Electronic Supplementary Information

Investigating Chemical Diversity: *o*-Propargylphenols as Key Compounds in the Divergent Synthesis of 2-Substituted Benzofurans and Chromenes

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General information.

All the reactions, that involve the use of reagents sensitive to oxygen or hydrolysis, were carried out under a nitrogen atmosphere. The glassware was previously dried with a heating gun and set with cycles of reduced pressure and nitrogen. Syringes, used to transfer reagents and solvents, were previously set under a nitrogen atmosphere. All chemicals and solvents are commercially available and were used without further purification. Microwave-enhanced reactions were performed with the single-mode microwave synthesizer Personal Chemistry® "Emrys Creator". The chromatographic column separations were performed by flash technique, using silica gel (pore size 60, particle size 230–400 mesh, Merck Grade 9385). For large volumes of products, we used Biotage® select flash chromatography instrument with different preloaded column Biotage® SNAP. For thin-layer chromatography (TLC), Silica on TLC Alu foils with a fluorescent indicator (254 nm) was employed and the detection was performed by irradiation with UV light (λ = 254 nm and/or 366 nm). ^{1}H NMR analyses were performed with 300 and 400 MHz spectrometers at room temperature or stated temperature. The coupling constants (J) are expressed in Hertz (Hz), the chemical shifts (δ) in ppm. The multiplicities of the proton spectra were described by the following abbreviations: s (singlet), d (doublet), t (triplet), q (quartet), p (quintet), dt (double triplet), dd (double doublet), m (multiplet), br (broad). ^{13}C NMR analyses were performed with the same instruments at 74.45 and 101 MHz; the APT sequence was used to distinguish the methine and methyl carbon signals from those arising from methylene and quaternary carbon atoms. All ^{13}C NMR spectra were recorded with complete proton decoupling. Low-resolution MS spectra were recorded with electrospray/ion trap instruments, using a syringe pump device to directly inject sample solutions. The values are expressed as mass-charge ratio and the relative intensities of the most significant peaks are shown in brackets. Elemental analyses were recorded in the analytical laboratories of Università degli Studi di Milano. Alkyne **4a-l**, $AgSbF_6$, CuBr $Fe(OTf)_3$, $NaAuCl_4$ were commercially supplied**,** catalysts *i*$PrAuNTf_2$, $Ph_3PAuNTf_2$, $P(OAr)_3AuNTf_2$, $JhonPhosAuNTf_2$,[1] compounds **3a**[2] and **3b**[3] were known compound and were prepared according to literature procedures.

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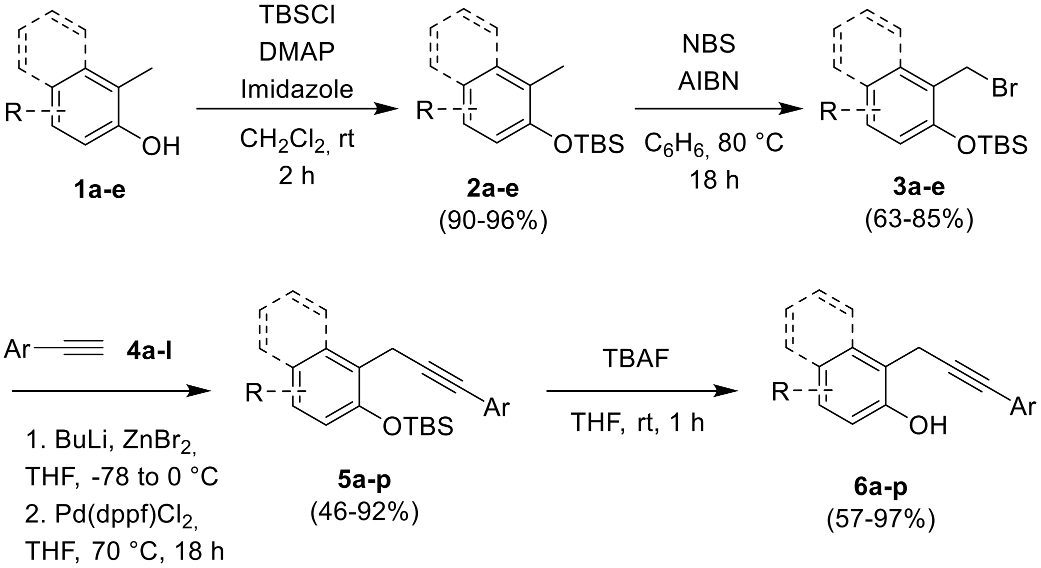
[1] (a) L. Ricard and F. Gagosz, Synthesis and Reactivity of Air-Stable N-Heterocyclic Carbene Gold(I) Bis (trifluoromethanesulfonyl)imidate Complexes, *Organometallics*, 2007, **26**, 4704-4707. (b) N. Mézailles, L. Ricard and F. Gagosz, Phosphine Gold(I) Bis-(trifluoromethanesulfonyl)imidate Complexes as New Highly Efficient and Air-Stable Catalysts for the Cycloisomerization of Enynes, *Org. Lett.*, 2005, **7**, 4133-4136. (c) A. Homs, I. Escofet and A. M. Echavarren, On the Silver Effect and the Formation of Chloride-bridged Digold Complexes, *Org. Lett.*, 2013, **15**, 5782-5785.

[2] J. A. Gartman and U. K. Tambar, Total Synthesis of (+)-Rubellin C., *Org. Lett.*, 2020, **22**, 9145-9150.

[3] Q.-Q. Yang and W.-J. Xiao, Catalytic Asymmetric Synthesis of Chiral Dihydrobenzofurans through a Formal [4+1] Annulation Reaction of Sulfur Ylides and In Situ Generated ortho-Quinone Methides, *Eur. J. Org. Chem.,* 2017, 233-236.

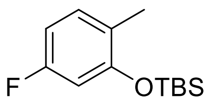
Synthesis of *o*-propargyl phenols 6a-q



General procedure for 2c-e

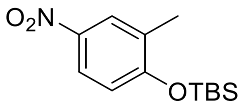
To a Schlenk vial, the corresponding *o*-cresol **1c-e** (1 equiv.) was added to a solution of TBSCl (1.1 equiv.), DMAP (10 mol%) and imidazole (1.6 equiv.) in CH_2Cl_2 (0.5M). The solution was stirred at room temperature for 1 hour and the reaction was monitored by TLC. After complete consumption of the starting material, the reaction was quenched with HCl (0.2 M) and extracted with CH_2Cl_2. The combined organic layers were dried over anhydrous Na_2SO_4, filtered, and concentrated under reduced pressure. The crude was purified by flash column chromatography to yield the corresponding tert-butyldimethyl(*o*-tolyloxy)silane **2c-e**.

***Tert*-butyl(5-fluoro-2-methylphenoxy)dimethylsilane (2c)**



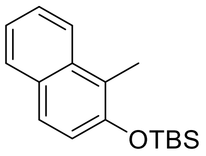
The general procedure was followed using 5-fluoro-2-methylphenol **1c** (1 g, 7.93 mmol), TBSCl (1.314 g, 8.72 mmol), DMAP (96.51 mg, 0.79 mmol), imidazole (864 mg 12.69 mmol) and 15 ml of CH_2Cl_2. Purification of the crude by flash chromatography (SiO_2, Hex) yielded **2c** (1.840 g, 96%), as a sticky liquid. ^1H NMR (400 MHz, $CDCl_3$): 7.07 (t, *J* = 7.5 Hz, 1H), 6.60 (td, *J* = 8.4, 2.6 Hz, 1H), 6.52 (dd, *J* = 10.4, 2.6 Hz, 1H), 2.18 (s, 3H), 1.04 (s, 9H), 0.25 (s, 6H). ^{13}C NMR (101 MHz, $CDCl_3$): 161.43 (d, *J* = 242.7 Hz, C), 154.54 (d, *J* = 10.6 Hz, C), 130.99 (d, *J* = 9.8 Hz, CH), 124.52 (d, *J* = 3.3 Hz), 107.46 (d, *J* = 20.7 Hz, CH), 106.09 (d, *J* = 22.8 Hz, CH), 25.66 (CH_3), 18.23 (C), 16.21 (CH_3), 4.28 (CH_3). ESI(-)-MS: m/z (%) = 241 (80) $[M + H]^+$, $C_{22}H_{28}OSi$ [240.39]: calcd for C, 64.95; H, 8.81; found C, 65.01; H, 8.84.

***Tert*-butyldimethyl(2-methyl-4-nitrophenoxy)silane (2d)**



The general procedure was followed using 2-methyl-4-nitrophenol **1d** (2.0 g, 9.8 mmol), TBSCl (1.61 g, 10.72 mmol), DMAP (119 mg, 0.98 mmol), imidazole (1.06 g 15.68 mmol) and 20 ml of CH_2Cl_2. Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 99:1 → 95:5) yielded **2d** (2.48 g, 95%), as a pale yellow solid. ^1H NMR (300 MHz, $CDCl_3$): 8.06 (dd, *J* = 2.9, 0.9 Hz, 1H), 7.98 (dd, *J* = 8.9, 3.0 Hz, 1H), 6.80 (d, *J* = 8.9 Hz, 1H), 2.26 (s, 3H), 1.02 (s, 9H), 0.28 (s, 6H). ^{13}C NMR (75 MHz, $CDCl_3$): 159.90 (C), 141.45 (C), 130.15 (C), 126.54 (CH), 123.11 (CH), 117.84 (CH), 25.58 (CH_3), 18.27 (C), 16.89 (CH_3), -4.21 (CH_3). ESI(+)-MS: m/z (%) = 268 (100) $[M + H]^+$, $C_{13}H_{21}NO_3Si$ [267.4]: calcd for C, 58.39; H, 7.92; N, 5.24; found C, 58.47; H, 7.91; N, 5.22.

***Tert*-butyldimethyl((1-methylnaphthalen-2-yl)oxy)silane (2e)**

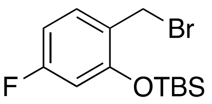


The general procedure was followed using 3-methylnaphthalen-2-ol **1e** (2 g, 12,7 mmol), TBSCl (2.106 g, 13.9 mmol), DMAP (155 mg, 1.27 mmol), imidazole (1.383 g, 20.32 mmol) and 24 ml CH_2Cl_2. Purifications of the crude by flash chromatography (SiO_2, Hex) yielded **2e** (3.099 g, 90%) as orange/yellow oil. ^{1}H NMR (300 MHz, $CDCl_3$): 7.94 (d, *J* = 8.6 Hz, 1H), 7.78 (d, *J* = 8.1 Hz, 1H), 7.61 (d, *J* = 8.9 Hz, 1H), 7.49 (ddd, *J* = 8.4, 6.8, 1.4 Hz, 1H), 7.36 (ddd, *J* = 8.0, 6.8, 1.1 Hz, 1H), 7.09 (d, J = 8.8 Hz, 1H), 2.55 (s, 3H), 1.08 (s, 9H), 0.25 (s, 6H). ^{13}C NMR (75 MHz, $CDCl_3$): 150.54 (C), 134.08 (C), 129.39 (C), 128.27 (CH), 126.72 (CH), 125.89 (CH), 123.56 (CH), 123.29 (CH), 121.16 (C), 121.05 (CH), 25.87 (CH_3), 18.36 (C), 11.59 (CH_3), -4.02 (CH_3). ESI(+)-MS: m/z (%) = 273 (100) $[M + H]^+$, $C_{17}H_{24}OSi$ [272.4]: calcd for C, 74.94; H, 8.88; found C, 75.16; H, 8.91.

General procedure for 3c-e

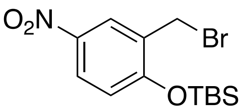
A benzene solution (0.15-0.18 M) of *tert*-butyldimethyl(o-tolyloxy)silane **2c-e** (1 equiv.), NBS (1 equiv.) and AIBN (0.06 mol%) was refluxed for 12 hours. After cooling to room temperature, the mixture was partitioned between ether-water. The aqueous phase was extracted with additional ether. The combined organic layers were dried over Na_2SO_4, filtered, and concentrated under reduced pressure. The crude was purified by flash column chromatography to yield the corresponding (2-(bromomethyl)phenoxy)(*tert*-butyl)dimethylsilane **3c-e**.

(2-(bromomethyl)-5-fluorophenoxy)(*tert*-butyl)dimethylsilane (3c)



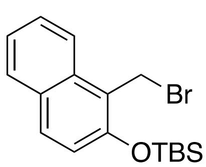
The general procedure was followed using *tert*-butyl(5-fluoro-2-methylphenoxy)dimethylsilane **2c** (1.6 g, 6.7 mmol), NBS (1.19 g, 6.7 mmol), AIBN (13 mg, 0.08 mmol) and 37 ml benzene. Purification of the crude by flash chromatography (SiO_2, Hex) yielded **3c** (1.861 g, 84%), as a sticky liquid. ^{1}H NMR (400 MHz, $CDCl_3$): 7.29 (m, 1H), 6.67 (td, J = 8.3, 2.5 Hz, 1H), 6.56 (dd, J = 10.4, 2.5 Hz, 1H), 4.51 (s, 2H), 1.08 (s, 9H), 0.33 (s, 6H). ^{13}C NMR (101 MHz, $CDCl_3$): 163.34 (d, *J* = 247 Hz, C), 155.07 (d, *J* = 10.9 Hz, C), 131.96 (d, *J* = 10.5 Hz, CH), 124.57 (d, *J* = 3.3 Hz, C), 108.27 (d, *J* = 21.6 Hz, CH), 106.25 (d, *J* = 23.4 Hz, CH), 28.66 (CH_2), 25.72 (CH_3), 18.27 (C), 16.21 (CH_3), 4.21 (CH_3). ESI(+)-MS: m/z (%) = 241 (100) $[M + H]^+$, $C_{17}H_{24}OSi$ [240.39]: calcd for C, 64.95; H, 8.81; found C, 64.78; H, 8.82.

(2-(bromomethyl)-4-nitrophenoxy)(*tert*-butyl)dimethylsilane (3d)



General procedure was followed using: *tert*-butyldimethyl(2-methyl-4-nitrophenoxy)silane **2d** (2.0 g, 7.5 mmol), NBS (1325 mg 7.5 mmol), AIBN (16 mg, 0.1 mmol) and 50 ml benzene. Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 99:1 → 95:5) yielded **3d** (2.145 g, 85%), as a sticky liquid. ^{1}H NMR (400 MHz, $CDCl_3$): 8.29 (d, *J* = 2.9 Hz, 1H), 8.13 (dd, *J* = 9.0 Hz, 1H), 7.28 (s, 1H), 6.90 (d, *J* = 9.0 Hz, 1H), 4.52 (s, 2H), 1.09 (s, 9H), 0.38 (s, 6H). ^{13}C NMR (101 MHz, $CDCl_3$): 159.57 (C), 141.45 (C), 129.55 (C), 126.94 (CH), 125.75 (CH), 118.33 (CH), 27.10 (CH_2), 25.65 (CH3), 18.34 (C), -4.12 (CH_3). ESI(+)-MS: m/z (%) = 348 (90) $[M + 2H]^+$, $C_{13}H_{20}BrNO_3Si$ [346.3] calcd for C, 45.09; H, 5.82; N, 4.04; found C, 45.24; H, 5.80; N, 4.05.

((1-(bromomethyl)naphthalen-2-yl)oxy)(*tert*-butyl)dimethylsilane (3e)

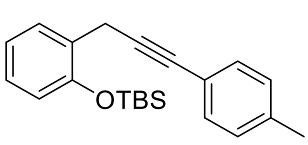


General procedure was followed using: *tert*-butyldimethyl((1-methylnaphthalen-2-yl)oxy)silane **2e** (2.0 g, 7.34 mmol), NBS (1.306 g, 7.34 mmol), AIBN (8 mg, 0.05 mmol) and 41 ml benzene. Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 98:2) yielded **3e** (1.610 g, 63%), as a yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$): 8.06 (d, *J* = 8.5 Hz, 1H), 7.82 (d, *J* = 8.2 Hz, 1H), 7.76 (d, *J* = 8.9 Hz, 1H), 7.61 (m, *J* = 19.34 Hz, 1H), 7.42 (m, *J* = 19.03 Hz, 1H), 7.10 (d, *J* = 8.9 Hz, 1H), 5.08 (s, 2H), 1.14 (s, 9H), 0.37 (s, 6H). ^{13}C NMR (101 MHz, $CDCl_3$): 151.83 (C), 132.63 (C), 130.55 (CH), 129.44 (C), 128.55 (CH), 127.03 (CH), 123.99 (CH), 122.96 (CH), 120.30 (C), 120.12 (CH), 25.89 (CH_2), 25.87 (CH_3), 18.40 (C), -3.81 (CH_3). ESI(+)-MS: m/z (%) = 352 (100) $[M + H]^+$, $C_{17}H_{23}BrOSi$ [351,36]: calcd for C, 58.11; H, 6.60; found C, 57.95; H, 6.57.

General procedure for 5a-p

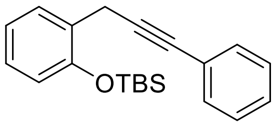
In a Schlenk vial, BuLi (1.2 equiv) in Hex (2.5 M) was added to a solution of alkyne **4a-l** (1.2 equiv.) in THF (0.25 M) at -78 °C. After 30 min at – 78 °C, a solution of $ZnBr_2$ (1.2 equiv.) in THF (1.2 M) was added and stirred for 10 min, then the reaction was warmed to 0°C over 20 minutes and successively at room temperature. (2-(bromomethyl)phenoxy)(*tert*-butyl)dimethylsilane **3a-e** (1 equiv) and Pd(dppf)Cl_2 (1 mol%) were added. The reaction was heated at 70°C for 18 hours. The reaction mixture was quenched with HCl (1 M), extracted with diethyl ether, washed with aqueous $NaHCO_3$, dried over Na_2SO_4, filtered, and concentrated under reduced pressure. The crude was purified by flash column chromatography to yield the corresponding *tert*-butyldimethyl(2-(3-phenylprop-2-yn-1-yl)phenoxy)silane **5a-p**. The products were directly used for the next step without further characterization.

***Tert*-butyldimethyl(2-(3-(*p*-tolyl)prop-2-yn-1-yl)phenoxy)silane (5a)**



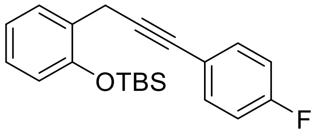
The general procedure was followed using: (2-(bromomethyl)phenoxy)(*tert*-butyl)dimethylsilane **3a** (640 mg, 2.13 mmol), 1-ethynyl-4-methylbenzene **4a** (296 mg, 2.55 mmol), BuLi in Hex 2.5 M (1.05 ml, 2.55 mmol), Pd(dppf)Cl_2 (14 mg, 0.02 mmol), $ZnBr_2$ (574 mg, 2.55 mmol) and 8.5 mL of THF. Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 99:1) yielded **5a** (652 mg, 91%), as a brown/yellow oil. ^{1}H NMR (300 MHz, $CDCl_3$): 7.58 (ddd, *J* = 7.5, 1.4, 0.7 Hz, 1H), 7.38 – 7.32 (m, 2H), 7.20 – 7.06 (m, 3H), 6.97 (td, *J* = 7.5, 1.3 Hz, 1H), 6.81 (dd, *J* = 8.0, 1.2 Hz, 1H), 3.78 (s, 2H), 2.35 (s, 3H), 1.05 (s, 9H), 0.27 (s, 6H).

***Tert*-butyldimethyl(2-(3-phenylprop-2-yn-1-yl)phenoxy)silane (5b)**



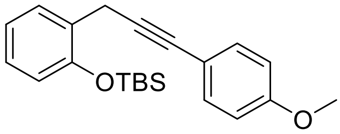
General procedure was followed using (2-(bromomethyl)phenoxy)(*tert*-butyl)dimethylsilane **3a** (700 mg, 2.37 mmol), ethynylbenzene **4b** (286 mg, 2.8 mmol), BuLi in Hex 2.5 M (1.15 ml, 2.8 mmol), Pd(dppf)Cl_2 (17 mg, 0.023 mmol), $ZnBr_2$ (630 mg, 2.8 mmol) and 9.3 mL of THF. Purification of the crude by flash chromatography (SiO_2, Hex) yielded **5b** (627 mg, 82%), as a brown/yellow oil. ^{1}H NMR (300 MHz, $CDCl_3$): 7.61 – 7.55 (m, 1H), 7.49 – 7.43 (m, 2H), 7.32 – 7.28 (m, 3H), 7.19 – 7.11 (m, 1H), 6.98 (td, *J* = 7.5, 1.2 Hz, 1H), 6.81 (dd, *J* = 8.0, 1.1 Hz, 1H), 3.79 (s, 2H), 1.05 (s, 9H), 0.27 (s, 6H).

Tert-butyl(2-(3-(4-fluorophenyl)prop-2-yn-1-yl)phenoxy)dimethylsilane (5c)



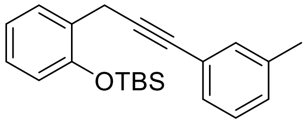
The general procedure was followed using (2-(bromomethyl)phenoxy)(*tert*-butyl)dimethylsilane **3a** (800 mg, 2.7 mmol), 1-ethynyl-4-fluorobenzene **4c** (389 mg, 3.24 mmol), BuLi in Hex 2.5M (1.29 ml, 3.24 mmol), Pd(dppf)Cl_2 (22 mg, 0.03 mmol), $ZnBr_2$ (729 mg, 3.24 mmol) and 13 mL of THF. Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 98:2) yielded **5c** (510.8 mg, 75%), as a brown/yellow oil. ^{1}H NMR (300 MHz, $CDCl_3$): 7.55 – 7.51 (m, 1H), 7.45 – 7.38 (m, 2H), 7.15 (ddd, *J* = 8.0, 7.4, 1.8 Hz, 1H), 7.02 – 6.93 (m, 3H), 6.80 (dd, *J* = 8.0, 1.2 Hz, 1H), 3.76 (s, 2H), 1.03 (s, 9H), 0.26 (s, 6H).

Tert-butyl(2-(3-(4-methoxyphenyl)prop-2-yn-1-yl)phenoxy)dimethylsilane (5d)



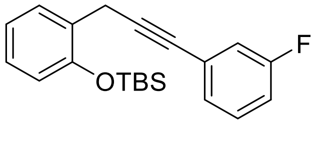
General procedure was followed using (2-(bromomethyl)phenoxy)(*tert*-butyl)dimethylsilane **3a** (600 mg, 2 mmol), 1-ethynyl-4-methoxybenzene **4d** (316 mg, 2.4 mmol), BuLi in Hex 2.5M (0.98 ml, 2.4 mmol), Pd(dppf)Cl_2 (15 mg, 0.02 mmol), $ZnBr_2$ (540 mg, 2.4 mmol) and 8 mL of THF. Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 99:1) yielded **5d** (493.5 mg, 70%), as a brown/yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$): 7.62 – 7.57 (m, 1H), 7.44 – 7.38 (m, 2H), 7.20 – 7.13 (m, 1H), 7.00 (td, *J* = 7.5, 1.2 Hz, 1H), 6.89 – 6.81 (m, 3H), 3.83 (s, 3H), 3.80 (s, 2H), 1.07 (s, 9H), 0.29 (s, 6H).

Tert-butyldimethyl(2-(3-(_m_-tolyl)prop-2-yn-1-yl)phenoxy)silane (5e)



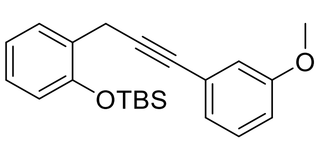
General procedure was followed using (2-(bromomethyl)phenoxy)(*tert*-butyl)dimethylsilane **3a** (600 mg, 2 mmol), 1-ethynyl-3-methylbenzene **4e** (278 mg, 2.4 mmol), BuLi in Hex 2.5M (0.98ml, 2.4 mmol), Pd(dppf)Cl_2 (16 mg, 0.02 mmol), $ZnBr_2$ (540 mg, 2.4 mmol) and 8 mL of THF. Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 98:2) yielded **5e** (585.6 mg, 87%), as a brown/yellow oil. ^{1}H NMR (300 MHz, $CDCl_3$): 7.60 – 7.53 (m, 1H), 7.30 – 7.23 (m, 2H), 7.21 – 7.07 (m, 3H), 6.97 (td, *J* = 7.5, 1.2 Hz, 1H), 6.80 (dd, *J* = 8.0, 1.2 Hz, 1H), 3.77 (s, 2H), 2.32 (s, 3H), 1.03 (s, 9H), 0.26 (s, 6H)

Tert-butyl(2-(3-(3-fluorophenyl)prop-2-yn-1-yl)phenoxy)dimethylsilane (5f)



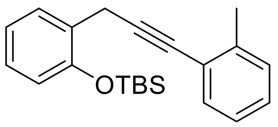
General procedure was followed using (2-(bromomethyl)phenoxy)(*tert*-butyl)dimethylsilane **3a** (889 mg, 2.95 mmol), 1-ethynyl-3-fluorobenzene **4f** (425 mg, 3.54 mmol), BuLi in Hex 2.5M (1.41 ml, 3.54 mmol), Pd(dppf)Cl_2 (22 mg, 0.03 mmol), $ZnBr_2$ (796 mg, 3.54 mmol) and 12 mL of THF. Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 99:1) yielded **5f** (876 mg, 92%), as a brown/yellow oil. ^{1}H NMR (300 MHz, $CDCl_3$): 7.53 (ddd, *J* = 7.5, 1.8, 0.9 Hz, 1H), 7.30 – 7.21 (m, 2H), 7.18 – 7.11 (m, 2H), 6.99 (tdt, *J* = 7.5, 5.8, 1.4 Hz, 2H), 6.82 (dd, *J* = 8.0, 1.1 Hz, 1H), 3.79 (s, 2H), 1.05 (s, 9H), 0.27 (s, 6H).

Tert-butyl(2-(3-(3-methoxyphenyl)prop-2-yn-1-yl)phenoxy)dimethylsilane (5g)



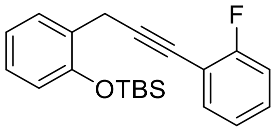
General procedure was followed using (2-(bromomethyl)phenoxy)(*tert*-butyl)dimethylsilane **3a** (600 mg, 2 mmol), 1-ethynyl-3-methoxybenzene **4g** (316 mg, 2.4 mmol), BuLi in Hex 2.5M (0.98 ml, 2.4 mmol), Pd(dppf)Cl_2 (14 mg, 0.02 mmol), $ZnBr_2$ (540 mg, 2.4 mmol) and 8 mL of THF. Purification of the crude by flash chromatography (SiO_2, Hex) yielded **5g** (472 mg, 67%), as a brown/yellow oil. ^{1}H NMR (300 MHz, $CDCl_3$): 7.55 (dd, *J* = 7.5, 1.8 Hz, 1H), 7.24 – 7.17 (m, 1H), 7.17 – 7.09 (m, 1H), 7.04 (dt, *J* = 7.6, 1.1 Hz, 1H), 7.01 – 6.93 (m, 2H), 6.85 (ddd, *J* = 8.4, 2.7, 0.9 Hz, 1H), 6.80 (dd, *J* = 8.1, 1.2 Hz, 1H), 3.80 (s, 3H), 3.78 (s, 2H), 1.04 (s, 9H), 0.26 (s, 6H).

***Tert*-butyldimethyl(2-(3-(*o*-tolyl)prop-2-yn-1-yl)phenoxy)silane (5h)**



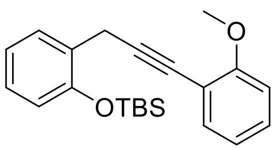
General procedure was followed using (2-(bromomethyl)phenoxy)(*tert*-butyl)dimethylsilane **3a** (700 mg, 2.33 mmol), 1-ethynyl-2-methylbenzene **4h** (327 mg, 2.8 mmol), BuLi in Hex 2.5M (1.15ml, 2.8 mmol), Pd(dppf)Cl$_2$ (17 mg, 0.023 mmol), ZnBr$_2$ (630 mg, 2.8 mmol) and 9.33 mL of THF. Purification of the crude by flash chromatography (SiO$_2$, Hex/EtOAc 99:1) yielded **6h** (596 mg, 76%), as a brown/yellow oil. ^{1}H NMR (300 MHz, CDCl$_3$): 7.62 (ddt, *J* = 7.6, 1.8, 0.9 Hz, 1H), 7.44 (dd, *J* = 7.2, 1.3 Hz, 1H), 7.23 – 7.09 (m, 4H), 6.98 (td, *J* = 7.5, 1.2 Hz, 1H), 6.82 (dd, *J* = 8.0, 1.2 Hz, 1H), 3.85 (s, 2H), 2.47 (s, 3H), 1.05 (s, 9H), 0.27 (s, 6H).

***Tert*-butyl(2-(3-(2-fluorophenyl)prop-2-yn-1-yl)phenoxy)dimethylsilane (5i)**



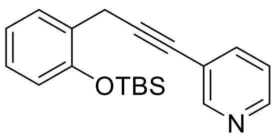
General procedure was followed using (2-(bromomethyl)phenoxy)(*tert*-butyl)dimethylsilane **3a** (700 mg, 2.33 mmol), 1-ethynyl-2-fluorobenzene **4i** (338 mg, 2.8 mmol), BuLi in Hex 2.5M (1.15 ml, 2.8 mmol), Pd(dppf)Cl$_2$ (17 mg, 0.023 mmol), ZnBr$_2$ (630 mg, 2.8 mmol) and 9.33 mL of THF. Purification of the crude by flash chromatography (SiO$_2$, Hex/EtOAc 99:1) yielded **5i** (531 mg, 67%), as a brown/yellow oil. ^{1}H NMR (300 MHz, CDCl$_3$): 7.62 – 7.57 (m, 1H), 7.44 (td, *J* = 7.6, 2.0 Hz, 1H), 7.26 (s, 2H), 7.18 – 7.03 (m, 2H), 6.97 (td, *J* = 7.5, 1.3 Hz, 1H), 6.80 (dd, *J* = 8.0, 1.3 Hz, 1H), 3.83 (s, 2H), 1.03 (s, 9H), 0.26 (s, 6H).

***Tert*-butyl(2-(3-(2-methoxyphenyl)prop-2-yn-1-yl)phenoxy)dimethylsilane (5j)**



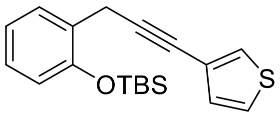
General procedure was followed using (2-(bromomethyl)phenoxy)(*tert*-butyl)dimethylsilane **3a** (700 mg, 2.32 mmol), 1-ethynyl-2-methoxybenzene **4j** (367 mg, 2.78 mmol), BuLi in Hex 2.5M (1.11 ml, 2.78 mmol), Pd(dppf)Cl$_2$ (17 mg, 0.023 mmol), ZnBr$_2$ (625 mg, 2.78 mmol) and 9 mL of THF. Purification of the crude by flash chromatography (SiO$_2$, Hex) yielded **5j** (466 mg, 57%), as a brown/yellow oil. ^{1}H NMR (300 MHz, CDCl$_3$): 7.68 (m, 1H), 7.43 (dd, *J* = 7.4, 1.8 Hz, 1H), 7.31 – 7.22 (m, 1H), 7.17 – 7.09 (m, 1H), 7.00 – 6.93 (m, 1H), 6.93 – 6.85 (m, 2H), 6.79 (dd, *J* = 8.1, 1.2 Hz, 1H), 3.90 (s, 3H), 3.85 (s, 2H), 1.03 (s, 9H), 0.25 (s, 6H).

4-(3-(2-((*tert*-butyldimethylsilyl)oxy)phenyl)prop-1-yn-1-yl)pyridine (5k)



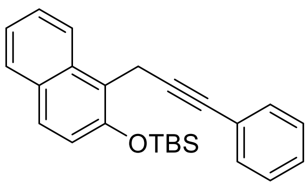
General procedure was followed using (2-(bromomethyl)phenoxy)(*tert*-butyl)dimethylsilane **3a** (1 g, 3.32 mmol), 3-ethynylpyridine **4k** (410 mg, 3.98 mmol), BuLi in Hex 2.5M (1.59 ml, 3.98 mmol), Pd(dppf)Cl$_2$ (29 mg, 0.04 mmol), ZnBr$_2$ (895 mg, 3.98 mmol) and 13 mL of THF. Purification of the crude by flash chromatography (SiO$_2$, Hex) yielded **5k** (644 mg, 50%), as a brown/yellow oil. ^{1}H NMR (300 MHz, CDCl$_3$: 8.70 (bs, 1H), 8.52 (bs, 1H), 7.74 (d, *J* = 7.9 Hz, 1H), 7.54 – 7.47 (m, 1H), 7.30 – 7.22 (m, 1H), 7.20 – 7.12 (m, 1)H), 6.98 (dd, *J* = 7.5, 1.2 Hz, 1H), 6.82 (dd, *J* = 8.0, 1.2 Hz, 1H), 3.80 (s, 2H), 1.04 (s, 7H), 0.26 (s, 6H).

***Tert*-butyldimethyl(2-(3-(thiophen-3-yl)prop-2-yn-1-yl)phenoxy)silane (5l)**



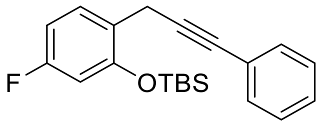
The general procedure was followed using (2-(bromomethyl)phenoxy)(*tert*-butyl)dimethylsilane **3a** (700 mg, 2.33 mmol), 3-ethynylthiophene **4l** (302 mg, 2.8 mmol), BuLi in Hex 2.5M (1.15 ml, 2.8 mmol), Pd(dppf)Cl$_2$ (15 mg, 0.023 mmol), ZnBr$_2$ (540 mg, 2.8 mmol) and 9.33 mL of THF. Purification of the crude by flash chromatography (SiO_2, Hex) yielded **5l** (354 mg, 71%), as a brown/yellow oil. ^{1}H NMR (300 MHz, $CDCl_3$): 7.56 – 7.51 (m, 1H), 7.39 (dd, *J* = 3.0, 1.2 Hz, 1H), 7.30 – 7.22 (m, 1H), 7.19 – 7.09 (m, 2H), 6.96 (td, *J* = 7.5, 1.3 Hz, 1H), 6.80 (dd, *J* = 8.1, 1.2 Hz, 1H), 3.75 (s, 2H), 1.03 (s, 9H), 0.25 (s, 6H).

***Tert*-butyldimethyl((1-(3-phenylprop-2-yn-1-yl)naphthalen-2-yl)oxy)silane (5m)**



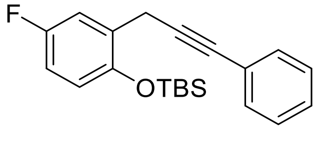
The general procedure was followed using ((1-(bromomethyl)naphthalen-2-yl)oxy)(*tert*-butyl)dimethylsilane **3e** (700 mg, 1.99 mmol), ethynylbenzene **4b** (244 mg, 2.38 mmol), BuLi in Hex 2.5M (0.95ml, 2.38 mmol), Pd(dppf)Cl_2 (14 mg, 0.019 mmol), $ZnBr_2$ (536 mg, 2.38 mmol) and 7.96 mL of THF. Purification of the crude by flash chromatography (SiO_2, Hex) yielded **5m** (339 mg, 46%), as a brown/yellow sticky solid. The product **5m** was isolated together with some inseparable impurities. The picks are referred only to **5m**. ^{1}H NMR (300 MHz, $CDCl_3$): 8.21 – 8.14 (m, 1H), 7.83 – 7.77 (m, 1H), 7.69 (d, *J* = 8.9 Hz, 1H), 7.55 (ddd, *J* = 8.4, 6.8, 1.4 Hz, 1H), 7.37 – 7.29 (m, 3H), 7.25 – 7.20 (m, 3H), 7.14 (d, *J* = 4.0 Hz, 1H), 4.17 (s, 2H), 1.11 (s, 9H), 0.32 (s, 6H).

***Tert*-butyl(5-fluoro-2-(3-phenylprop-2-yn-1-yl)phenoxy)dimethylsilane (5n)**



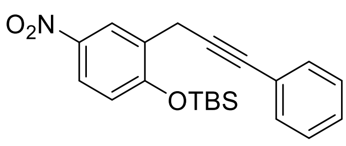
General procedure was followed using (2-(bromomethyl)-4-fluorophenoxy)(*tert*-butyl)dimethylsilane **3c** (700 mg, 2.2 mmol), ethynylbenzene **4b** (269 mg, 2.64 mmol), BuLi in Hex 2.5M (1.08 ml, 2.64 mmol), Pd(dppf)Cl_2 (14 mg, 0.022 mmol), $ZnBr_2$ (594 mg, 2.64 mmol) and 8.6 mL of THF. Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc) yielded **5n** (535 mg, 71%), as a yellow oil. ^{1}H NMR (300 MHz, $CDCl_3$): 7.53 – 7.39 (m, 3H), 7.33 – 7.27 (m, 3H), 6.68 (td, *J* = 8.4, 2.6 Hz, 1H), 6.53 (dd, *J* = 10.3, 2.5 Hz, 1H), 3.71 (s, 2H), 1.03 (s, 9H), 0.27 (s, 6H).

***Tert*-butyl(4-fluoro-2-(3-phenylprop-2-yn-1-yl)phenoxy)dimethylsilane (5o)**



The general procedure was followed using (2-(bromomethyl)-4-fluorophenoxy)(*tert*-butyl)dimethylsilane **3b** (700 mg, 2.19 mmol), ethynylbenzene **4b** (269 mg, 2.63 mmol), BuLi in Hex 2.5M (1.05 ml, 2.63 mmol), Pd(dppf)Cl_2 (16.09 mg, 0.022 mmol), $ZnBr_2$ (592 mg, 2.63 mmol) and 8.57 mL of THF. Purification of the crude by flash chromatography (SiO_2, Hex) yielded **S3o** (641 mg, 86%), as a yellow oil. ^{1}H NMR (300 MHz, $CDCl_3$): 7.49 – 7.45 (m, 2H), 7.34 – 7.29 (m, 4H), 6.87 – 6.79 (m, 1H), 6.73 (dd, *J* = 8.8, 4.8 Hz, 1H), 3.76 (s, 2H), 1.04 (s, 9H), 0.25 (s, 6H).

***Tert*-butyldimethyl(4-nitro-2-(3-phenylprop-2-yn-1-yl)phenoxy)silane (5p)**

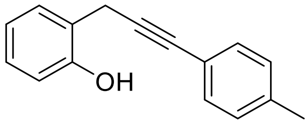


The general procedure was followed using (2-(bromomethyl)-4-nitrophenoxy)(*tert*-butyl)dimethylsilane **3d** (1.5 g, 4.33 mmol), ethynylbenzene **4b** (531 mg, 5.2 mmol), BuLi in Hex 2.5M (2.08 ml, 5.2 mmol), Pd(dppf)Cl_2 (29 mg, 0.04 mmol), $ZnBr_2$ (1.17 g, 5.2 mmol) and 17.33 mL of THF. Purification of the crude by flash chromatography (SiO_2, Hex) yielded **5p** (981 mg, 60%), as a yellow oil. ^{1}H NMR (300 MHz, $CDCl_3$): 8.51 (dd, *J* = 2.9, 0.8 Hz, 1H), 8.08 (dd, *J* = 8.8, 2.9 Hz, 1H), 7.50 – 7.45 (m, 2H), 7.34 – 7.30 (m, 3H), 6.85 (d, *J* = 8.9 Hz, 1H), 3.80 (s, 2H), 1.05 (s, 9H), 0.32 (s, 6H).

Synthesis of 2-propargylphenols (6a-p)

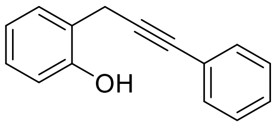
General Procedure: To a solution of *tert*-butyldimethyl(2-(3-phenylprop-2-yn-1-yl)phenoxy)silane **5a-p** (1 equiv.) in THF (0.33 M), TBAF 1 M in THF (1 equiv.) was added. The reaction was stirred for 1.5 hours at room temperature. Then it was quenched with saturated aqueous NH_4Cl solution and extracted with EtOAc. The combined organic layers were dried over Na_2SO_4, filtered, and concentrated under reduced pressure. The crude was purified by flash column chromatography to yield the corresponding 2-propargylphenols **6a-p**.

2-(3-(*p*-tolyl)prop-2-yn-1-yl)phenol (6a)



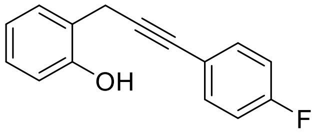
The general procedure was followed using *tert*-butyldimethyl(2-(3-(*p*-tolyl)prop-2-yn-1-yl)phenoxy)silane **5a** (525 mg, 1.63 mmol), TBAF (1.63 ml, 1.63 mmol) and 4.93 ml of THF. Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 9:1) yielded **6a** (336 mg, 93%), as a brown solid. ^1H NMR (300 MHz, $CDCl_3$): 7.36 (t, *J* = 8.2 Hz, 3H), 7.20 – 7.13 (m, 1H), 7.11 (d, *J* = 7.9 Hz, 2H), 6.97 – 6.89 (m, 1H), 6.84 (d, *J* = 8.0 Hz, 1H), 5.44 (bs, 1H), 3.80 (s, 2H), 2.34 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): 153.86 (C), 138.17 (C), 131.55 (CH), 129.54 (CH), 129.03 (CH), 128.21 (CH), 122.81 (C), 120.93 (CH), 120.00 (C), 115.85 (CH), 85.34 (C), 83.72 (C), 21.45 (CH_3), 21.02 (CH_2). ESI(-)-MS: m/z (%) = 221 (85) $[M - H]^-$, $C_{16}H_{14}O$ [222.29]: calcd for C, 86.45; H, 6.35; found C, 86.37; H, 6.33.

2-(3-phenylprop-2-yn-1-yl)phenol (6b)



General procedure was followed using *Tert*-butyldimethyl(2-(3-phenylprop-2-yn-1-yl)phenoxy)silane **5b** (492 mg, 1.53 mmol), TBAF (1.53 ml, 1.53 mmol) and 4.5 ml of THF. Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 9:1) yielded **6b** (279 mg, 82%), as a brown solid. ^1H NMR (300 MHz, $CDCl_3$): 7.49 – 7.43 (m, 2H), 7.42 – 7.37 (m, 1H), 7.33 – 7.28 (m, 3H), 7.21 – 7.14 (m, 1H), 6.95 (td, *J* = 7.5, 1.2 Hz, 1H), 6.86 – 6.82 (m, 1H), 5.45 (bs, 1H), 3.82 (s, 2H). ^{13}C NMR (75 MHz, $CDCl_3$): 153.74 (C), 131.69 (CH), 129.57 (CH), 128.29 (CH), 128.25 (CH), 128.10 (CH), 123.14 (C), 122.75 (C), 121.01 (CH), 115.82 (CH), 86.22 (C), 83.57 (C), 20.94 (CH_2). Data are in agreement with those reported in the literature.[4]

2-(3-(4-fluorophenyl)prop-2-yn-1-yl)phenol (6c)



The general procedure was followed using *Tert*-butyl(2-(3-(4-fluorophenyl)prop-2-yn-1-yl)phenoxy)dimethylsilane **5c** (507 mg, 1.49 mmol), TBAF (1.49 ml, 1.49 mmol) and 4.5 ml of THF. Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 9:1) yielded **6c** (260 mg, 75%), as a brown solid. ^1H NMR (400 MHz, $CDCl_3$): 7.48 – 7.38 (m, 3H), 7.20 (td, *J* = 7.7, 1.7 Hz, 1H), 7.06 – 6.94 (m, 3H), 6.86 (dd, *J* = 8.0, 1.2 Hz, 1H), 5.42 (bs, 1H), 3.83 (s, 2H). ^{13}C NMR (101 MHz, $CDCl_3$): 162.38 (d, *J* = 249.1 Hz, C), 153.66 (C), 133.54 (d, *J* = 8.3 Hz, CH), 129.57 (CH), 128.29 (CH), 122.72 (C), 121.05 (C), 119.29 (d, *J* = 3.4 Hz, C), 115.78 (CH), 115.53 (d, *J* = 21.9 Hz, CH), 86.04 (d, *J* = 1.6 Hz, C), 82.39 (C), 20.80 (CH_2). ESI(+)-MS: m/z (%) = 227 (100) $[M + H]^+$, $C_{15}H_{11}FO$ [226.25]: calcd for C, 79.63; H, 4.90; found C, 79.47; H, 4.89.

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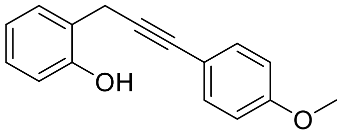
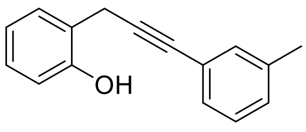
[4] J. Peng, X. Huang, P.-F. Zheng and Y.-C. Chen, Stereoselective Synthesis of Five-Membered Spirooxindoles through Tomita Zipper Cyclization, *Org. Lett.*, 2013, **15**, 5534-5537.

2-(3-(4-methoxyphenyl)prop-2-yn-1-yl)phenol (6d)



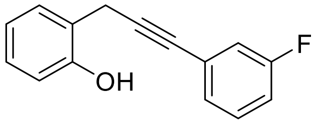
General procedure was followed using *Tert*-butyl(2-(3-(4-methoxyphenyl)prop-2-yn-1-yl)phenoxy)-dimethylsilane **5d** (367 mg 1.13mmol), TBAF (1.13 ml, 1.13mmol) and 3.39 ml of THF. Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 9:1) yielded **6d** (229 mg, 85%), as a brown solid. ^1H NMR (400 MHz, $CDCl_3$): 7.44 – 7.37 (m, 3H), 7.20 (ddd, *J* = 8.0, 7.4, 1.6 Hz, 1H), 6.96 (td, *J* = 7.5, 1.2 Hz, 1H), 6.89 – 6.84 (m, 3H), 5.63 (s, 1H), 3.83 (s, 3H), 3.83 (s, 2H). ^{13}C NMR (101 MHz, $CDCl_3$): 159.47 (C), 153.91 (C), 133.10 (CH), 129.58 (CH), 128.25 (CH), 122.92 (C), 120.97 (C), 115.92 (CH), 115.25 (CH), 113.95 (CH), 84.59 (C), 83.52 (C), 55.31 (CH_3), 21.07 (CH_2). ESI(-)-MS: m/z (%) = 237 (80) $[M - H]^-$, $C_{16}H_{14}O_2$ [238.1]: calcd for C, 80.65; H, 5.92; found C, 80.82; H, 5.94.

2-(3-(*m*-tolyl)prop-2-yn-1-yl)phenol (6e)



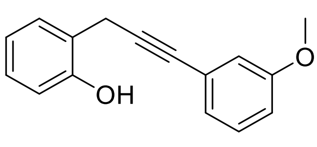
The general procedure was followed using *Ter*t-butyldimethyl(2-(3-(*m*-tolyl)prop-2-yn-1-yl)phenoxy)silane **6e** (600 mg, 1.78 mmol), TBAF (1.78 ml, 1.78 mmol) and 5.78 ml of THF. Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 9:1) yielded **6e** (385 mg, 97%), as a brown solid. ^1H NMR (300 MHz, $CDCl_3$): 1H NMR (300 MHz, $CDCl_3$): 7.40 – 7.36 (m, 1H), 7.30 – 7.09 (m, 5H), 6.94 (td, *J* = 7.5, 1.2 Hz, 1H), 6.85 (dd, *J* = 8.0, 1.2 Hz, 1H), 5.30 (bs, 1H), 3.81 (s, 2H). ^{13}C NMR (75 MHz, $CDCl_3$): 153.80 (C), 137.97 (C), 132.29 (CH), 129.55 (CH), 129.00 (CH), 128.73 (CH), 128.24 (CH), 128.19 (CH), 122.90 (C), 122.76 (C), 120.97 (CH), 115.87 (CH), 85.77 (C), 83.78 (C), 21.20 (CH_3), 20.99 (CH_2). ESI(-)-MS: m/z (%) = 221 (100) $[M - H]^-$, $C_{16}H_{14}O$ [222.29]: calcd for C, 86.45; H, 6.35; found C, 86.26; H, 6.38.

2-(3-(3-fluorophenyl)prop-2-yn-1-yl)phenol (6f)



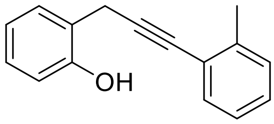
The general procedure was followed using *Tert*-butyl(2-(3-(3-fluorophenyl)prop-2-yn-1-yl)phenoxy)dimethylsilane **5f** (810 mg, 2.4 mmol), TBAF (2.4 ml, 2.4 mmol) and 7.44 ml of THF. Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 9:1) yielded **6f** (429 mg, 79%) as a yellow solid. ^1H NMR (300 MHz, $CDCl_3$): 7.44 – 7.37 (m, 1H), 7.31 – 7.11 (m, 4H), 7.06 – 6.91 (m, 2H), 6.83 (dd, *J* = 8.0, 1.2 Hz, 1H), 5.32 (bs, 1H), 3.81 (s, 2H). ^{13}C NMR (75 MHz, $CDCl_3$): 162.34 (d, *J* = 246.2 Hz, C), 153.49 (C), 129.82 (d, *J* = 8.7 Hz, CH), 129.56 (CH), 128.30 (CH), 127.57 (d, *J* = 3.0 Hz, CH), 125.10 (d, *J* = 9.5 Hz, C), 122.56 (C), 121.08 (CH), 118.51 (d, *J* = 22.7 Hz, CH), 115.57, 115.39 (d, *J* = 21.2 Hz, CH), 115.25 (CH), 87.59 (C), 82.19 (C), 20.70 (CH_2). ESI(+)-MS: m/z (%) = 227 (100) $[M + H]^+$, $C_{15}H_{11}FO$ [226.25]: calcd for C, 79.63; H, 4.90; found C, 79.47; H, 4.89.

2-(3-(3-methoxyphenyl)prop-2-yn-1-yl)phenol (6g)



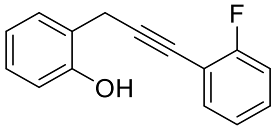
The general procedure was followed using *Tert*-butyl(2-(3-(3-methoxyphenyl)prop-2-yn-1-yl)phenoxy)dimethylsilane **5g** (468 mg, 1.33 mmol), TBAF (1.33 ml, 1.33 mmol) and 4 ml of THF. Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 8:1) yielded **6g** (280 mg, 89%) as a brown solid. ^1H NMR (300 MHz, $CDCl_3$): 7.43 – 7.37 (m, 1H), 7.27 – 7.14 (m, 2H), 7.06 (dt, *J* = 7.6, 1.2 Hz, 1H), 7.01 – 6.81 (m, 4H), 5.39 (bs, 1H), 3.82 (s, 2H), 3.80 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): 159.27 (C), 153.69 (C), 129.59 (CH), 129.37 (CH), 128.28 (CH), 124.28 (CH), 124.17 (C), 122.72 (C), 121.03 (CH), 116.51 (CH), 115.79 (CH), 114.73 (CH), 86.15 (C), 83.43 (C), 55.30 (CH_3), 20.89 (CH_2). ESI(-)-MS: m/z (%) = 237 (80) $[M - H]^-$, $C_{16}H_{14}O_2$ [238.1]: calcd for C, 80.65; H, 5.92; found C, 80.59; H, 5.93.

2-(3-(*o*-tolyl)prop-2-yn-1-yl)phenol (6h)



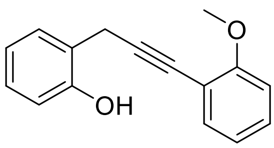
General procedure was followed using *Ter*t-butyldimethyl(2-(3-(o-tolyl)prop-2-yn-1-yl)phenoxy)silane **5h** (587 mg, 1.69 mmol), TBAF (1.69 ml, 1.69 mmol) and 5 ml of THF. Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 95:5) yielded **6h** (359 mg, 95%), as a light brown solid. ^1H NMR (300 MHz, $CDCl_3$): 7.46 – 7.39 (m, 2H), 7.23 – 7.11 (m, 4H), 6.95 (td, *J* = 7.5, 1.2 Hz, 1H), 6.85 (dd, *J* = 8.0, 1.2 Hz, 1H), 5.48 (s, 1H), 3.88 (s, 2H), 2.46 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): 53.75 (C), 140.15 (C), 132.06 (CH), 129.53 (CH), 129.43 (CH), 128.24 (CH), 128.10 (CH), 125.53 (CH), 122.93 (C), 122.91 (C), 121.00 (CH), 115.82 (CH), 90.01 (C), 82.59 (C), 21.13 (CH_2), 20.80 (CH_3). ESI(-)-MS: m/z (%) = 221 (100) $[M - H]^-$, $C_{16}H_{14}O$ [222.29]: calcd for C, 86.45; H, 6.35; found C, 86.72; H, 6.38.

2-(3-(2-fluorophenyl)prop-2-yn-1-yl)phenol (6i)



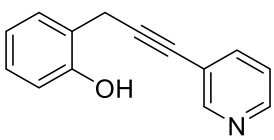
General procedure was followed using *Tert*-butyl(2-(3-(2-fluorophenyl)prop-2-yn-1-yl)phenoxy)dimethylsilane **5i** (290 mg, 0.85 mmol), TBAF (0.85 ml, 0.85 mmol) and 2.55 ml of THF. Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 9:1) yielded **6i** (109 mg, 57%) as a pale-yellow solid. ^1H NMR (300 MHz, $CDCl_3$): 7.44 (ddd, *J* = 9.0, 7.8, 1.8 Hz, 2H), 7.33 – 7.24 (m, 1H), 7.18 (ddd, *J* = 8.4, 7.7, 1.7 Hz, 1H), 7.12 – 7.03 (m, 2H), 6.95 (td, *J* = 7.5, 1.2 Hz, 1H), 6.84 (dd, *J* = 8.0, 1.2 Hz, 1H), 5.37 (s, 1H), 3.86 (s, 2H). ^{13}C NMR (75 MHz, $CDCl_3$): 162.92 (d, *J* = 250.7 Hz, C), 153.61(C), 133.51 (d, *J* = 1.3 Hz, CH), 129.73 (d, *J* = 7.39, CH), 129.57 (CH), 128.29 (CH), 123.89 (d, *J* = 3.8, CH), 122.49 (C), 121.08 (CH), 115.81 (CH), 115.43 (d, *J* = 22.8, CH), 111.74 (d, *J* = 15.9, C), 91.79 (d, *J* = 3.2, C), 76.85 (C) 21.05 (CH_2). ESI(+)-MS: m/z (%) = 227 (100) $[M + H]^+$, $C_{15}H_{11}FO$ [226.25]: calcd for C, 79.63; H, 4.90; found C, 79.83; H, 4.91.

2-(3-(2-methoxyphenyl)prop-2-yn-1-yl)phenol (6j)



The general procedure was followed using *Tert*-butyl(2-(3-(2-methoxyphenyl)prop-2-yn-1-yl)phenoxy)dimethylsilane **5j** (440 mg, 1.25 mmol), TBAF (1.25 ml, 1.25 mmol) and 3.75 ml of THF. Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 7:1) yielded **6j** (219 mg, 74%) as orange/yellow solid. ^1H NMR (300 MHz, $CDCl_3$): 7.39 (ddd, *J* = 7.5, 1.8, 0.5 Hz, 1H), 7.33 – 7.25 (m, 2H), 7.21 – 7.14 (m, 1H), 6.95 – 6.86 (m, 4H), 6.09 (s, 1H), 3.91 (s, 3H), 3.86 (s, 2H). ^{13}C NMR (75 MHz, $CDCl_3$): 160.11 (C), 154.39 (C), 132.98 (CH), 129.62 (CH), 129.58 (CH), 128.33 (CH), 122.94 (C), 120.96 (CH), 120.45 (CH), 116.82 (CH), 112.09 (C), 110.42 (CH), 90.36 (C), 80.10 (C), 55.72 (CH_3), 21.94 (CH_2). ESI(+)-MS: m/z (%) = 239 (100) $[M + H]^+$, $C_{16}H_{14}O_2$ [238.1]: calcd for C, 80.65; H, 5.92; found C, 80.48; H, 5.90.

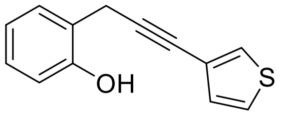
2-(3-(pyridin-4-yl)prop-2-yn-1-yl)phenol (6k)



The general procedure was followed using 4-(3-(2-((*Tert*-butyldimethylsilyl)oxy)phenyl)prop-1-yn-1-yl)pyridine **5k** (500 mg, 1.55 mmol), TBAF (1.55 ml, 1.55 mmol) and 4.65 ml of THF. Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 8:2) yielded **6k** (349 mg, 65%) as a brown solid. ^1H NMR (400 MHz, $CDCl_3$): 8.73 (s, 1H), 8.53 (s, 1H), 7.80 (dt, *J* = 7.9, 1.8 Hz, 1H), 7.46 (dd, *J* = 7.5, 1.4 Hz, 1H), 7.31 (dd, *J* = 7.8, 5.0 Hz, 1H), 7.16 (td, *J* = 7.8, 1.7 Hz, 1H), 6.94 (td, *J* = 7.5, 1.1 Hz, 1H), 6.86 (dd, *J* = 8.0, 1.0 Hz, 1H), 3.89 (s, 2H). ^{13}C NMR (101 MHz, $CDCl_3$): 154.25 (C), 151.77 (CH), 147.37 (CH), 139.33 (CH), 129.43 (CH), 128.20 (CH), 123.39 (CH), 122.78 (C), 121.44 (C), 120.37 (CH), 115.42 (CH), 115.42 (CH), 91.86 (C), 78.90

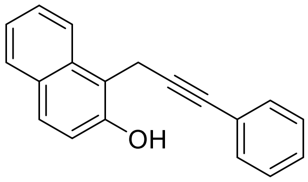
(C), 20.55 (CH_3). ESI(+)-MS: m/z (%) = 210 (100) $[M + H]^+$, $C_{14}H_{11}NO$ [209.25]: calcd for C, 80.36; H, 5.30; N, 6.69; found C, 80.12; H, 5.31; N, 6.66.

2-(3-(thiophen-3-yl)prop-2-yn-1-yl)phenol (6l)



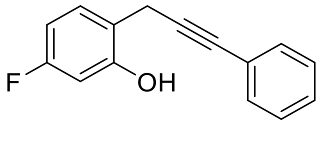
The general procedure was followed using *Tert*-butyldimethyl(2-(3-(thiophene-3-yl)prop-2-yn-1-yl)phenoxy)silane **5l** (540 mg 1.6 mmol), TBAF (1.6 ml, 1.6 mmol) and 4.9 ml of THF. Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 8:1) yielded **6l** (324 mg, 92%), as a brown oil. ^1H NMR (400 MHz, $CDCl_3$): 7.45 (dd, *J* = 2.9, 1.0 Hz, 1H), 7.40 (d, *J* = 7.5 Hz, 1H), 7.29 – 7.26 (m, 1H), 7.20 (td, *J* = 7.9, 1.6 Hz, 1H), 7.14 (dd, *J* = 5.0, 1.1 Hz, 1H), 6.96 (td, *J* = 7.5, 1.0 Hz, 1H), 6.86 (dd, *J* = 8.0, 0.9 Hz, 1H), 5.42 (s, 1H), 3.82 (s, 2H). ^{13}C NMR (101 MHz, $CDCl_3$): 153.72 (C), 129.97 (CH), 129.61 (CH), 128.49 (CH), 128.30 (CH), 125.23 (CH), 122.73 (C), 122.13 (C), 121.05 (CH), 115.85 (CH), 85.83 (C), 78.62 (C), 20.93 (CH_2). ESI(+)-MS: m/z (%) = 215 (100) $[M + H]^+$, $C_{13}H_{10}OS$ [214.28]: calcd for C, 72.87; H, 4.70; found C, 73.01; H, 4.68.

1-(3-phenylprop-2-yn-1-yl)naphthalen-2-ol (6m)



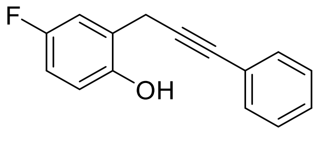
The general procedure was followed using *Tert*-butyldimethyl((1-(3-phenylprop-2-yn-1-yl)naphthalene-2-yl)oxy)silane **5m** (212 mg, 0.57 mmol), TBAF (0.57 ml, 0.57 mmol) and 1.71 ml of THF. Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 9:1) yielded **6m** (87 mg, 59%) as a brown solid. ^1H NMR (300 MHz, $CDCl_3$): 8.07 (d, *J* = 8.6 Hz, 1H), 7.80 (d, *J* = 8.1 Hz, 1H), 7.71 (d, *J* = 8.8 Hz, 1H), 7.56 (ddd, *J* = 8.4, 6.9, 1.3 Hz, 1H), 7.44 – 7.32 (m, 4H), 7.27 (q, *J* = 3.8 Hz, 3H), 7.11 (d, *J* = 8.8 Hz, 1H), 5.84 (s, 1H), 4.21 (s, 2H). ^{13}C NMR (75 MHz, $CDCl_3$): 151.22 (C), 132.76 (C), 131.70 (CH), 129.43 (C), 128.92 (CH), 128.62 (CH), 128.18 (CH), 127.97 (CH), 126.82 (CH), 123.39 (CH), 123.18 (C), 122.89 (CH), 118.30 (CH), 114.33 (C), 86.89 (C), 82.04 (C), 15.90 (CH_2). ESI(+)-MS: m/z (%) = 259 (45) $[M + H]^+$, $C_{19}H_{14}O$ [258.32]: calcd for C, 88.34; H, 5.46; found C, 88.74; H, 5.45.

5-fluoro-2-(3-phenylprop-2-yn-1-yl)phenol (6n)



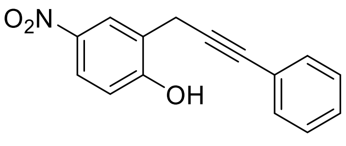
The general procedure was followed using *Tert*-butyl(5-fluoro-2-(3-phenylprop-2-yn-1-yl)phenoxy)dimethylsilane **5n** (535 mg, 1.57 mmol), TBAF (1.57 ml, 1.57 mmol) and 4.71 ml of THF. Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 95:5 → 8:2) yielded **6n** (192 mg, 96%) as deep brown oil. ^1H NMR (400 MHz, $CDCl_3$): 7.52 – 7.41 (m, 2H), 7.38 – 7.29 (m, 4H), 6.67 (td, *J* = 8.4, 2.6 Hz, 1H), 6.62 (dd, *J* = 9.8, 2.5 Hz, 1H), 5.74 (s, 1H), 3.79 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$): 162.56 (d, *J* = 244.9 Hz, C), 154.81 (d, *J* = 11.3 Hz, C), 131.70 (CH), 130.30 (d, *J* = 9.8 Hz, CH), 128.34 (CH), 128.27 (CH), 122.91 (C), 118.49 (d, *J* = 3.2 Hz, C), 107.64 (d, *J* = 21.2 Hz, CH), 103.68 (d, *J* = 24.6 Hz, CH), 85.78 (C), 83.86 (C), 20.55 (CH_2). ESI(+)-MS: m/z (%) = 227 (100) $[M + H]^+$, $C_{15}H_{11}FO$ [226.25]: calcd for C, 79.63; H, 4.90; found C, 79.49; H, 4.90.

4-fluoro-2-(3-phenylprop-2-yn-1-yl)phenol (6o)



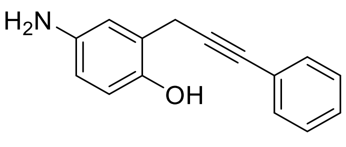
The general procedure was followed using *Tert*-butyl(4-fluoro-2-(3-phenylprop-2-yn-1-yl)phenoxy)dimethylsilane **5o** (636 mg, 1.87 mmol), TBAF (1.87 ml, 1.87 mmol) and 5.6 ml of THF. Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 9:1) yielded **6o** (402 mg, 95%) as a brown solid. ^1H NMR (300 MHz, $CDCl_3$): 7.50 – 7.42 (m, 2H), 7.32 (dt, *J* = 4.9, 1.6 Hz, 3H), 7.15 (dd, *J* = 9.1, 3.1 Hz, 1H), 6.86 (ddd, *J* = 8.6, 7.9, 3.0 Hz, 1H), 6.76 (dd, J = 8.8, 4.7 Hz, 1H), 5.22 (bs, 1H), 3.79 (s, 2H). ^{13}C NMR (75 MHz, $CDCl_3$): 157.17 (d, *J* = 238.3 Hz, C), 149.55 (d, *J* = 2.3 Hz, C), 131.70 (CH), 128.33 (CH), 128.24 (CH), 124.45 (d, *J* = 7.4 Hz, C), 122.91 (C), 116.44 (d, *J* = 8.1 Hz, CH), 116.04 (d, *J* = 24.2 Hz, CH), 114.27 (d, *J* = 23.1 Hz, CH), 85.41 (C), 83.92 (C), 20.97 (CH_2). ESI(-)-MS: m/z (%) = 225 (100) $[M - H]^-$, $C_{15}H_{11}FO$ [226.25]: calcd for C, 79.63; H, 4.90; found C, 79.56; H, 4.92.

