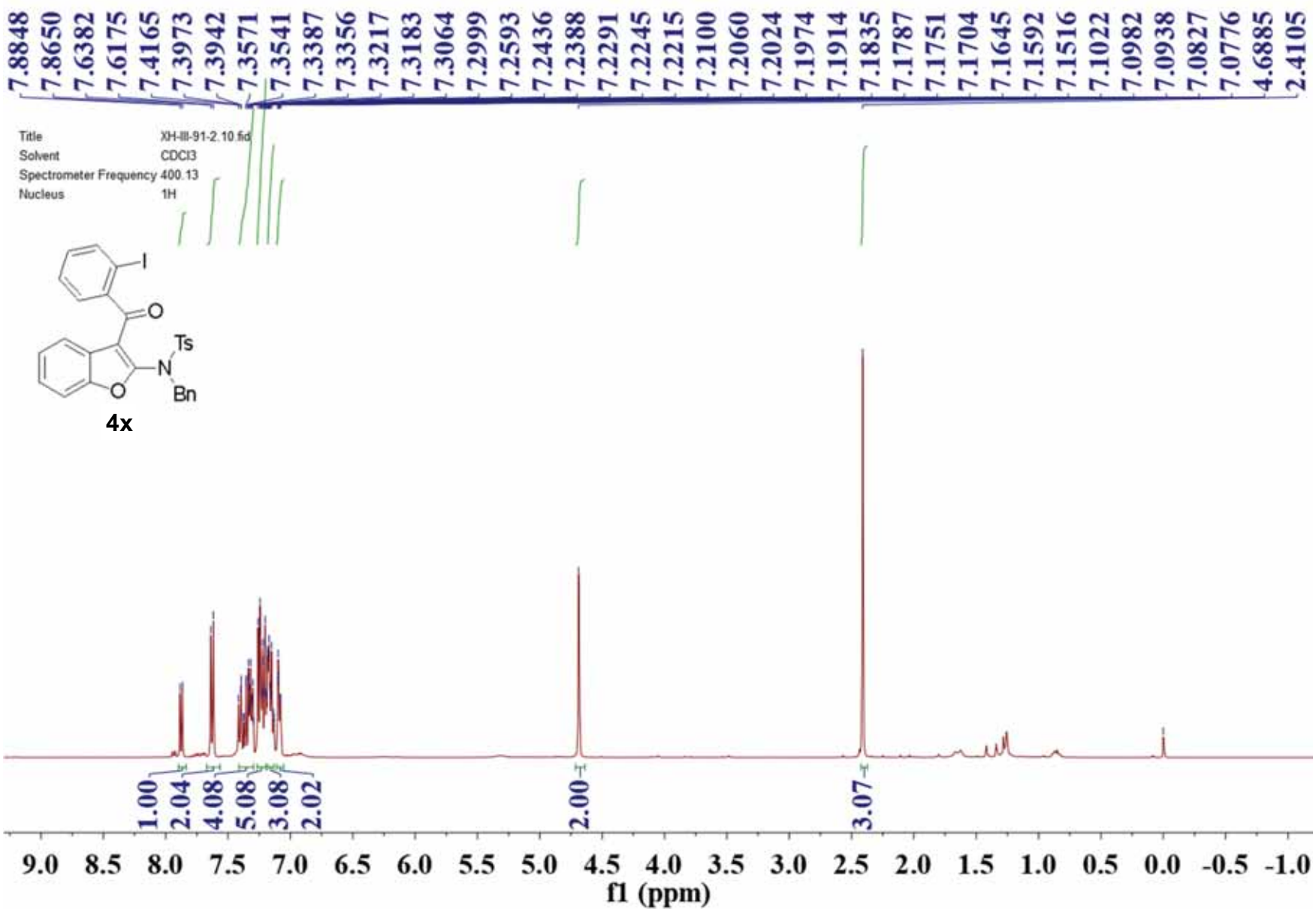
144.339
135.891
134.861
132.879
130.215
129.725
129.380
128.938
128.453
128.176
127.984
126.105
125.512
123.863
121.548
120.538
116.701
111.583
111.317
77.518
77.200
76.883
55.603
54.310
21.701
Title XH-II-64.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
OMe
O
Ts
N
Bn
O
4w
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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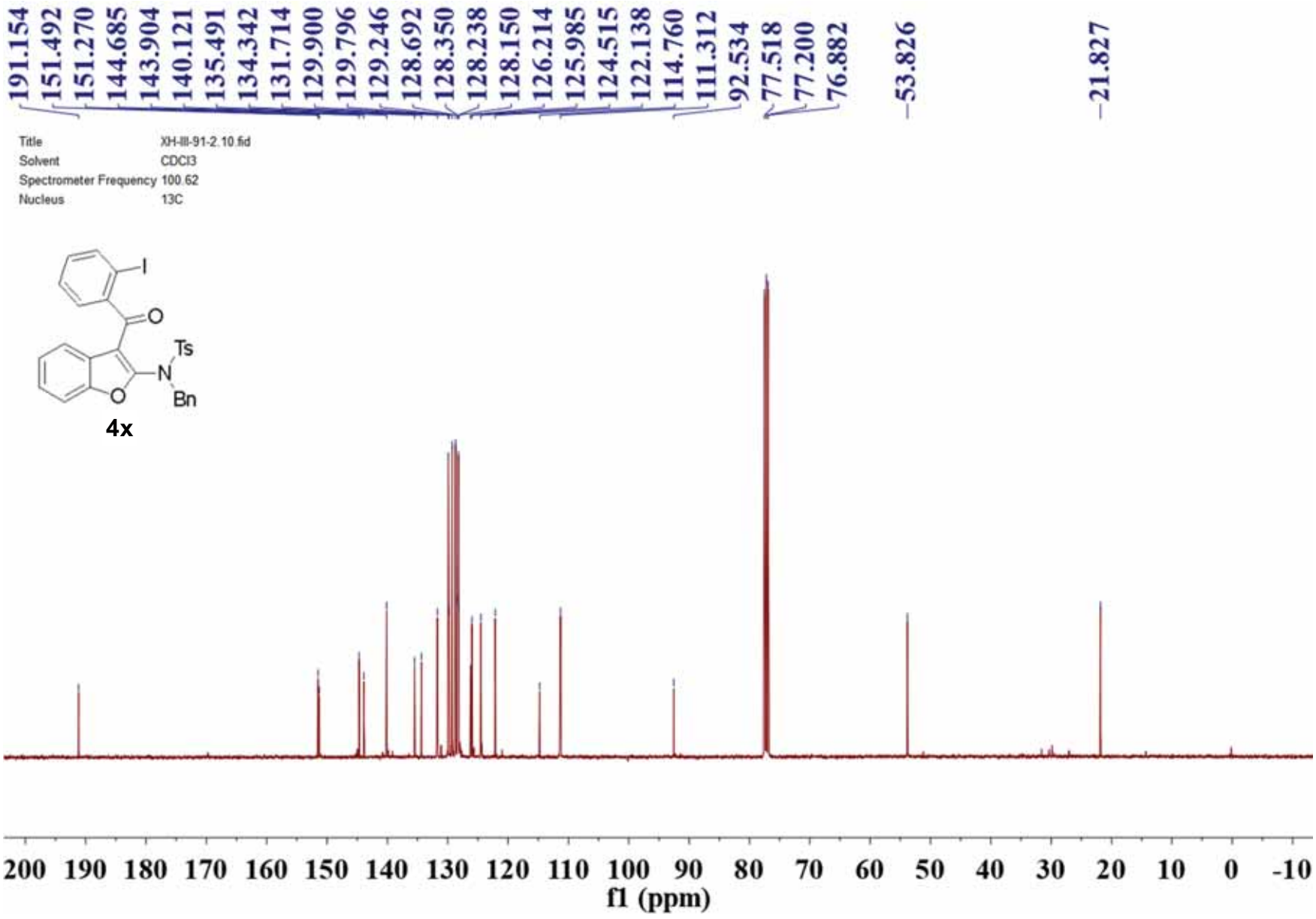
7.6175
7.4165
7.3973
7.3942
7.3571
7.3541
7.3387
7.3356
7.3217
7.3183
7.3064
7.2999
7.2593
7.2436
7.2388
7.2291
7.2245
7.2215
7.2100
7.2060
7.2024
7.1974
7.1914
7.1835
7.1787
7.1751
7.1704
7.1645
7.1592
7.1516
7.1022
7.0982
7.0938
7.0827
7.0776
4.6885
2.4105
Title XH-III-91-2.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
I
O
Ts
N
Bn
O
4x
1.00
2.04
4.08
5.08
3.08
2.02
2.00
3.07
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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135.491
134.342
131.714
129.900
129.796
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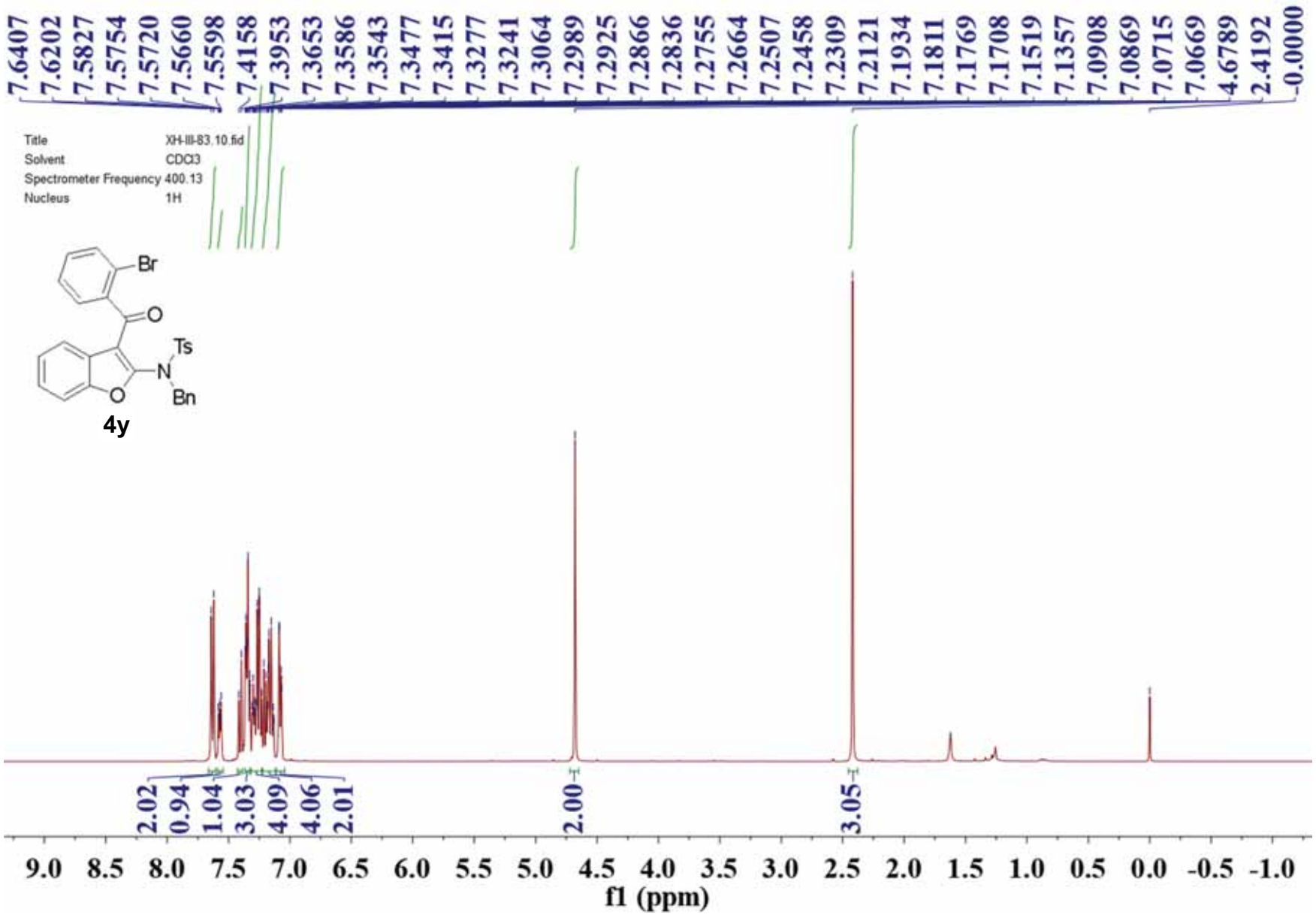
128.350
128.238
128.150
126.214
125.985
124.515
122.138
114.760
111.312
92.534
77.518
77.200
76.882
53.826
21.827
Title XH-III-91-2.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
I
O
Ts
N
Bn
O
4x
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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7.5827
7.5754
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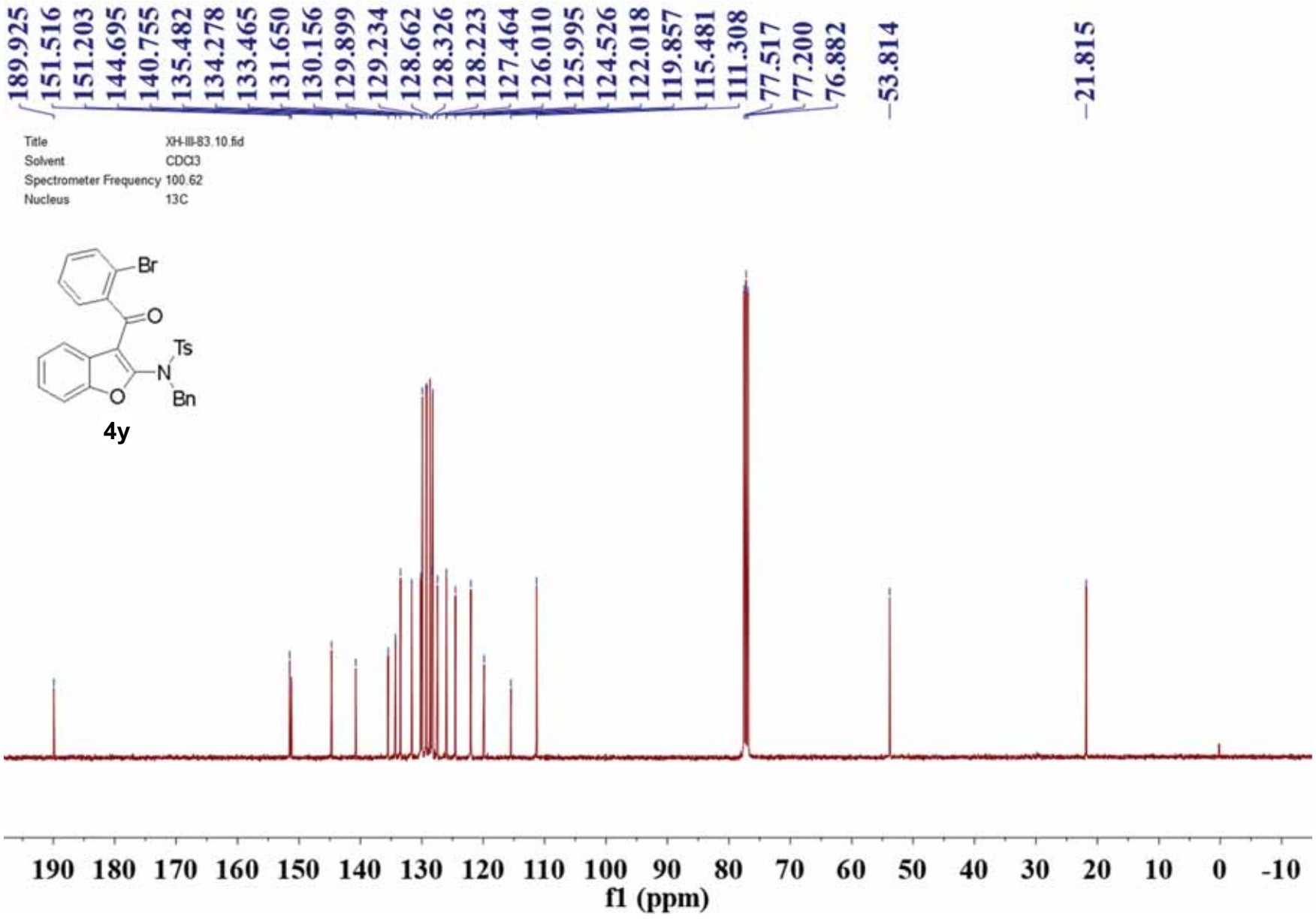
7.5660
7.5598
7.4158
7.3953
7.3653
7.3586
7.3543
7.3477
7.3415
7.3277
7.3241
7.3064
7.2989
7.2925
7.2866
7.2836
7.2755
7.2664
7.2507
7.2458
7.2309
7.2121
7.1934
7.1811
7.1769
7.1708
7.1519
7.1357
7.0908
7.0869
7.0715
7.0669
4.6789
2.4192
-0.0000
Title XH-III-83.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Br
O
Ts
N
Bn
O
4y
2.02
0.94
1.04
3.03
4.09
4.06
2.01
2.00
3.05
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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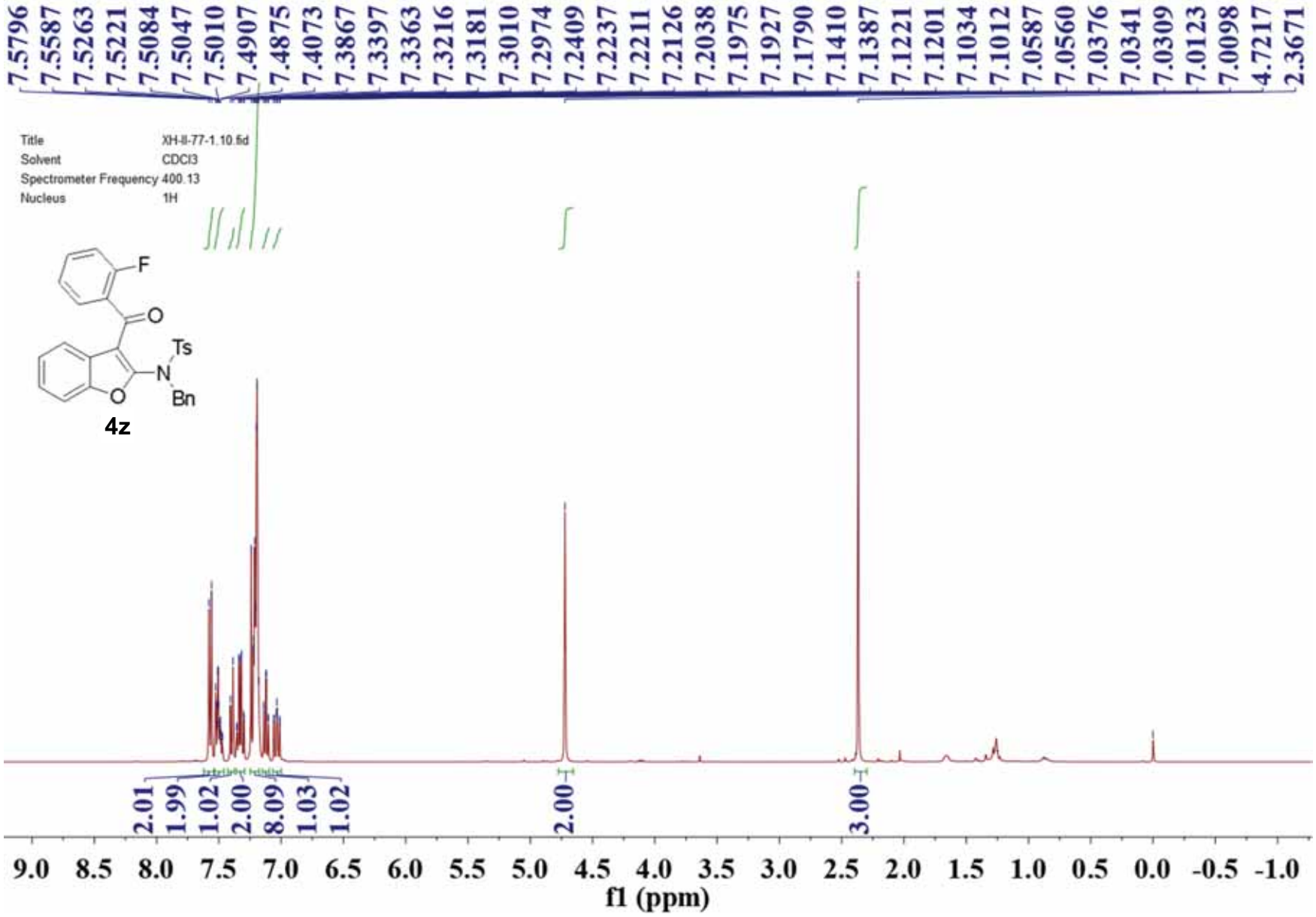
133.465
131.650
130.156
129.899
129.234
128.662
128.326
128.223
127.464
126.010
125.995
124.526
122.018
119.857
115.481
111.308
77.517
77.200
76.882
53.814
21.815
Title XH-III-83_10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Br
O
Ts
N
Bn
O
4y
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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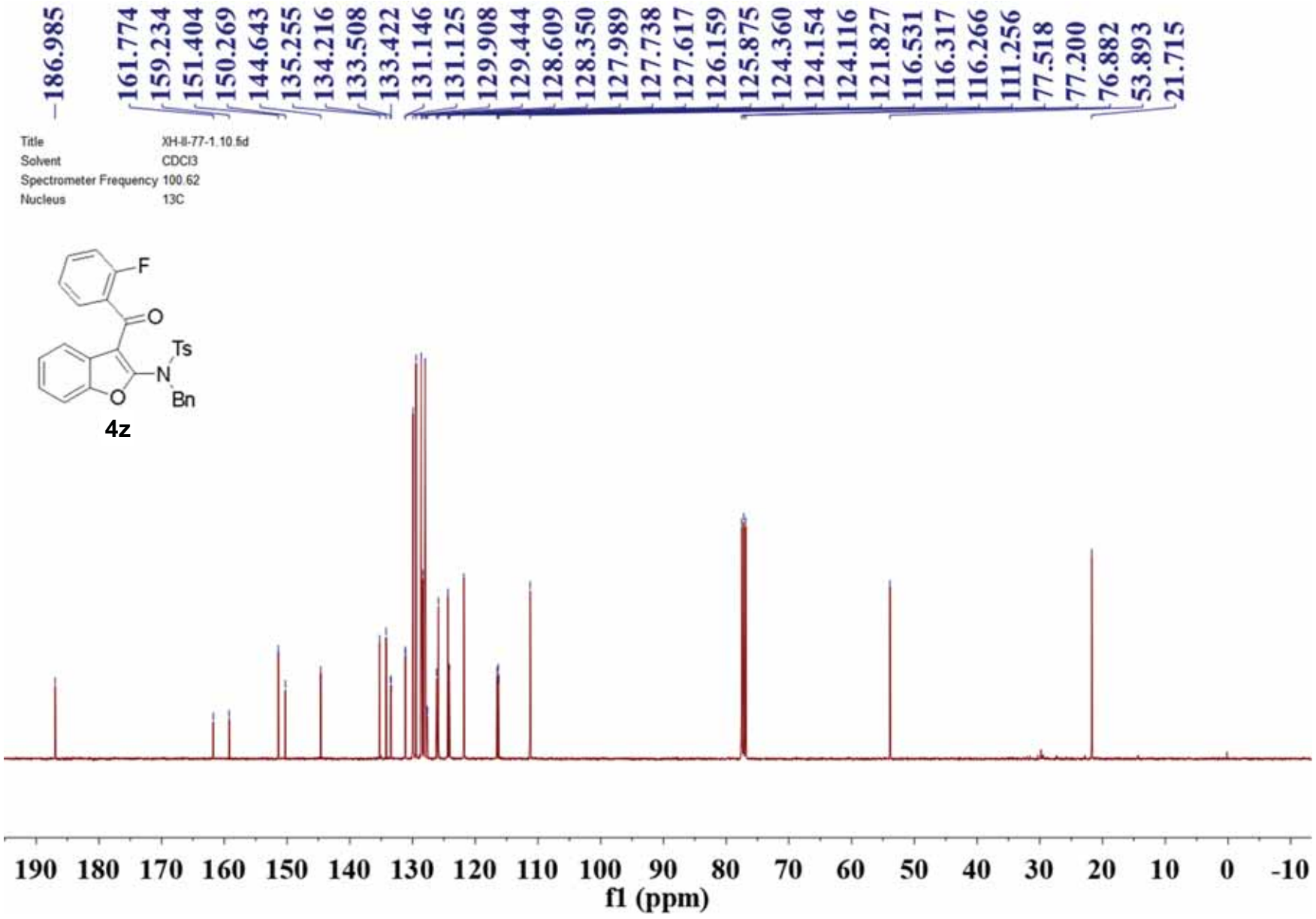
7.5263
7.5221
7.5084
7.5047
7.5010
7.4907
7.4875
7.4073
7.3867
7.3397
7.3363
7.3216
7.3181
7.3010
7.2974
7.2409
7.2237
7.2211
7.2126
7.2038
7.1975
7.1927
7.1790
7.1410
7.1387
7.1221
7.1201
7.1034
7.1012
7.0587
7.0560
7.0376
7.0341
7.0309
7.0123
7.0098
4.7217
2.3671
Title XH-II-77-1.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
F
O
Ts
N
Bn
O
4z
2.01
1.99
1.02
2.00
8.09
1.03
1.02
2.00
3.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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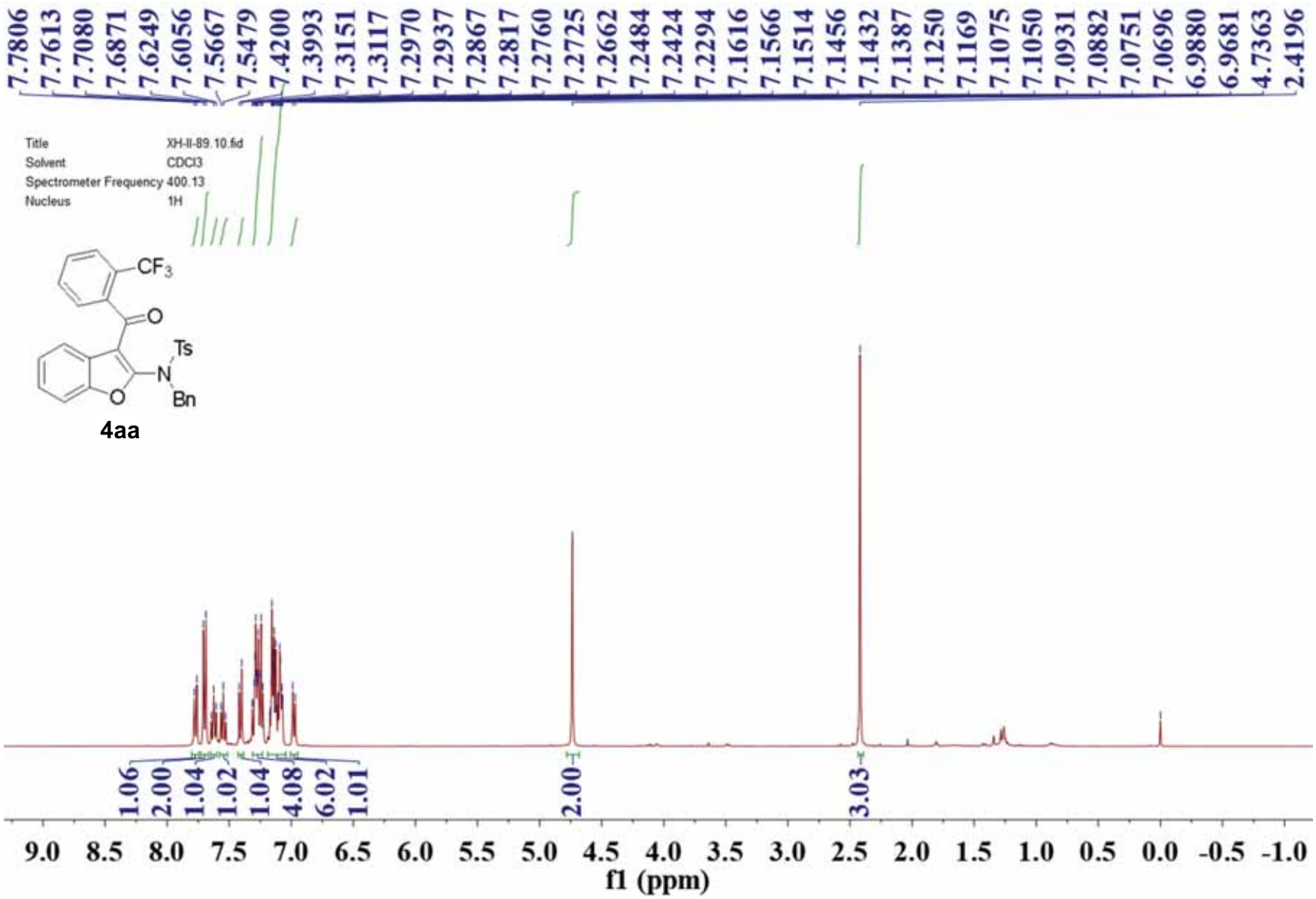
129.908
129.444
128.609
128.350
127.989
127.738
127.617
126.159
125.875
124.360
124.154
124.116
121.827
116.531
116.317
116.266
111.256
77.518
77.200
76.882
53.893
21.715
Title XH-II-77-1.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
F
O
Ts
N
O
Bn
4z
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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7.7080
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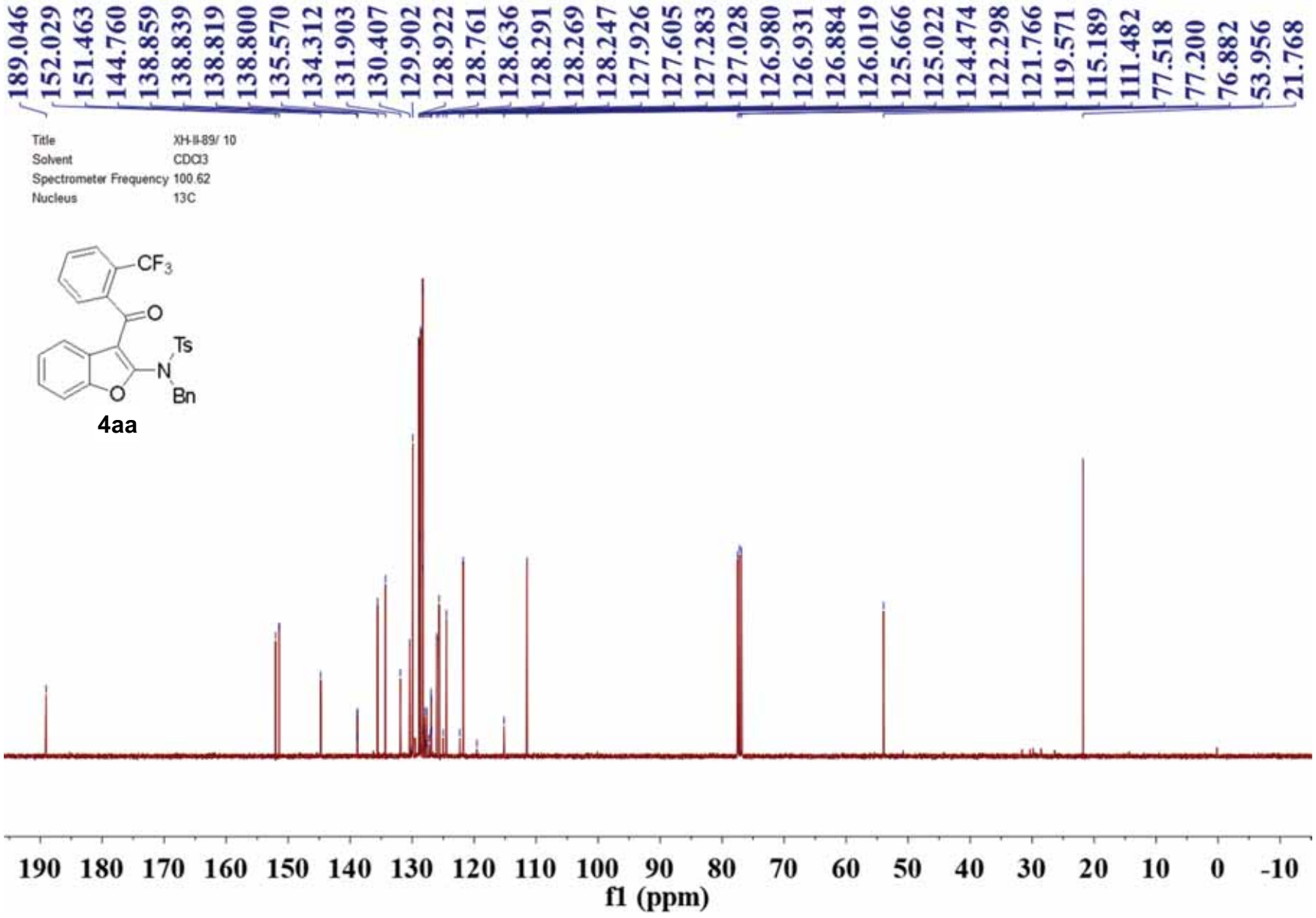
7.6249
7.6056
7.5667
7.5479
7.4200
7.3993
7.3151
7.3117
7.2970
7.2937
7.2867
7.2817
7.2760
7.2725
7.2662
7.2484
7.2424
7.2294
7.1616
7.1566
7.1514
7.1456
7.1432
7.1387
7.1250
7.1169
7.1075
7.1050
7.0931
7.0882
7.0751
7.0696
6.9880
6.9681
4.7363
2.4196
Title XH-II-89.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
CF3
O
Ts
N
Bn
O
4aa
1.06
2.00
1.04
1.02
1.04
4.08
6.02
1.01
2.00
3.03
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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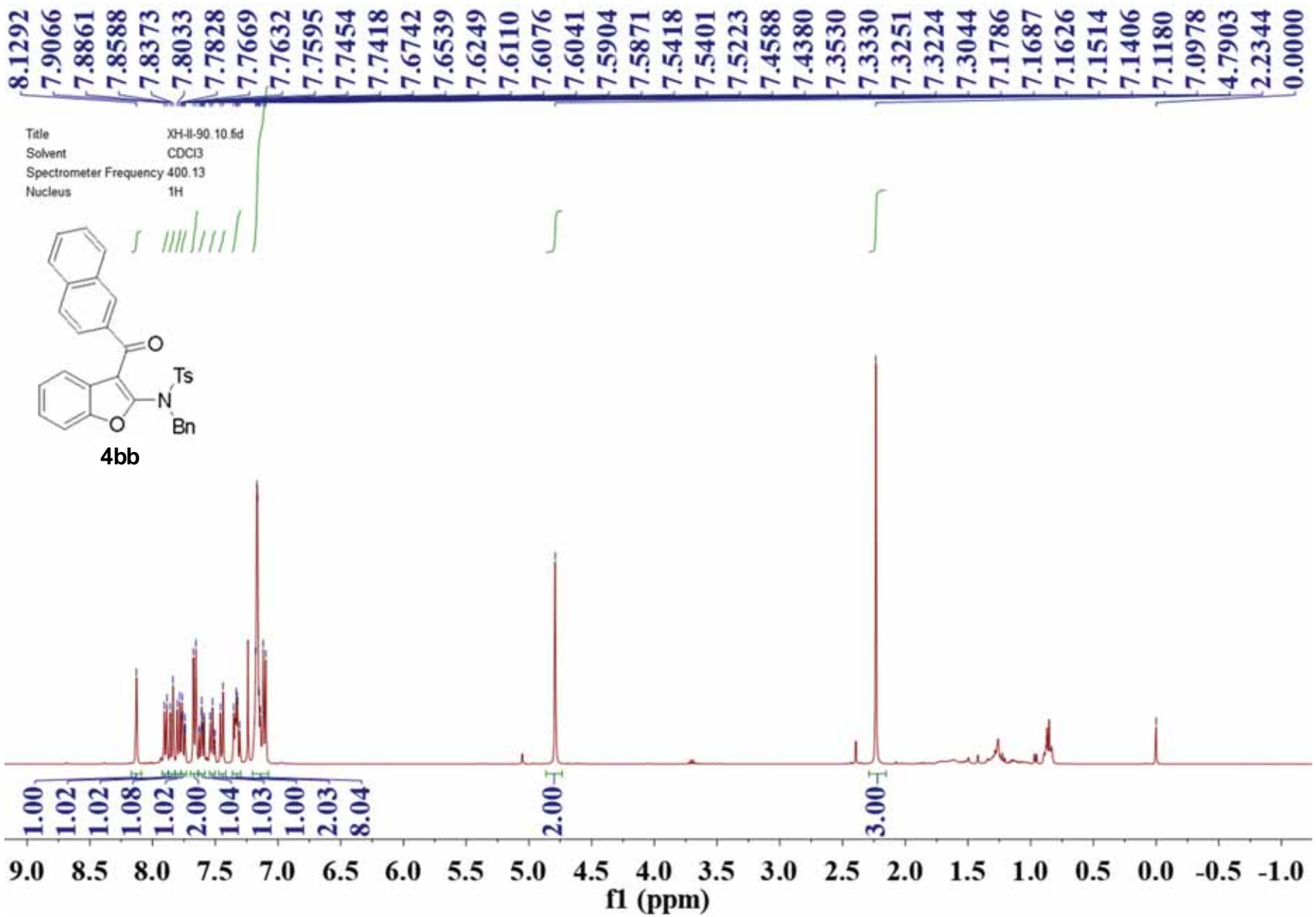
138.839
138.819
138.800
135.570
134.312
131.903
130.407
129.902
128.922
128.761
128.636
128.291
128.269
128.247
127.926
127.605
127.283
127.028
126.980
126.931
126.884
126.019
125.666
125.022
124.474
122.298
121.766
119.571
115.189
111.482
77.518
77.200
76.882
53.956
21.768
Title XH-II-89/ 10
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
CF3
O
Ts
N
Bn
O
4aa
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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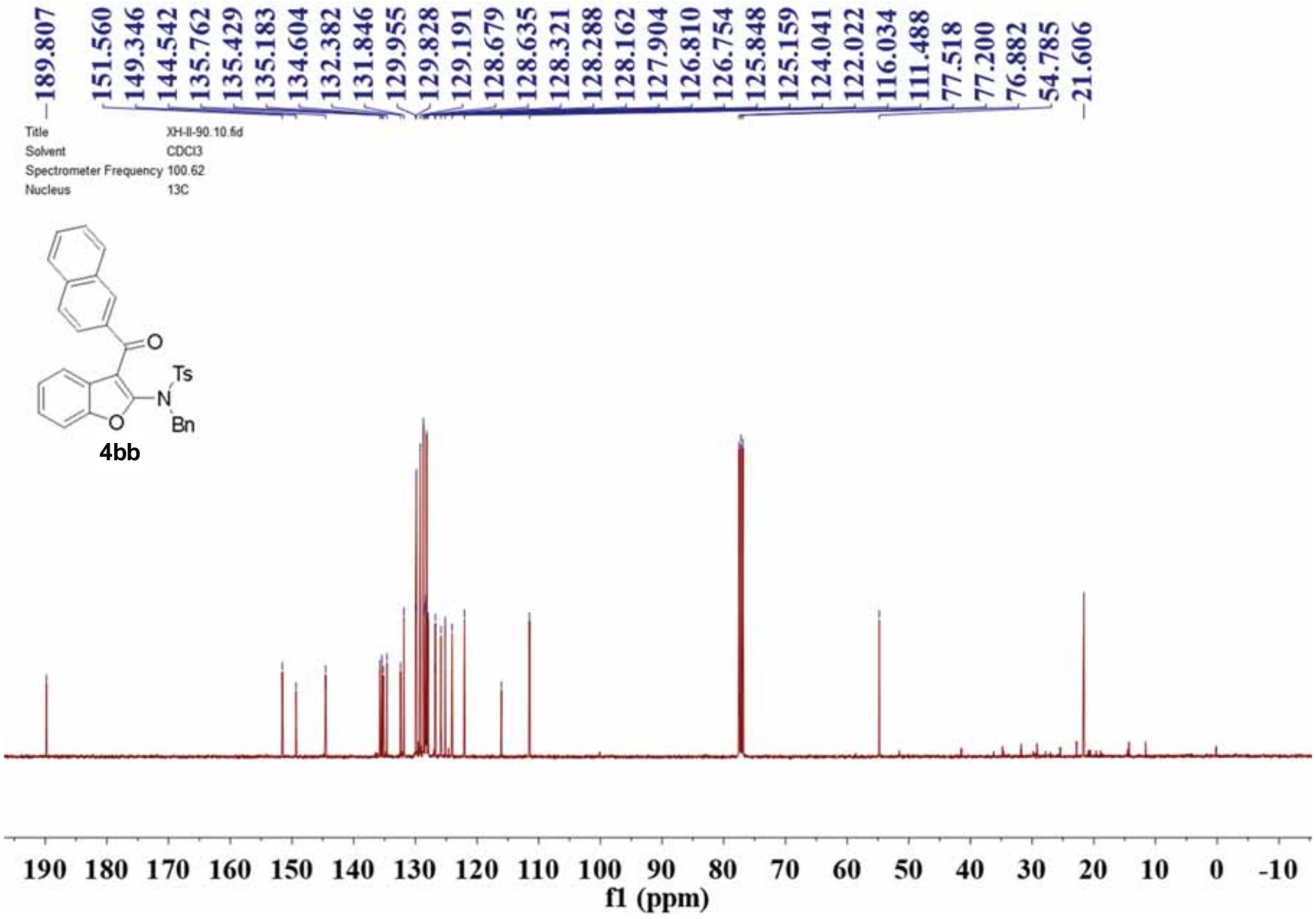
7.8861
7.8588
7.8373
7.8033
7.7828
7.7669
7.7632
7.7595
7.7454
7.7418
7.6742
7.6539
7.6249
7.6110
7.6076
7.6041
7.5904
7.5871
7.5418
7.5401
7.5223
7.4588
7.4380
7.3530
7.3330
7.3251
7.3224
7.3044
7.1786
7.1687
7.1626
7.1514
7.1406
7.1180
7.0978
4.7903
2.2344
0.0000
Title XH-II-90.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
O
Ts
N
Bn
O
4bb
1.00
1.02
1.02
1.08
1.02
2.00
1.04
1.03
1.00
2.03
8.04
2.00
3.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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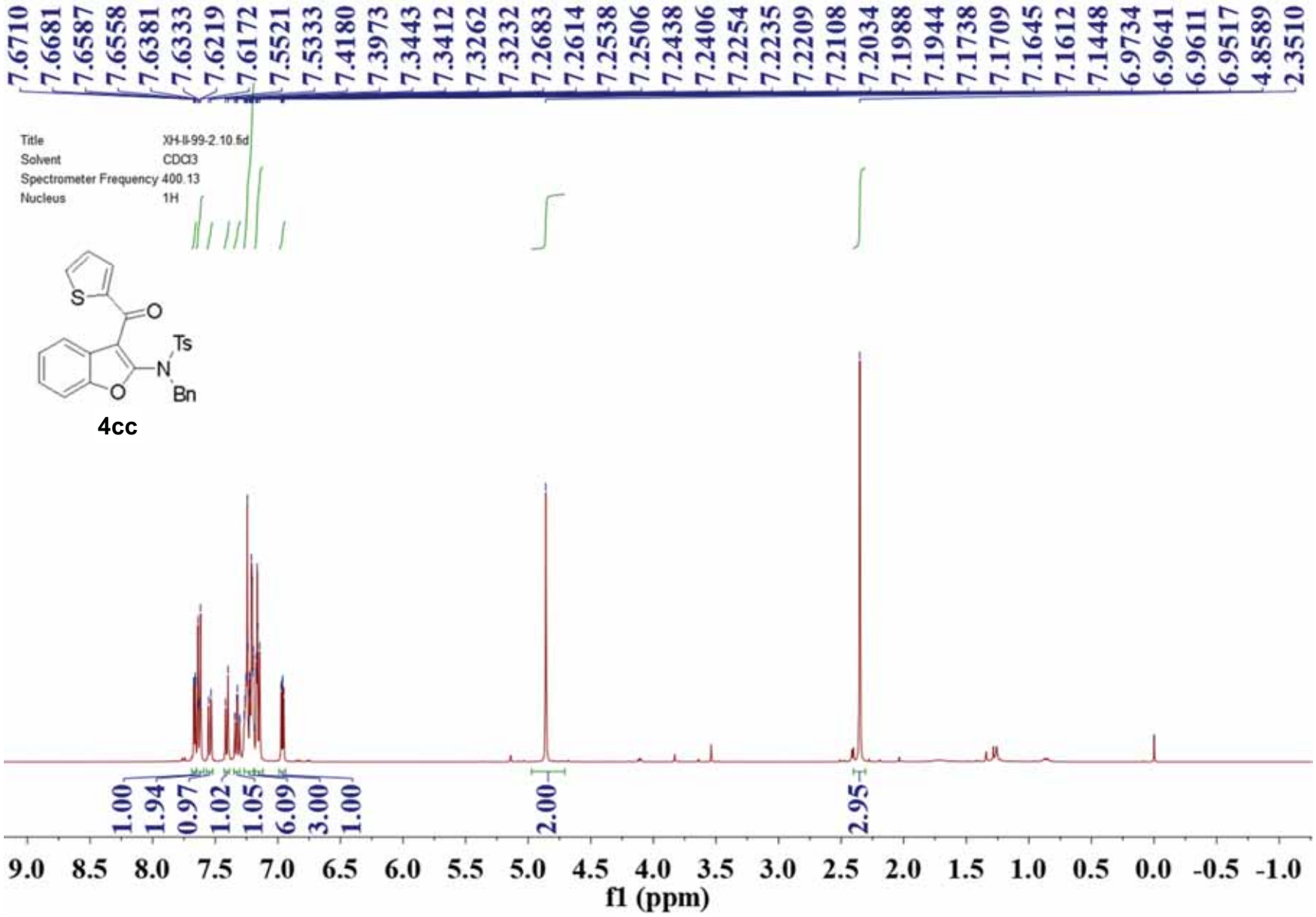
151.560
149.346
144.542
135.762
135.429
135.183
134.604
132.382
131.846
129.955
129.828
129.191
128.679
128.635
128.321
128.288
128.162
127.904
126.810
126.754
125.848
125.159
124.041
122.022
116.034
111.488
77.518
77.200
76.882
54.785
21.606
Title XH-II-90.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
O
Ts
N
Bn
O
4bb
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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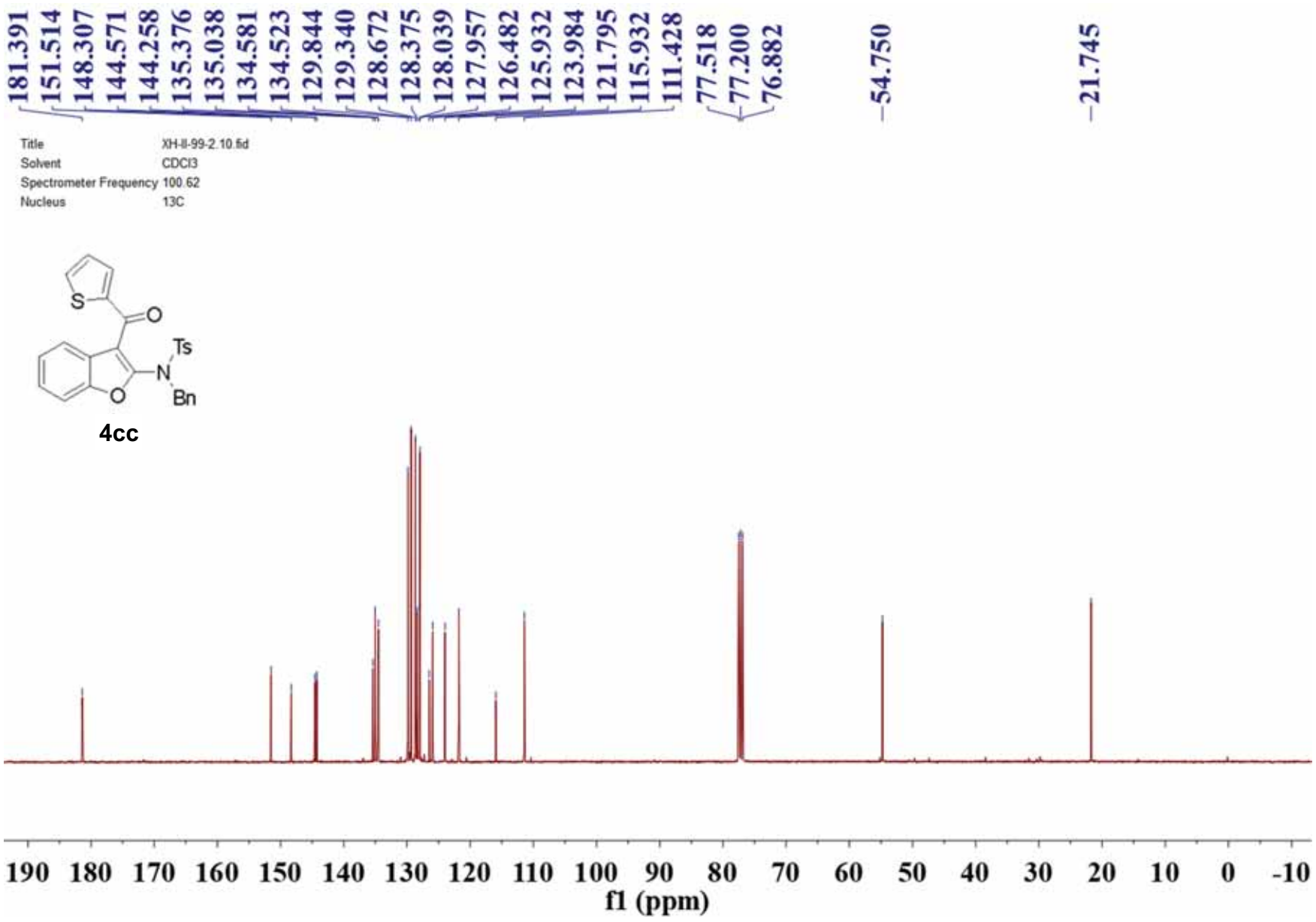
7.6587
7.6558
7.6381
7.6333
7.6219
7.6172
7.5521
7.5333
7.4180
7.3973
7.3443
7.3412
7.3262
7.3232
7.2683
7.2614
7.2538
7.2506
7.2438
7.2406
7.2254
7.2235
7.2209
7.2108
7.2034
7.1988
7.1944
7.1738
7.1709
7.1645
7.1612
7.1448
6.9734
6.9641
6.9611
6.9517
4.8589
2.3510
Title XH-II-99-2.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
S
O
Ts
N
Bn
O
4cc
1.00
1.94
0.97
1.02
1.05
6.09
3.00
1.00
2.00
2.95
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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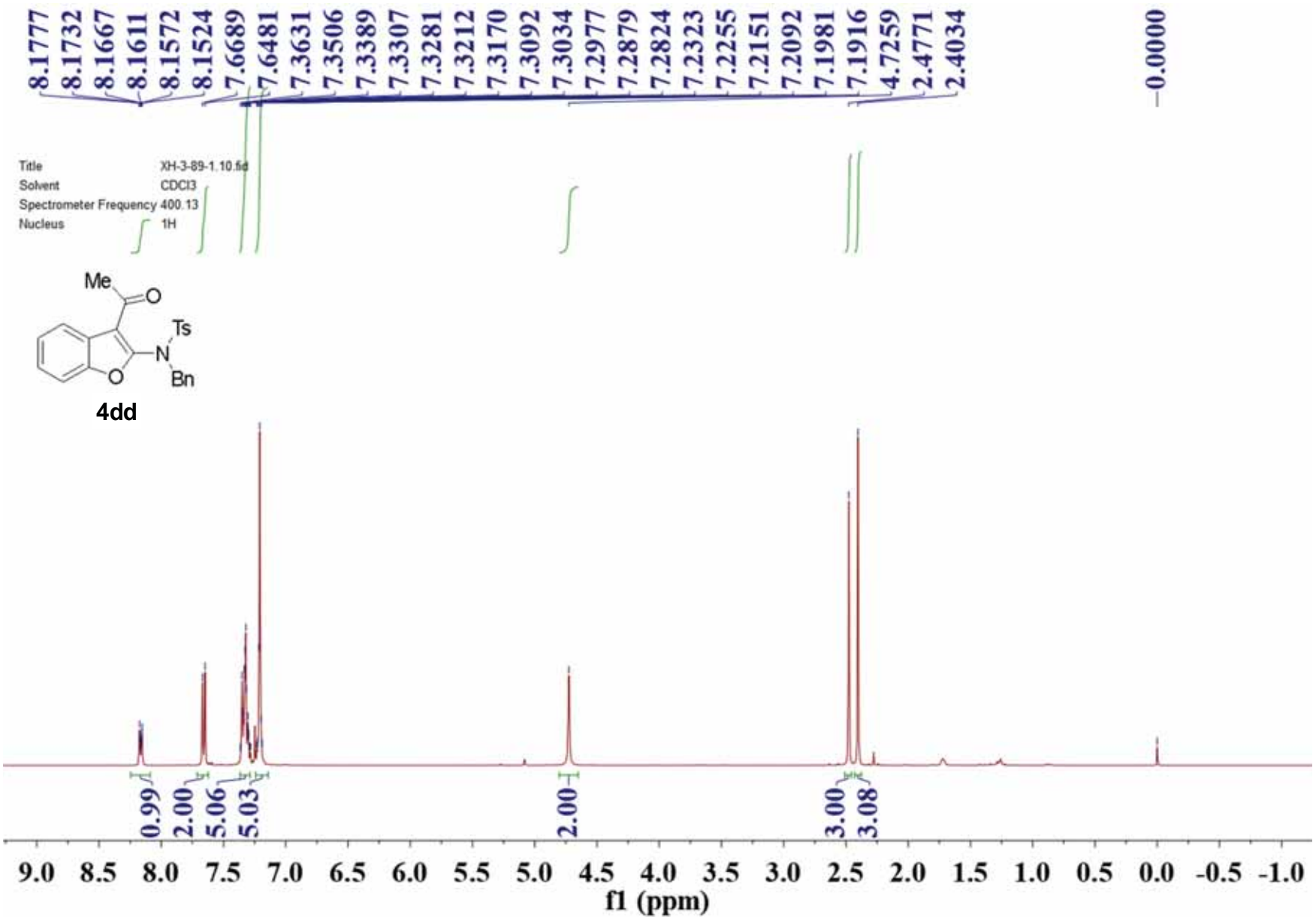
148.307
144.571
144.258
135.376
135.038
134.581
134.523
129.844
129.340
128.672
128.375
128.039
127.957
126.482
125.932
123.984
121.795
115.932
111.428
77.518
77.200
76.882
54.750
21.745