4-nitro-2-(3-phenylprop-2-yn-1-yl)phenol (6p)



The general procedure was followed using *Tert*-butyldimethyl(4-nitro-2-(3-phenylprop-2-yn-1-yl)phenoxy)silane **5p** (980 mg, 2.67 mmol), TBAF (2.67 ml, 2.67 mmol) and 8 ml of THF. Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 5:1) yielded **6p** (554 mg, 82%). ^1H NMR (300 MHz, $CDCl_3$): 8.37 (dt, *J* = 2.9, 0.9 Hz, 1H), 8.10 (dd, *J* = 8.9, 2.8 Hz, 1H), 7.50 – 7.44 (m, 3H), 7.39 – 7.30 (m, 3H), 6.92 (d, *J* = 8.9 Hz, 1H), 6.46 (s, 1H), 3.87 (s, 2H). ^{13}C NMR (75 MHz, $CDCl_3$): 159.32 (C), 131.74 (CH), 128.50 (CH), 128.39 (CH), 125.73 (CH), 124.61 (CH), 123.88 (C), 122.52 (C), 115.81 (CH), 84.89 (C), 84.20 (C), 62.42 (C), 20.90 (CH_2). ESI(+)-MS: m/z (%) = 254 (100) $[M + H]^+$, $C_{15}H_{11}FO$ [253.26]: calcd for C, 71.14; H, 4.38; N, 5.53; found C, 71.06; H, 4.39; N, 5.55.

4-amino-2-(3-phenylprop-2-yn-1-yl)phenol (6q)

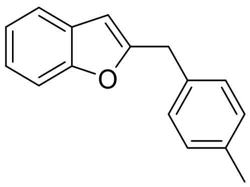


In a solution of 4-nitro-2-(3-phenylprop-2-yn-1-yl)phenol **6p** (235 mg, 0.93 mmol) in EtOH (0.1 M) was added Fe^0 (259 mg, 4.65 mmol) and then NH_4Cl in H_2O (2M). The reaction was conducted at 80°C for 1h. It was monitored by TLC (CH_2Cl_2/EtOAc 9:1). Filtered on celite and extracted in EtOAc and H_2O then dried under reduced pressure. Finally, the crude was purified by flash chromatography (SiO_2, Hex/EtOAc 3:1) to yield **6q** (161 mg, 77%) as a light brown solid. ^1H NMR (400 MHz, $CDCl_3$): 7.50 – 7.44 (m, 2H), 7.35 – 7.30 (m, 3H), 6.81 (d, *J* = 2.8 Hz, 1H), 6.67 (d, *J* = 8.4 Hz, 1H), 6.54 (dd, *J* = 8.4, 2.8 Hz, 1H), 3.76 (s, 2H). ^{13}C NMR (101 MHz, $CDCl_3$): 146.64 (C), 139.85 (C), 131.72 (CH), 128.28 (CH), 128.03 (CH), 123.93 (C), 123.30 (C), 116.87 (CH), 116.75 (CH), 115.11 (CH), 86.57 (C), 83.27 (C), 20.94 (CH_2). ESI(+)-MS: m/z (%) = 224 (100) $[M + H]^+$, $C_{15}H_{13}NO$ [223.28]: calcd for C, 80.69; H, 5.87; N, 6.27; found C, 80.93; H, 5.86; N, 6.24.

Synthesis of benzofurans (7a-q).

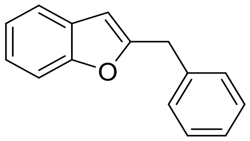
General procedure: In a microwave vial, K_2CO_3 (10 mol%) was added to a solution of 2-propargylphenols **6a-q** (0.2 mmol) in DMF (0.1 M). The mixture was heated at 70°C under microwave heating for 5 min. Then, water was added to the mixture and extracted with EtOAc. The combined organic layers were dried over Na_2SO_4, filtered, and concentrated under reduced pressure. The crude was purified by flash column chromatography to yield the corresponding benzofurans **7a-q**.

2-(4-methylbenzyl)benzofuran (7a).



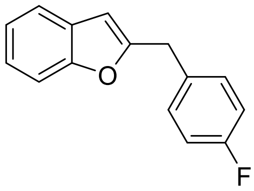
The general procedure was followed using 2-(3-(*p*-tolyl)prop-2-yn-1-yl)phenol **6a** (44 mg, 0.2 mmol), K_2CO_3 (3 mg, 0.02 mmol). Purification of the crude by flash chromatography (SiO_2, hex/EtOAc 95:5) yielded **7a** (40 mg, 89%), as a yellowish wax. ^1H NMR (300 MHz, $CDCl_3$): 7.46 (m, 1H), 7.41 (m, 1H), 7.22 – 7.10 (m, 6H), 6.36 (q, *J* = 1.2 Hz, 1H), 4.07 (s, 2H), 2.34 (d, *J* = 2.4 Hz, 3H). Data are in agreement with those reported in the literature.[5]

2-benzylbenzofuran (7b).



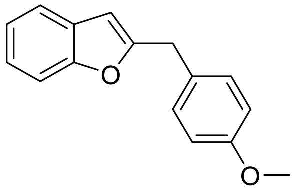
The general procedure was followed using 2-(3-phenylprop-2-yn-1-yl)phenol **6b** (42 mg, 0.2 mmol), K_2CO_3 (3 mg, 0.02 mmol). Purification of the crude by flash chromatography (SiO_2, hex/EtOAc 95:5) yielded **7b** (36 mg, 87%), as a yellowish wax. ^1H NMR (300 MHz, $CDCl_3$): 7.46 (m, 1H), 7.0 (m, 1H), 7.37 – 7.25 (m, 5H), 7.22 – 7.16 (m, 2H), 6.38 (q, *J* = 1.0 Hz, 1H), 4.11 (s, 2H). Data are in agreement with those reported in the literature.[5]

2-(4-fluorobenzyl)benzofuran (7c).



The general procedure was followed using 2-(3-(4-fluorophenyl)prop-2-yn-1-yl)phenol **6c** (45 mg, 0.2 mmol), K_2CO_3 (3 mg, 0.02 mmol). Purification of the crude by flash chromatography (SiO_2, hex/EtOAc 95:5) yielded **7c** (39 mg, 86%), as a yellowish wax. ^1H NMR (300 MHz, $CDCl_3$): 7.49 (m, 1H), 7.42 (m, 1H), 7.32 – 7.13 (m, 4H), 7.08 – 6.97 (m, 2H), 6.38 (q, *J* = 1.0 Hz, 1H), 4.09 (s, 2H). ^{13}C NMR (75 MHz, $CDCl_3$): 161.81 (d, *J* = 244.9 Hz, C), 157.49 (C), 154.97 (C),132.89 (d, *J* = 3.2 Hz, C), 130.37 (d, *J* = 7.9 Hz, CH), 128.70 (C), 123.55 (CH), 122.60 (CH), 120.47 (CH), 115.43 (d, *J* = 21.3 Hz, CH), 110.93 (CH), 103.41 (CH), 34.19 (CH_2). Data are in agreement with those reported in the literature.[5]

2-(4-methoxybenzyl)benzofuran (7d).



The general procedure was followed using 2-(3-(4-methoxyphenyl)prop-2-yn-1-yl)phenol **6d** (48 mg, 0.2 mmol), K_2CO_3 (3 mg, 0.02 mmol). The reaction was conducted at 90°C for 5 minutes. Purification of the crude by flash chromatography (SiO_2, hex/EtOAc 95:5) yielded **7d** (38 mg, 79%), as a yellowish wax. ^1H NMR (300 MHz, $CDCl_3$): 7.47 (m, 1H), 7.41 (m, 1H), 7.29 – 7.10 (m, 4H), 6.94 – 6.79 (m, 2H), 6.36 (q, *J* = 1.0 Hz, 1H), 4.06 (s, 2H), 3.81 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): 158.46 (C), 158.29 (C), 154.95 (C), 129.91 (CH), 129.26 (C), 128.83 (C), 123.34 (CH), 122.48 (CH),

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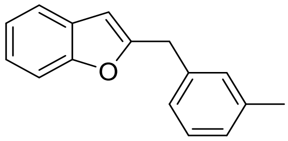
[5] M. Rajesh, N. Thirupathi, T. J. Reddy, S. Kanojiya and M. S. Reddy, Pd-Catalyzed Isocyanide Assisted Reductive Cyclization of 1-(2-Hydroxyphenyl)-propargyl Alcohols for 2-Alkyl/Benzyl Benzofurans and Their Useful Oxidative Derivatization, *J. Org. Chem.*, 2015, **80**, 12311−12320.

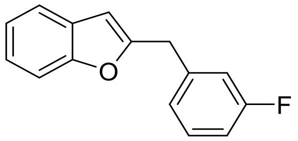
120.37 (CH), 114.02 (CH), 110.90 (CH), 103.13 (CH), 55.28 (CH_3), 34.14 (CH_2). Data are in agreement with those reported in the literature.[5]

2-(3-methylbenzyl)benzofuran (7e).



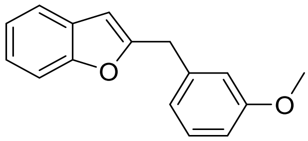
The general procedure was followed using 2-(3-(*m*-tolyl)prop-2-yn-1-yl)phenol **6e** (44 mg, 0.2 mmol), K_2CO_3 (3 mg, 0.02 mmol). Purification of the crude by flash chromatography (SiO_2, hex/EtOAc 95:5) yielded (32 mg, 72%), as a yellowish wax. ^1H NMR (300 MHz, $CDCl_3$): 7.49 (m, 1H), 7.43 (m, 1H), 7.30 – 7.18 (m, 3H), 7.16 – 7.07 (m, 3H), 6.40 (q, J = 1.0 Hz, 1H), 4.09 (s, 2H), 2.36 (d, J = 0.7 Hz, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): 157.94 (C), 154.97 (C), 138.26 (C), 137.14 (C), 129.66 (CH), 128.86 (C), 128.51 (CH), 127.52 (CH), 125.94 (CH), 123.37 (CH), 122.50 (CH), 120.39 (CH), 110.94 (CH), 103.32 (CH), 34.94 (CH_2), 21.41 (CH_3). Data are in agreement with those reported in the literature.[6]

2-(3-fluorobenzyl)benzofuran (7f).



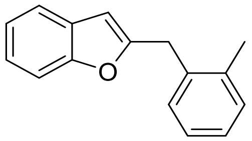
The general procedure was followed using 2-(3-(3-fluorophenyl)prop-2-yn-1-yl)phenol **6f** (45 mg, 0.3 mmol), K_2CO_3 (3 mg,0.02 mmol). Purification of the crude by flash chromatography (SiO_2, hex/EtOAc 95:5) yielded **7f** (37 mg, 82%), as a yellowish wax. ^1H NMR (300 MHz, $CDCl_3$): 7.50 (m, 1H), 7.43 (m, 1H), 7.35 – 7.16 (m, 3H), 7.09 (M, 1h), 7.06 – 6.92 (m, 2H), 6.43 (q, J = 1.0 Hz, 1H), 4.11 (s, 2H).^{13}C NMR (75 MHz, $CDCl_3$) : 162.96 (d, J = 245.9 Hz, C), 156.78 (C), 154.99 (C), 139.70 (d, J = 7.2 Hz, C), 130.04 (d, J = 8.3 Hz, CH), 128.66 (C), 124.53 (d, J = 2.9 Hz, CH), 123.63 (CH), 122.64 (CH), 120.52 (CH), 115.85 (d, J = 21.6 Hz, CH), 113.74 (d, J = 21.0 Hz, CH), 110.96 (CH), 103.67 (CH), 34.67 (d, J = 1.9 Hz, (CH_2). ESI(+)-MS: m/z (%) = 227 (100) $[M + H]^+$, $C_{15}H_{11}FO$.[226.25]: calcd. for C, 79.63; H, 4.90; found C, 79.81; H, 4.90.

2-(3-methoxybenzyl)benzofuran (7g).



The general procedure was followed using 2-(3-(3-methoxyphenyl)prop-2-yn-1-yl)phenol **6g** (48 mg, 0.3 mmol), K_2CO_3 (3 mg,0.02 mmol). Purification of the crude by flash chromatography (SiO_2, hex/EtOAc 95:5) yielded **7g** (47 mg, 98%), as a yellowish wax. ^1H NMR (300 MHz, $CDCl_3$): 7.48 (m, 1H), 7.41 (m, 1H), 7.29 – 7.13 (m, 3H), 6.94 – 6.77 (m, 3H), 6.40 (q, J = 1.0 Hz, 1H), 4.09 (s, 2H), 3.79 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$): 159.80(C), 157.59(C), 154.96 (C), 138.76 (C), 129.60 (CH), 128.80 (C), 123.43 (CH), 122.52 (CH), 121.29 (CH), 120.43 (CH), 114.70 (CH), 112.11 (CH), 110.93 (CH), 103.43 (CH), 55.20 (CH_3), 35.02(CH_2). Data are in agreement with those reported in the literature.[5]

2-(2-methylbenzyl)benzofuran (7h).



The general procedure was followed using 2-(3-(*o*-tolyl)prop-2-yn-1-yl)phenol **6h** (44 mg, 0.3 mmol), K_2CO_3 (3 mg, 0.02 mmol). The reaction was conducted at 90°C for 5 minutes. Purification of the crude by flash chromatography (SiO_2, hex/EtOAc 95:5) yielded **7h** (40 mg, 91%), as a yellowish wax. ^1H NMR (400 MHz, $CDCl_3$): 7.55 – 7.48 (m, 2H), 7.42 – 7.13 (m, 6H), 6.32 (q, J = 1.1 Hz, 1H), 4.18 (s, 1H), 2.44 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$): 157.60 (C), 155.00 (C), 136.67 (C), 135.48 (C), 130.48 (CH), 129.90 (CH), 128.93 (C), 127.17

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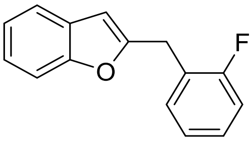
[6] H. Watanabe, M. Okubo, K. Watanabe, T. Udagawa and M. Kawatsura, Palladium-catalyzed Intermolecular Coupling of 3-Substituted Propargylic Carbonates with Phenols: Synthesis of 2-Substituted Benzofuran Derivatives, *Tetrahedron Letters*, 2017, **58**, 2893–2897.

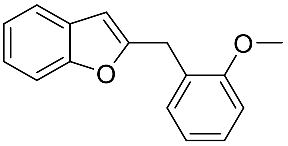
(CH), 126.29 (CH), 123.41 (CH), 123.38 (CH), 122.57 (CH), 120.42 (CH), 120.38 (CH), 110.97 (CH), 110.93 (CH), 103.35 (CH), 32.80 (CH_2), 19.50 (CH_3). Data are in agreement with those reported in the literature.[25]

2-(2-fluorobenzyl)benzofuran (7i).



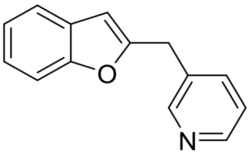
General procedure was followed using2-(3-(2-fluorophenyl)prop-2-yn-1-yl)phenol **6i** (45 mg, 0.2 mmol), K_2CO_3 (3 mg, 0.02 mmol). The reaction was conducted at 90°C for 5 minutes. Purification of the crude by flash chromatography (SiO_2, hex/EtOAc 95:5) yielded **7i** (36 mg, 80%), as a yellowish wax. ^1H NMR (400 MHz, $CDCl_3$) δ 7.51 (m, 1H), 7.46 m, 1H), 7.37 – 7.17 (m, 4H), 7.16 – 7.06 (m, 2H), 6.44 (q, J = 1.0 Hz, 1H), 4.19 (s, 2H). ^{13}C NMR (101 MHz, $CDCl_3$): 160.98 (d, J = 246.5 Hz, C), 156.35 (C), 154.97 (C), 131.05 (d, J = 4.1 Hz, CH), 128.80 (C), 128.68 (d, J = 8.0 Hz, CH), 124.36 (d, J = 15.5 Hz, C), 124.21 (d, J = 3.6 Hz, CH), 123.52 (CH), 122.59 (CH), 120.49 (CH), 115.47 (d, J = 21.7 Hz, CH), 110.96 (CH), 103.53 (CH), 27.99 (d, J = 4.0 Hz, CH2). ESI(+)-MS: m/z (%) = 227 (100) [M + H]$^+$, $C_{15}H_{11}FO$.[226.25]: calcd. for C, 79.63; H, 4.90; found C, 79.76; H, 4.92.

2-(2-methoxybenzyl)benzofuran (7j).



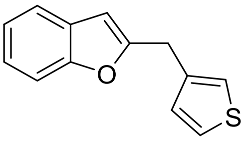
The general procedure was followed using 2-(3-(2-methoxyphenyl)prop-2-yn-1-yl)phenol **6j** (48 mg, 0.3 mmol), K_2CO_3 (3 mg, 0.02 mmol). The reaction was conducted at 90°C for 5 minutes. Purification of the crude by flash chromatography (SiO_2, hex/EtOAc 95:5) yielded **6j** (44 mg, 93%), as a yellowish wax.^1H NMR (400 MHz, $CDCl_3$): 7.59 – 7.47 (m, 2H), 7.38 – 7.21 (m, 4H), 7.07 – 6.92 (m, 2H), 6.42 (q, J = 1.0 Hz, 1H), 4.22 (s, 2H), 3.91 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$): 157.97 (C), 157.44 (C), 154.95 (C), 130.41 (CH), 129.13 (C), 128.19 (CH), 125.84 (C), 123.22 (CH), 122.47 (CH), 122.44 (CH), 120.65 (CH), 120.36 (CH), 110.95 (CH), 110.63 (CH), 103.19 (CH), 55.51 (CH_3), 29.04 (CH_2). ESI(+)-MS: m/z (%) = 239 (100) [M + H]$^+$, $C_{16}H_{13}O_2$ [238.29]: calcd. for C, 80.65; H, 5.92; found C, 80.39; H, 5.90.

4-(benzofuran-2-ylmethyl)pyridine (7k).



The general procedure was followed using 2-(3-(pyridine-3-yl)prop-2-yn-1-yl)phenol **6k** (42 mg, 0.2 mmol), K_2CO_3 (3 mg, 0.02 mmol). Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 95:5) yielded **7k** (36 mg, 87%) as a yellow wax. ^1H NMR (400 MHz, $CDCl_3$): 8.63 (s, 1H), 8.55 (s, 1H), 7.65 (dt, J = 7.9, 2.0 Hz, 1H), 7.51 (m, 1H), 7.43 (m, 1H), 7.33 – 7.13 (m, 3H), 6.43 (q, J = 1.0 Hz, 1H), 4.13 (s, 2H). ^{13}C NMR (101 MHz, $CDCl_3$): 156.21 (C), 155.04 (C), 150.03 (CH), 148.16 (CH), 136.52 (CH), 132.98 (C), 128.56 (C), 123.80 (CH), 123.60 (CH), 122.75 (CH), 120.59 (CH), 110.97 (CH), 103.81 (CH), 32.25 (CH_2). Data are in agreement with those reported in the literature.[7]

2-(thiophen-3-ylmethyl)benzofuran (7l).



The general procedure was followed using 2-(3-(pyridine-3-yl)prop-2-yn-1-yl)phenol **6l** (43 mg, 0.2 mmol), K_2CO_3 (3 mg, 0.02 mmol). The reaction was conducted at 110°C in MW for 5min. Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 95:5) yielded **7l** (34 mg, 80%) as an opalescent powder. ^1H NMR (300 MHz, $CDCl_3$): 7.50 (m, 1H), 7.44 (m, 1H), 7.31 (dd, J = 4.9, 3.0 Hz, 1H), 7.26 – 7.16 (m, 2H), 7.13 (m, 1H), 7.06 (dd, J = 4.9,

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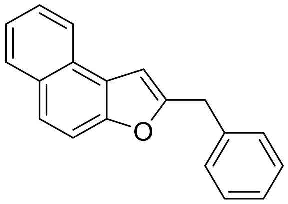
[7] T. Udagawa, M. Kogawa, Y. Tsuchi, H. Watanabe, M. Yamamoto and M. Kawatsura, Synthesis of 2-Substituted Benzofuran Derivatives by the Palladium-catalyzed Intermolecular Coupling of 2-Fluoroallylic Acetates with Phenols, *Tetrahedron Lett.*, 2017, **58**, 227–230.

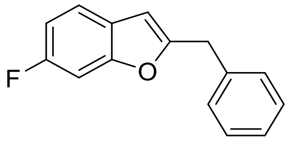
1.3 Hz, 1H), 6.41 (q, J = 1.0 Hz, 1H), 4.15 (s, 2H). ^{13}C NMR (75 MHz, $CDCl_3$): 157.27 (C), 154.91 (C), 137.23 (C), 128.80 (C), 128.34 (CH), 125.80 (CH), 123.46 (CH), 122.56 (CH), 122.12 (CH), 120.44 (CH), 110.91 (CH), 103.08 (CH), 29.70 (CH), 29.64 (CH_2). Data are in agreement with those reported in the literature.[8]

2-benzylnaphtho[2,1-*b*]furan (7m).



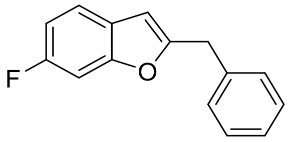
General procedure was followed using 1-(3-phenylprop-2-yn-1-yl)naphthalen-2-ol **6m** (52 mg, 0.2 mmol), K_2CO_3 (3 mg, 0.02 mmol). Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 9:1) yielded **7m** (30 mg, 58%) as a white solid. ^{1}H NMR (300 MHz, $CDCl_3$): 8.07 (d, J = 8.1 Hz, 0H), 7.94 (d, J = 7.9 Hz, 1H), 7.75 – 7.53 (m, 4H), 7.47 (ddd, J = 8.1, 6.9, 1.4 Hz, 2H), 7.42 – 7.25 (m, 7H), 6.89 (d, J = 0.9 Hz, 1H), 4.23 (s, 2H). ^{13}C NMR (75 MHz, $CDCl_3$): 157.09 (C), 152.28 (C), 137.47 (C), 130.26 (C), 128.96 (CH), 128.69 (CH), 127.52 (C), 126.81 (CH), 126.02 (CH), 124.27 (CH), 124.22 (CH), 123.88 (C), 123.43 (CH), 112.24 (CH), 102.52 (CH), 35.17 (CH_2). Data are in agreement with those reported in the literature.[9]

2-benzyl-6-fluorobenzofuran (7n).



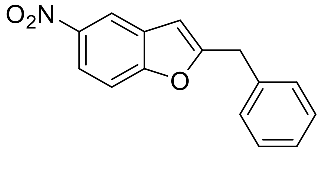
The general procedure was followed using 5-fluoro-2-(3-phenylprop-2-yn-1-yl)phenol **6n** (45 mg, 0.2 mmol), K_2CO_3 (3 mg, 0.02 mmol). The reaction was conducted at 110°C in MW for 5min. Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 98:2) yielded **7n** (45 mg, quantitative) as a sticky white solid. ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.41 – 7.24 (m, 6H), 7.14 (dddd, J = 9.0, 2.3, 1.0, 0.4 Hz, 1H), 6.95 (ddd, J = 9.6, 8.5, 2.3 Hz, 1H), 6.35 (q, J = 1.0 Hz, 1H), 4.10 (s, J = 0.9 Hz, 2H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 160.34 (J = 240.8 Hz, C), 158.56 (J = 4.2 Hz, C), 154.84 (J = 13.6 Hz, C), 137.03 (C), 128.88 (CH), 128.65 (CH), 126.85 (CH), 124.95 (J = 1.6 Hz, C), 120.50 (J = 9.8 Hz, CH), 110.66 (J = 23.8 Hz, CH), 103.06 (CH), 98.80 (J = 26.5 Hz, CH), 56.15 (C), 34.96 (CH_2). Data are in agreement with those reported in the literature.[7]

2-benzyl-5-fluorobenzofuran (7o).



The general procedure was followed using 4-fluoro-2-(3-phenylprop-2-yn-1-yl)phenol **6o** (45 mg, 0.2 mmol), K_2CO_3 (3 mg,0.02 mmol). Purification of the crude by flash chromatography (SiO_2, hex/EtOAc 95:5) yielded **7o** (36 mg, 80%), as a yellowish wax. ^{1}H NMR (300 MHz, $CDCl_3$): 7.45 – 7.23 (m, 6H), 7.14 (ddd, J = 8.7, 2.6, 0.5 Hz, 1H), 6.94 (td, J = 9.1, 2.7 Hz, 1H), 6.36 (q, J = 1.0 Hz, 1H), 4.11 (s, 1H). ^{13}C NMR (75 MHz, $CDCl_3$): 160.25 (d, J = 70.1 Hz, C), 157.57 (C), 151.19 (C), 136.90 (C), 129.60 (d, J = 10.8 Hz, C), 128.92 (CH), 128.69 (CH), 126.90 (CH), 111.42 (d, J = 9.7 Hz, CH), 110.93 (d, J = 26.2 Hz, CH), 106.01 (d, J = 25.0 Hz, CH), 103.62 (d, J = 3.8 Hz, CH), 35.09 (CH_2). Data are in agreement with those reported in the literature.[6]

2-benzyl-5-nitrobenzofuran (7p).



The general procedure was followed using 4-nitro-2-(3-phenylprop-2-yn-1-yl)phenol **6p** (51 mg, 0.2 mmol), K_2CO_3 (3 mg, 0.02 mmol). The reaction was conducted at 110°C for 5 minutes. Purification of the crude by flash chromatography (SiO_2, hex/EtOAc 95:5) yielded **7p** (36 mg, 71%), as a yellowish

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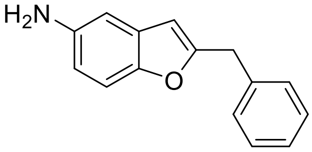
[8] L. Zhou, Y. Shi, Q. Xiao, Y. Liu, F. Ye, Y. Zhang and J. Wang, CuBr-Catalyzed Coupling of *N*-Tosylhydrazones and Terminal Alkynes: Synthesis of Benzofurans and Indoles, *Org. Lett.*, 2011, **13**, 968–971.

[9] C.-Y. Huang, C.-W. Kuo, V. Kavala and C.-F. Yao, Syntheses of 2-Benzylbenzofuran Derivatives and 2-Aryl-nitrochroman Derivatives from Nitroalkene Precursors, *Eur. J. Org. Chem.*, 2016, 2720–2734.

wax. ^{1}H NMR (300 MHz, $CDCl_3$): 8.39 (dd, *J* = 2.4, 0.5 Hz, 1H), 8.15 (dd, *J* = 9.0, 2.4 Hz, 1H), 7.46 (ddd, *J* = 9.0, 0.9, 0.5 Hz, 1H), 7.42 – 7.14 (m, 5H), 6.51 (q, *J* = 1.0 Hz, 1H), 4.15 (s, 2H). ^{13}C NMR (75 MHz, $CDCl_3$): 161.53 (C), 157.83 (C), 144.02 (C), 136.13 (C), 129.19 (C), 128.94 (CH), 128.86 (CH), 128.83 (CH), 127.18 (CH), 119.51 (CH), 116.91 (CH), 111.17 (CH), 104.09 (CH), 35.02 (CH_2). Data are in agreement with those reported in the literature.[6]

2-benzylbenzofuran-5-amine (7q).

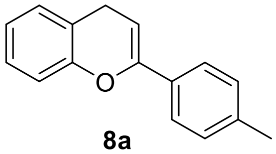


The general procedure was followed using 4-amino-2-(3-phenylprop-2-yn-1-yl)phenol **6q** (45 mg, 0.2 mmol), K_2CO_3 (3 mg, 0.02 mmol). Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 3:1) yielded **7q** (35 mg, 78%) as a brown solid. ^{1}H NMR (300 MHz, $CDCl_3$): 7.39 – 7.14 (m, 6H), 6.76 (d, J = 2.3 Hz, 1H), 6.60 (dd, J = 8.6, 2.4 Hz, 1H), 6.23 (q, J = 1.0 Hz, 1H), 4.07 (s, 2H), 3.45 (bs, 2H). ^{13}C NMR (75 MHz, $CDCl_3$): 158.27 (C), 149.55 (C), 141.81 (C), 137.36 (C), 129.65 (C), 128.90 (CH), 128.57 (CH), 126.70 (CH), 112.51 (CH), 111.10 (CH), 105.64 (CH), 103.04 (CH), 35.07 (CH_2). ESI(+)-MS: m/z (%) = 224 (100) $[M + H]^+$, $C_{15}H_{13}NO$ [223.28]: calcd. for C, 80.69; H, 5.87; N, 6.27; found C, 80.52; H, 5.87; N, 6.30.

Synthesis of 4*H*-chromenes (8a-q).

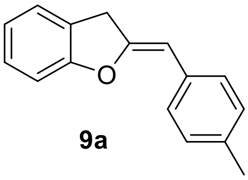
General Procedure: In a microwave vial under nitrogen flush, JohnPhosAuNTf$_2$ (5 mol%) and 2-propargylphenols **6a-q** (0.2 mmol) were dissolved in DCE (0,1 M) in the presence of 4 Å molecular sieves (200 mg). The mixture was heated at 100°C under microwave heating for 15 min. Then, the mixture was concentrated under reduced pressure and the crude was purified by flash column chromatography to yield corresponding 4*H*-chromenes (**8a-q**).

2-(*p*-tolyl)-4*H*-chromene (8a) and (*Z*)-2-(4-methylbenzylidene)-2,3-dihydrobenzofuran (9a).



8a

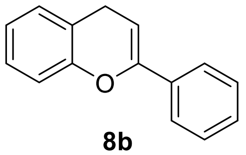
+



9a

The general procedure was followed using 2-(3-(*p*-tolyl)prop-2-yn-1-yl)phenol **6a** (44 mg, 0.2 mmol), JohnPhosAuNTf$_2$ (7 mg, 0.01 mmol). Purification of the crude by flash chromatography (SiO$_2$, Hex) yielded (34 mg, 77%) and **9a** (4 mg, 9%), both as brown solids. **8a**: ^{1}H NMR (300 MHz, Acetone-D$_6$): 7.61 (d, 2H), 7.26 – 7.10 (m, 4H), 7.08 – 6.99 (m, 2H), 5.62 (t, J = 3.9 Hz, 1H), 3.56 (d, J = 3.8 Hz, 2H), 2.34 (s, 3H). ^{13}C NMR (75 MHz, Acetone-D$_6$): 151.87 (C), 148.74 (C), 138.02 (C), 131.60 (C), 129.03 (CH), 128.91 (CH), 127.50 (CH), 124.18 (CH), 123.33 (CH), 119.81 (C), 116.33 (CH), 95.60 (CH), 23.88 (CH$_2$), 20.28 (CH$_3$). ESI(+)-MS: m/z (%) = 223 (100) [M + H]$^+$, C$_{16}$H$_{14}$O [222.29]: calcd. for C, 86.45; H, 6.35; found C, 86.28; H, 6.38. **9a**: ^{1}H NMR (300 MHz, CDCl$_3$): 7.55 (d, *J* = 8.1 Hz, 2H), 7.38 – 7.12 (m, 4H), 7.05 – 6.93 (m, 2H), 5.58 (t, *J* = 2.3 Hz, 1H), 4.07 (s, 2H), 2.35 (s, 3H). ^{13}C NMR (75 MHz, CDCl$_3$): 158.78 (C), 153.63 (C), 135.28 (C), 132.63 (C), 129.03 (CH), 128.09 (CH), 127.67 (HC), 124.88 (C), 124.49 (CH), 122.13 (CH), 109.77 (CH), 101.66 (CH), 34.44 (CH$_2$), 21.18 (CH$_3$). ESI(+)-MS: m/z (%) = 223 (100) [M + H]$^+$, C$_{16}$H$_{14}$O [222.29]: calcd. for C, 86.45; H, 6.35; found C, 86.53; H, 6.36

2-phenyl-4*H*-chromene (8b) and (*Z*)-2-benzylidene-2,3-dihydrobenzofuran (9b).



8b

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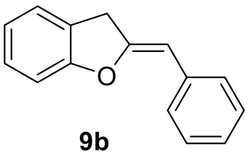
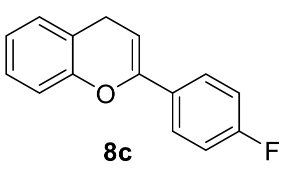


9b

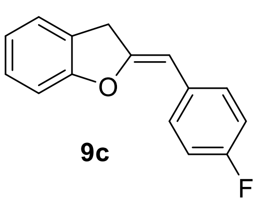
The general procedure was followed using 2-(3-phenylprop-2-yn-1-yl)phenol **6b** (42 mg, 0.2 mmol), JohnPhosAuNTf$_2$ (7 mg, 0.01 mmol). Purification of the crude by flash chromatography (SiO$_2$, Hex) yielded **8b** (18 mg, 44%) and **9b** (6 mg, 16%), both as sticky yellow oils. **8b**: ^{1}H NMR (300 MHz, Acetone-D$_6$): 7.80 – 7.68 (m, 2H), 7.47 – 7.30 (m, 3H), 7.24 – 7.10 (m, 2H), 7.10 – 6.99 (m, 2H), 5.70 (t, J = 4.0 Hz, 1H), 3.58 (d, J = 3.9 Hz, 2H). ^{13}C NMR (75 MHz, Acetone-D$_6$): 151.83 (C), 148.68 (C), 134.34 (C), 129.04 (CH), 128.31 (CH), 128.27 (CH), 127.54 (CH), 124.23 (CH), 123.41 (CH), 119.74 (C), 116.35 (CH), 96.55 (CH), 23.90 (CH$_2$). ESI(+)-MS: m/z (%) = 209 (65) [M + H]$^+$, C$_{15}$H$_{12}$O [208.26]: calcd. for C, 86.51; H, 5.81; found C, 86.67; H, 5.78. **9b**: ^{1}H NMR (300 MHz, CDCl$_3$): 7.71 – 7.60 (m, 2H), 7.41 – 7.28 (m, 3H), 7.28 – 7.14 (m, 2H), 7.11 – 6.94 (m, 2H), 5.62 (t, *J* = 2.3 Hz, 1H), 4.09 (s, 2H). The by-product **9b** was isolated in a very small amount together with some inseparable impurities, thus only ^{1}H-NMR analysis was possible.

2-(4-fluorophenyl)-4*H*-chromene (8c) and (*Z*)-2-(4-fluorobenzylidene)-2,3-dihydrobenzofuran (9c).



8c

+

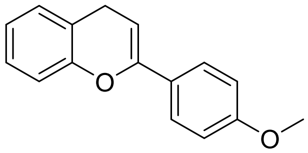


9c

The general procedure was followed using 2-(3-(4-fluorophenyl)prop-2-yn-1-yl)phenol **6c** (45 mg, 0.2 mmol), JohnPhosAuNTf$_2$ (7 mg, 0.01 mmol). Purification of the crude by flash chromatography (SiO$_2$, Hex) yielded **8c** (42 mg, 93%) as a white solid and **9c** (3 mg, 6%) as a sticky white wax. **8c**: ^{1}H NMR (300 MHz, Acetone-D$_6$):

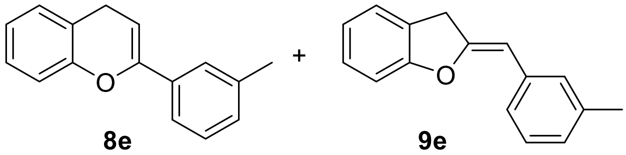
7.84 - 7.71 (m, J = 9.0, 5.4 Hz, 2H), 7.26 – 7.11 (m, 4H), 7.09 - 6.99 (m, J = 7.6 Hz, 2H), 5.66 (t, 1H), 3.57 (d, J = 3.9 Hz, 2H). ^{13}C NMR (75 MHz, Acetone-D$_6$): 162.7 (d, *J* = 246.0 Hz, C), 151.72 (C), 147.82 (C), 130.79 (d, *J* = 3.2 Hz, C), 129.04 (CH), 127.56 (CH), 126.36 (d, *J* = 8.2 Hz, CH), 123.48 (CH), 119.65 (C), 116.33 (CH), 115.07 (d, *J* = 21.0 Hz, CH), 96.48 (d, *J* = 3.1 Hz, CH), 23.84 (CH$_2$). ESI(+)-MS: m/z (%) = 227 (70) [M + H]$^+$, $C_{15}H_{11}FO$ [226.25]: calcd. for C, 79.63; H, 4.90; found C, 79.56; H, 4.88. **9c**: ^{1}H NMR (300 MHz, CDCl$_3$): 7.68 – 7.55 (m, 2H), 7.30 – 7.15 (m, 2H), 7.14 – 6.94 (m, 4H), 5.58 (t, *J* = 2.3 Hz, 1H), 4.08 (s, 2H). The by-product **9c** was isolated in a very small amount together with some inseparable impurities, thus only ^{1}H-NMR analysis was possible.

2-(4-methoxyphenyl)-4*H*-chromene (8d).



The general procedure was followed using 2-(3-(4-methoxyphenyl)prop-2-yn-1-yl)phenol **6d** (48 mg, 0.2 mmol), JohnPhosAuNTf$_2$ (7 mg, 0.01 mmol). Purification of the crude by flash chromatography (SiO$_2$, Hex/ EtOAc 98:2 → 95:5) yielded **8d** (33 mg, 69%) as a yellow solid. ^{1}H NMR (300 MHz, Acetone-D$_6$): 7.70 – 7.58 (m, 2H), 7.23 – 7.10 (m, 2H), 7.06 – 6.89 (m, 4H), 5.54 (t, J = 3.9 Hz, 1H), 3.83 (s, 3H), 3.55 (d, J = 3.8 Hz, 2H). ^{13}C NMR (75 MHz, Acetone-D$_6$): 159.97 (C), 151.91 (C), 148.60 (C), 129.01 (CH), 127.45 (CH), 126.92 (C), 125.64 (CH), 123.28 (CH), 119.88 (C), 116.31 (CH), 113.63 (CH), 94.55 (CH), 54.70 (CH$_3$), 23.87 (CH$_2$). ESI(+)-MS: m/z (%) = 237 (100) [M + H]$^+$, $C_{16}H_{14}O_2$ [236.23]: calcd. for C, 80.65; H, 5.92; found C, 80.58; H, 5.91.

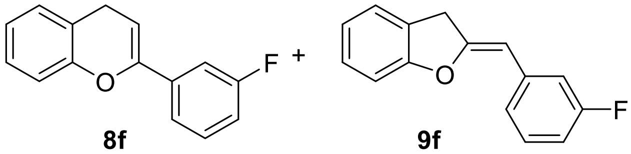
2-(*m*-tolyl)-4*H*-chromene (8e) and (*Z*)-2-(3-methylbenzylidene)-2,3-dihydrobenzofuran (9e).



8e **9e**

The general procedure was followed using 2-(3-(*m*-tolyl)prop-2-yn-1-yl)phenol **6e** (44 mg, 0.2 mmol), JohnPhosAuNTf$_2$ (7 mg, 0.01 mmol). Purification of the crude by flash chromatography (SiO$_2$, Hex) yielded **8e** (36 mg, 82%) and **9e** (4 mg, 10%), both as amorphous white solids. **8e**: ^{1}H NMR (300 MHz, Acetone-D$_6$): 7.57 – 7.49 (m, 2H), 7.29 (t, *J* = 7.6 Hz, 1H), 7.24 – 7.11 (m, 3H), 7.08 – 6.99 (m, 2H), 5.66 (t, *J* = 3.9 Hz, 1H), 3.57 (d, *J* = 3.9 Hz, 2H), 2.37 (s, 3H). ^{13}C NMR (75 MHz, Acetone-D$_6$): 151.87 (C), 148.81 (C), 137.76 (C), 134.32 (C), 129.03 (CH), 128.96 (CH), 128.21 (CH), 127.51 (CH), 124.85 (CH), 123.36 (CH), 121.45 (CH), 119.77 (C), 116.34 (CH), 96.38 (CH), 23.91 (CH$_2$), 20.60 (CH$_3$). ESI(+)-MS: m/z (%) = 221 (100) [M + H]$^+$, $C_{16}H_{14}O$ [222.29]: calcd. for C, 86.45; H, 6.35; found C, 86.27; H, 6.38. The by-product **9e** was isolated in a very small amount in a 1:1 mixture with **8e**. The ^{1}H NMR spectrum of the mixture is reported below, but not described.

2-(3-fluorophenyl)-4*H*-chromene (8f) and (*Z*)-2-(3-fluorobenzylidene)-2,3-dihydrobenzofuran (9f).

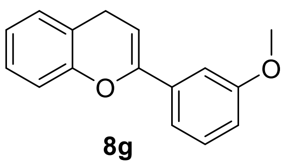


8f **9f**

The general procedure was followed using 2-(3-(3-fluorophenyl)prop-2-yn-1-yl)phenol **6f** (45 mg, 0.2 mmol), JohnPhosAuNTf$_2$ (7 mg, 0.01 mmol). Purification of the crude by flash chromatography (SiO$_2$, Hex) yielded **8f** (18 mg, 39%) as an amorphous white solid and **9f** (16 mg, 35%) as a white wax. **8f**: ^{1}H NMR (300 MHz, Acetone-D$_6$): 7.57 (t, *J* = 1.6, 1.0 Hz, 1H), 7.52 – 7.40 (m, 2H), 7.26 – 7.00 (m, 5H), 5.81 (t, *J* = 4.0 Hz, 1H), 3.60 (d, *J* = 4.0 Hz, 2H). ^{13}C NMR (75 MHz, Acetone-D$_6$): 164.43 (d, *J* = 243.4 Hz, C), 151.62 (C), 147.44 (C), 136.76 (d, *J* = 8.0 Hz, C), 130.21 (d, *J* = 8.1 Hz, CH), 129.04 (CH), 127.62 (CH), 123.59 (CH), 120.12 (d, *J* = 2.9 Hz, CH), 119.55 (C), 116.39 (CH), 114.83 (d, *J* = 22.9 Hz, CH), 111.52 (d, *J* = 23.9 Hz, CH), 98.03 (CH), 23.86 (CH$_2$). ESI(+)-MS: m/z (%) = 227 (100) [M + H]$^+$,

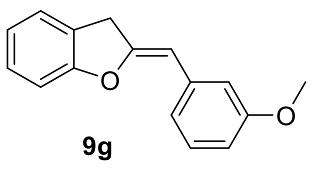
$C_{15}H_{11}FO$ [226.25]: calcd. for C, 79.63; H, 4.90; found C, 79.42; H, 4.90. **9f**: ^{1}H NMR (400 MHz, $CDCl_3$): 7.52 (d, *J* = 10.7 Hz, 1H), 7.38 – 7.22 (m, 4H), 7.12 – 6.99 (m, 2H), 6.90 (m, 1H), 5.63 (t, *J* = 2.3 Hz, 1H), 4.11 (s, 2H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 163.02 (d, *J* = 243.3 Hz, C), 158.58 (C), 155.60 (C), 137.69 (d, *J* = 8.7 Hz, C), 129.58 (d, *J* = 8.7 Hz, CH), 128.29 (CH), 124.56 (CH), 123.49 (d, *J* = 2.6 Hz, CH), 122.53 (CH), 114.31 (d, *J* = 22.4 Hz, CH), 112.43 (d, *J* = 21.4 Hz, CH), 109.93 (CH), 100.93 (d, *J* = 2.8 Hz, CH), 34.65 (CH_2). One C is missing, probably overlapped. ESI(+)-MS: m/z (%) = 227 (100) [M + H]$^+$, $C_{15}H_{11}FO$ [226.25]: calcd. for C, 79.63; H, 4.90; found C, 79.83; H, 4.89.

2-(3-methoxyphenyl)-4*H*-chromene (8g) and (*Z*)-2-(3-methoxybenzylidene)-2,3-dihydrobenzofuran (9g).



8g

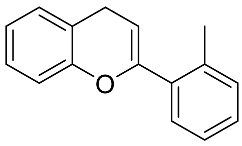
+



9g

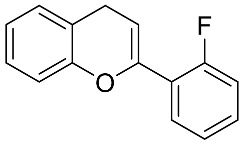
The general procedure was followed using 2-(3-(3-methoxyphenyl)prop-2-yn-1-yl)phenol **6g** (48 mg, 0.2 mmol), JohnPhosAuNTf$_2$ (7 mg, 0.01 mmol). Purification of the crude by flash chromatography (SiO_2, Hex/ EtOAc 98:2 → 95:5) yielded **8g** (22 mg, 46%) and **9g** (6 mg, 13%), both as yellow oils. **8g**: ^{1}H NMR (300 MHz, $CDCl_3$): 7.36 – 7.14 (m, 4H), 7.14 – 6.96 (m, 3H), 6.88 (dt, *J* = 7.3, 2.3 Hz, 1H), 5.51 (t, *J* = 3.9 Hz, 1H), 3.86 (s,34H), 3.59 (d, *J* = 3.9 Hz, 2H). The complete characterization was not possible due to the poor stability of the product. **9g**: ^{1}H NMR (300 MHz, $CDCl_3$): 7.33 – 7.16 (m, 5H), 7.08 – 6.94 (m, 2H), 6.75 (ddd, *J* = 7.8, 2.6, 1.4 Hz, 1H), 5.60 (t, *J* = 2.2 Hz, 1H), 4.09 (s, 2H), 3.86 (s, 3H). The complete characterization was not possible due to the poor stability of the product.

2-(*o*-tolyl)-4*H*-chromene (8h).



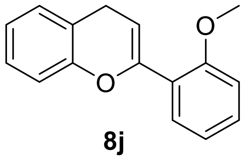
The general procedure was followed using 2-(3-(*o*-tolyl)prop-2-yn-1-yl)phenol **6h** (44 mg, 0.2 mmol), JohnPhosAuNTf$_2$ (7 mg, 0.01 mmol). Purification of the crude by flash chromatography (SiO_2, Hex) yielded **8h** (34.23mg, 77%) as an amorphous white solid. ^{1}H NMR (400 MHz, Acetone-D$_6$): 7.38 (dd, 1H), 7.34 – 7.12 (m, 5H), 7.05 (ddd, *J* = 1.3 Hz, 1H), 6.94 (dd, *J* = 1.3 Hz, 1H), 5.15 (t, *J* = 3.7 Hz, 1H), 3.59 (d, *J* = 3.7, 0.9 Hz, 2H), 2.41 (s, 3H). ^{13}C NMR (101 MHz, Acetone-D$_6$): 152.06 (C), 151.02 (C), 136.40 (C), 135.54 (C), 130.32 (CH), 129.11 (CH), 128.91 (CH), 128.63 (CH), 127.50 (CH), 125.65 (CH), 123.38 (CH), 119.86 (C), 116.18 (CH), 99.82 (CH), 24.11 (CH_2), 19.55 (CH_3). ESI(+)-MS: m/z (%) = 223 (100) [M + H]$^+$, $C_{16}H_{14}O$ [222.29]: calcd. for C, 86.45; H, 6.35; found C, 86.75; H, 6.37.

2-(3-(2-fluorophenyl)prop-2-yn-1-yl)phenol (8i).



General procedure was followed using 2-(3-(2-fluorophenyl)prop-2-yn-1-yl)phenol **6i** (45 mg, 0.2 mmol), JohnPhosAuNTf$_2$ (7 mg, 0.01 mmol). Purification of the crude by flash chromatography (SiO_2, Hex) yielded **8i** (24 mg, 53%) as a colourless oil. ^{1}H NMR (300 MHz, $CDCl_3$): 7.74 (td, *J* = 7.8, 1.9 Hz, 1H), 7.27 (m, 1H), 7.22 – 7.12 (m, 2H), 7.12 – 6.98 (m, 4H), 5.68 (t, *J* = 3.9 Hz, 1H), 3.61 (d, *J* = 4.0 Hz, 2H). The complete characterization was not possible because of the product instability.

2-(2-methoxyphenyl)-4*H*-chromene (8j) and (*Z*)-2-(2-methoxybenzylidene)-2,3-dihydrobenzofuran (9j).



8j

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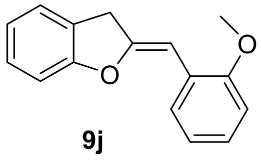
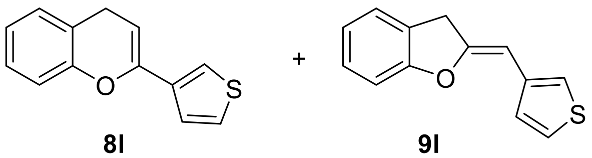


9j

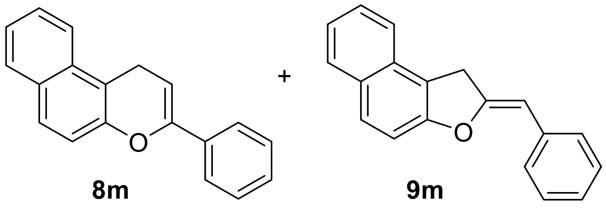
The general procedure was followed using 2-(3-(p-tolyl)prop-2-yn-1-yl)phenol **6j** (48 mg, 0.2 mmol), JohnPhosAuNTf$_2$ (7 mg, 0.01 mmol). Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 98:2 → 95:5) yielded **8j** with **9j** in an inseparable mixture (48 mg, 85% of **8j,** and 15% of **9j**) as a sticky pale-yellow oil. The signals are referred to **8j.** ^{1}H NMR (300 MHz, $CDCl_3$): 7.68 (dd, *J* = 7.7, 1.8 Hz, 1H), 7.35 (ddd, *J* = 8.2, 7.4, 1.8 Hz, 1H), 7.19 (dttt, *J* = 7.0, 1.6, 0.7 Hz, 1H), 7.15 – 7.09 (m, 1H), 7.09 – 6.95 (m, 4H), 5.75 (t, *J* = 3.9 Hz, 1H), 3.91 (s, 3H), 3.62 (d, *J* = 3.9 Hz, 2H). ^{13}C NMR (101 MHz, CD_2Cl_2): 157.09 (C), 152.34 (C), 146.21 (C), 129.31 (CH), 128.84 (CH), 128.37 (CH), 127.29 (CH), 123.69 (C), 123.02 (CH), 120.29 (CH), 120.10 (C), 116.27 (CH), 111.35 (CH), 101.33 (CH), 55.54 (CH), 24.54 (CH).

2-(thiophen-3-yl)-4*H*-chromene (8l) and (*Z*)-2-(thiophen-3-ylmethylene)-2,3-dihydrobenzofuran (9l).


8l + **9l**

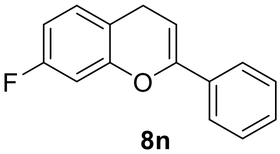
The general procedure was followed using 2-(3-(thiophene-3-yl)prop-2-yn-1-yl)phenol **6l** (43 mg, 0.2 mmol), JohnPhosAuNTf$_2$ (7 mg, 0.01 mmol). Purification of the crude by flash chromatography (SiO$_2$, Hex) yielded **8l** (20 mg, 46%) and **9l** (14 mg, 33%), both as amorphous white solids. **8l**: ^{1}H NMR (400 MHz, Acetone-D$_6$): 7.69 (m, 1H), 7.50 (dd, *J* = 5.1, 3.0 Hz, 1H), 7.41 (dd, *J* = 5.1, 1.3 Hz, 1H), 7.20 (m, 1H), 7.13 (m, *J* = 0.9 Hz, 1H), 7.06 – 7.00 (m, 2H), 5.60 (t, *J* = 3.9 Hz, 1H), 3.57 (d, *J* = 3.9 Hz, 2H). ^{13}C NMR (101 MHz, Acetone-D$_6$): 151.74 (C), 145.99 (C), 136.56 (C), 129.09 (CH), 127.51 (CH), 126.13 (CH), 124.40 (CH), 123.33 (CH), 120.55 (CH), 119.69 (C), 116.33 (CH), 96.19 (CH), 23.61 (CH$_2$). ESI(+)-MS: m/z (%) = 215 (100) [M + H]$^+$, $C_{13}H_{10}OS$ [214.28]: calcd. for C, 72.87; H, 4.70; found C, 73.07; H, 4.69. **9l**: ^{1}H NMR (400 MHz, Acetone-D$_6$): 7.53 (m, 1H), 7.42 (d, *J* = 2.1 Hz, 2H), 7.33 (d, *J* = 7.4, 1.3, 0.6 Hz, 1H), 7.26 (m, 1H), 7.10 (d, *J* = 8.1, 1.1 Hz, 1H), 7.02 (m , 1H), 5.84 (t, *J* = 0.5 Hz, 1H), 4.12 (s, 2H). ^{13}C NMR (101 MHz, Acetone-D$_6$): 158.47 (C), 153.64 (C), 136.43 (C), 128.14 (CH), 128.10 (CH), 125.35 (C), 124.83 (CH), 124.64 (CH), 122.36 (CH), 120.54 (CH), 109.44 (CH), 96.59 (CH), 33.30 (CH$_2$). ESI(+)-MS: m/z (%) = 215 (100) [M + H]$^+$, $C_{13}H_{10}OS$ [214.28]: calcd. for C, 72.87; H, 4.70; found C, 72.69; H, 4.72.

3-phenyl-1*H*-benzo[*f*]chromene (8m) and (*Z*)-2-benzylidene-1,2-dihydronaphtho[2,1-*b*]furan (9m).


8m + **9m**

The general procedure was followed using 1-(3-phenylprop-2-yn-1-yl)naphthalen-2-ol **6m** (51 mg, 0.2 mmol), JohnPhosAuNTf$_2$ (7 mg, 0.01 mmol). Purification of the crude by flash chromatography (SiO$_2$, Hex) yielded **8m** (35 mg, 68%) as an amorphous white solid and **9m** (14 mg, 28%) as a yellow solid. **8m**: ^{1}H NMR (400 MHz, Acetone-D$_6$): 7.92 (d, *J* = 8.1 Hz, 1H), 7.87 – 7.81 (m, 4H), 7.61 (ddd, *J* = 8.3, 6.9, 1.3 Hz, 1H), 7.52 – 7.44 (m, 3H), 7.42 – 7.37 (m, 1H), 7.34 (d, *J* = 8.9 Hz, 1H), 5.92 (t, *J* = 3.9 Hz, 1H), 3.92 (d, *J* = 3.8 Hz, 2H). ^{13}C NMR (101 MHz, Acetone-D$_6$): 148.81 (C), 148.14 (C), 134.22 (C), 132.06 (C), 130.64 (C), 128.38 (CH), 128.36 (CH), 128.26 (CH), 126.73 (CH), 124.31 (CH), 124.28 (CH), 122.59 (CH), 117.80 (CH), 111.26 (C), 96.65 (CH), 21.65 (CH$_2$). ESI(+)-MS: m/z (%) = 259 (65) [M + H]$^+$, $C_{19}H_{14}O$ [258.32]: calcd. for C, 88.34; H, 5.46; found C, 88.14; H, 5.45. **9m**: ^{1}H NMR (300 MHz, $CDCl_3$): 7.86 (m, 1H), 7.78 (m, 1H), 7.71 (m, 2H), 7.54 (m, 1H), 7.43 – 7.33 (m, 4H), 7.20 (m, 1H), 5.77 (t, *J* = 2.4 Hz, 1H), 4.38 (d, J = 2.4 Hz, 2H). ^{13}C NMR (75 MHz, Acetone-D$_6$): 155.92 (C), 155.32 (C), 135.77 (C), 130.26 (C), 130.06 (C), 129.33 (CH), 128.78 (CH), 128.24 (CH), 127.81 (CH), 127.10 (CH), 125.58 (CH), 123.89 (CH), 123.11 (CH), 117.81 (C), 111.34 (CH), 102.30 (CH), 33.23 (CH$_2$). ESI(+)-MS: m/z (%) = 259 (65) [M + H]$^+$, $C_{19}H_{14}O$ [258.32]: calcd. for C, 88.34; H, 5.46; found C, 88.23; H, 5.47.

7-fluoro-2-phenyl-4*H*-chromene (8n) and (*Z*)-2-benzylidene-6-fluoro-2,3-dihydrobenzofuran (9n).


8n

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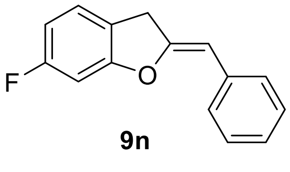
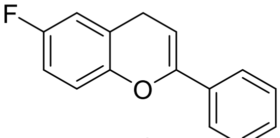
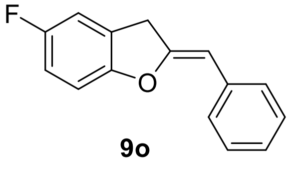

9n

The general procedure was followed using 5-fluoro-2-(3-phenylprop-2-yn-1-yl)phenol **6n** (45 mg, 0.2 mmol), JohnPhosAuNTf$_2$ (7 mg, 0.01 mmol). Purification of the crude by flash chromatography (SiO$_2$, Hex) yielded **8n** (32 mg, 70%) as a pale yellow oil and **9n** (15 mg, 30%) as a white solid. **8n**: ^{1}H NMR (300 MHz, Acetone-D$_6$): 7.75 – 7.70 (m, 2H), 7.45 – 7.33 (m, 3H), 7.21 – 7.14 (m, 1H), 6.89 – 6.79 (m, 2H), 5.73 (t, *J* = 4.0 Hz, 1H), 3.56 (d, *J* = 4.0 Hz, 2H). ^{13}C NMR (75 MHz, Acetone-D$_6$): 161.82 (d, *J* = 242.4 Hz, C), 152.58 (d, *J* = 12.1 Hz, C), 148.38 (C), 133.92 (C), 130.21 (d, *J* = 9.5 Hz, CH), 128.40 (CH), 128.33 (CH), 124.24 (CH), 115.79 (d, *J* = 3.2 Hz, C), 110.19 (d, *J* = 21.6 Hz, CH), 103.50 (d, *J* = 25.0 Hz, CH), 96.92 (CH), 23.35 (CH$_2$).ESI(+)-MS: m/z (%) = 227 (100) [M + H]$^+$, $C_{15}H_{11}FO$ [226.25]: calcd. for C, 79.63; H, 4.90; found C, 79.86; H, 4.92. **9n**: ^{1}H NMR (300 MHz, Acetone-D$_6$): 7.68 – 7.62 (m, 2H), 7.37 – 7.30 (m, 3H), 7.21 – 7.14 (m, 1H), 6.96 – 6.90 (m, 1H), 6.80 (ddd, J = 9.6, 8.2, 2.4 Hz, 1H), 5.72 (t, *J* = 2.2 Hz, 1H), 4.11 (s, 2H). ^{13}C NMR (75 MHz, Acetone-D$_6$): 162.82 (d, *J* = 242.3 Hz, C), 159.35 (d, *J* = 13.3 Hz, C), 155.03 (C), 135.41 (C), 128.22 (CH), 127.81 (CH), 125.72 (CH), 125.42 (*J* = 10.2 Hz, CH), 121.03 (d, *J* = 2.8 Hz, C), 108.76 (d, *J* = 22.7 Hz, CH), 102.23 (CH), 98.04 (d, *J* = 27.4 Hz, CH), 33.26 (CH$_2$).). ESI(+)-MS: m/z (%) = 227 (100) [M + H]$^+$, $C_{15}H_{11}FO$ [226.25]: calcd. for C, 79.63; H, 4.90; found C, 79.71; H, 4.90.

6-fluoro-2-phenyl-4H-chromene (8o) and (*Z*)-2-benzylidene-5-fluoro-2,3-dihydrobenzofuran (9o).

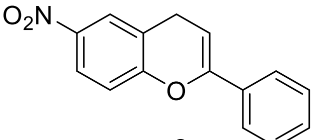

8o

+

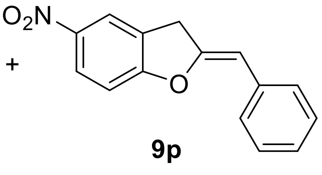

9o

General procedure F was followed using 4-fluoro-2-(3-phenylprop-2-yn-1-yl)phenol **6o** (45 mg, 0.2 mmol), JohnPhosAuNTf$_2$ (7 mg, 0.01 mmol). Purification of the crude by flash chromatography (SiO$_2$, Hex) yielded **8o** (30 mg, 67%) as a white solid and **9o** (5 mg, 11%) as a white wax. **8o**: ^{1}H NMR (400 MHz, Acetone-D$_6$): 7.77 – 7.69 (m, 2H), 7.48 – 7.40 (m, 2H), 7.37 (m, 1H), 7.10 (dd, J = 8.9, 4.9 Hz, 1H), 7.03 – 6.90 (m, 2H), 5.68 (t, *J* = 0.6 Hz, 1H), 3.61 (d, J = 3.9, 1.1 Hz, 2H). ^{13}C NMR (101 MHz, Acetone-D$_6$): 159.54 (d, *J* = 245.53, C), 148.81 (C), 148.07 (C), 134.15 (C), 128.38 (CH), 128.33 (CH), 124.27 (CH), 121.63 (d, *J* = 8.19, C), 117.75 (d, *J* = 8.73, CH), 114.83 (d, *J* = 23.09, CH), 114.1 (d, *J* = 24.67, CH), 95.61 (CH), 24.12 (CH$_2$). ESI(+)-MS: m/z (%) = 227 (100) [M + H]$^+$, $C_{15}H_{11}FO$ [226.25]: calcd. for C, 79.63; H, 4.90; found C, 79.57; H, 4.89. **9o** was isolated together with traces of **8o**. The picks are referred to **9o.** ^{1}H NMR (300 MHz, CDCl$_3$): 7.73 – 7.59 (m, 2H), 7.44 – 7.28 (m, 2H), 7.18 (t, *J* = 7.4 Hz, 1H), 7.06 – 6.85 (m, 3H), 5.60 (t, *J* = 2.3 Hz, 1H), 4.09 (d, *J* = 2.2 Hz, 2H).

6-nitro-2-phenyl-4*H*-chromene (8p) and (*Z*)-2-benzylidene-5-nitro-2,3-dihydrobenzofuran (9p).


8p

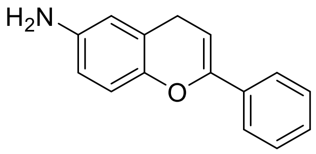
+


9p

The general procedure was followed using 4-nitro-2-(3-phenylprop-2-yn-1-yl)phenol **6p** (51 mg, 0.2 mmol), JohnPhosAuNTf$_2$ (7 mg, 0.01 mmol). Purification of the crude by flash chromatography (SiO$_2$, Hex) yielded **8p** (23 mg, 46%) and **9p** (27 mg, 53%), both as yellow solids. **8p**: ^{1}H NMR (400 MHz, CDCl$_3$): 8.10 (dd, *J* = 8.9 Hz, 1H), 8.05 (m, 1H), 7.72 – 7.61 (m, 3H), 7.48 – 7.35 (m, 3H), 7.13 (d, *J* = 8.9 Hz, 1H), 5.59 (t, *J* = 3.9 Hz, 1H), 3.70 (d, *J* = 3.9 Hz, 2H). ^{13}C NMR (101 MHz, CDCl$_3$): 156.86 (C), 148.94 (C), 143.35 (C), 133.31 (C), 128.87 (CH), 128.48 (CH), 125.14 (CH), 124.48 (CH), 123.73 (CH), 120.71 (C), 117.30 (CH), 96.30 (CH), 24.38 (CH$_2$). ESI(+)-MS: m/z (%) = 254 (100) [M + H]$^+$,

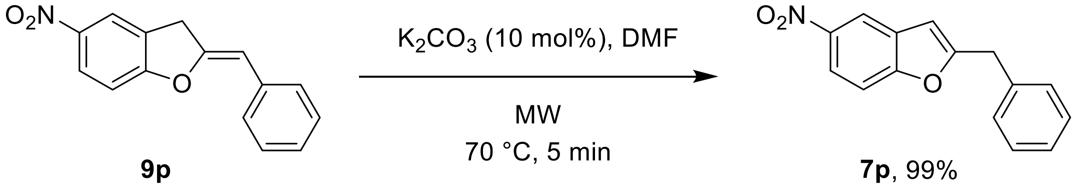
$C_{15}H_{11}NO_3$ [253.26]: calcd. for C, 71.14; H, 4.38; N, 5.53; found C, 70.98; H, 4.40; N, 5.52. **9p:** ^{1}H NMR (400 MHz, $CDCl_3$): 8.23 (dd, *J* = 8.9, 2.4 Hz, 1H), 8.17 (s, *J* = 2.6, 1.3 Hz, 1H), 7.65 (d, 2H), 7.40 (t, *J* = 7.7 Hz, 2H), 7.26 (m, 1H), 7.12 (d, *J* = 8.8 Hz, 1H), 5.75 (t, *J* = 2.3 Hz, 1H), 4.18 (s, 2H). ^{13}C NMR (101 MHz, $CDCl_3$): 163.39 (C), 153.07 (C), 143.38 (C), 134.38 (C), 128.50 (CH), 128.10 (CH), 126.56 (CH), 126.51 (C), 125.70 (CH), 120.82 (CH), 109.77 (CH), 104.32 (CH), 33.72 (CH_2). ESI(+)-MS: m/z (%) = 254 (100) $[M + H]^+$, $C_{15}H_{11}NO_3$ [253.26]: calcd. for C, 71.14; H, 4.38; N, 5.53; found C, 71.08; H, 4.34; N, 5.55.

2-phenyl-4*H*-chromen-6-amine (8q).

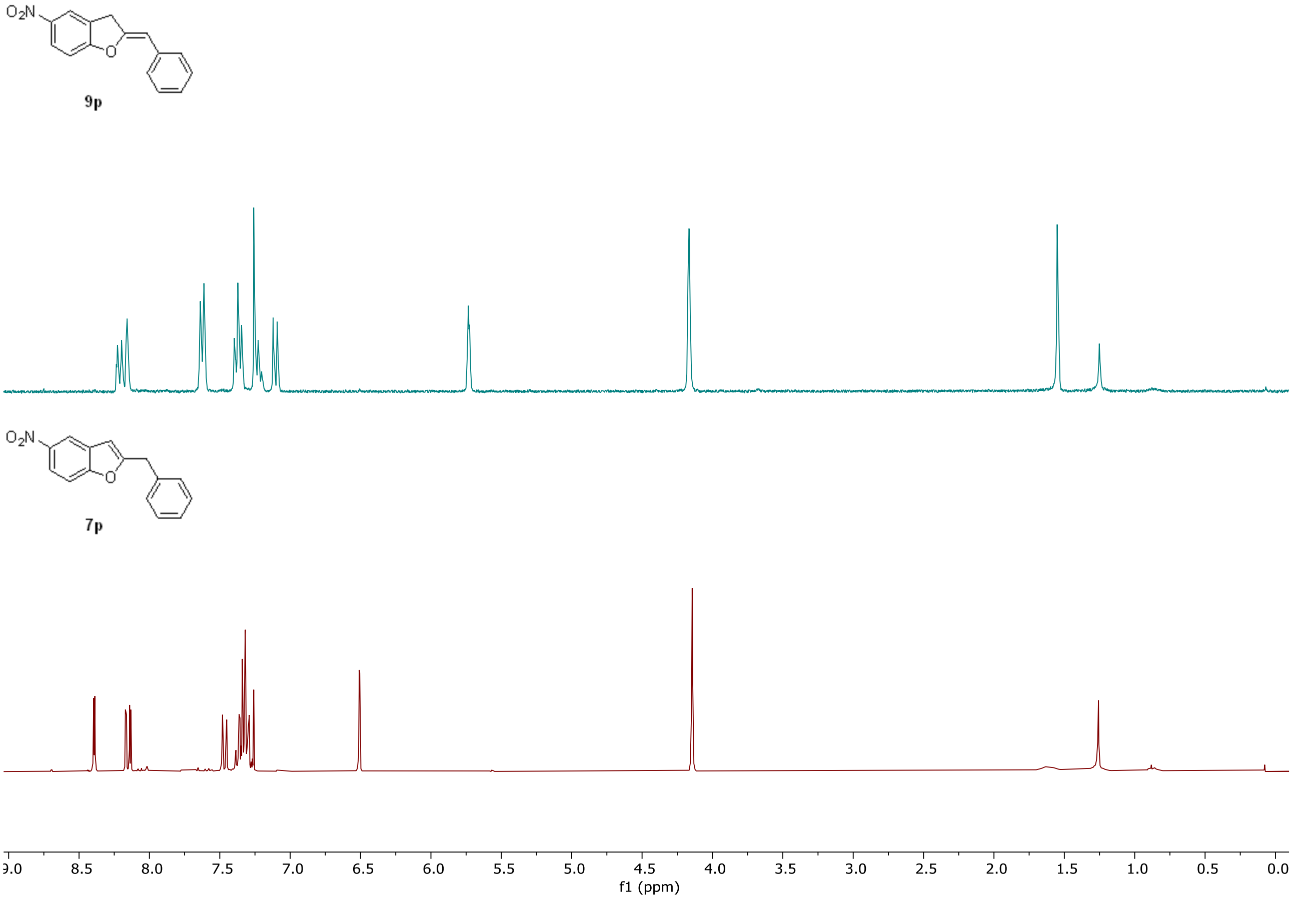


The general procedure was followed using 4-amino-2-(3-phenylprop-2-yn-1-yl)phenol **6q** (45 mg, 0.2 mmol), JohnPhosAuNTf$_2$ (7 mg, 0.01 mmol). Purification of the crude by flash chromatography (SiO_2, Hex/EtOAc 3:1) yielded **8q** (11 mg, 25%) as an amorphous white solid. ^{1}H NMR (400 MHz, CD_2Cl_2): 7.73 – 7.68 (m, 2H), 7.44 – 7.33 (m, 3H), 6.88 (d, *J* = 8.5 Hz, 1H), 6.55 (ddt, *J* = 8.5, 2.7, 0.8 Hz, 1H), 6.45 (d, *J* = 2.7 Hz, 1H), 5.52 (t, *J* = 3.9 Hz, 1H), 3.53 (d, *J* = 3.9 Hz, 2H), 3.45 (bs, 2H). ^{13}C NMR (101 MHz, CD_2Cl_2): 148.94 (C), 144.60 (C), 142.50 (C), 134.75 (C), 128.21 (CH), 128.09 (CH), 124.33 (CH), 120.31 (C), 117.00 (CH), 114.48 (CH), 114.33 (CH), 95.52 (CH), 24.58 (CH_2). ESI(+)-MS: m/z (%) = 224 (100) $[M + H]^+$, $C_{15}H_{13}NO$ [223.28]: calcd. for C, 80.69; H, 5.87; N, 6.27; found C, 80.91; H, 5.86; N, 6.30.

Isomerization of 9p to 7p

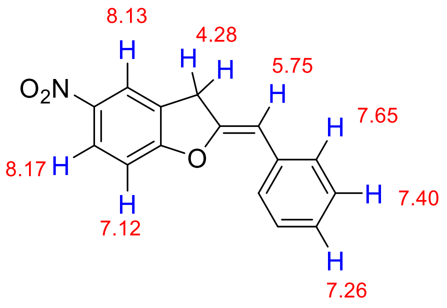


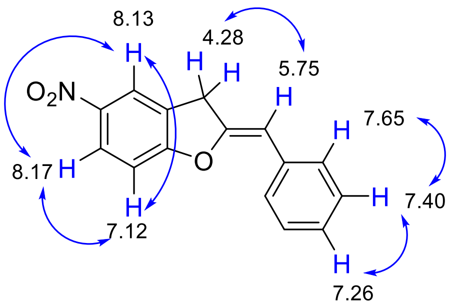
In a microwave vial, K_2CO_3 (1 mg, 0.006 mmol) was added to a solution of (*Z*)-2-benzylidene-5-nitro-2,3-dihydrobenzofuran **9p** (15 mg, 0.06 mmol) in DMF (0.1 M). The mixture-was heated at 70°C under microwave heating for 5 min. Then, water was added to the mixture and extracted with EtOAc. The combined organic layers were dried over Na_2SO_4, filtered, and concentrated under reduced pressure to yield **7p** (quantitative).



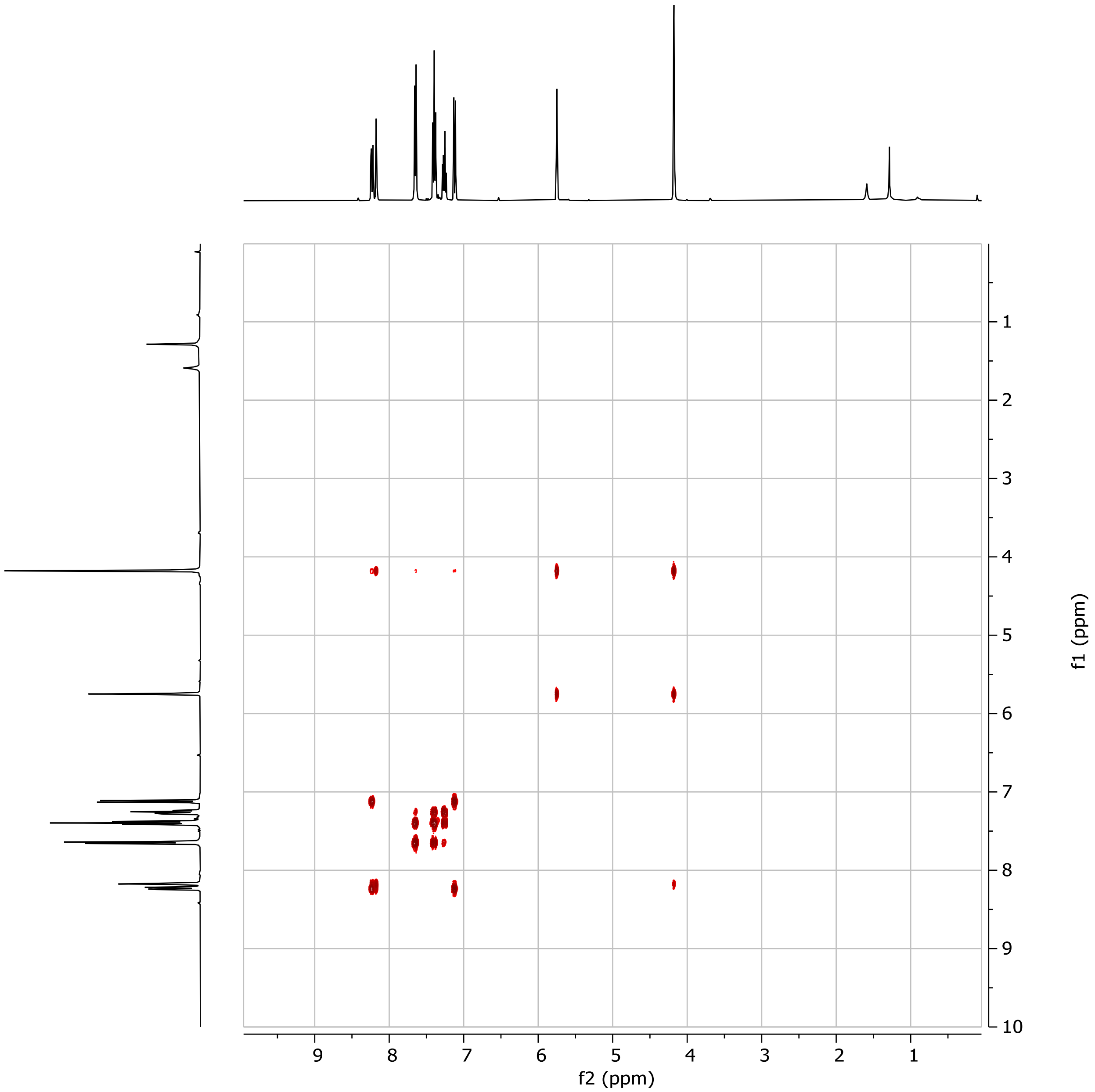
COSY-, HSQC- and NOESY-NMR of product 9p

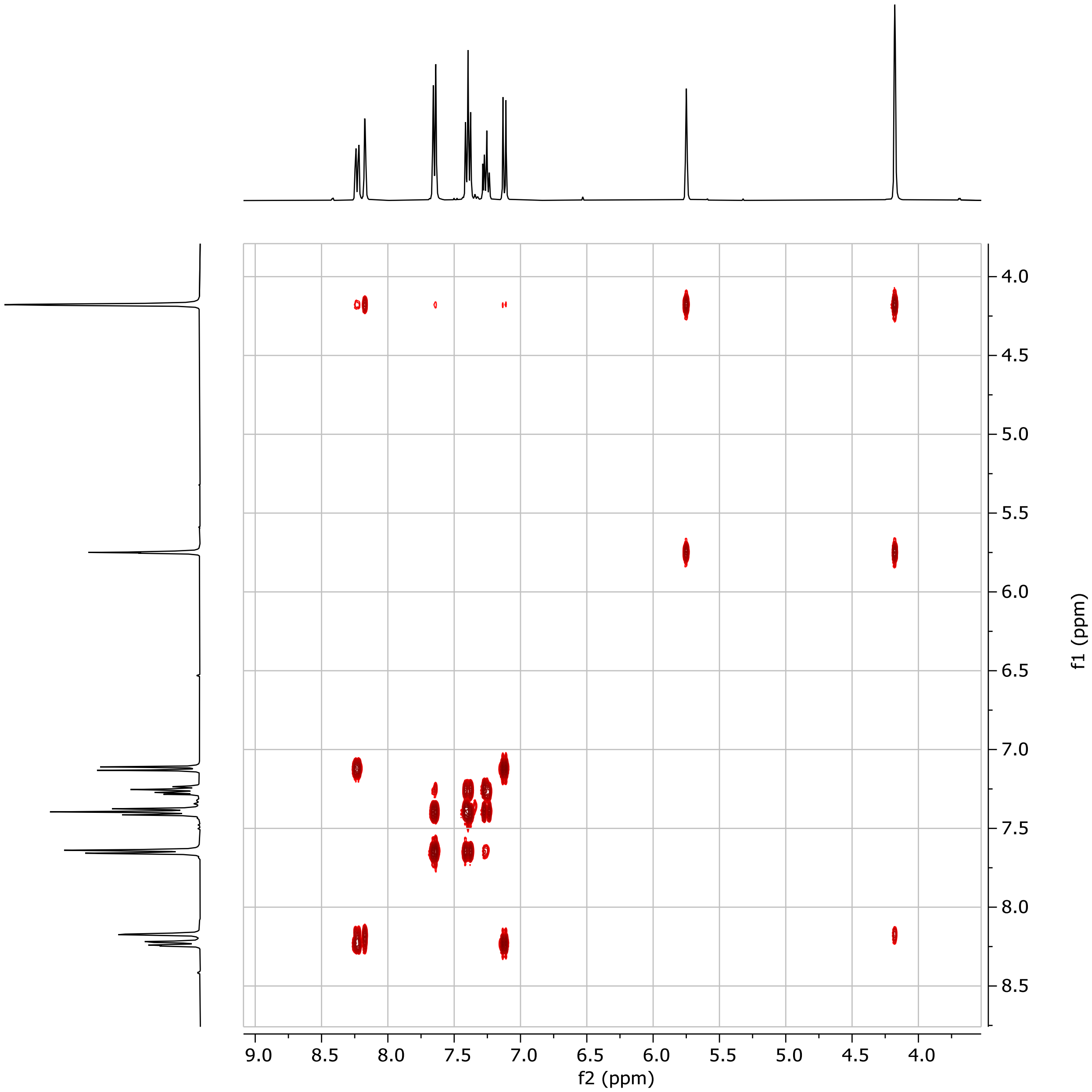
9p, COSY in $CDCl_3$ at T = 300 K





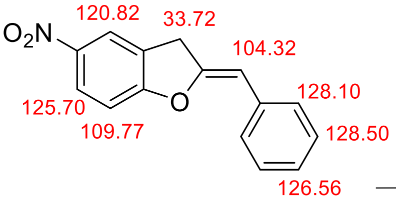
Diagnostic cross picks

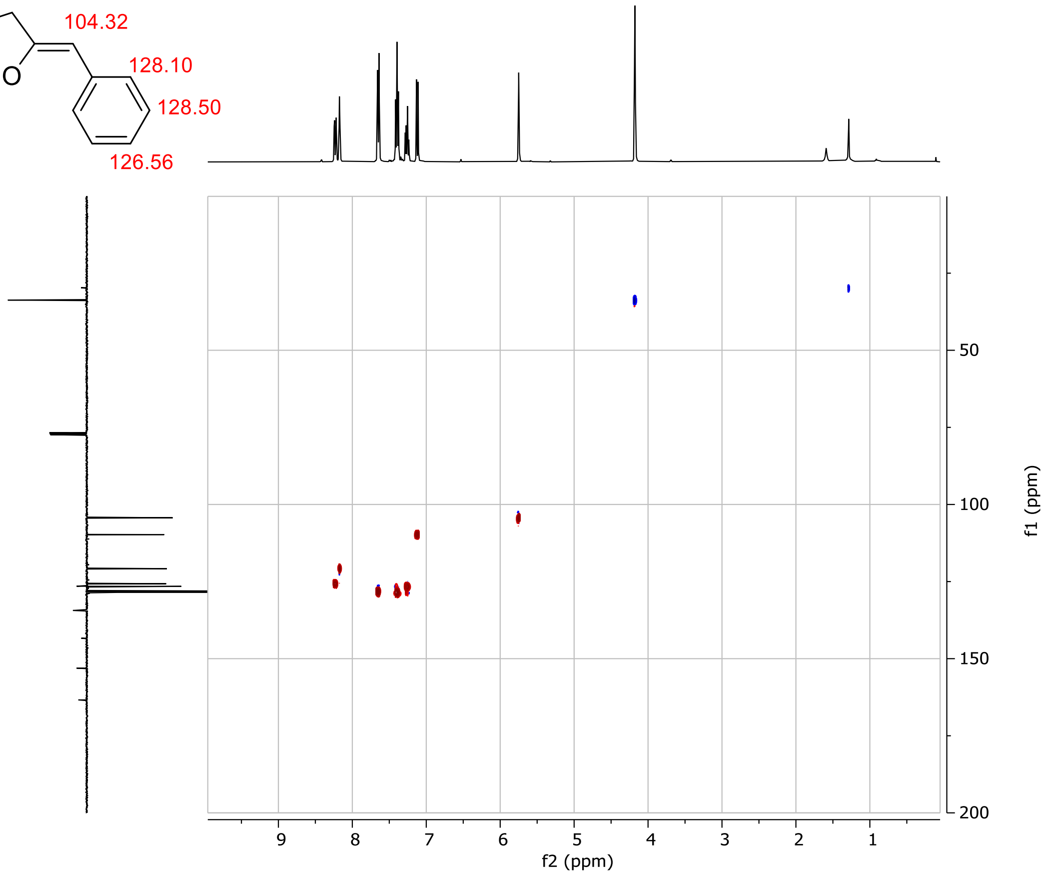


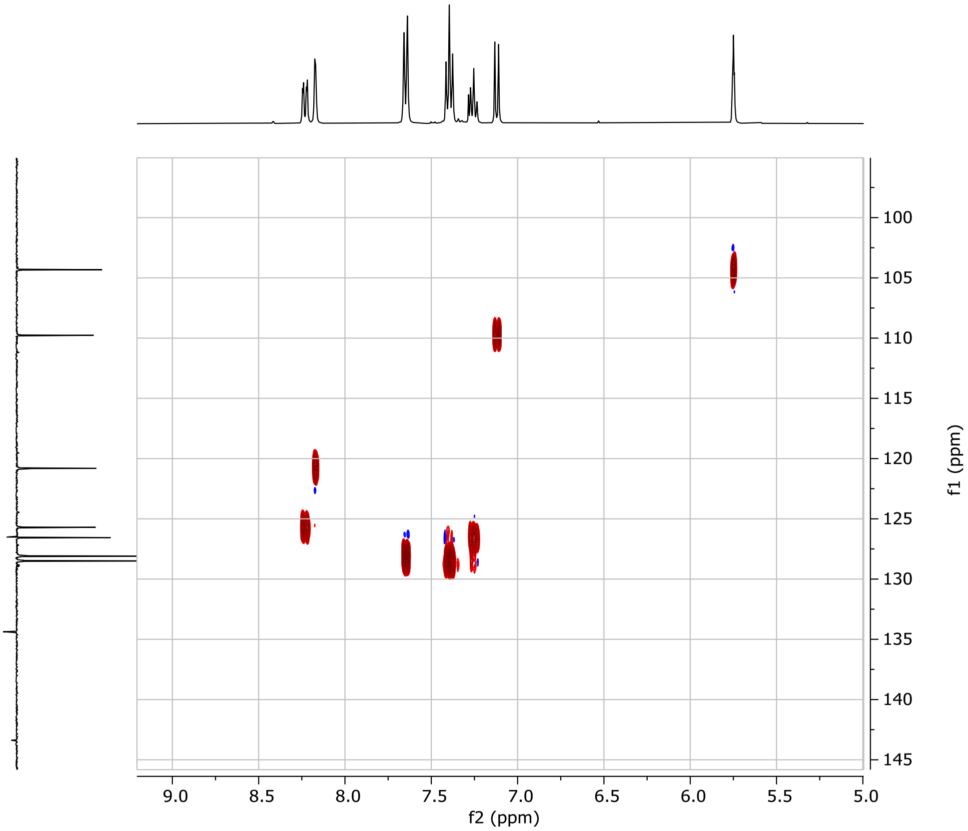


4.0
4.5
5.0
5.5
6.0
6.5
7.0
7.5
8.0
8.5
f1 (ppm)
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
f2 (ppm)

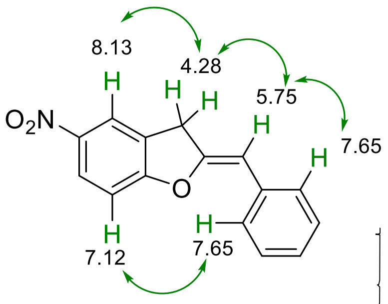
9p, HSQC in $CDCl_3$ at T = 300 K



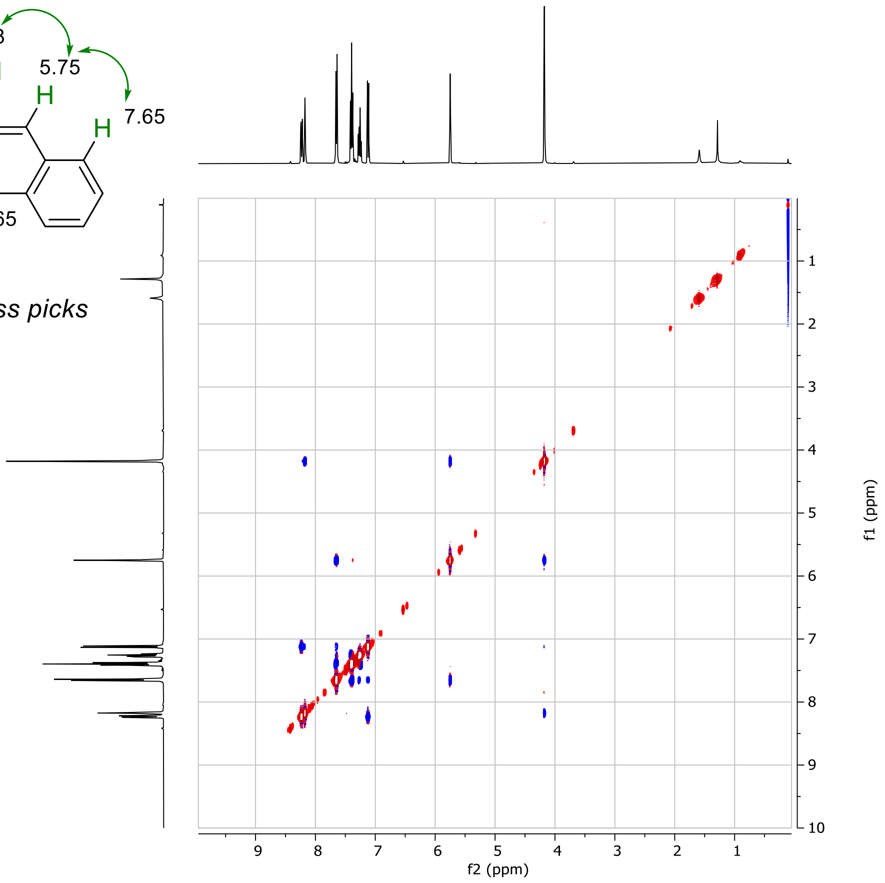


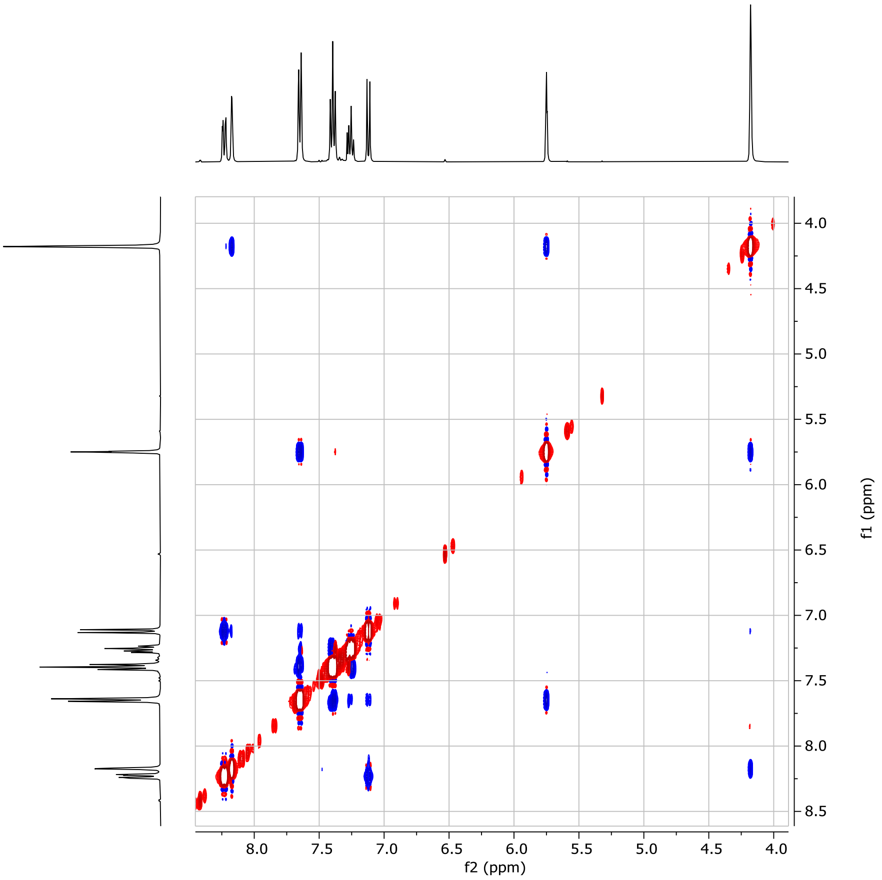


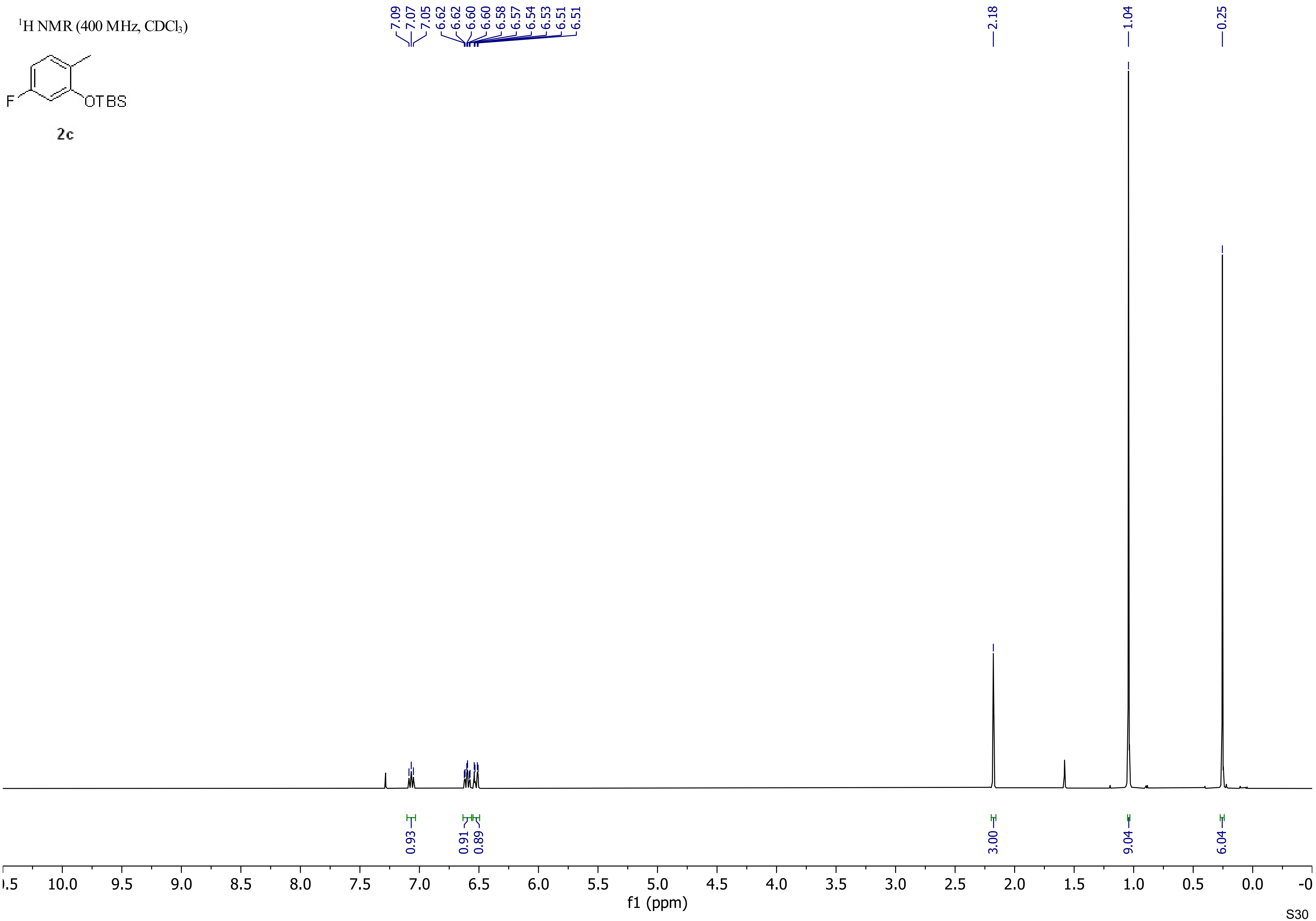
9p, NOESY in CDCl$_3$ at T = 300 K



Diagnostic cross picks



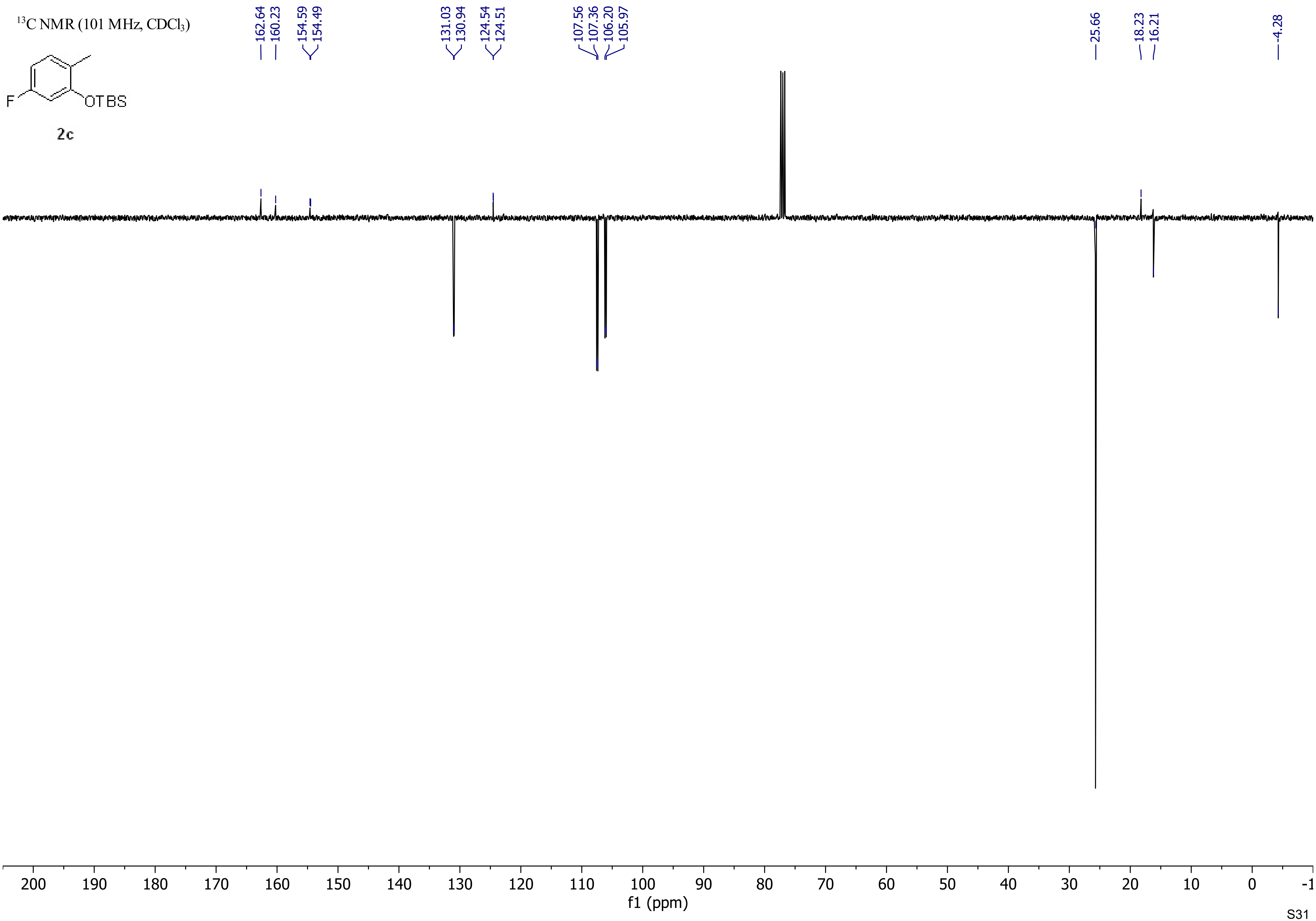




^1H NMR (400 MHz, $CDCl_3$)

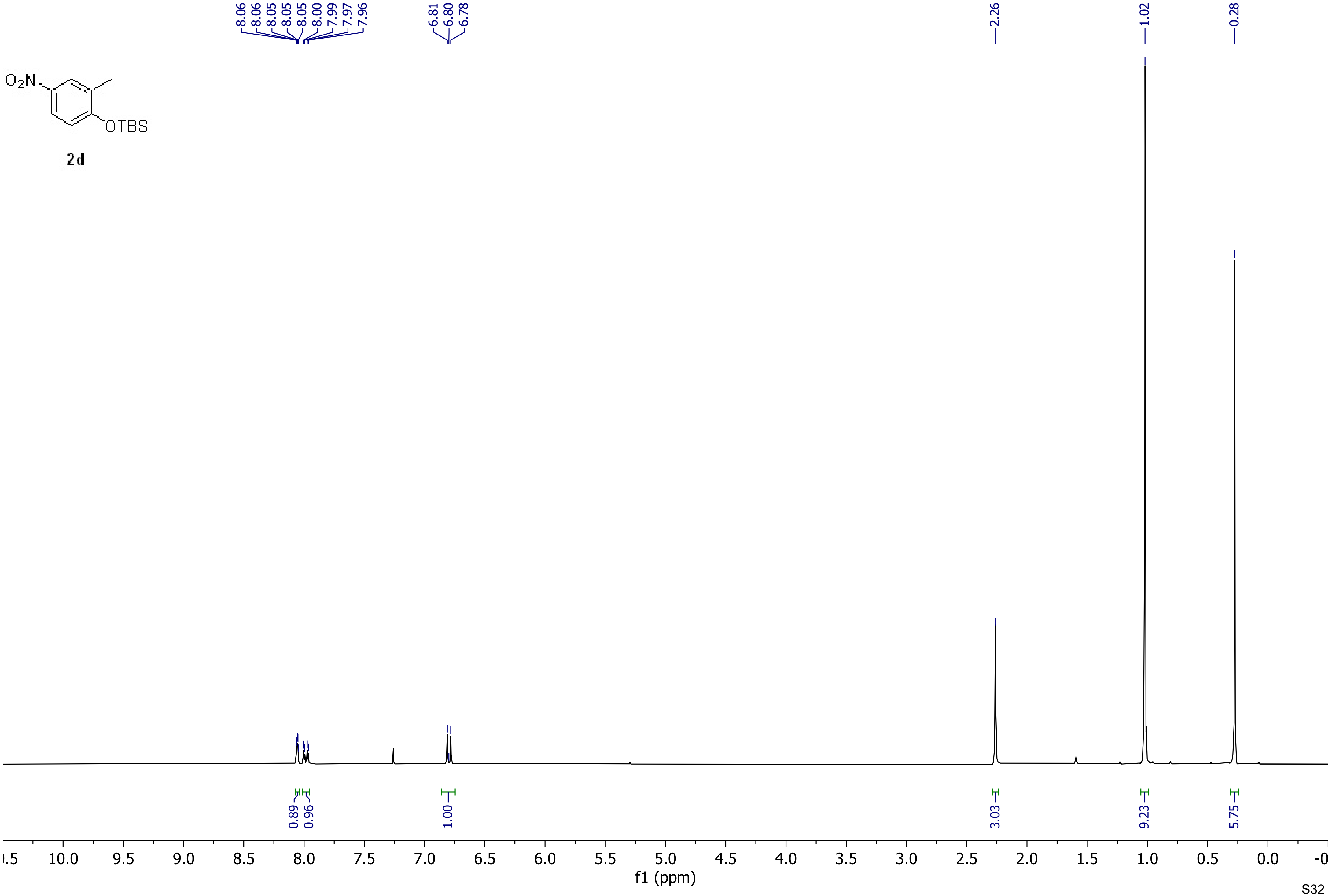
2c

^{13}C NMR (101 MHz, $CDCl_3$)



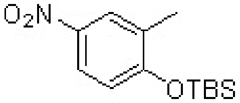
2c

^{1}H NMR (300 MHz, CDCl$_3$)

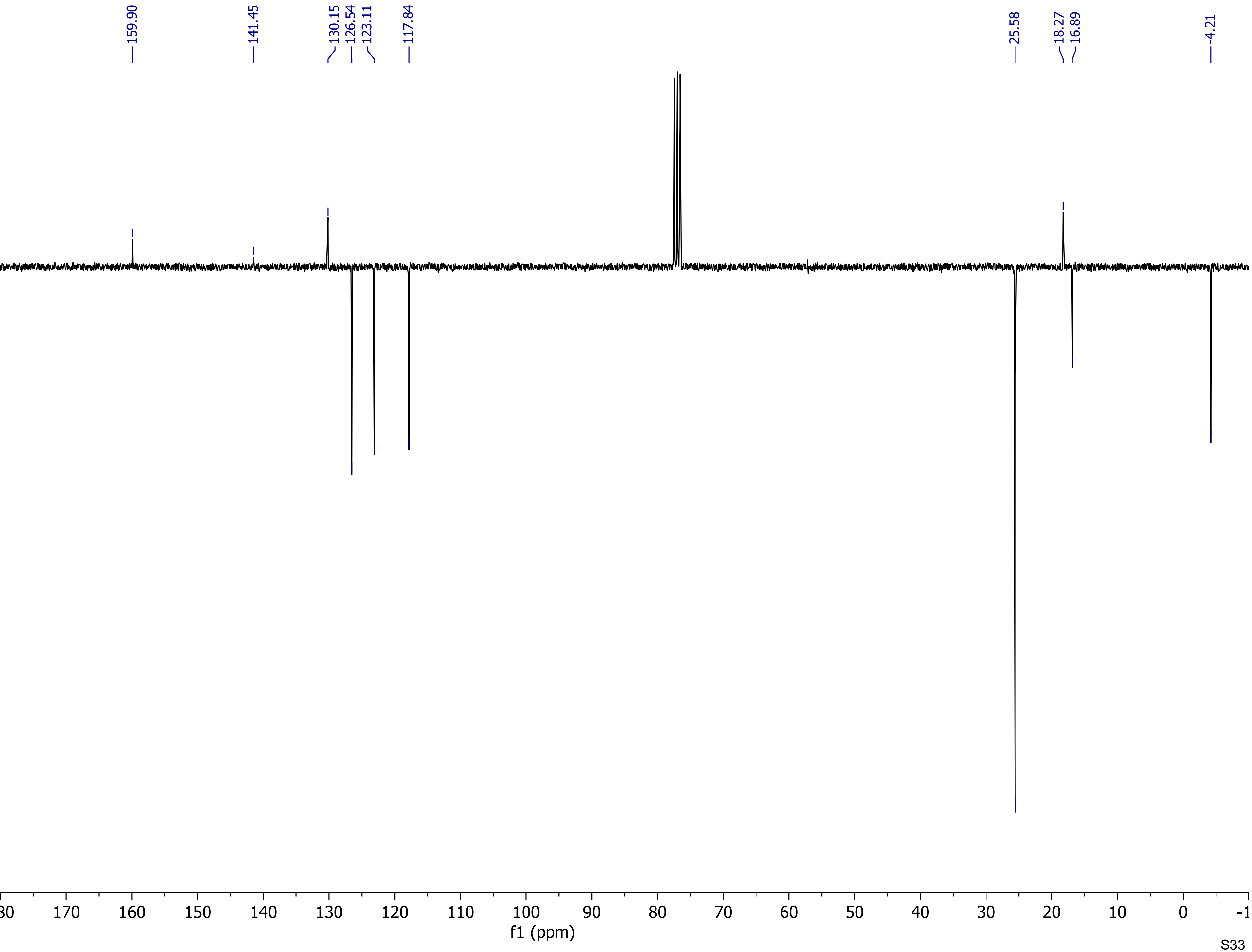


2d

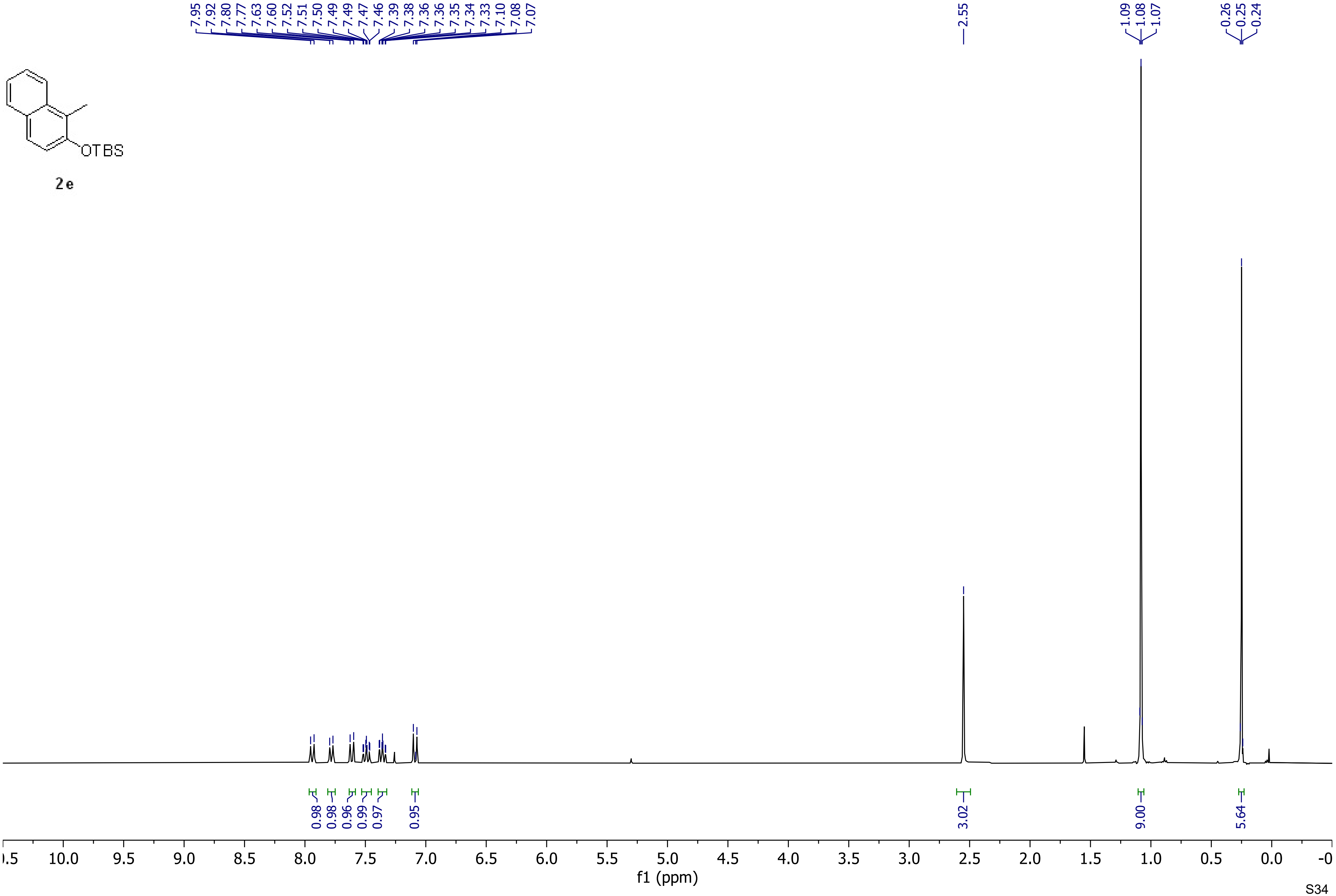
^{13}C NMR (75 MHz, CDCl$_3$)



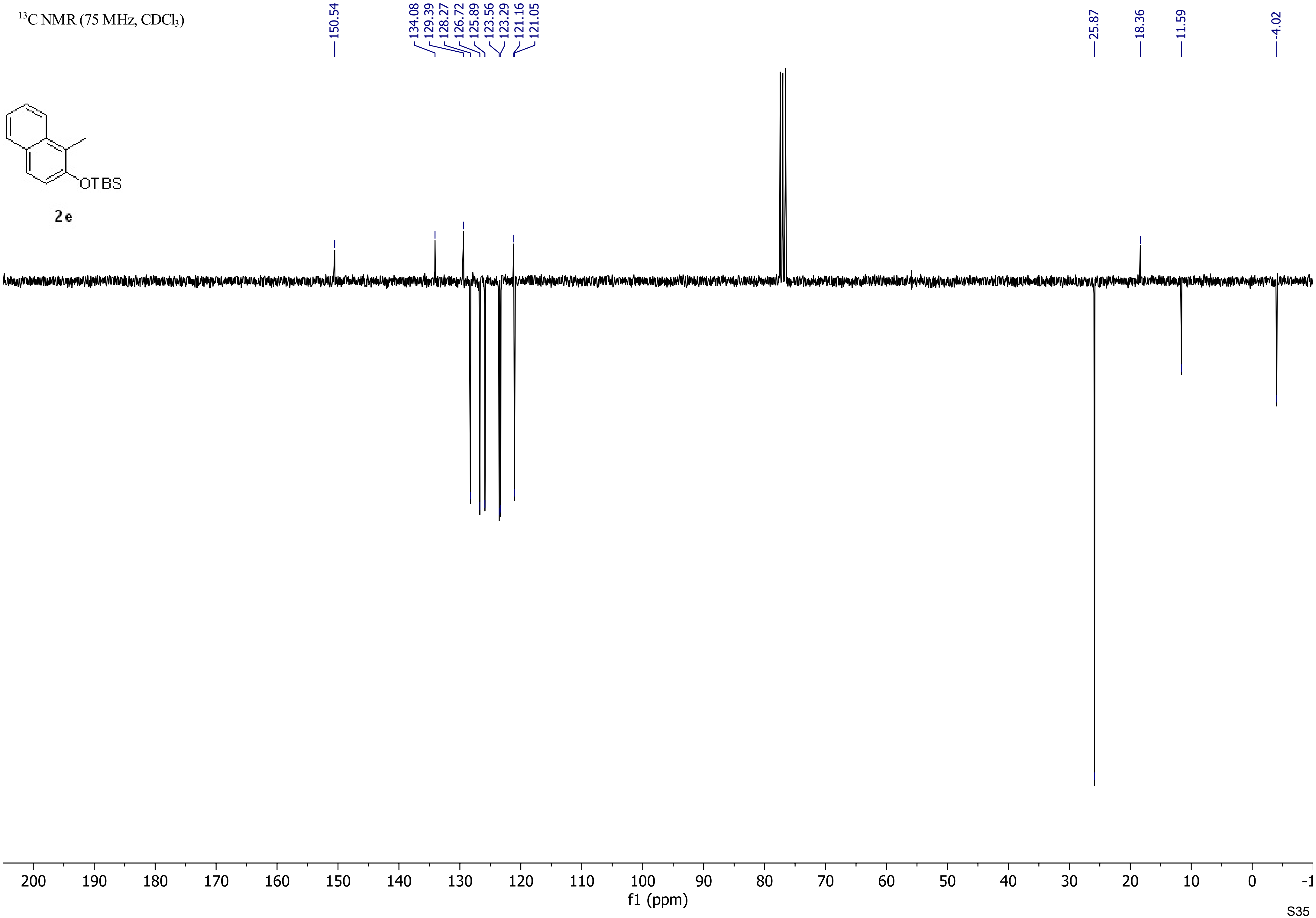
2d



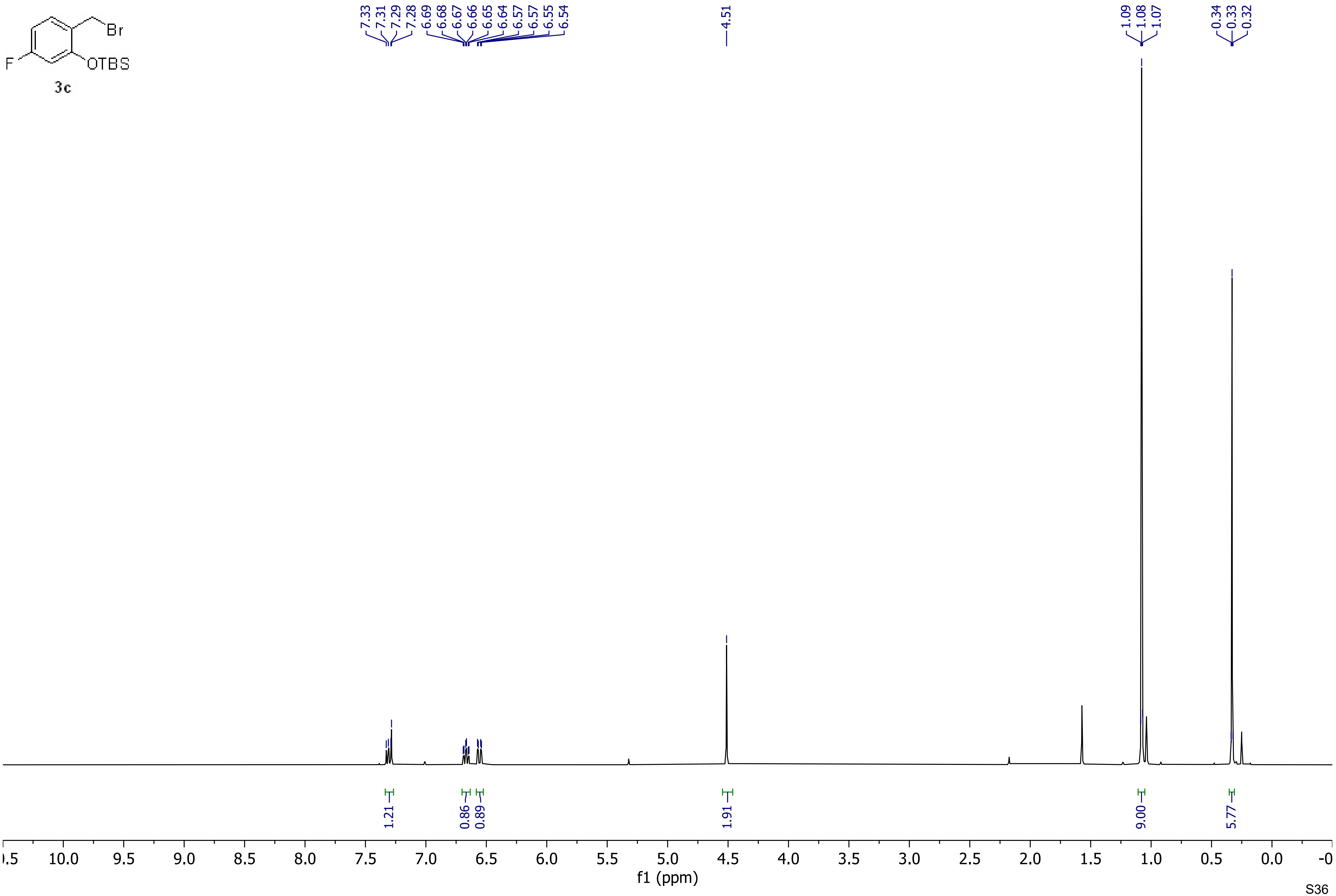
^{1}H NMR (300 MHz, $CDCl_3$)



^{13}C NMR (75 MHz, CDCl$_3$)

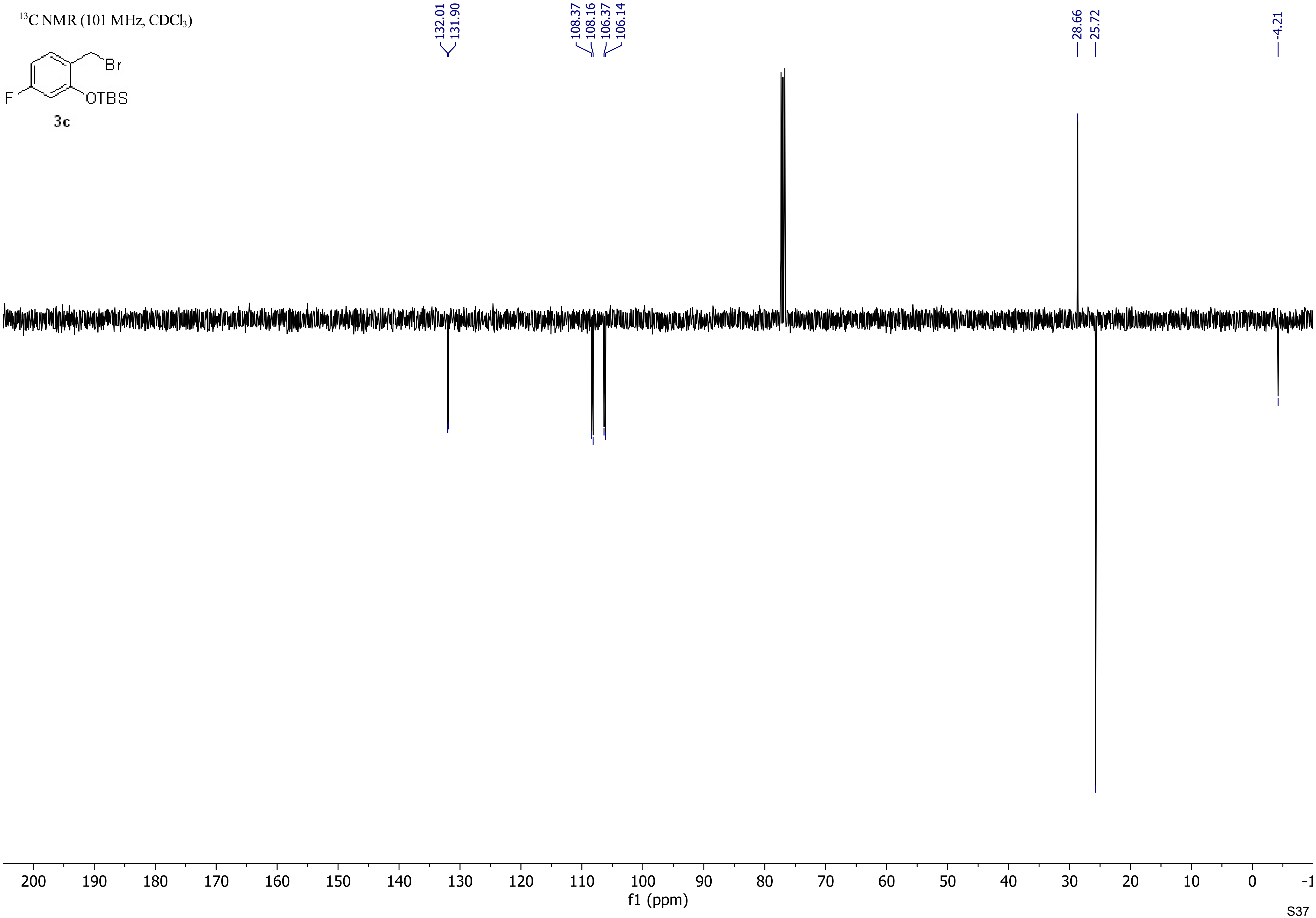


^1H NMR (400 MHz, $CDCl_3$)

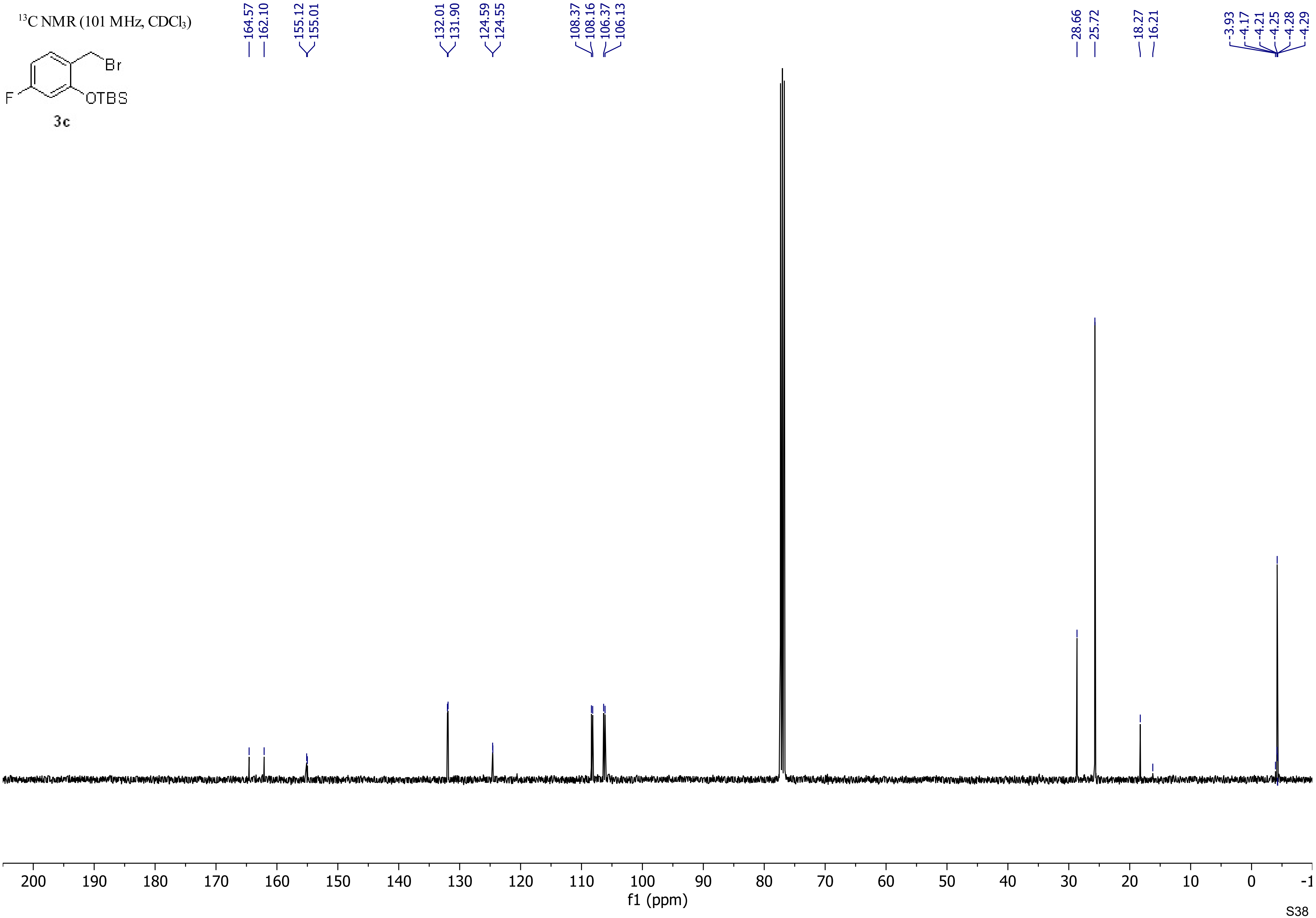


3c

^{13}C NMR (101 MHz, CDCl$_3$)

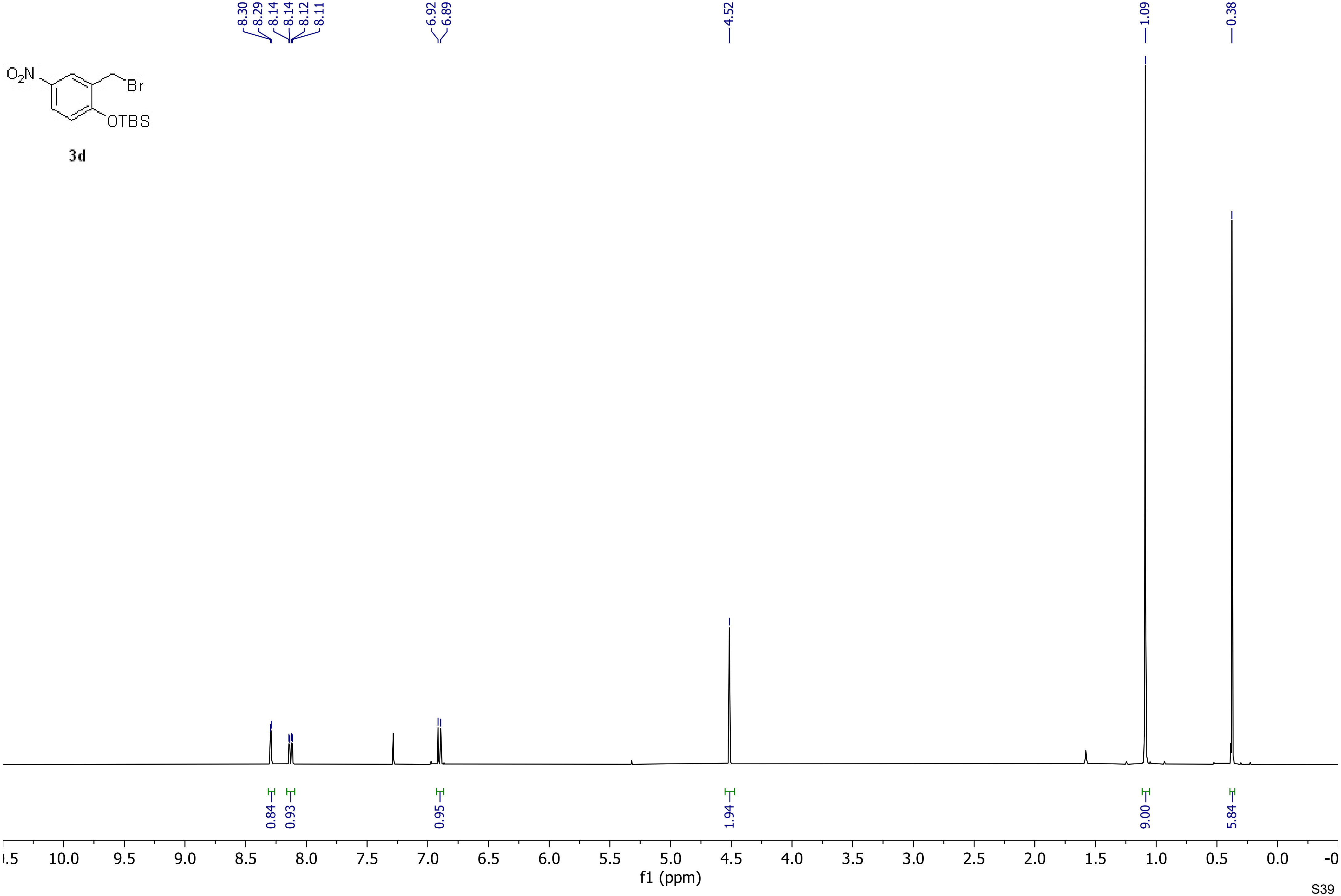

3c

^{13}C NMR (101 MHz, $CDCl_3$)

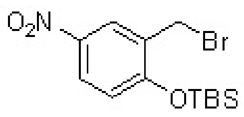


3c

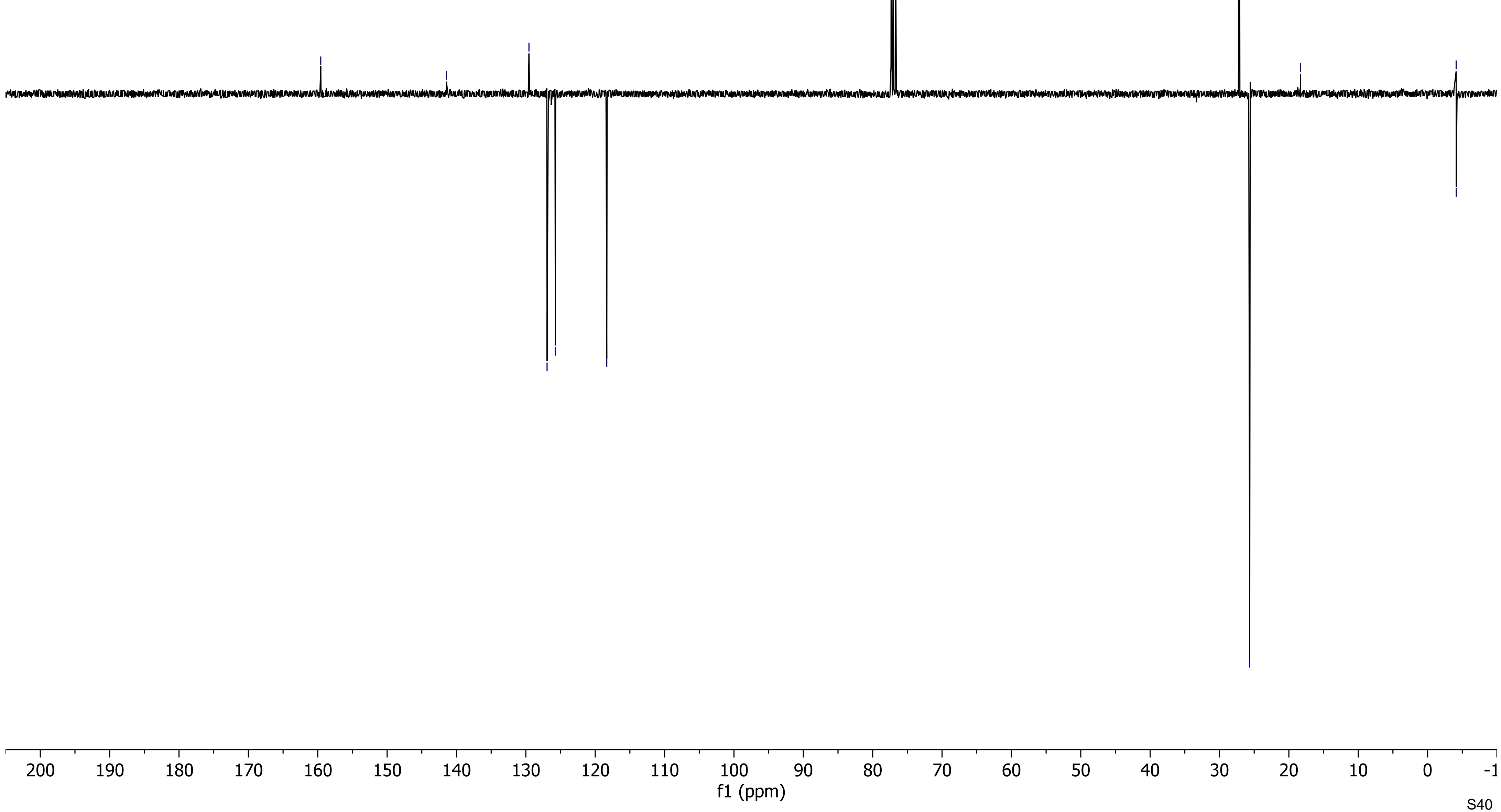
^1H NMR (400 MHz, $CDCl_3$)