Title XH-II-99-2.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
S
O
Ts
N
Bn
O
4cc
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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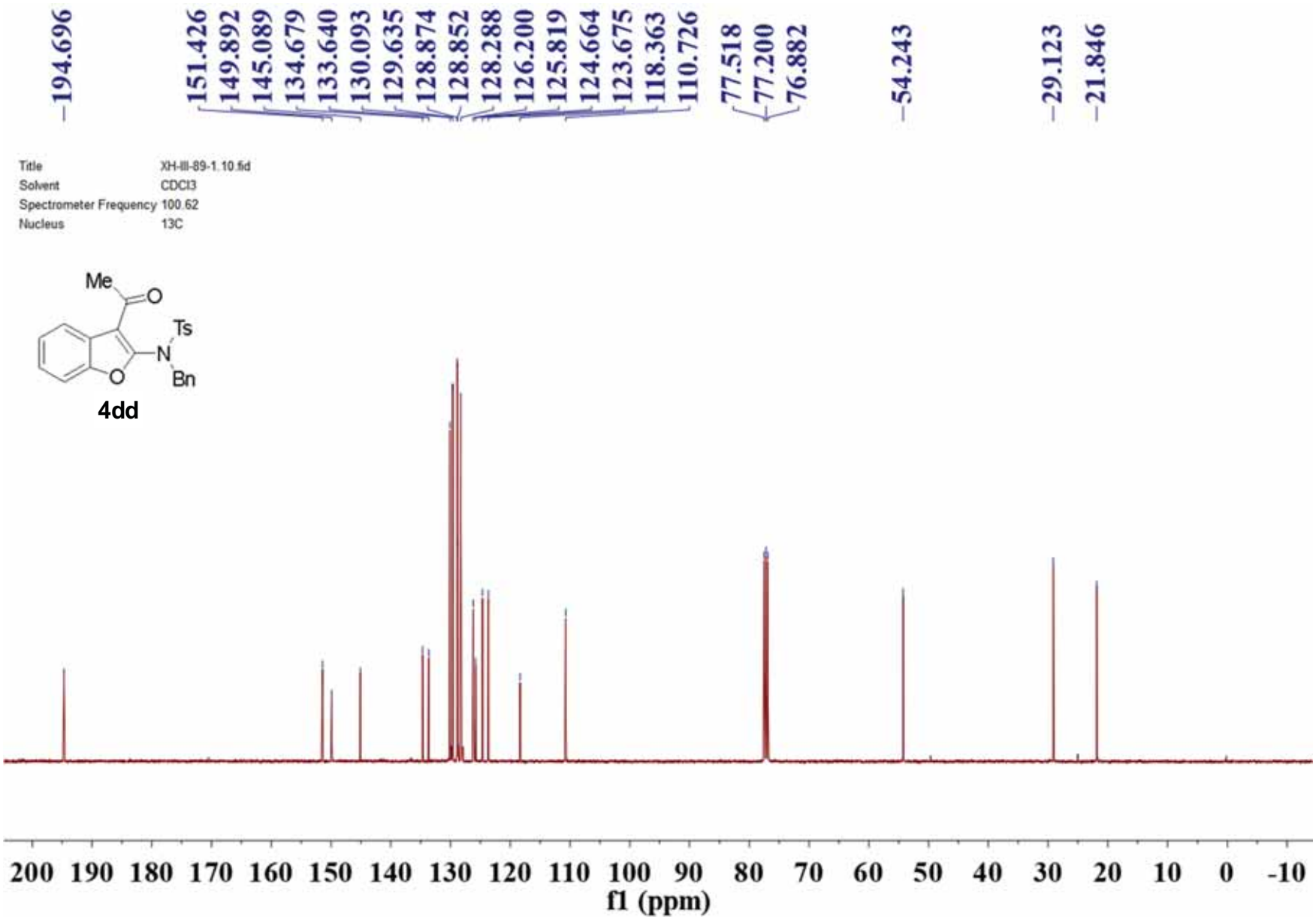
8.1732
8.1667
8.1611
8.1572
8.1524
7.6689
7.6481
7.3631
7.3506
7.3389
7.3307
7.3281
7.3212
7.3170
7.3092
7.3034
7.2977
7.2879
7.2824
7.2323
7.2255
7.2151
7.2092
7.1981
7.1916
4.7259
2.4771
2.4034
0.0000
Title XH-3-89-1.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Me
O
Ts
N
Bn
O
4dd
0.99
2.00
5.06
5.03
2.00
3.00
3.08
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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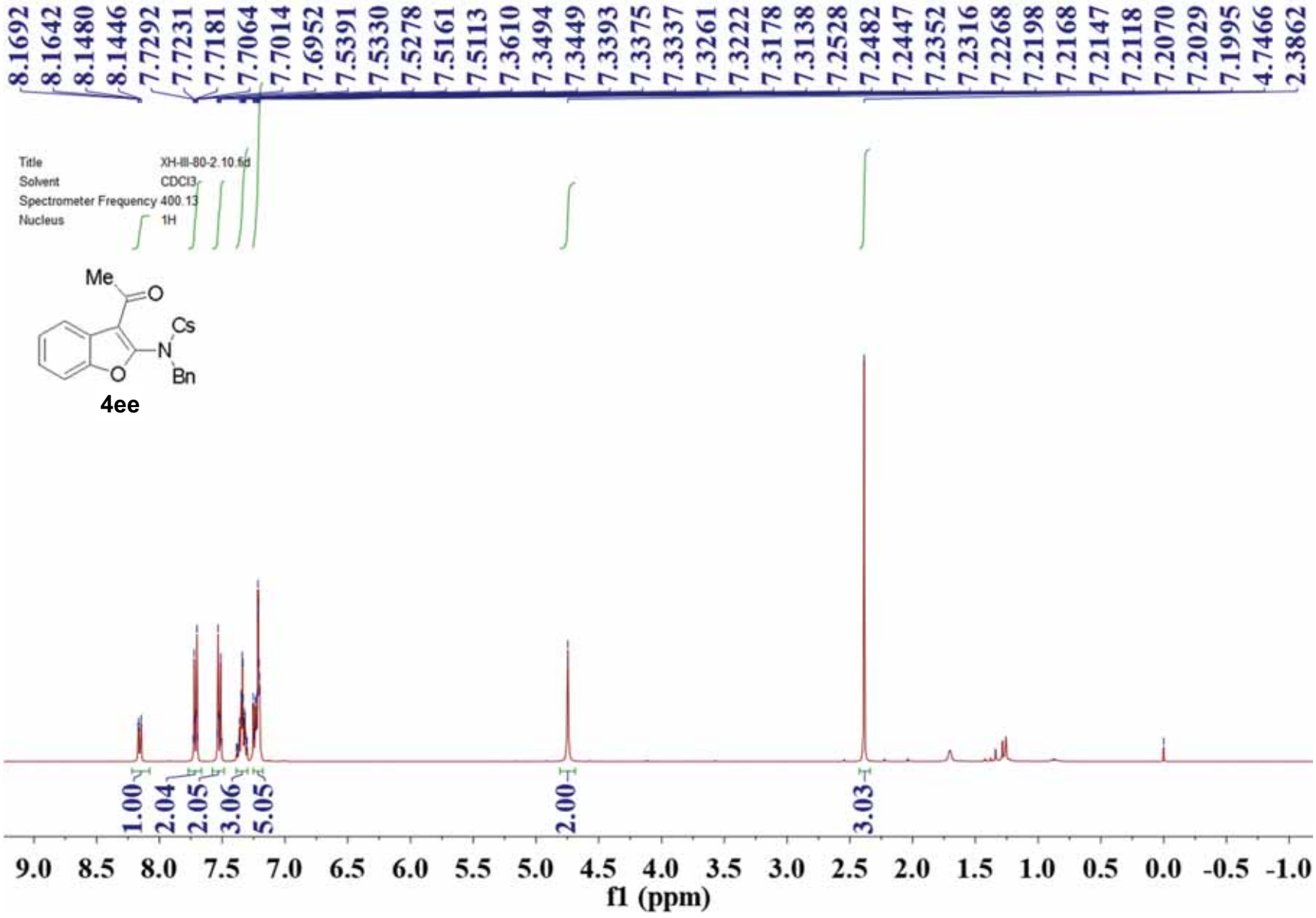
149.892
145.089
134.679
133.640
130.093
129.635
128.874
128.852
128.288
126.200
125.819
124.664
123.675
118.363
110.726
77.518
77.200
76.882
54.243
29.123
21.846
Title XH-III-89-1.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Me
O
Ts
N
Bn
O
4dd
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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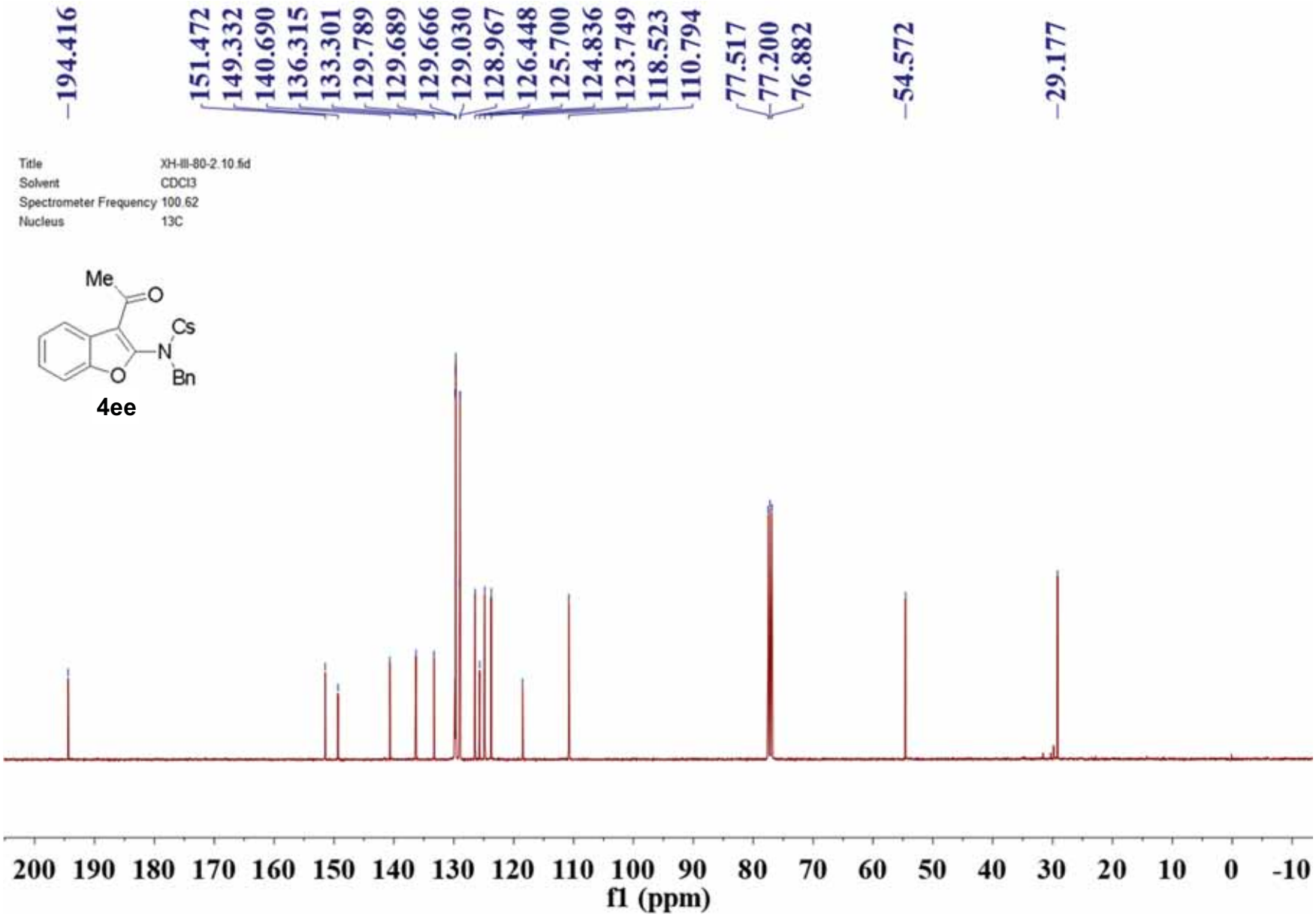
8.1642
8.1480
8.1446
7.7292
7.7231
7.7181
7.7064
7.7014
7.6952
7.5391
7.5330
7.5278
7.5161
7.5113
7.3610
7.3494
7.3449
7.3393
7.3375
7.3337
7.3261
7.3222
7.3178
7.3138
7.2528
7.2482
7.2447
7.2352
7.2316
7.2268
7.2198
7.2168
7.2147
7.2118
7.2070
7.2029
7.1995
4.7466
2.3862
Title
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Me
O
Cs
N
O
Bn
4ee
1.00
2.04
2.05
3.06
5.05
2.00
3.03
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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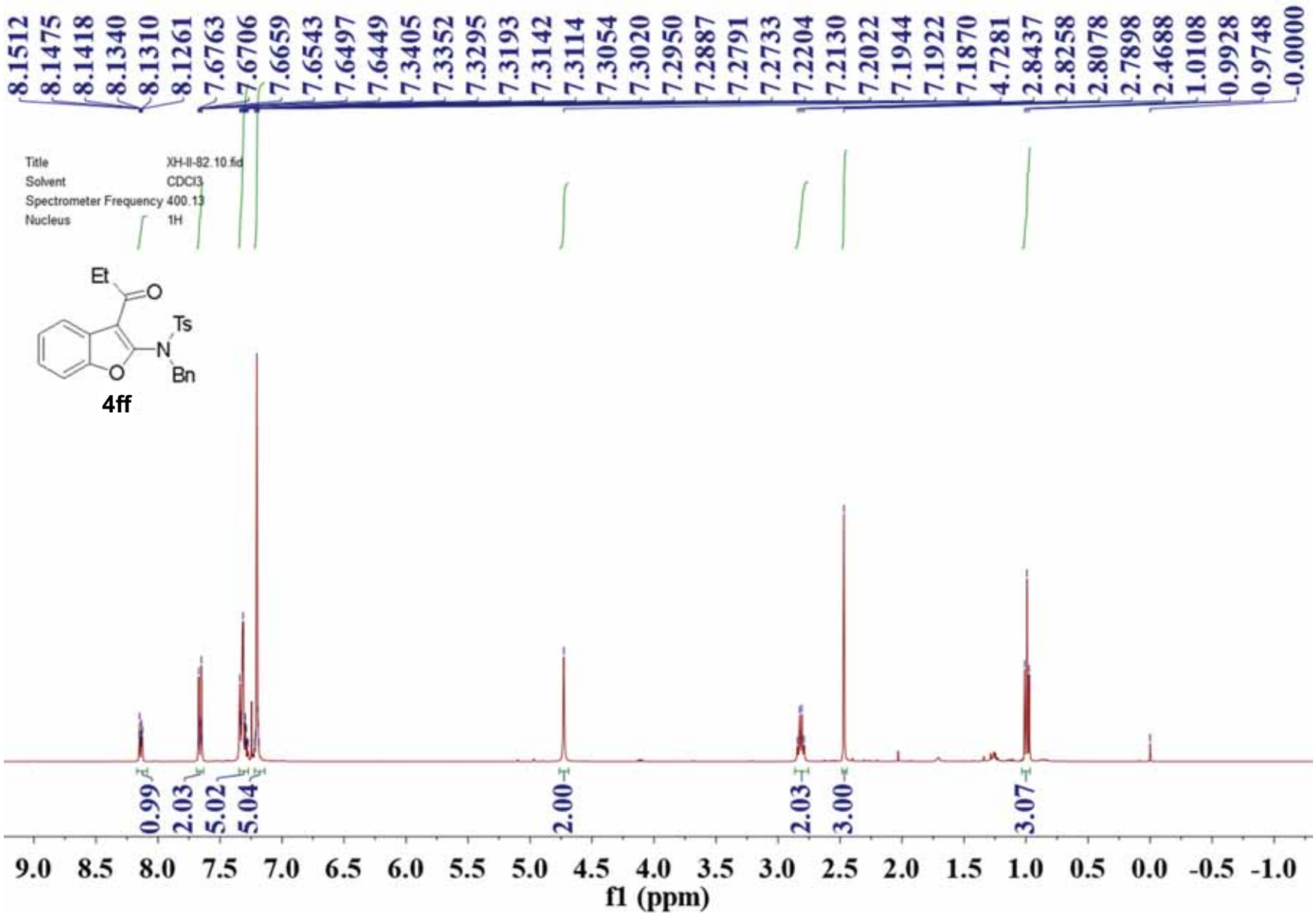
136.315
133.301
129.789
129.689
129.666
129.030
128.967
126.448
125.700
124.836
123.749
118.523
110.794
77.517
77.200
76.882
54.572
29.177
Title XH-III-80-2.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Me
O
Cs
N
O
Bn
4ee
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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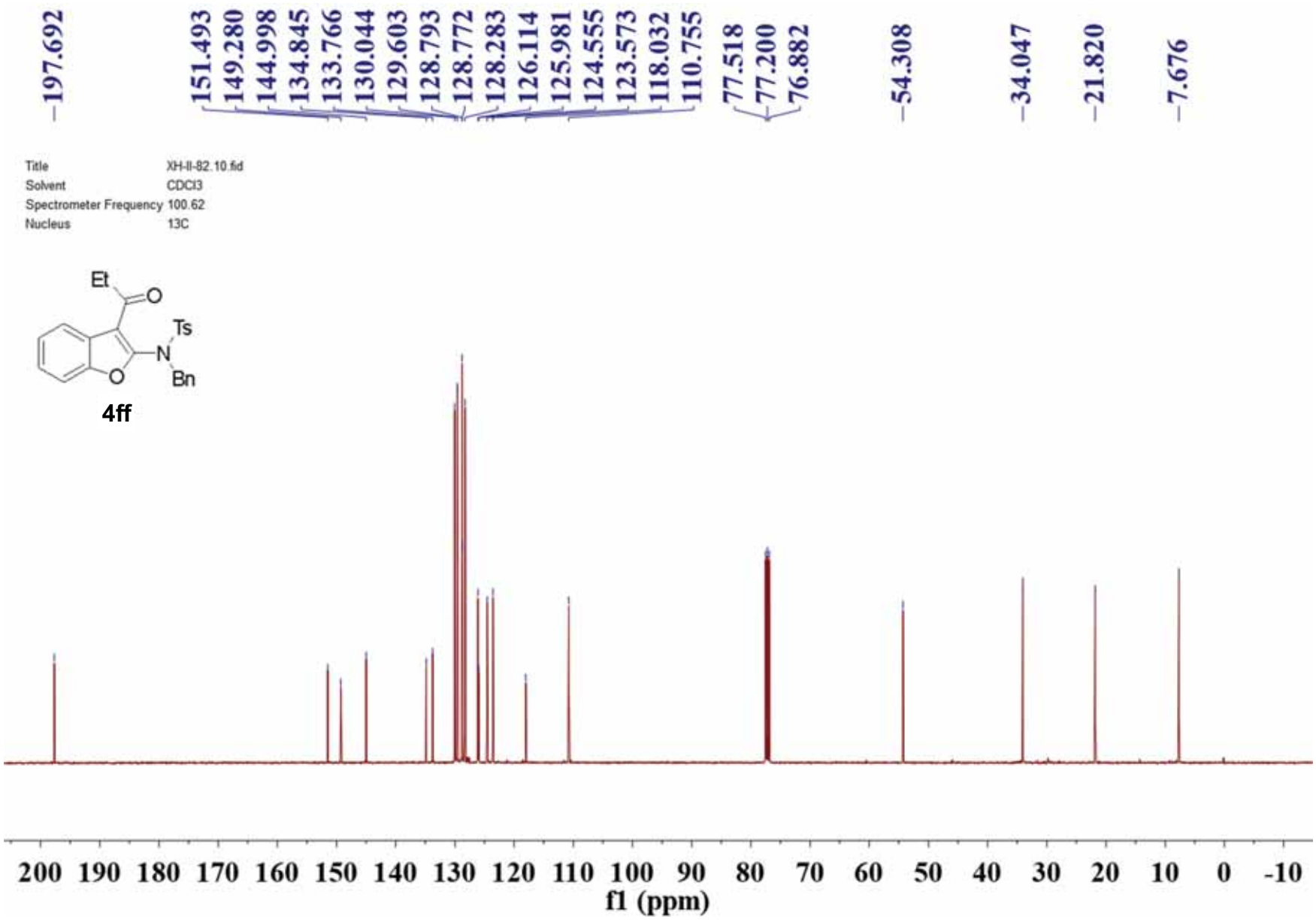
8.1475
8.1418
8.1340
8.1310
8.1261
7.6763
7.6706
7.6659
7.6543
7.6497
7.6449
7.3405
7.3352
7.3295
7.3193
7.3142
7.3114
7.3054
7.3020
7.2950
7.2887
7.2791
7.2733
7.2204
7.2130
7.2022
7.1944
7.1922
7.1870
4.7281
2.8437
2.8258
2.8078
2.7898
2.4688
1.0108
0.9928
0.9748
-0.0000
Title XH-II-82.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Et
O
Ts
N
Bn
O
4ff
0.99
2.03
5.02
5.04
2.00
2.03
3.00
3.07
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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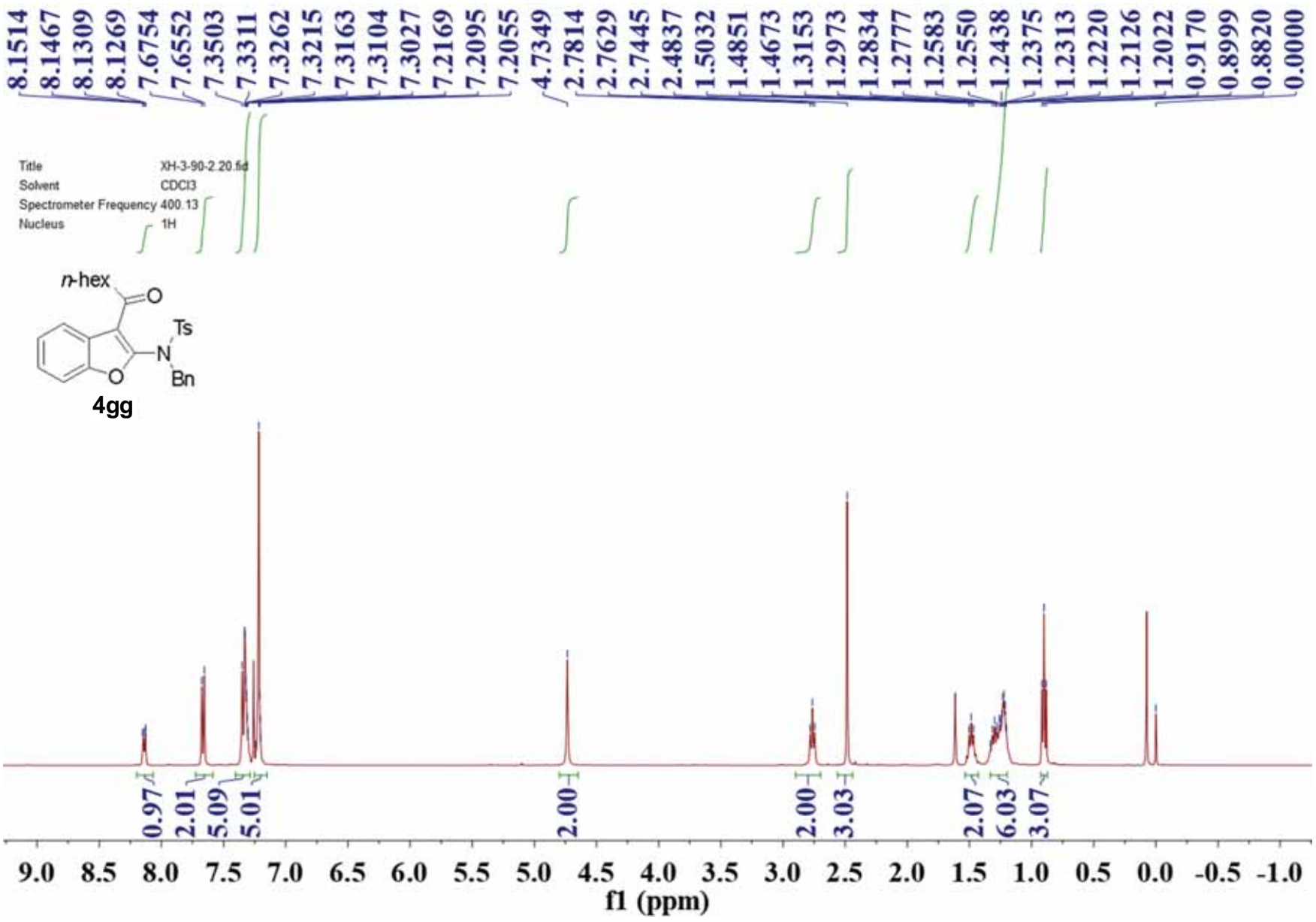
149.280
144.998
134.845
133.766
130.044
129.603
128.793
128.772
128.283
126.114
125.981
124.555
123.573
118.032
110.755
77.518
77.200
76.882
54.308
34.047
21.820
7.676
Title XH-II-82.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Et
O
Ts
N
Bn
O
4ff
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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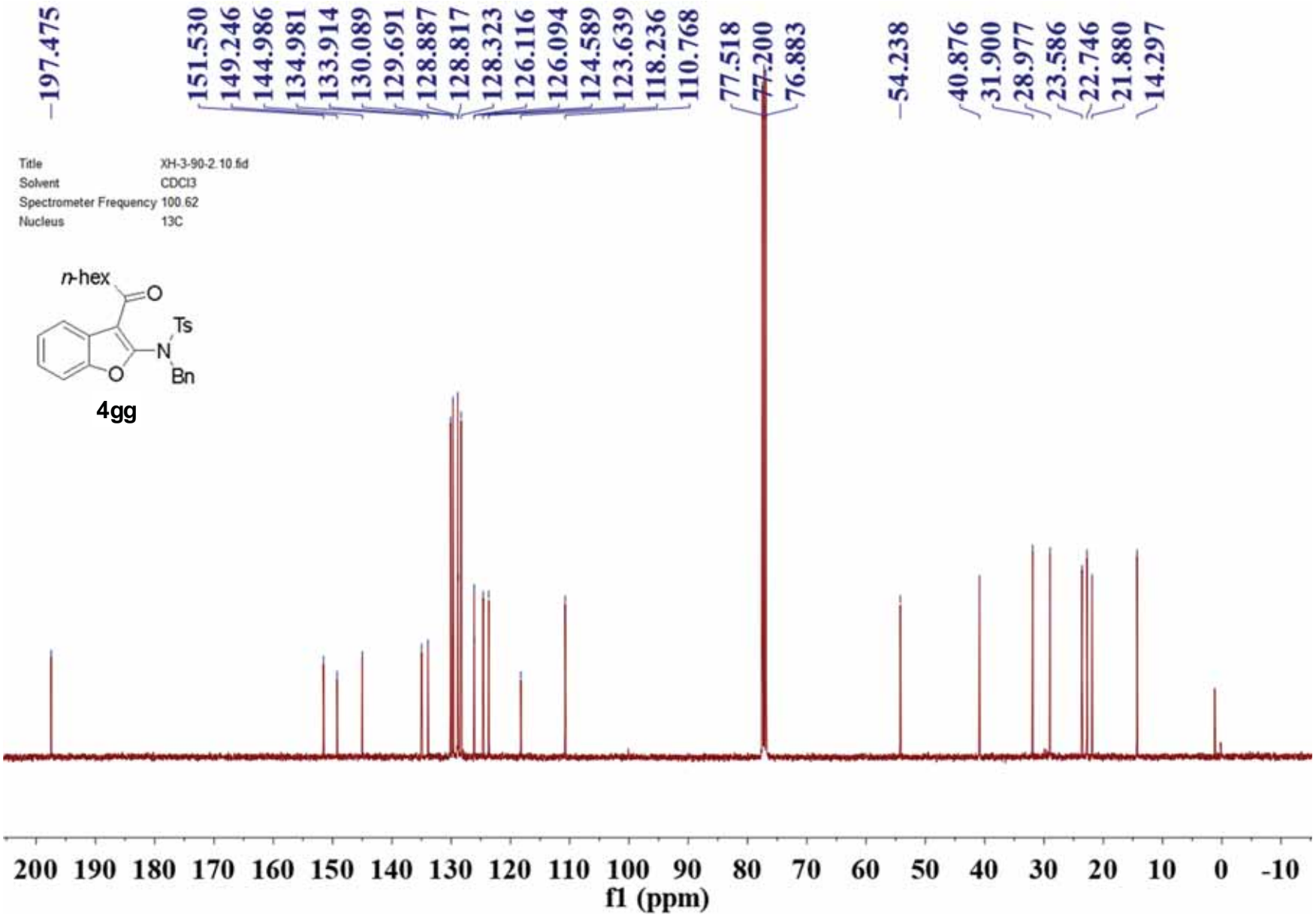
8.1467
8.1309
8.1269
7.6754
7.6552
7.3503
7.3311
7.3262
7.3215
7.3163
7.3104
7.3027
7.2169
7.2095
7.2055
4.7349
2.7814
2.7629
2.7445
2.4837
1.5032
1.4851
1.4673
1.3153
1.2973
1.2834
1.2777
1.2583
1.2550
1.2438
1.2375
1.2313
1.2220
1.2126
1.2022
0.9170
0.8999
0.8820
0.0000
Title XH-3-90-2.20.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
n-hex
O
Ts
N
Bn
O
4gg
0.97
2.01
5.09
5.01
2.00
2.00
3.03
2.07
6.03
3.07
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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134.981
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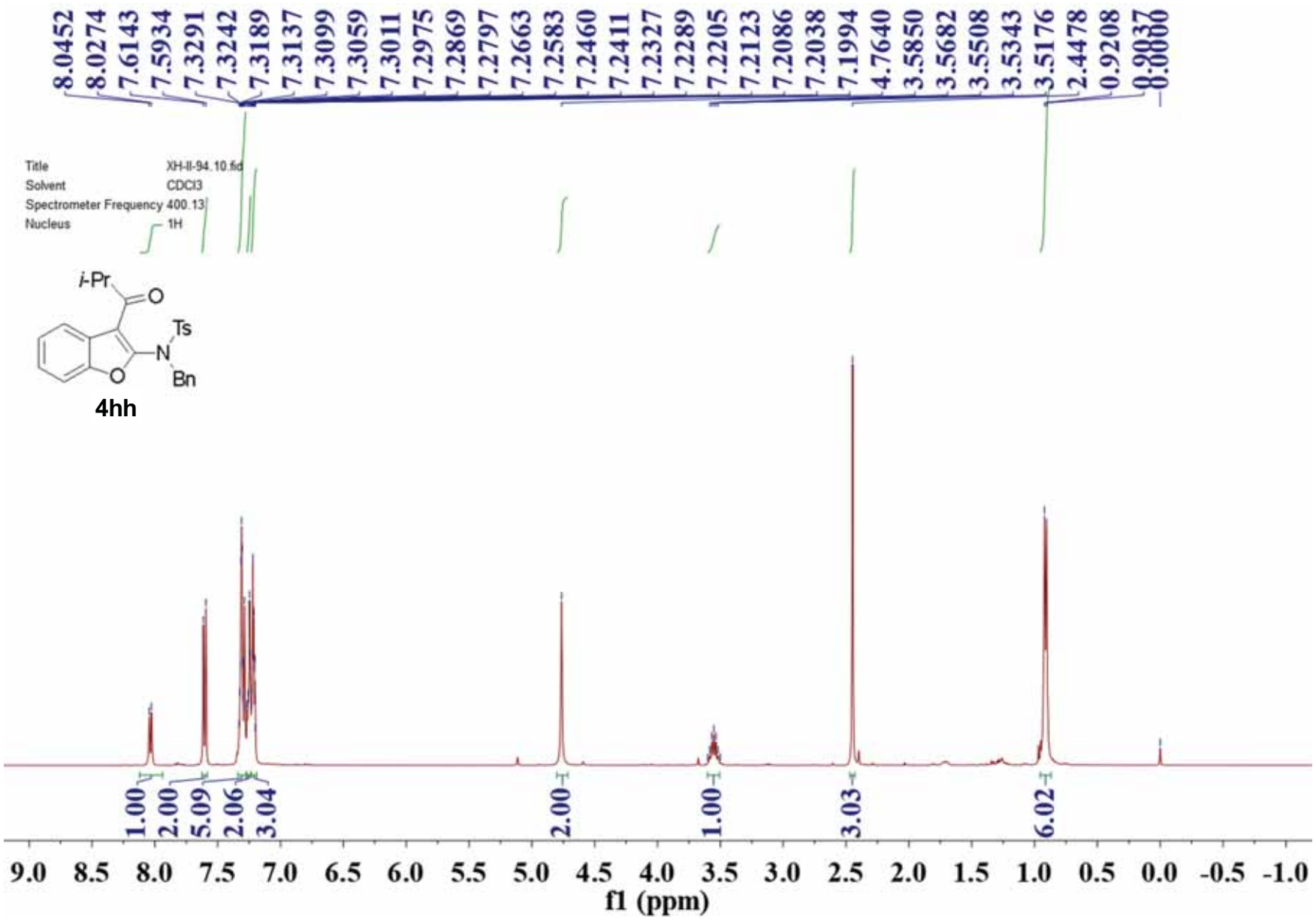
130.089
129.691
128.887
128.817
128.323
126.116
126.094
124.589
123.639
118.236
110.768
77.518
77.200
76.883
54.238
40.876
31.900
28.977
23.586
22.746
21.880
14.297
Title XH-3-90-2.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
n-hex
O
Ts
N
Bn
O
4gg
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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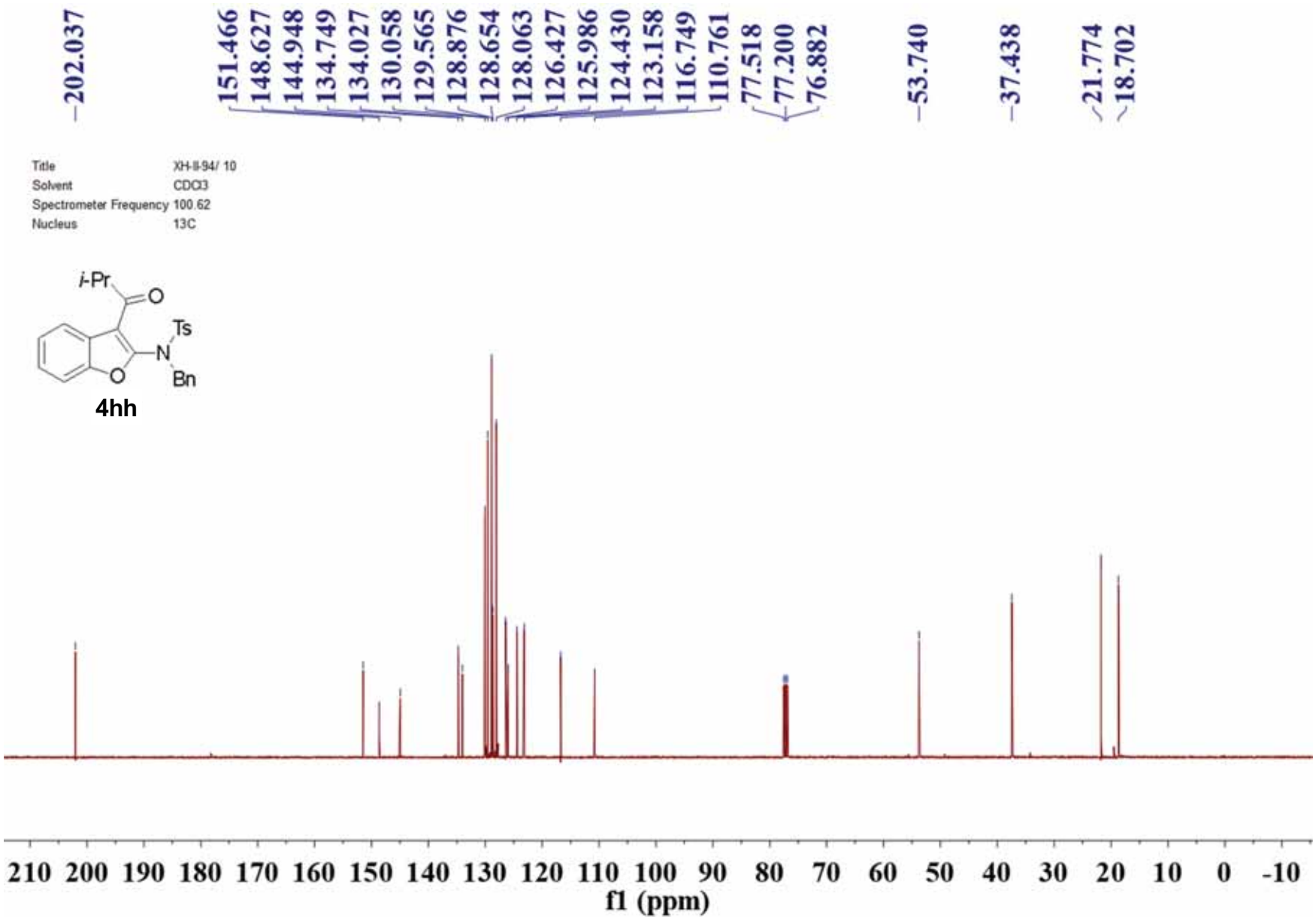
8.0274
7.6143
7.5934
7.3291
7.3242
7.3189
7.3137
7.3099
7.3059
7.3011
7.2975
7.2869
7.2797
7.2663
7.2583
7.2460
7.2411
7.2327
7.2289
7.2205
7.2123
7.2086
7.2038
7.1994
4.7640
3.5850
3.5682
3.5508
3.5343
3.5176
2.4478
0.9208
0.0037
0.0000
Title XH-II-94.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
i-Pr
O
Ts
N
Bn
O
4hh
1.00
2.00
5.09
2.06
3.04
2.00
1.00
3.03
6.02
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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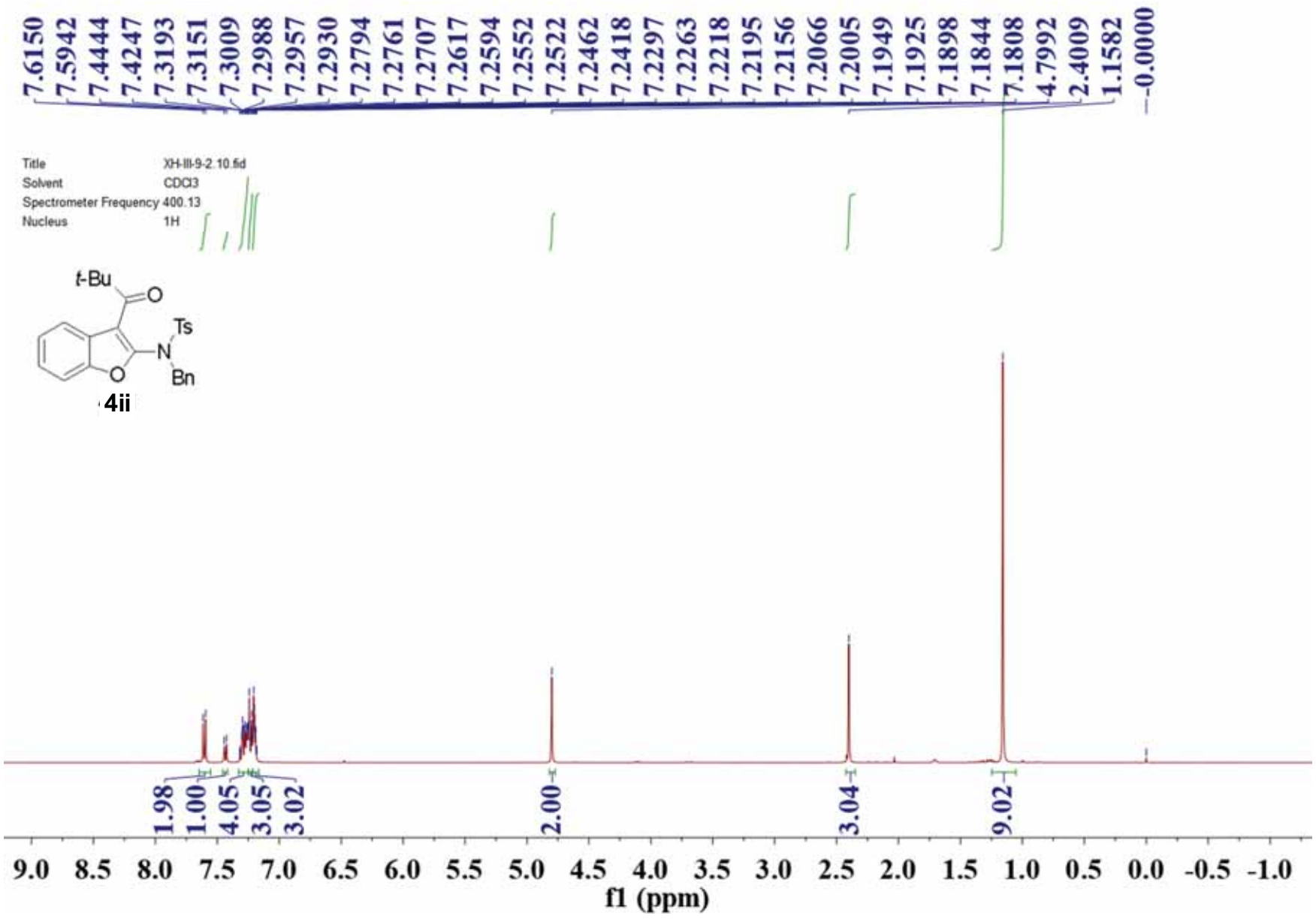
151.466
148.627
144.948
134.749
134.027
130.058
129.565
128.876
128.654
128.063
126.427
125.986
124.430
123.158
116.749
110.761
77.518
77.200
76.882
53.740
37.438
21.774
18.702
Title XH-II-94/ 10
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
i-Pr
O
Ts
N
Bn
O
4hh
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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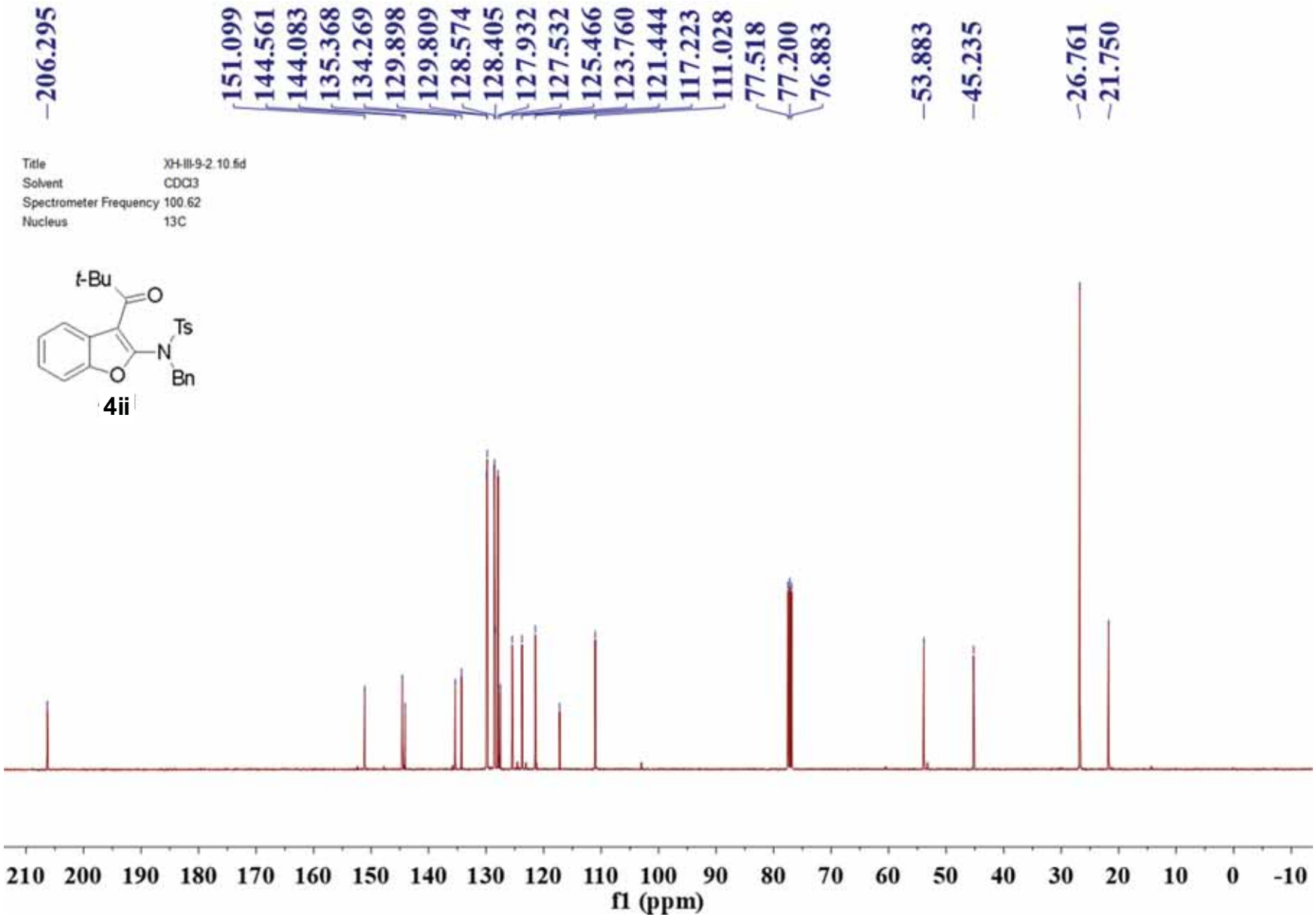
7.5942
7.4444
7.4247
7.3193
7.3151
7.3009
7.2988
7.2957
7.2930
7.2794
7.2761
7.2707
7.2617
7.2594
7.2552
7.2522
7.2462
7.2418
7.2297
7.2263
7.2218
7.2195
7.2156
7.2066
7.2005
7.1949
7.1925
7.1898
7.1844
7.1808
4.7992
2.4009
1.1582
-0.0000
Title XH-III-9-2.10.5d
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
t-Bu
O
Ts
N
Bn
O
4ii
1.98
1.00
4.05
3.05
3.02
2.00
3.04
9.02
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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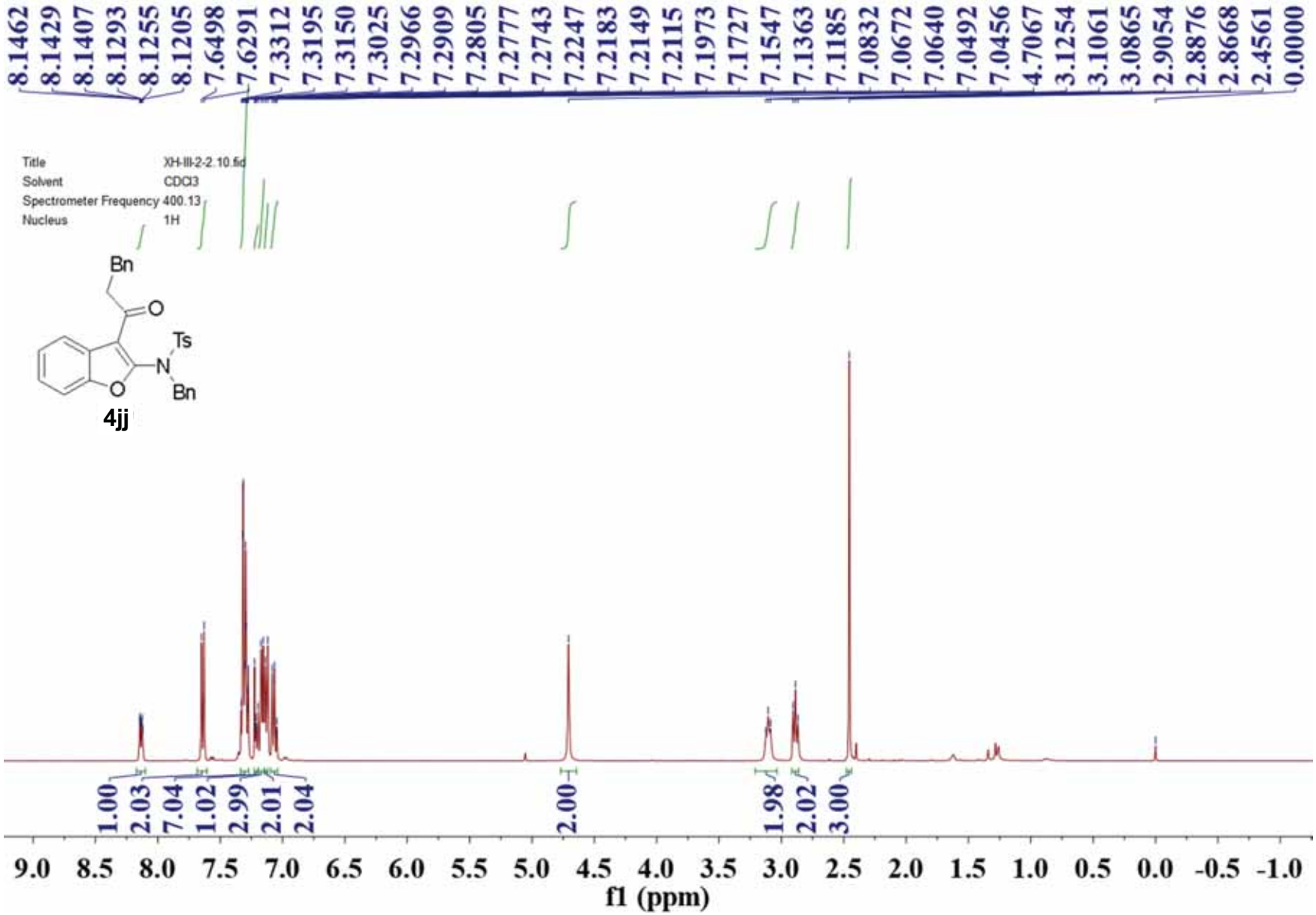
144.083
135.368
134.269
129.898
129.809
128.574
128.405
127.932
127.532
125.466
123.760
121.444
117.223
111.028
77.518
77.200
76.883
53.883
45.235
26.761
21.750
Title XH-III-9-2.10.5d
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
t-Bu
O
Ts
N
Bn
O
4ii
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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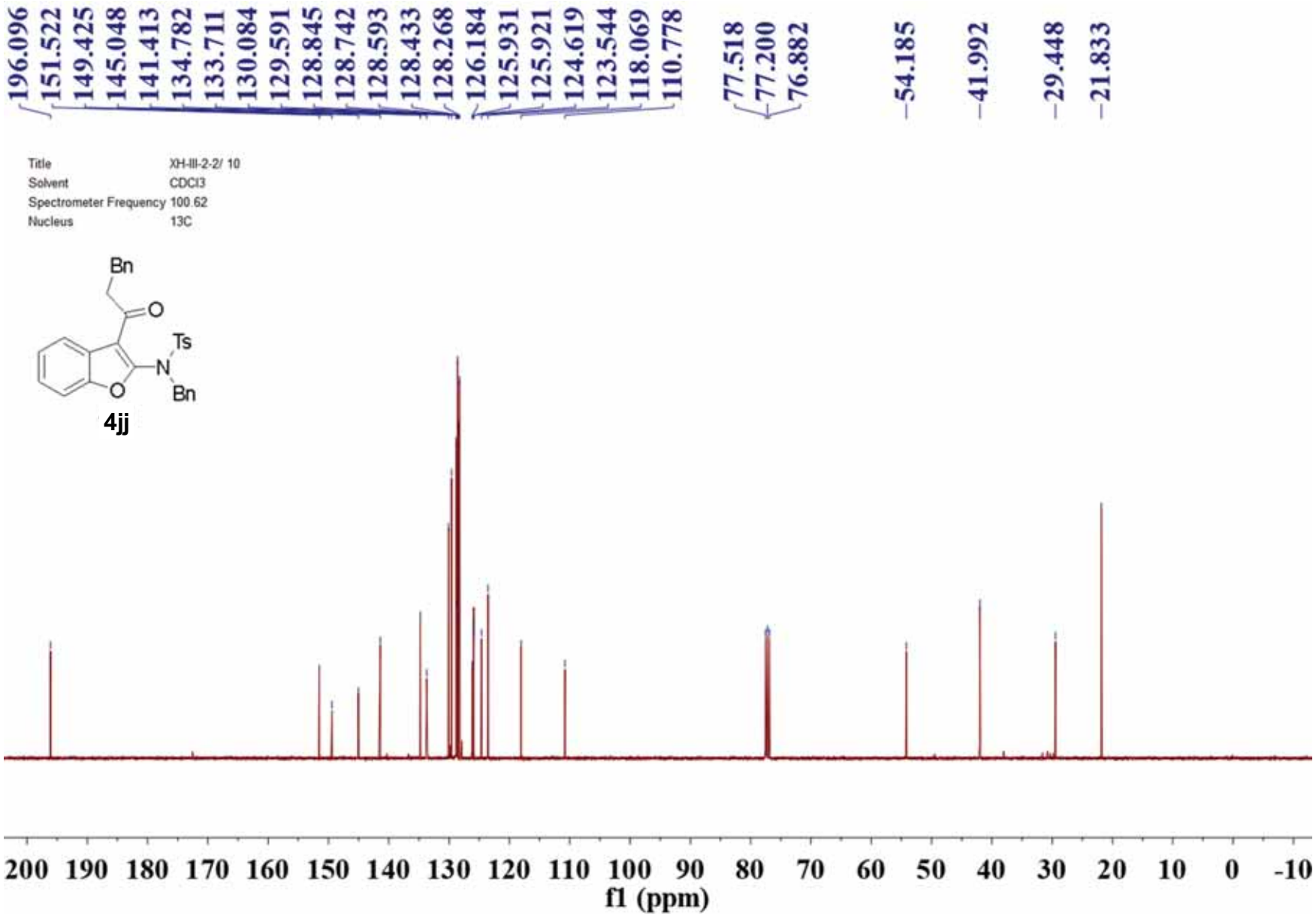
8.1407
8.1293
8.1255
8.1205
7.6498
7.6291
7.3312
7.3195
7.3150
7.3025
7.2966
7.2909
7.2805
7.2777
7.2743
7.2247
7.2183
7.2149
7.2115
7.1973
7.1727
7.1547
7.1363
7.1185
7.0832
7.0672
7.0640
7.0492
7.0456
4.7067
3.1254
3.1061
3.0865
2.9054
2.8876
2.8668
2.4561
0.0000
Title XH-III-2-2.10.5d
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Bn
O
Ts
N
Bn
O
4jj
1.00
2.03
7.04
1.02
2.99
2.01
2.04
2.00
1.98
2.02
3.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)


196.096
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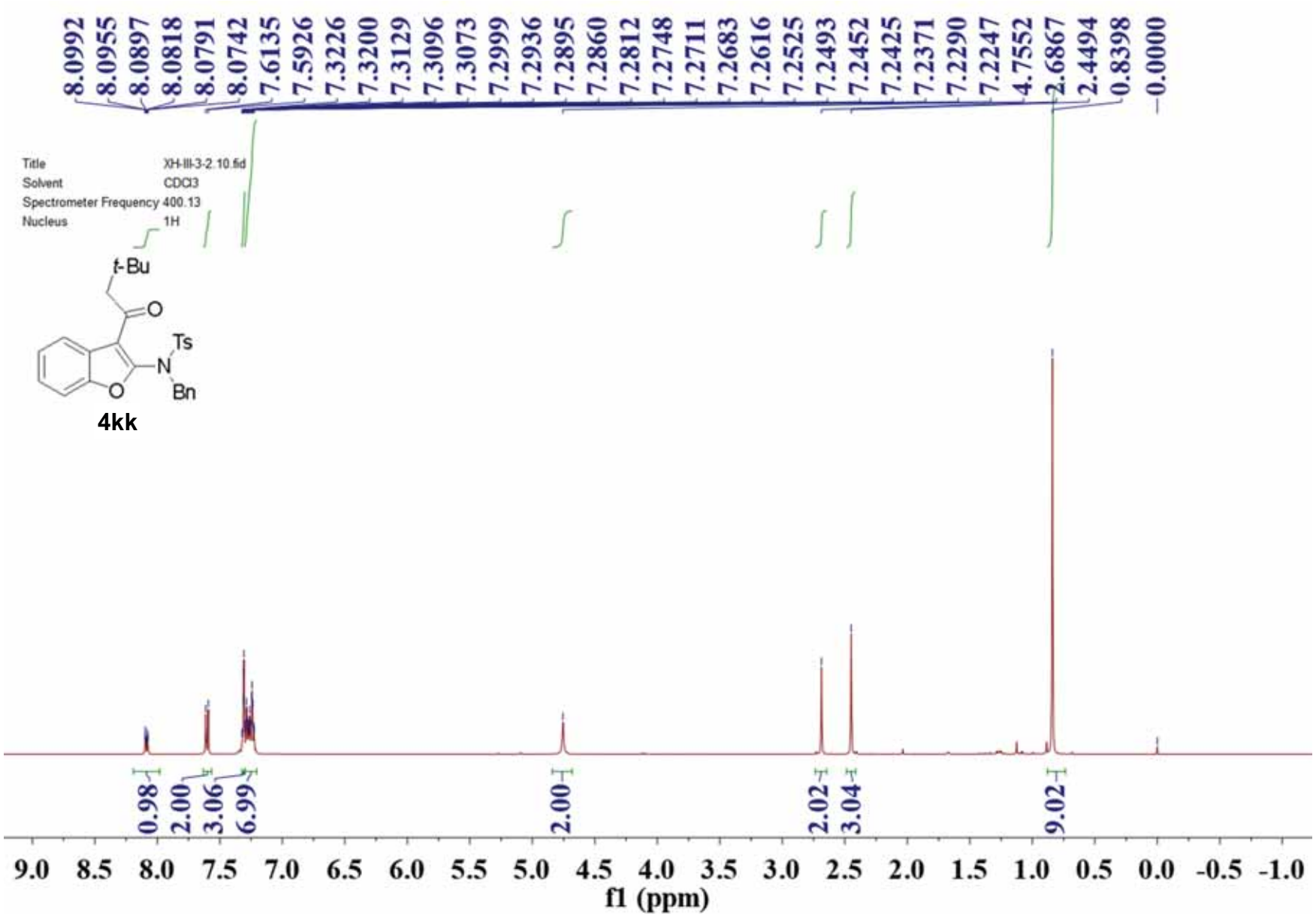
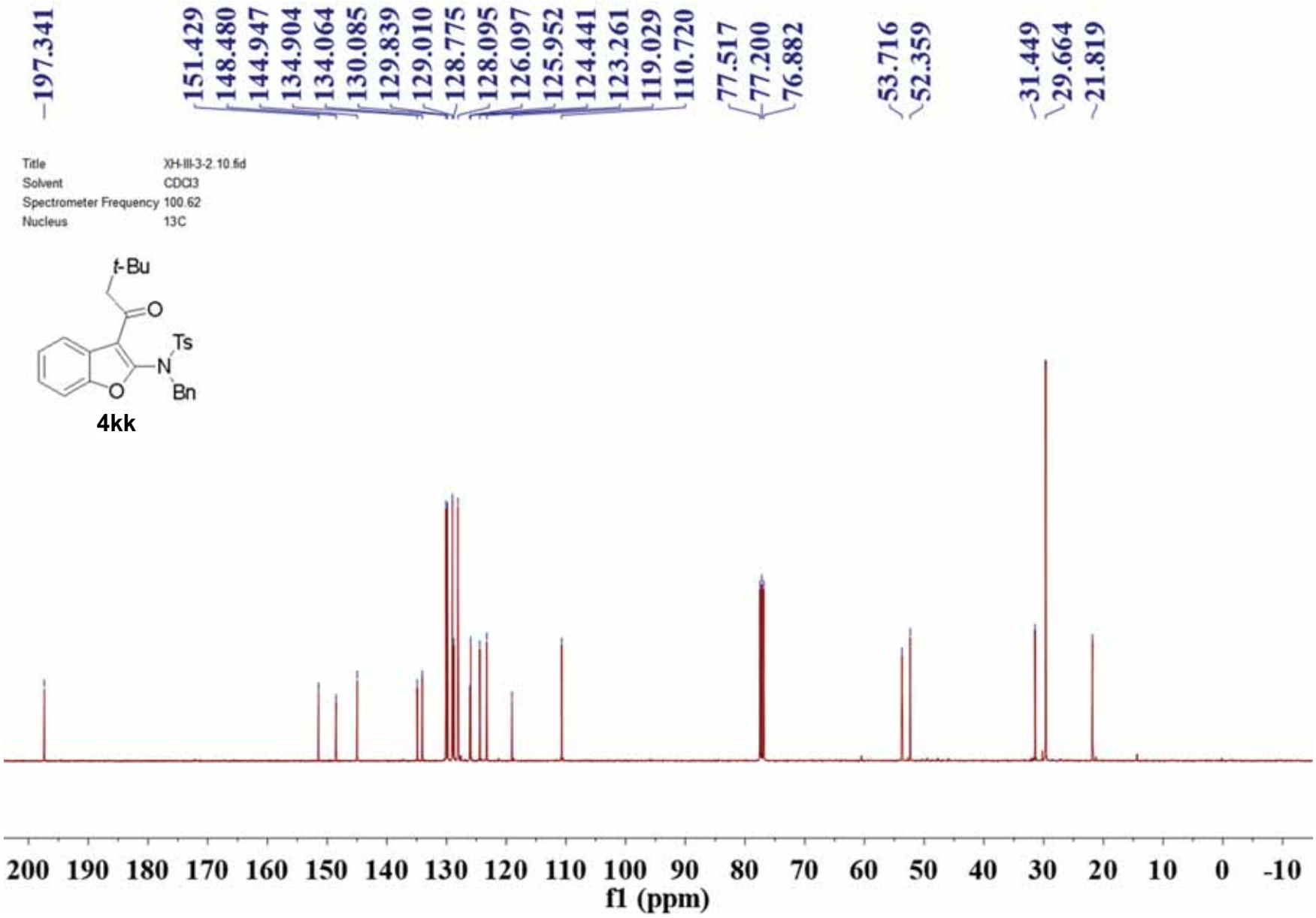
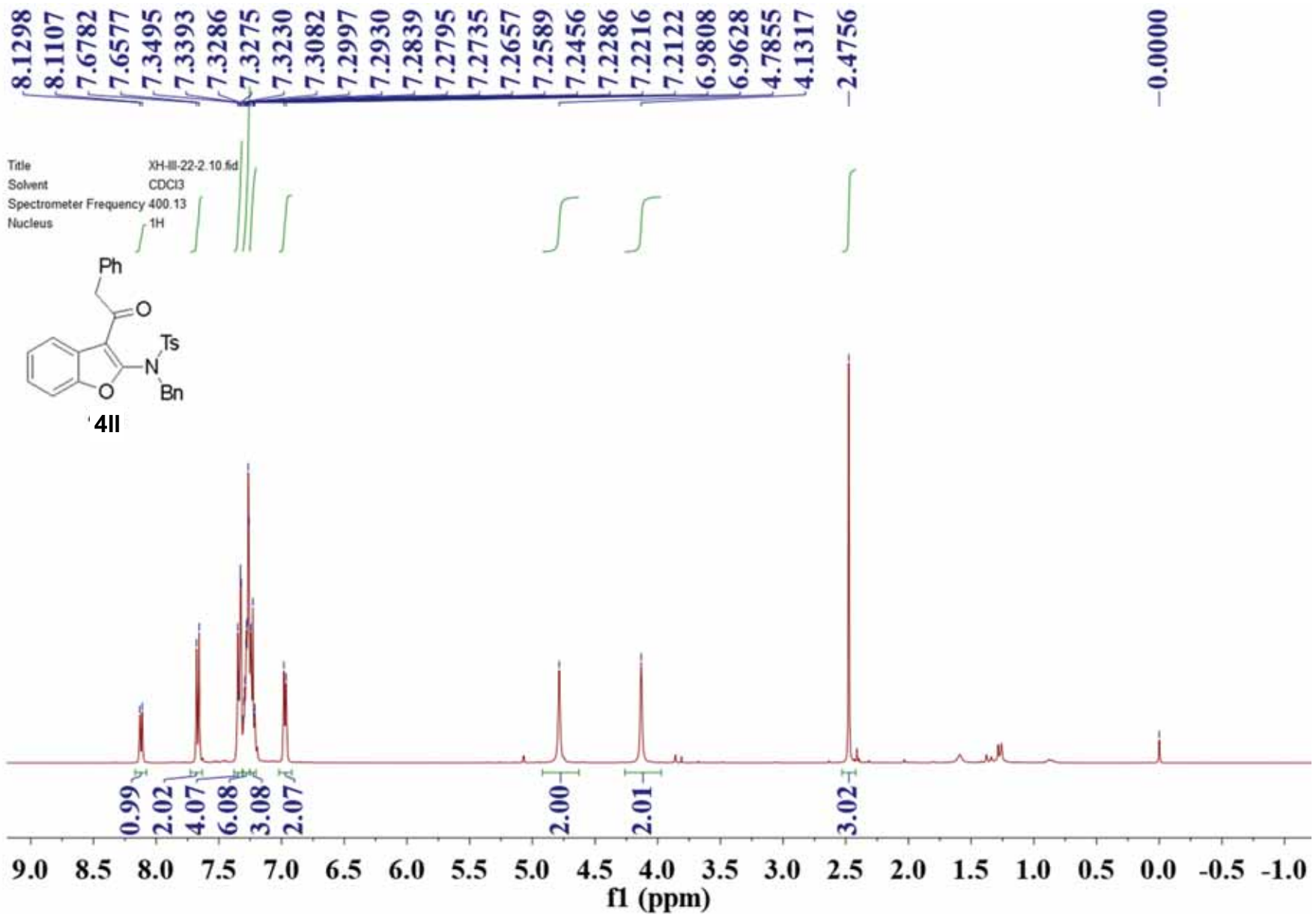
149.425
145.048
141.413
134.782
133.711
130.084
129.591
128.845
128.742
128.593
128.433
128.268
126.184
125.931
125.921
124.619
123.544
118.069
110.778
77.518
77.200
76.882
54.185
41.992
29.448
21.833
Title XH-III-2-2/ 10
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Bn
O
Ts
N
Bn
O
4jj
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