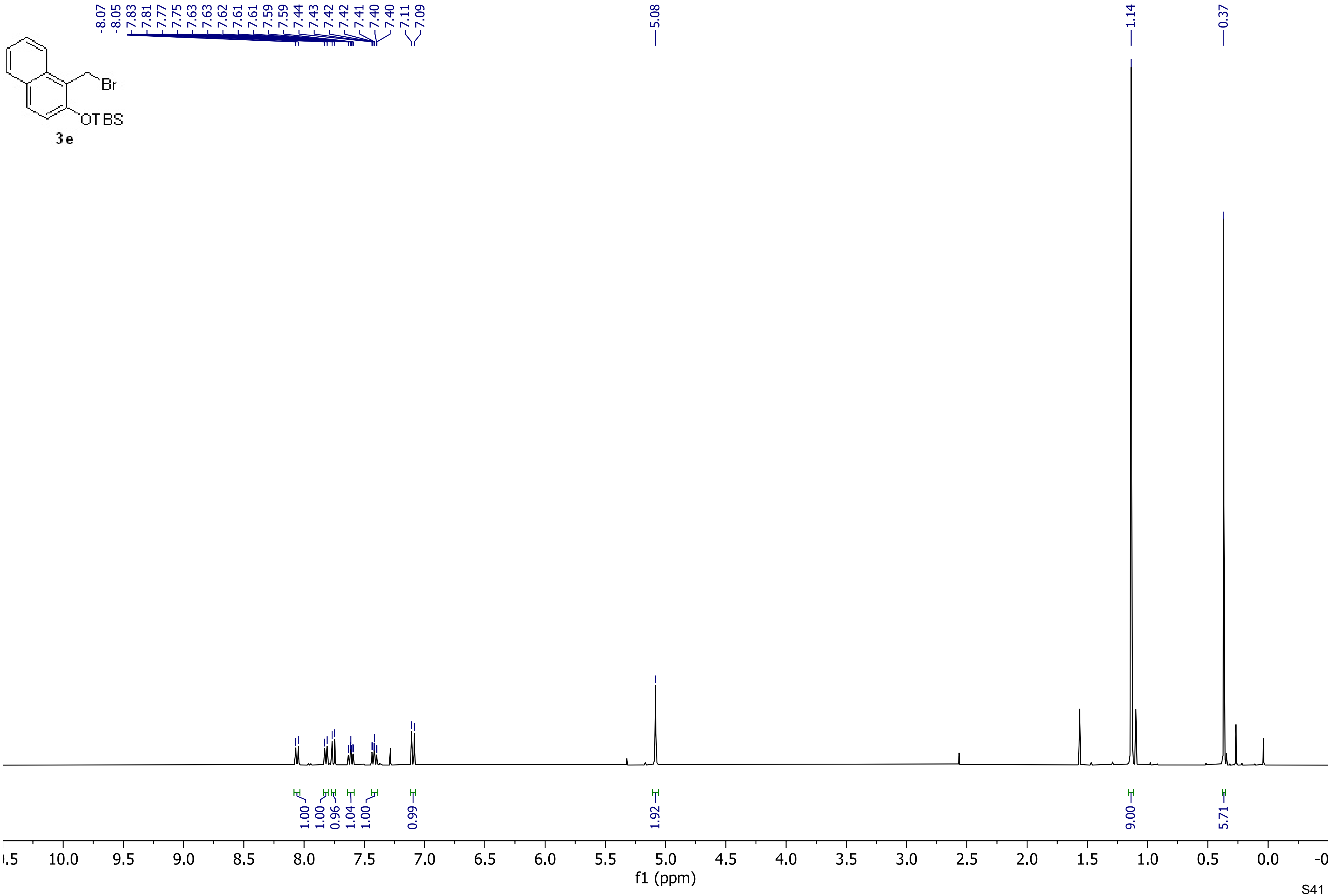
^{13}C NMR (101 MHz, CDCl$_3$)



3d



^{1}H NMR (400 MHz, $CDCl_3$)



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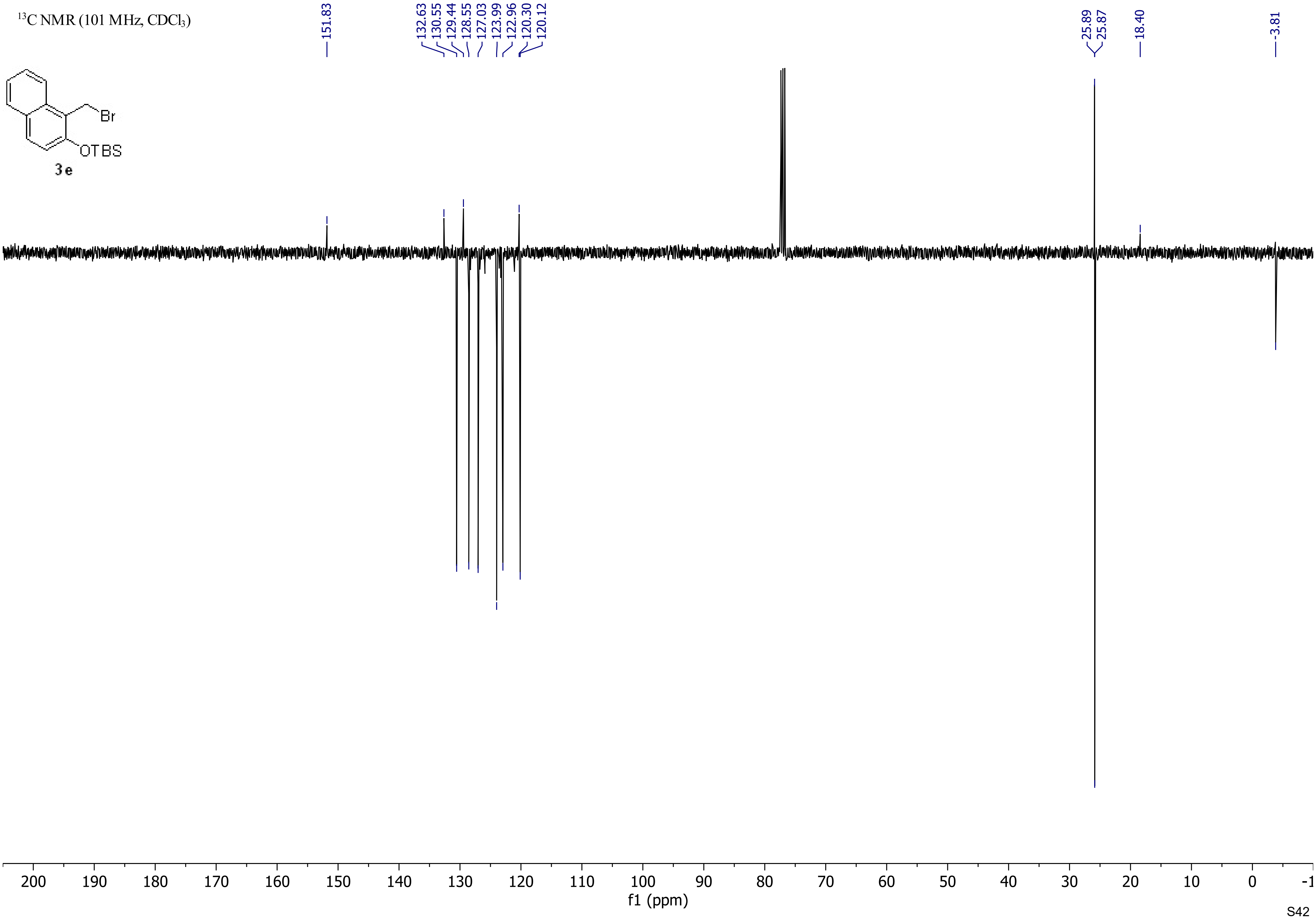
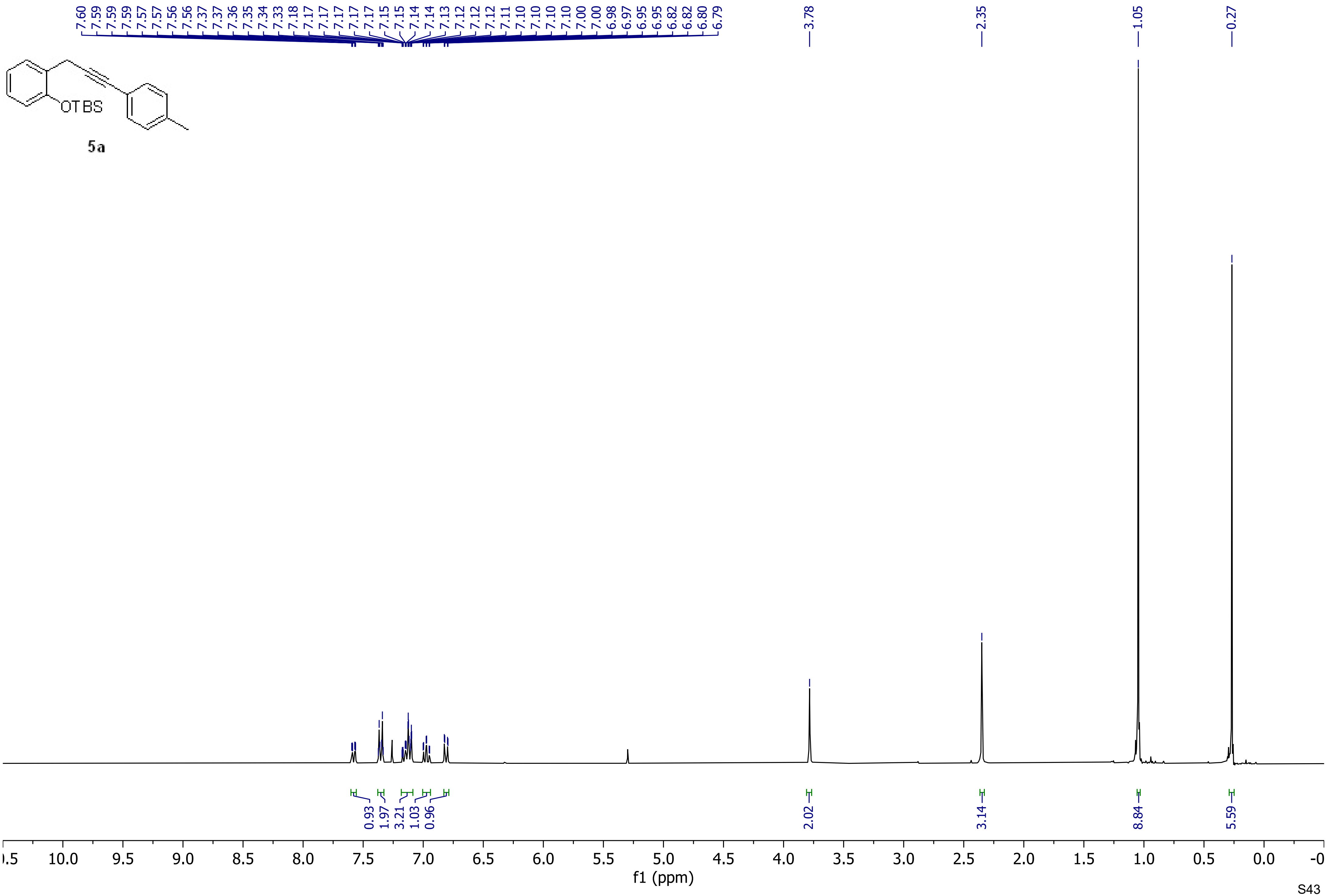
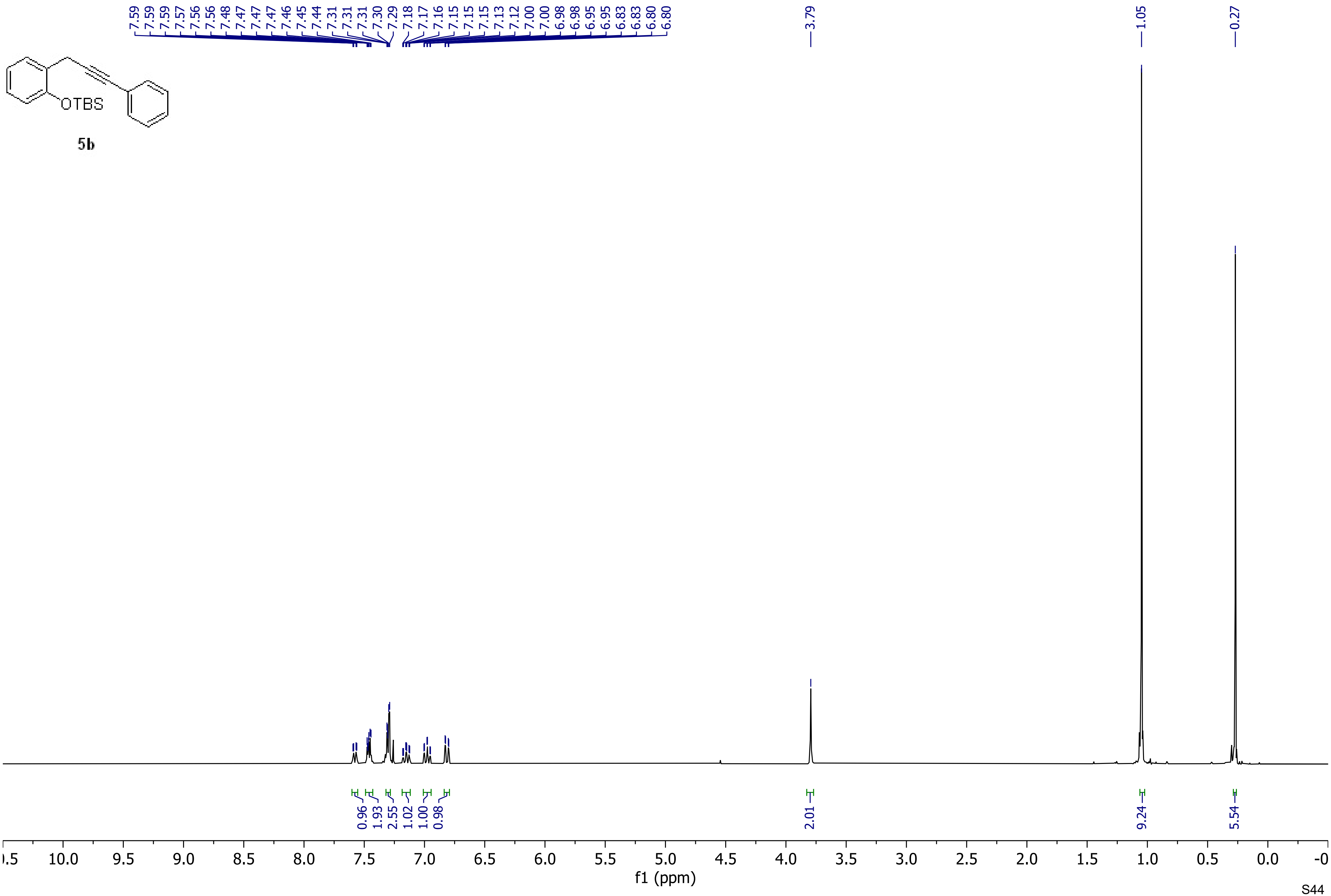


^{1}H NMR (300 MHz, $CDCl_3$)

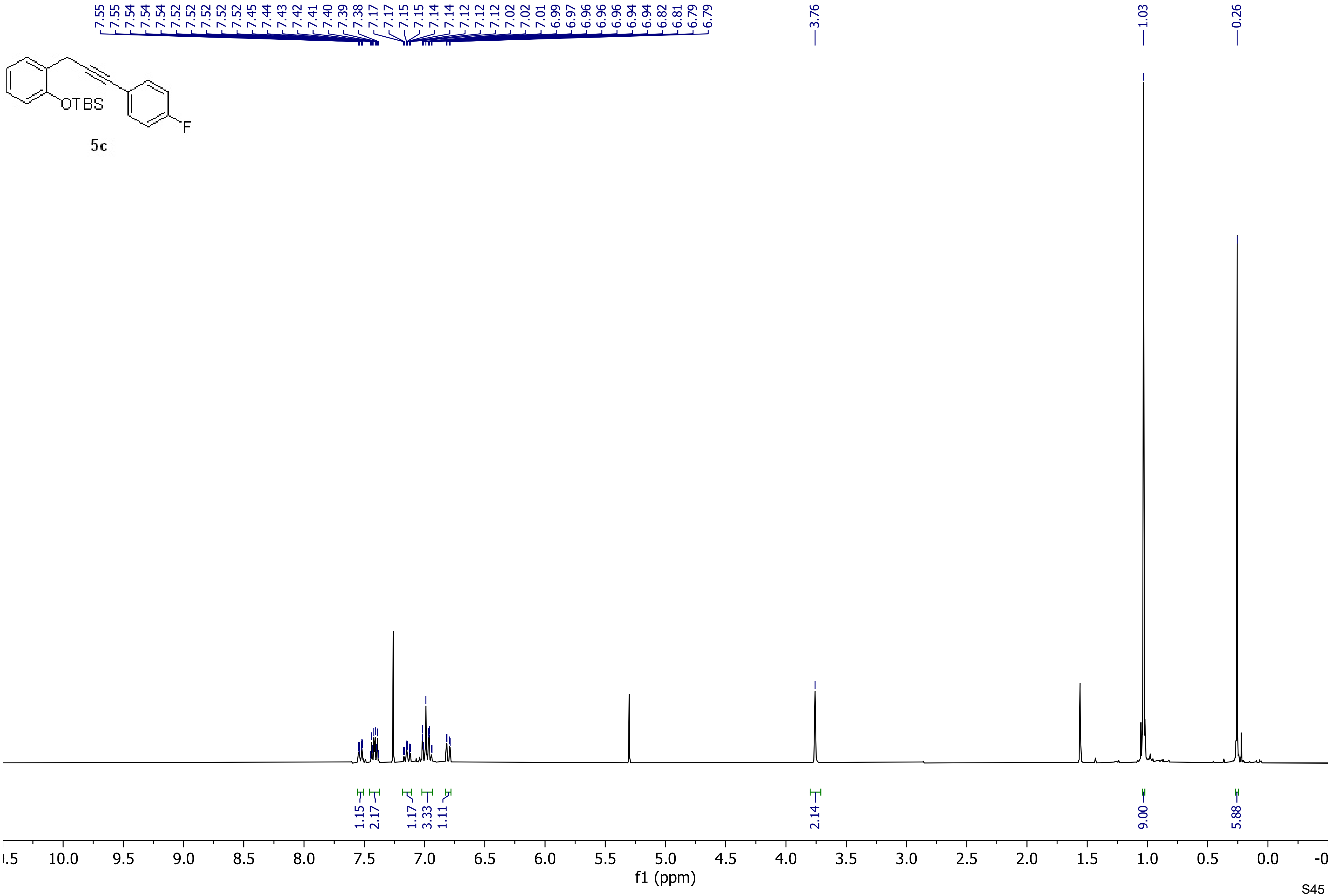

5a

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



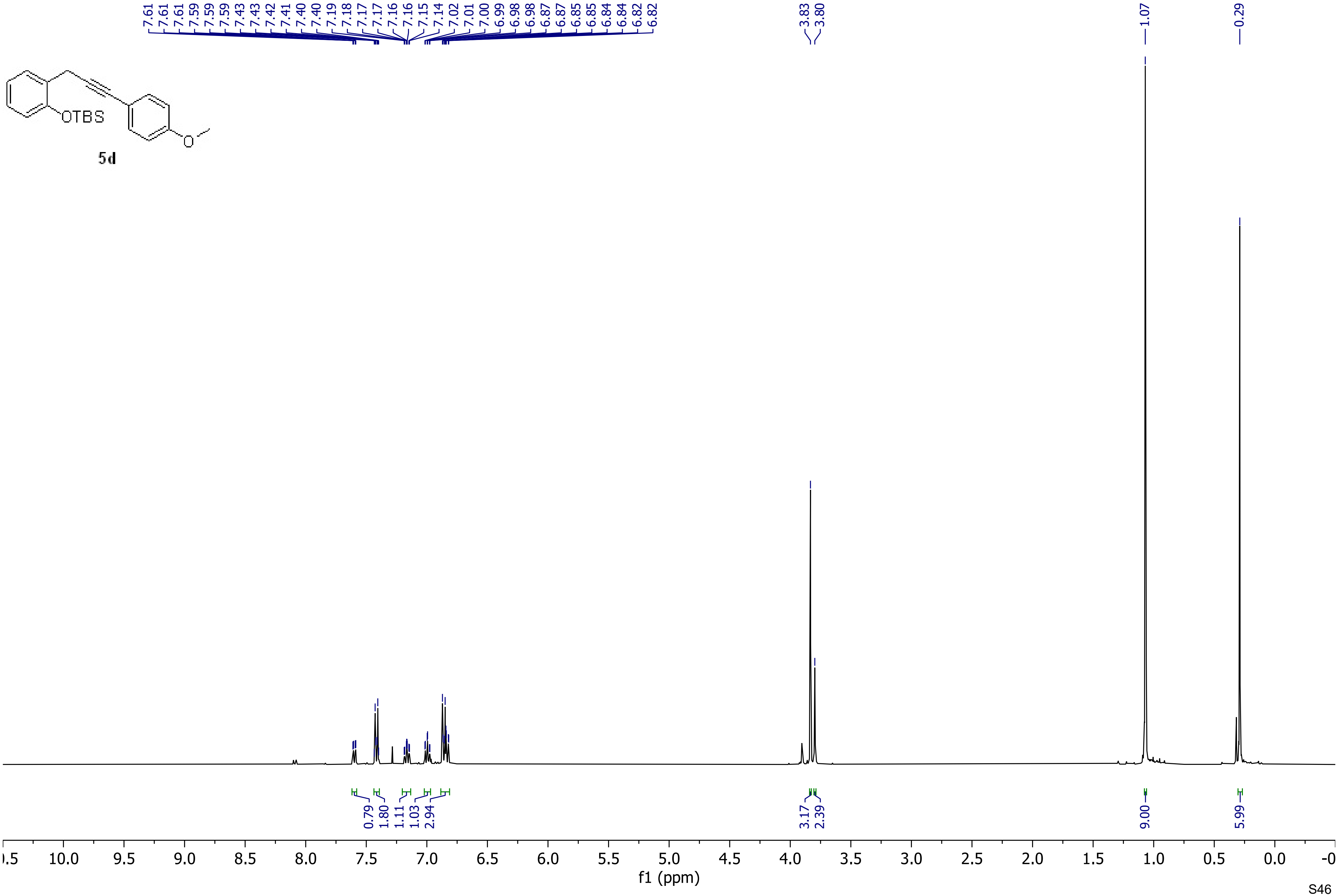
5b

^{1}H NMR (300 MHz, CDCl$_3$)



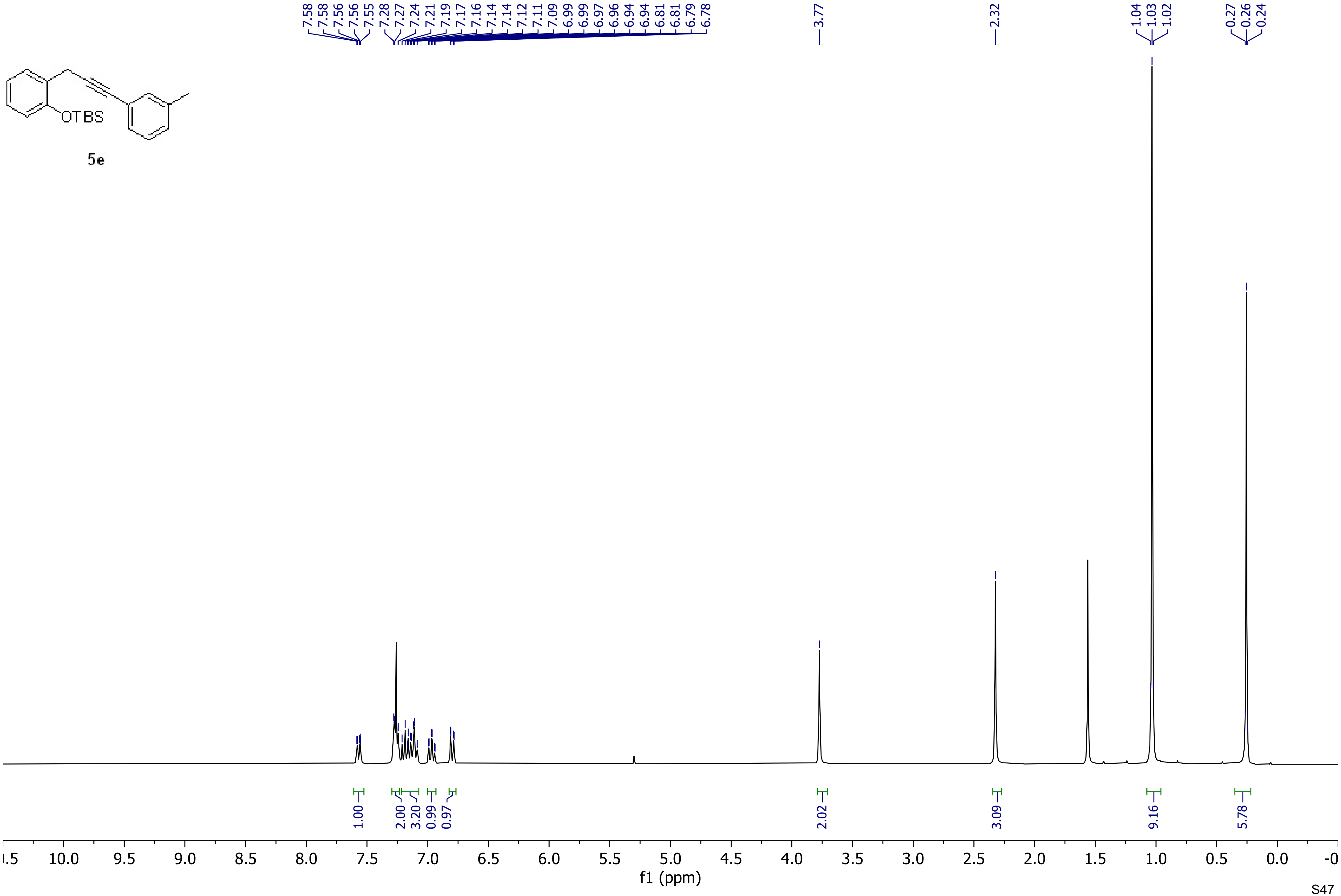
5c

^{1}H NMR (400 MHz, $CDCl_3$)



5d

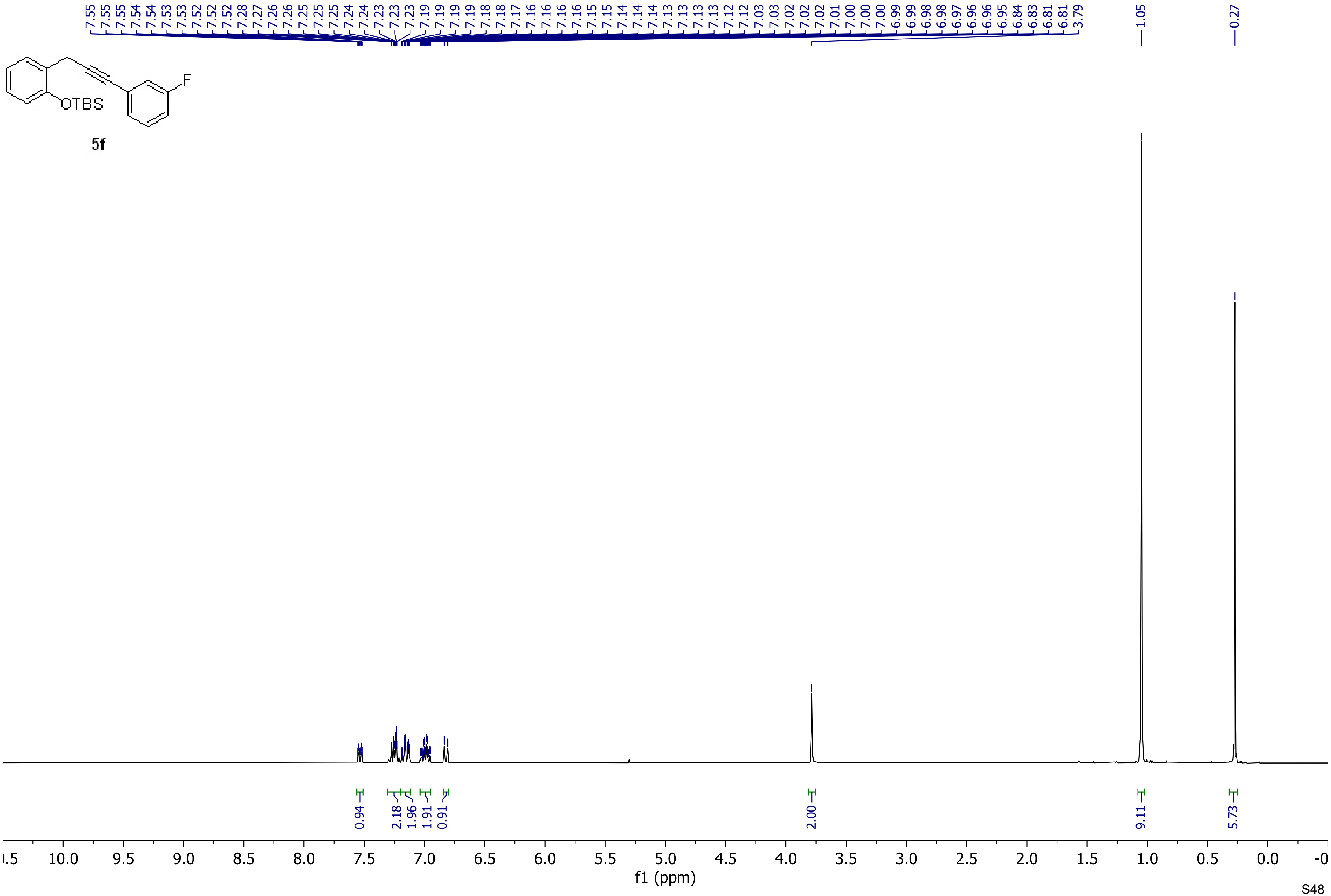
^{1}H NMR (300 MHz, CDCl$_3$)



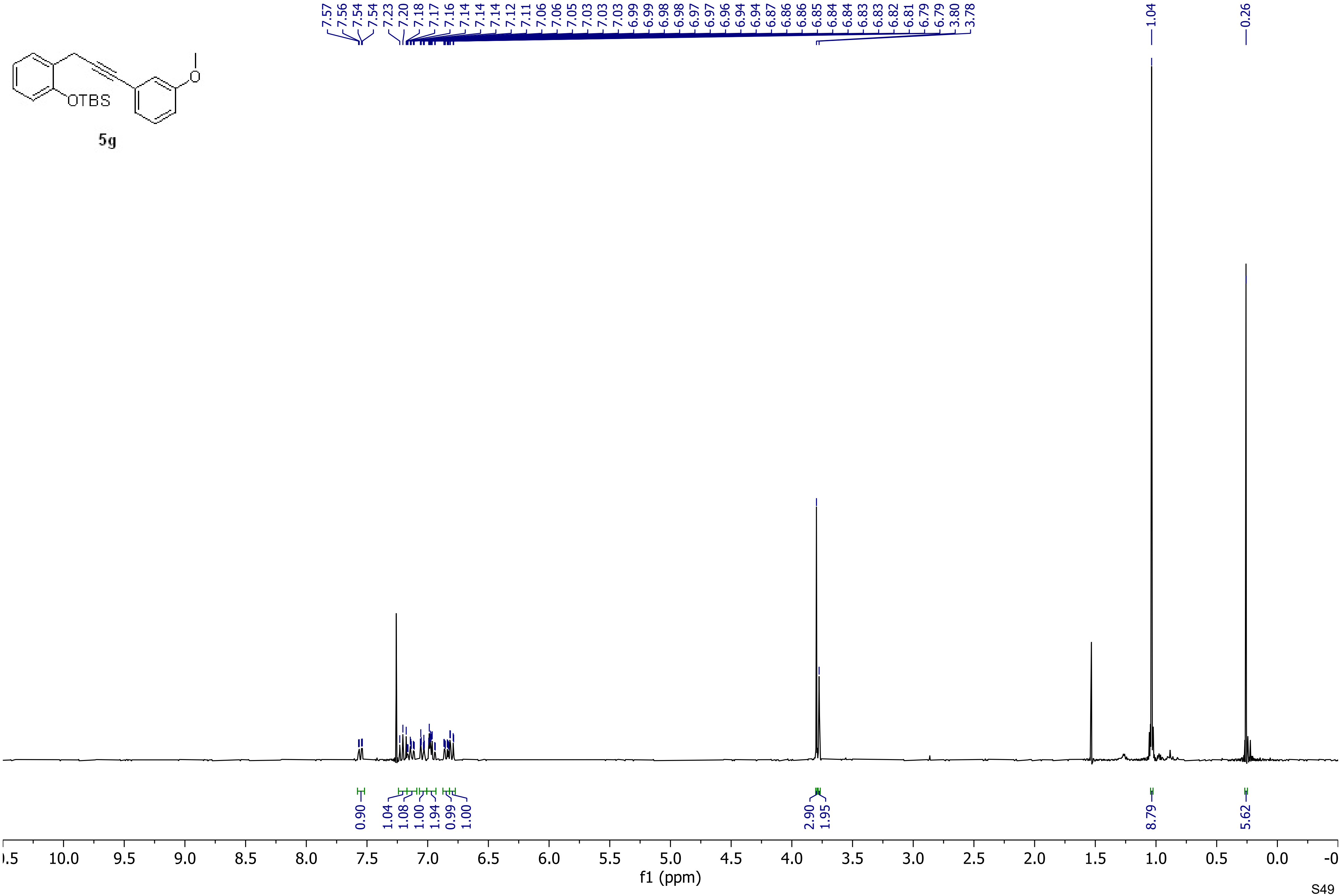
5e

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

5f



^{1}H NMR (300 MHz, CDCl$_3$)



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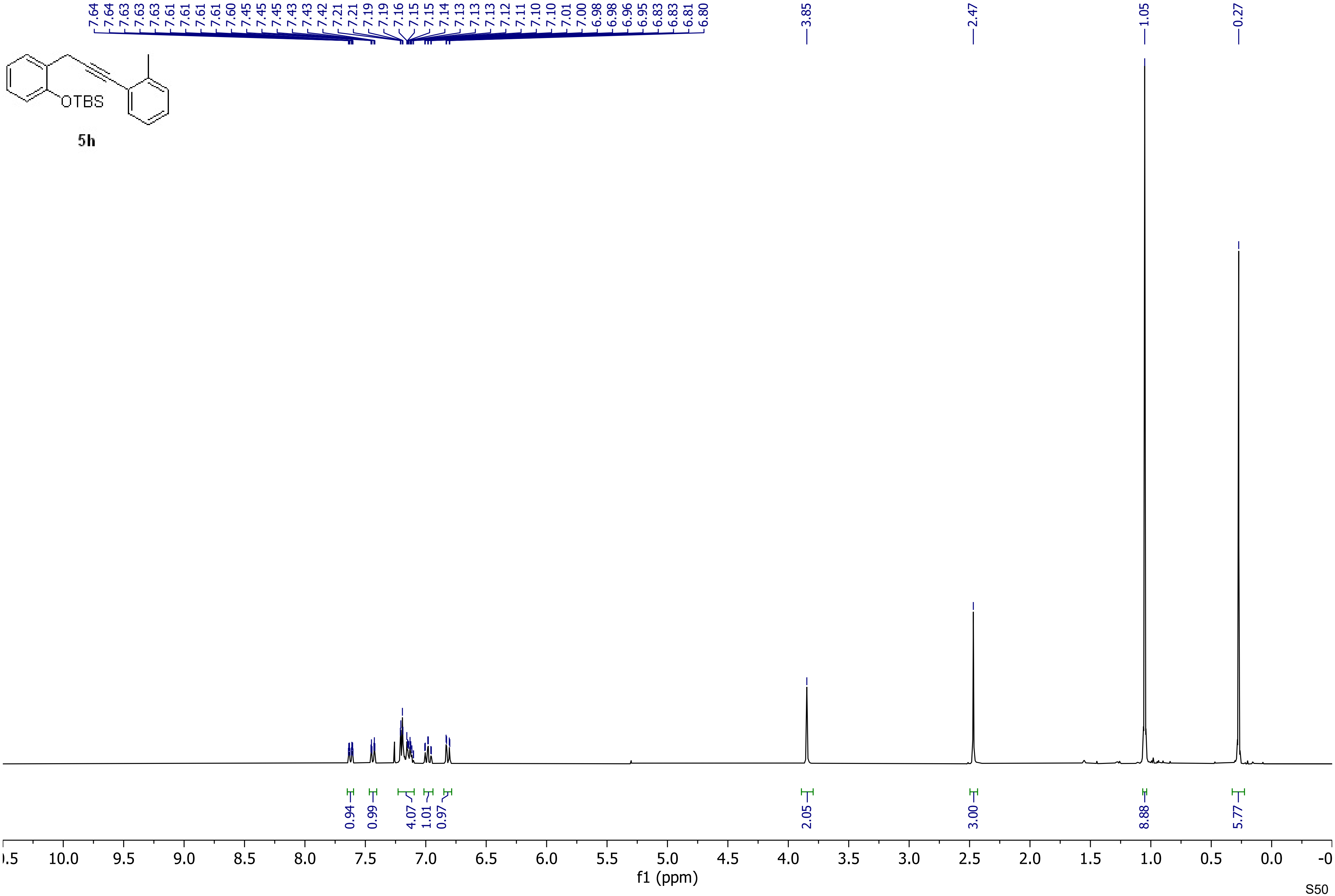
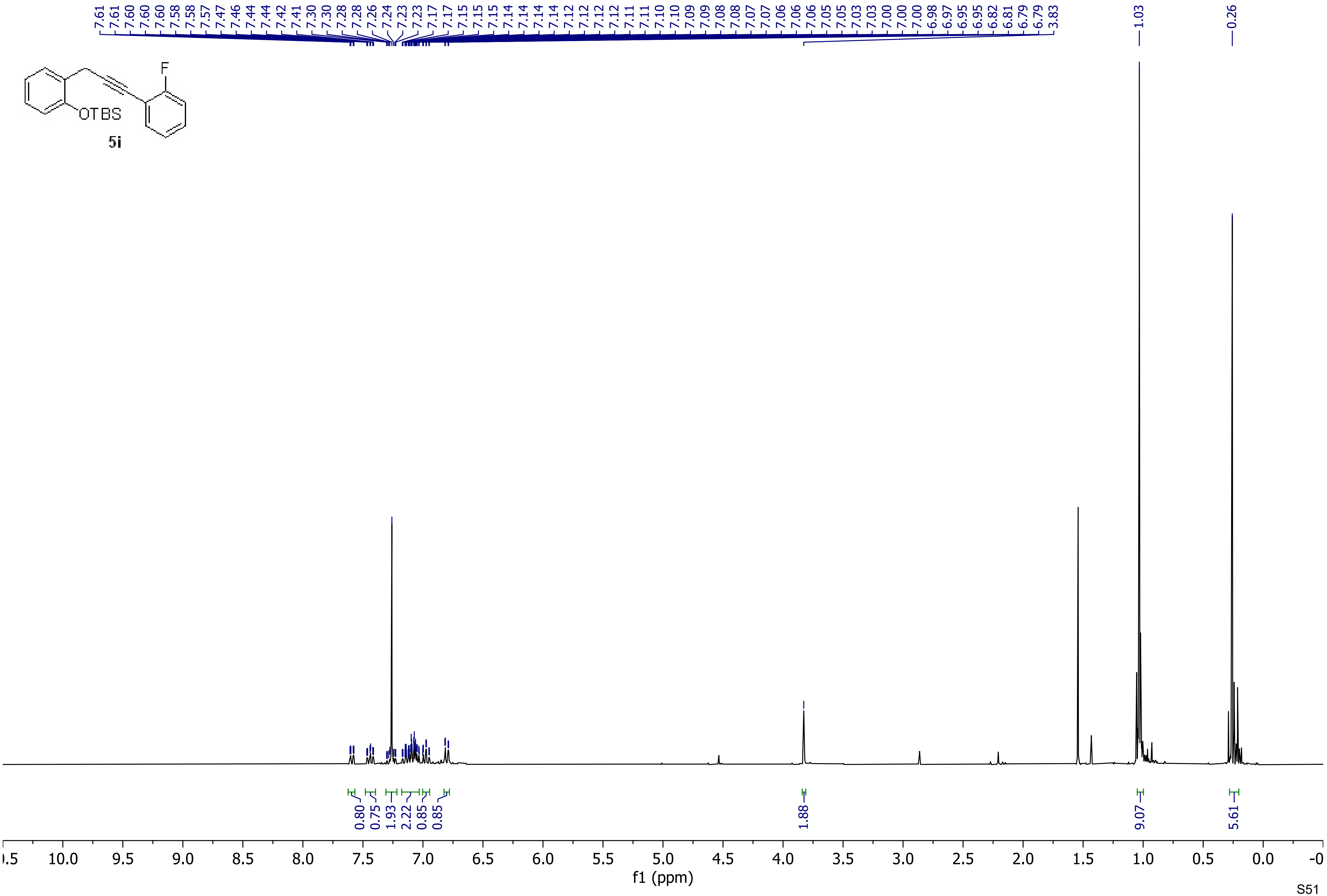
^{1}H NMR (300 MHz, CDCl$_3$)

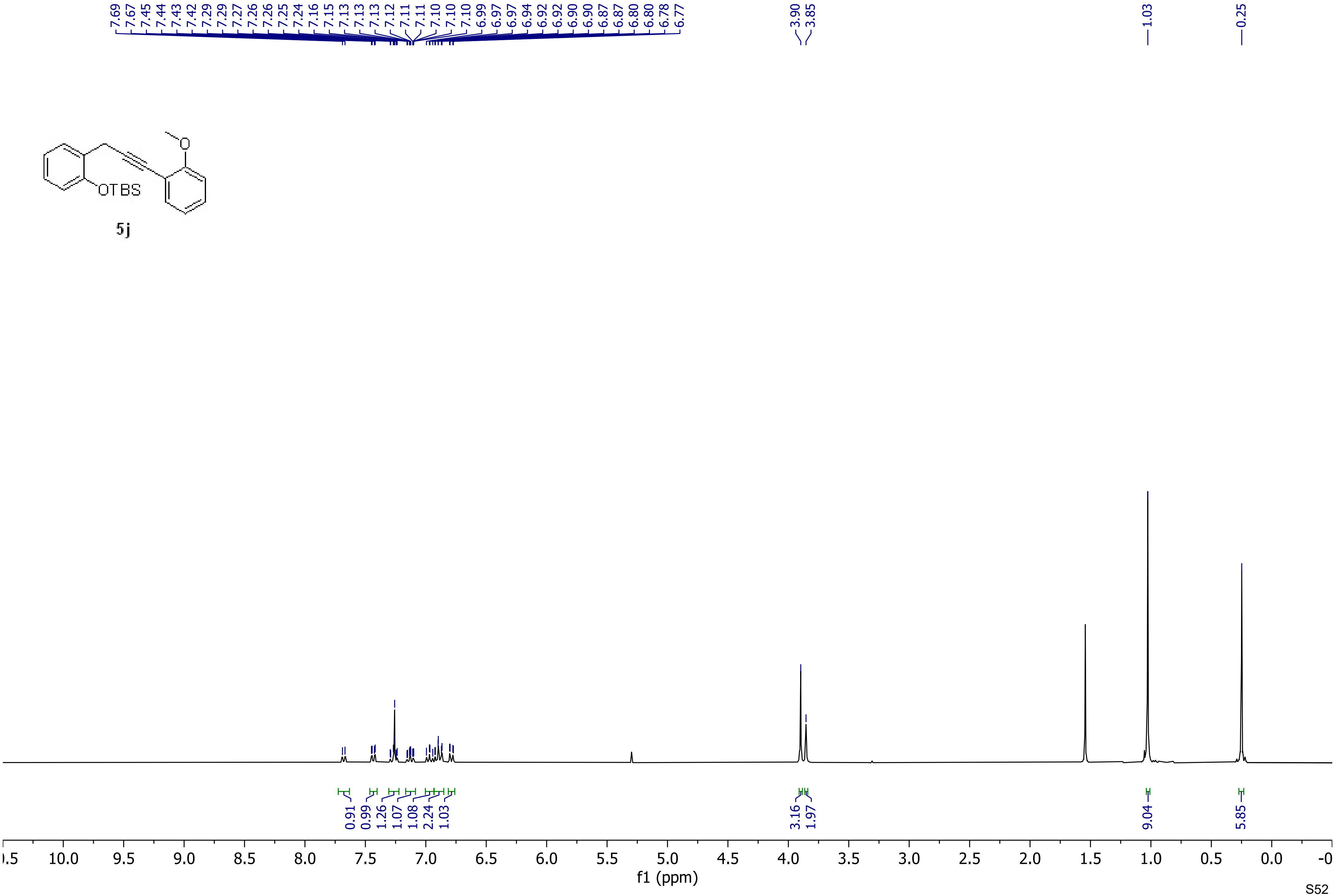


5h

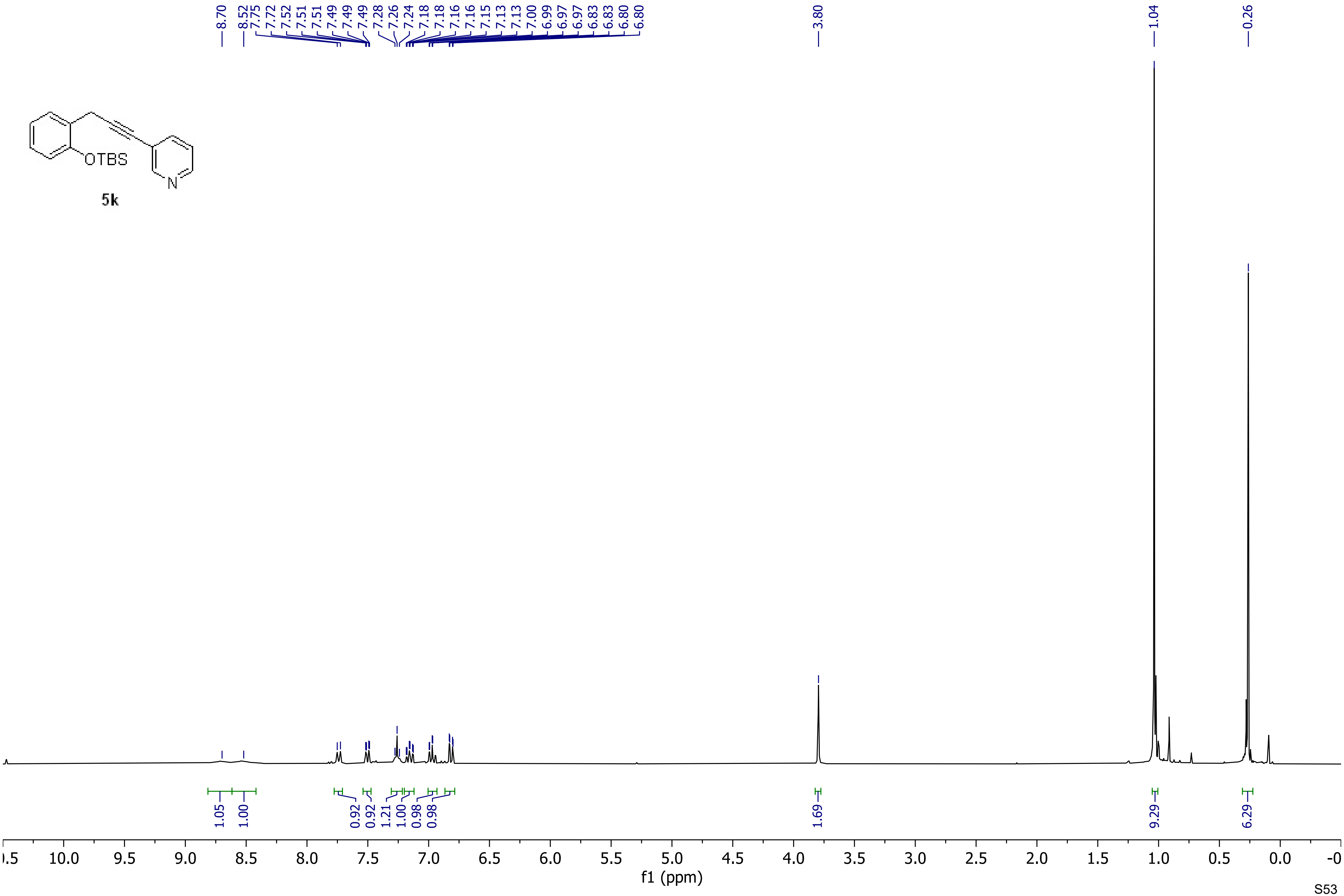
^{1}H NMR (300 MHz, $CDCl_3$)



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

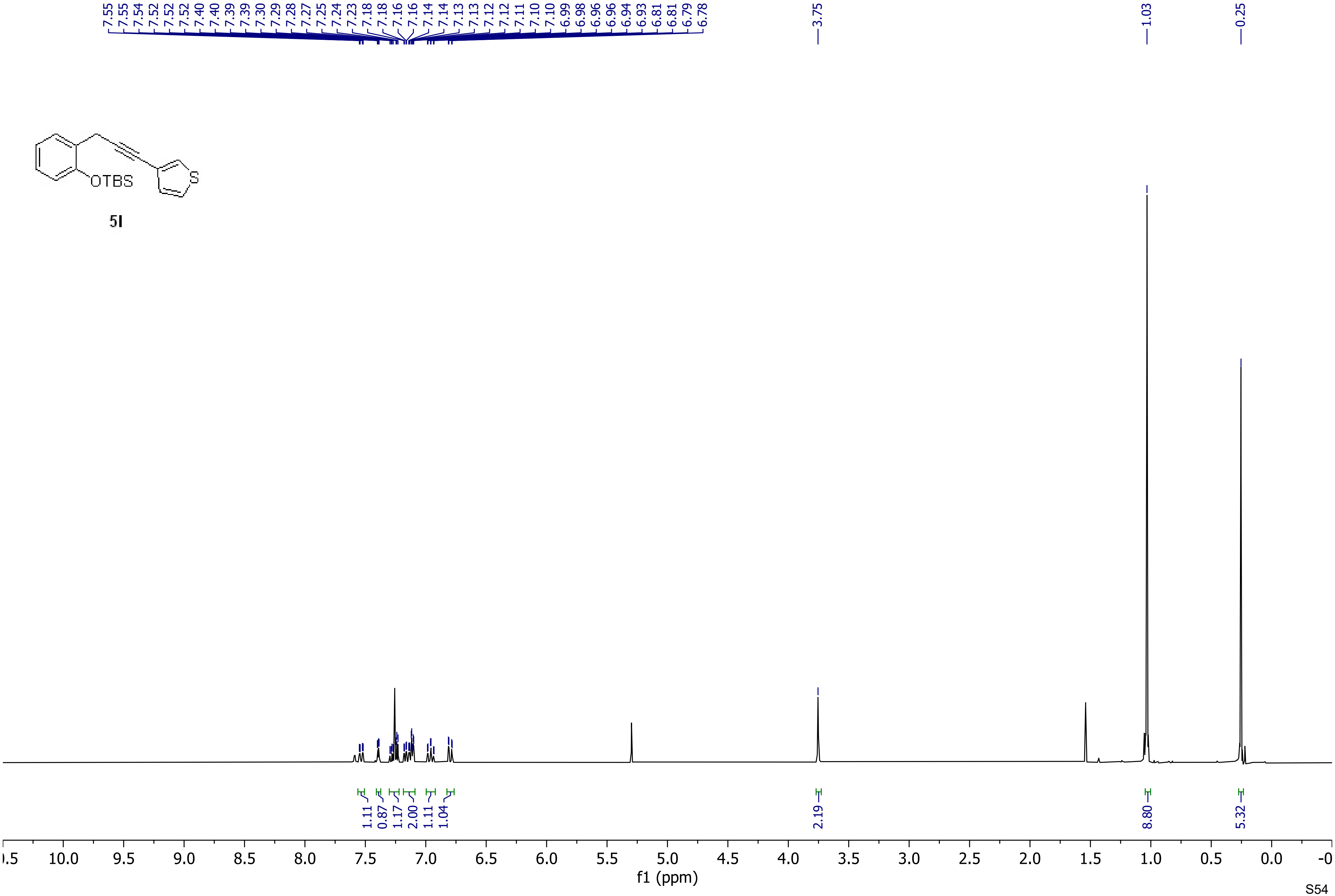


^{1}H NMR (300 MHz, $CDCl_3$)

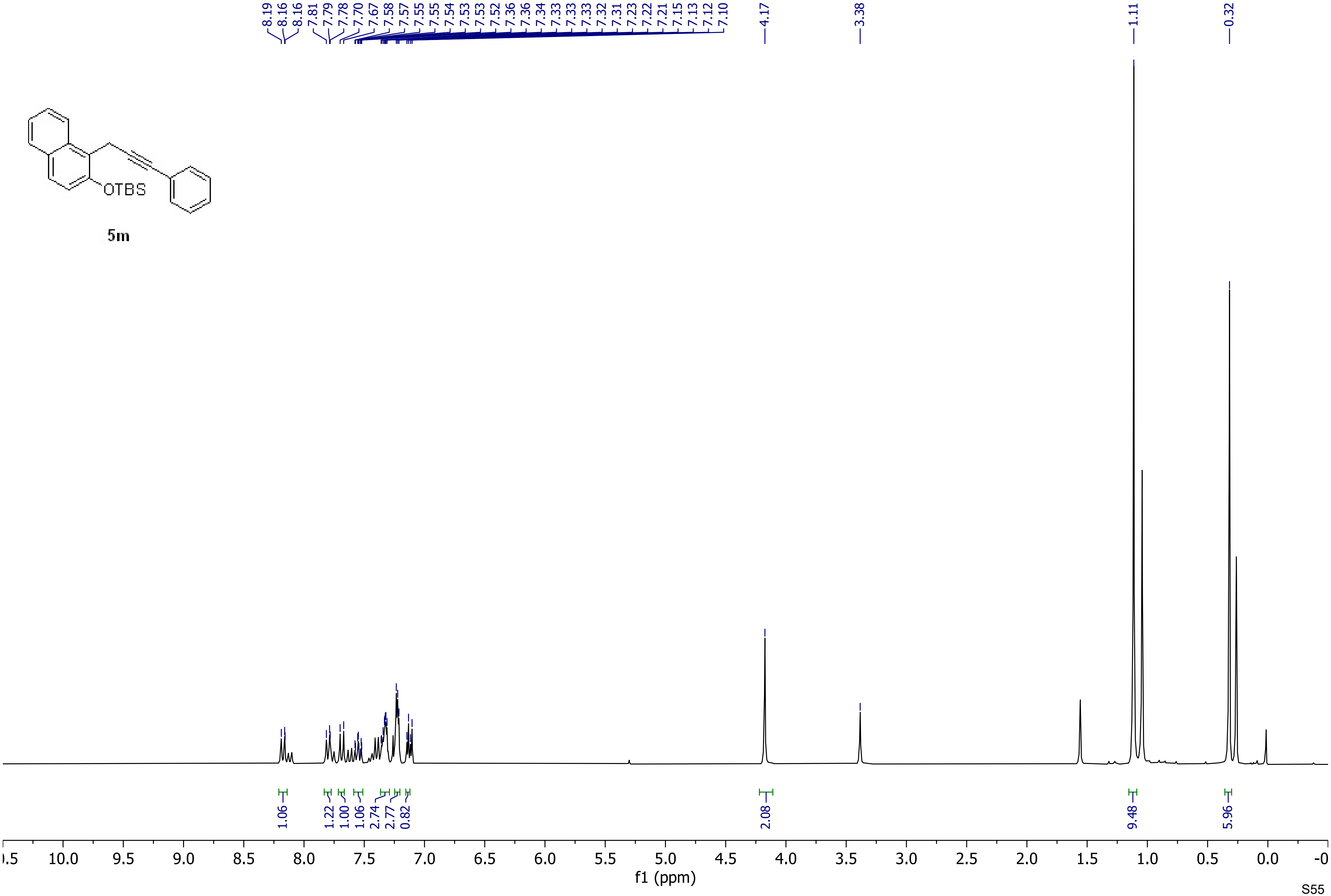


^{1}H NMR (300 MHz, CDCl$_3$)

5l

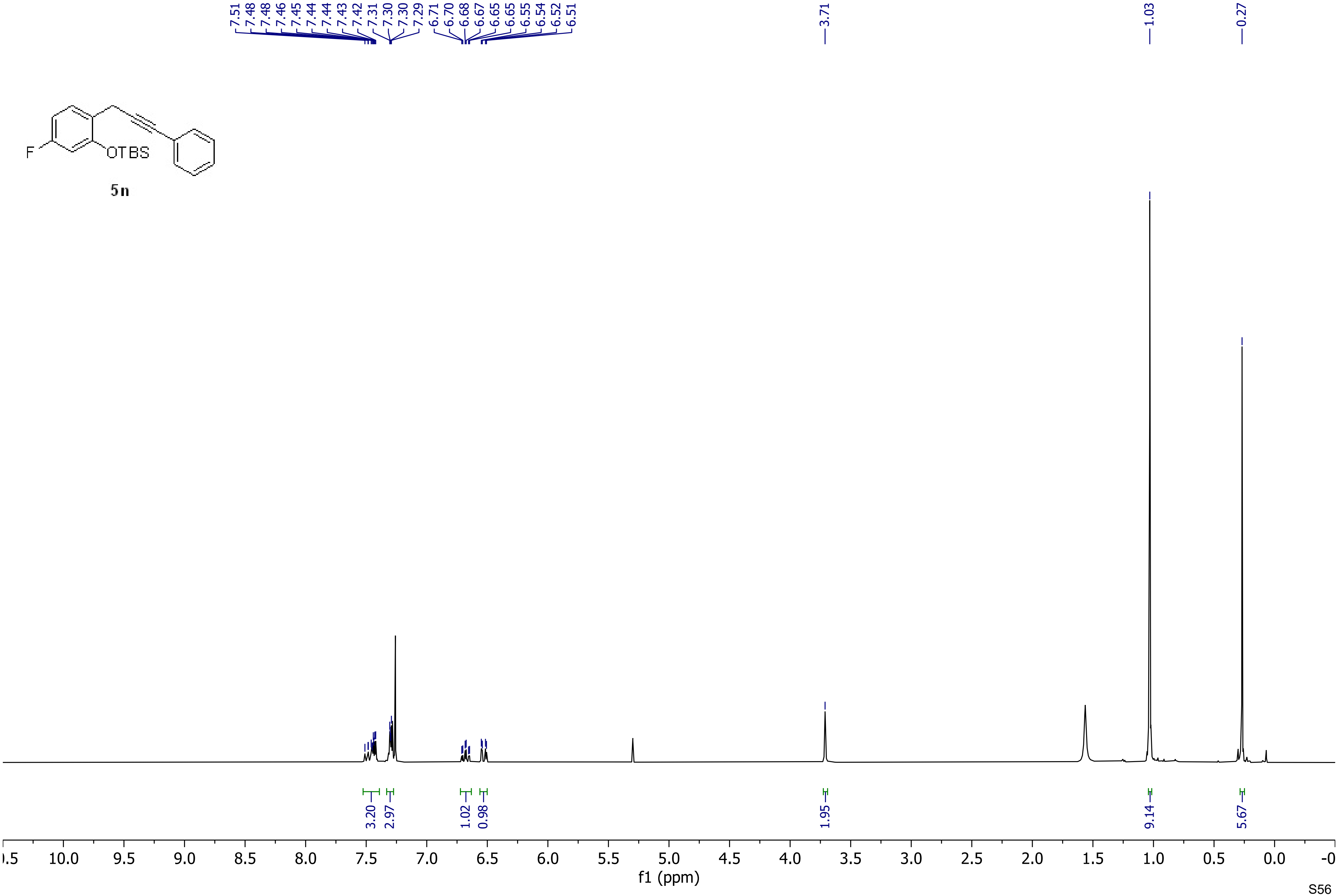


^{1}H NMR (300 MHz, CDCl$_3$)

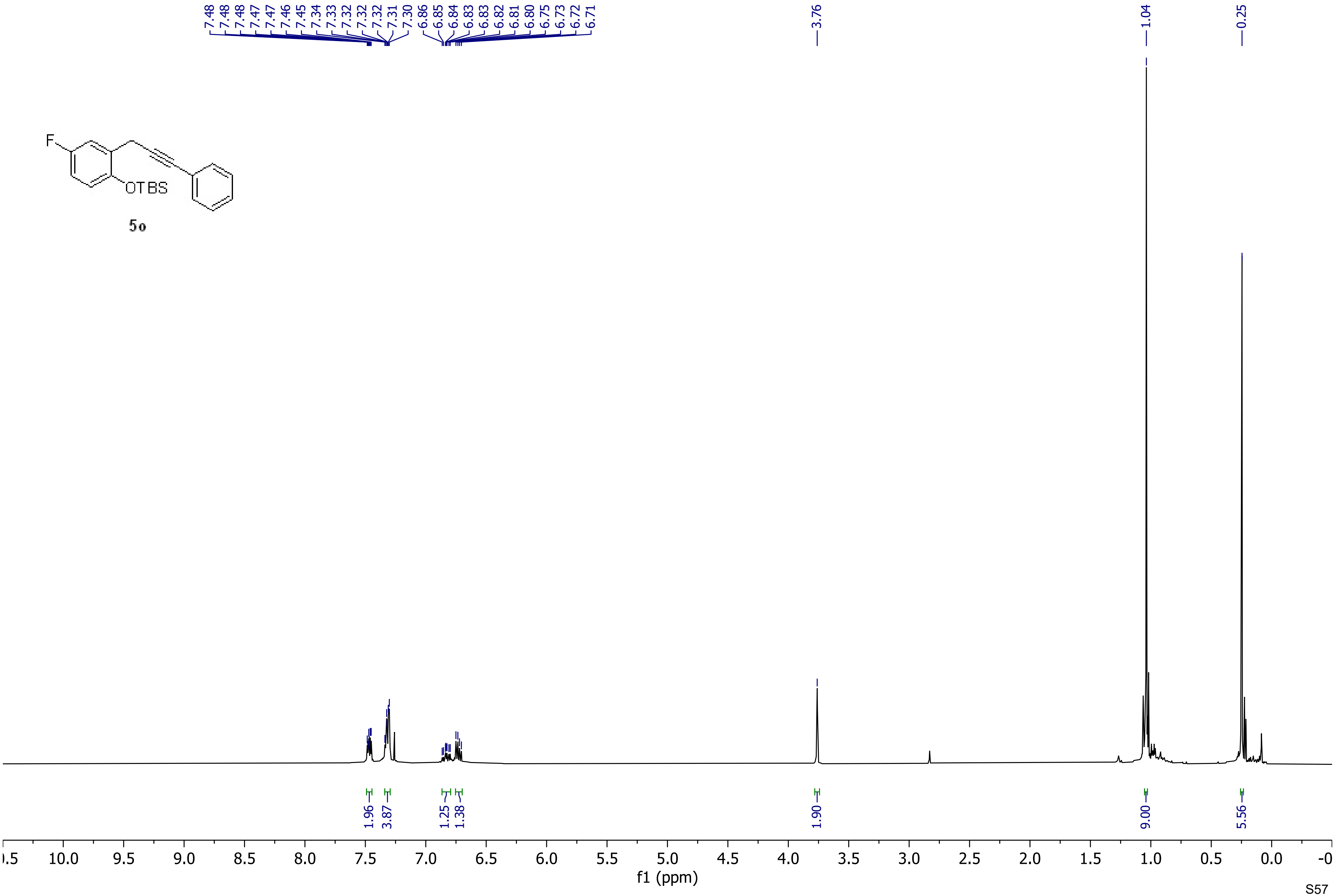

5m

^{1}H NMR (300 MHz, CDCl$_3$)