8.0992
8.0955
8.0897
8.0818
8.0791
8.0742
7.6135
7.5926
7.3226
7.3200
7.3129
7.3096
7.3073
7.2999
7.2936
7.2895
7.2860
7.2812
7.2748
7.2711
7.2683
7.2616
7.2525
7.2493
7.2452
7.2425
7.2371
7.2290
7.2247
4.7552
2.6867
2.4494
0.8398
0.0000
Title XH-III-3-2.10.5d
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
t-Bu
O
Ts
N
Bn
O
4kk
0.98
2.00
3.06
6.99
2.00
2.02
3.04
9.02
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)


197.341
151.429
148.480
144.947
134.904
134.064
130.085
129.839
129.010
128.775
128.095
126.097
125.952
124.441
123.261
119.029
110.720
77.517
77.200
76.882
53.716
52.359
31.449
29.664
21.819
Title XH-III-3-2.10.5d
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
t-Bu
O
Ts
N
Bn
O
4kk
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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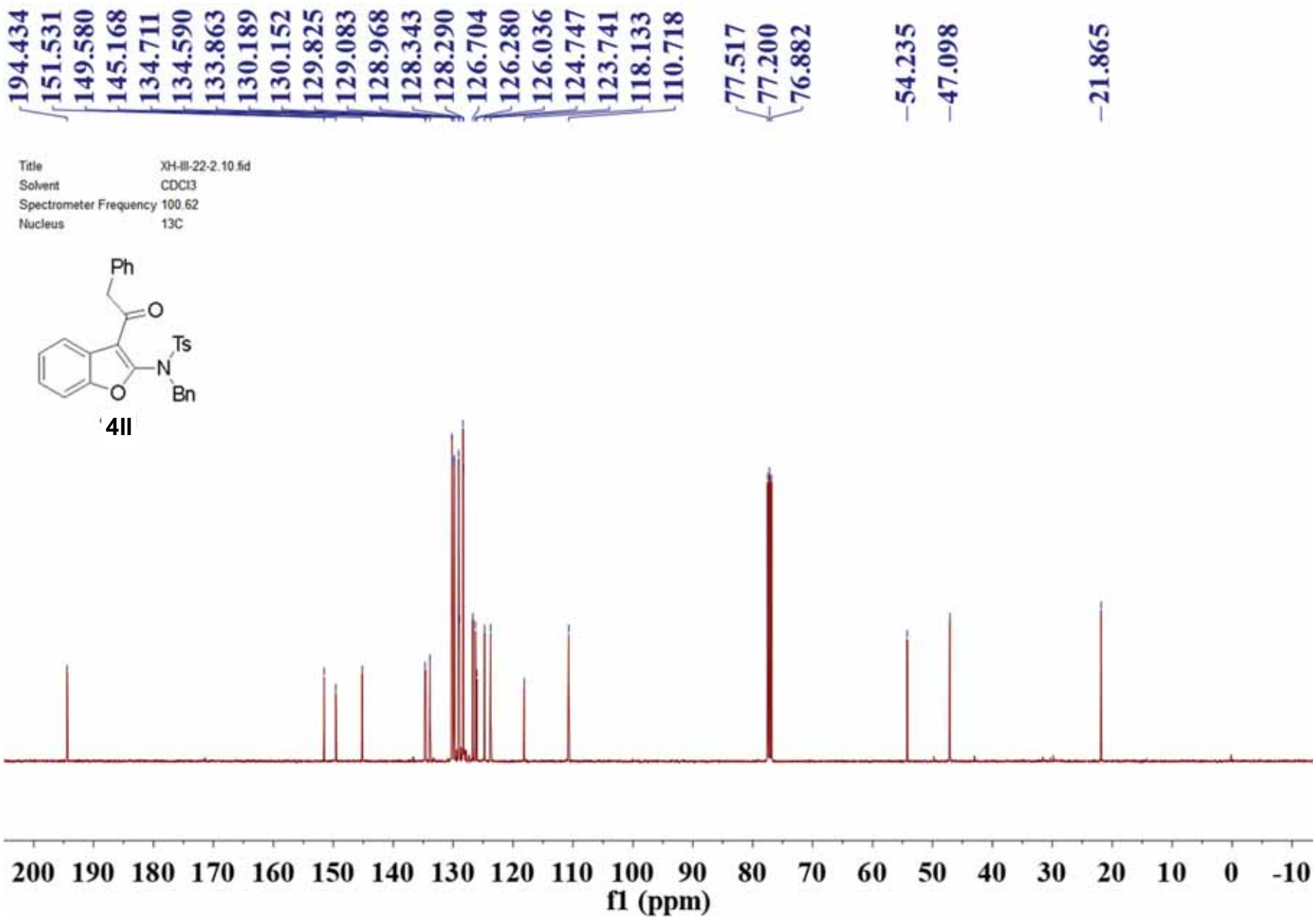
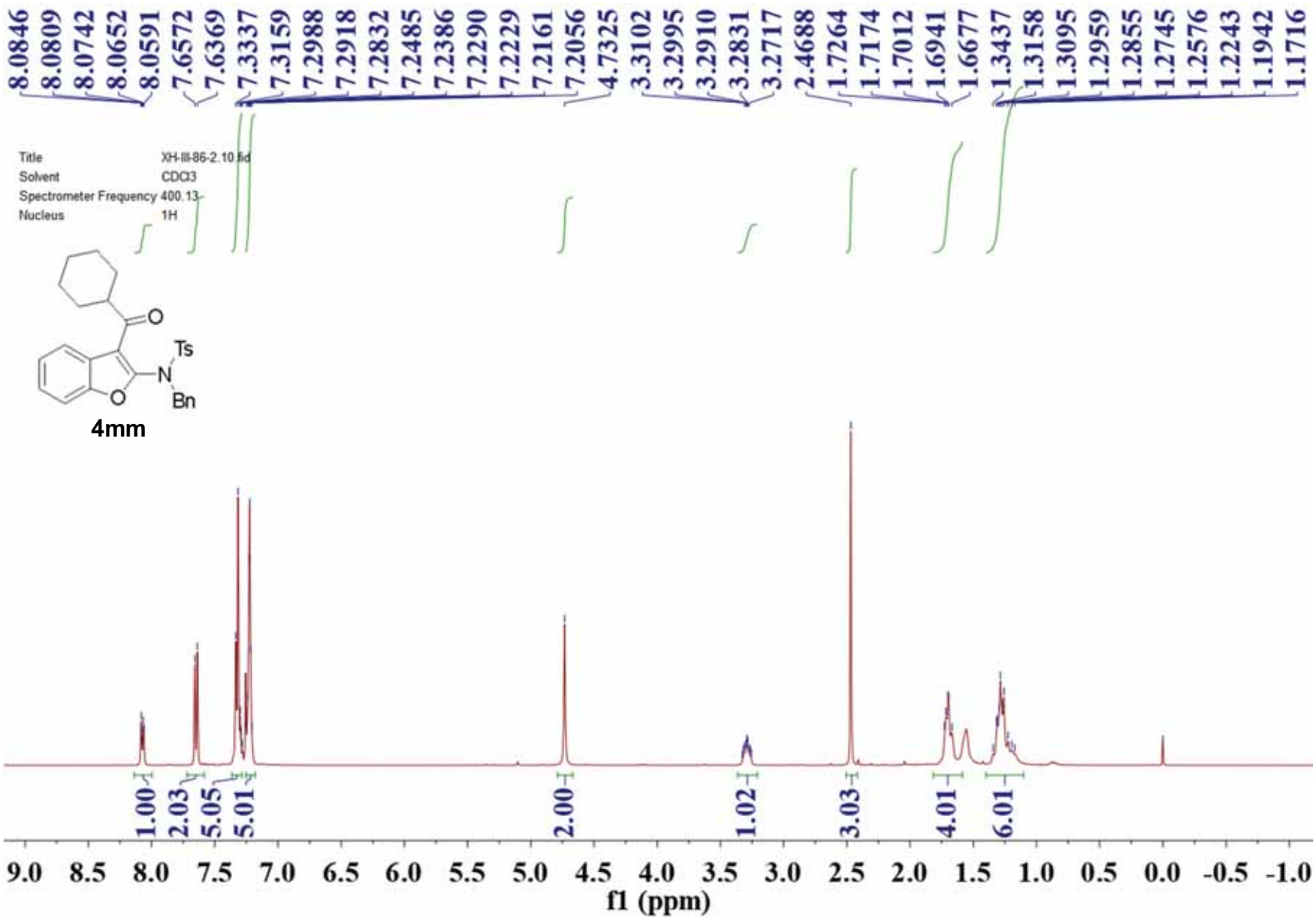
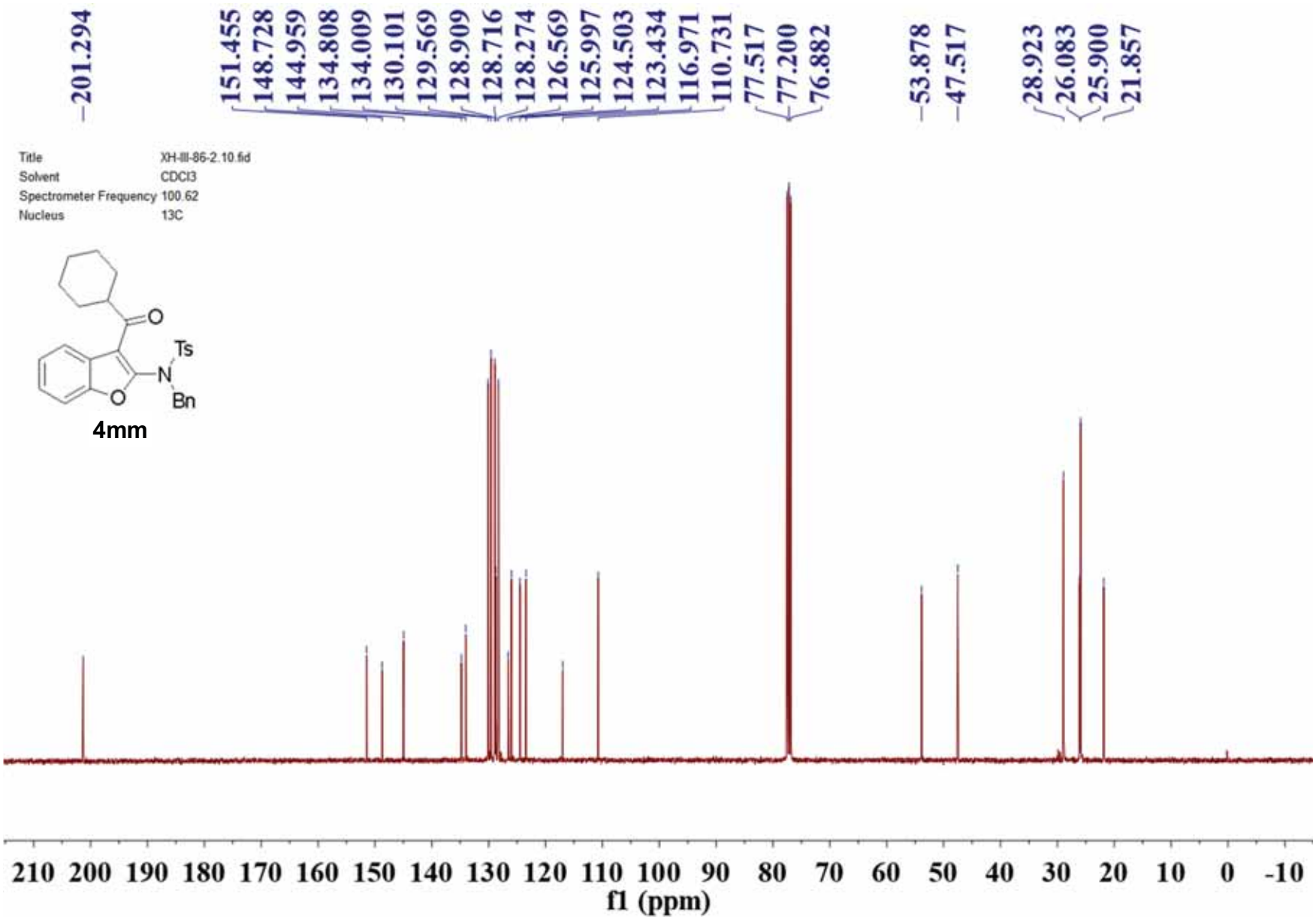
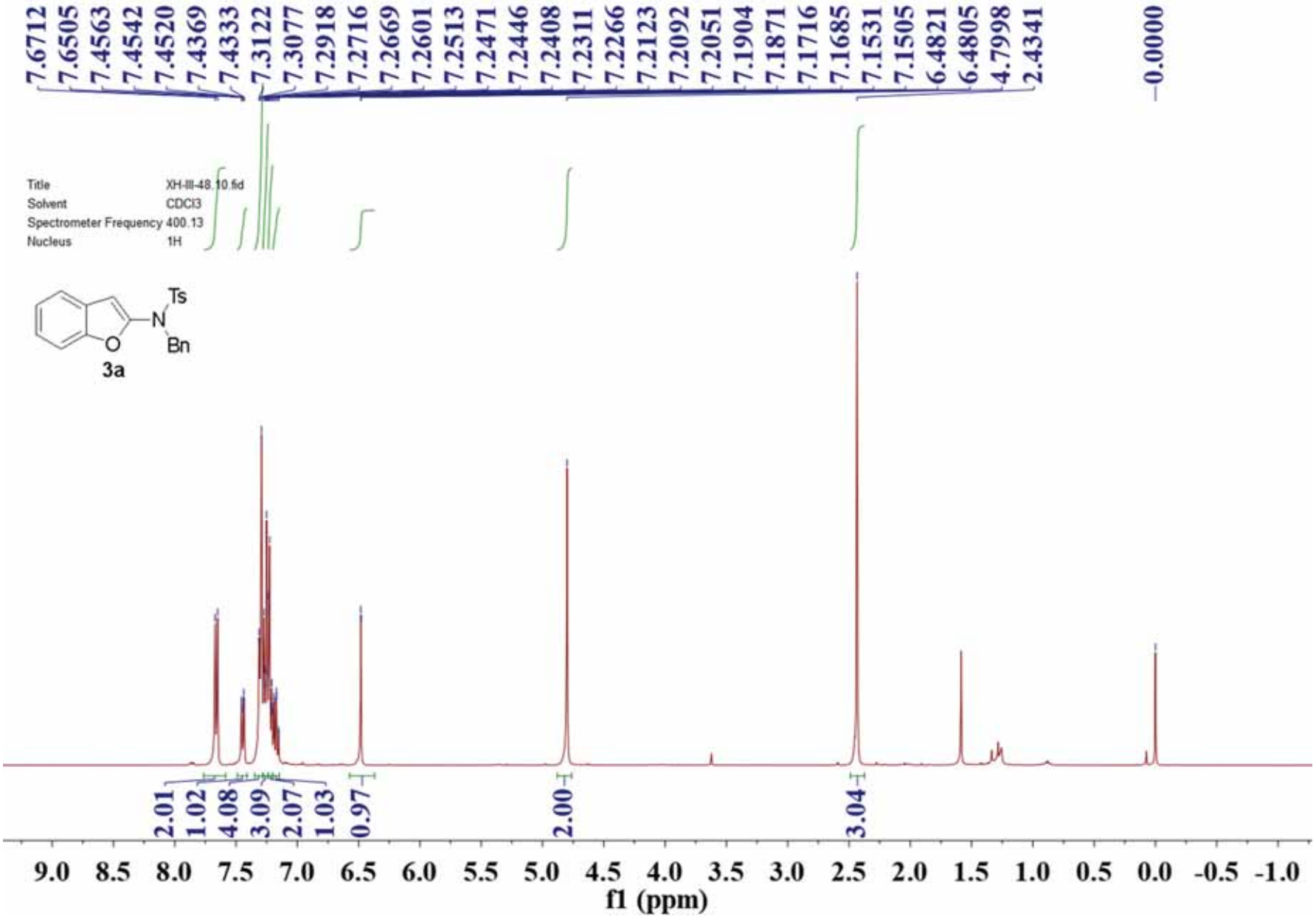
8.1107
7.6782
7.6577
7.3495
7.3393
7.3286
7.3275
7.3230
7.3082
7.2997
7.2930
7.2839
7.2795
7.2735
7.2657
7.2589
7.2456
7.2286
7.2216
7.2122
6.9808
6.9628
4.7855
4.1317
2.4756
0.0000
Title XH-III-22-2.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ph
O
Ts
N
Bn
O
4ll
0.99
2.02
4.07
6.08
3.08
2.07
2.00
2.01
3.02
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)

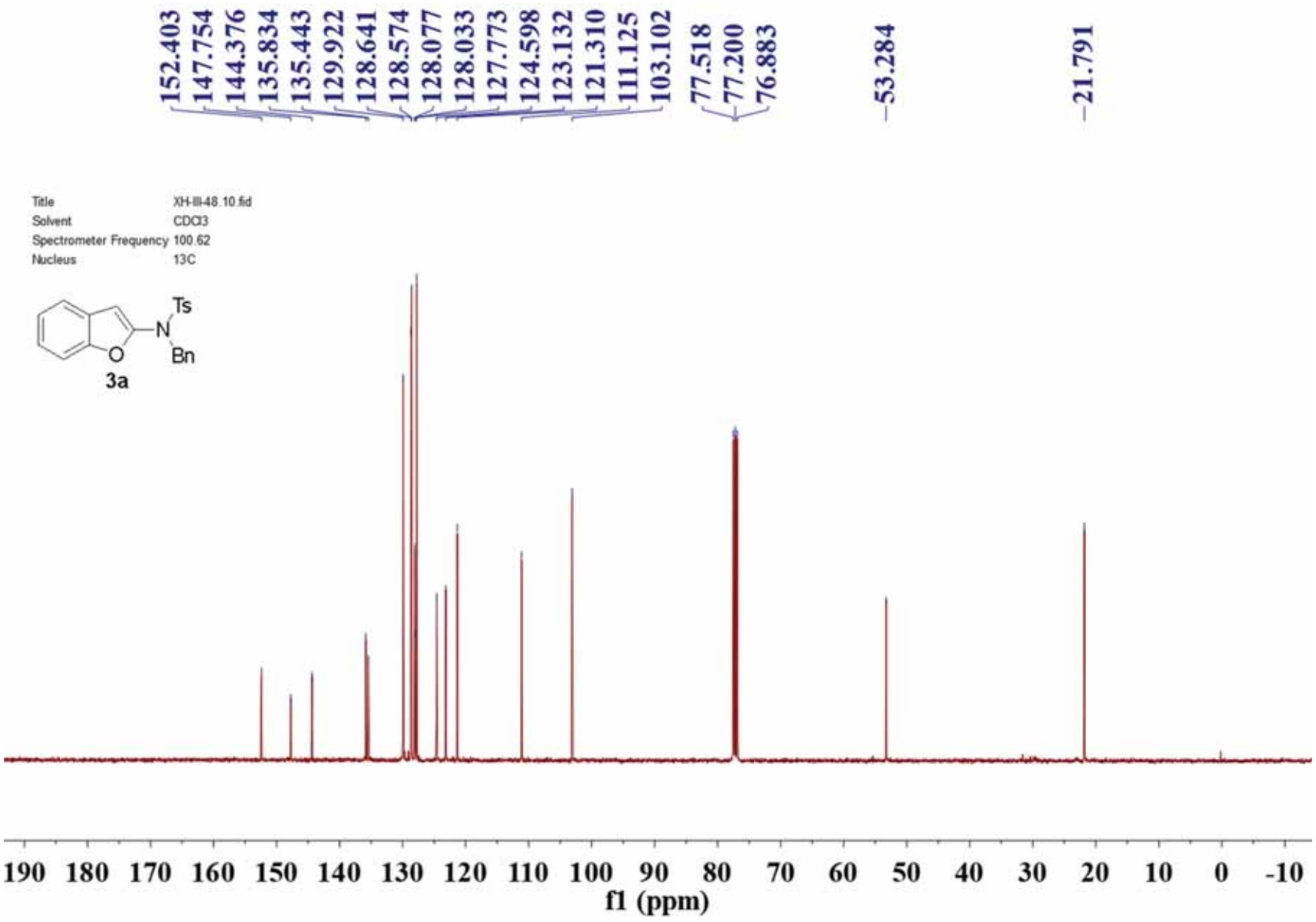

194.434
151.531
149.580
145.168
134.711
134.590
133.863
130.189
130.152
129.825
129.083
128.968
128.343
128.290
126.704
126.280
126.036
124.747
123.741
118.133
110.718
77.517
77.200
76.882
54.235
47.098
21.865
Title XH-III-22-2.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ph
O
Ts
N
Bn
O
4ll
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

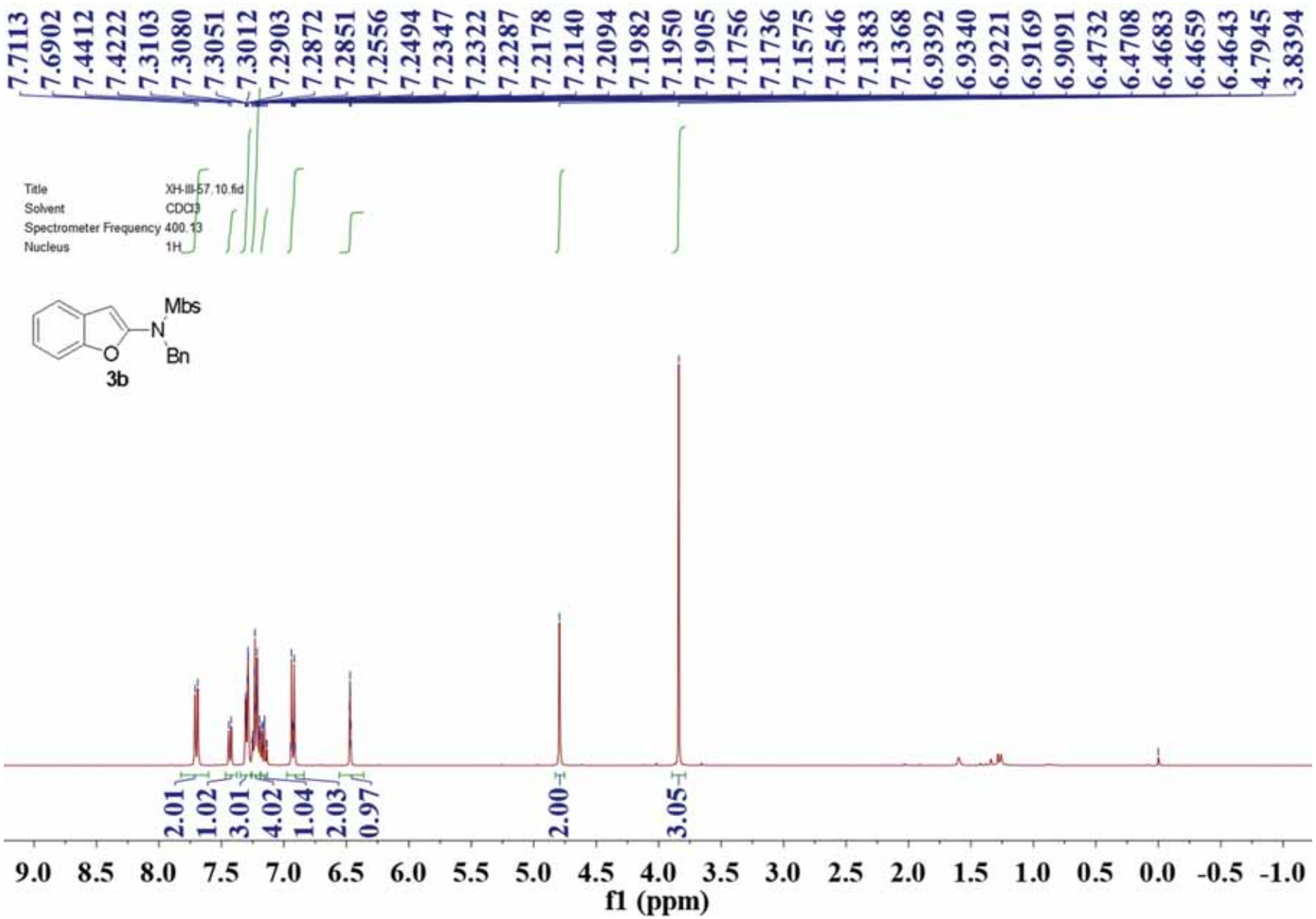

8.0846
8.0809
8.0742
8.0652
8.0591
7.6572
7.6369
7.3337
7.3159
7.2988
7.2918
7.2832
7.2485
7.2386
7.2290
7.2229
7.2161
7.2056
4.7325
3.3102
3.2995
3.2910
3.2831
3.2717
2.4688
1.7264
1.7174
1.7012
1.6941
1.6677
1.3437
1.3158
1.3095
1.2959
1.2855
1.2745
1.2576
1.2243
1.1942
1.1716
Title XH-III-86-2.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
O
Ts
N
Bn
O
4mm
1.00
2.03
5.05
5.01
2.00
1.02
3.03
4.01
6.01
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)

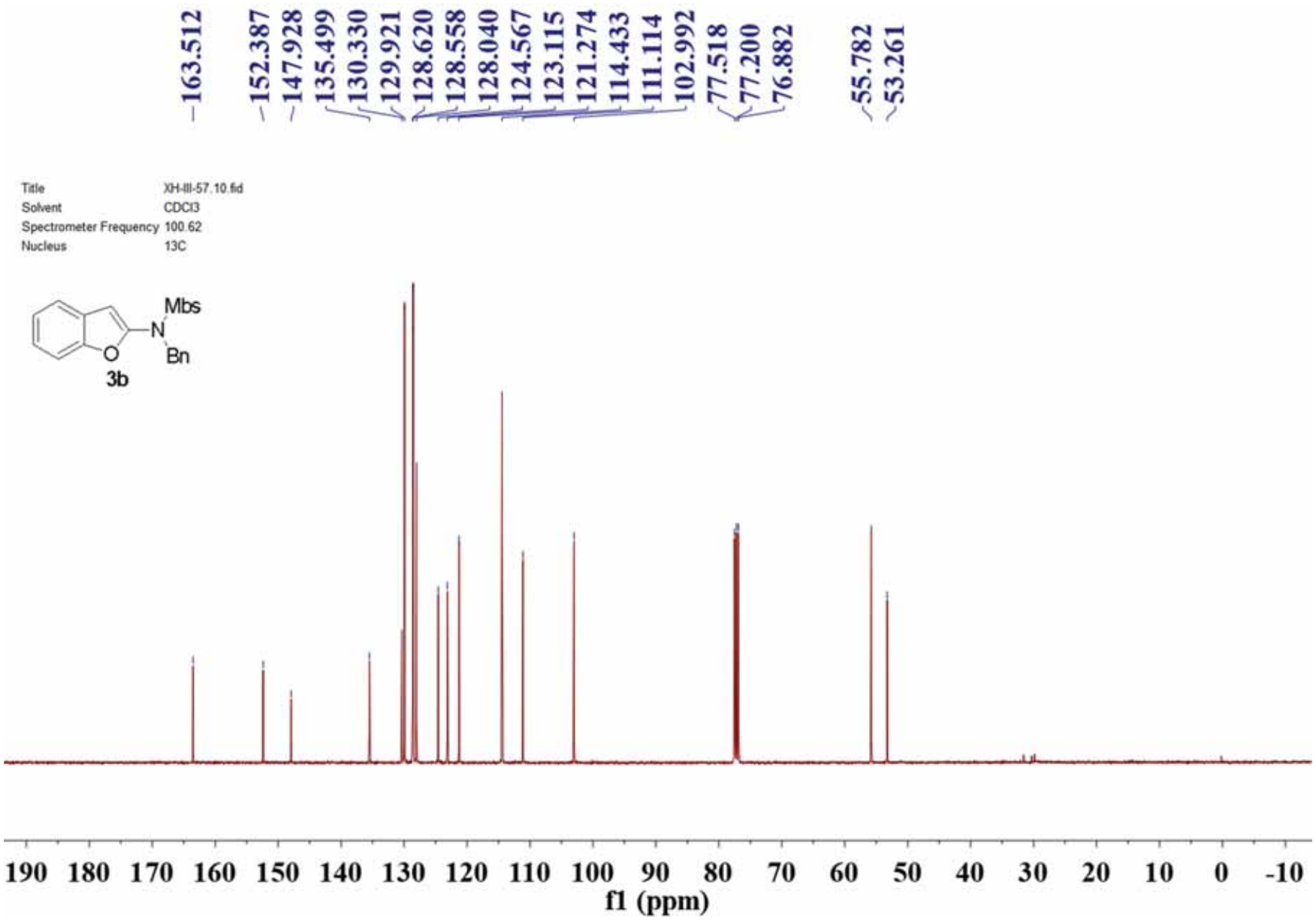

201.294
151.455
148.728
144.959
134.808
134.009
130.101
129.569
128.909
128.716
128.274
126.569
125.997
124.503
123.434
116.971
110.731
77.517
77.200
76.882
53.878
47.517
28.923
26.083
25.900
21.857
Title XH-III-86-2.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
O
Ts
N
Bn
O
4mm
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

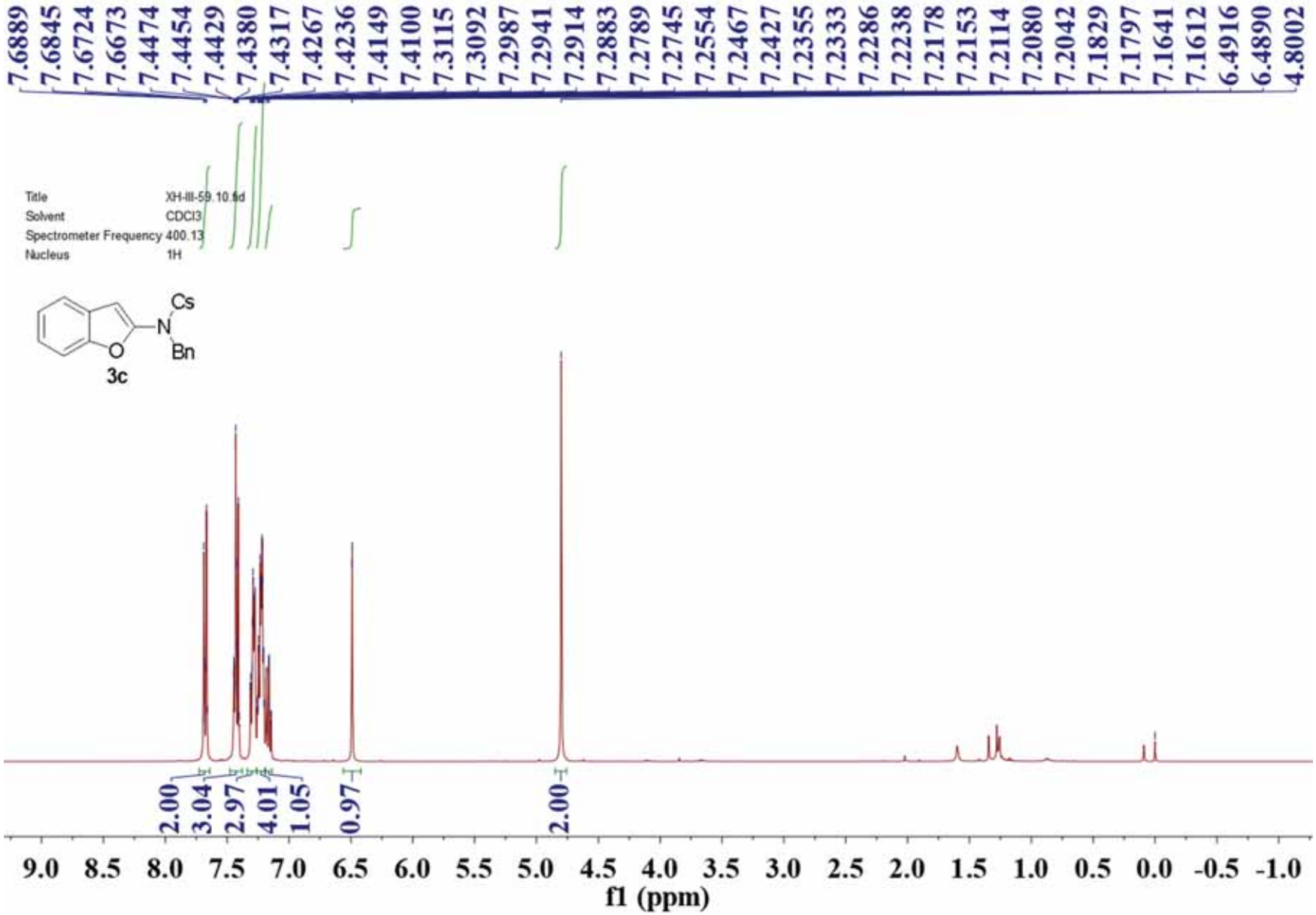
^{1}H NMR and ^{13}C NMR Spectra of 3-Unsubstituted 2-Amidobenzofurans 3.

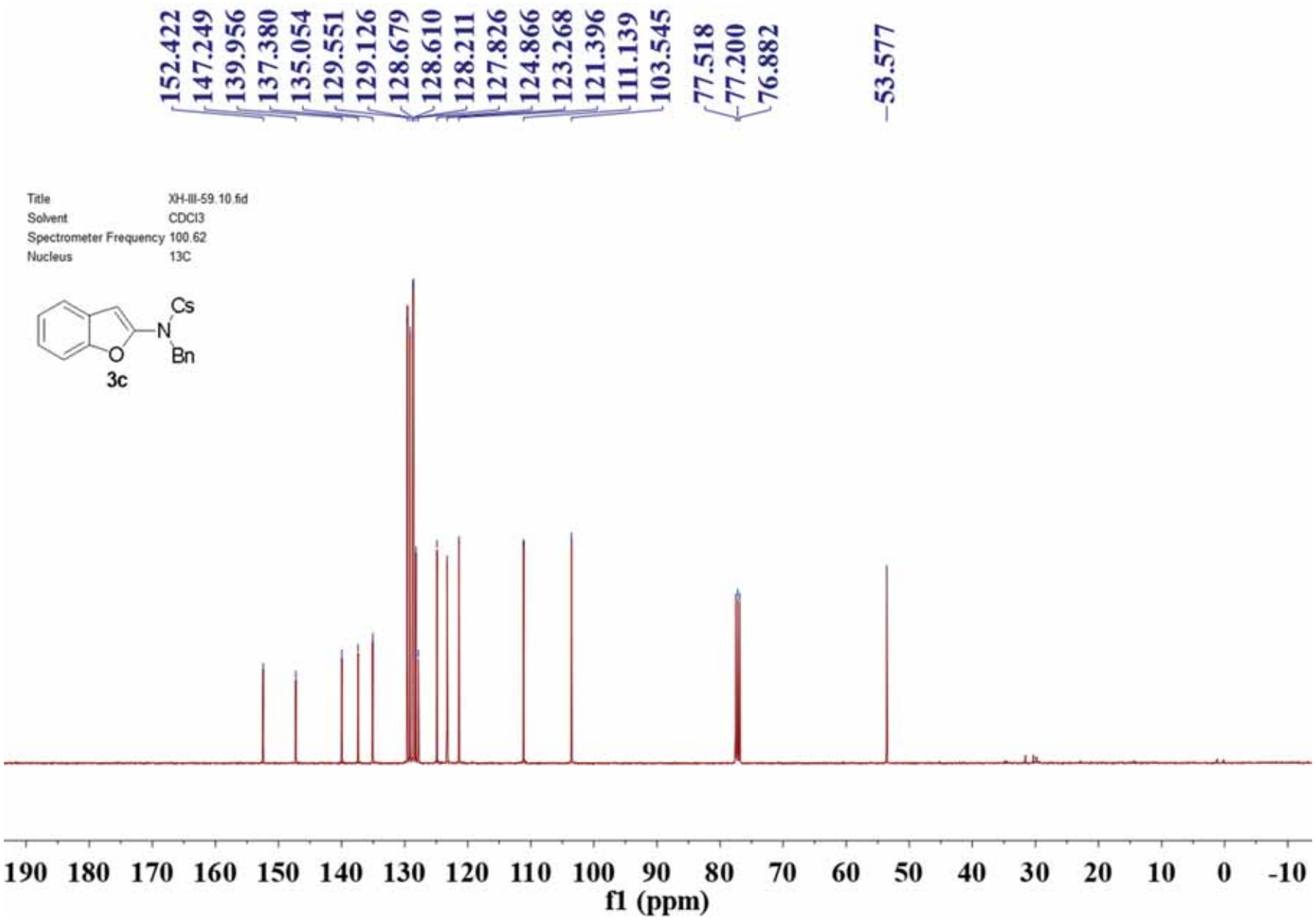


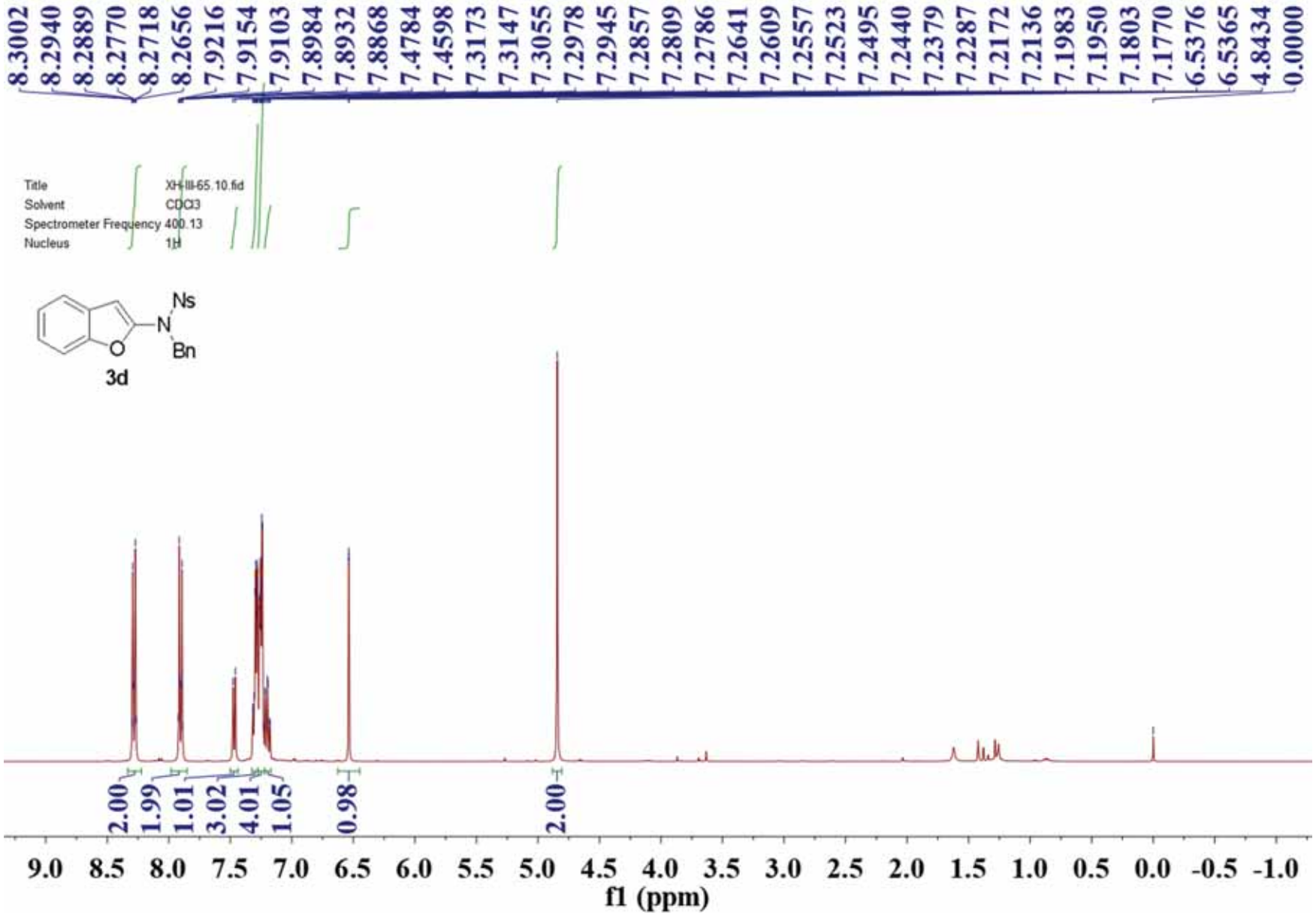

152.403
147.754
144.376
135.834
135.443
129.922
128.641
128.574
128.077
128.033
127.773
124.598
123.132
121.310
111.125
103.102
77.518
77.200
76.883
53.284
21.791
Title XH-III-48.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ts
N
Bn
O
3a
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

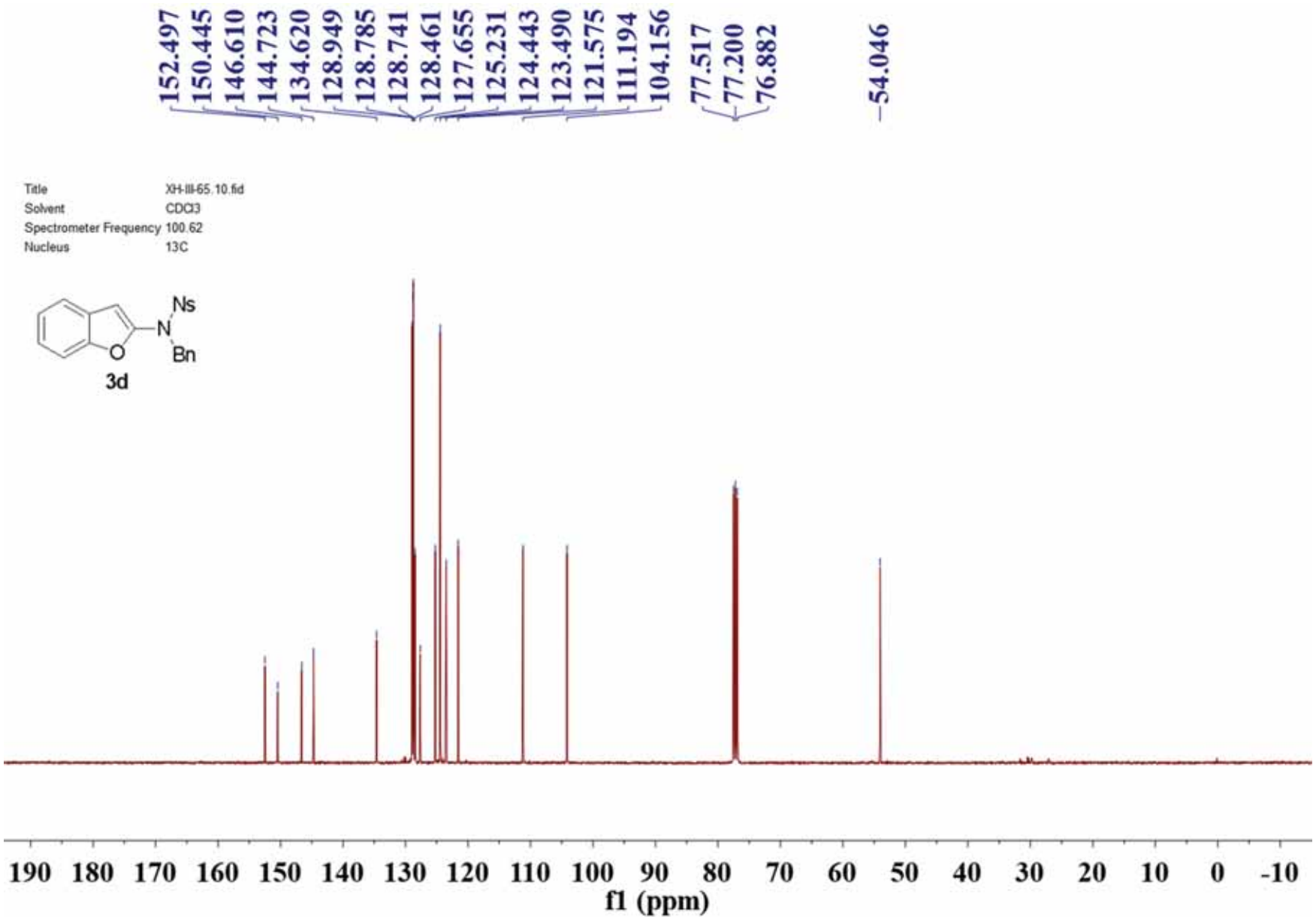

7.7113
7.6902
7.4412
7.4222
7.3103
7.3080
7.3051
7.3012
7.2903
7.2872
7.2851
7.2556
7.2494
7.2347
7.2322
7.2287
7.2178
7.2140
7.2094
7.1982
7.1950
7.1905
7.1756
7.1736
7.1575
7.1546
7.1383
7.1368
6.9392
6.9340
6.9221
6.9169
6.9091
6.4732
6.4708
6.4683
6.4659
6.4643
4.7945
3.8394
Title XH-III-57.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Mbs
N
Bn
O
3b
2.01
1.02
3.01
4.02
1.04
2.03
0.97
2.00
3.05
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)

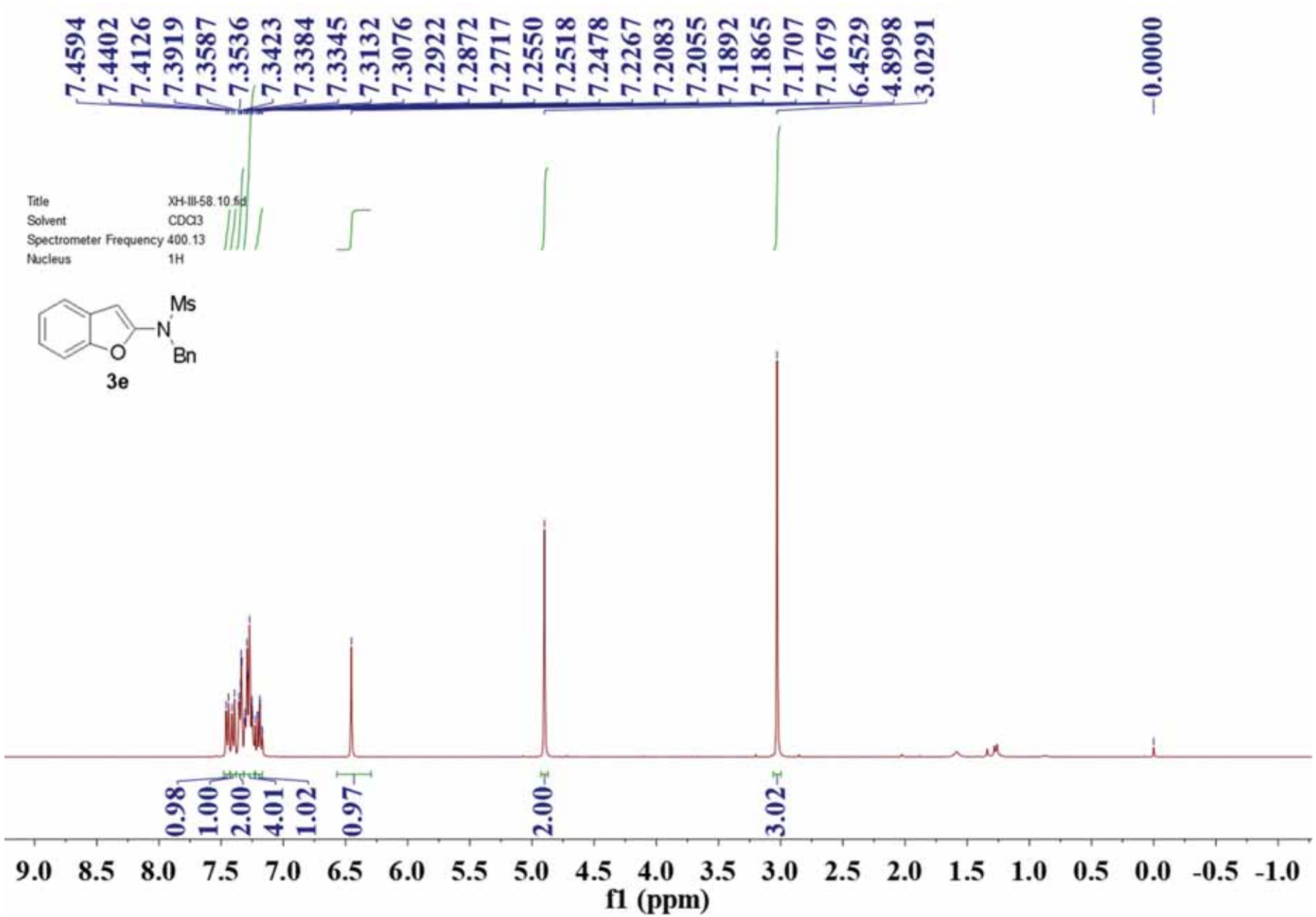

163.512
152.387
147.928
135.499
130.330
129.921
128.620
128.558
128.040
124.567
123.115
121.274
114.433
111.114
102.992
77.518
77.200
76.882
55.782
53.261
Title XH-III-57.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Mbs
N
Bn
O
3b
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

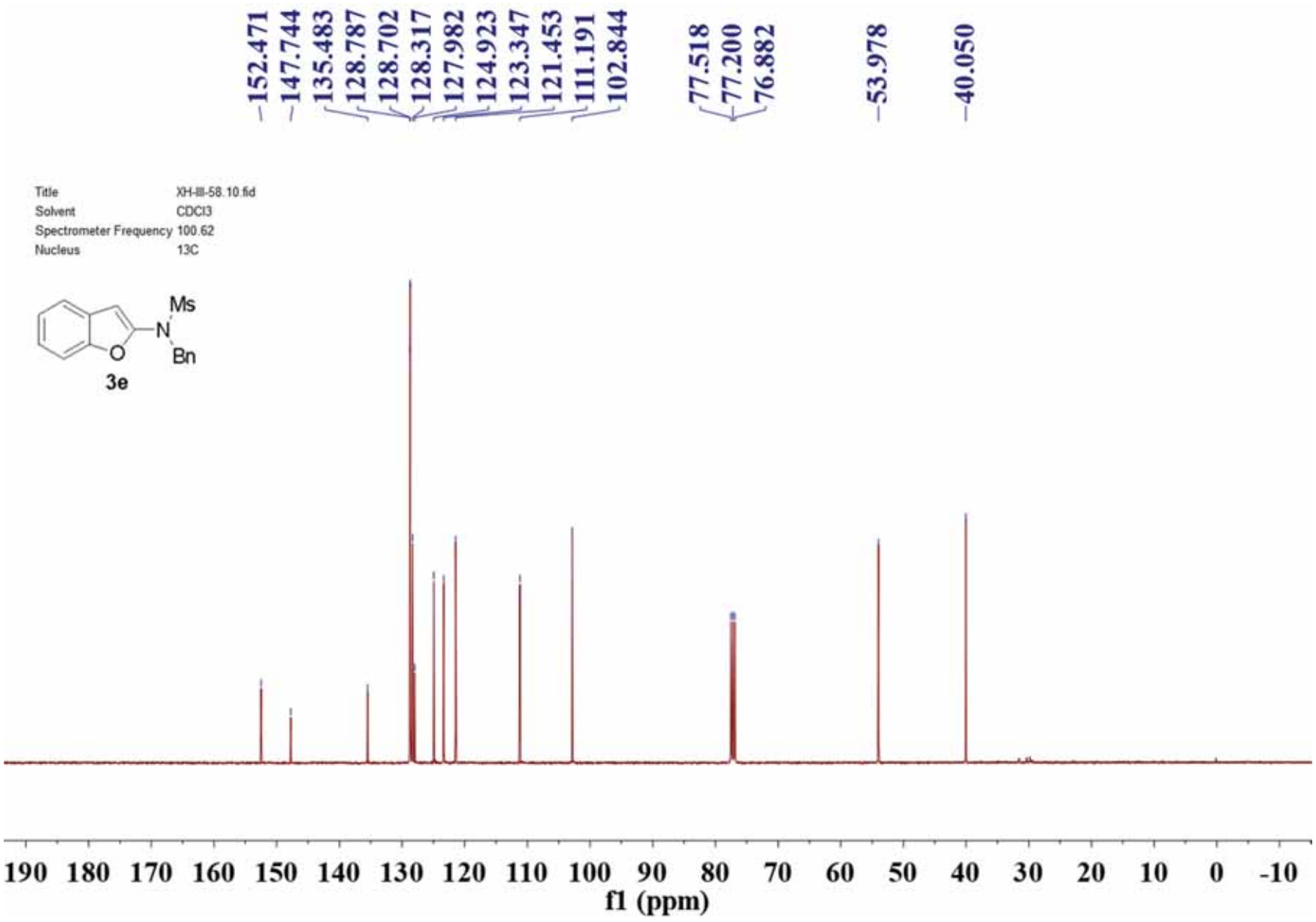

7.6889
7.6845
7.6724
7.6673
7.4474
7.4454
7.4429
7.4380
7.4317
7.4267
7.4236
7.4149
7.4100
7.3115
7.3092
7.2987
7.2941
7.2914
7.2883
7.2789
7.2745
7.2554
7.2467
7.2427
7.2355
7.2333
7.2286
7.2238
7.2178
7.2153
7.2114
7.2080
7.2042
7.1829
7.1797
7.1641
7.1612
6.4916
6.4890
4.8002
Title XH-III-59.10.1d
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Cs
N
Bn
O
3c
2.00
3.04
2.97
4.01
1.05
0.97
2.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)

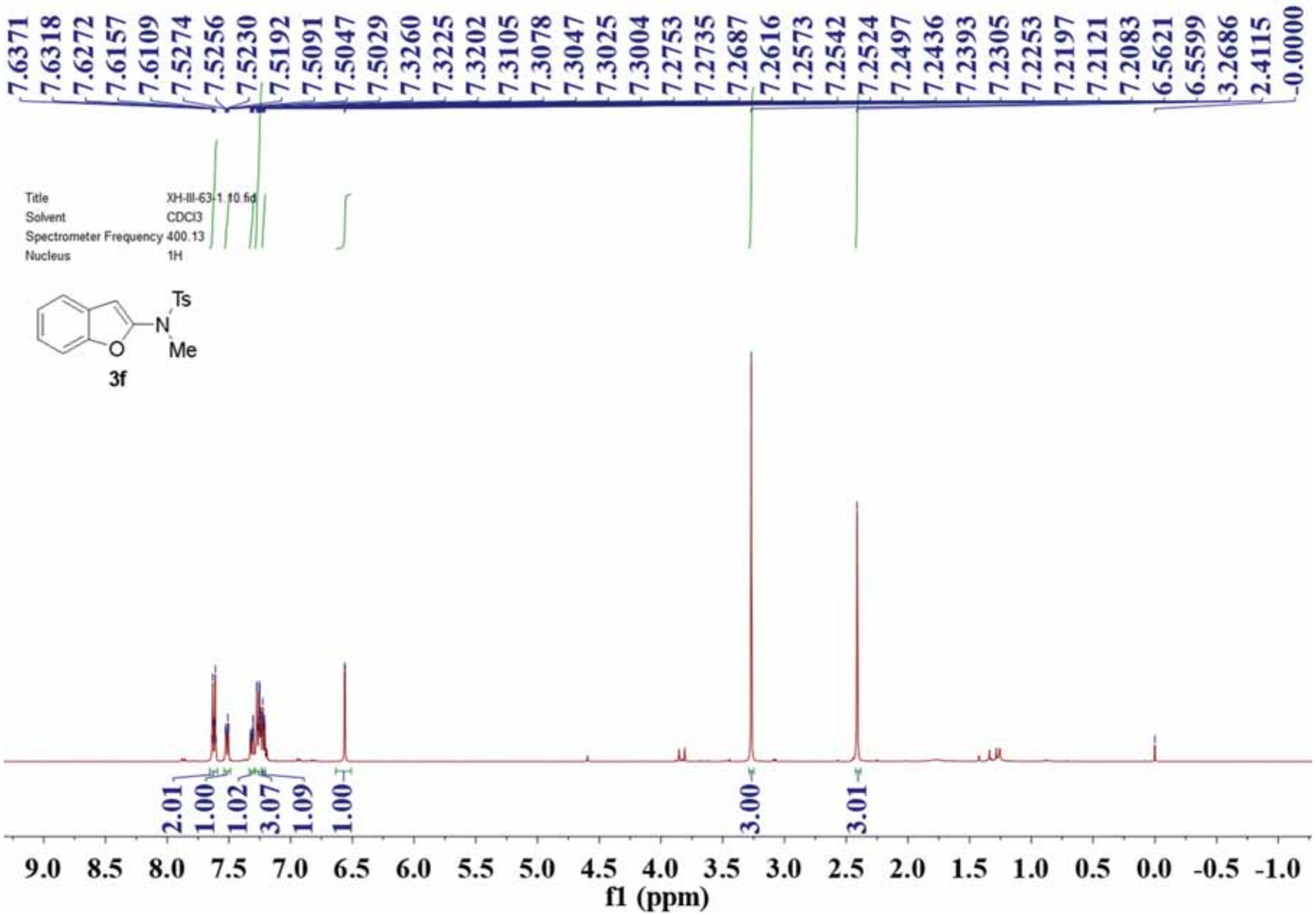

152.422
147.249
139.956
137.380
135.054
129.551
129.126
128.679
128.610
128.211
127.826
124.866
123.268
121.396
111.139
103.545
77.518
77.200
76.882
53.577
Title XH-III-59.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Cs
N
Bn
O
3c
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

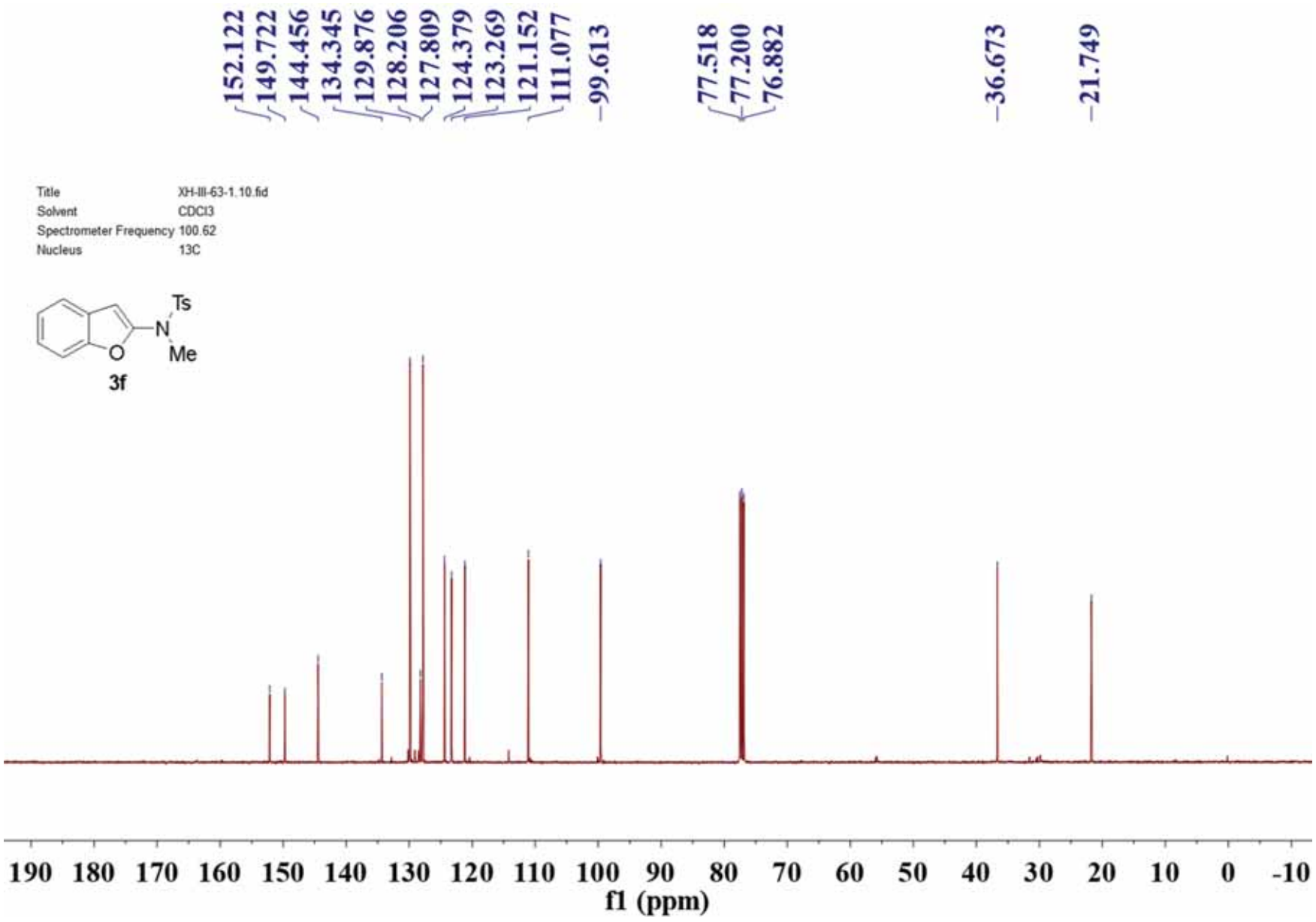

8.3002
8.2940
8.2889
8.2770
8.2718
8.2656
7.9216
7.9154
7.9103
7.8984
7.8932
7.8868
7.4784
7.4598
7.3173
7.3147
7.3055
7.2978
7.2945
7.2857
7.2809
7.2786
7.2641
7.2609
7.2557
7.2523
7.2495
7.2440
7.2379
7.2287
7.2172
7.2136
7.1983
7.1950
7.1803
7.1770
6.5376
6.5365
4.8434
0.0000
Title XH-III-65.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ns
N
Bn
O
3d
2.00
1.99
1.01
3.02
4.01
1.05
0.98
2.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)

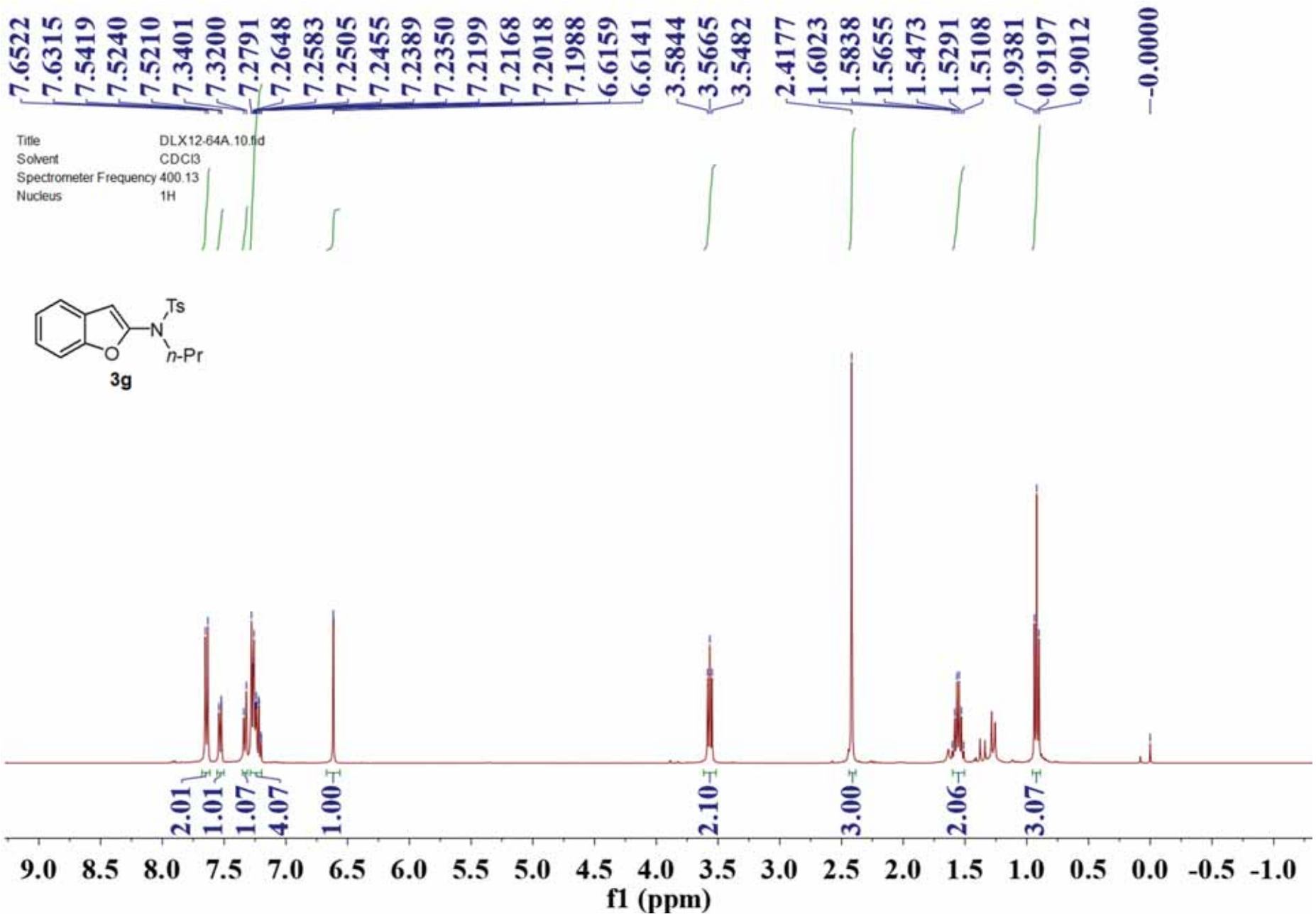

152.497
150.445
146.610
144.723
134.620
128.949
128.785
128.741
128.461
127.655
125.231
124.443
123.490
121.575
111.194
104.156
77.517
77.200
76.882
54.046
Title XH-III-65.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ns
N
Bn
O
3d
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