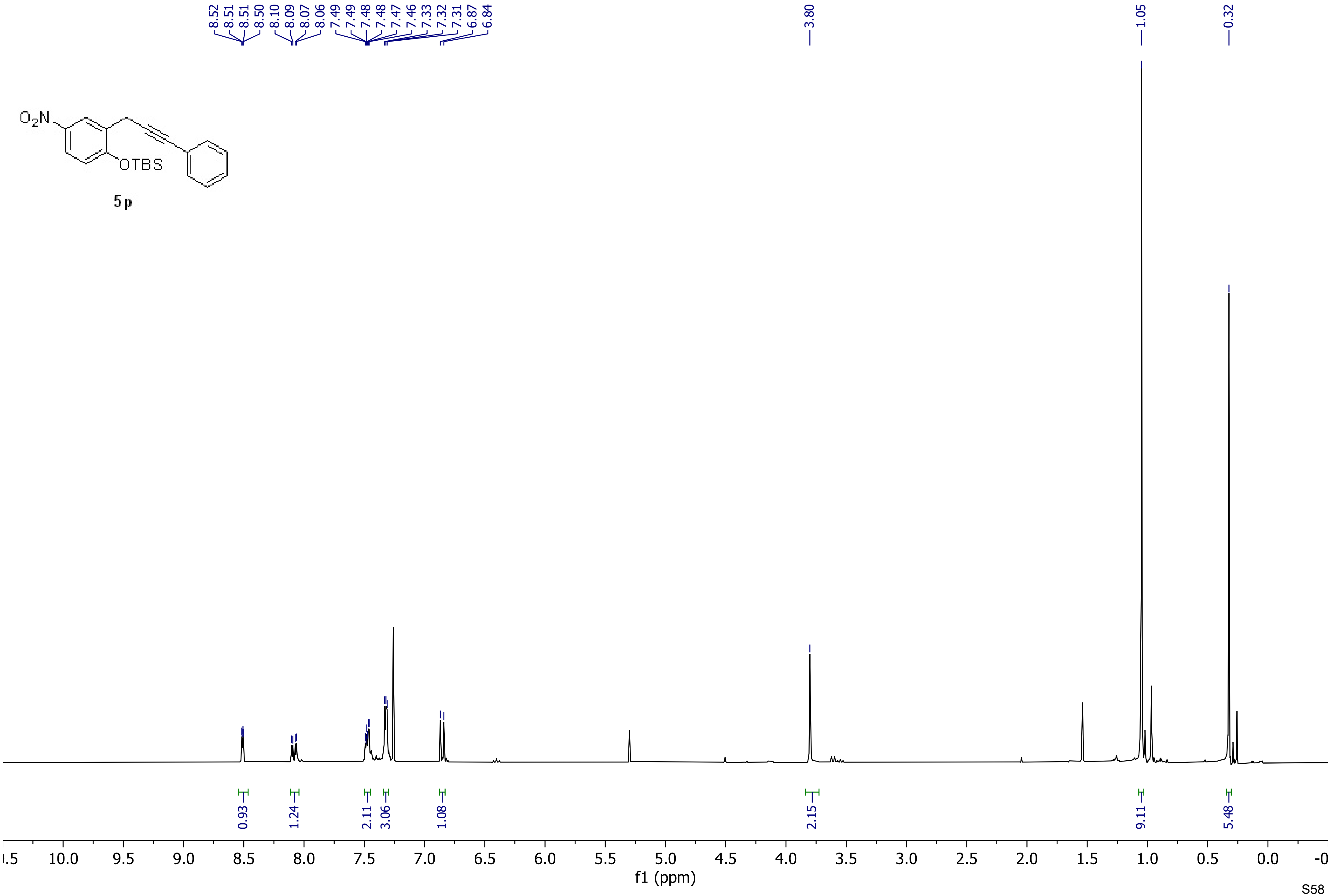
5n



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

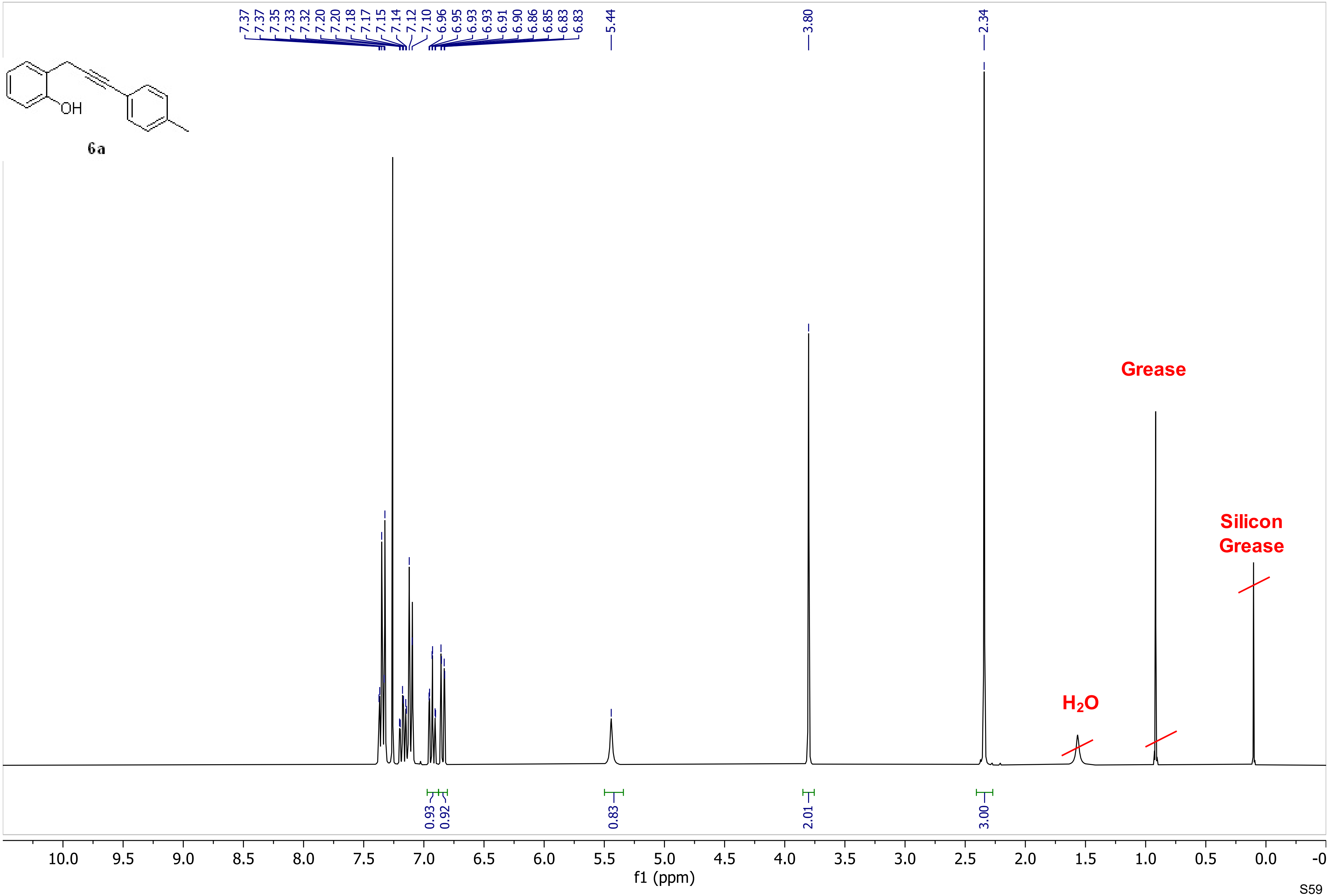


^{1}H NMR (300 MHz, $CDCl_3$)



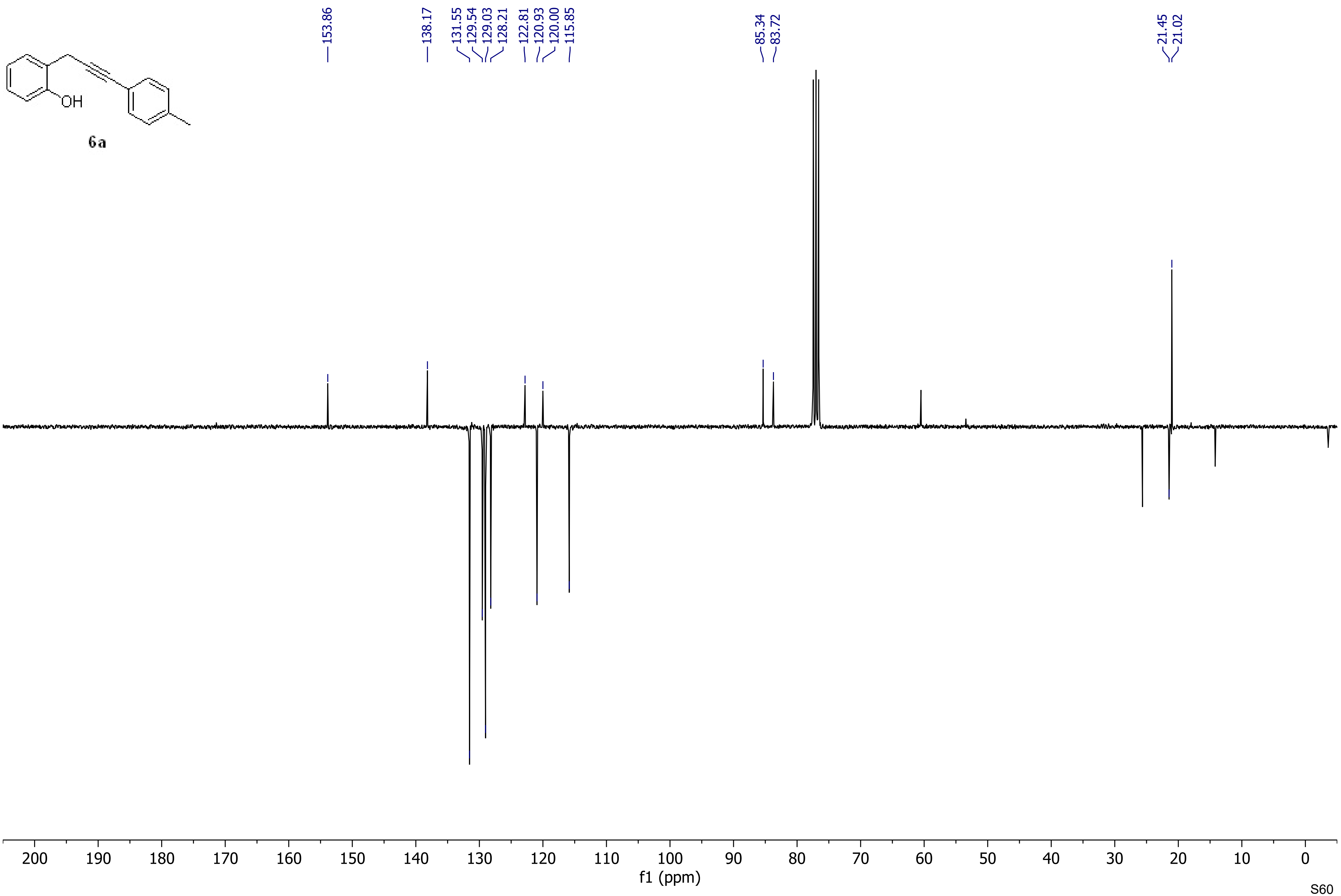
5p

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

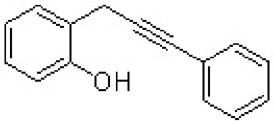


6a

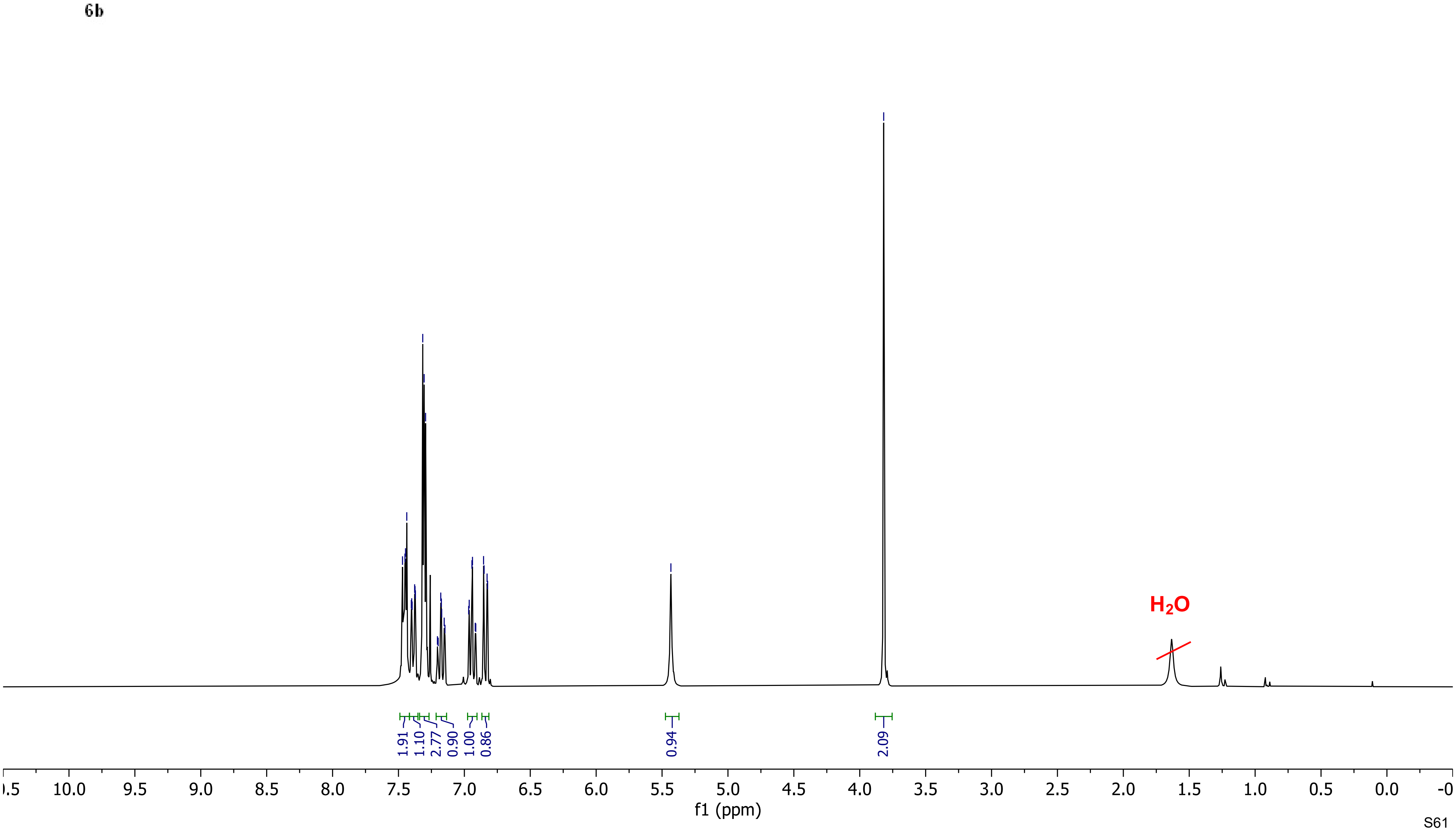
^{13}C NMR (75 MHz, cdcl$_3$)



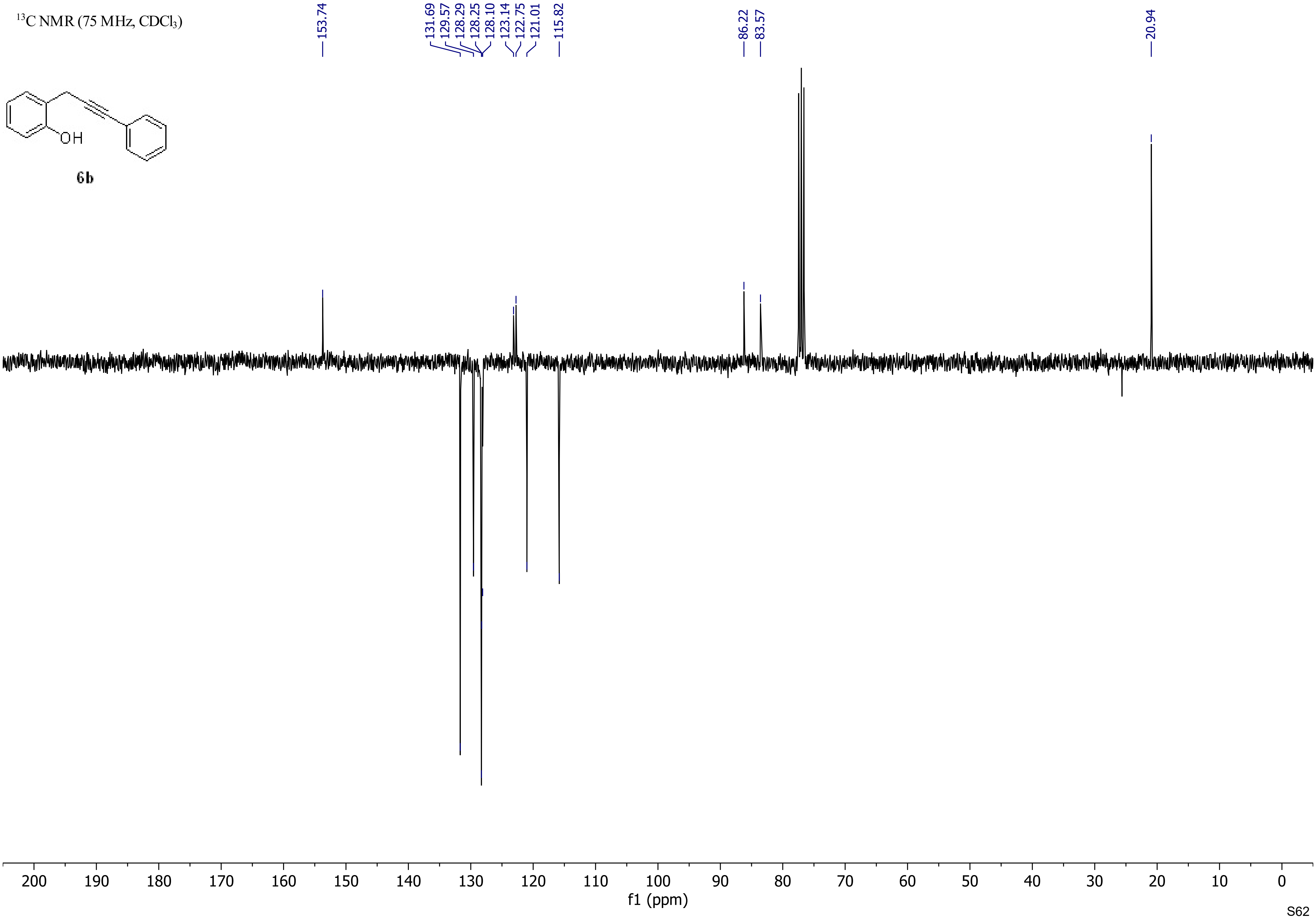
^{1}H NMR (300 MHz, $CDCl_3$)



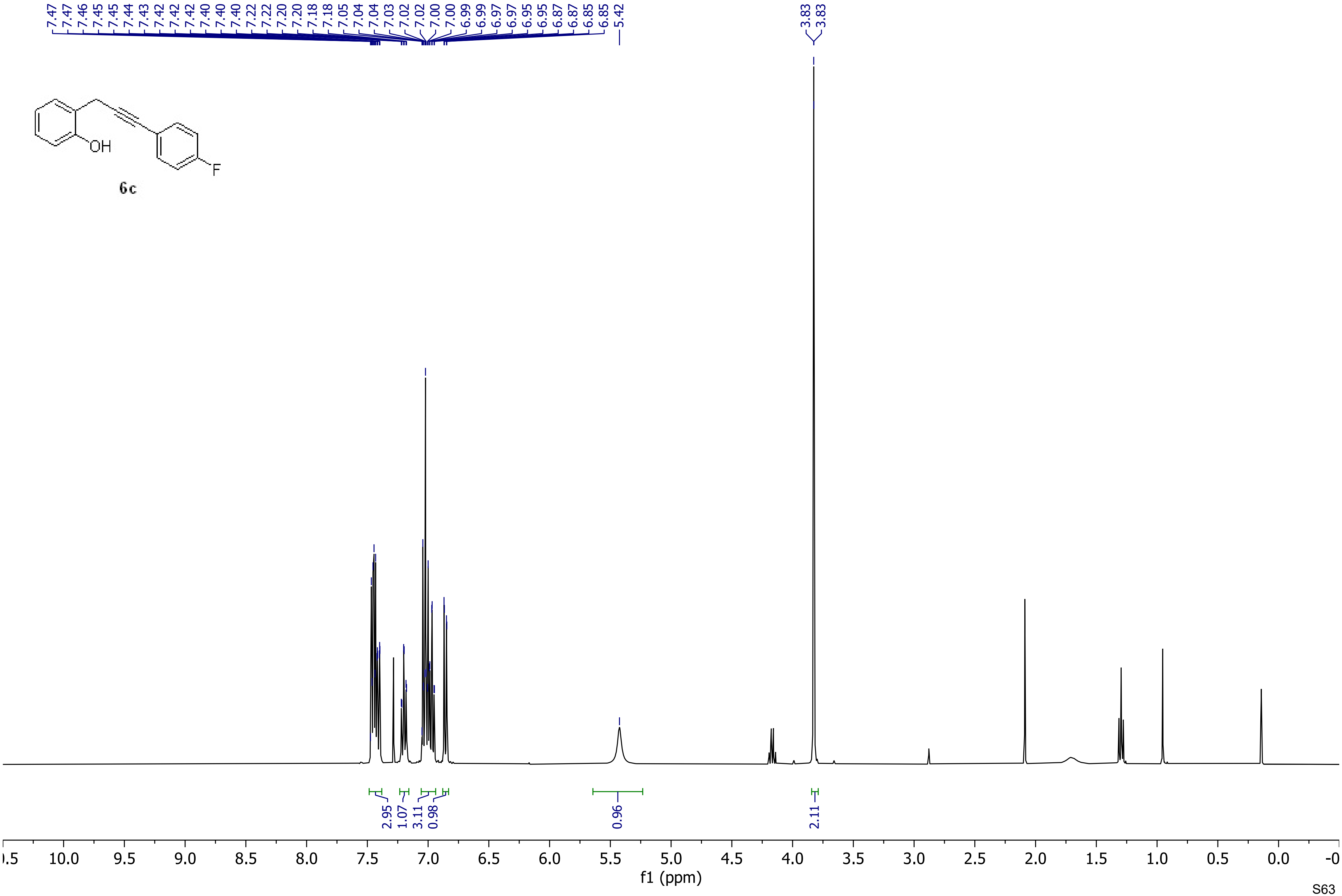
6b



^{13}C NMR (75 MHz, CDCl$_3$)

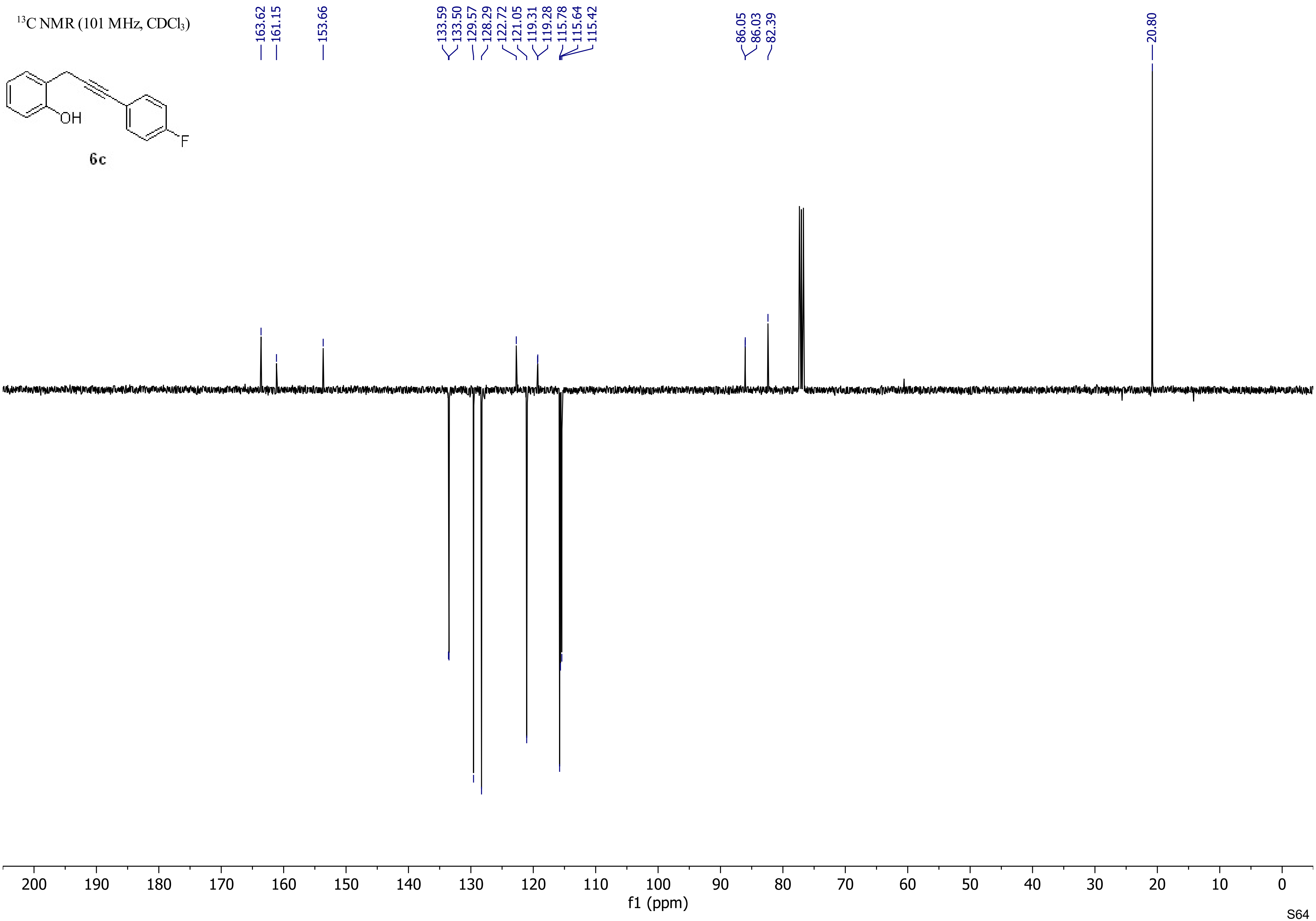


^{1}H NMR (400 MHz, $CDCl_3$)

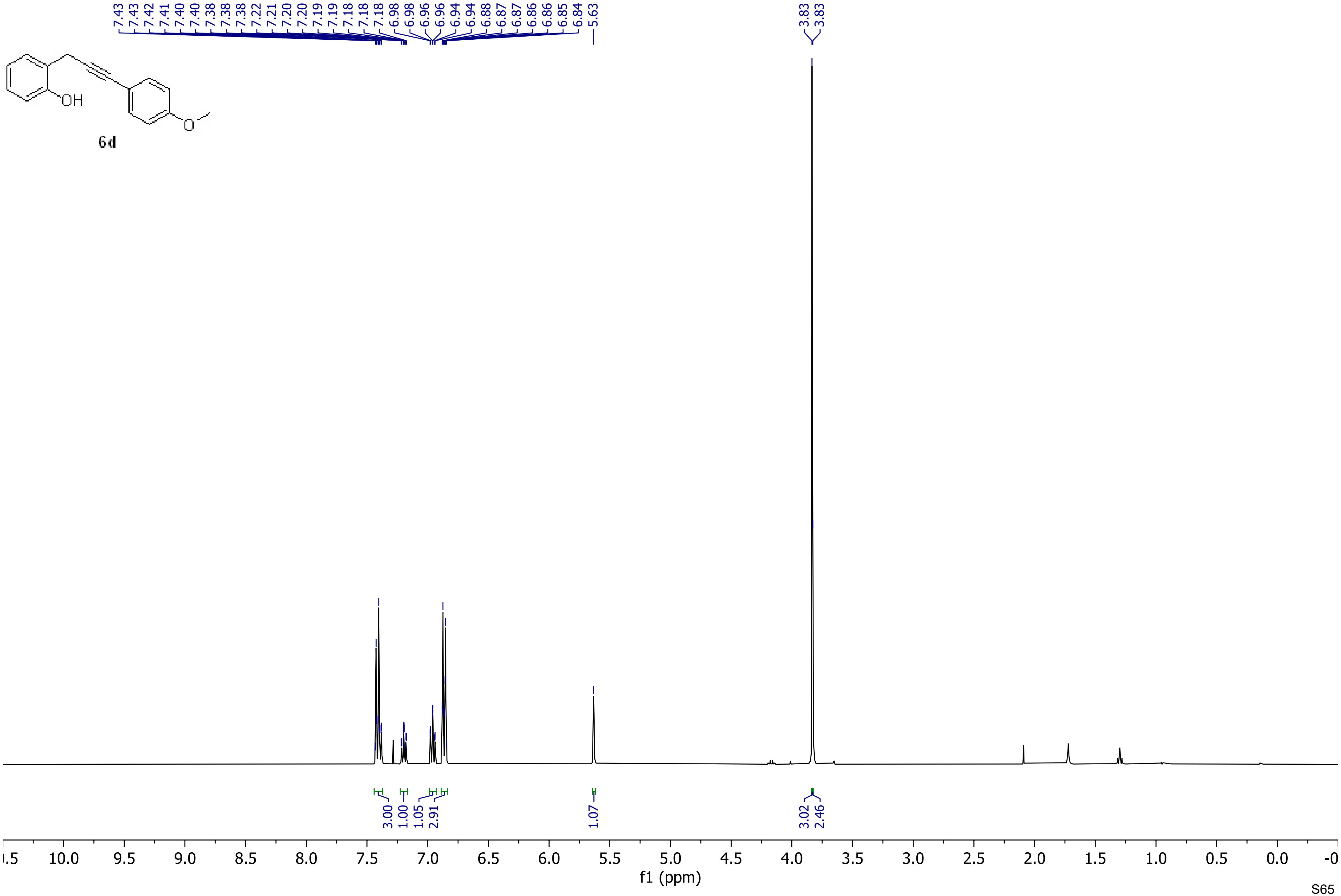


6c

^{13}C NMR (101 MHz, $CDCl_3$)

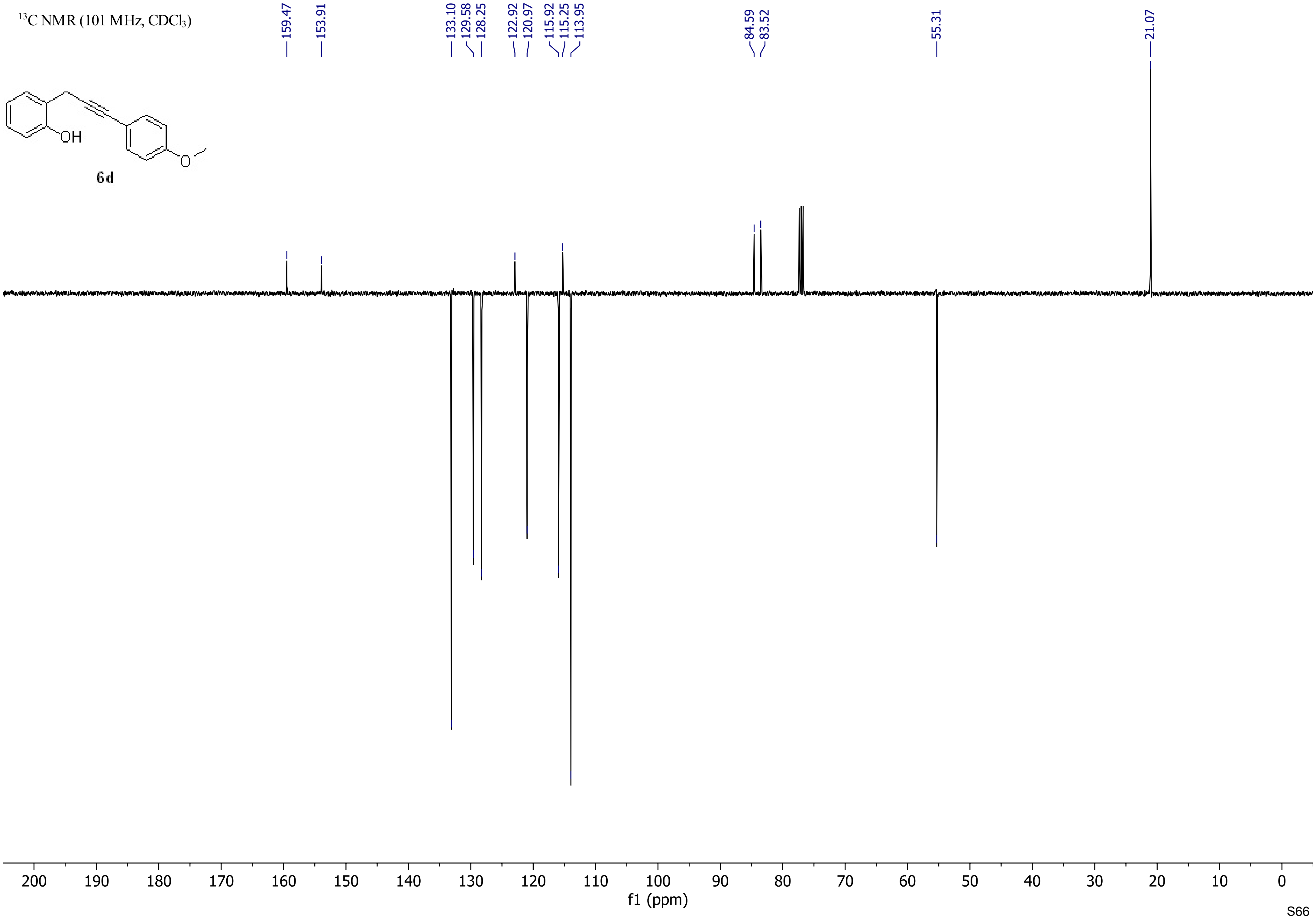


^{1}H NMR (400 MHz, $CDCl_3$)

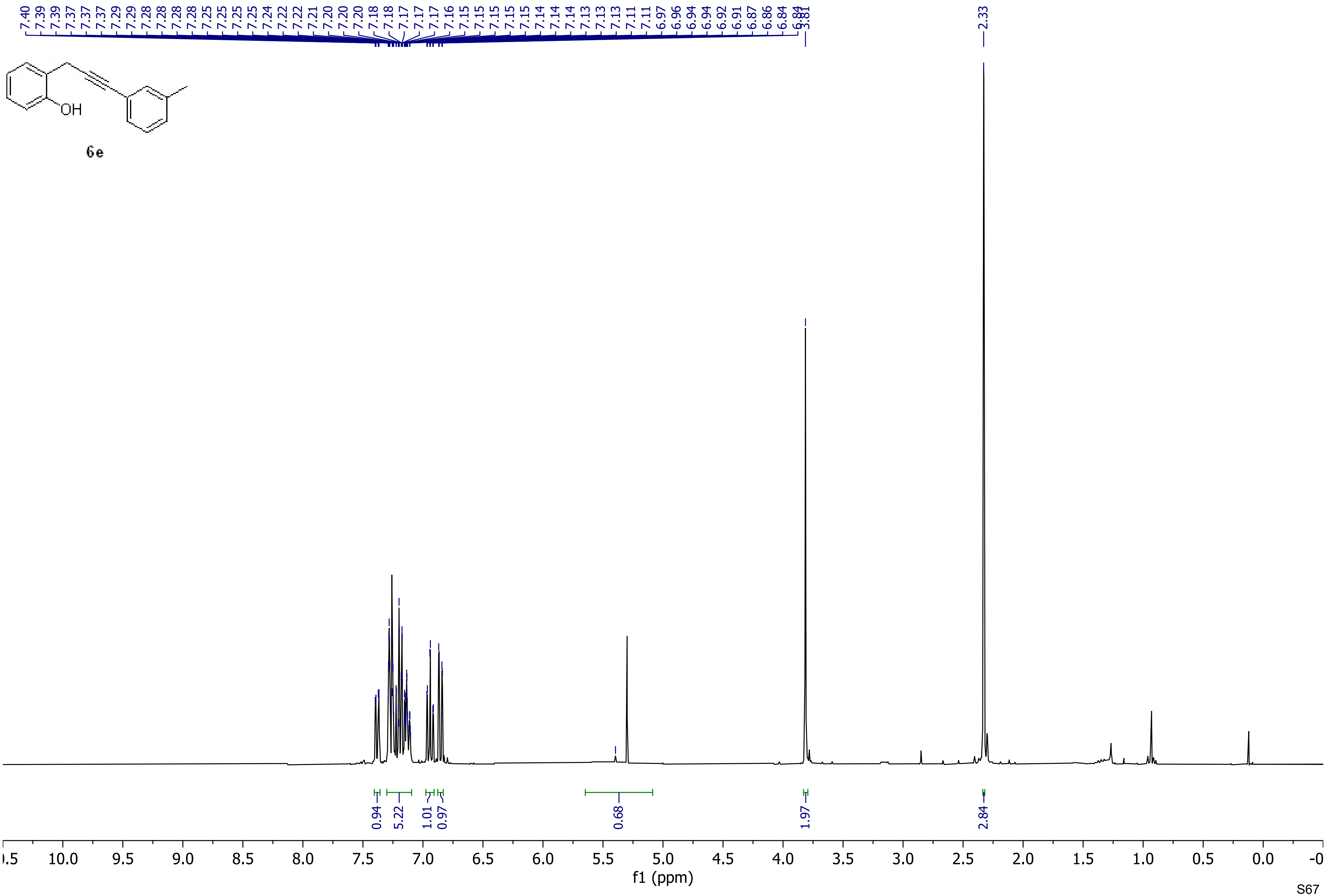


6d

^{13}C NMR (101 MHz, $CDCl_3$)

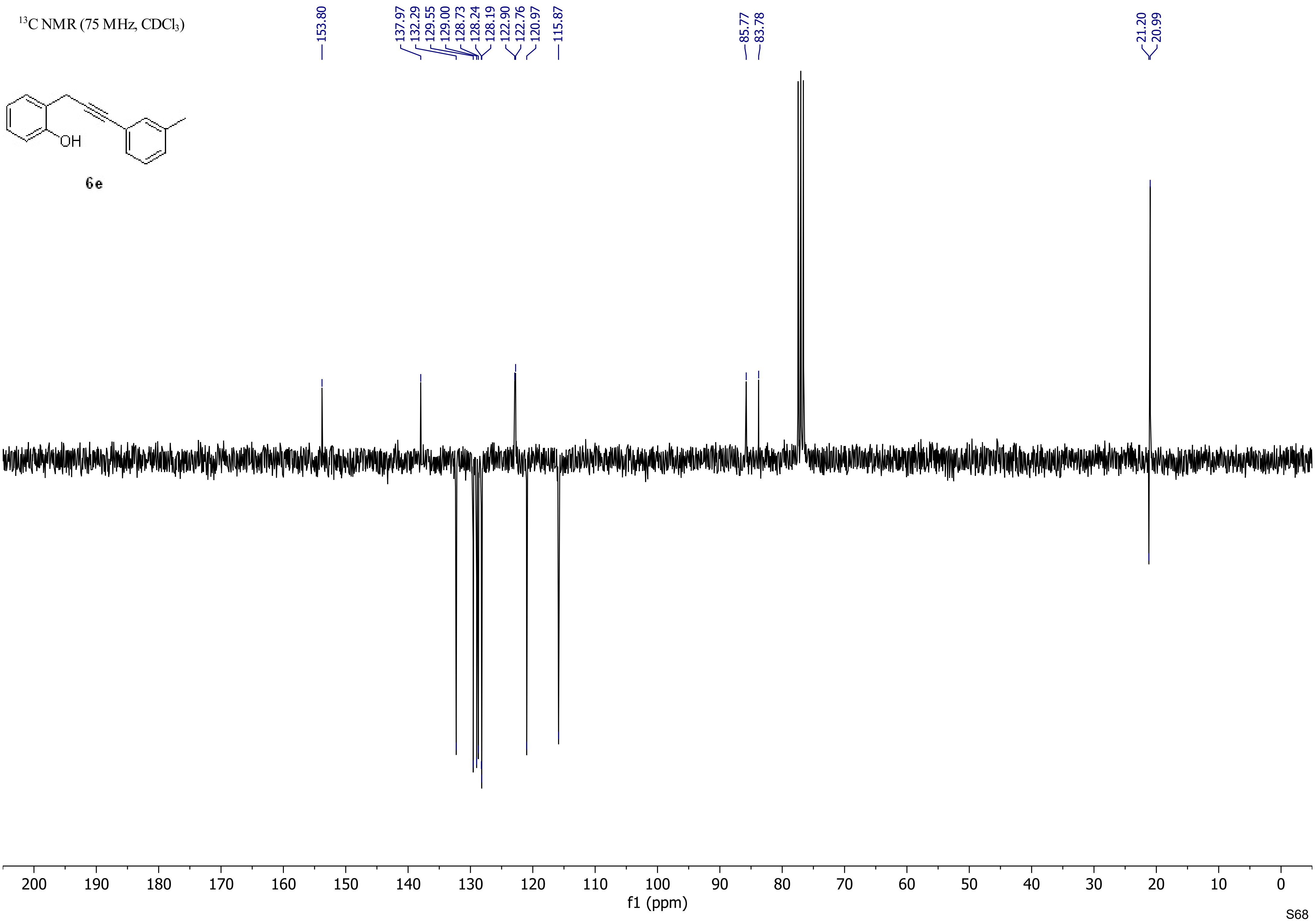


^{1}H NMR (300 MHz, $CDCl_3$)



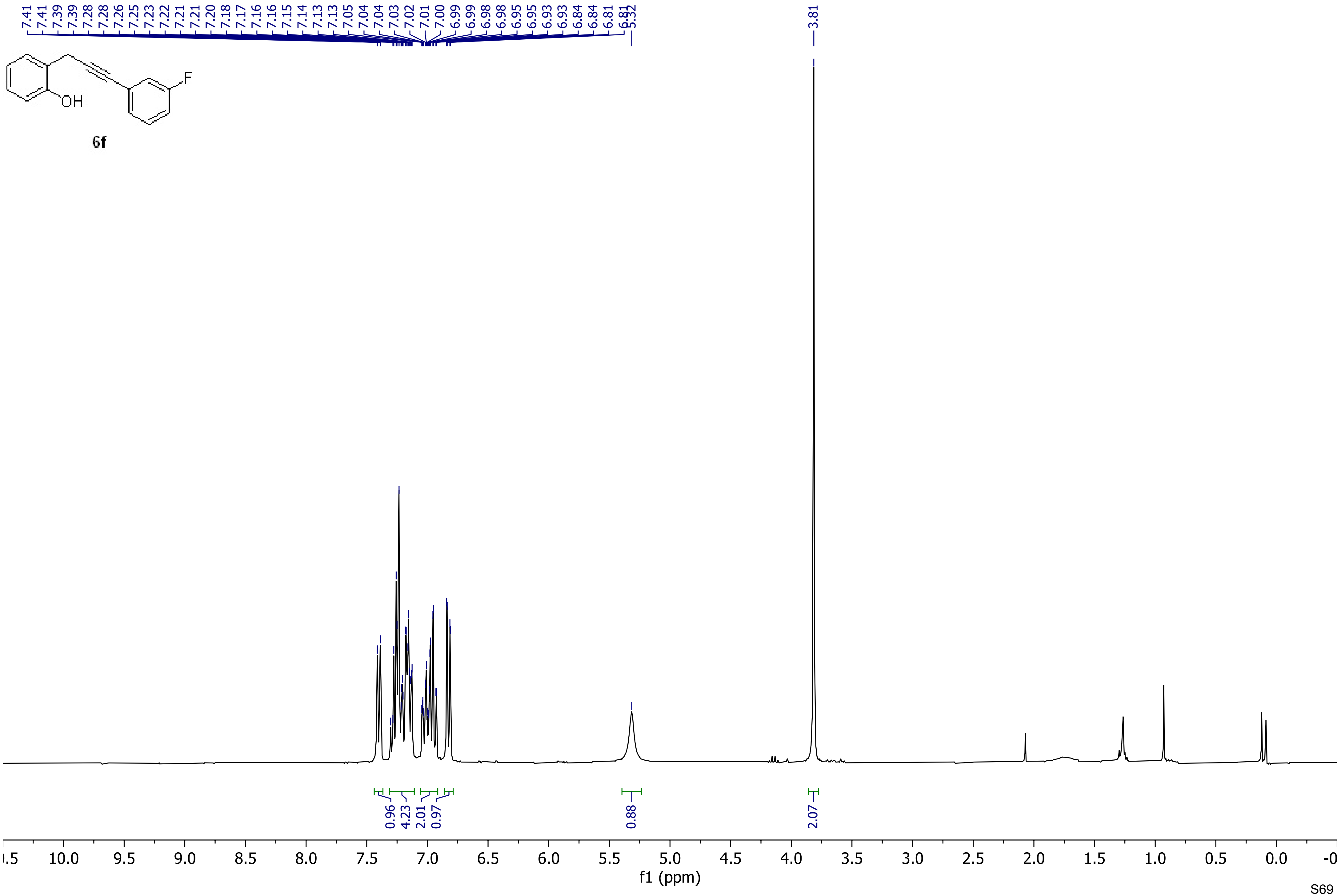
6e

^{13}C NMR (75 MHz, CDCl$_3$)



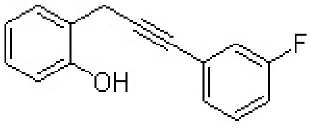
6e

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

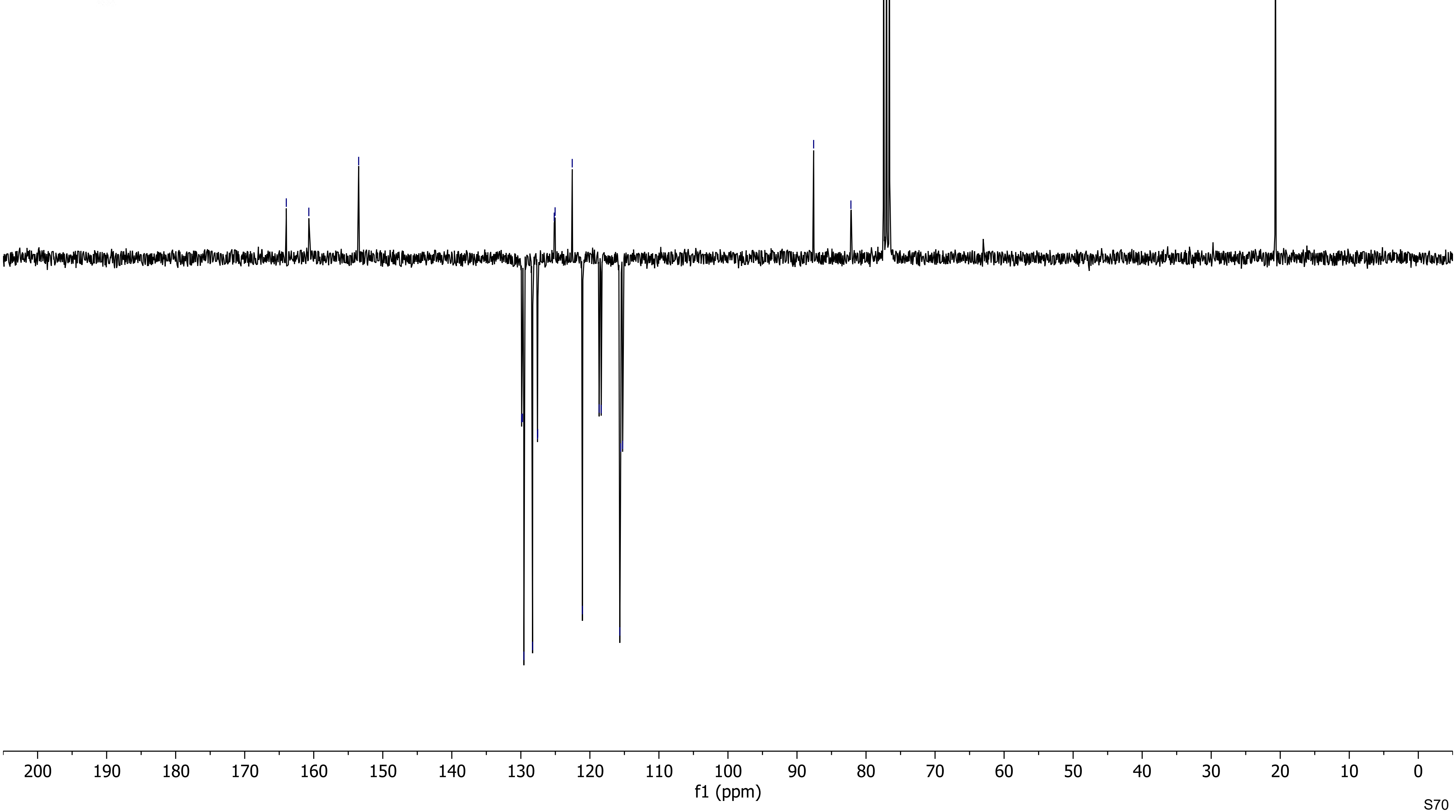


6f

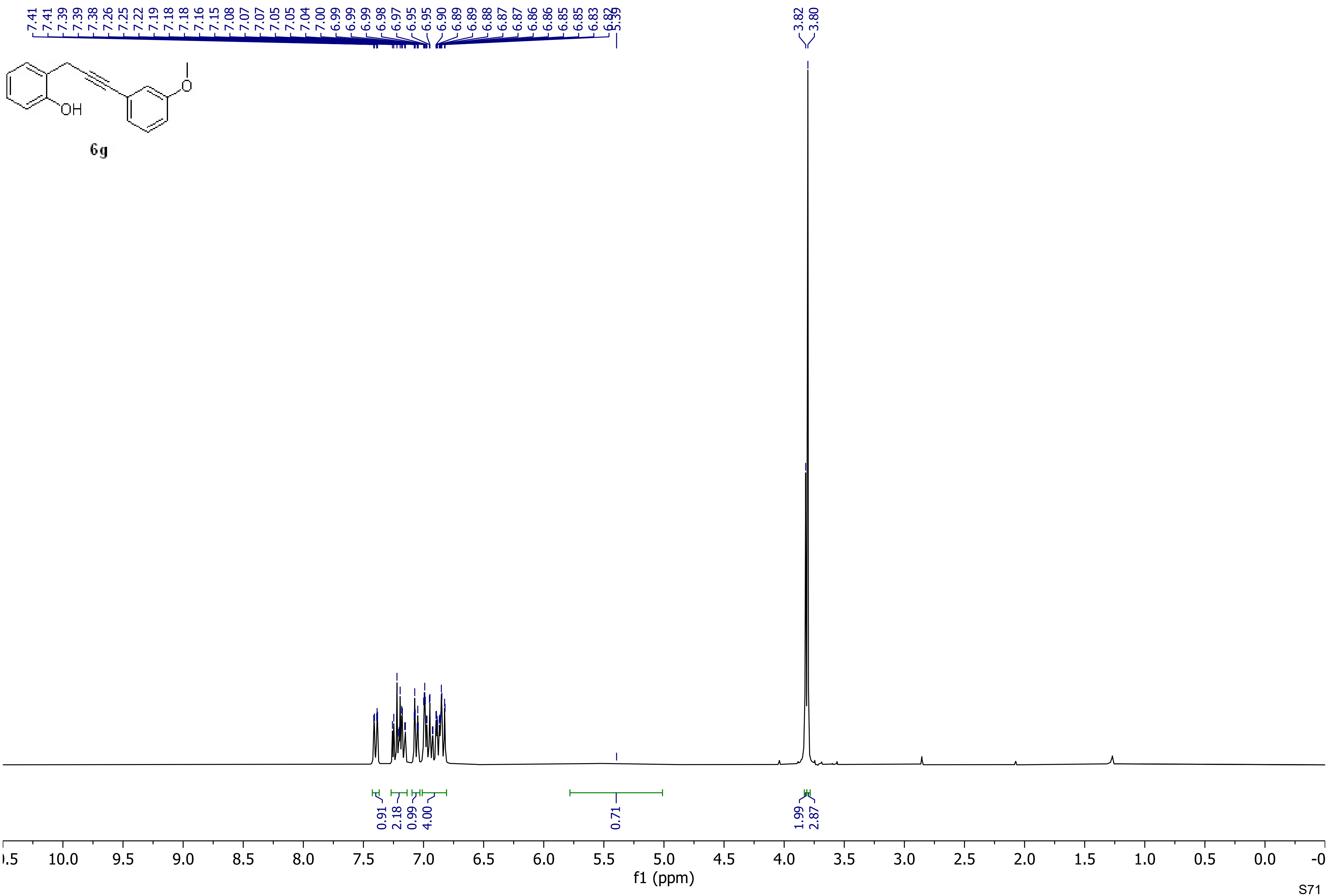
^{13}C NMR (75 MHz, $CDCl_3$)



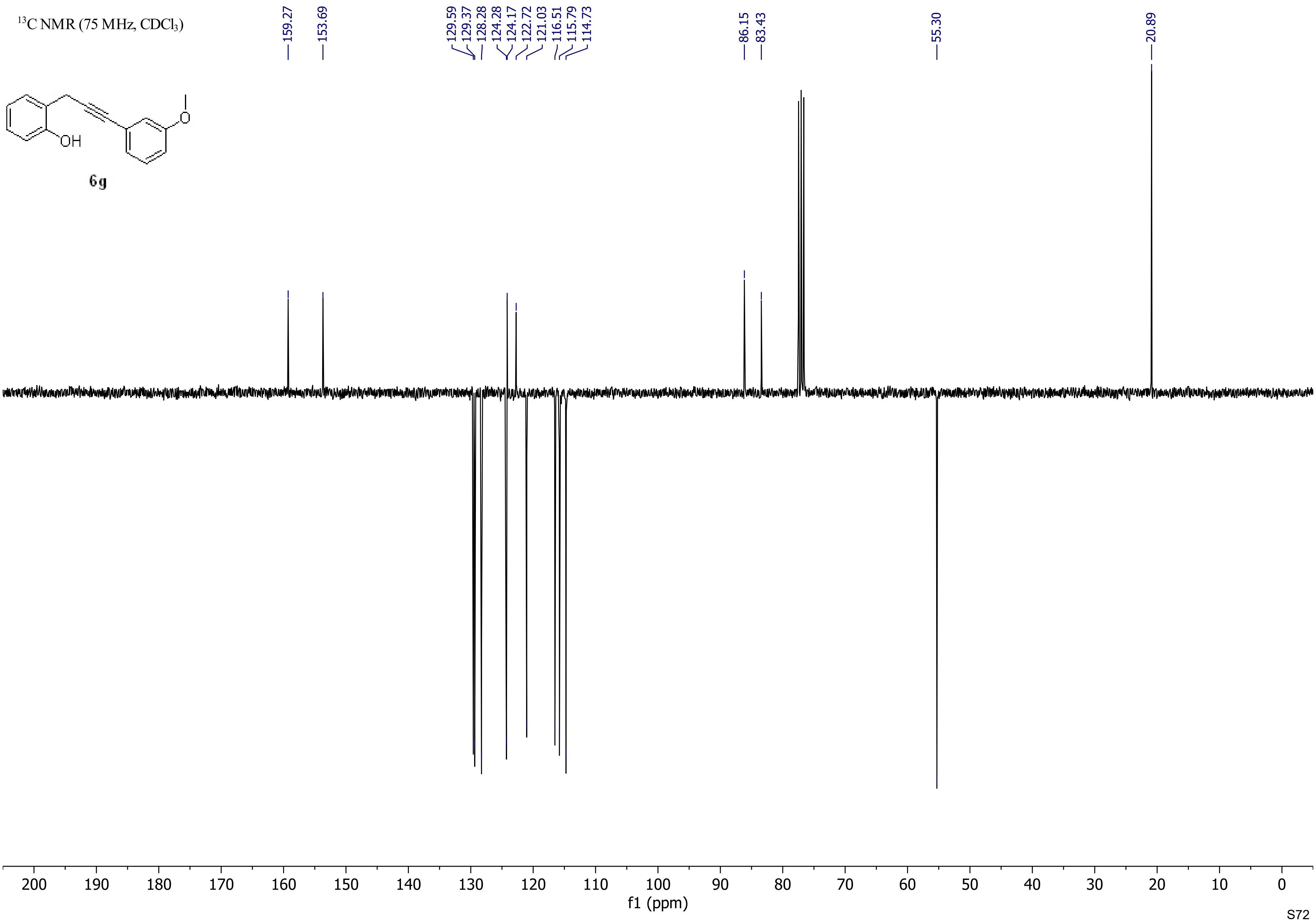
6f



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

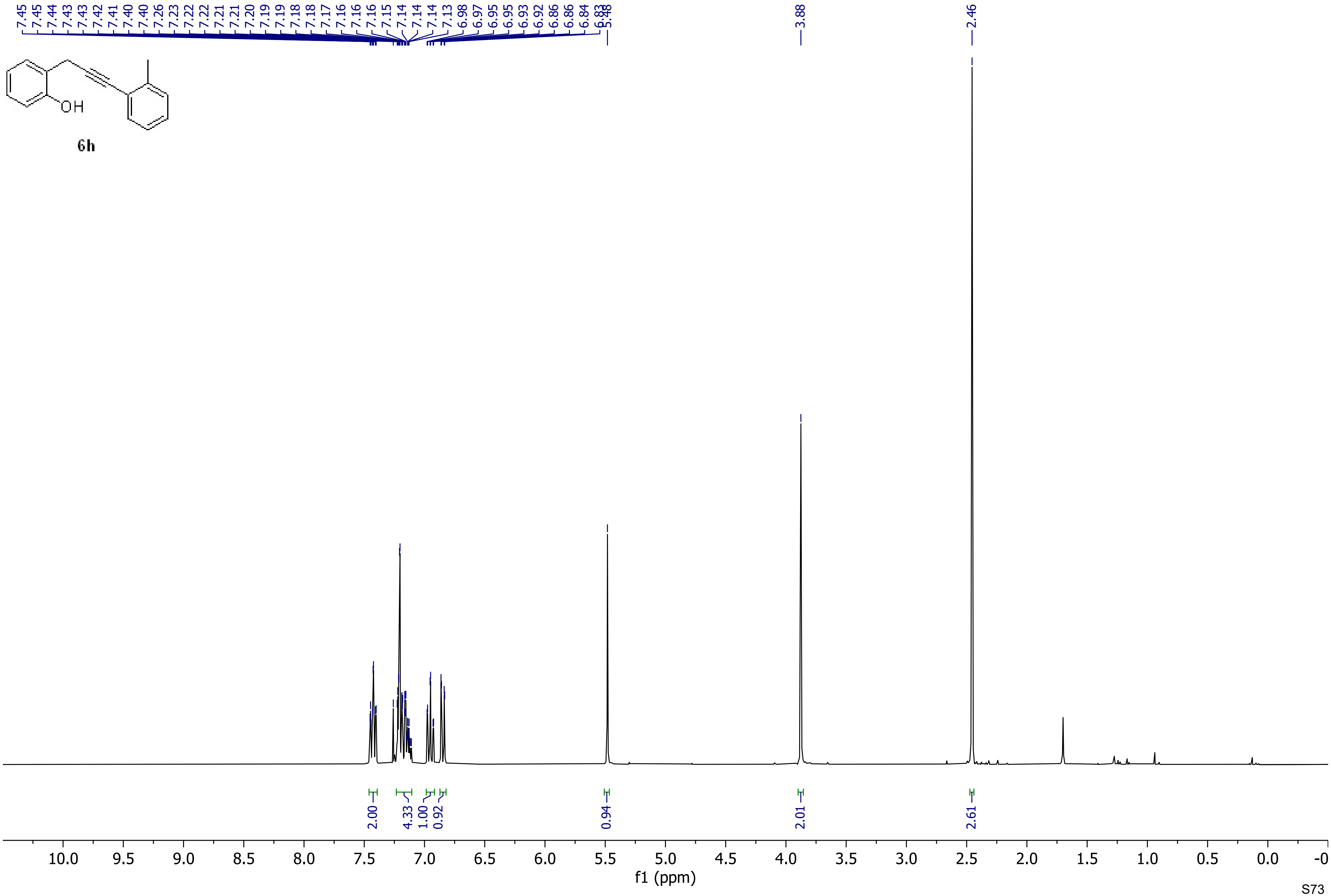


^{13}C NMR (75 MHz, CDCl$_3$)

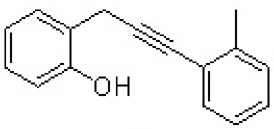


6g

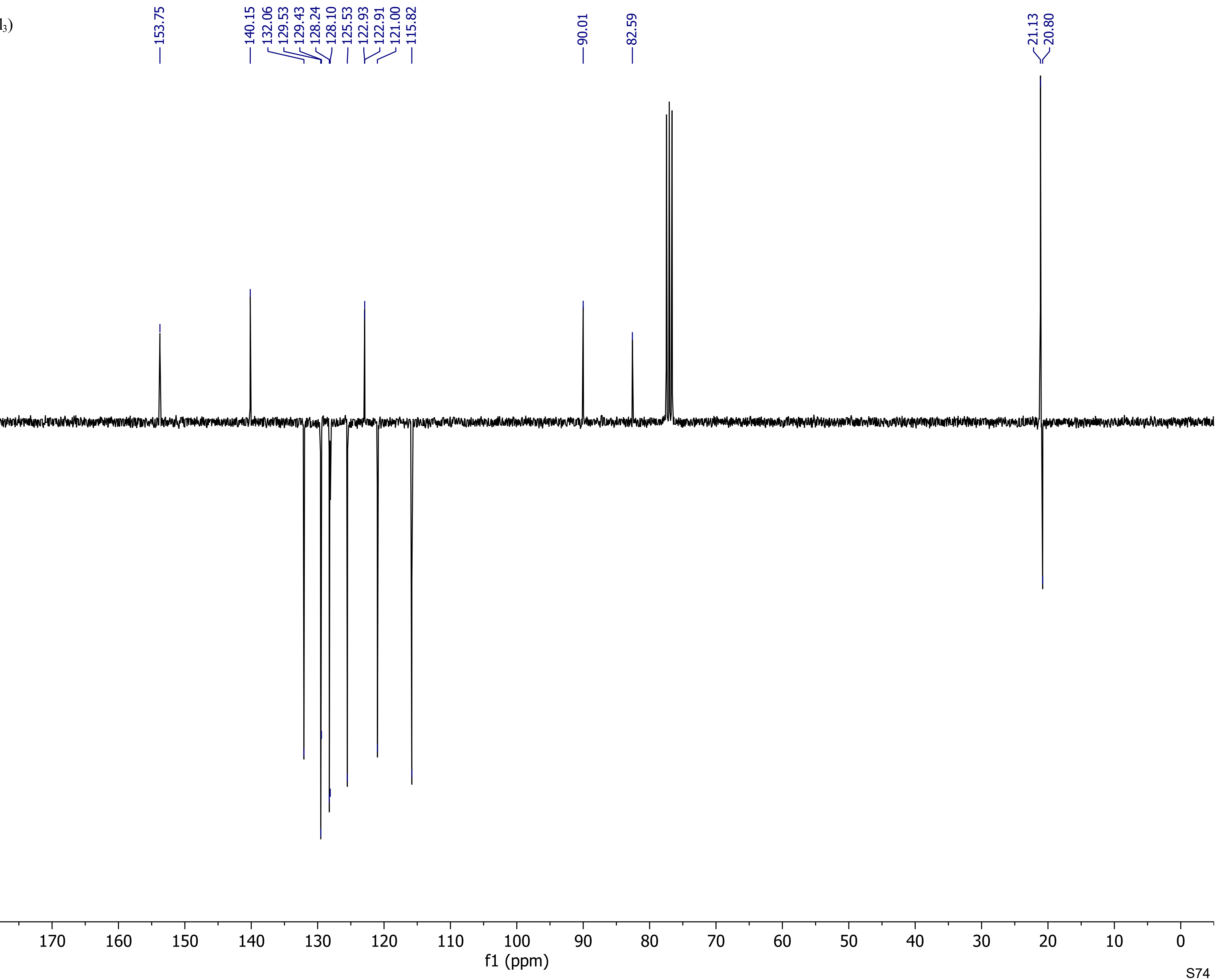
^{1}H NMR (300 MHz, $CDCl_3$)