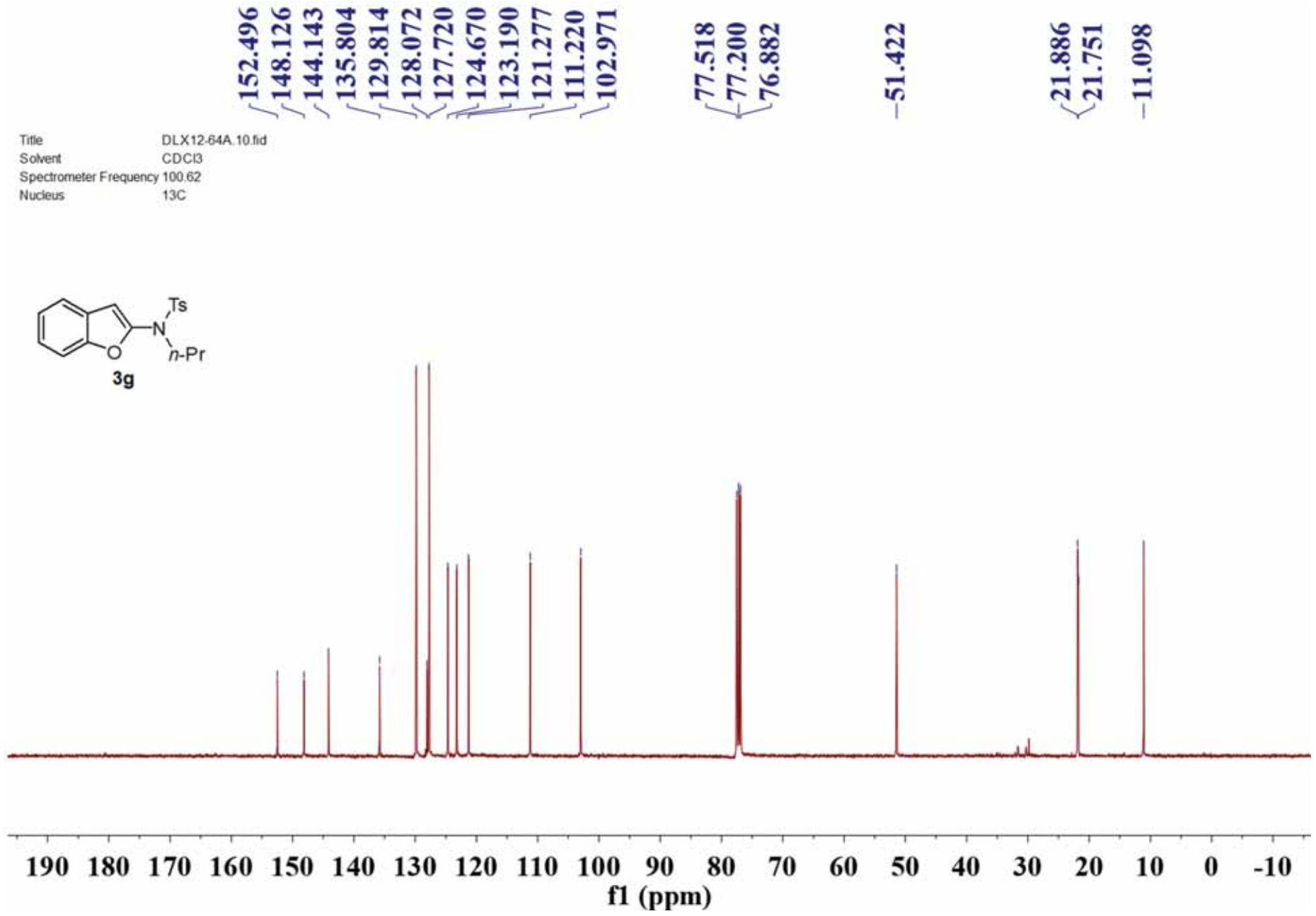

7.4594
7.4402
7.4126
7.3919
7.3587
7.3536
7.3423
7.3384
7.3345
7.3132
7.3076
7.2922
7.2872
7.2717
7.2550
7.2518
7.2478
7.2267
7.2083
7.2055
7.1892
7.1865
7.1707
7.1679
6.4529
4.8998
3.0291
0.0000
Title XH-III-58.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ms
N
Bn
O
3e
0.98
1.00
2.00
4.01
1.02
0.97
2.00
3.02
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)


152.471
147.744
135.483
128.787
128.702
128.317
127.982
124.923
123.347
121.453
111.191
102.844
77.518
77.200
76.882
53.978
40.050
Title XH-III-58.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ms
N
Bn
O
3e
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


7.6371
7.6318
7.6272
7.6157
7.6109
7.5274
7.5256
7.5230
7.5192
7.5091
7.5047
7.5029
7.3260
7.3225
7.3202
7.3105
7.3078
7.3047
7.3025
7.3004
7.2753
7.2735
7.2687
7.2616
7.2573
7.2542
7.2524
7.2497
7.2436
7.2393
7.2305
7.2253
7.2197
7.2121
7.2083
6.5621
6.5599
3.2686
2.4115
-0.0000
Title
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ts
N
Me
O
3f
2.01
1.00
1.02
3.07
1.09
1.00
3.00
3.01
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)


152.122
149.722
144.456
134.345
129.876
128.206
127.809
124.379
123.269
121.152
111.077
99.613
77.518
77.200
76.882
36.673
21.749
Title XH-III-63-1.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ts
N
Me
O
3f
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


7.6522
7.6315
7.5419
7.5240
7.5210
7.3401
7.3200
7.2791
7.2648
7.2583
7.2505
7.2455
7.2389
7.2350
7.2199
7.2168
7.2018
7.1988
6.6159
6.6141
3.5844
3.5665
3.5482
2.4177
1.6023
1.5838
1.5655
1.5473
1.5291
1.5108
0.9381
0.9197
0.9012
-0.0000
Title DLX12-64A.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ts
N
n-Pr
O
3g
2.01
1.01
1.07
4.07
1.00
2.10
3.00
2.06
3.07
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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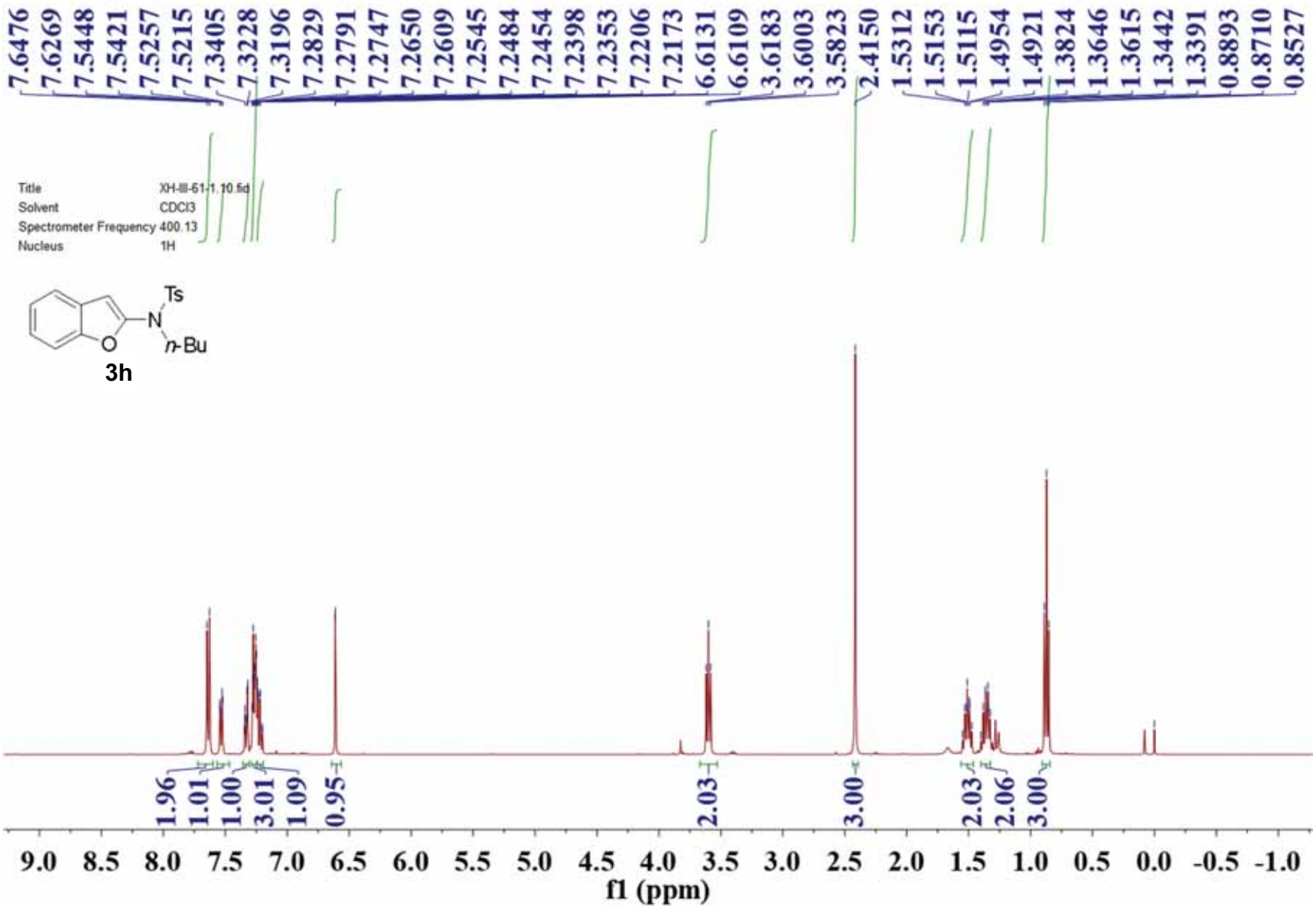
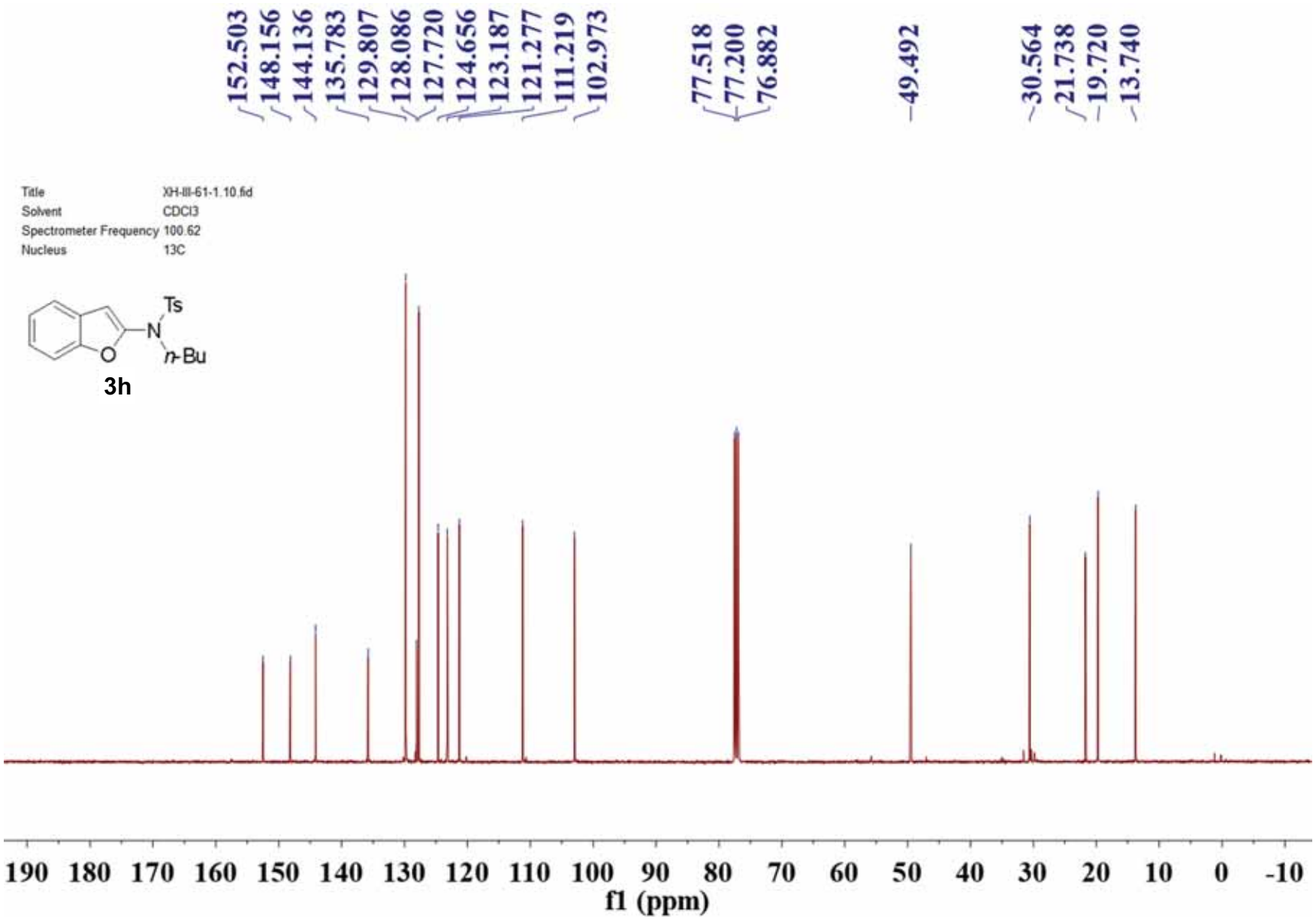
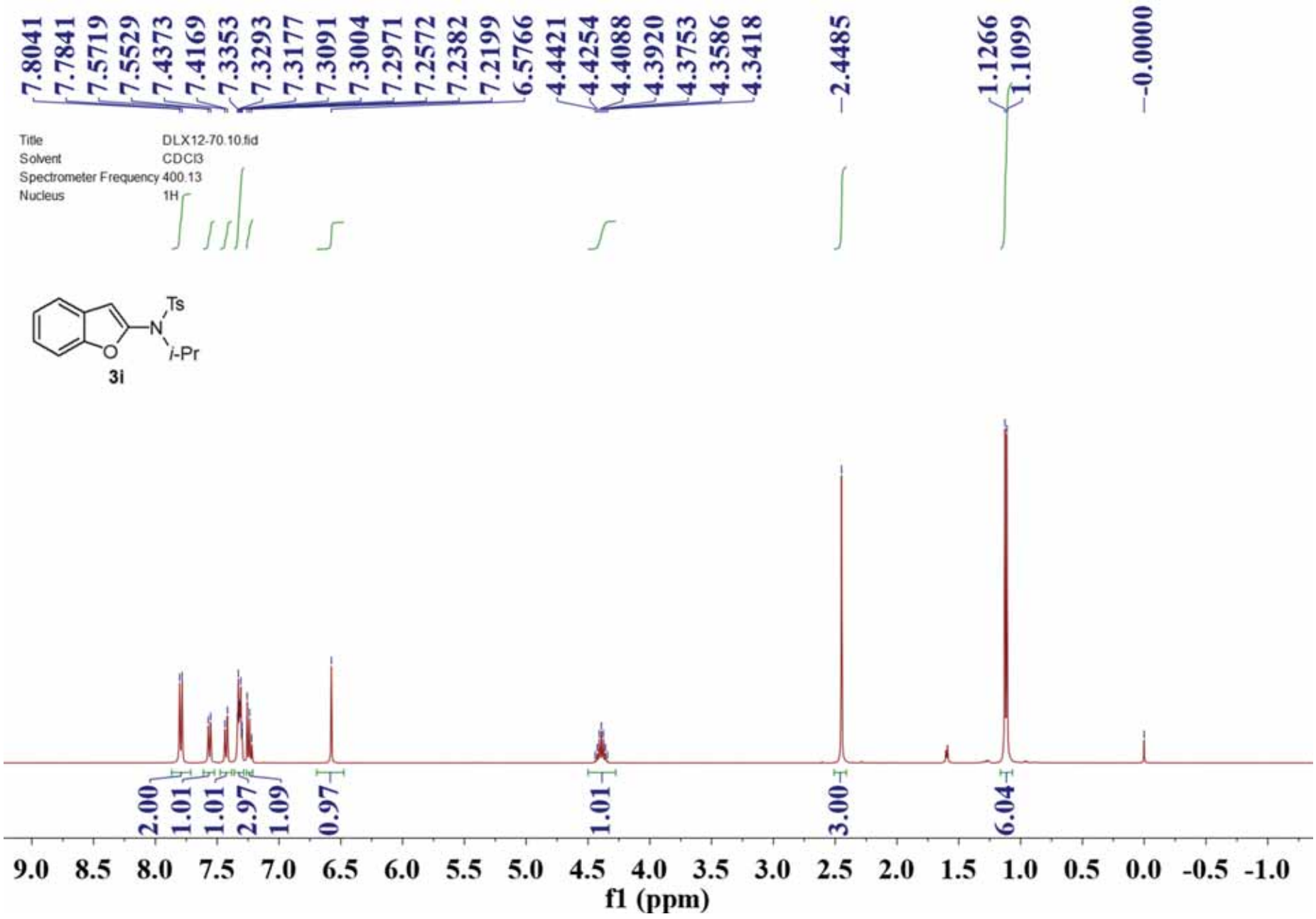
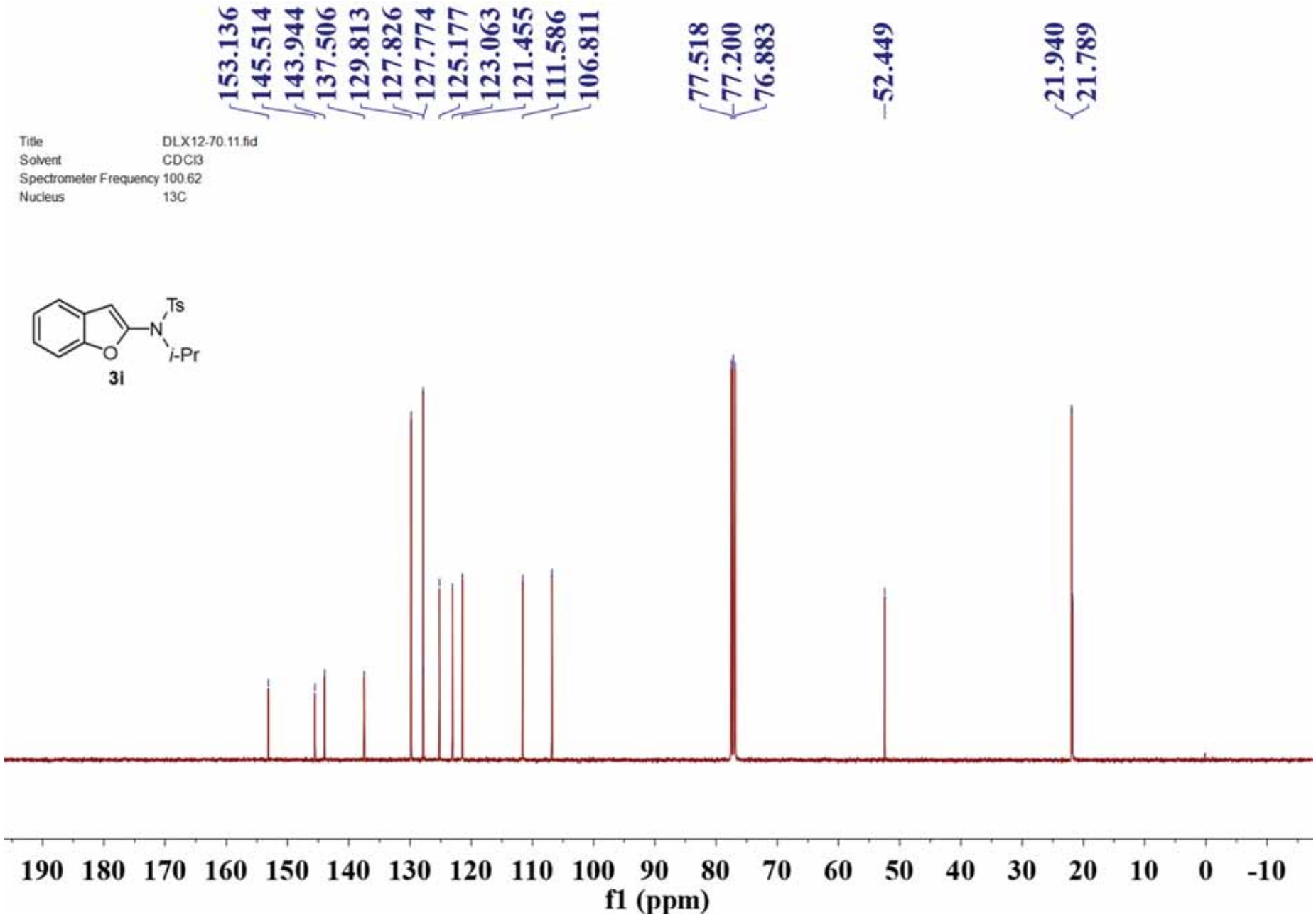
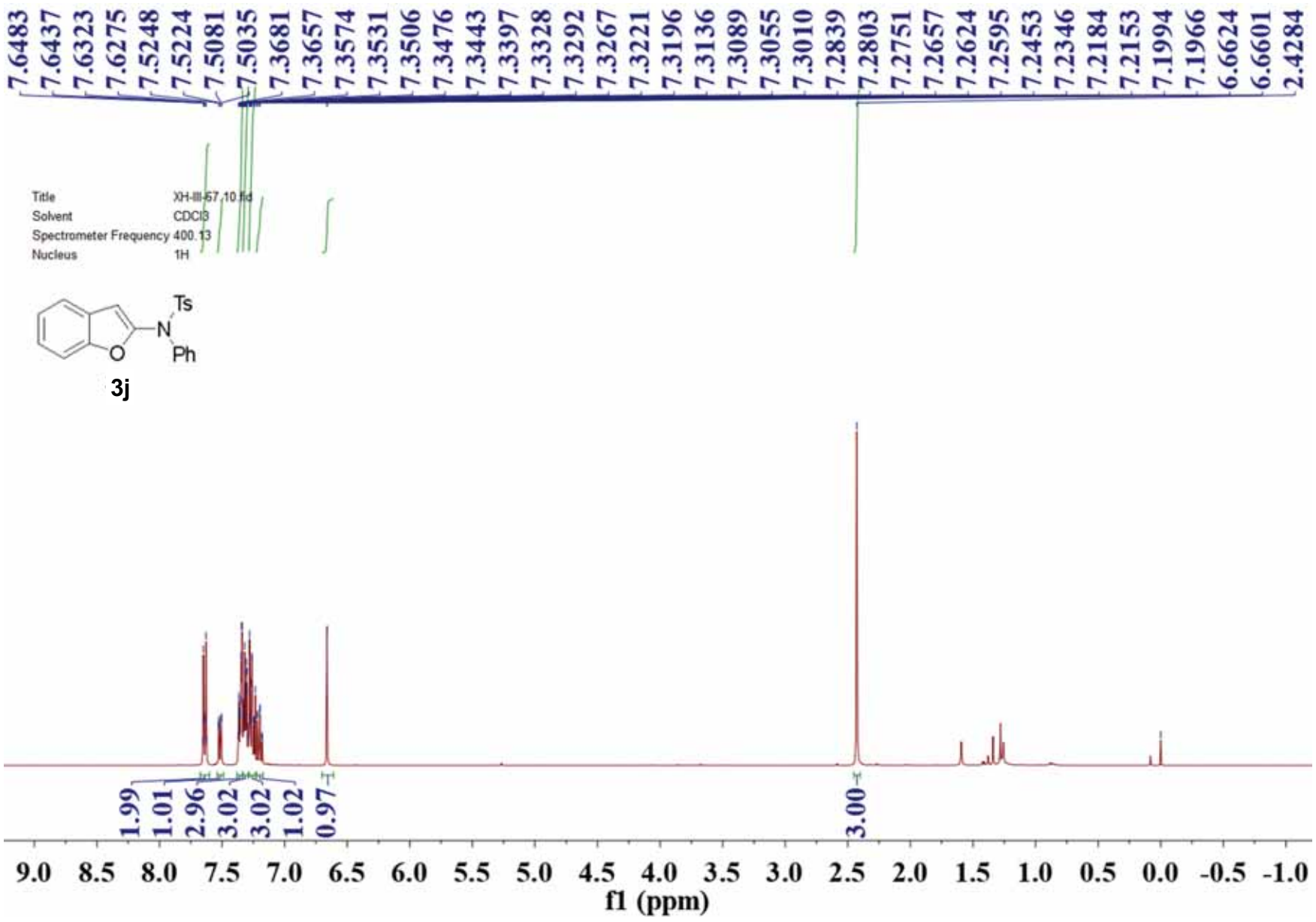
148.126
144.143
135.804
129.814
128.072
127.720
124.670
123.190
121.277
111.220
102.971
77.518
77.200
76.882
51.422
21.886
21.751
11.098
Title DLX12-64A.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ts
N
n-Pr
O
3g
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

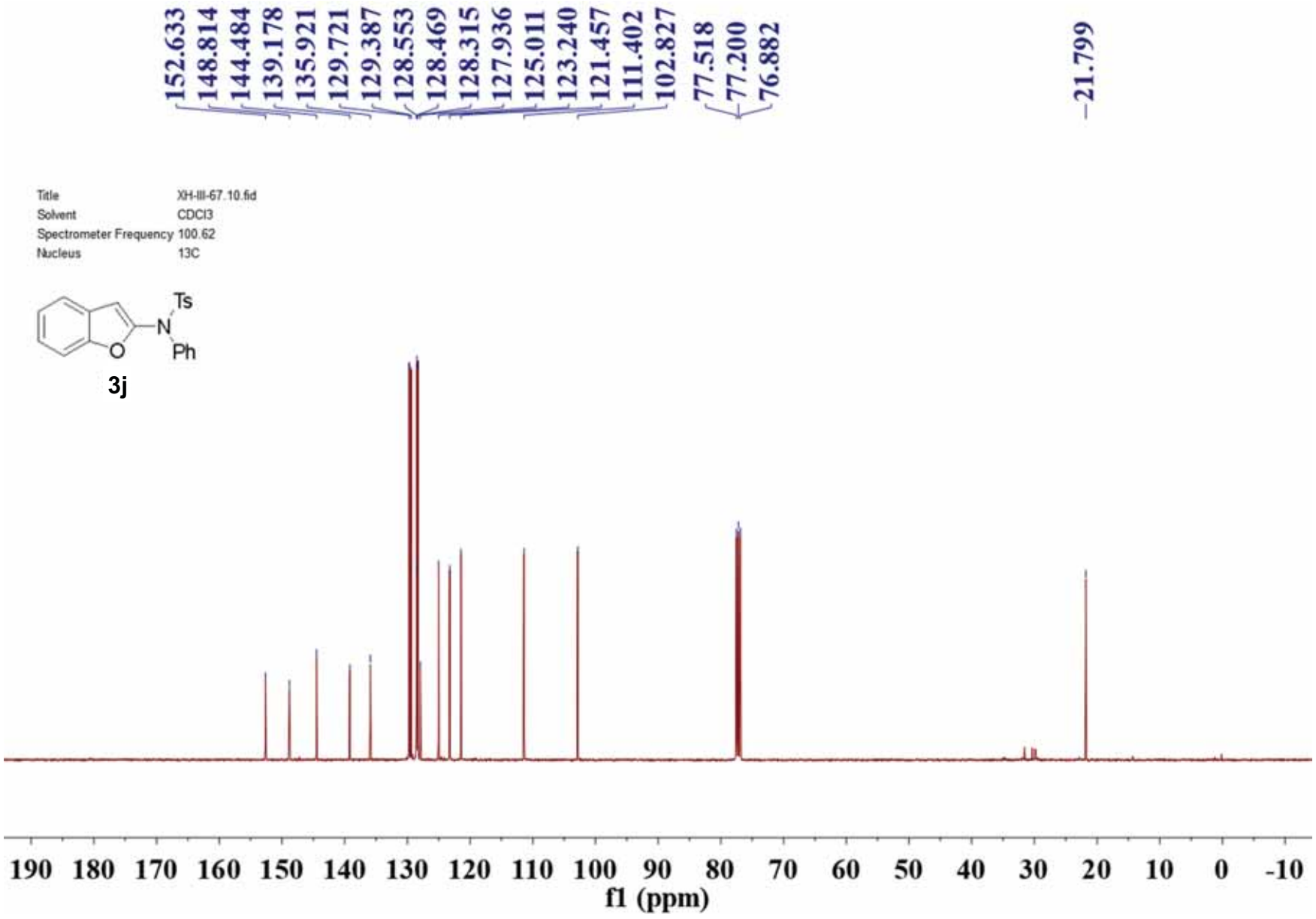

7.6476
7.6269
7.5448
7.5421
7.5257
7.5215
7.3405
7.3228
7.3196
7.2829
7.2791
7.2747
7.2650
7.2609
7.2545
7.2484
7.2454
7.2398
7.2353
7.2206
7.2173
6.6131
6.6109
3.6183
3.6003
3.5823
2.4150
1.5312
1.5153
1.5115
1.4954
1.4921
1.3824
1.3646
1.3615
1.3442
1.3391
0.8893
0.8710
0.8527
Title XH-III-61-1.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ts
N
O
n-Bu
3h
1.96
1.01
1.00
3.01
1.09
0.95
2.03
3.00
2.03
2.06
3.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)

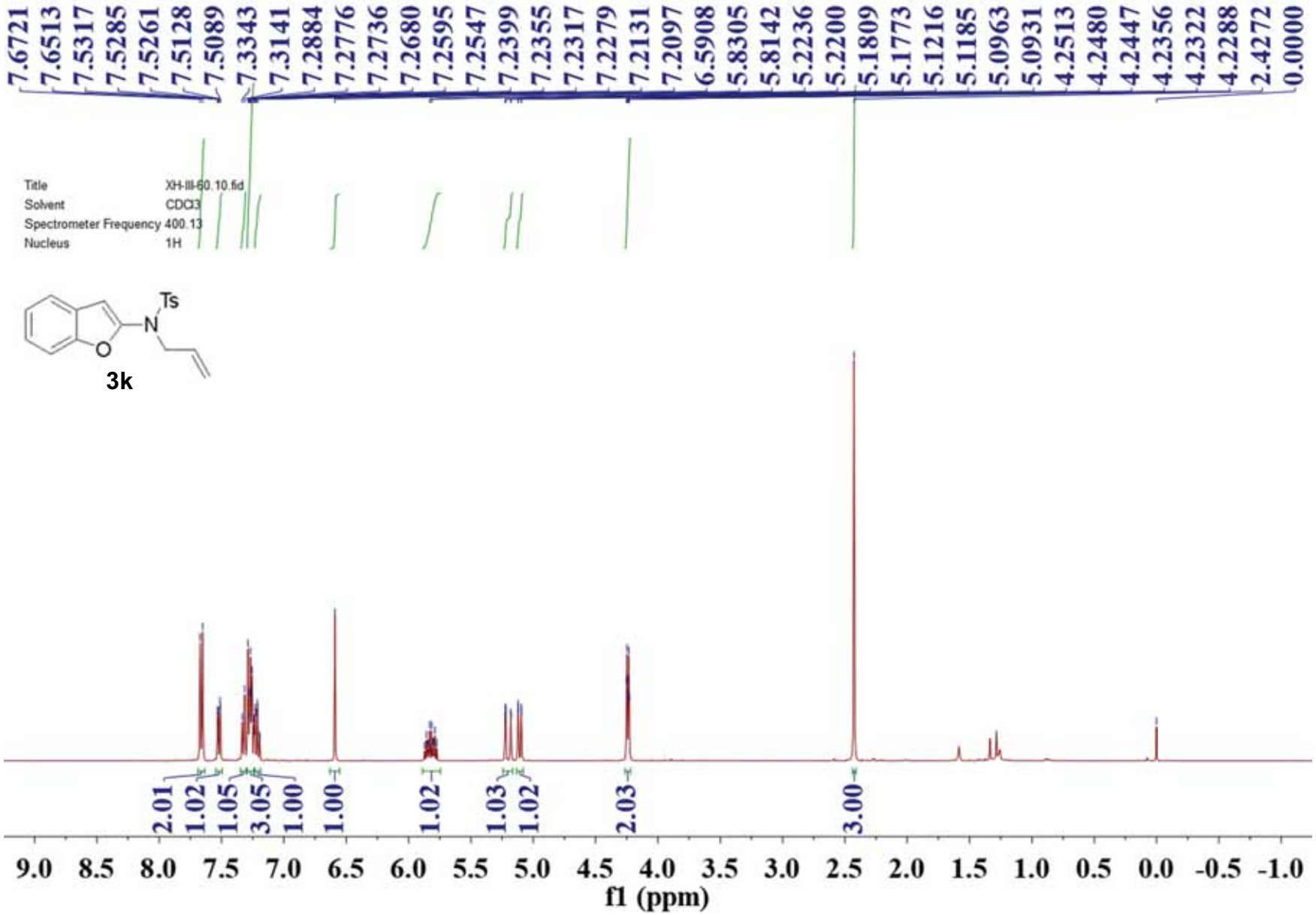

152.503
148.156
144.136
135.783
129.807
128.086
127.720
124.656
123.187
121.277
111.219
102.973
77.518
77.200
76.882
49.492
30.564
21.738
19.720
13.740
Title XH-III-61-1.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ts
N
n-Bu
O
3h
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

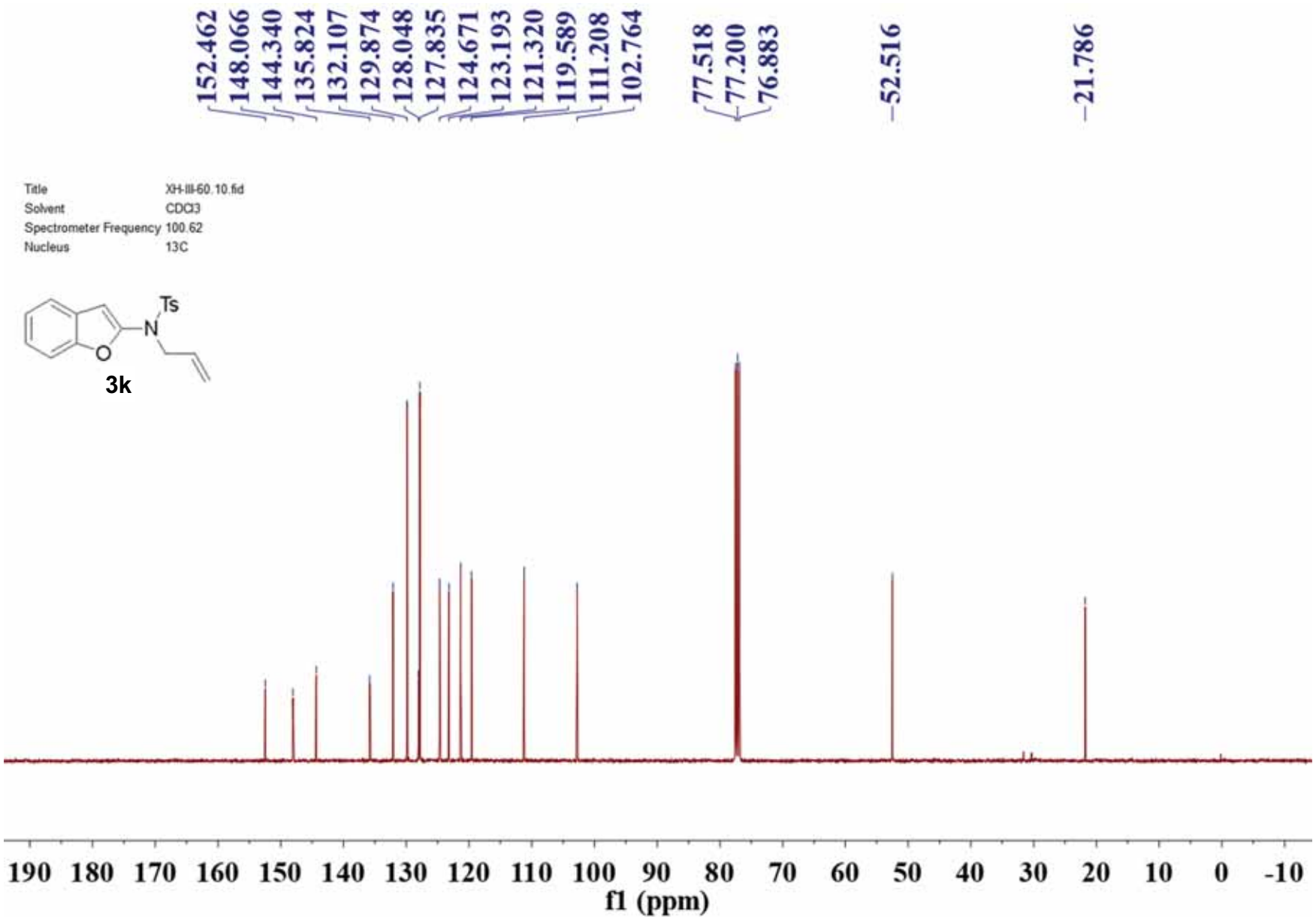

7.8041
7.7841
7.5719
7.5529
7.4373
7.4169
7.3353
7.3293
7.3177
7.3091
7.3004
7.2971
7.2572
7.2382
7.2199
6.5766
4.4421
4.4254
4.4088
4.3920
4.3753
4.3586
4.3418
2.4485
1.1266
1.1099
-0.0000
Title DLX12-70.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ts
N
i-Pr
O
3i
2.00
1.01
1.01
2.97
1.09
0.97
1.01
3.00
6.04
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)

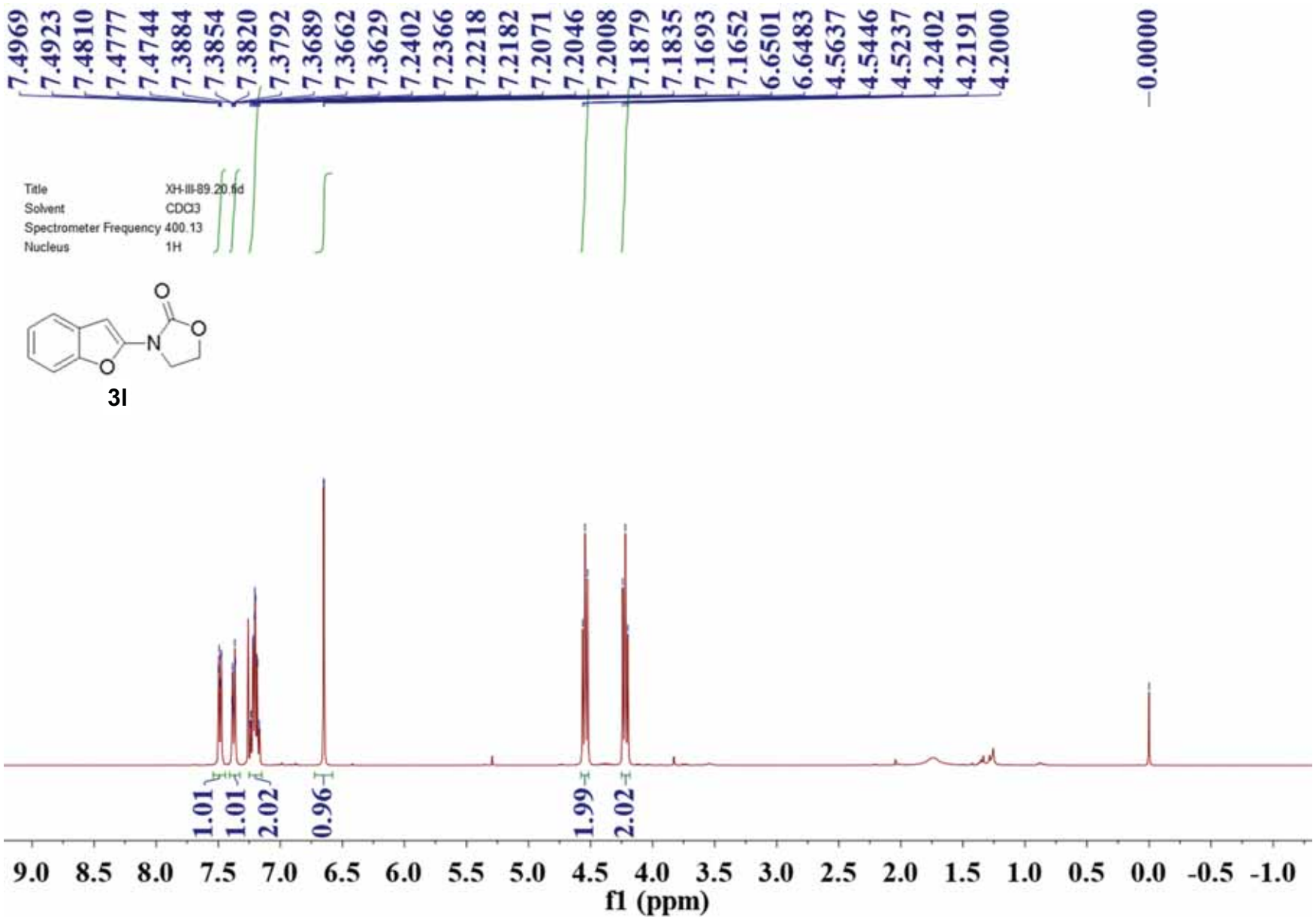

153.136
145.514
143.944
137.506
129.813
127.826
127.774
125.177
123.063
121.455
111.586
106.811
77.518
77.200
76.883
52.449
21.940
21.789
Title DLX12-70.11.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ts
N
i-Pr
O
3i
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

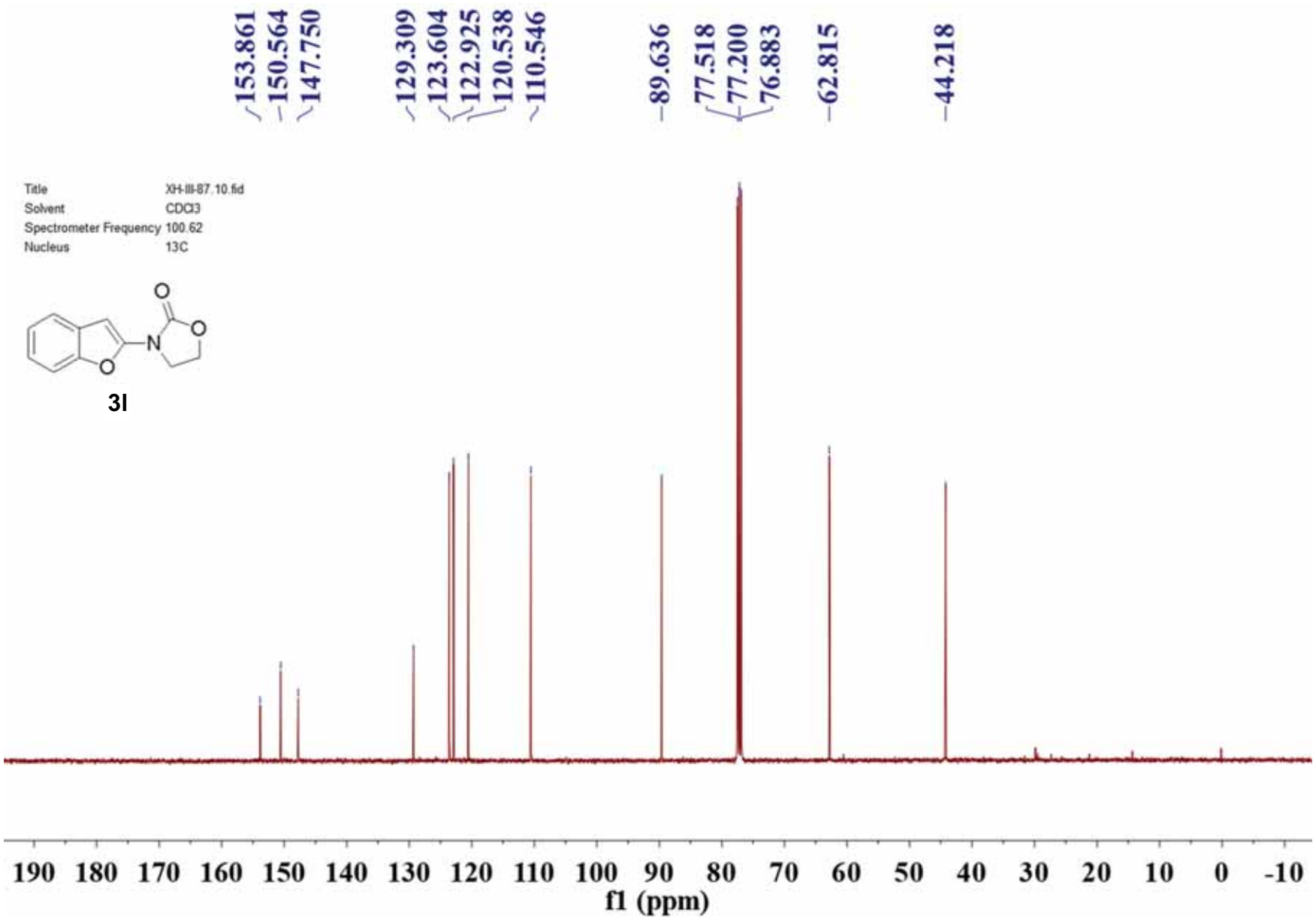

7.6483
7.6437
7.6323
7.6275
7.5248
7.5224
7.5081
7.5035
7.3681
7.3657
7.3574
7.3531
7.3506
7.3476
7.3443
7.3397
7.3328
7.3292
7.3267
7.3221
7.3196
7.3136
7.3089
7.3055
7.3010
7.2839
7.2803
7.2751
7.2657
7.2624
7.2595
7.2453
7.2346
7.2184
7.2153
7.1994
7.1966
6.6624
6.6601
2.4284
Title XH-III-67,10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ts
N
Ph
O
3j
1.99
1.01
2.96
3.02
3.02
1.02
0.97
3.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)

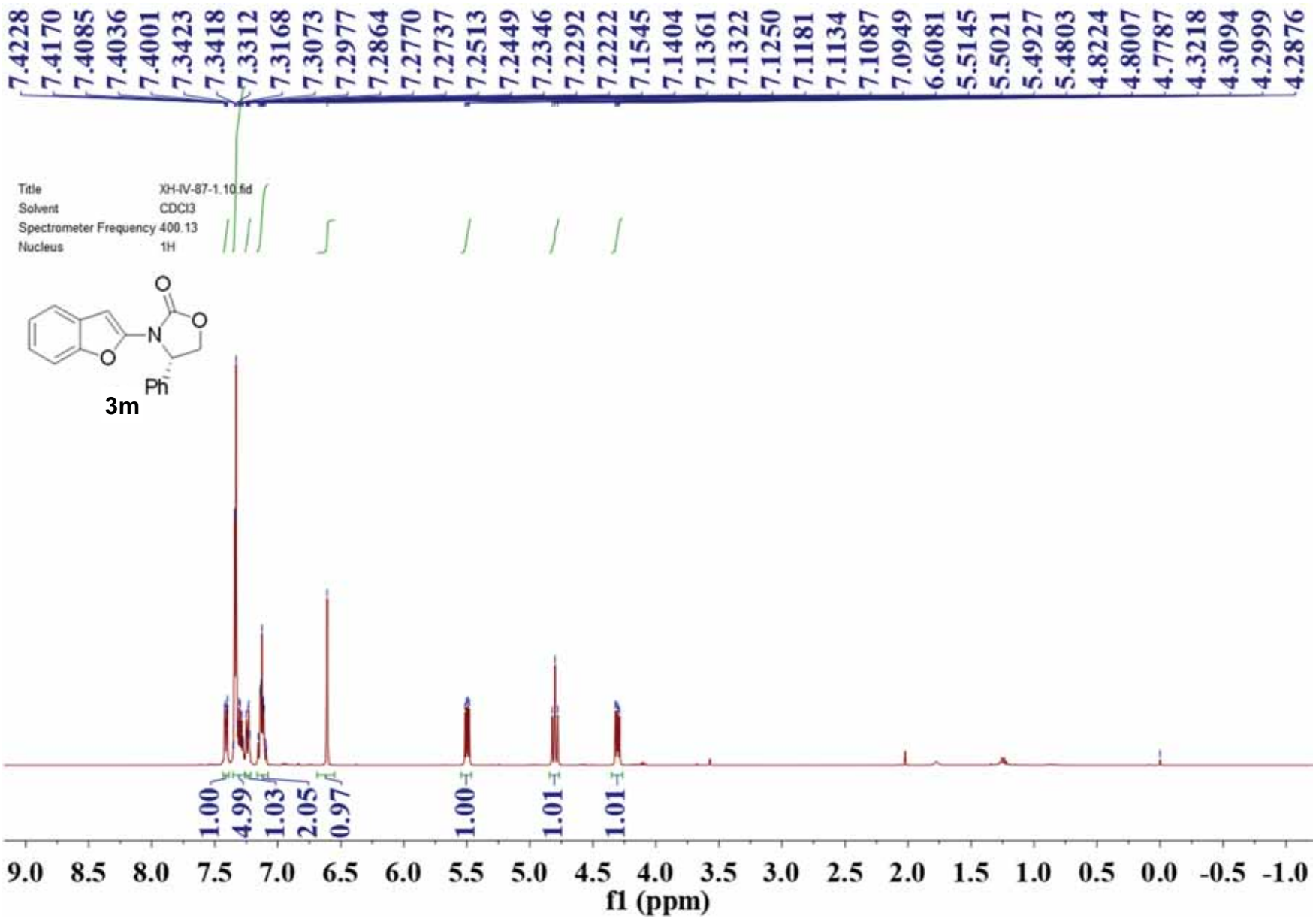

152.633
148.814
144.484
139.178
135.921
129.721
129.387
128.553
128.469
128.315
127.936
125.011
123.240
121.457
111.402
102.827
77.518
77.200
76.882
21.799
Title XH-III-67.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ts
N
Ph
O
3j
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

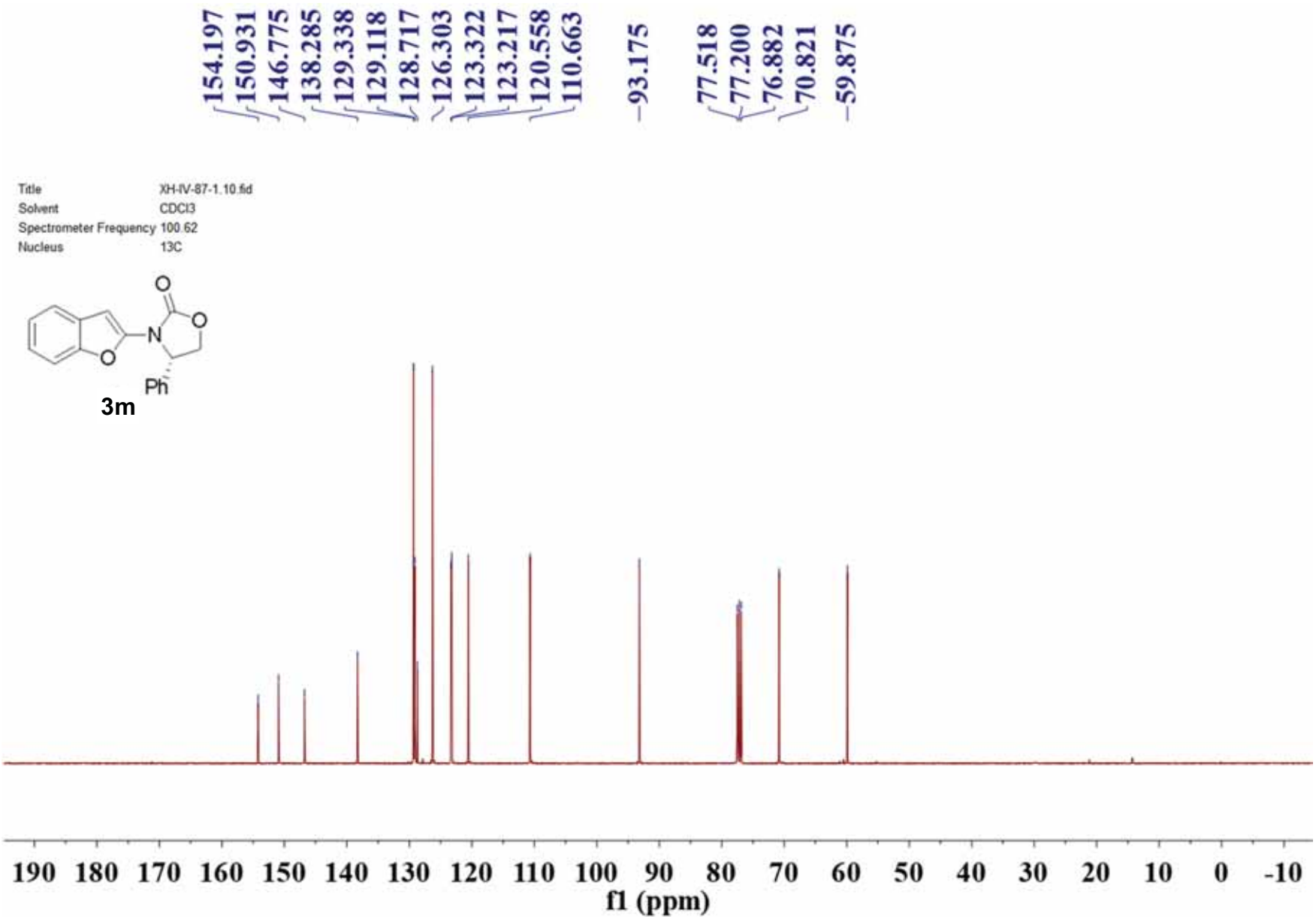

7.6721
7.6513
7.5317
7.5285
7.5261
7.5128
7.5089
7.3343
7.3141
7.2884
7.2776
7.2736
7.2680
7.2595
7.2547
7.2399
7.2355
7.2317
7.2279
7.2131
7.2097
6.5908
5.8305
5.8142
5.2236
5.2200
5.1809
5.1773
5.1216
5.1185
5.0963
5.0931
4.2513
4.2480
4.2447
4.2356
4.2322
4.2288
2.4272
0.0000
Title XH-III-60.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ts
N
O
3k
2.01
1.02
1.05
3.05
1.00
1.00
1.02
1.03
1.02
2.03
3.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)

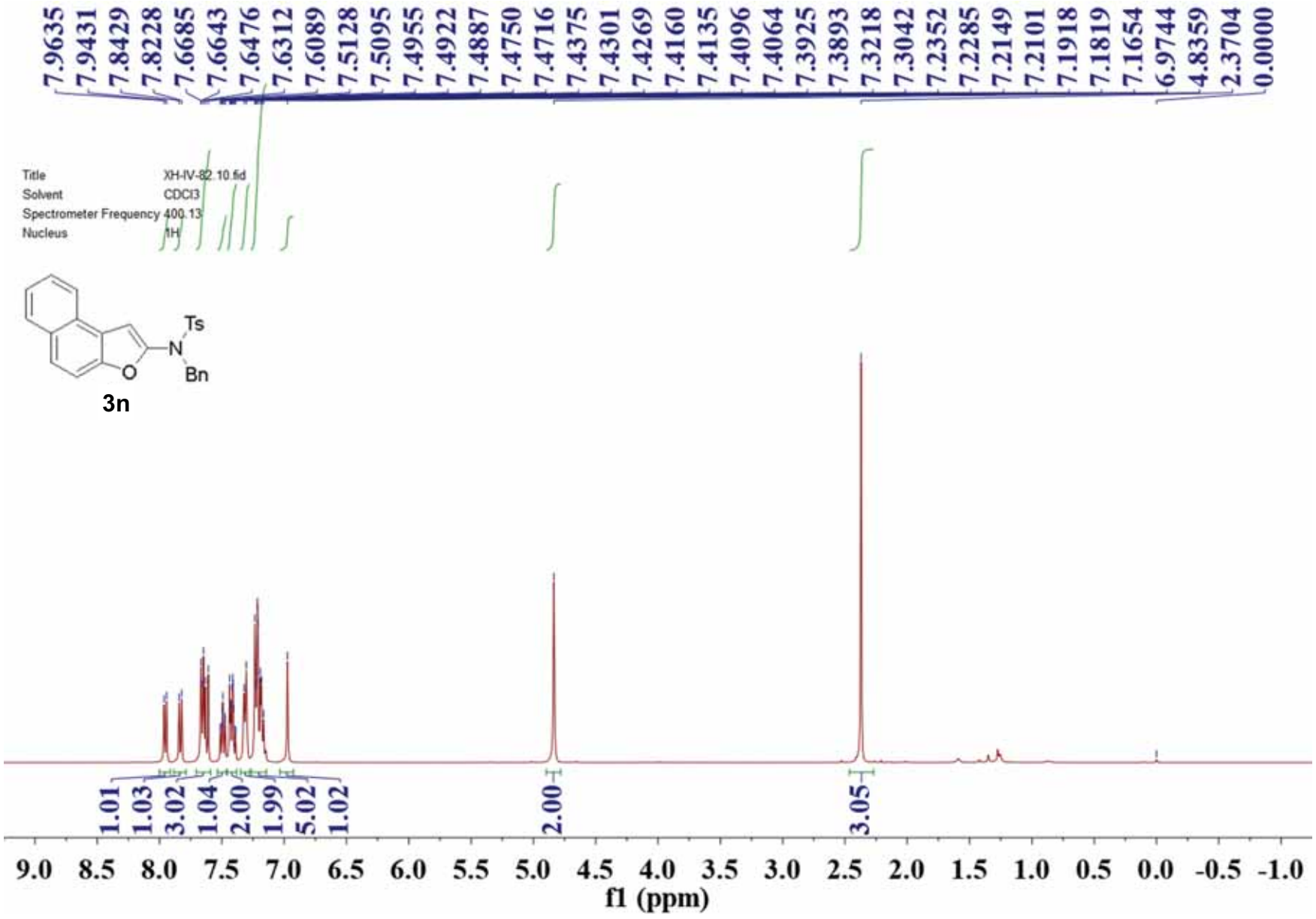

152.462
148.066
144.340
135.824
132.107
129.874
128.048
127.835
124.671
123.193
121.320
119.589
111.208
102.764
77.518
77.200
76.883
52.516
21.786
Title XH-III-60.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ts
N
O
3k
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

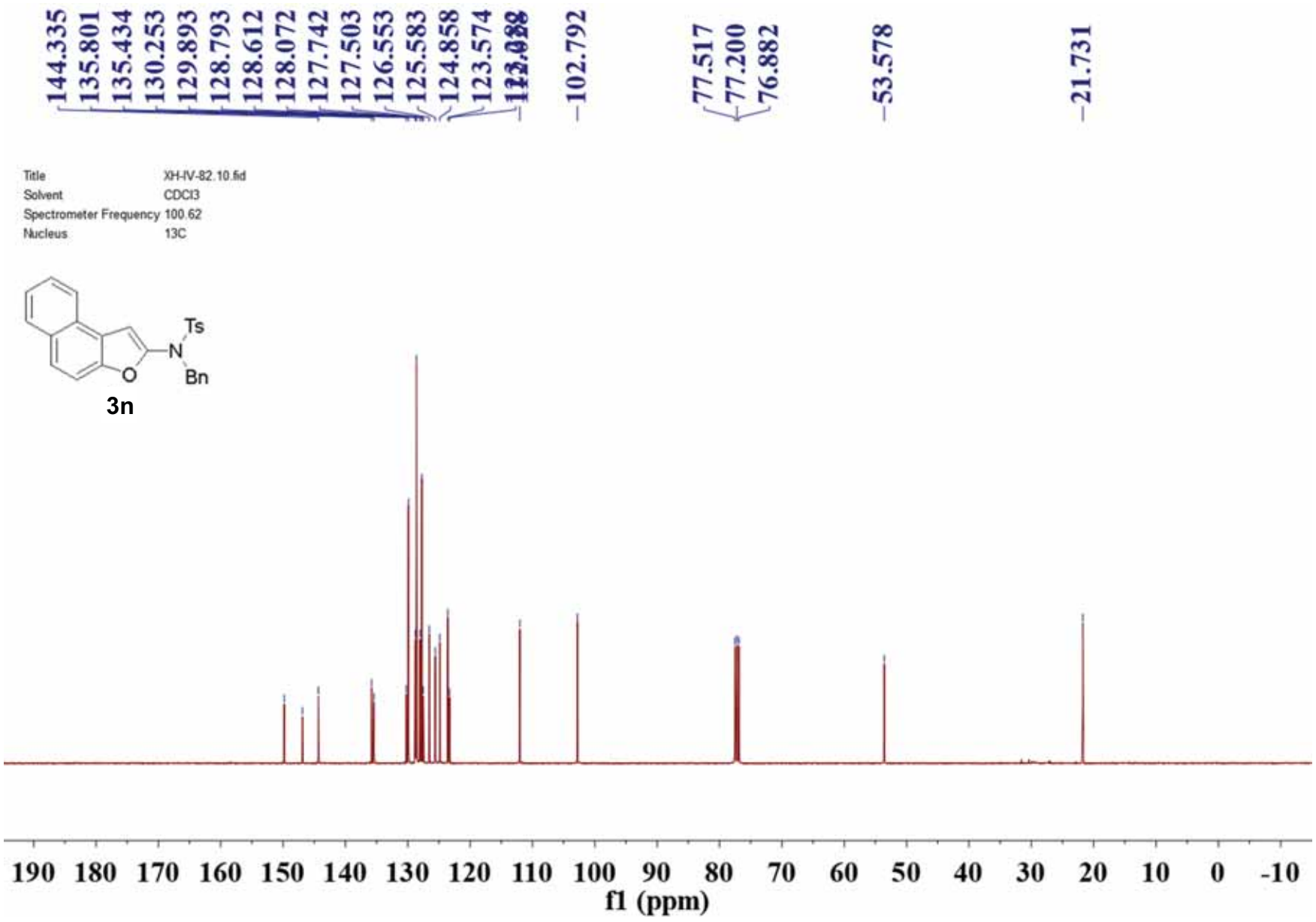

7.4969
7.4923
7.4810
7.4777
7.4744
7.3884
7.3854
7.3820
7.3792
7.3689
7.3662
7.3629
7.2402
7.2366
7.2218
7.2182
7.2071
7.2046
7.2008
7.1879
7.1835
7.1693
7.1652
6.6501
6.6483
4.5637
4.5446
4.5237
4.2402
4.2191
4.2000
0.0000
Title XH-III-89.20.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
O
O
N
O
3l
1.01
1.01
2.02
0.96
1.99
2.02
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)


153.861
150.564
147.750
129.309
123.604
122.925
120.538
110.546
89.636
77.518
77.200
76.883
62.815
44.218
Title XH-III-87.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
O
O
N
O
3l
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

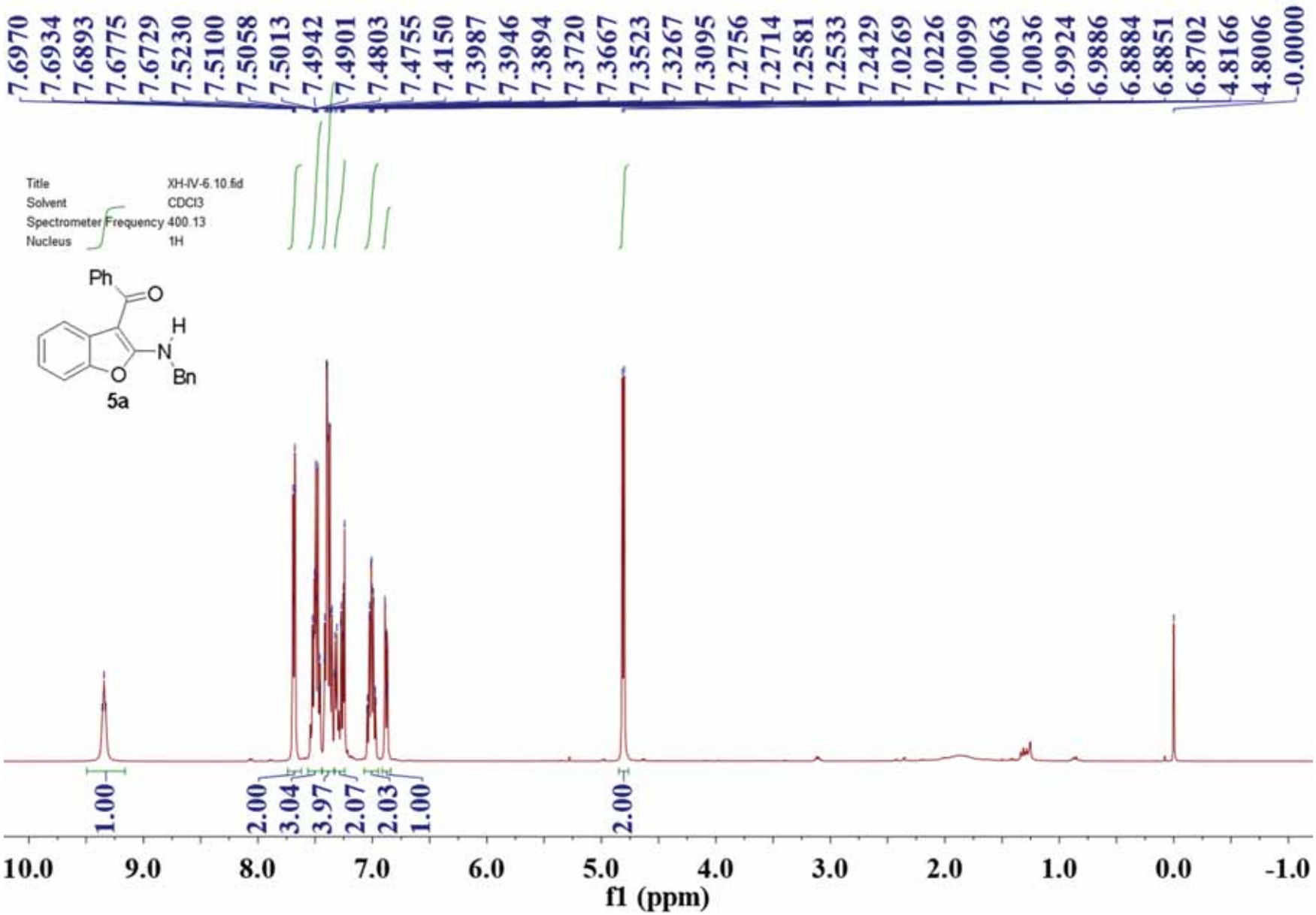

7.4228
7.4170
7.4085
7.4036
7.4001
7.3423
7.3418
7.3312
7.3168
7.3073
7.2977
7.2864
7.2770
7.2737
7.2513
7.2449
7.2346
7.2292
7.2222
7.1545
7.1404
7.1361
7.1322
7.1250
7.1181
7.1134
7.1087
7.0949
6.6081
5.5145
5.5021
5.4927
5.4803
4.8224
4.8007
4.7787
4.3218
4.3094
4.2999
4.2876
Title XH-IV-87-1.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
O
N
O
O
Ph
3m
1.00
4.99
1.03
2.05
0.97
1.00
1.01
1.01
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)

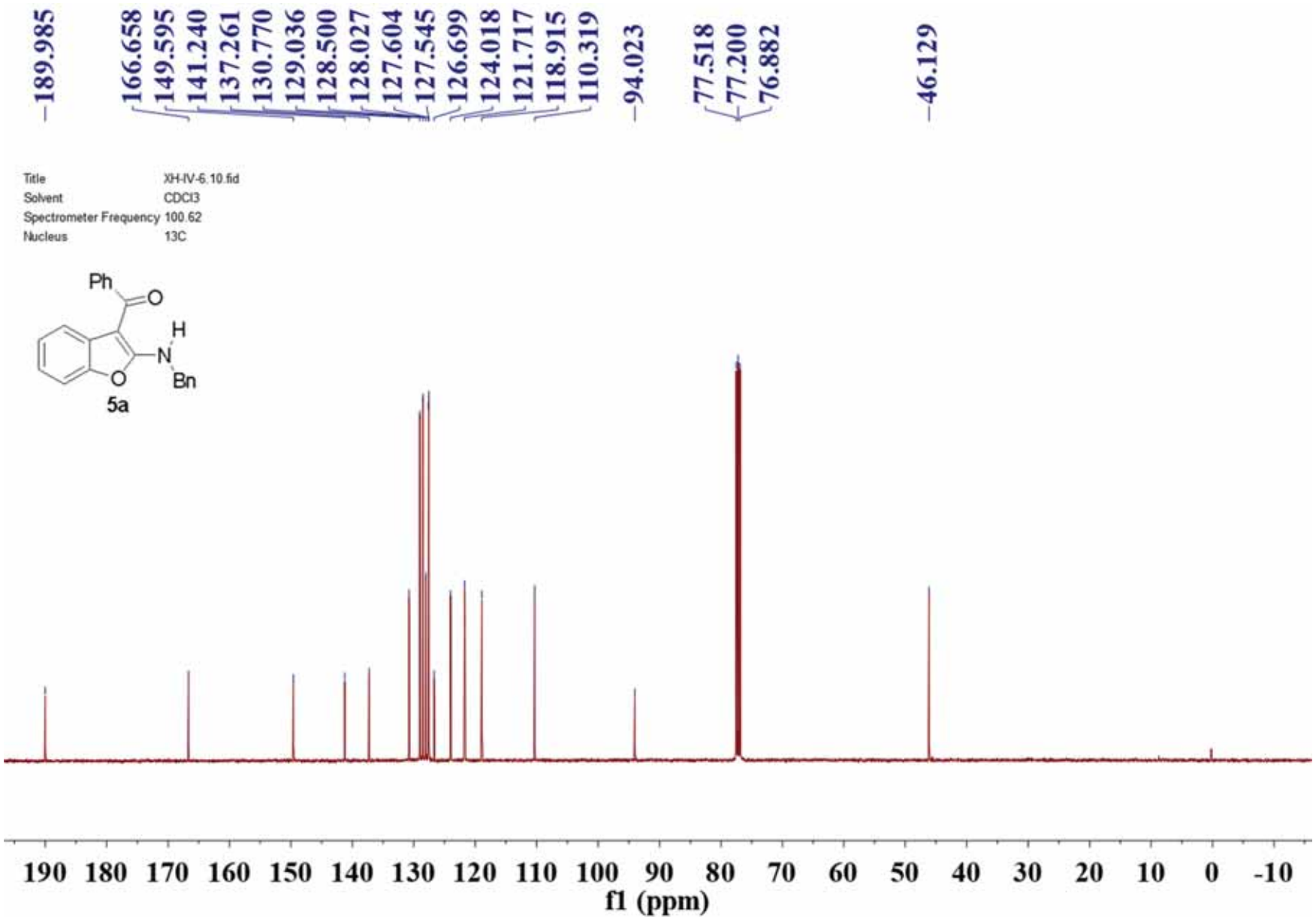

154.197
150.931
146.775
138.285
129.338
129.118
128.717
126.303
123.322
123.217
120.558
110.663
93.175
77.518
77.200
76.882
70.821
59.875
Title XH-IV-87-1.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
O
N
O
O
Ph
3m
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

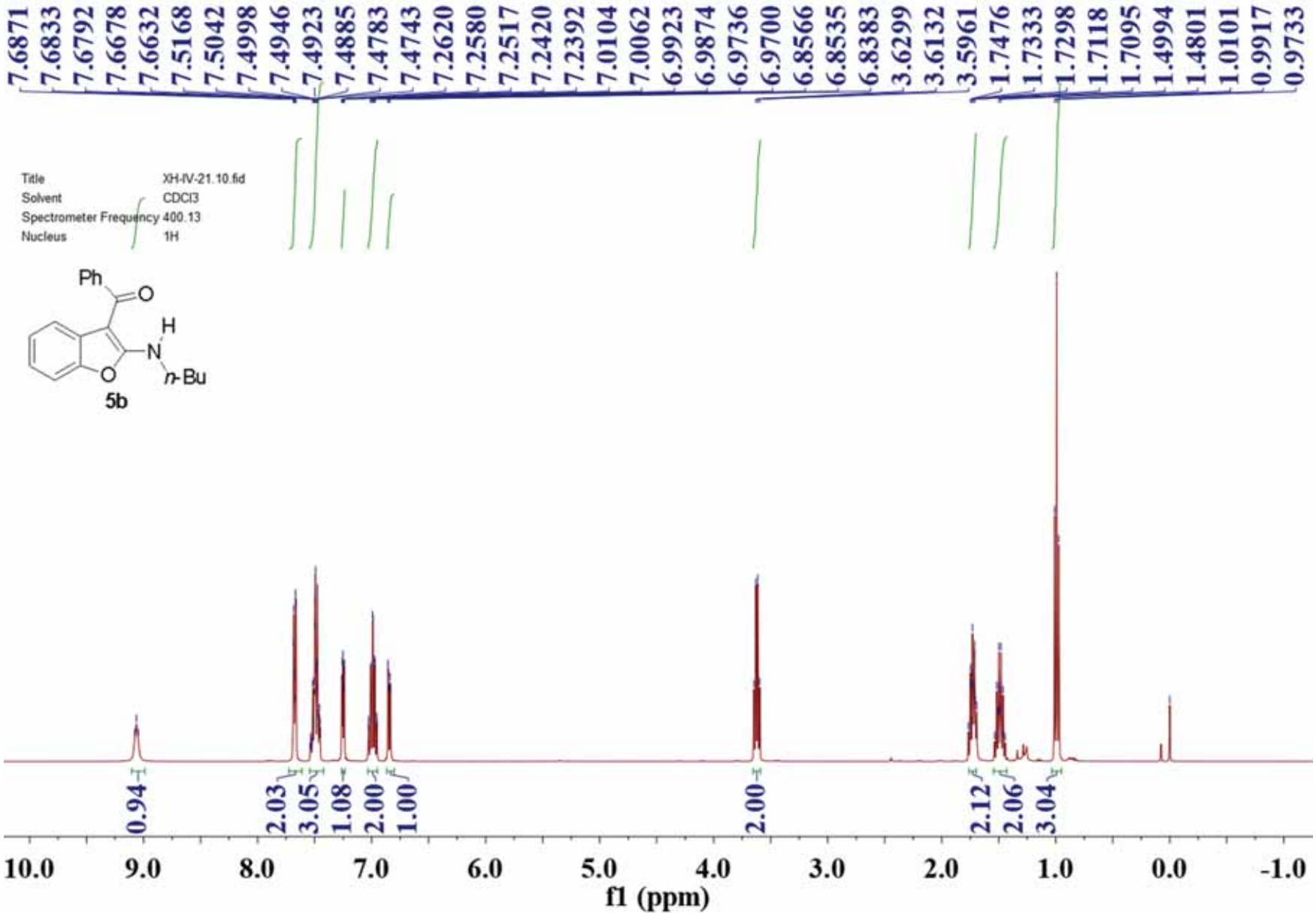

7.9635
7.9431
7.8429
7.8228
7.6685
7.6643
7.6476
7.6312
7.6089
7.5128
7.5095
7.4955
7.4922
7.4887
7.4750
7.4716
7.4375
7.4301
7.4269
7.4160
7.4135
7.4096
7.4064
7.3925
7.3893
7.3218
7.3042
7.2352
7.2285
7.2149
7.2101
7.1918
7.1819
7.1654
6.9744
4.8359
2.3704
0.0000
Title XH-IV-82.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ts
N
Bn
O
3n
1.01
1.03
3.02
1.04
2.00
1.99
5.02
1.02
2.00
3.05
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)