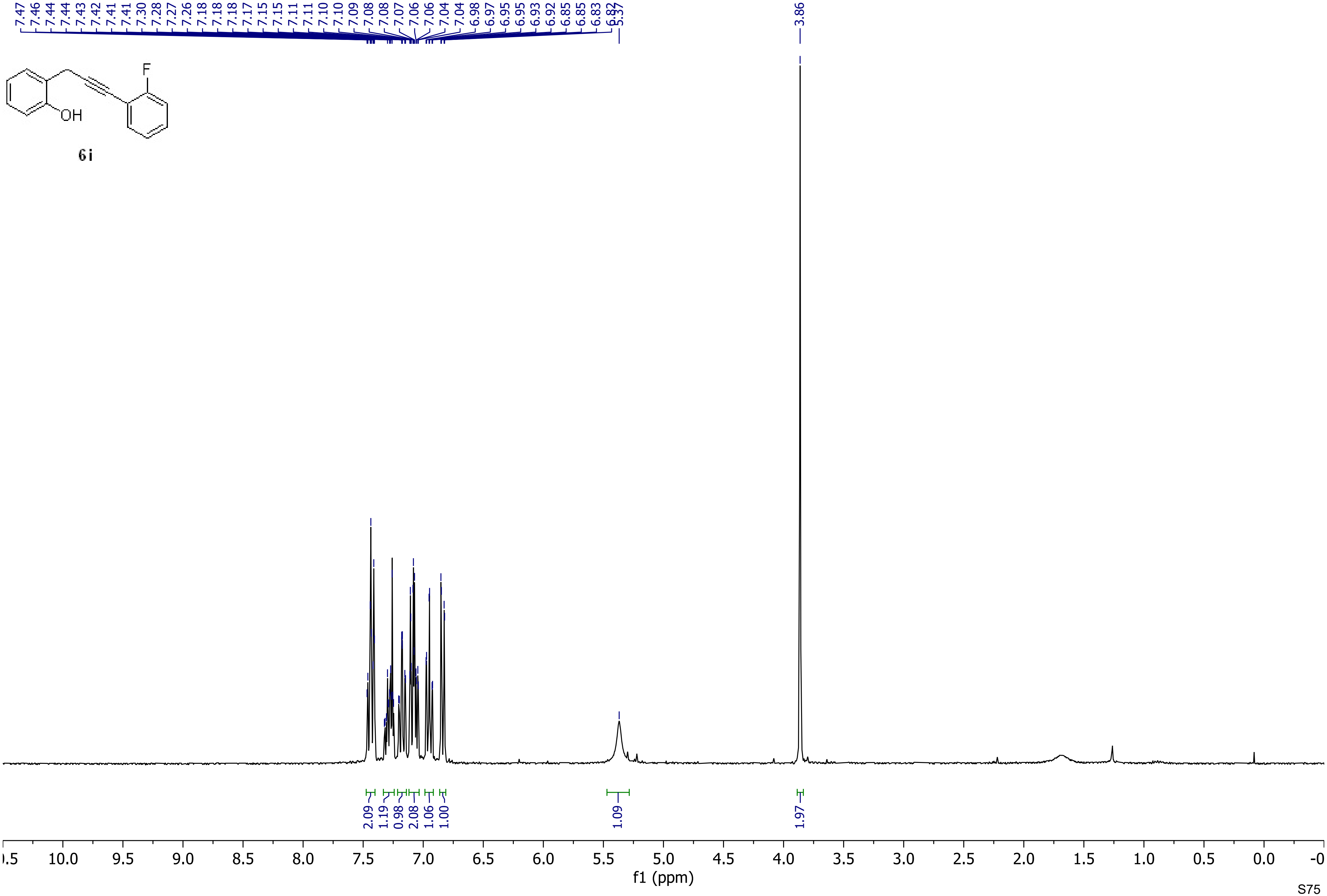
^{13}C NMR (75 MHz, $CDCl_3$)



6h

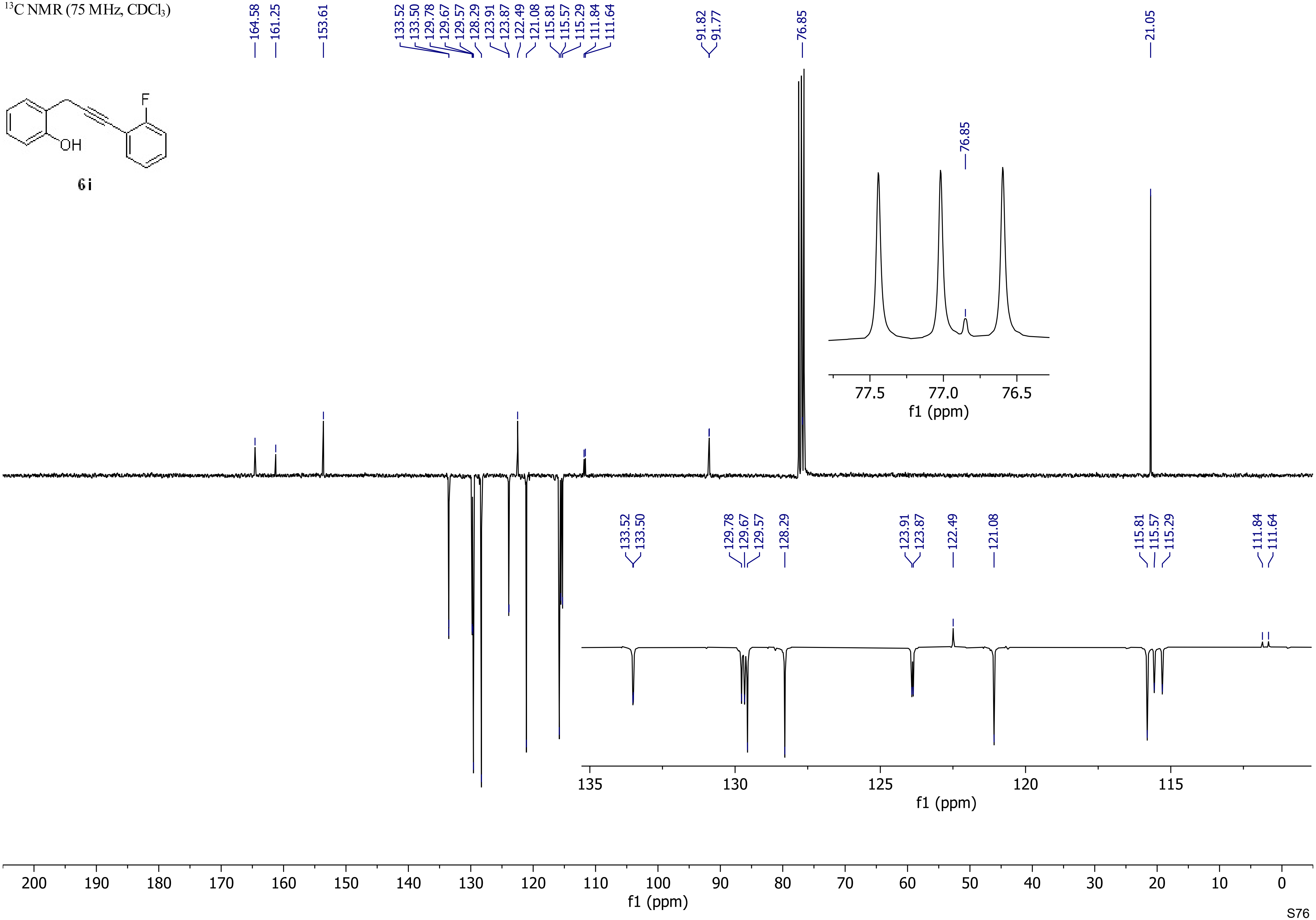


^{1}H NMR (300 MHz, CDCl$_3$)



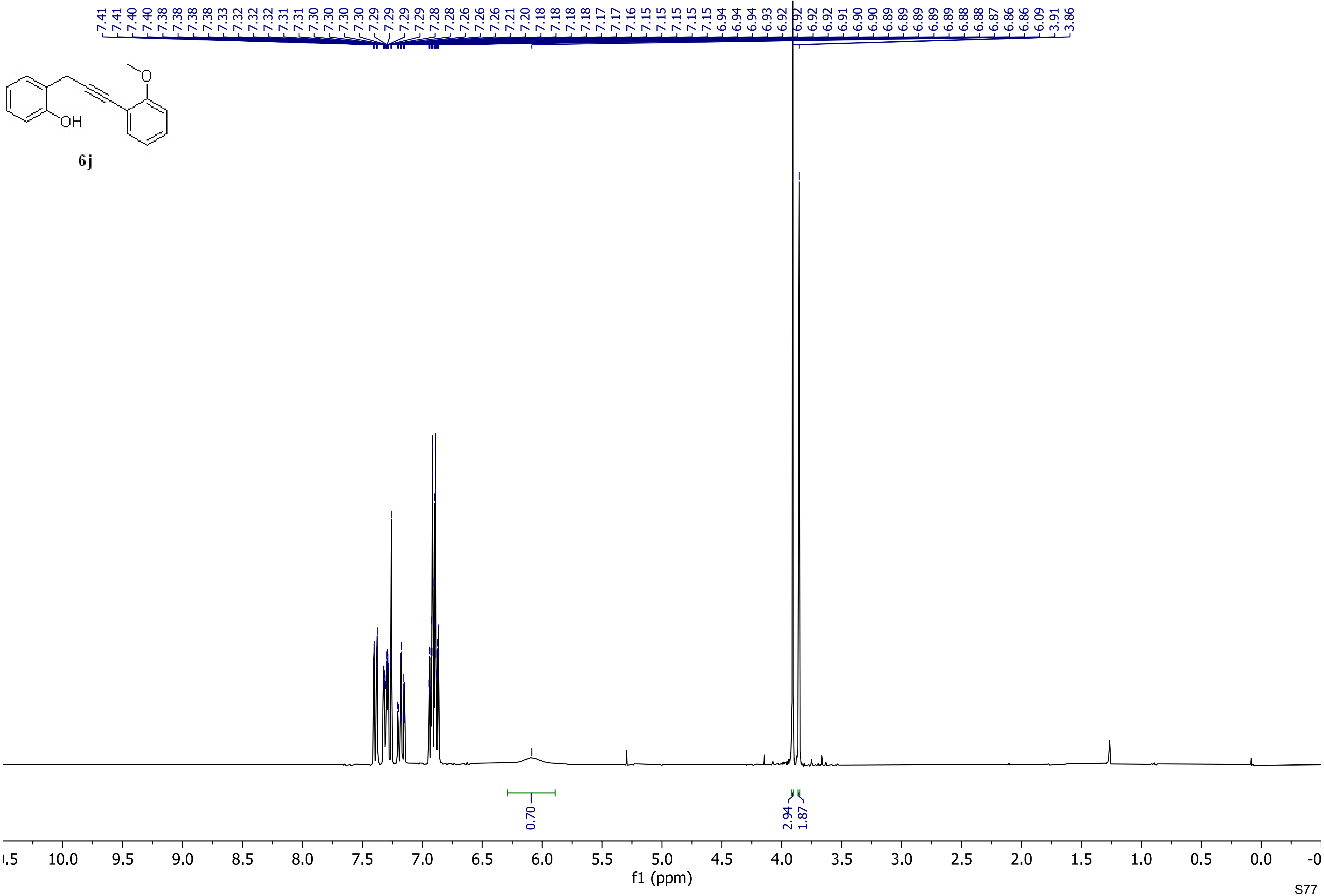
6i

^{13}C NMR (75 MHz, CDCl$_3$)

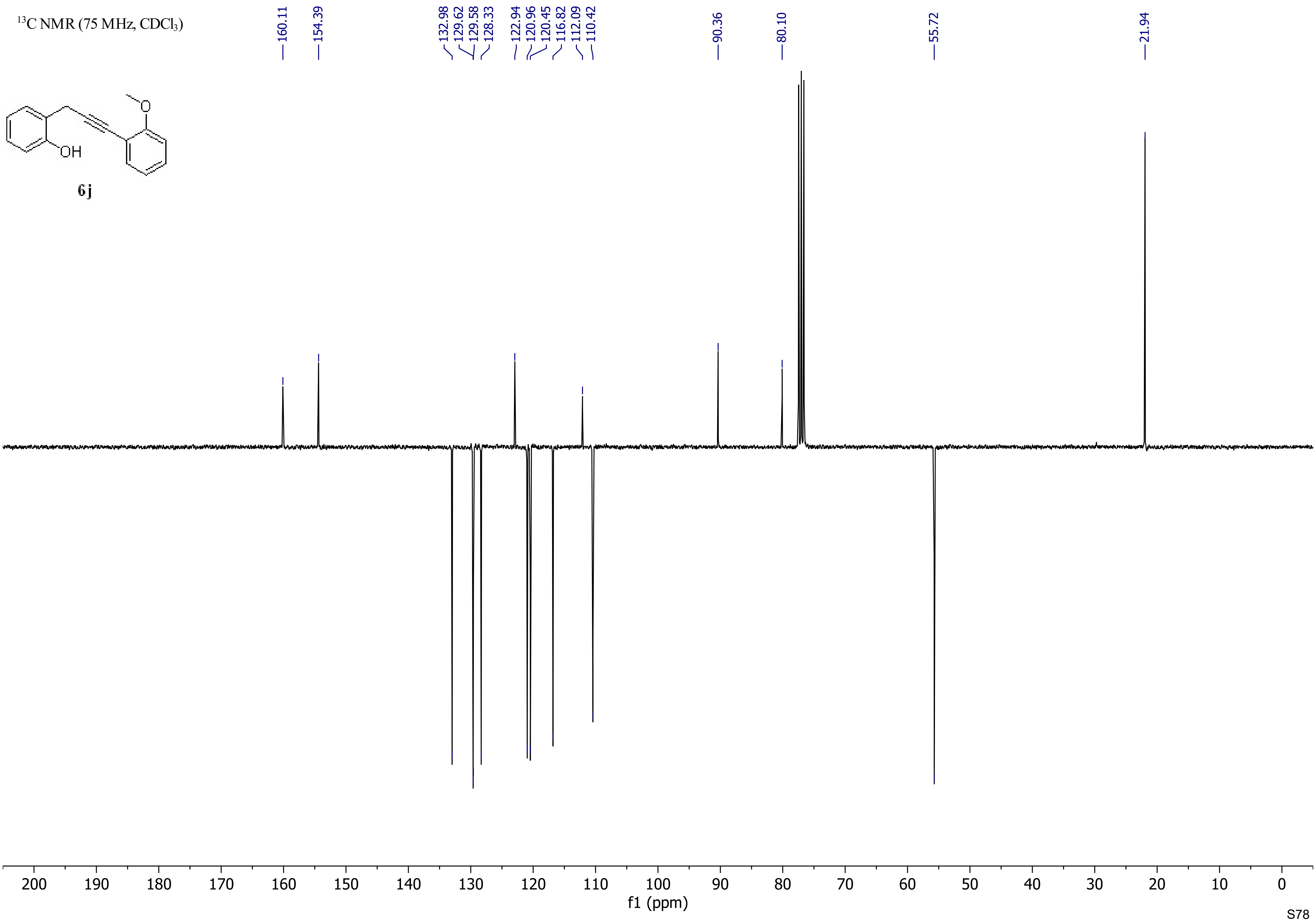


6i

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

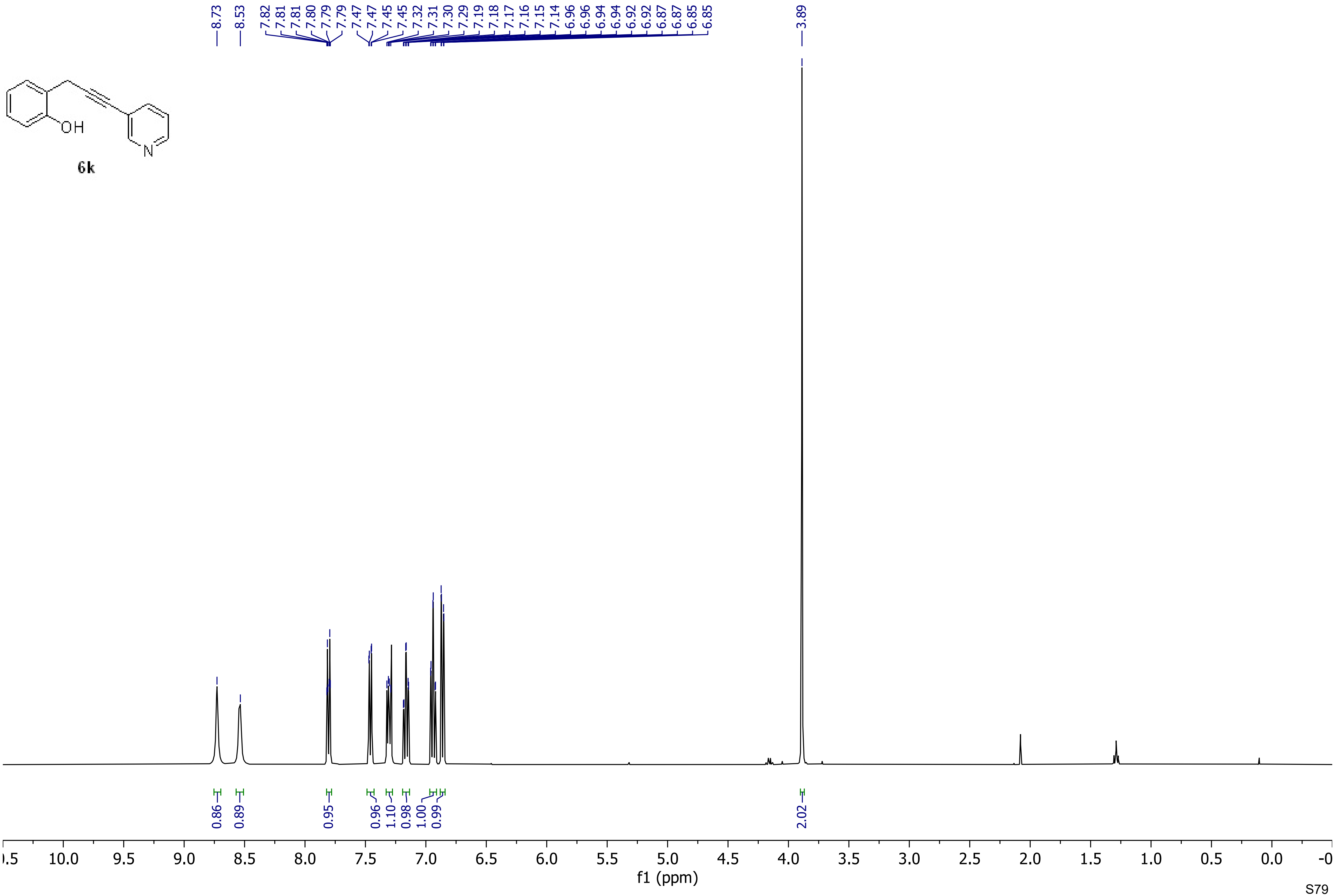


^{13}C NMR (75 MHz, $CDCl_3$)



6j

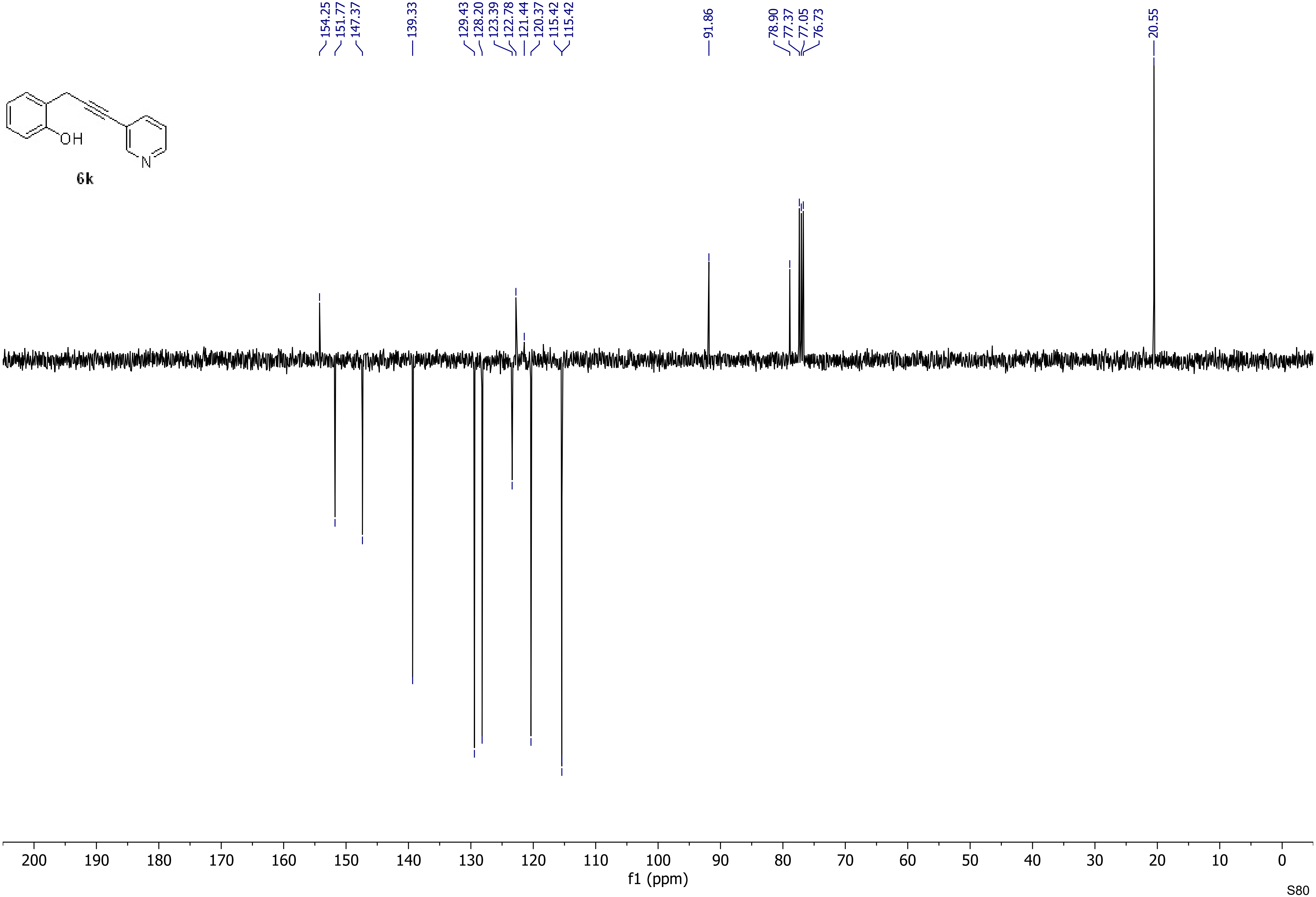
^{1}H NMR (400 MHz, CDCl$_3$)



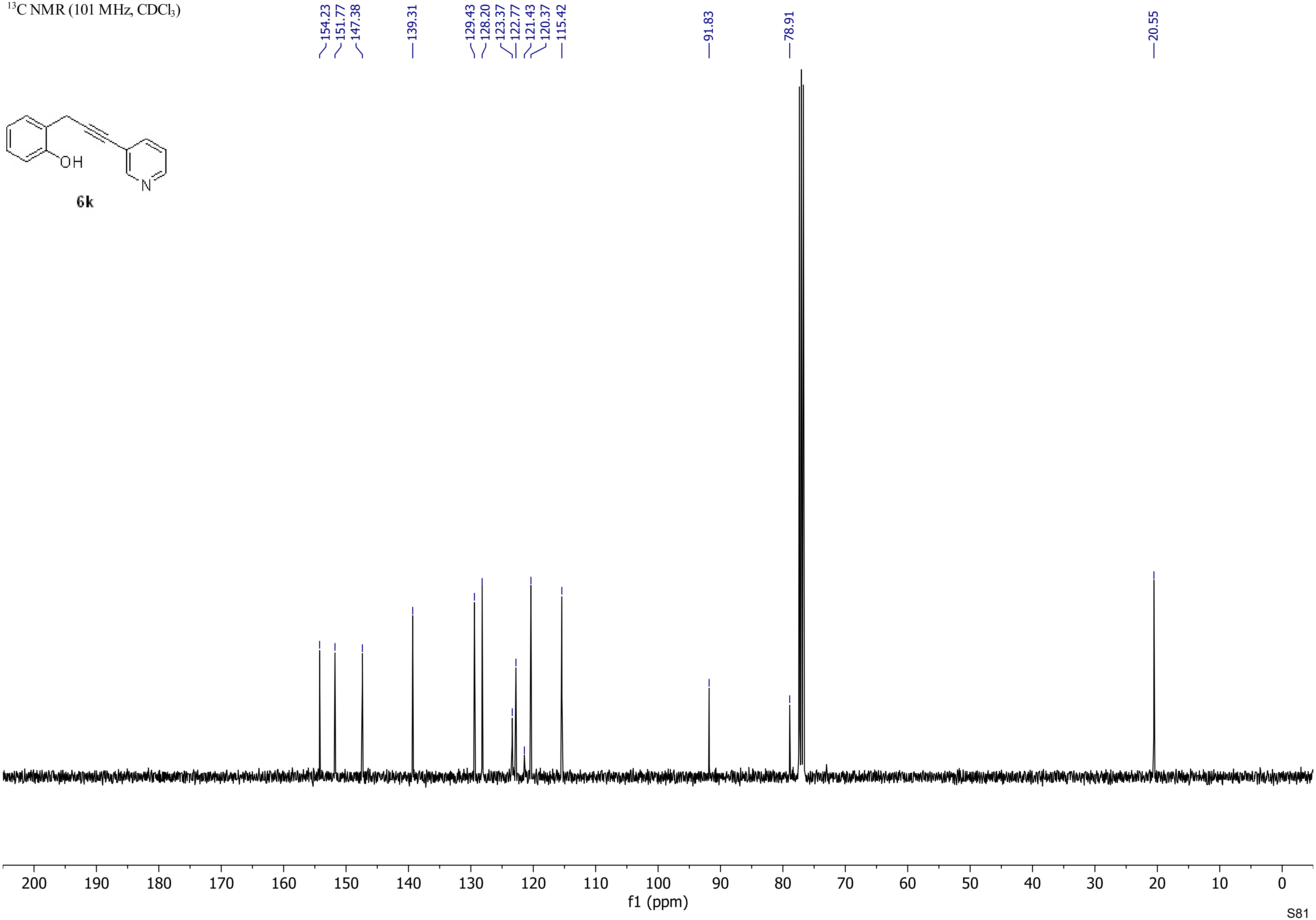
6k

^{13}C NMR (101 MHz, CDCl$_3$)

6k

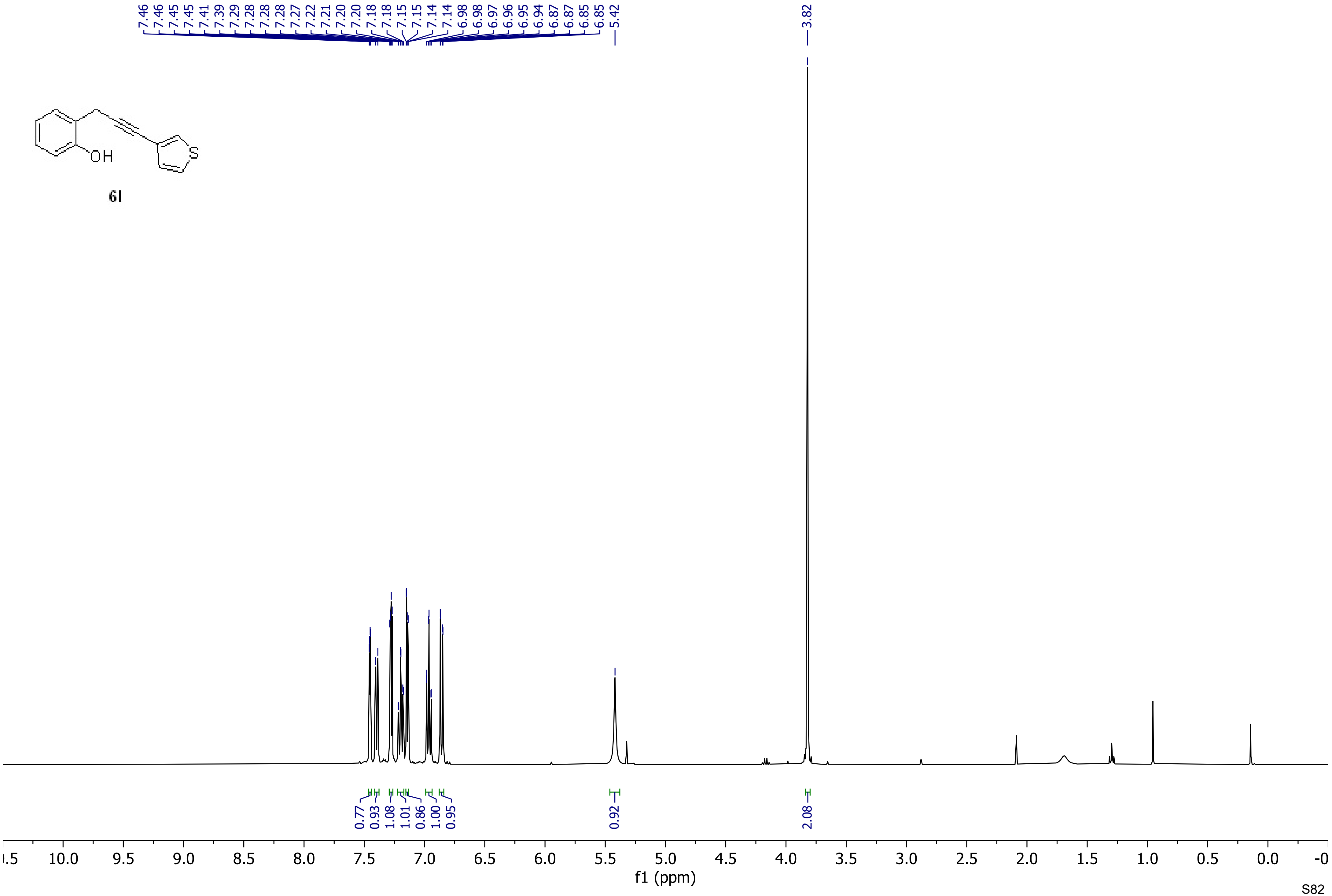


^{13}C NMR (101 MHz, $CDCl_3$)

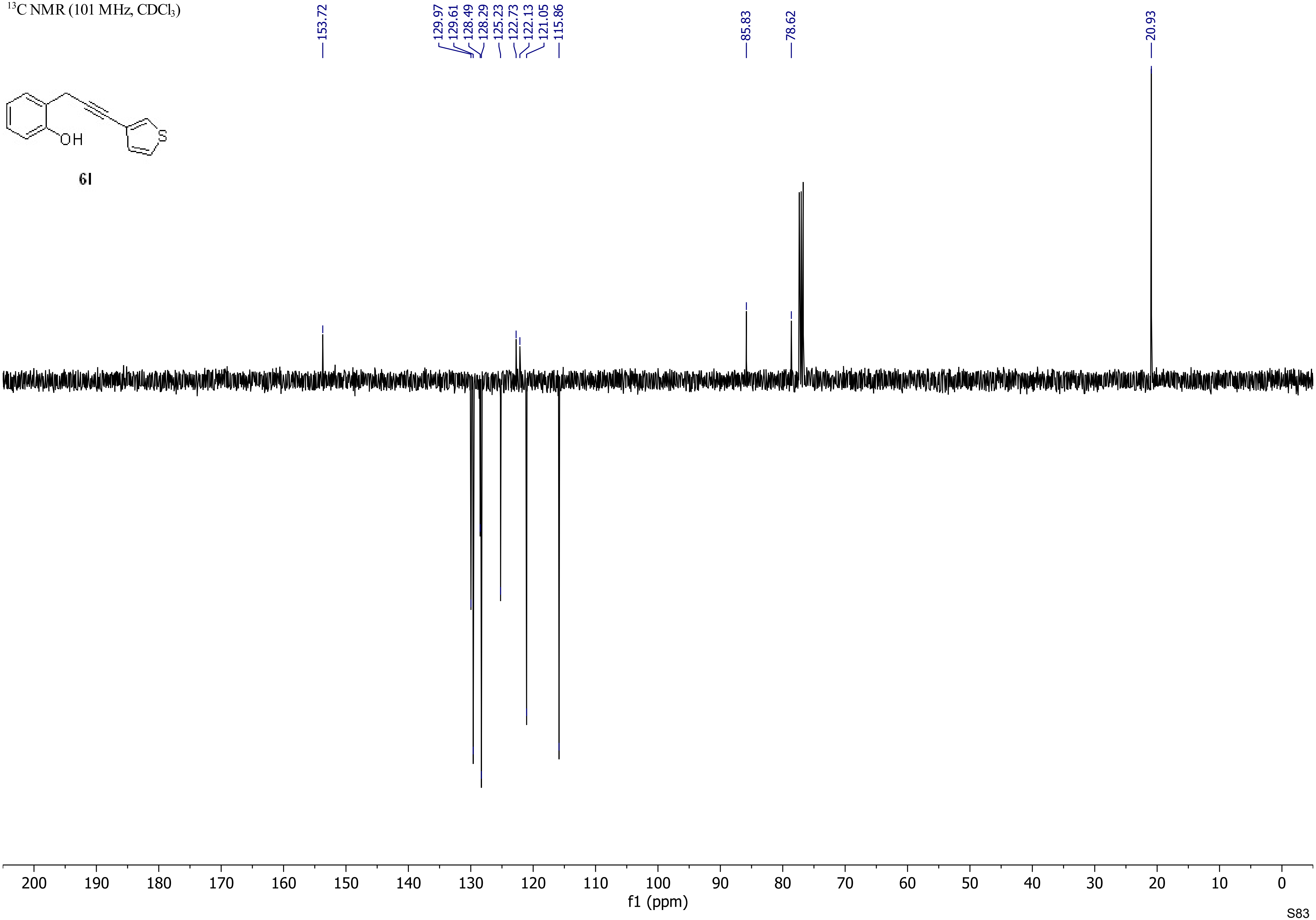


^{1}H NMR (400 MHz, $CDCl_3$)

6l

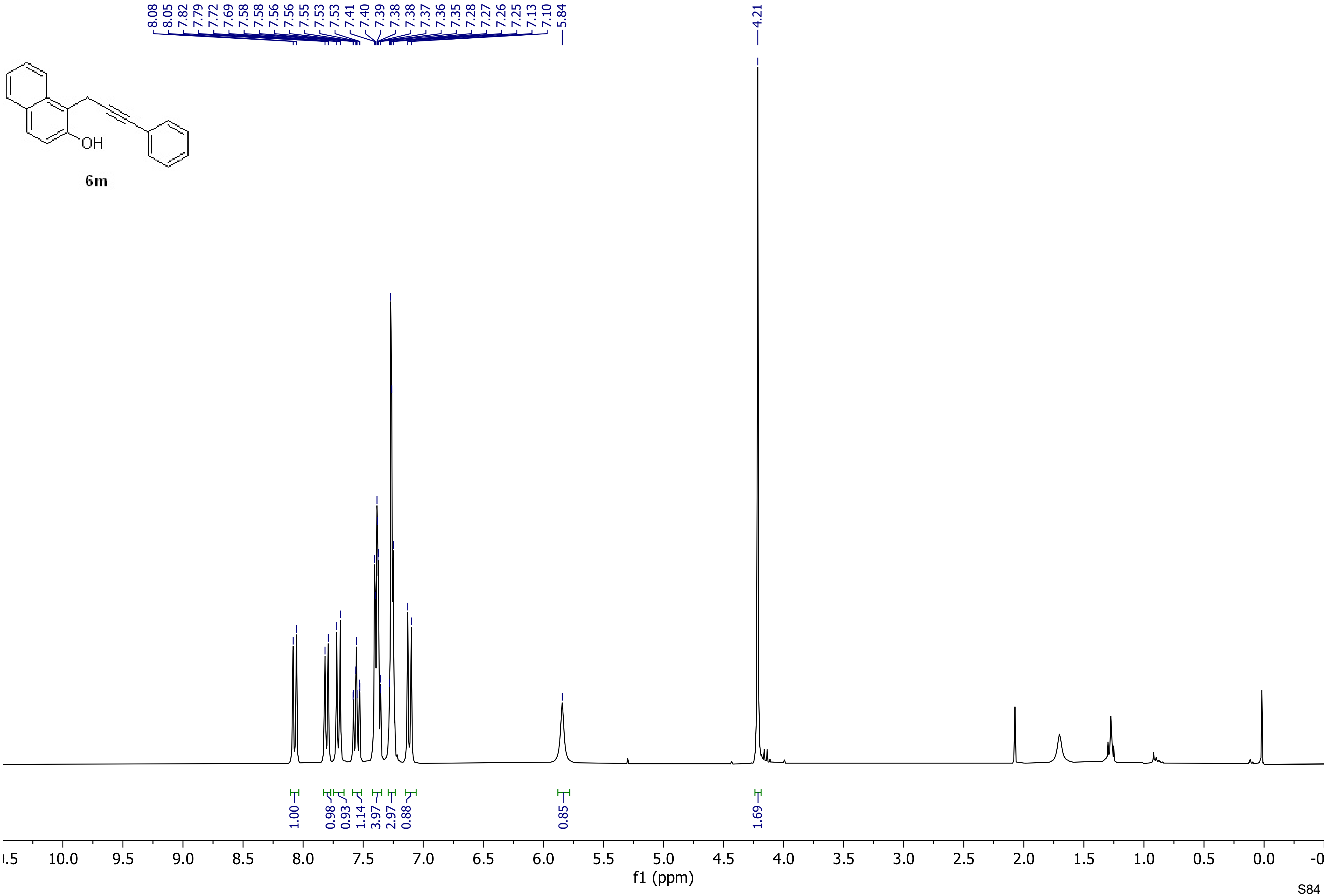


^{13}C NMR (101 MHz, CDCl$_3$)

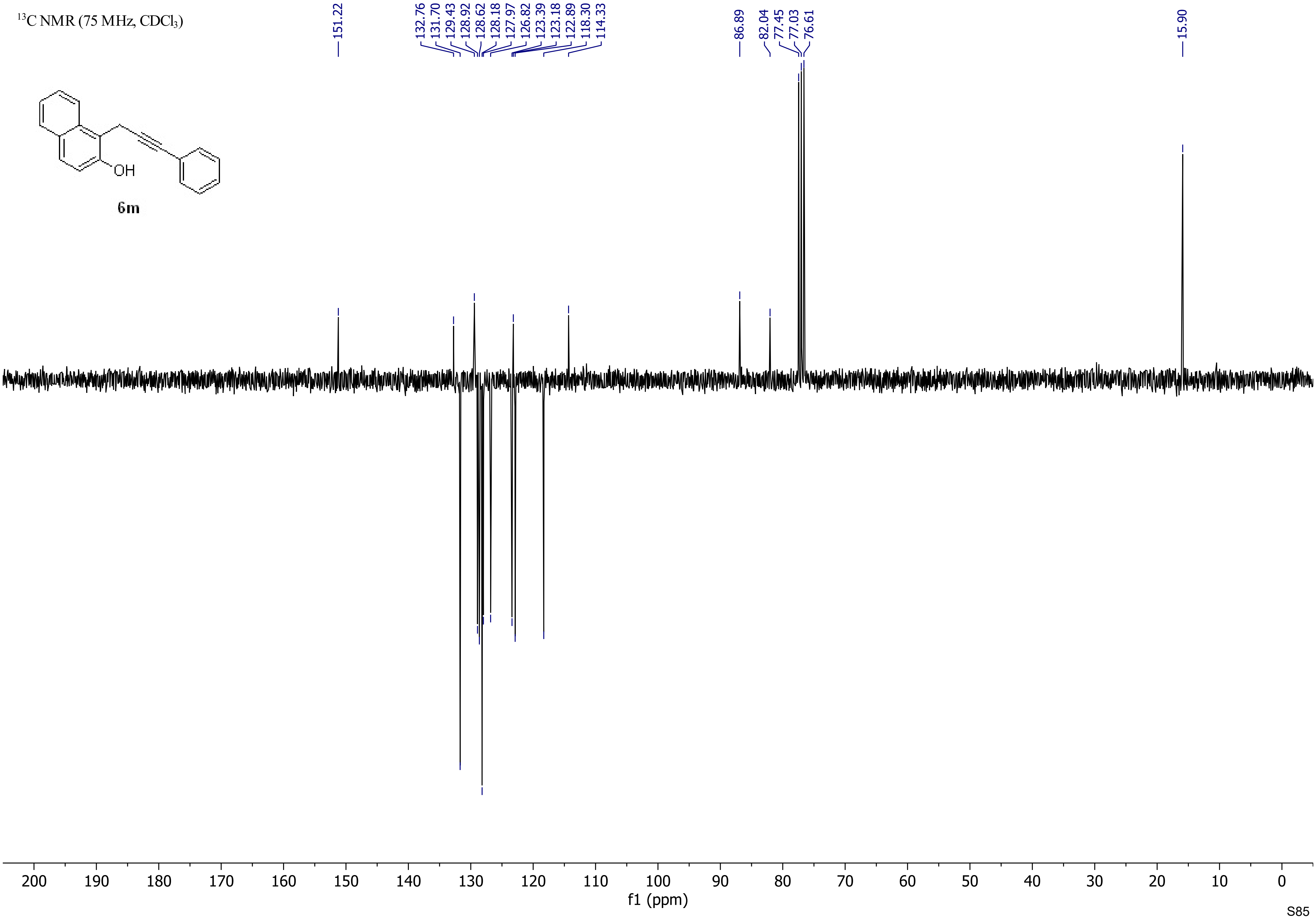


6l

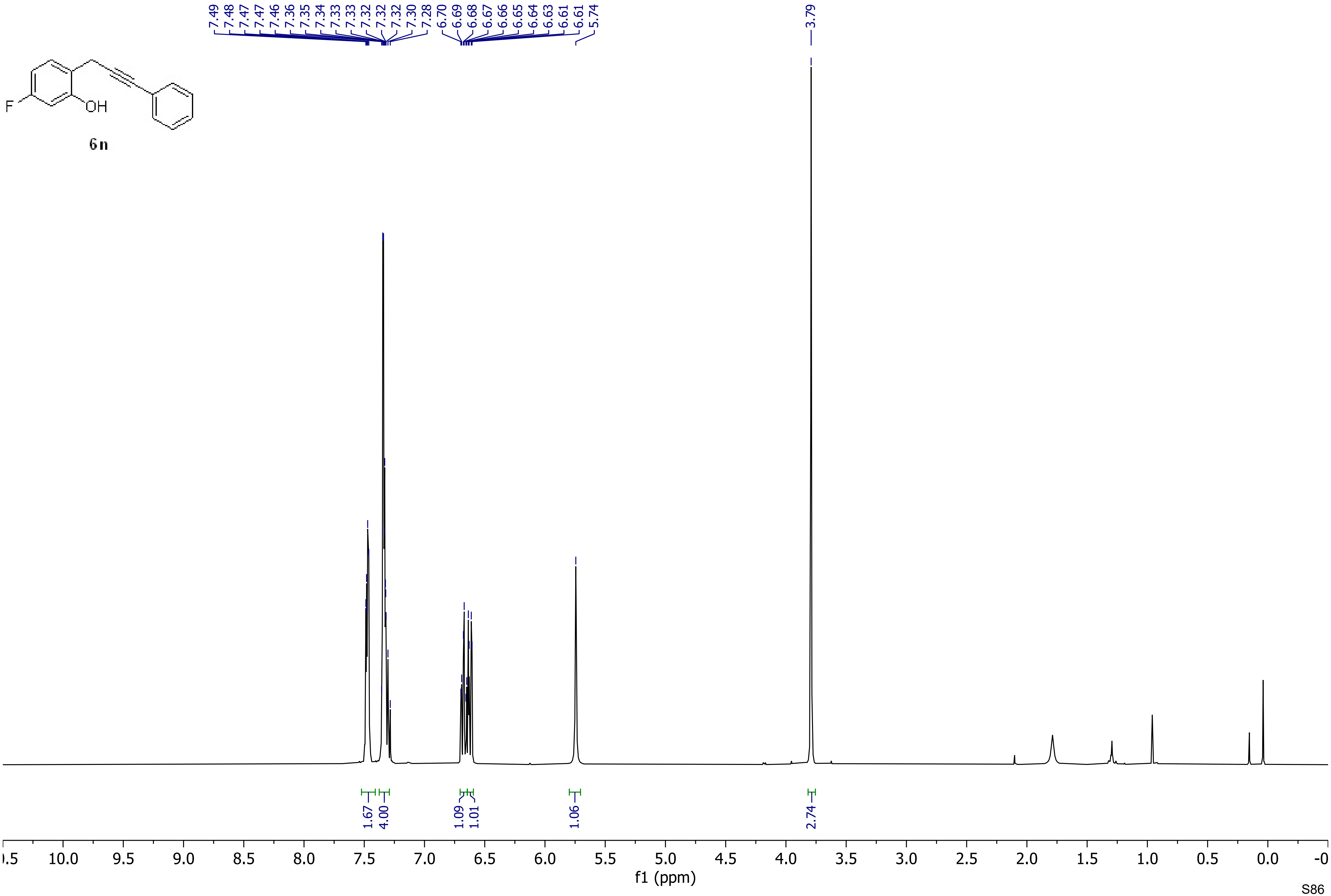
^{1}H NMR (300 MHz, $CDCl_3$)



^{13}C NMR (75 MHz, CDCl$_3$)

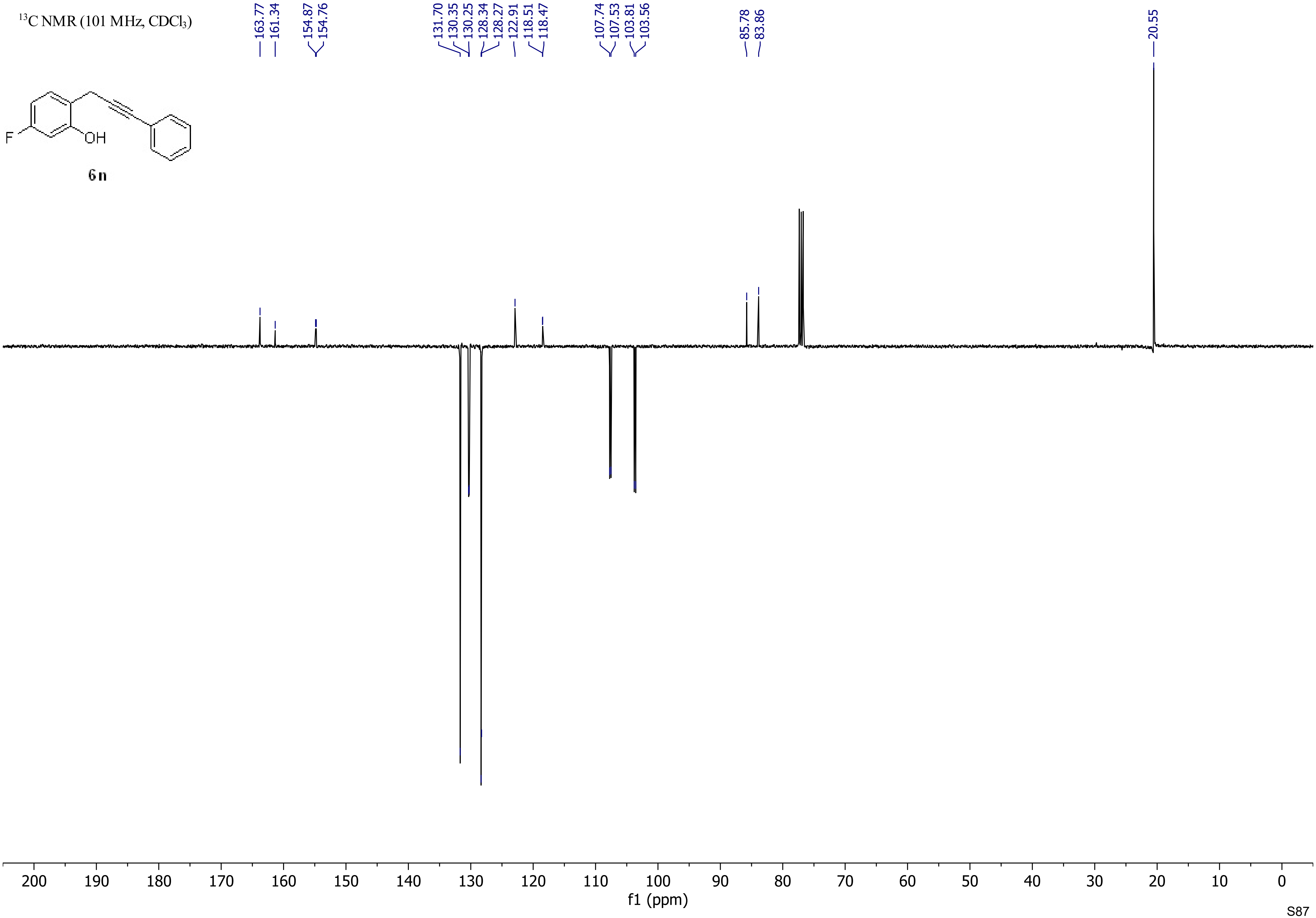


^{1}H NMR (400 MHz, CDCl$_3$)



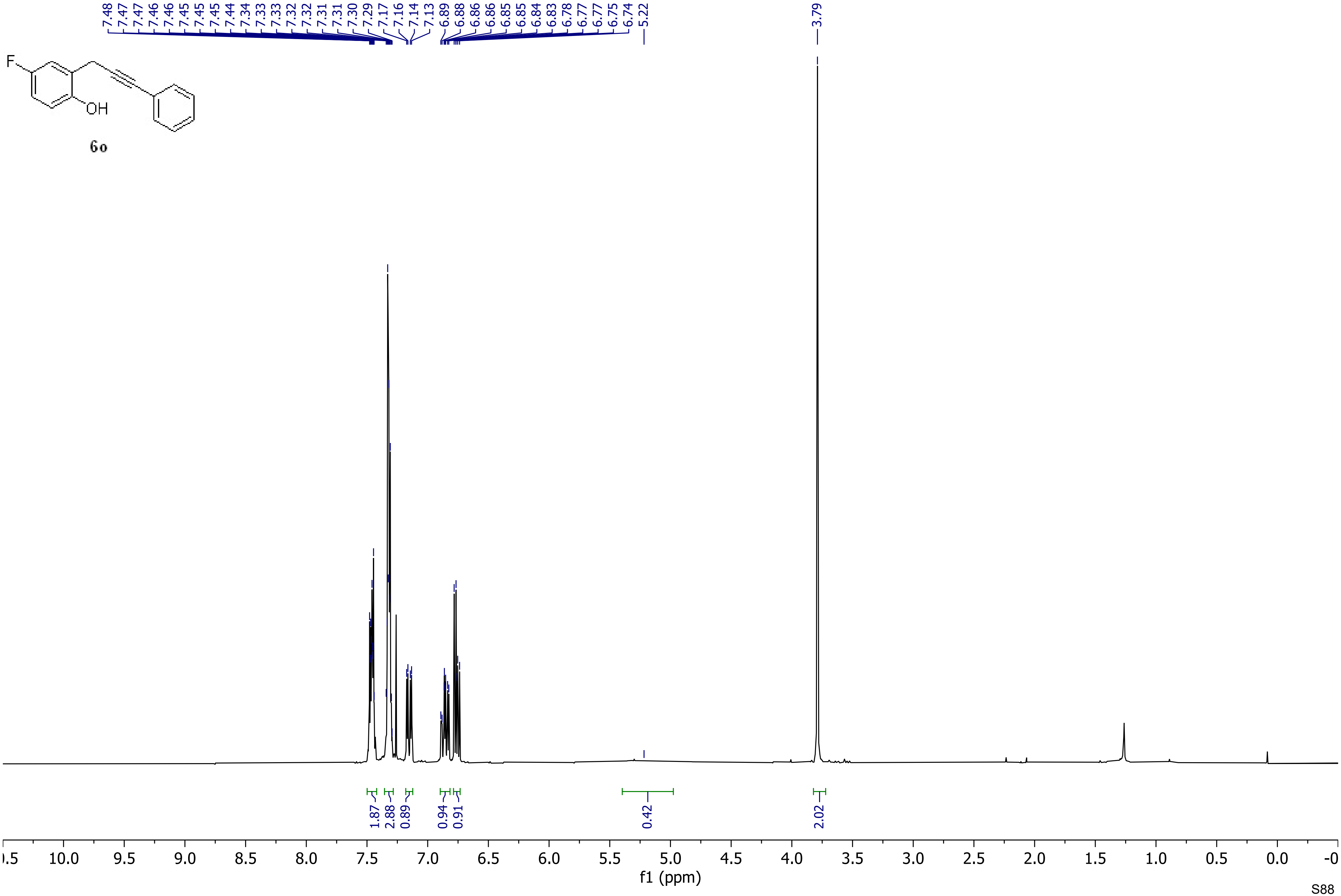
6n

^{13}C NMR (101 MHz, CDCl$_3$)



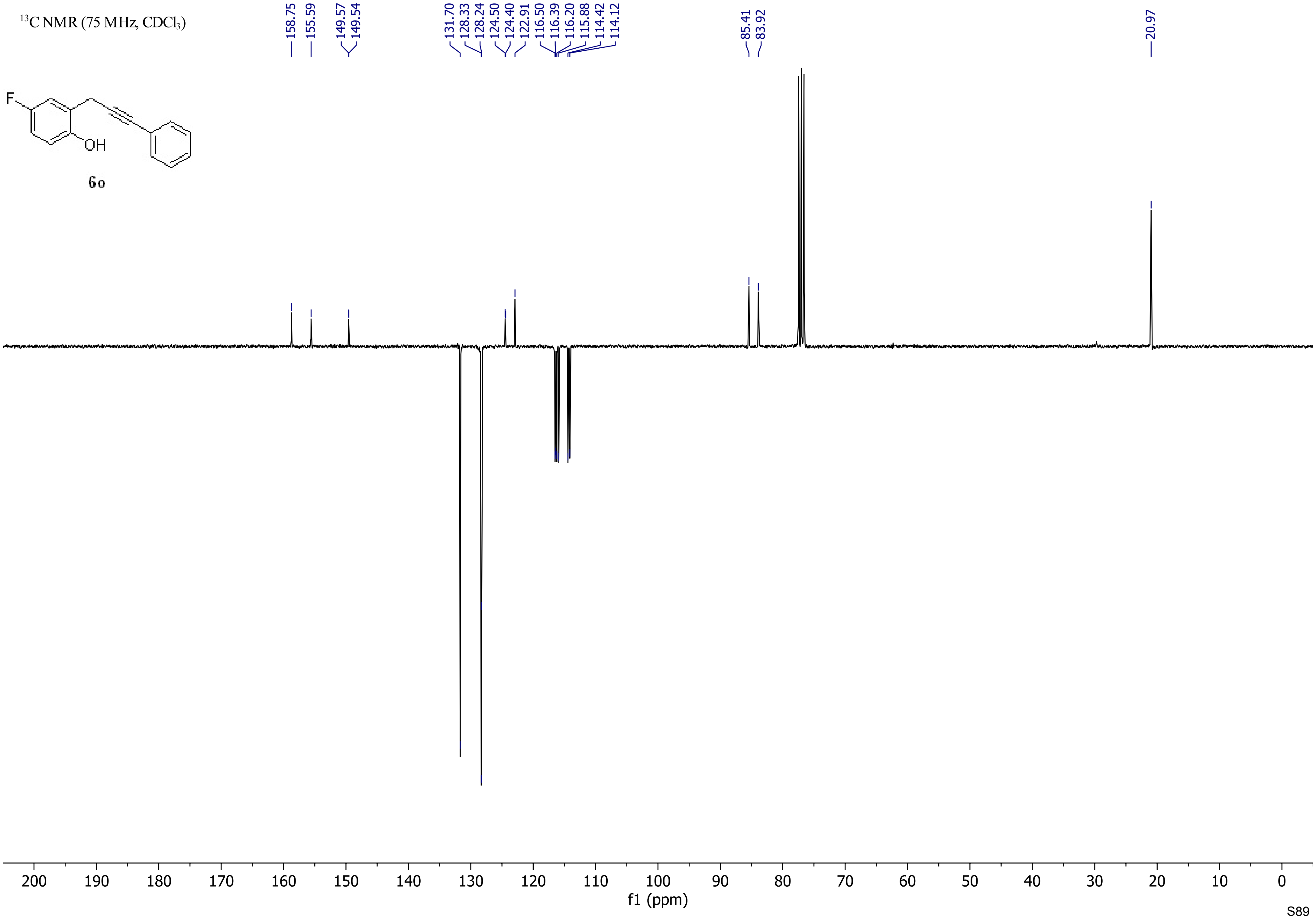
6n

^{1}H NMR (300 MHz, CDCl$_3$)

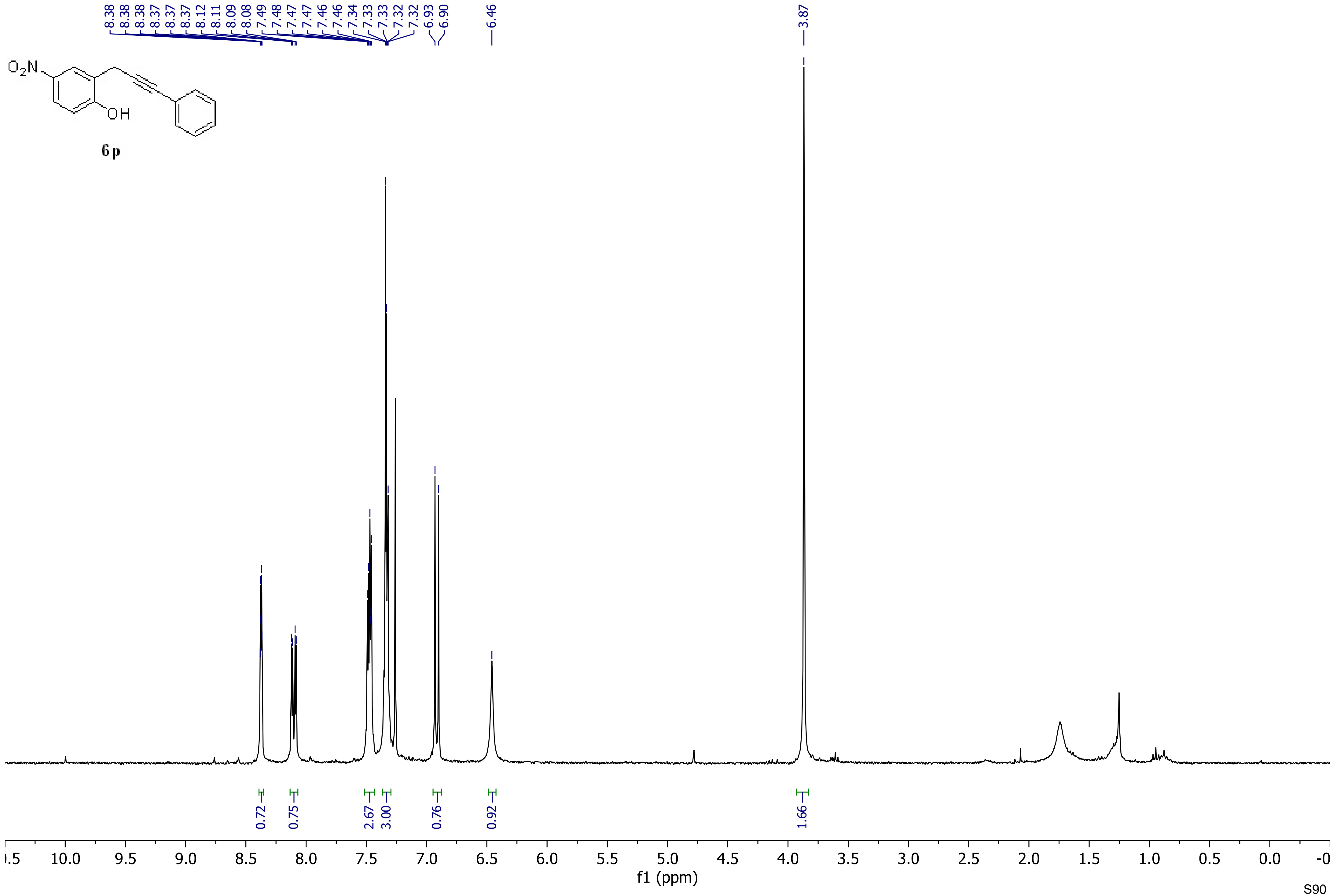


6o

^{13}C NMR (75 MHz, CDCl$_3$)

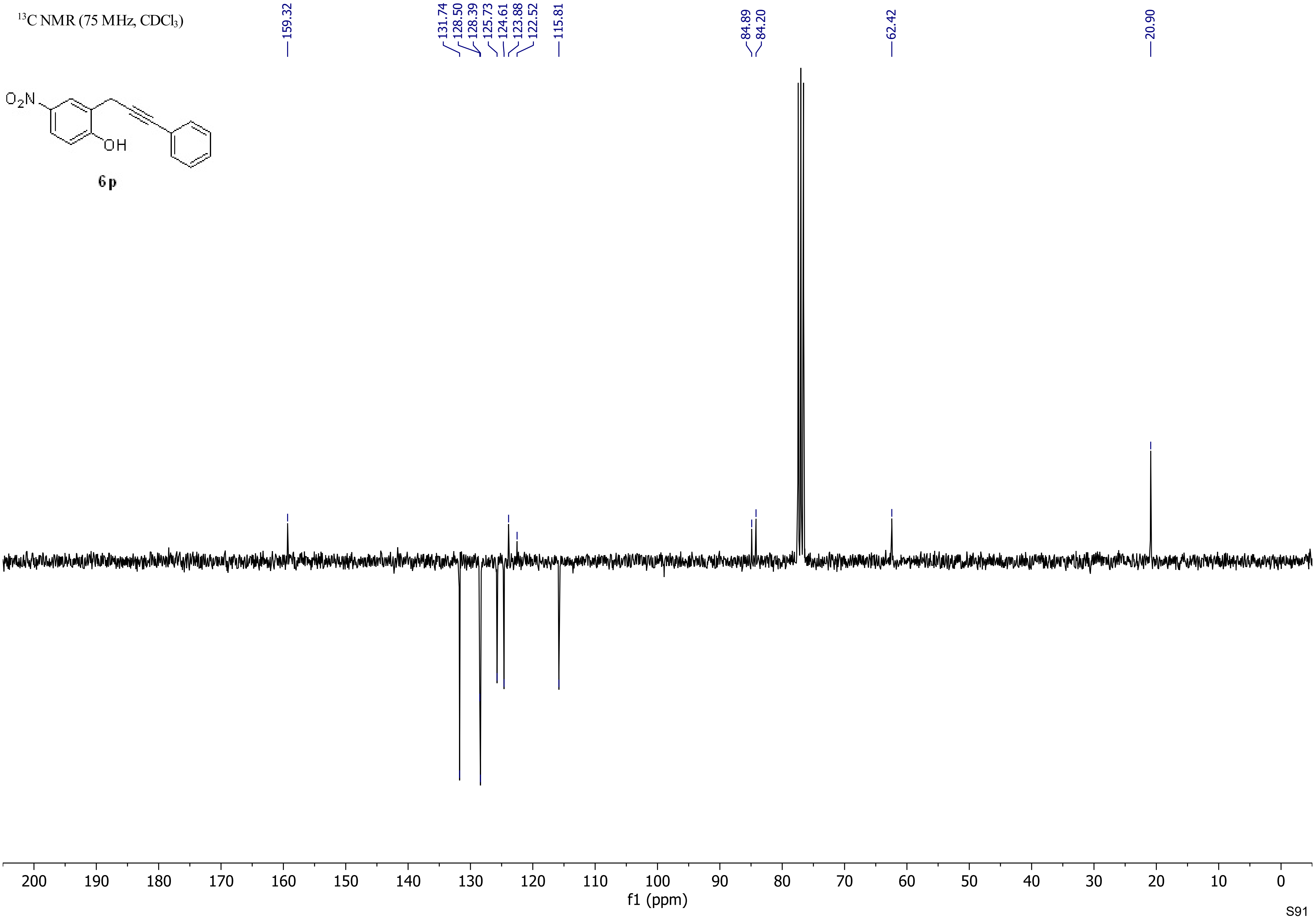


^{1}H NMR (300 MHz, $CDCl_3$)



6p

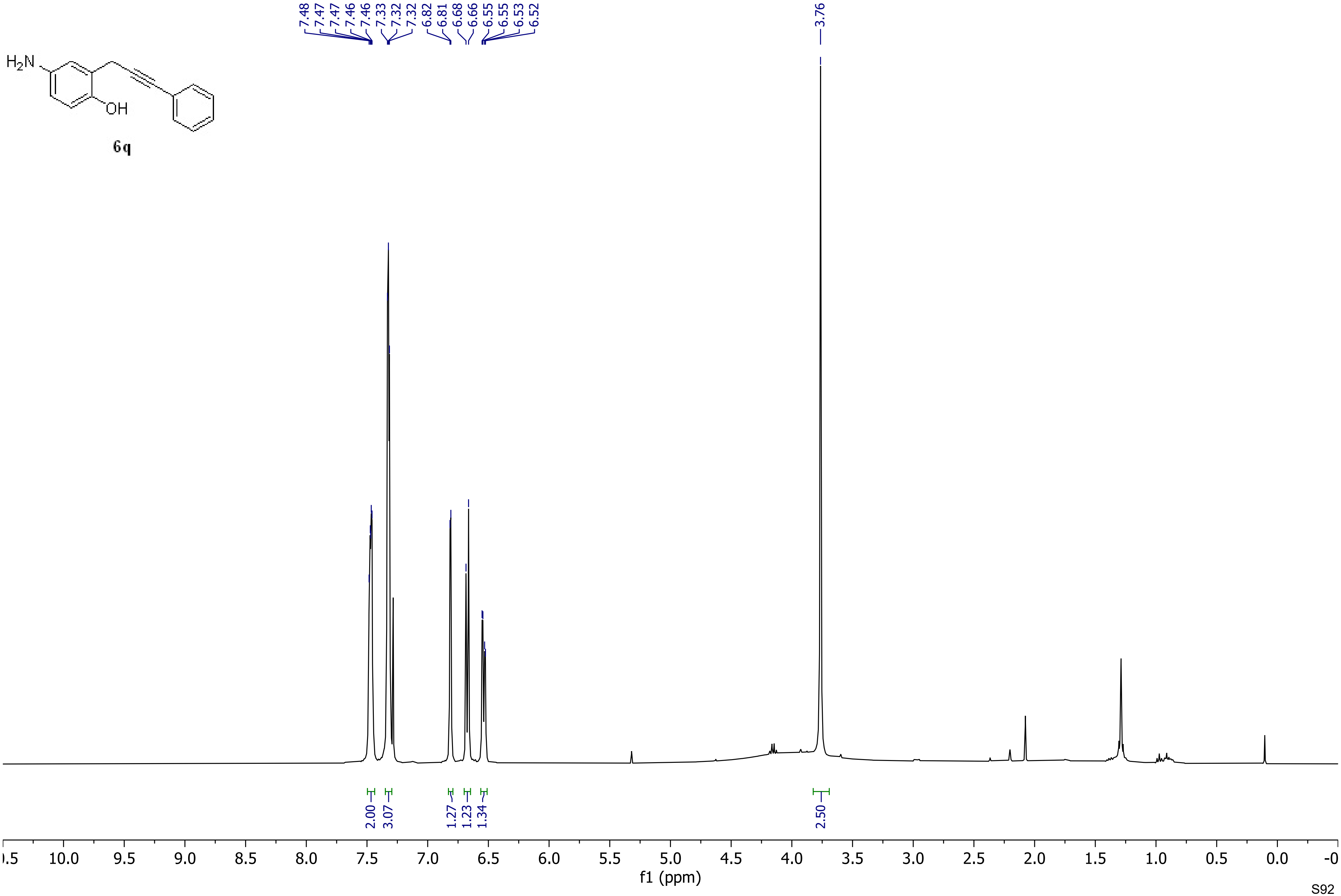
^{13}C NMR (75 MHz, CDCl$_3$)