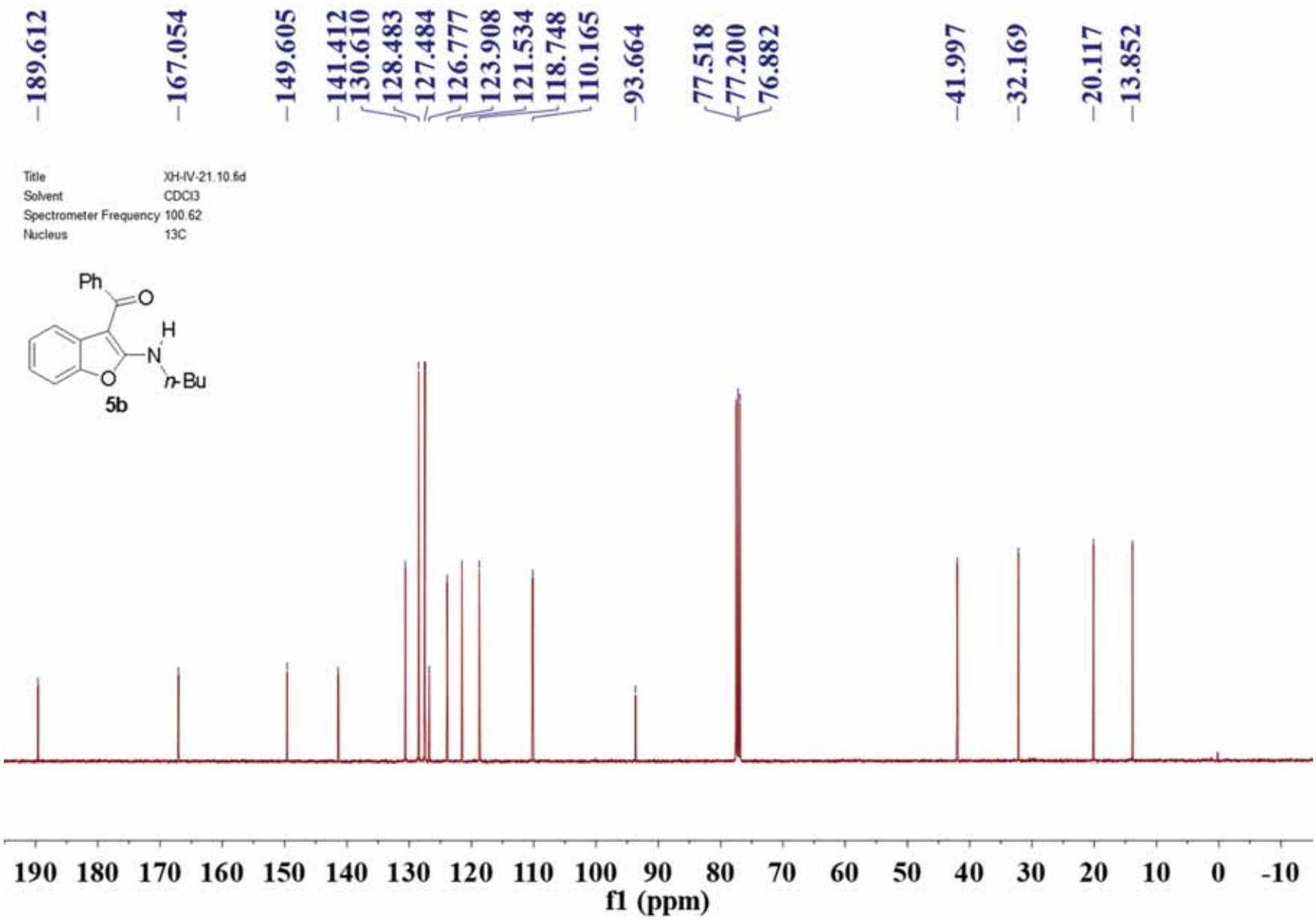

144.335
135.801
135.434
130.253
129.893
128.793
128.612
128.072
127.742
127.503
126.553
125.583
124.858
123.574
102.792
77.517
77.200
76.882
53.578
21.731
Title XH-IV-82.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ts
N
O
Bn
3n
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

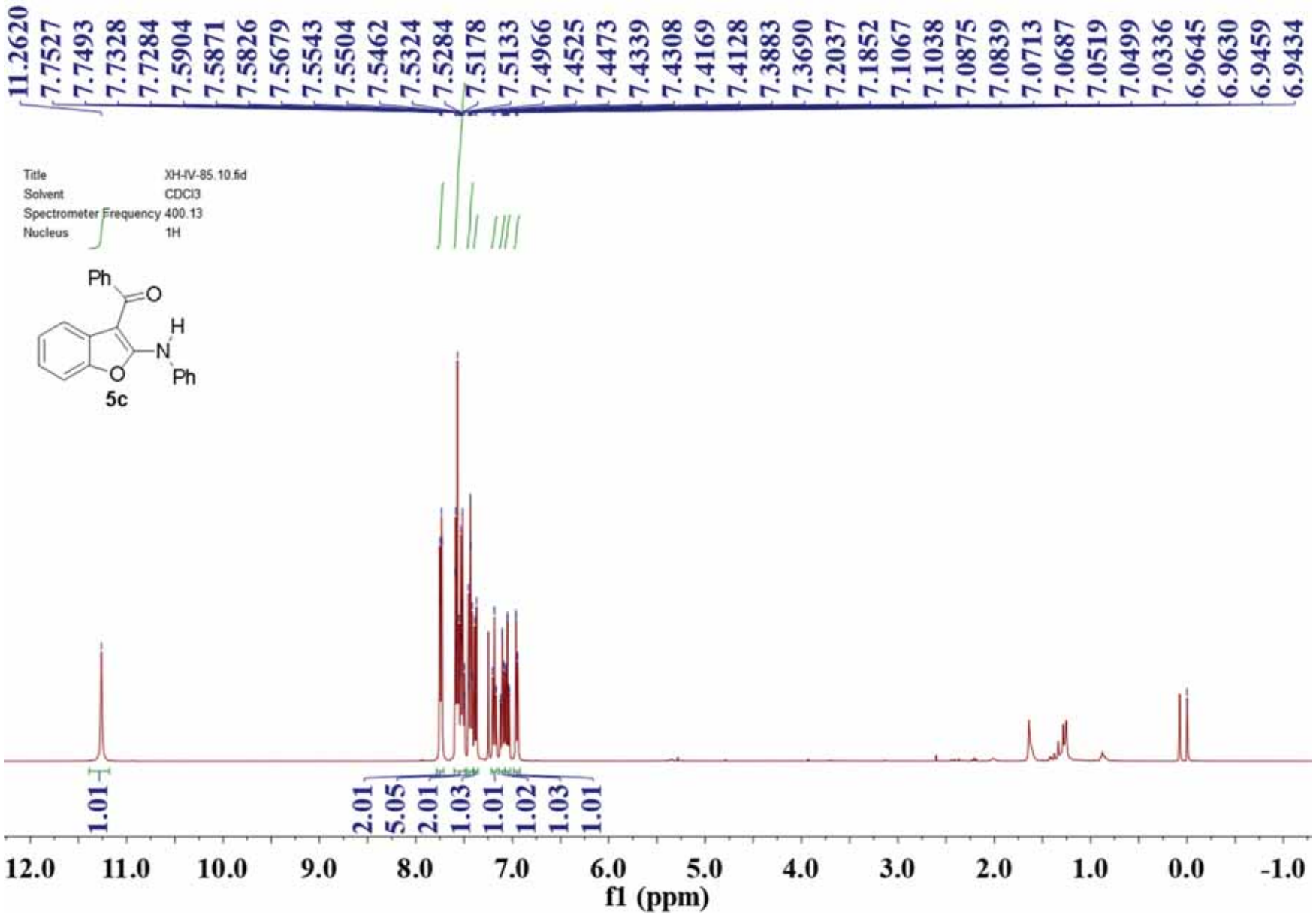
^{1}H NMR and ^{13}C NMR Spectra of 3-Acyl-2-Amidobenzofurans 5.

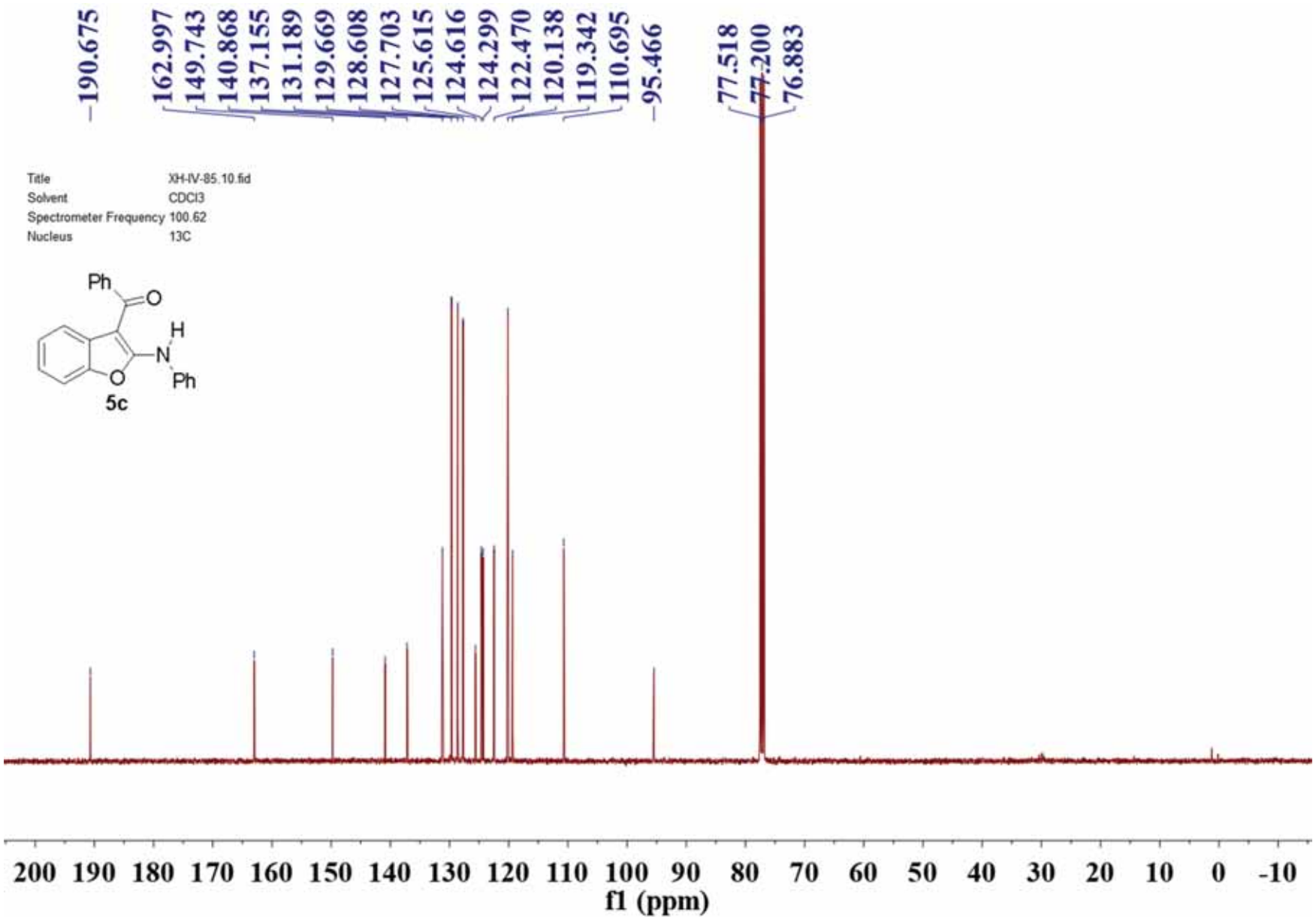



189.985
166.658
149.595
141.240
137.261
130.770
129.036
128.500
128.027
127.604
127.545
126.699
124.018
121.717
118.915
110.319
94.023
77.518
77.200
76.882
46.129
Title XH-IV-6.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ph
O
H
N
Bn
O
5a
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

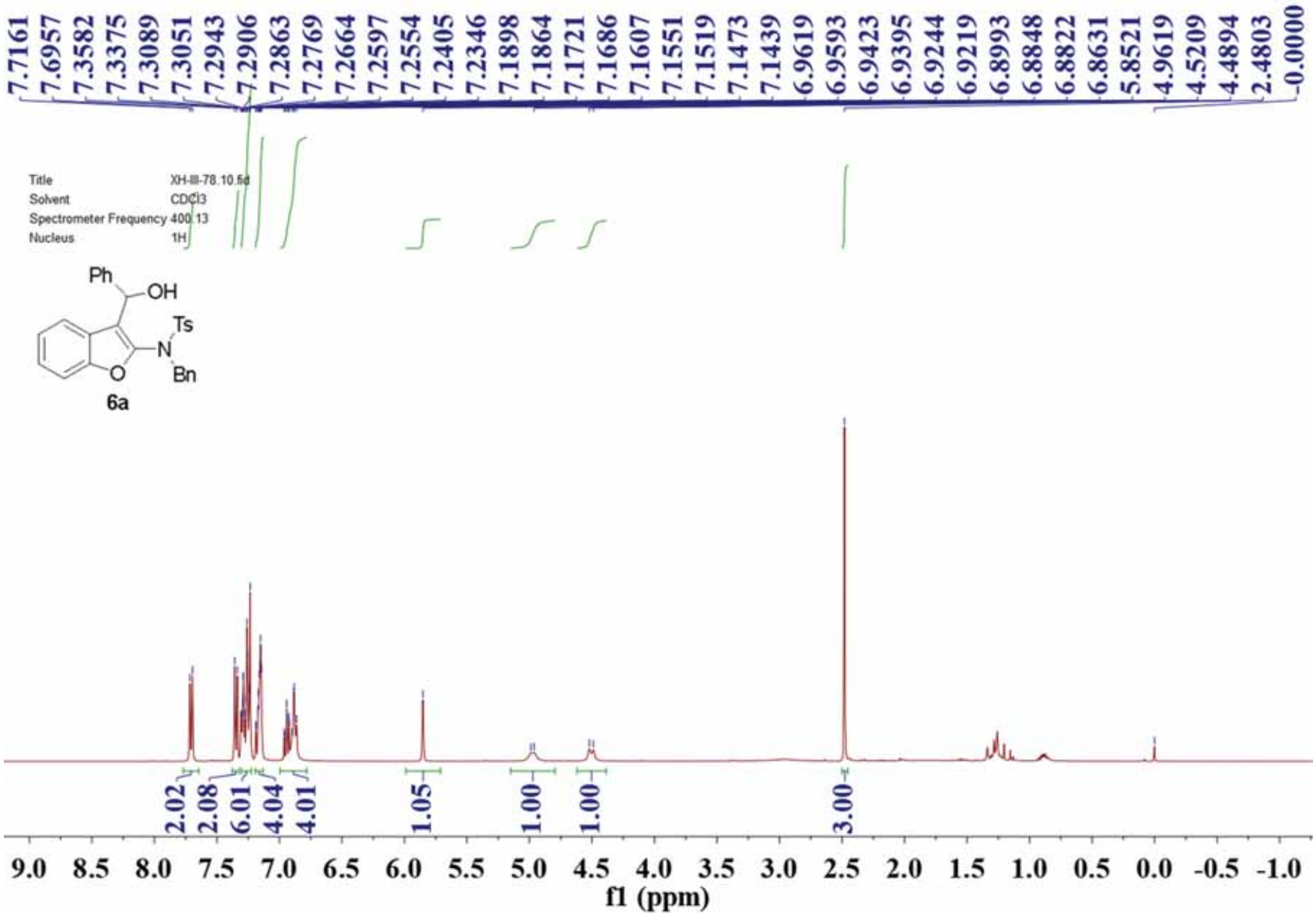

7.6871
7.6833
7.6792
7.6678
7.6632
7.5168
7.5042
7.4998
7.4946
7.4923
7.4885
7.4783
7.4743
7.2620
7.2580
7.2517
7.2420
7.2392
7.0104
7.0062
6.9923
6.9874
6.9736
6.9700
6.8566
6.8535
6.8383
3.6299
3.6132
3.5961
1.7476
1.7333
1.7298
1.7118
1.7095
1.4994
1.4801
1.0101
0.9917
0.9733
Title XH-IV-21.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ph
O
H
N
O
n-Bu
5b
0.94
2.03
3.05
1.08
2.00
1.00
2.00
2.12
2.06
3.04
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
-1.0
f1 (ppm)

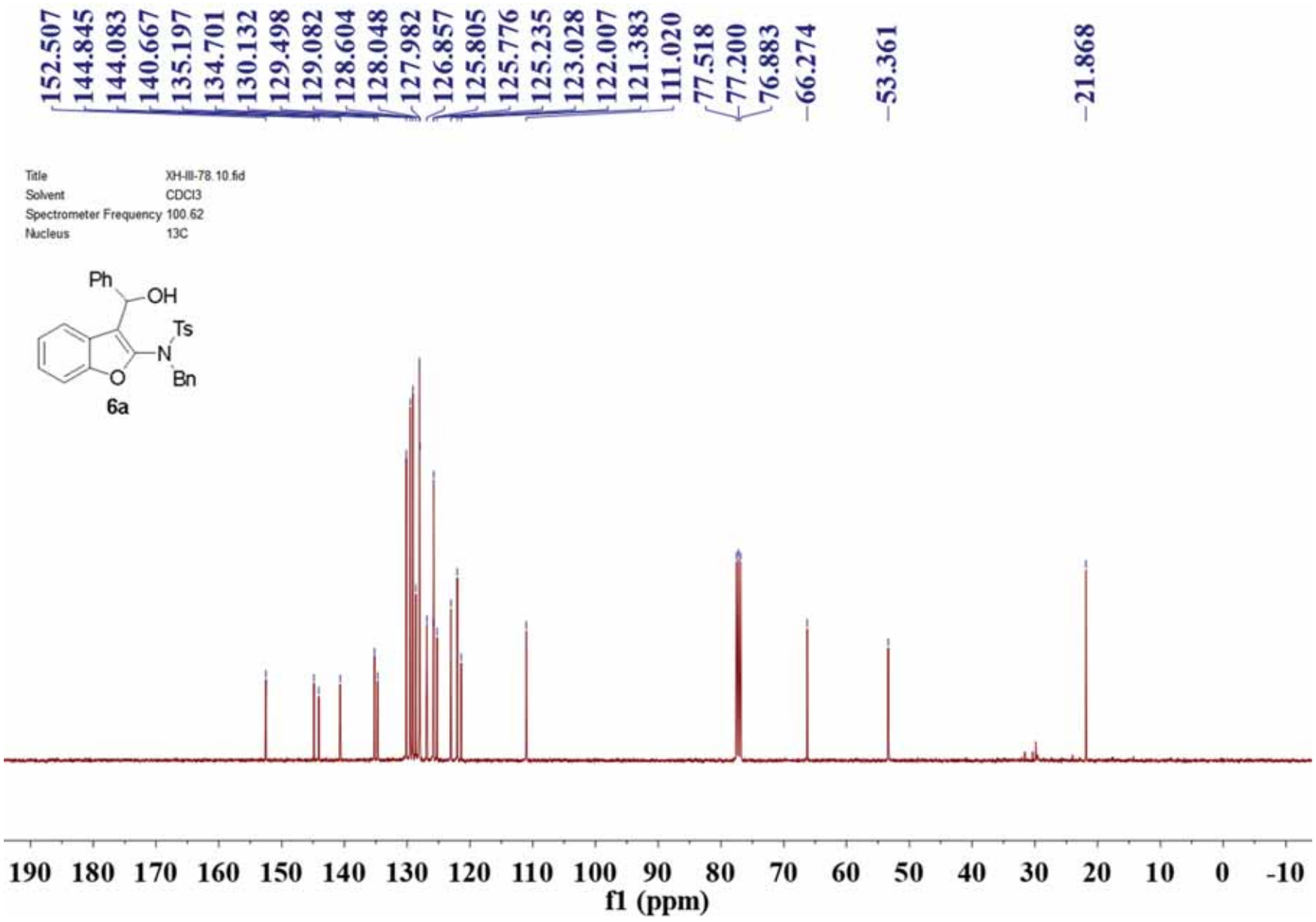

189.612
167.054
149.605
141.412
130.610
128.483
127.484
126.777
123.908
121.534
118.748
110.165
93.664
77.518
77.200
76.882
41.997
32.169
20.117
13.852
Title XH-IV-21.10.5d
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ph
O
H
N
O
n-Bu
5b
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

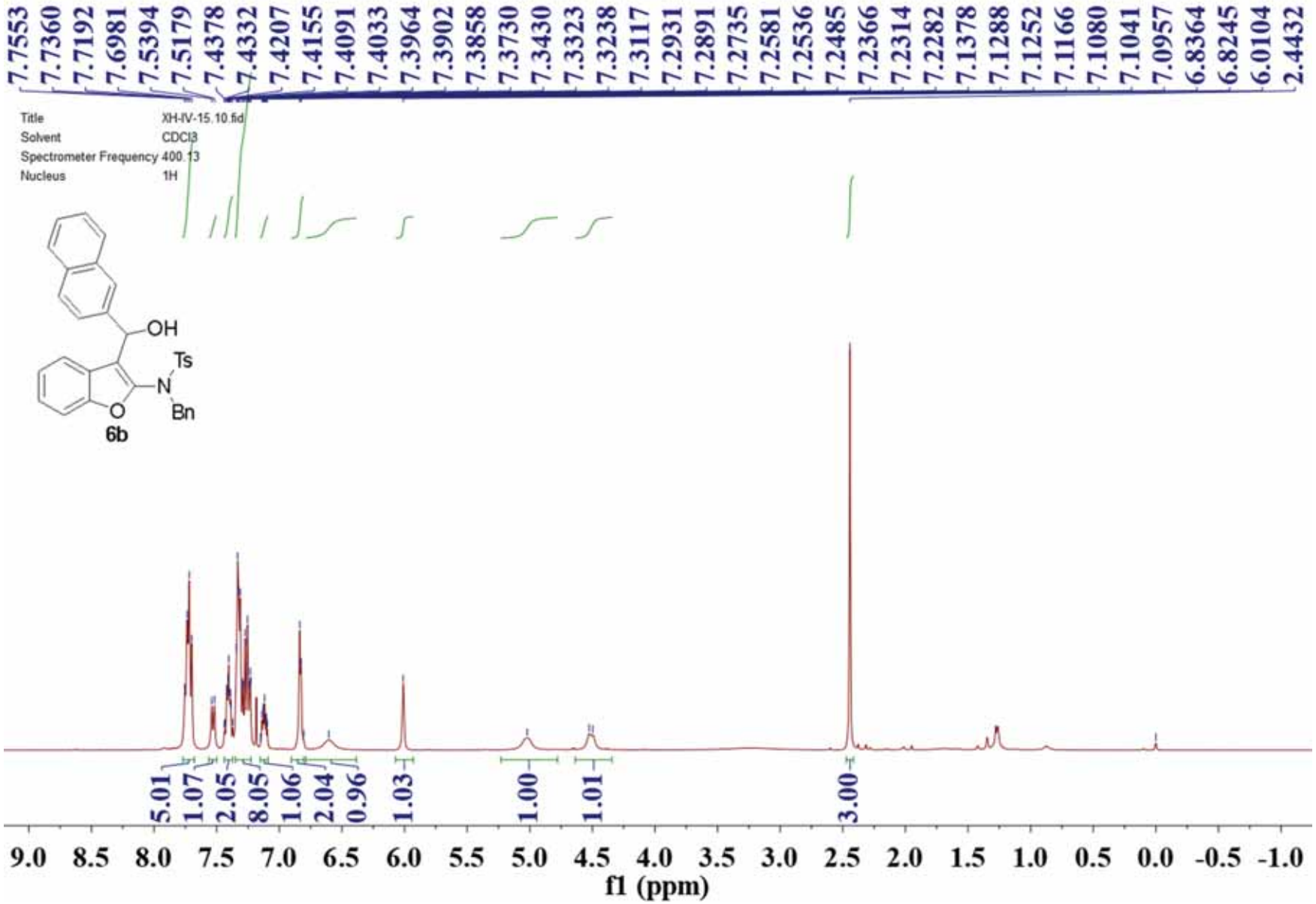

11.2620
7.7527
7.7493
7.7328
7.7284
7.5904
7.5871
7.5826
7.5679
7.5543
7.5504
7.5462
7.5324
7.5284
7.5178
7.5133
7.4966
7.4525
7.4473
7.4339
7.4308
7.4169
7.4128
7.3883
7.3690
7.2037
7.1852
7.1067
7.1038
7.0875
7.0839
7.0713
7.0687
7.0519
7.0499
7.0336
6.9645
6.9630
6.9459
6.9434
Title XH-IV-85.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Ph
O
H
N
Ph
O
5c
1.01
2.01
5.05
2.01
1.03
1.01
1.02
1.03
1.01
12.0
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
-1.0
f1 (ppm)

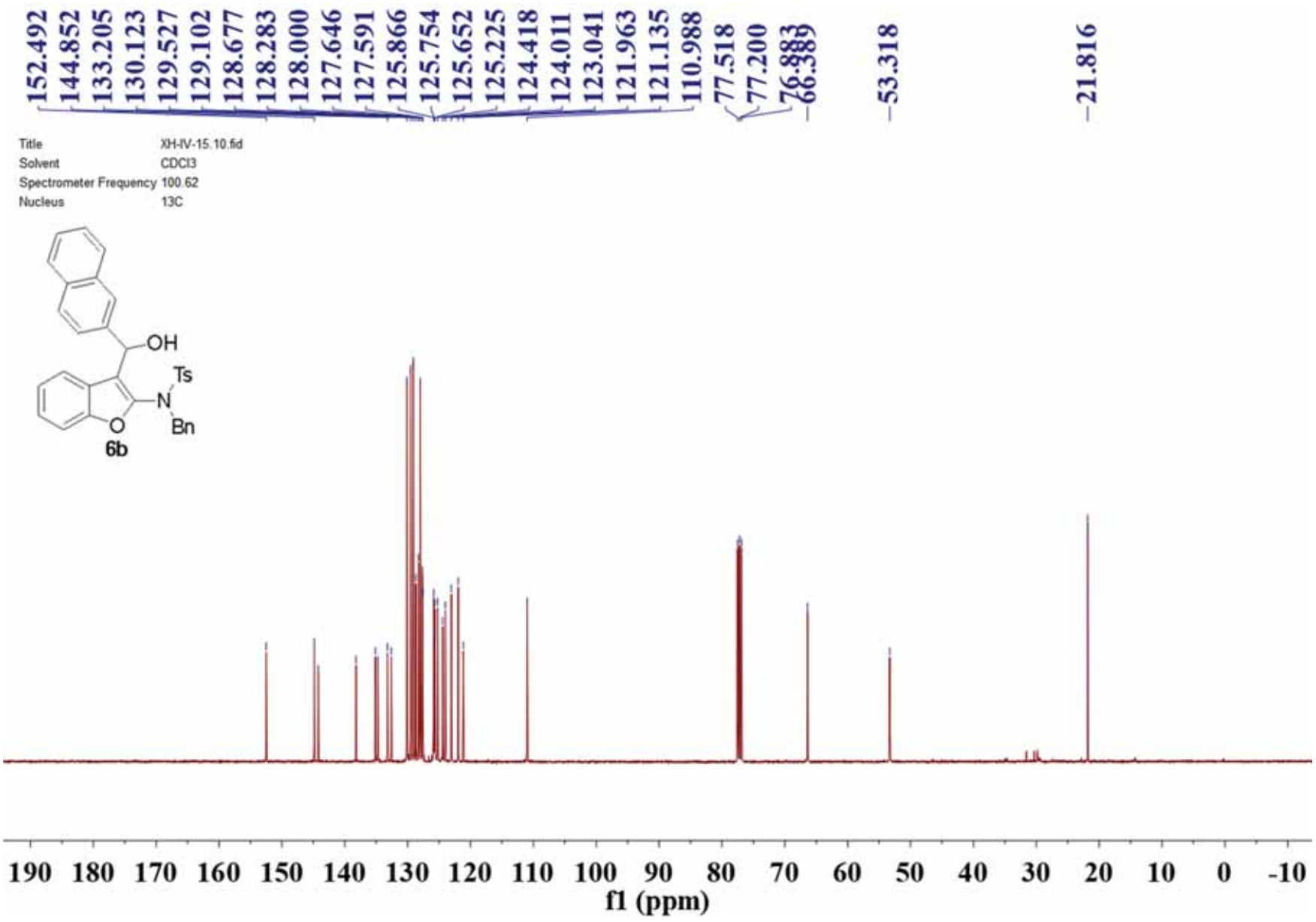

190.675
162.997
149.743
140.868
137.155
131.189
129.669
128.608
127.703
125.615
124.616
124.299
122.470
120.138
119.342
110.695
95.466
77.518
77.200
76.883
Title XH-IV-85.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ph
O
H
N
O
Ph
5c
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

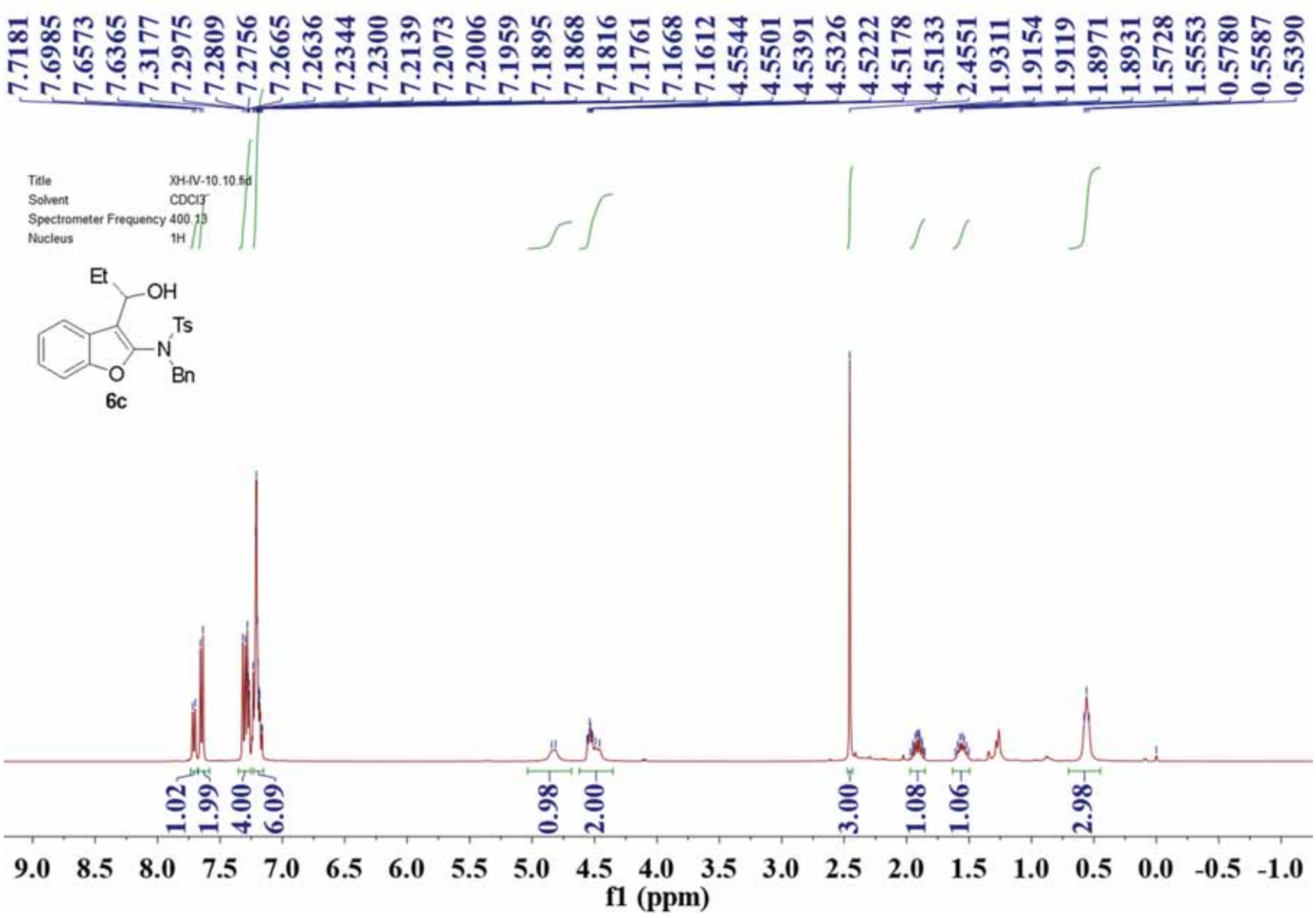
^{1}H NMR and ^{13}C NMR Spectra of 3-Alkyl-2-Amidobenzofurans 6.

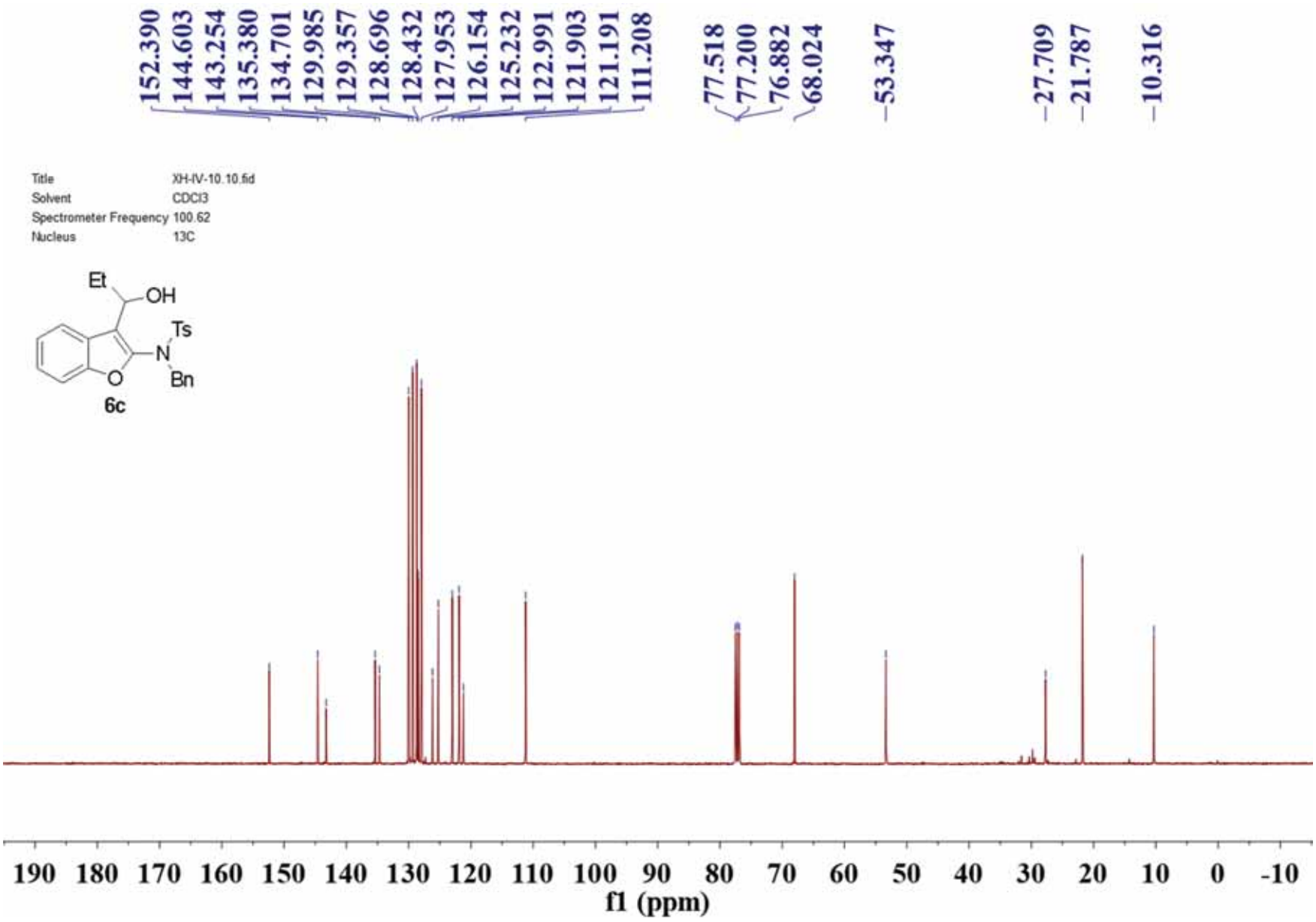



152.507
144.845
144.083
140.667
135.197
134.701
130.132
129.498
129.082
128.604
128.048
127.982
126.857
125.805
125.776
125.235
123.028
122.007
121.383
111.020
77.518
77.200
76.883
66.274
53.361
21.868
Title XH-III-78.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Ph
OH
Ts
N
Bn
O
6a
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


7.7553
7.7360
7.7192
7.6981
7.5394
7.5179
7.4378
7.4332
7.4207
7.4155
7.4091
7.4033
7.3964
7.3902
7.3858
7.3730
7.3430
7.3323
7.3238
7.3117
7.2931
7.2891
7.2735
7.2581
7.2536
7.2485
7.2366
7.2314
7.2282
7.1378
7.1288
7.1252
7.1166
7.1080
7.1041
7.0957
6.8364
6.8245
6.0104
2.4432
Title XH-IV-15.10.fid
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
OH
Ts
N
Bn
O
6b
5.01
1.07
2.05
8.05
1.06
2.04
0.96
1.03
1.00
1.01
3.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)


152.492
144.852
133.205
130.123
129.527
129.102
128.677
128.283
128.000
127.646
127.591
125.866
125.754
125.652
125.225
124.418
124.011
123.041
121.963
121.135
110.988
77.518
77.200
76.883
66.389
53.318
21.816
Title XH-IV-15.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
OH
Ts
N
Bn
O
6b
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


7.7181
7.6985
7.6573
7.6365
7.3177
7.2975
7.2809
7.2756
7.2665
7.2636
7.2344
7.2300
7.2139
7.2073
7.2006
7.1959
7.1895
7.1868
7.1816
7.1761
7.1668
7.1612
4.5544
4.5501
4.5391
4.5326
4.5222
4.5178
4.5133
2.4551
1.9311
1.9154
1.9119
1.8971
1.8931
1.5728
1.5553
0.5780
0.5587
0.5390
Title XH-IV-10.10.1d
Solvent CDCl3
Spectrometer Frequency 400.13
Nucleus 1H
Et
OH
Ts
N
Bn
O
6c
1.02
1.99
4.00
6.09
0.98
2.00
3.00
1.08
1.06
2.98
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)


152.390
144.603
143.254
135.380
134.701
129.985
129.357
128.696
128.432
127.953
126.154
125.232
122.991
121.903
121.191
111.208
77.518
77.200
76.882
68.024
53.347
27.709
21.787
10.316
Title XH-IV-10.10.fid
Solvent CDCl3
Spectrometer Frequency 100.62
Nucleus 13C
Et
OH
Ts
N
Bn
O
6c
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

MS (ESI) of *n*-BuCl: *m/z* $[M + Na]^+$ 115