6p

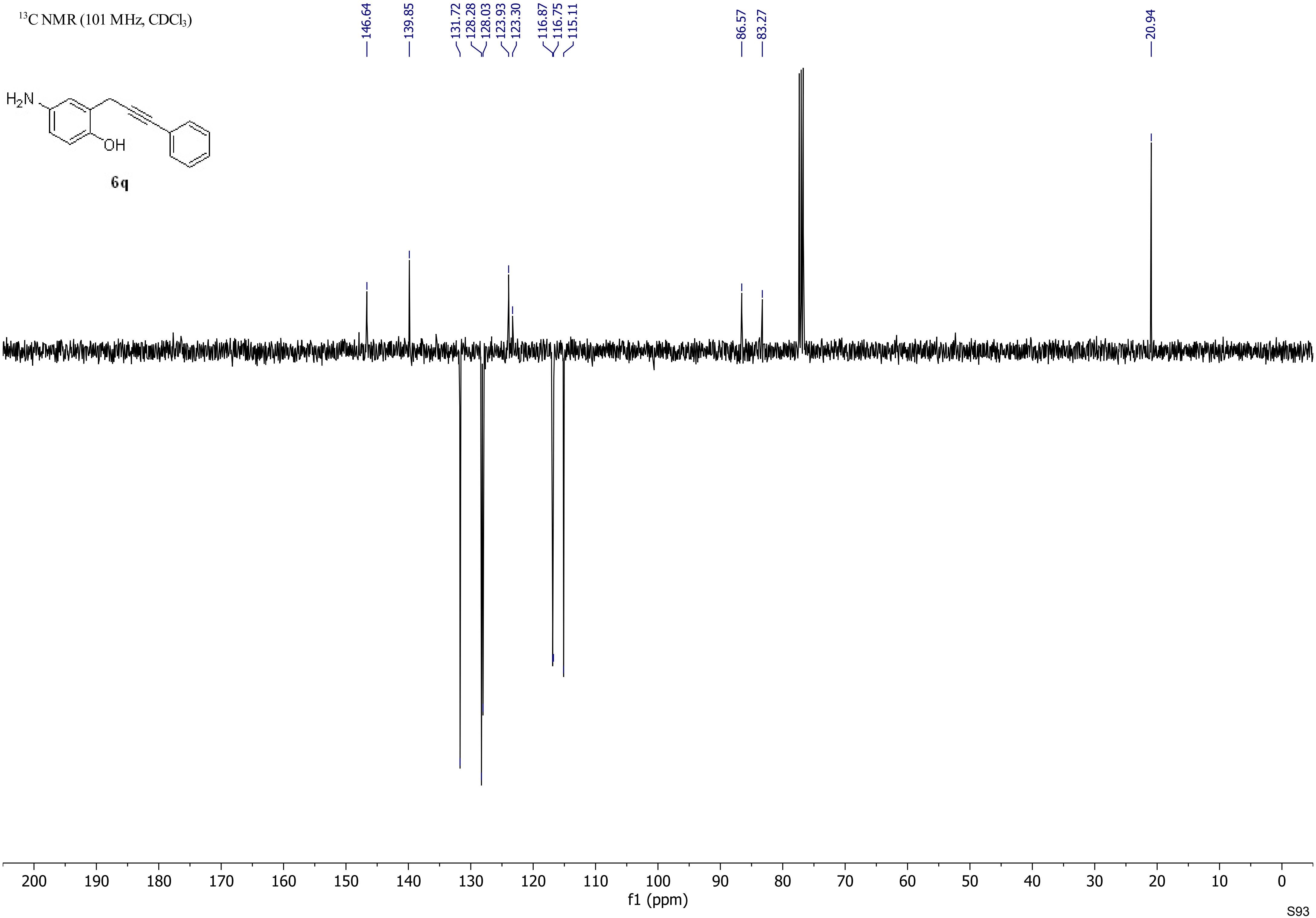
^{1}H NMR (400 MHz, $CDCl_3$)

6q

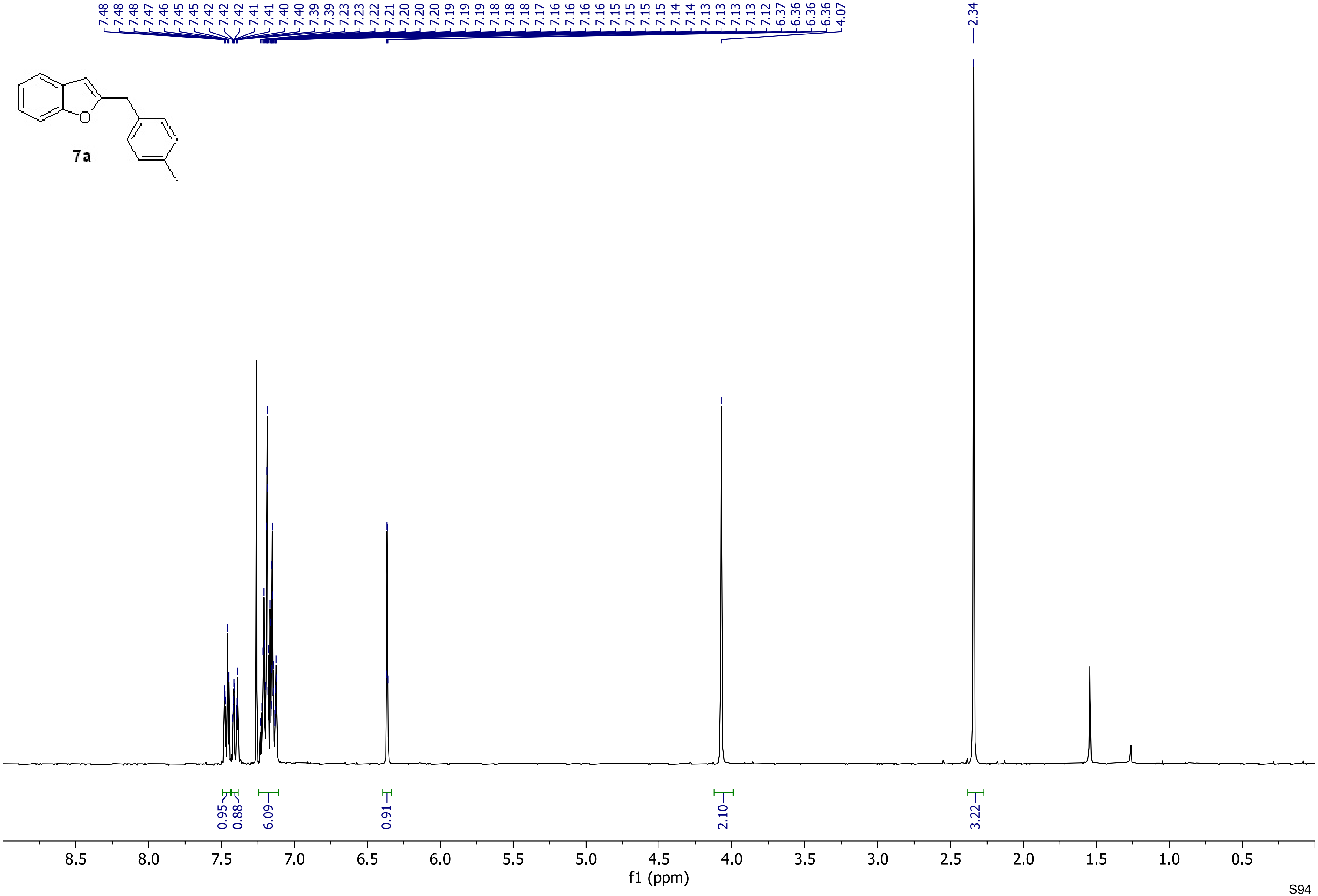


^{13}C NMR (101 MHz, $CDCl_3$)

6q

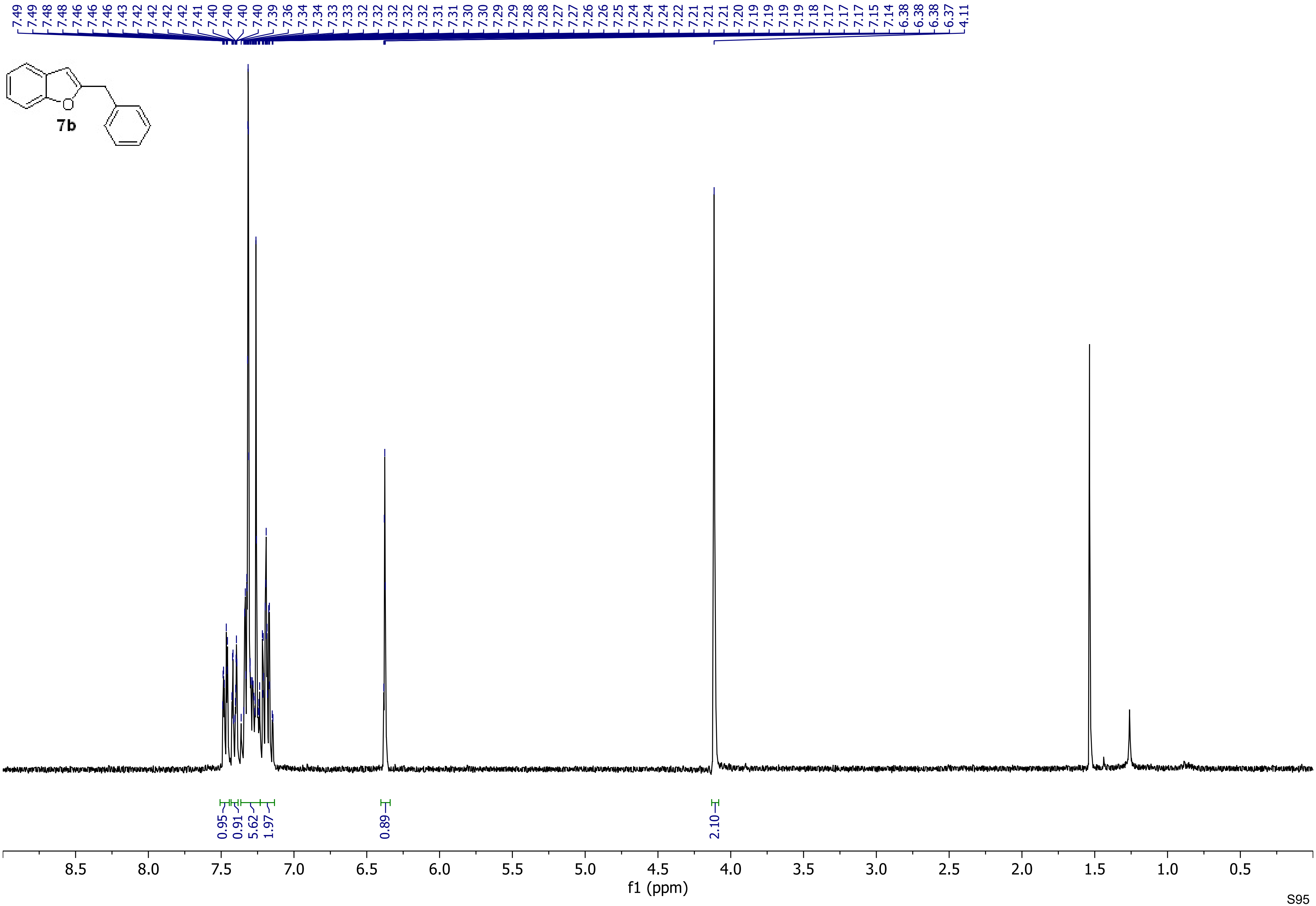


^{1}H NMR (300 MHz, CDCl$_3$)

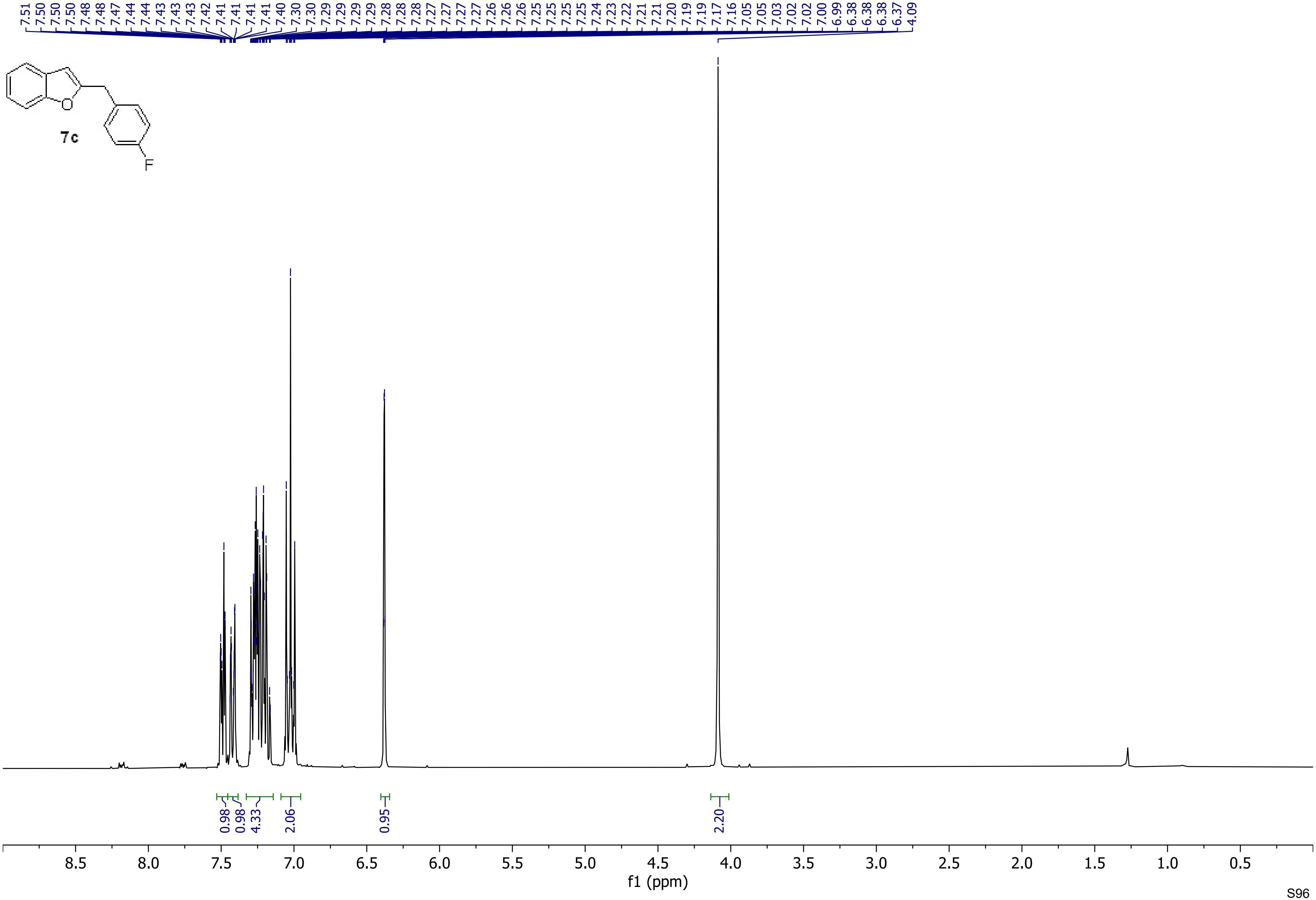


7a

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

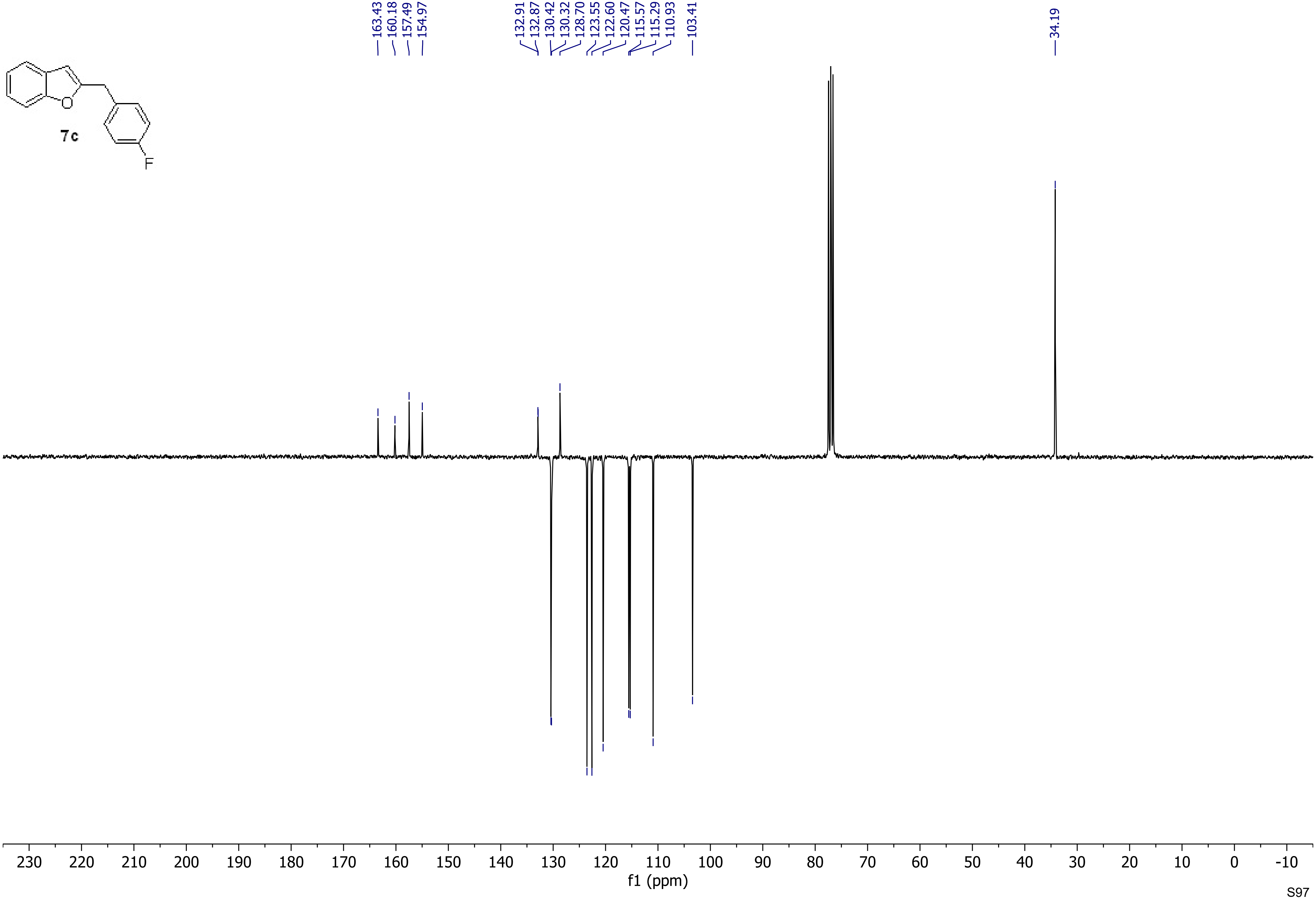


^{1}H NMR (300 MHz, $CDCl_3$)

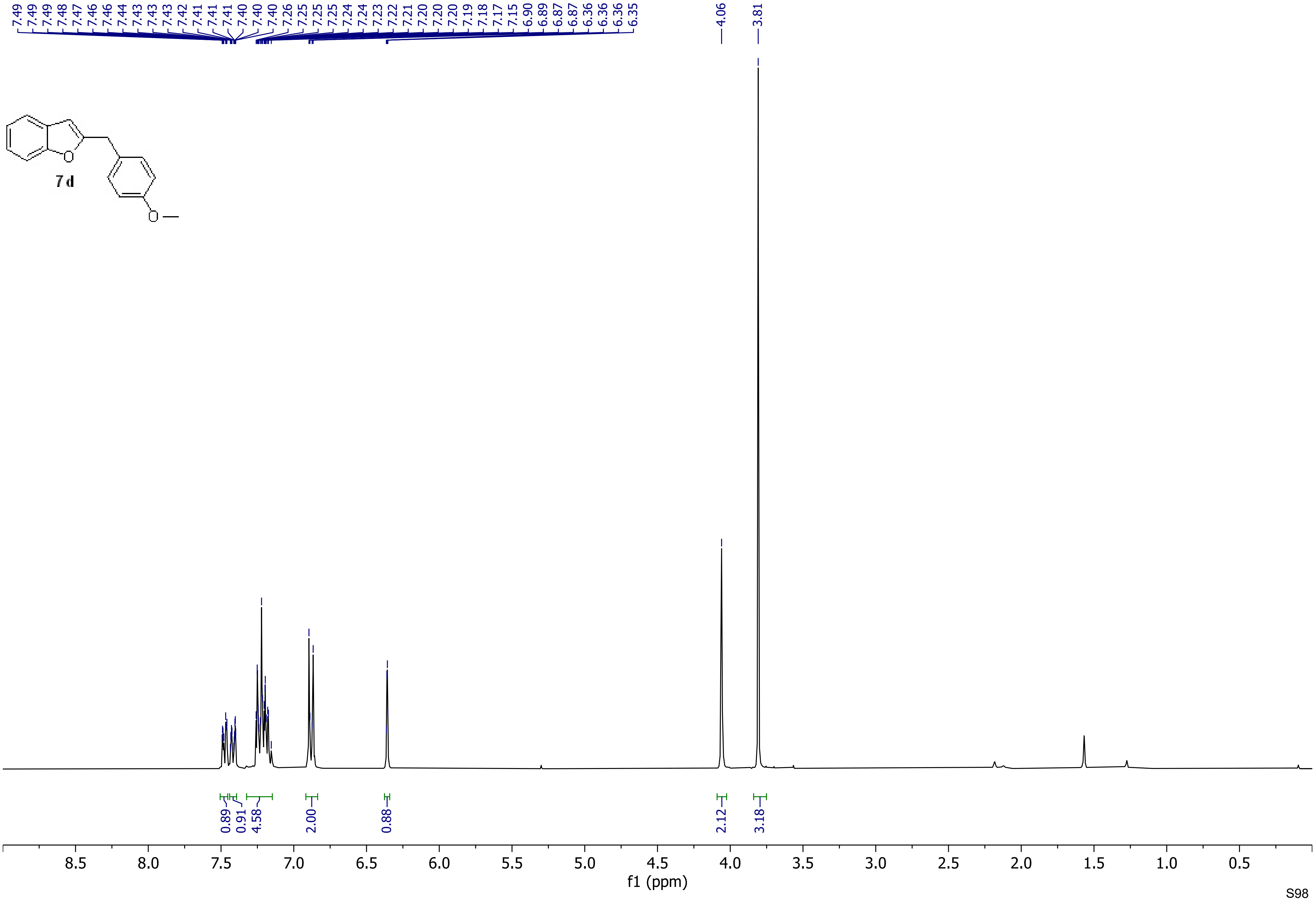


^{13}C NMR (75 MHz, $CDCl_3$)

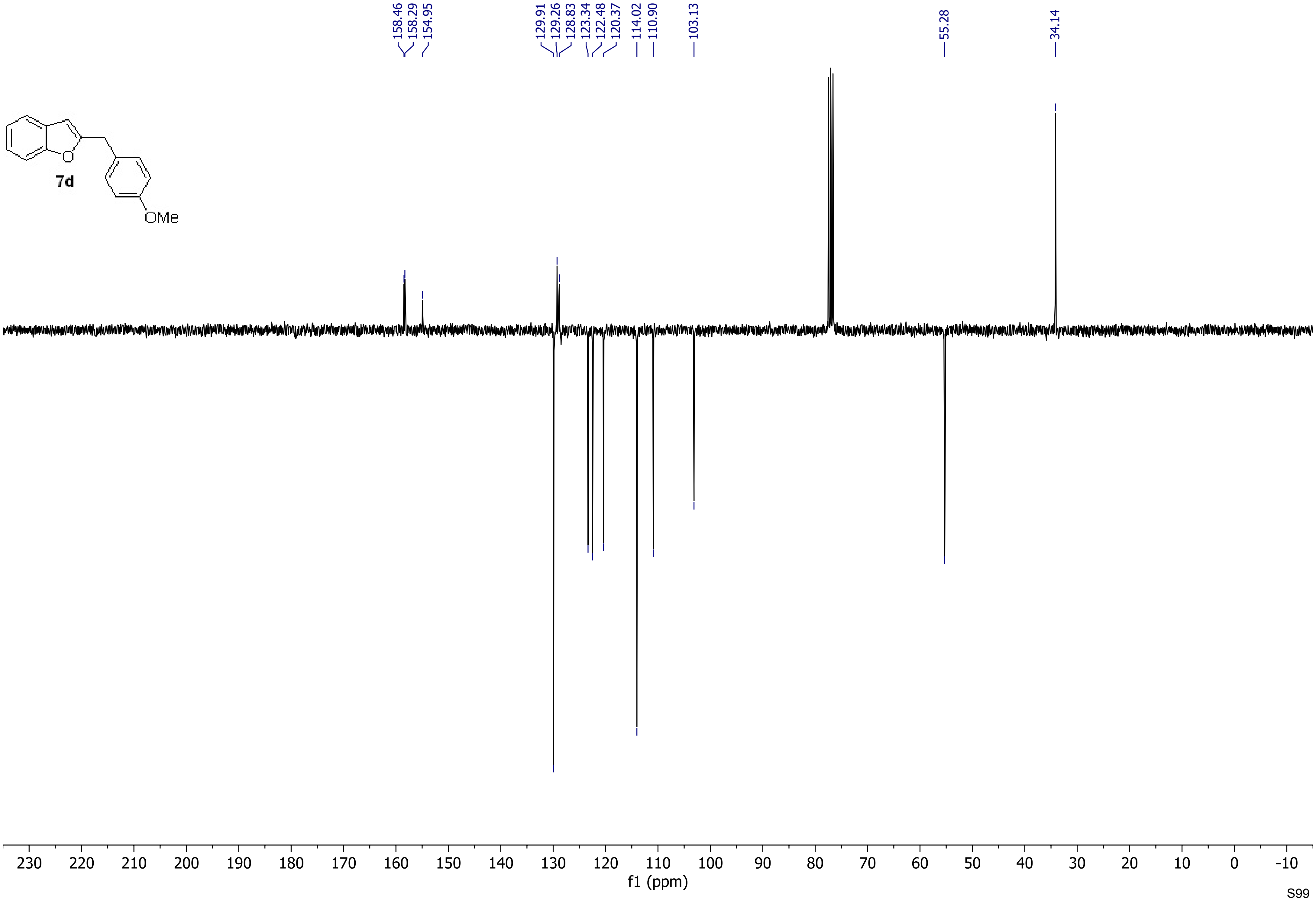
7c



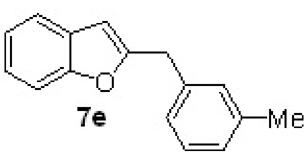
^{1}H NMR (300 MHz, $CDCl_3$)



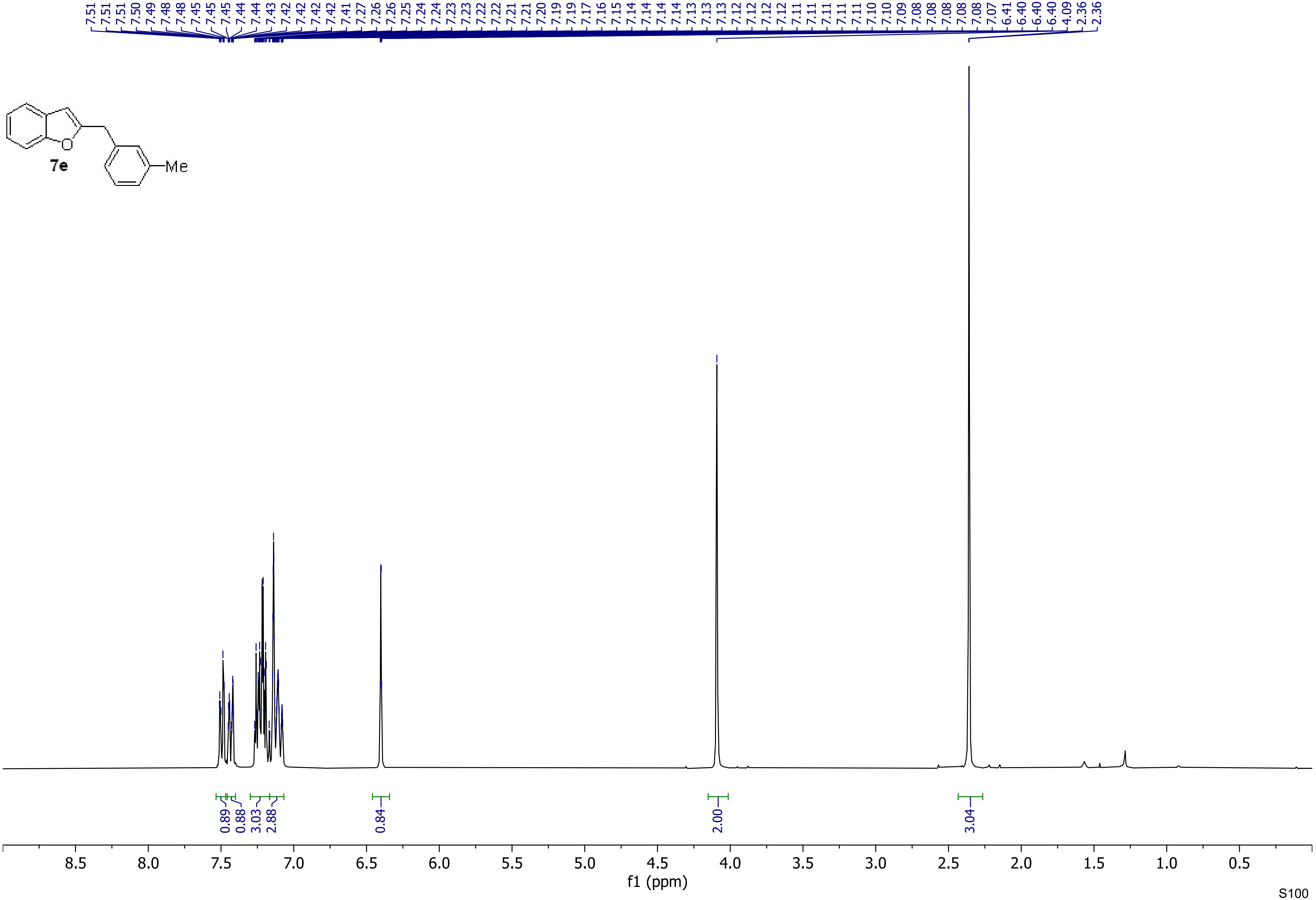
^{13}C NMR (75 MHz, $CDCl_3$)



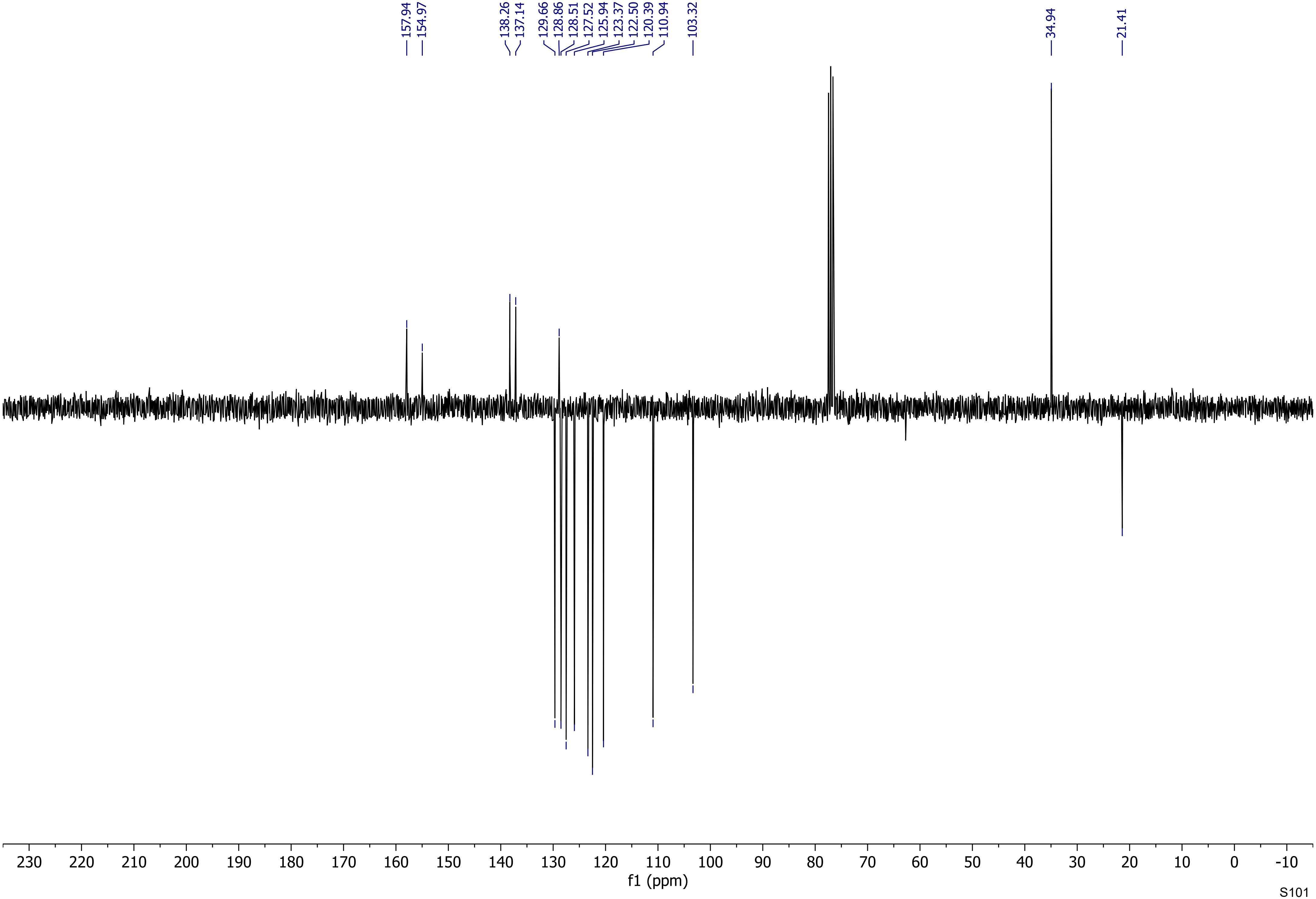
^{1}H NMR (300 MHz, $CDCl_3$)



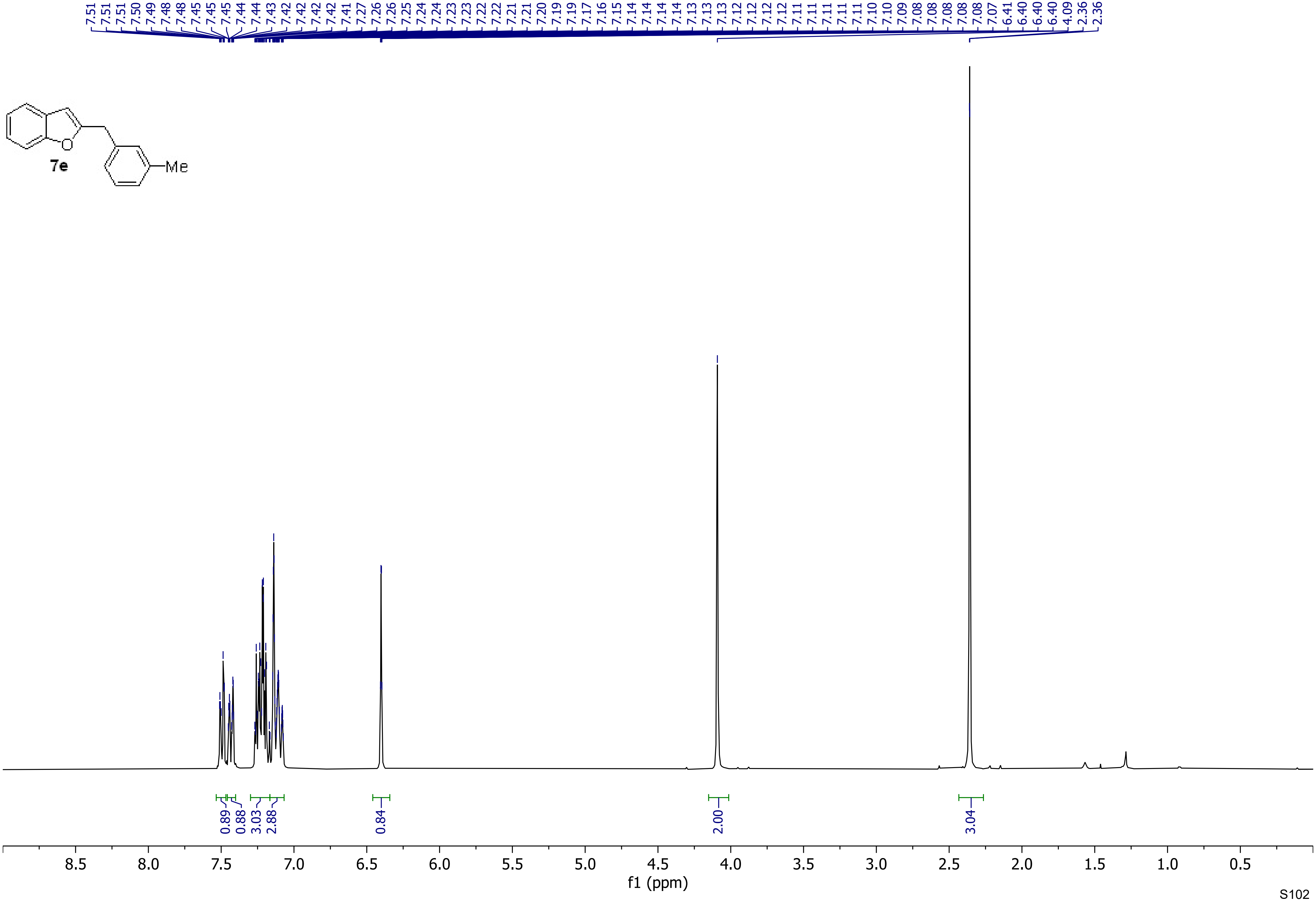
7e



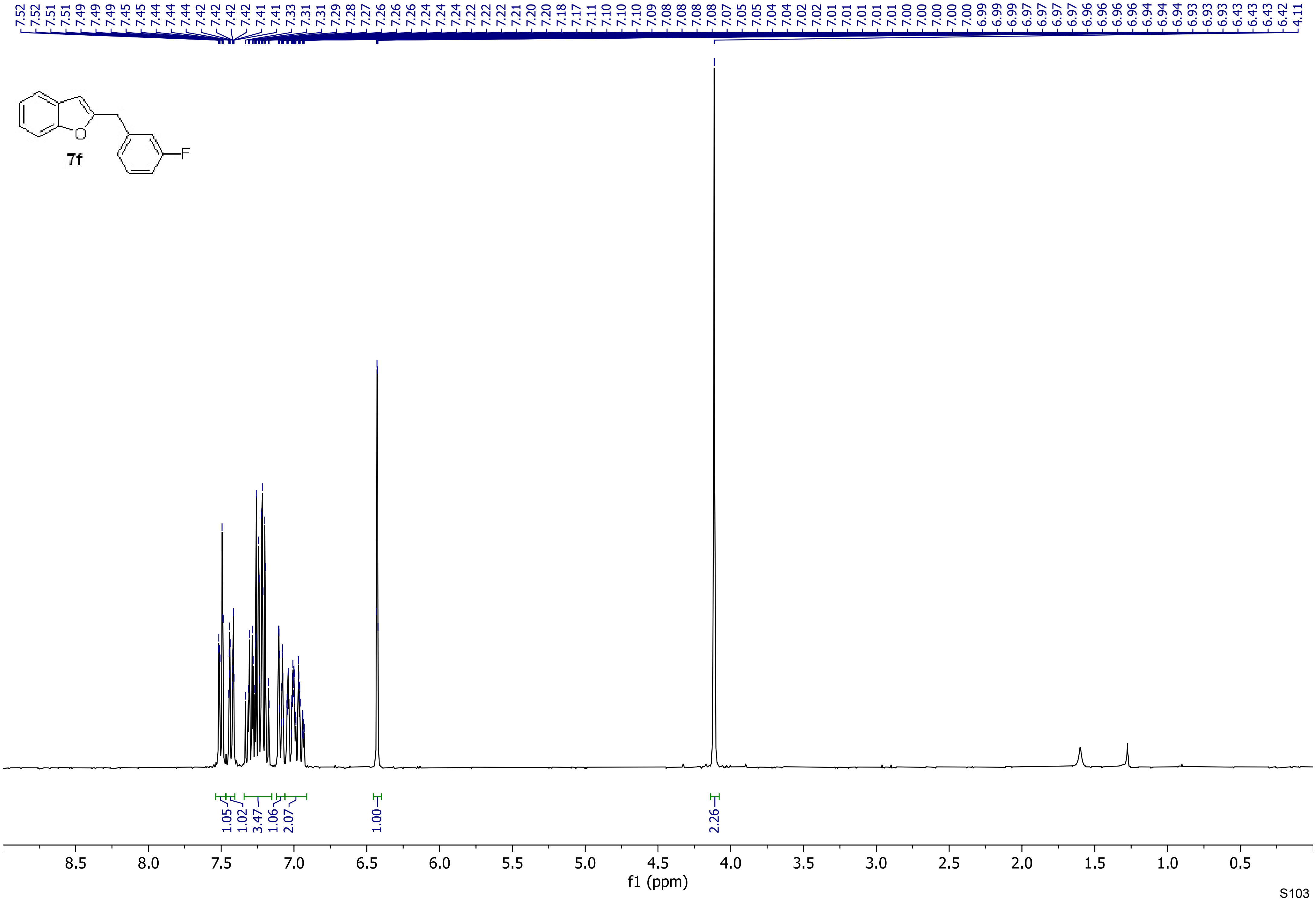
^{13}C NMR (75 MHz, $CDCl_3$)



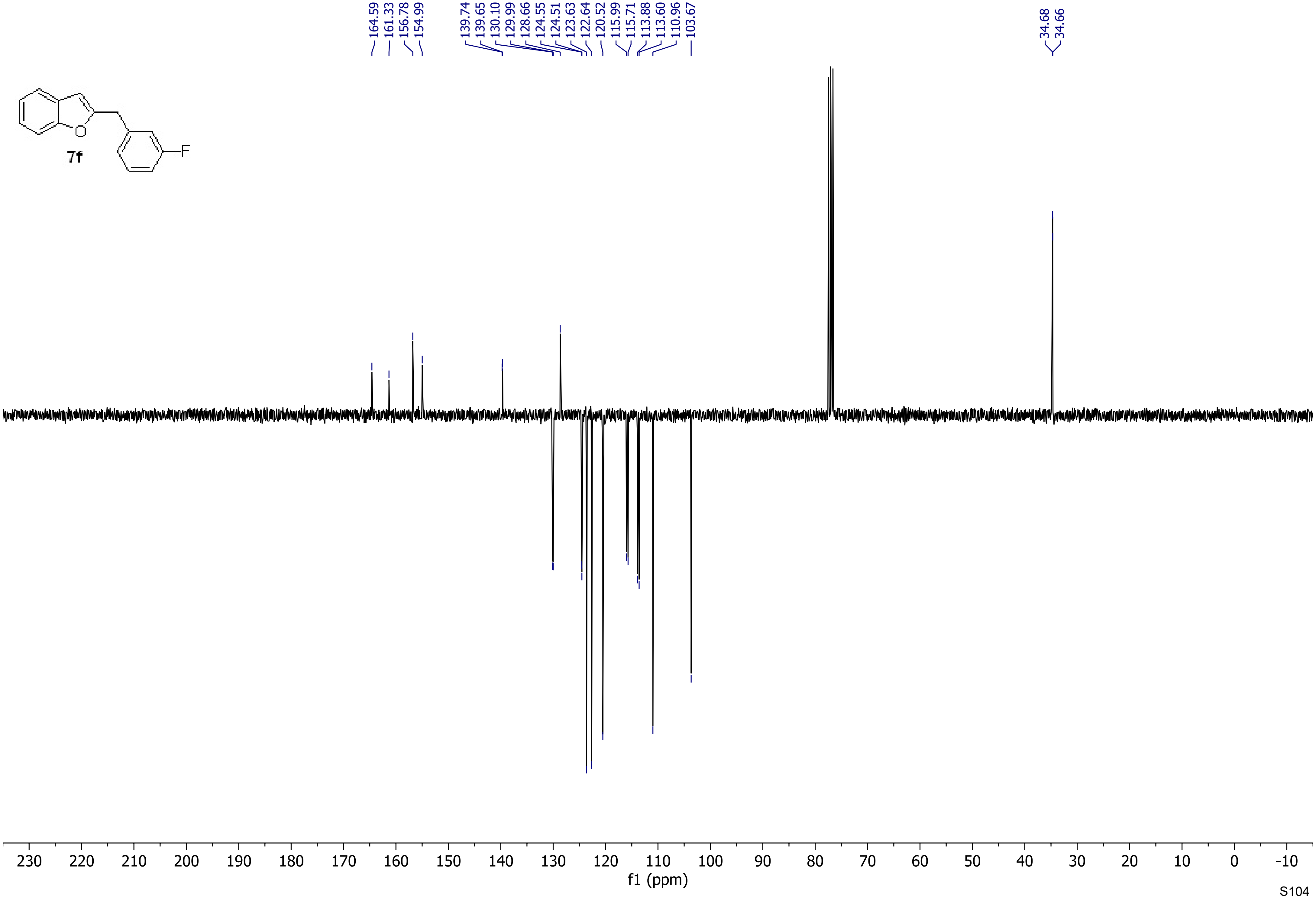
^{1}H NMR (300 MHz, $CDCl_3$)



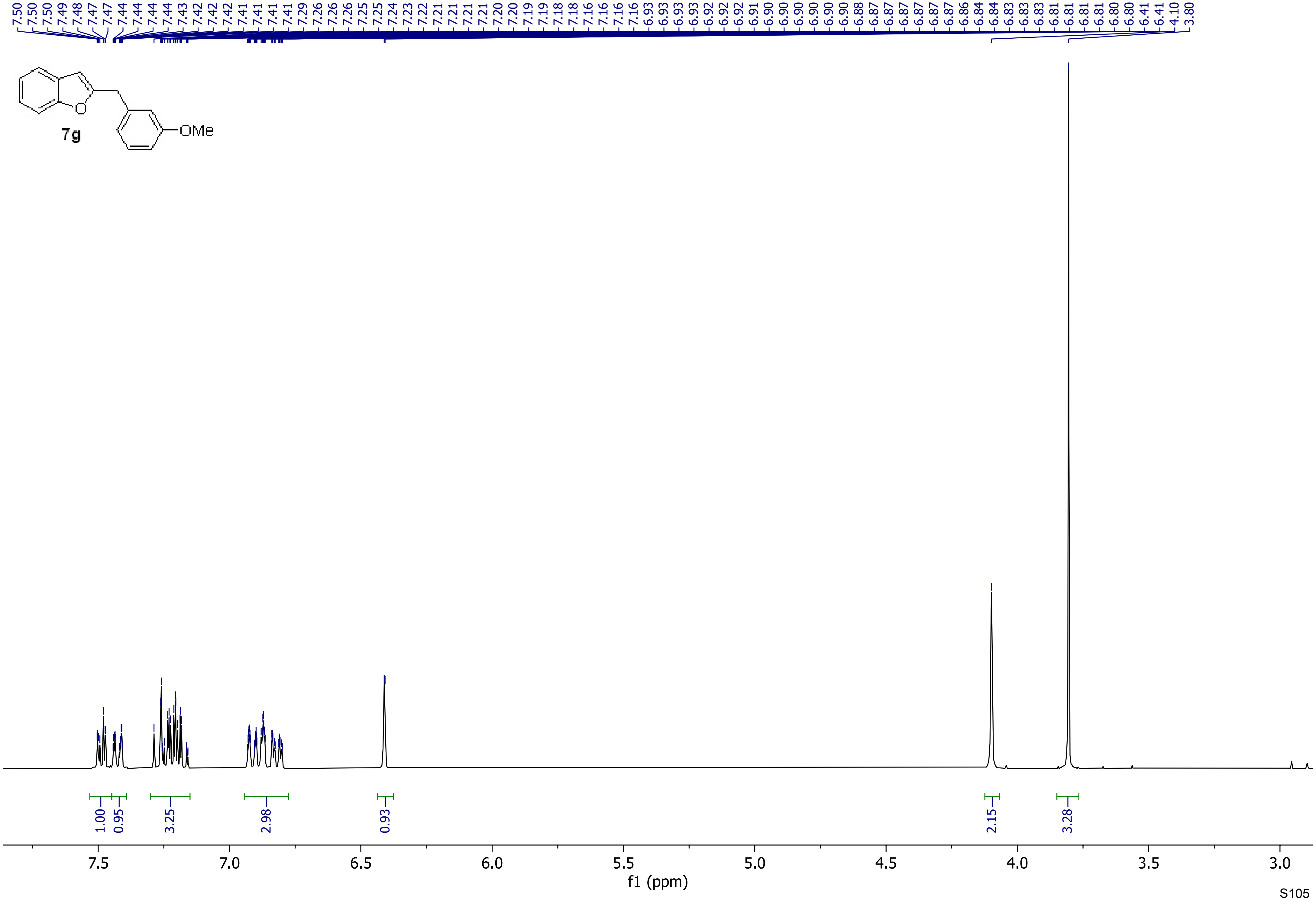
^{1}H NMR (300 MHz, CDCl$_3$)


7f

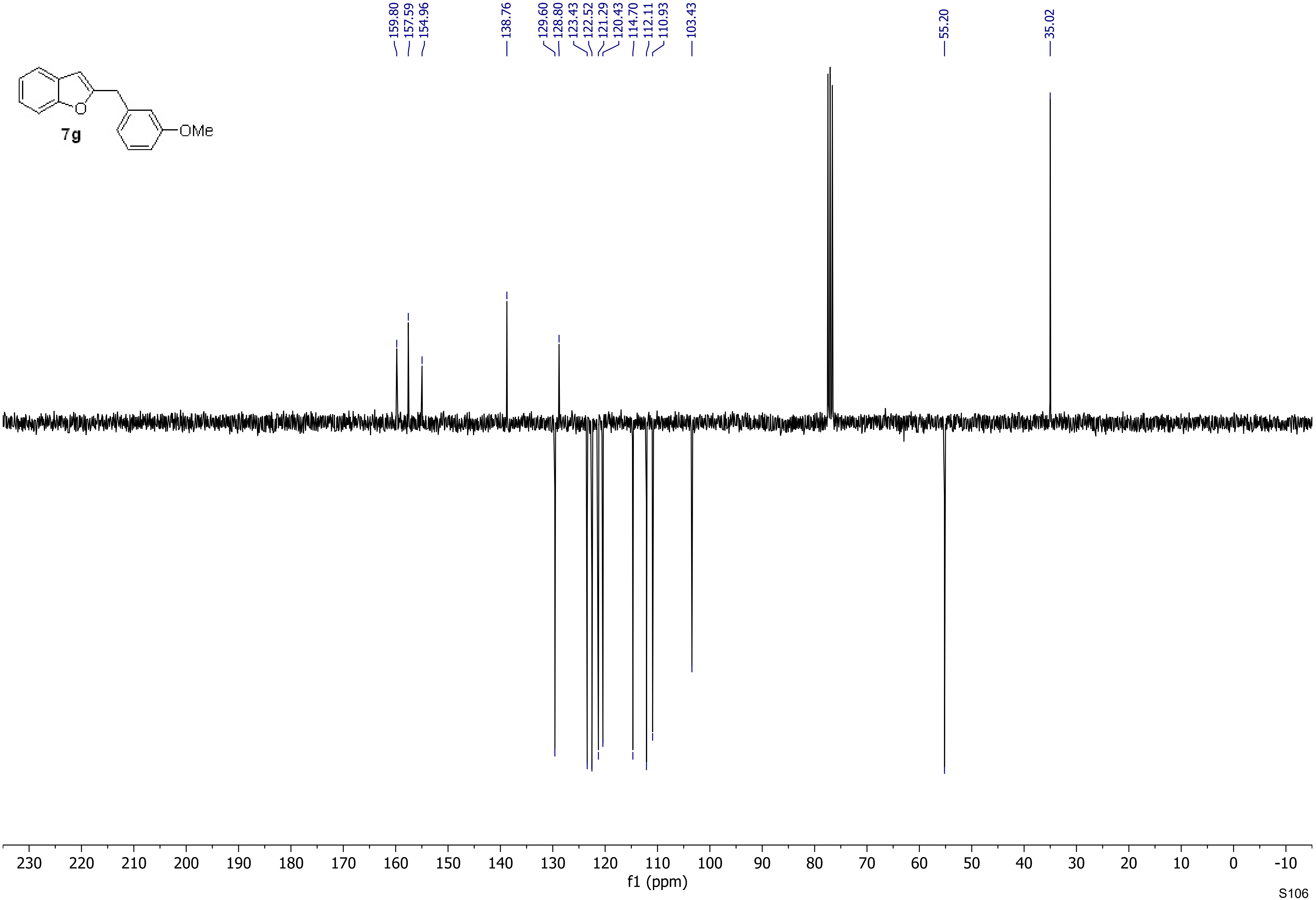
^{13}C NMR (75 MHz, $CDCl_3$)



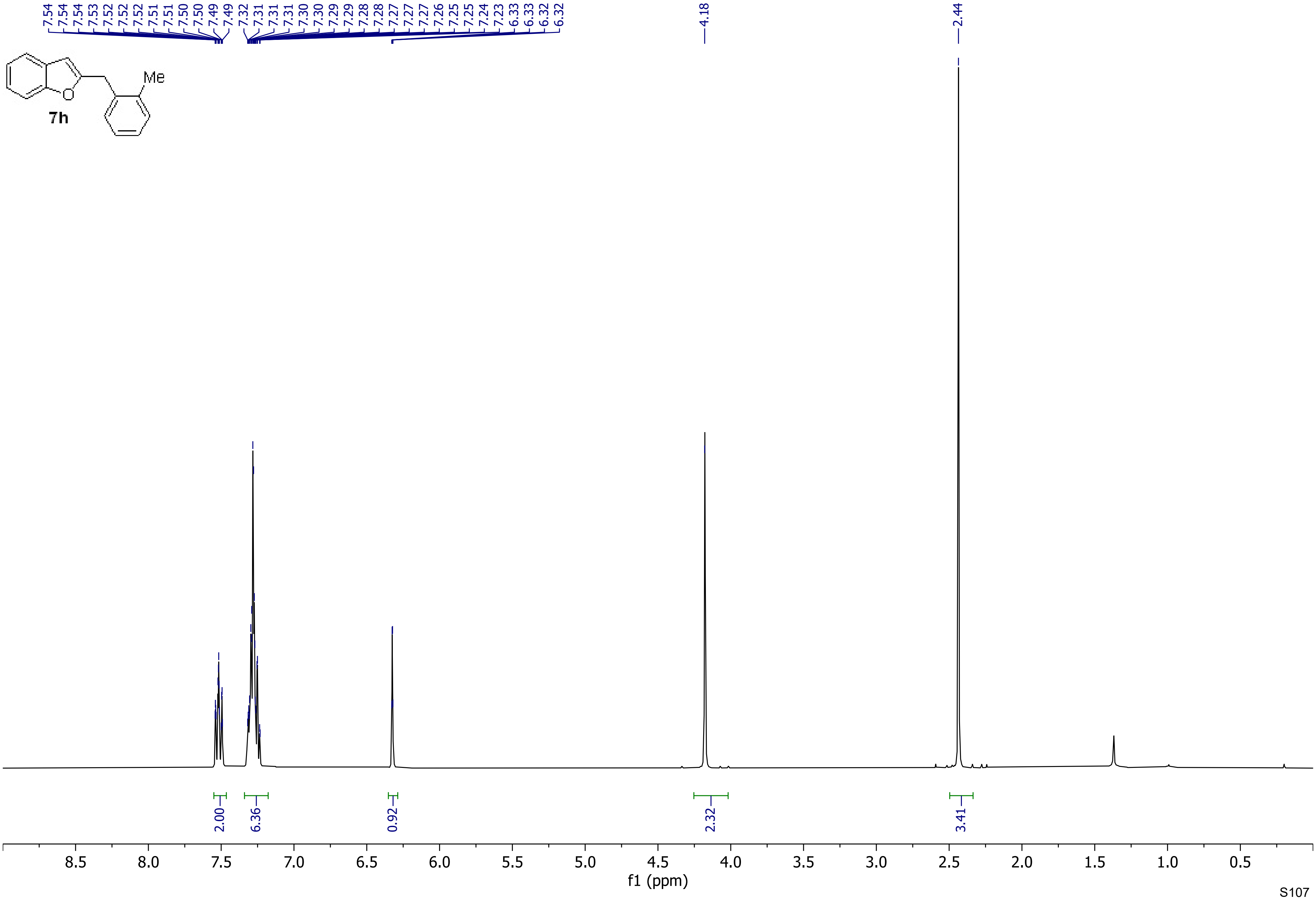
^{1}H NMR (300 MHz, $CDCl_3$)



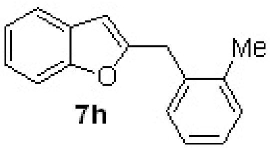
^{13}C NMR (75 MHz, CDCl$_3$)


7g

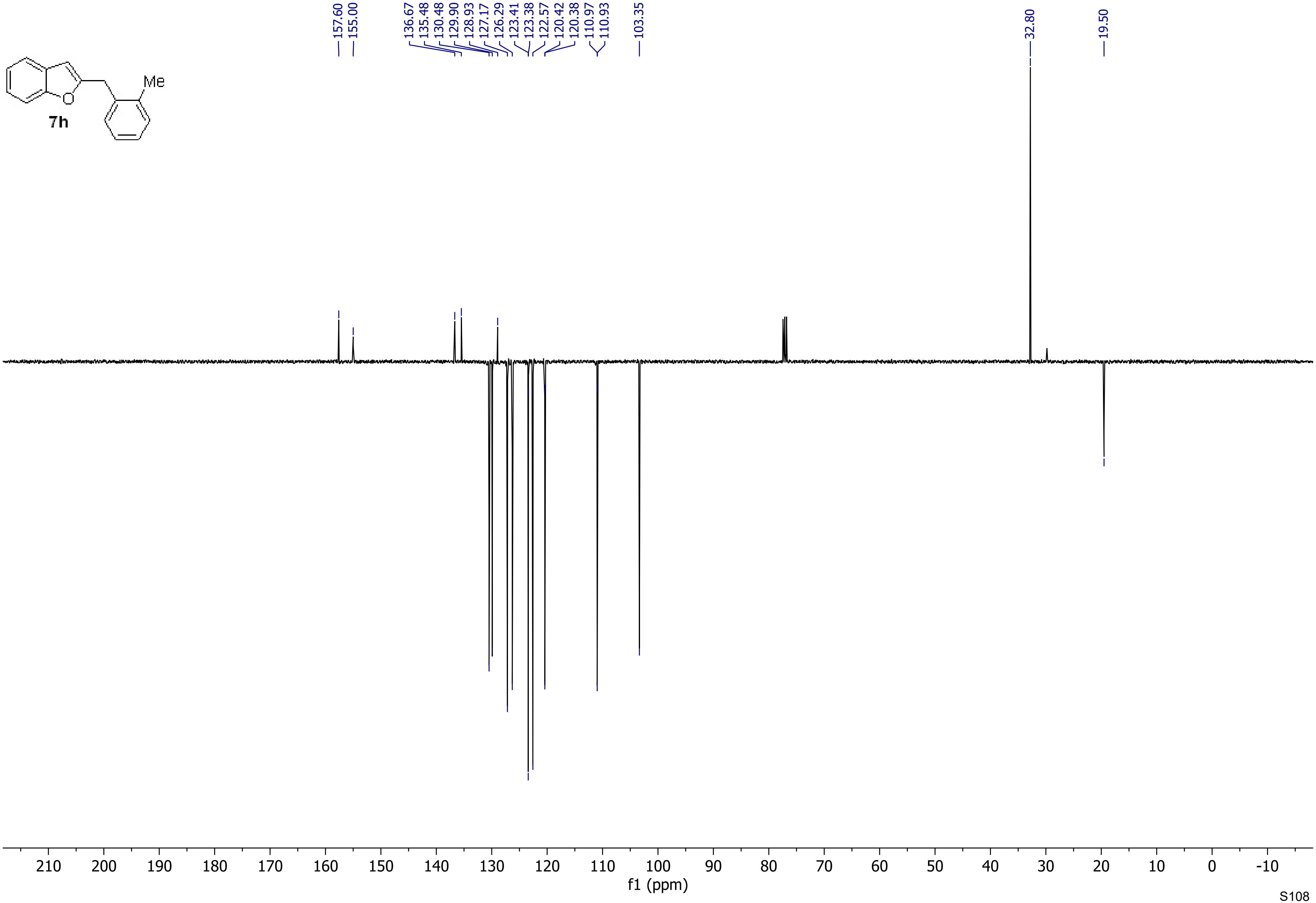
^{1}H NMR (400 MHz, $CDCl_3$)



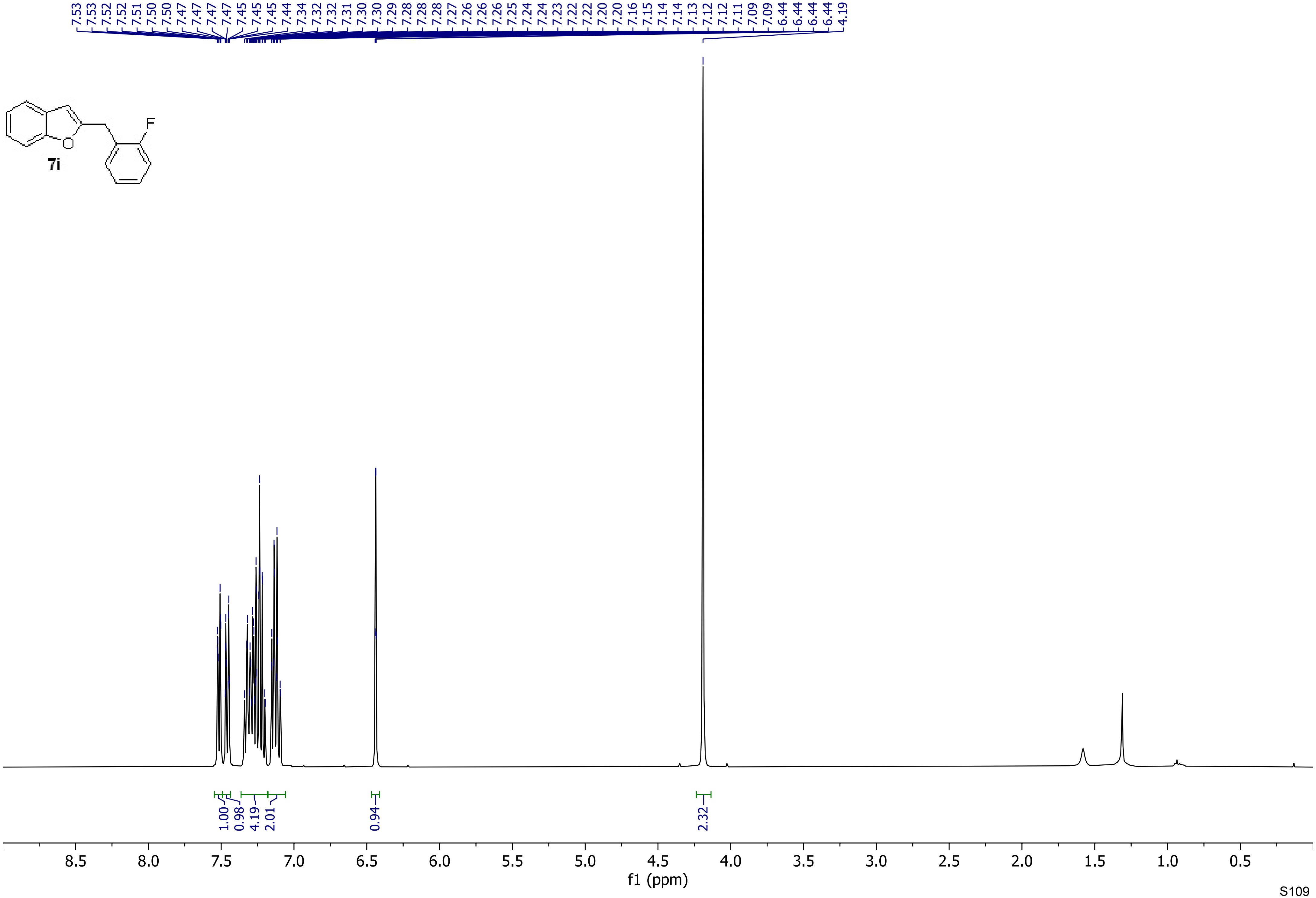
^{13}C NMR (101 MHz, $CDCl_3$)



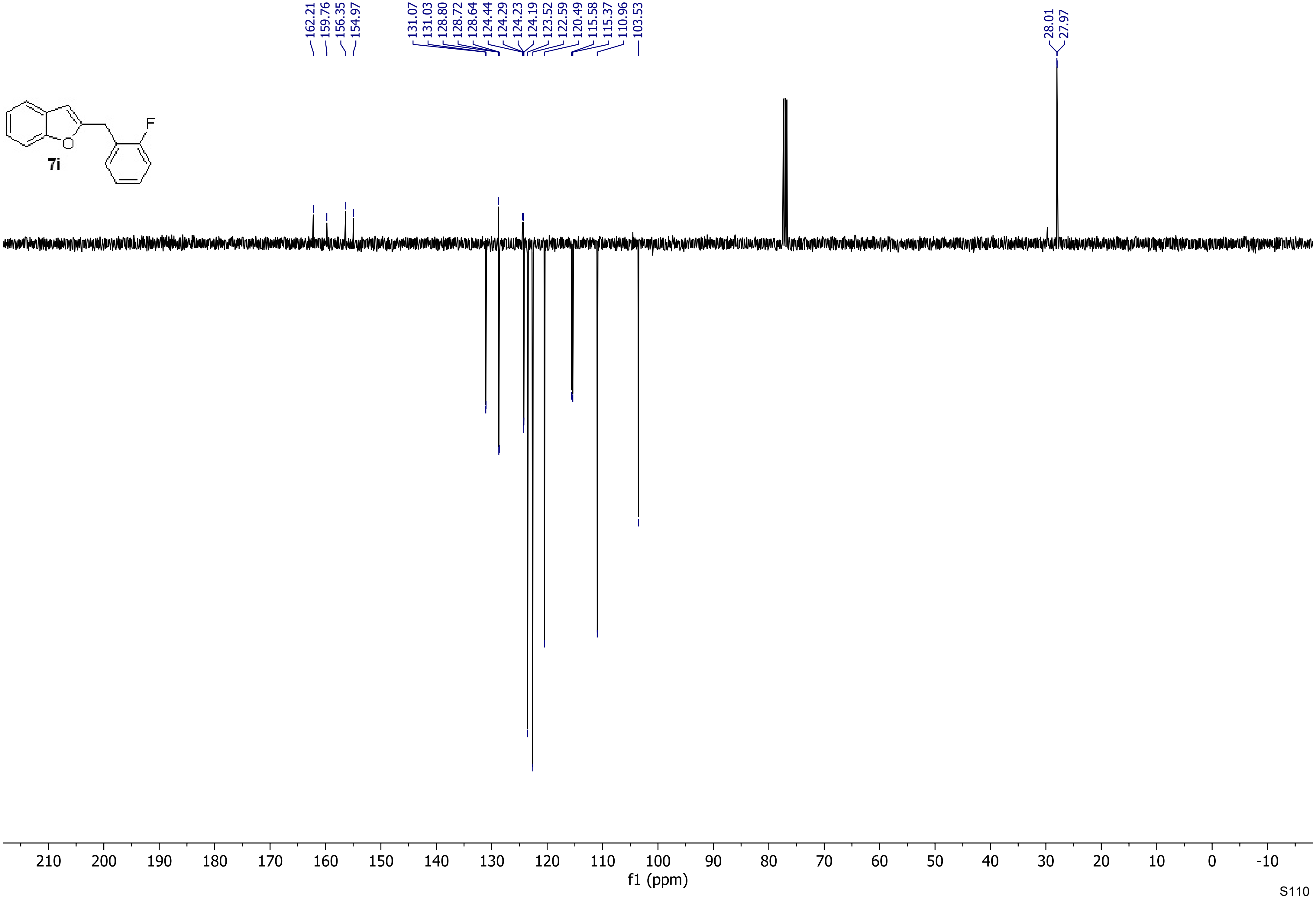
7h



^{1}H NMR (400 MHz, $CDCl_3$)

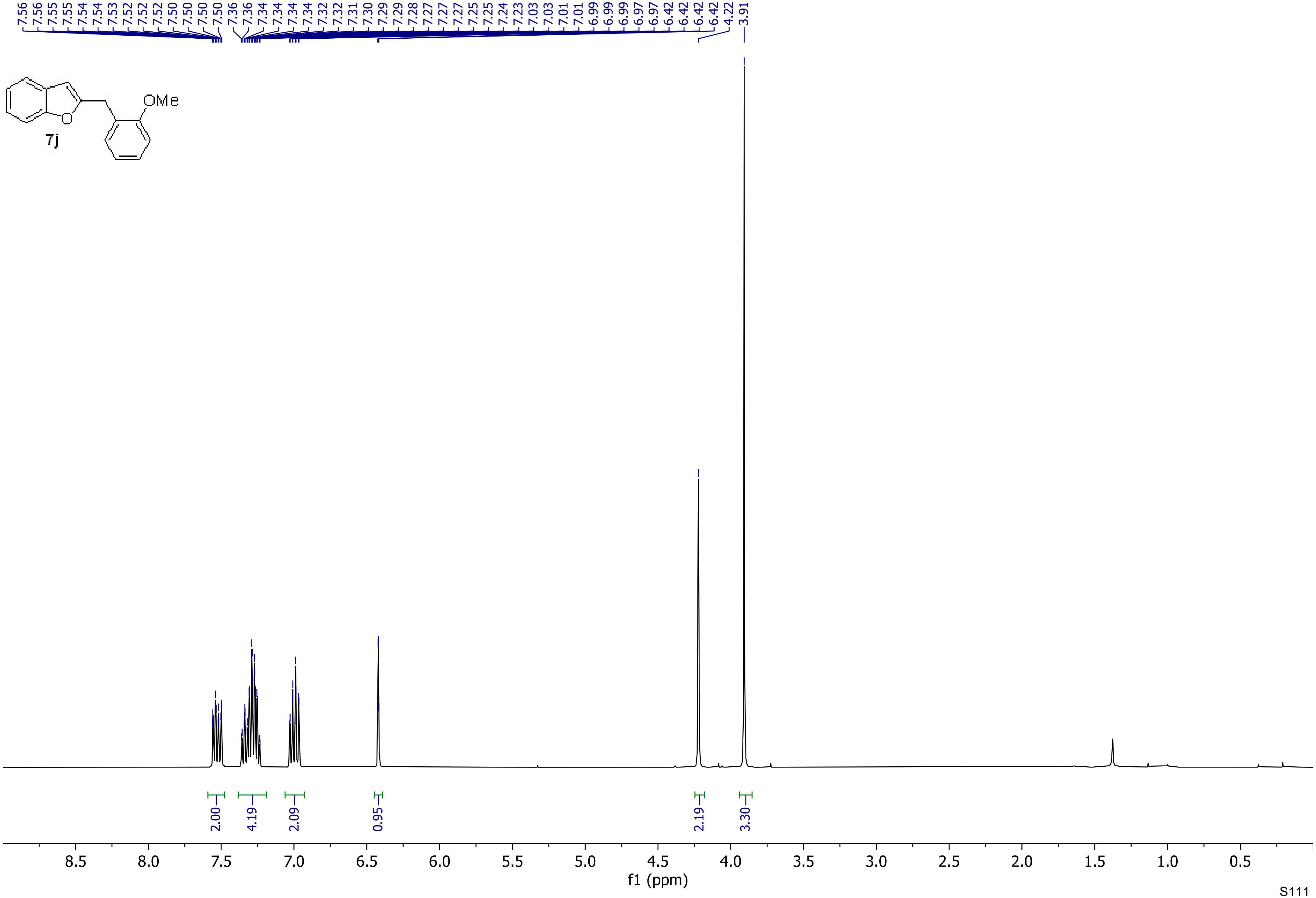


^{13}C NMR (101 MHz, CDCl$_3$)

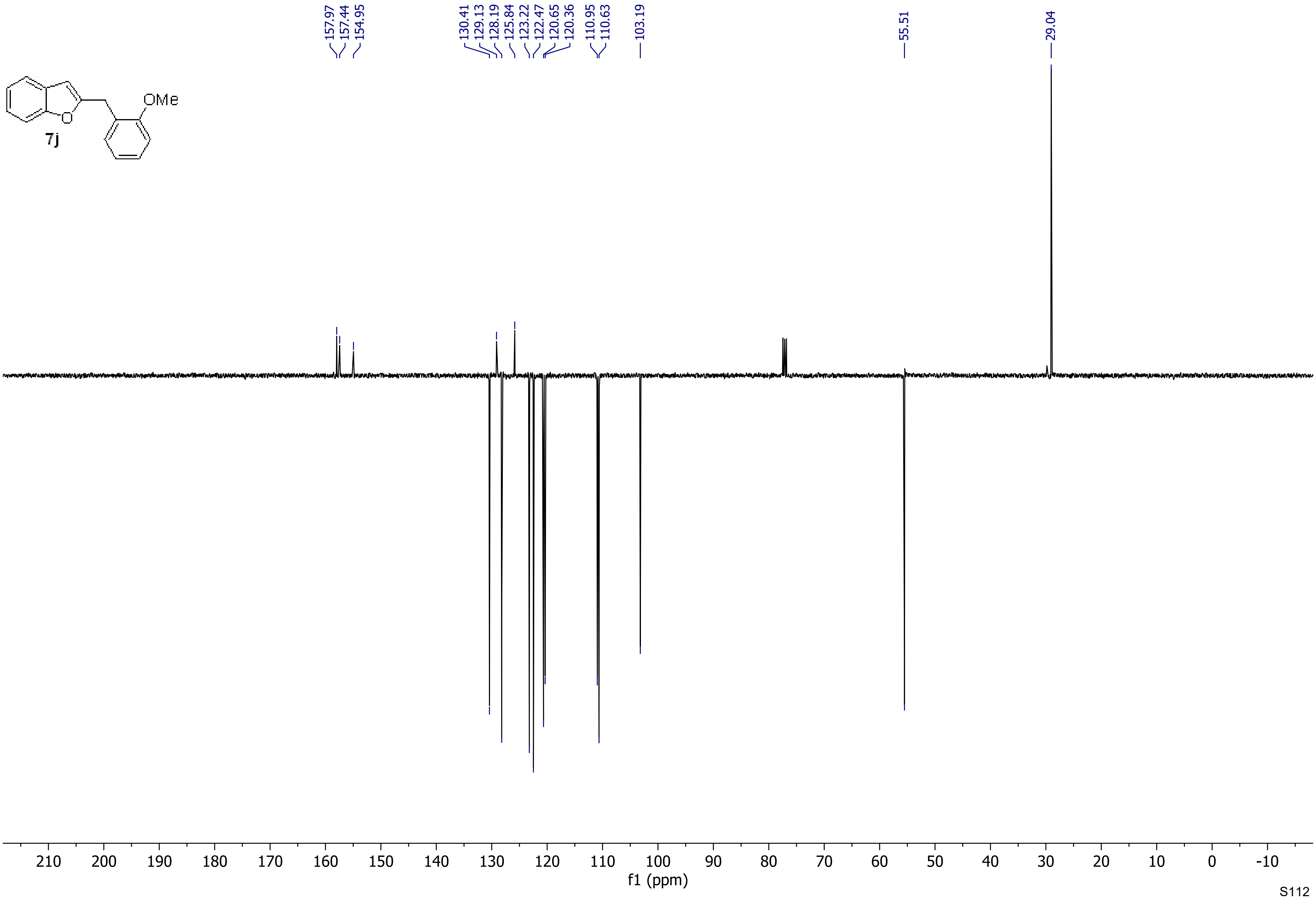


7i

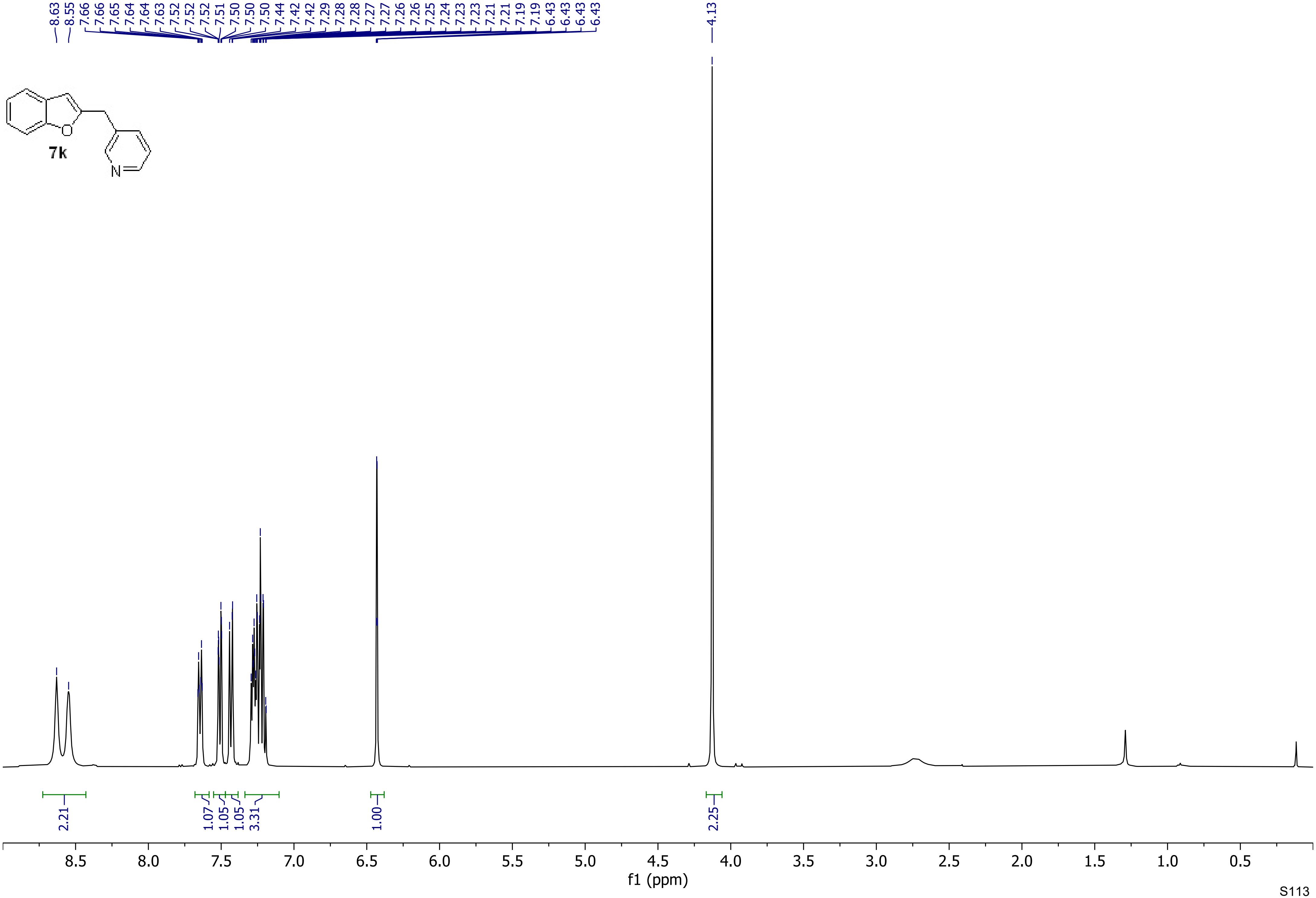
^{1}H NMR (400 MHz, CDCl$_3$)



^{13}C NMR (101 MHz, $CDCl_3$)

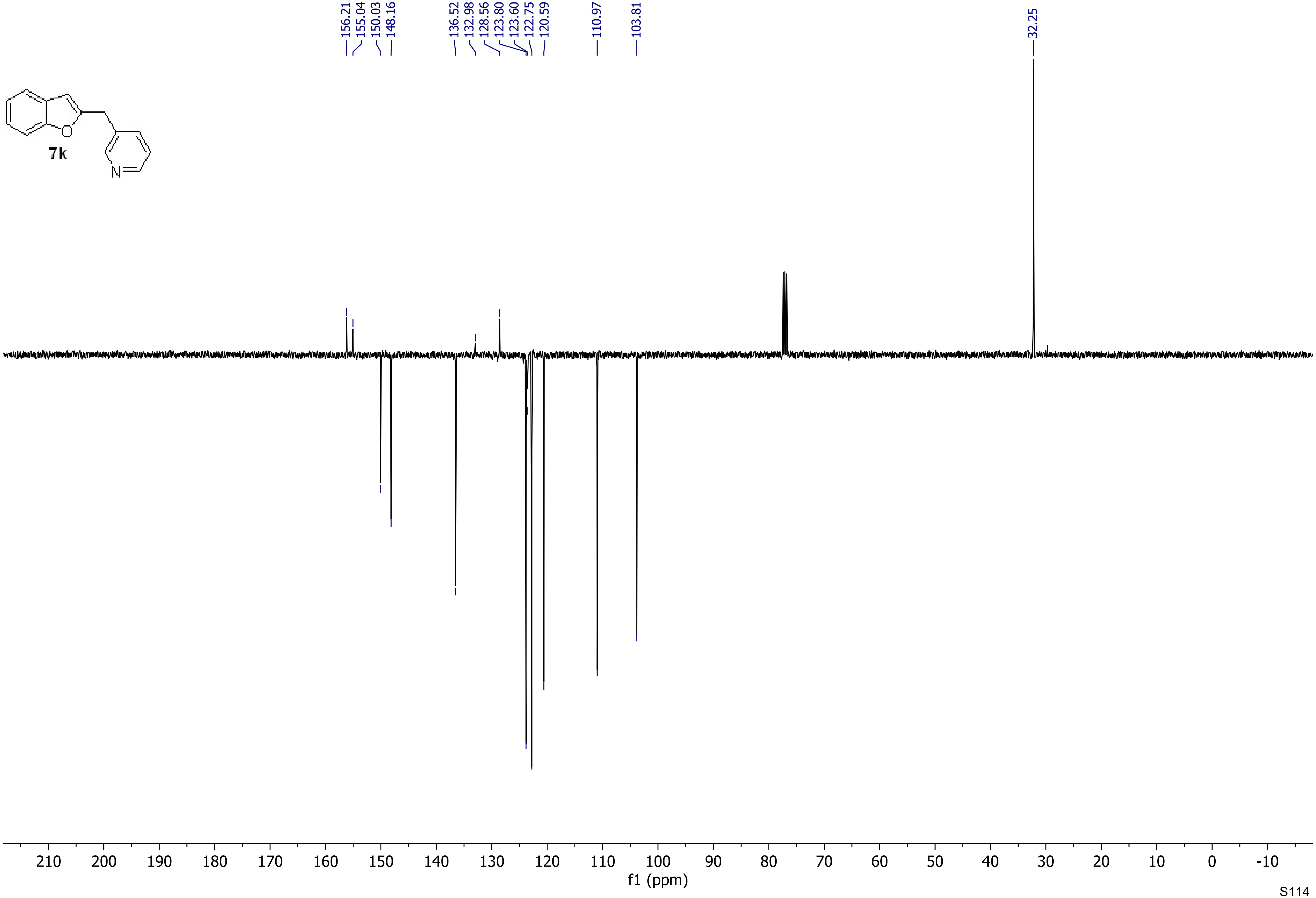

7j

^{1}H NMR (400 MHz, $CDCl_3$)



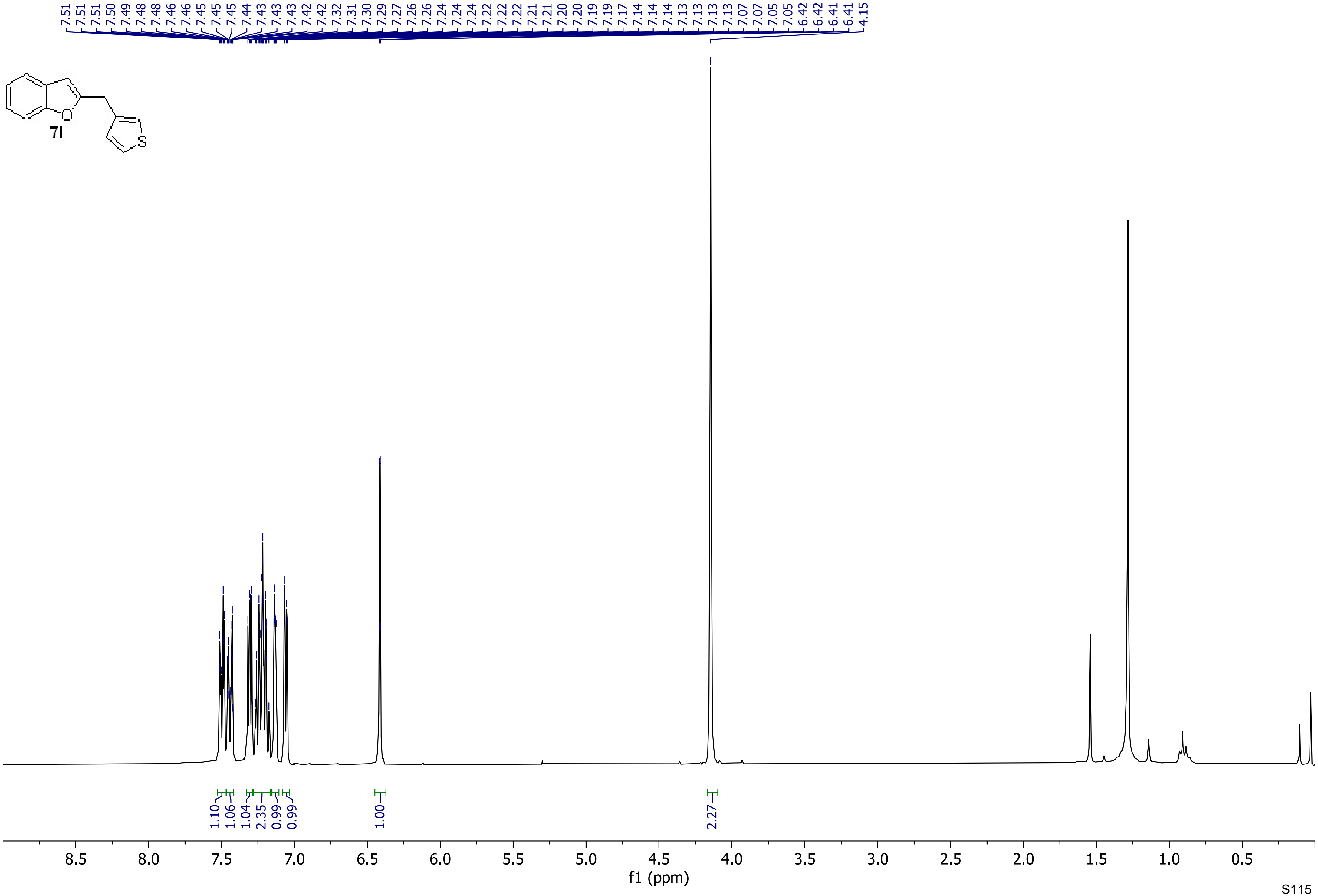
7k

^{13}C NMR (101 MHz, $CDCl_3$)

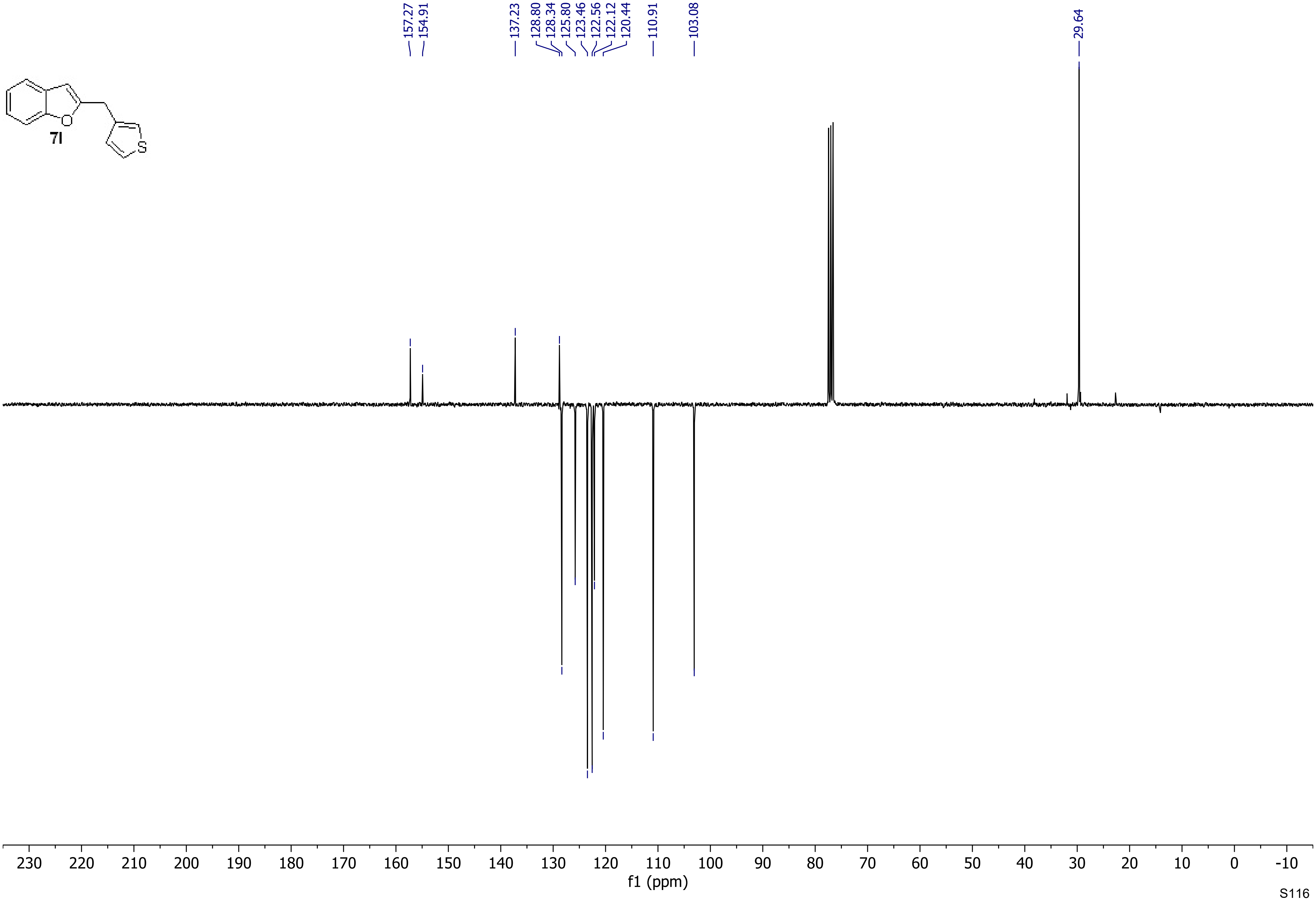


7k

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

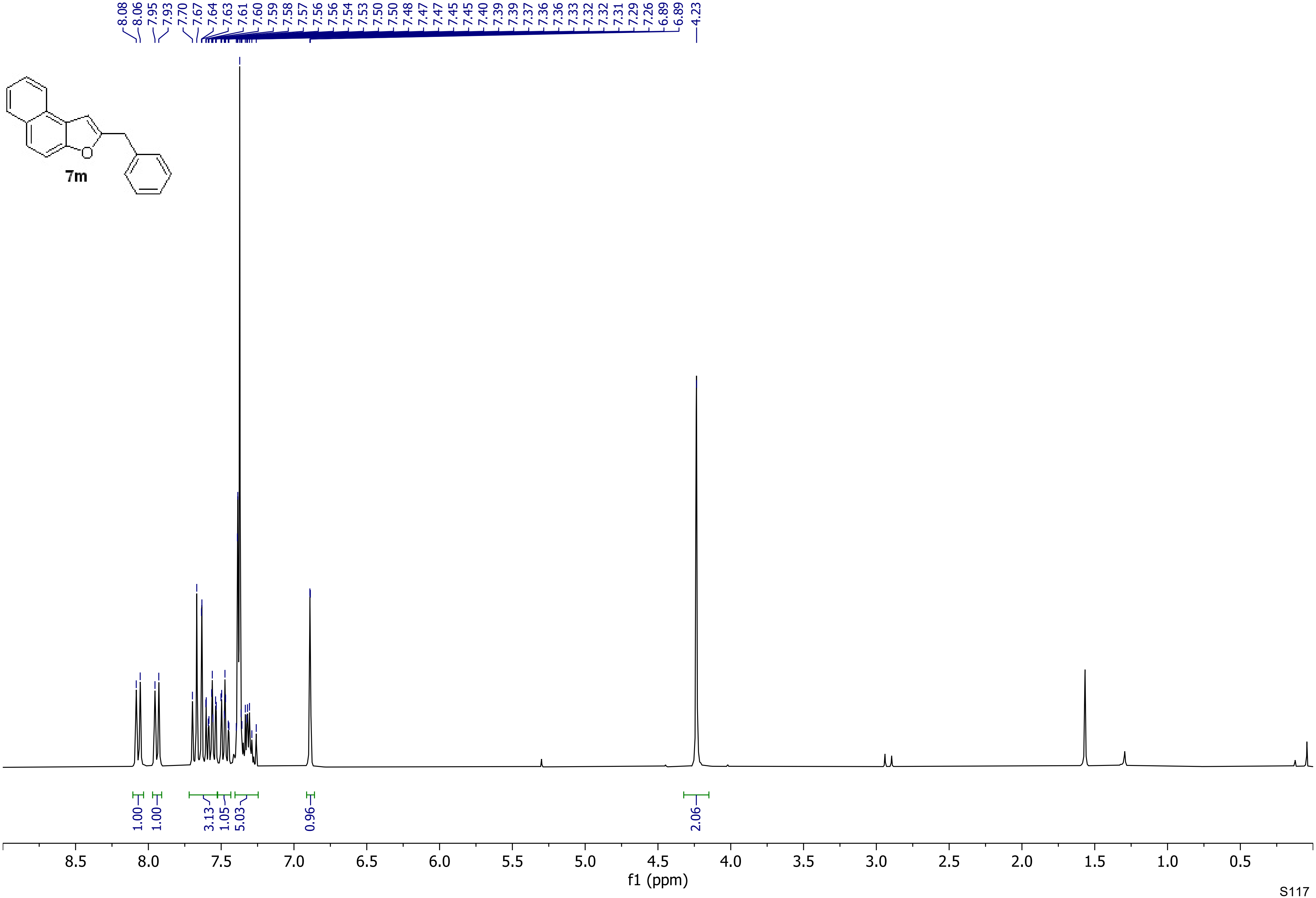


^{13}C NMR (75 MHz, CDCl$_3$)



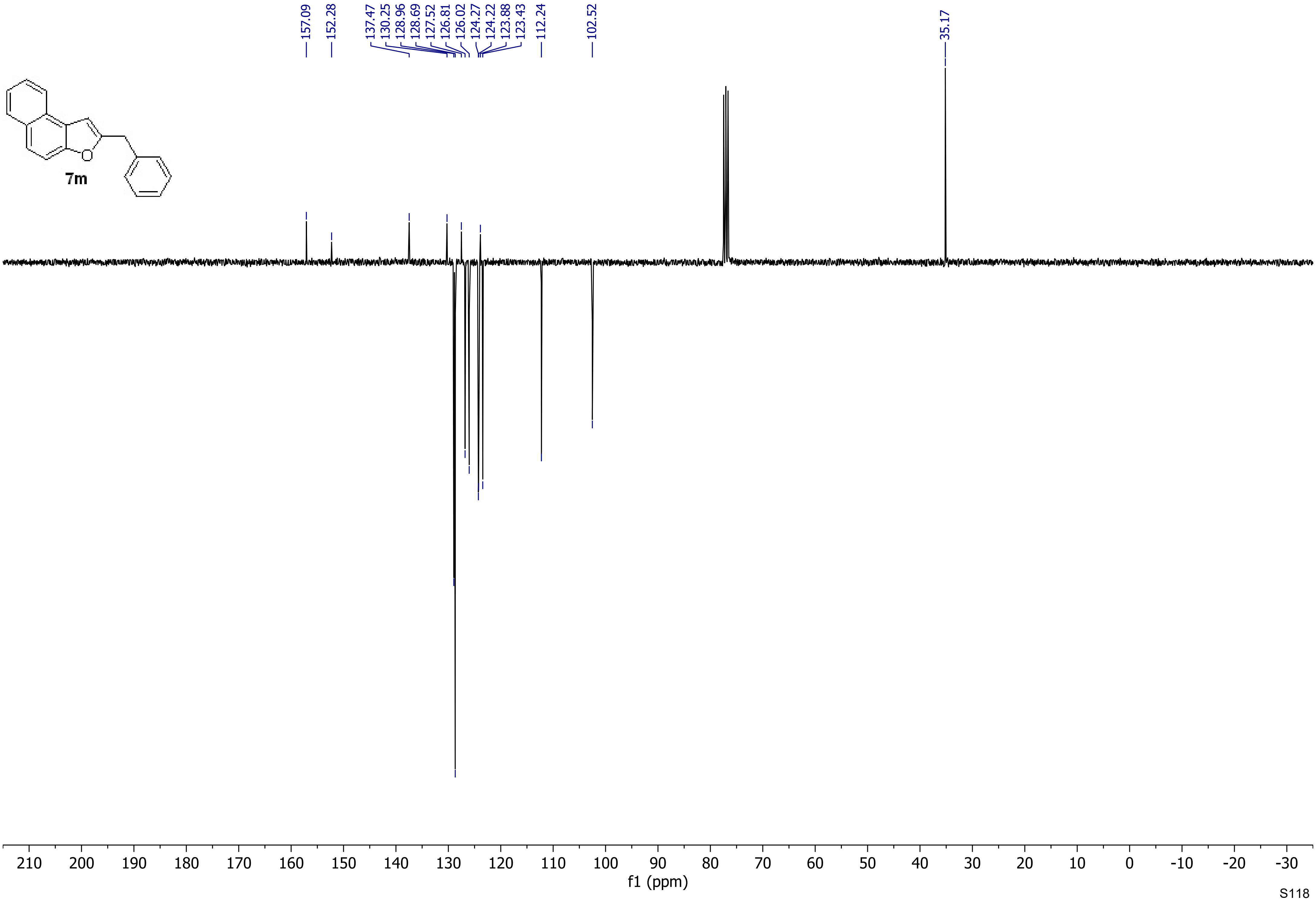
7l

^{1}H NMR (300 MHz, CDCl$_3$)

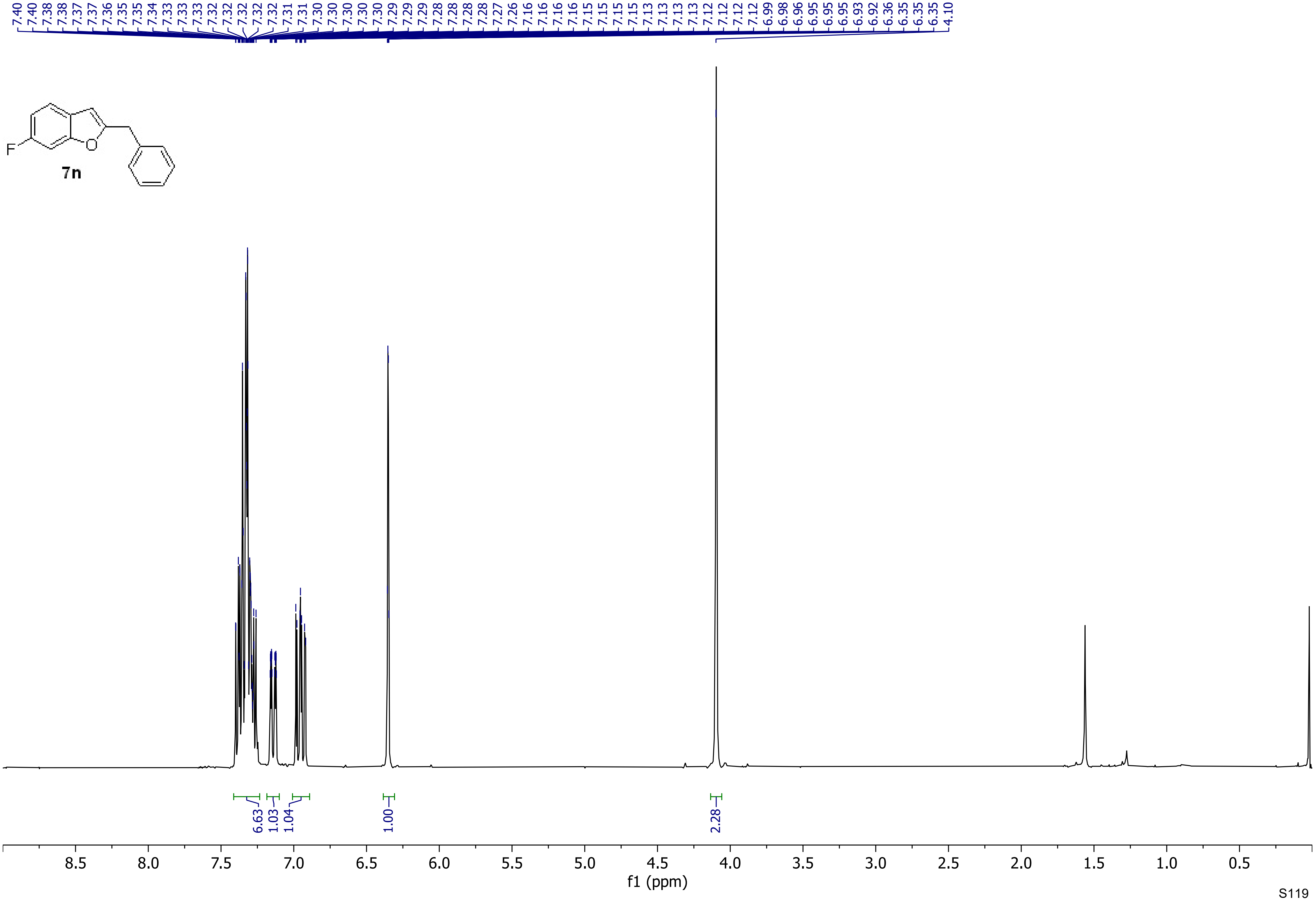


7m

^{13}C NMR (75 MHz, $CDCl_3$)

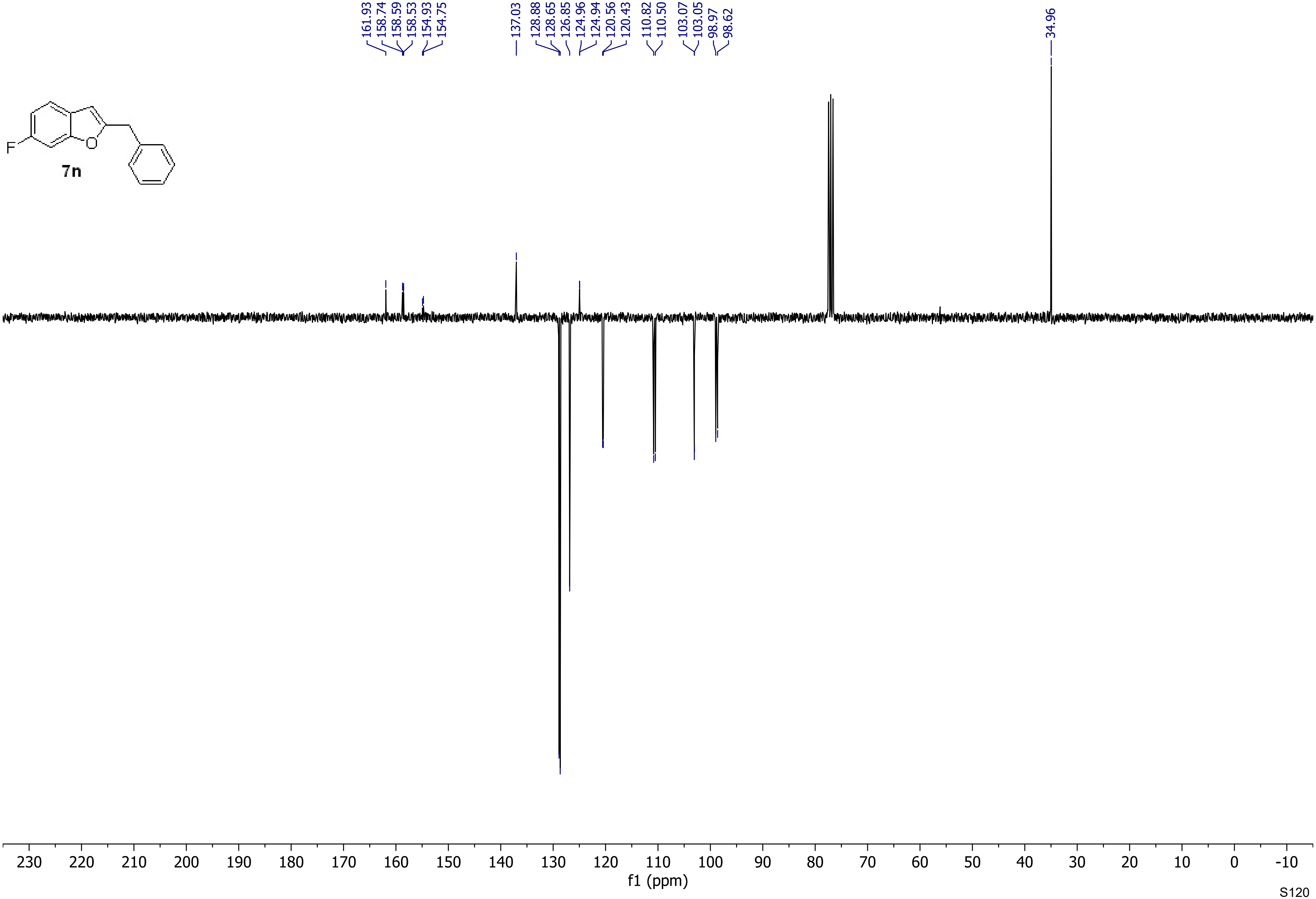


^{1}H NMR (300 MHz, CDCl$_3$)

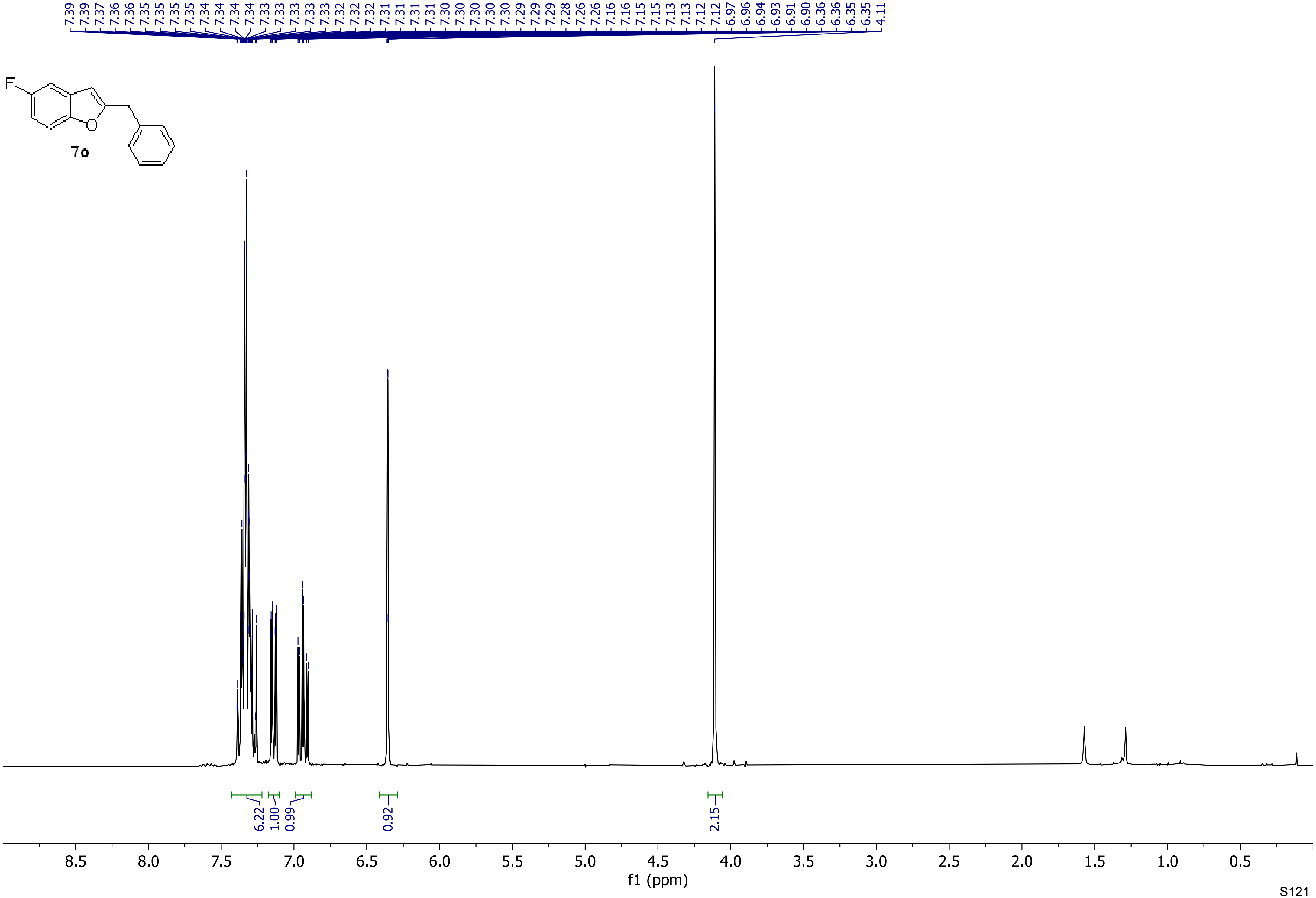


^{13}C NMR (75 MHz, CDCl$_3$)

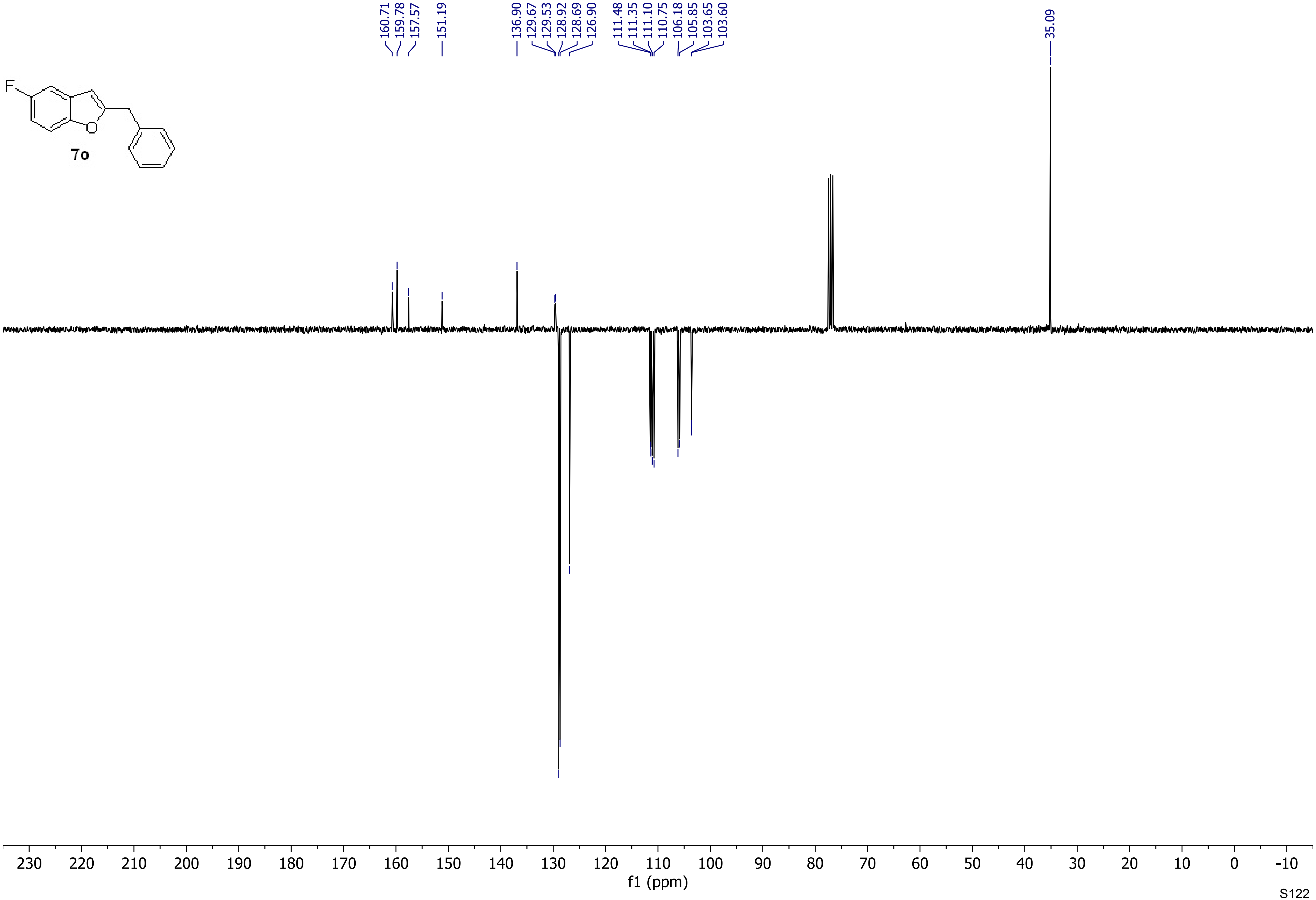
7n



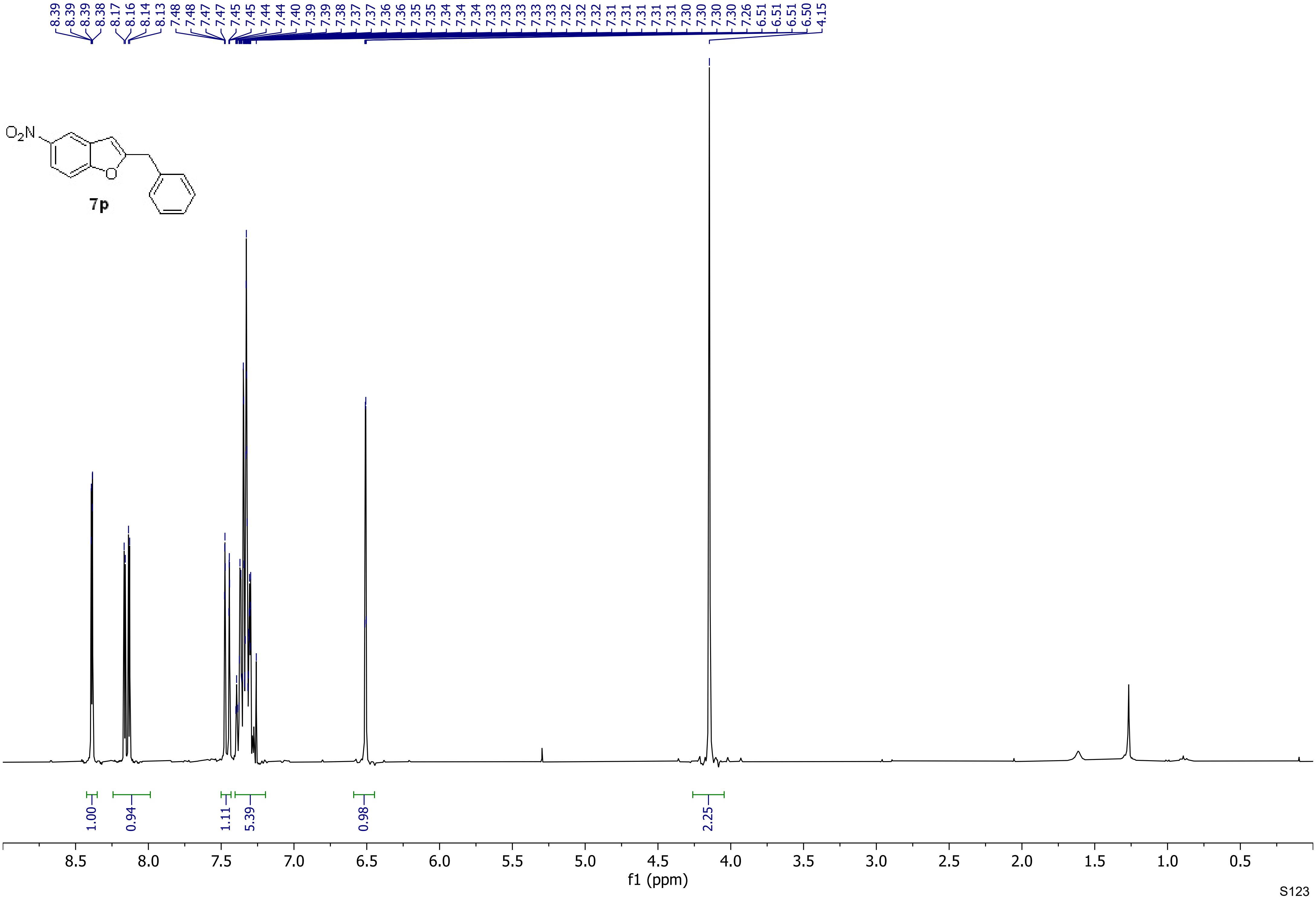
^{1}H NMR (300 MHz, $CDCl_3$):



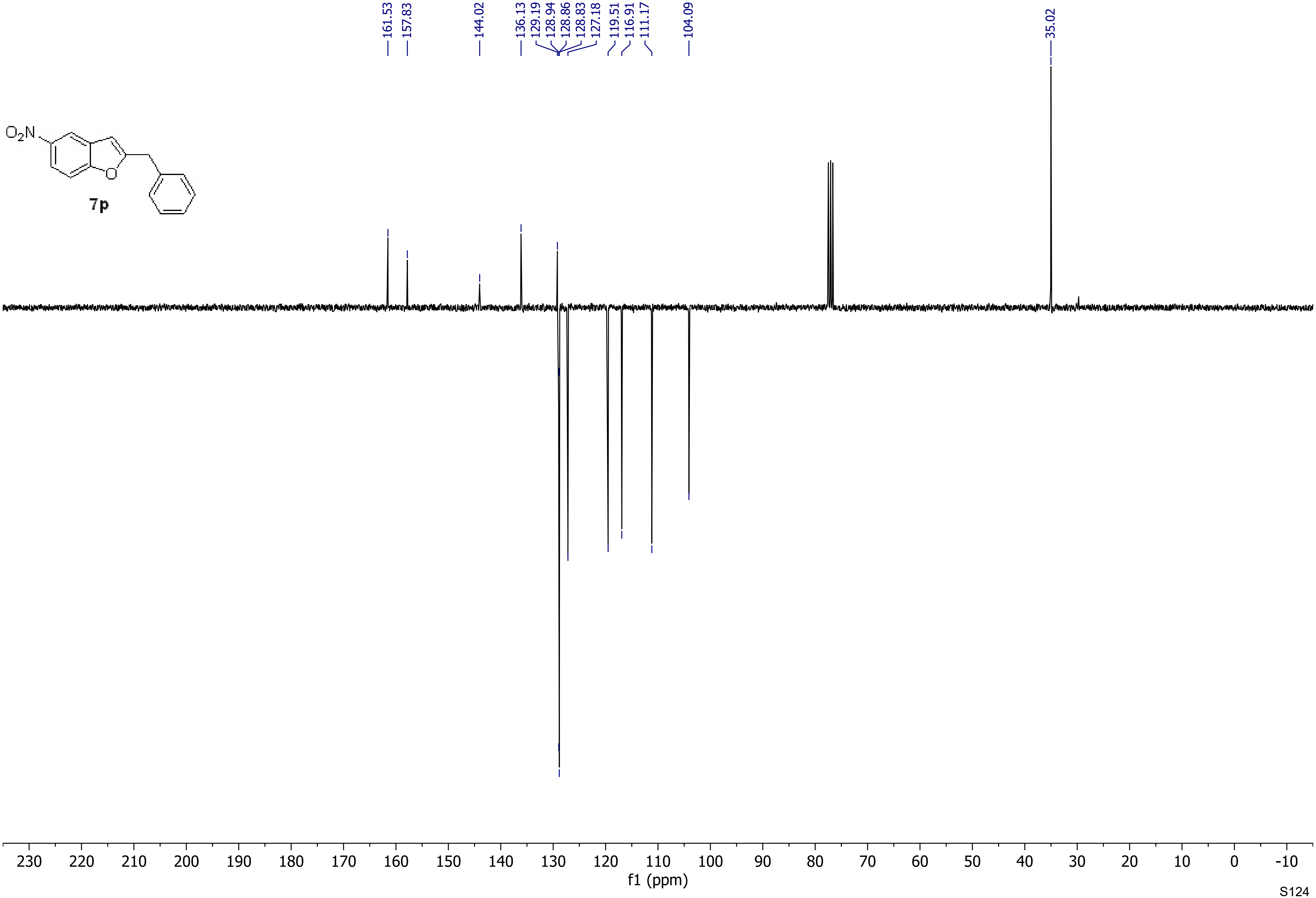
^{13}C NMR (75 MHz, CDCl$_3$)



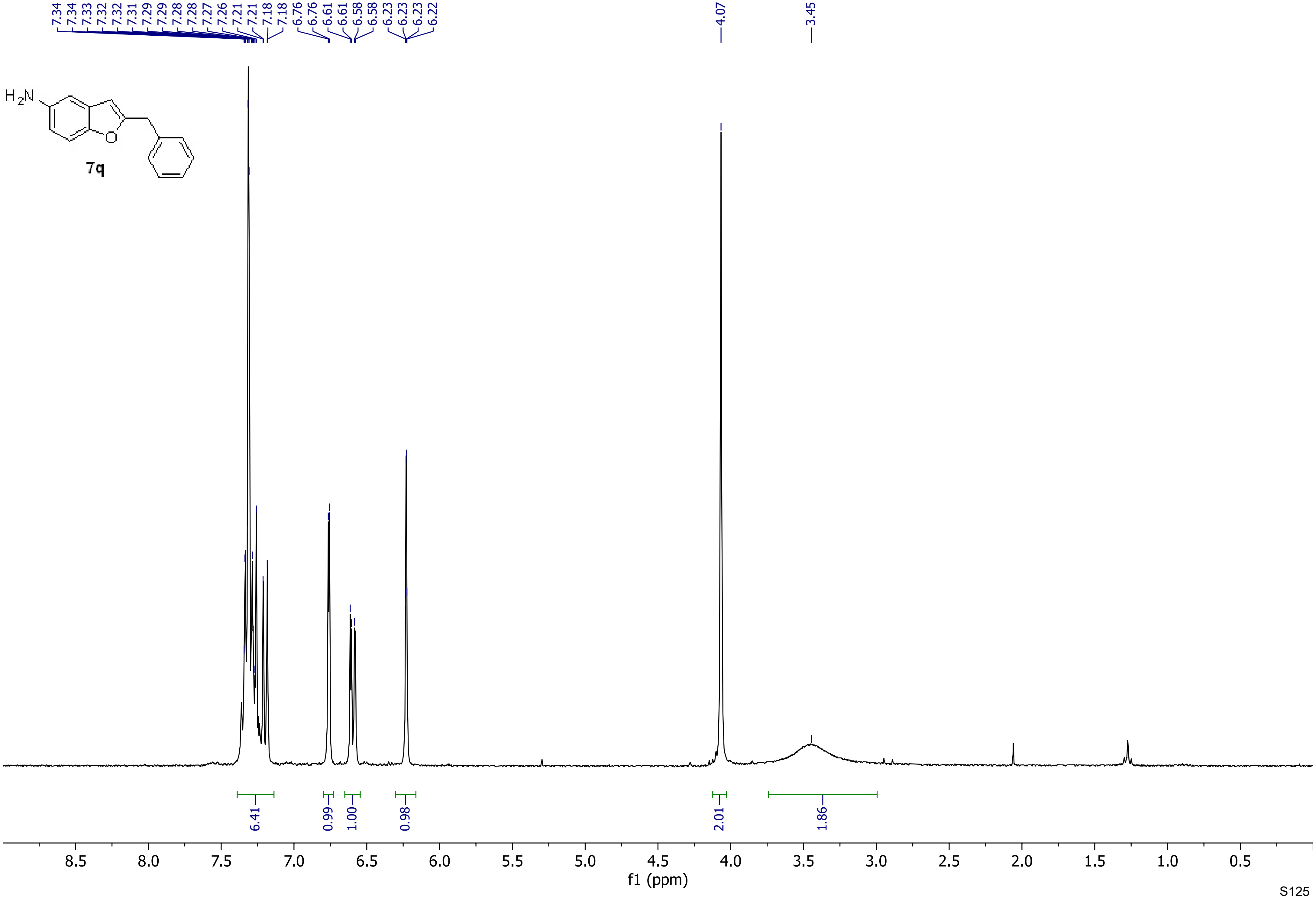
^1H NMR (300 MHz, $CDCl_3$)



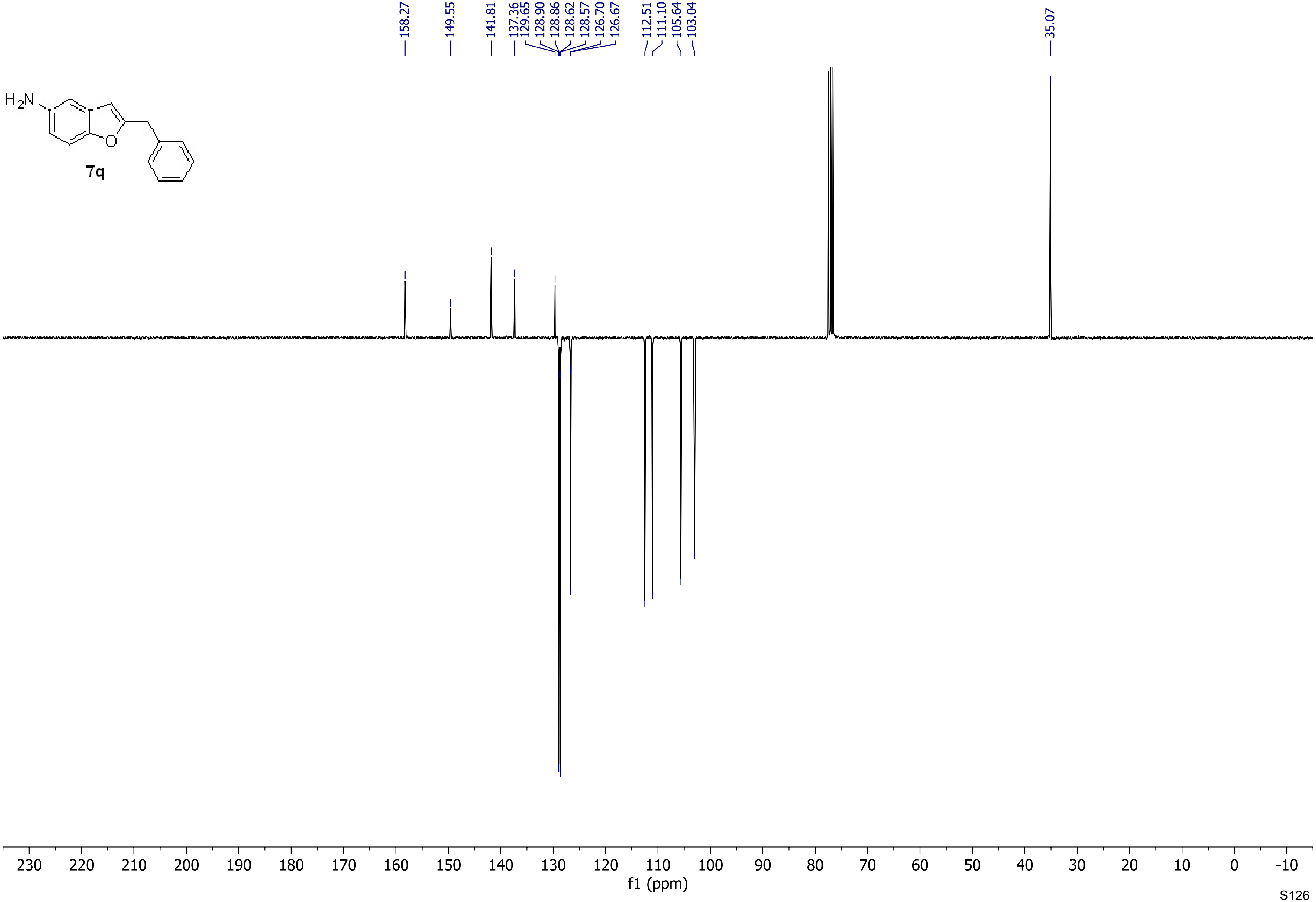
^{13}C NMR (75 MHz, CDCl$_3$)



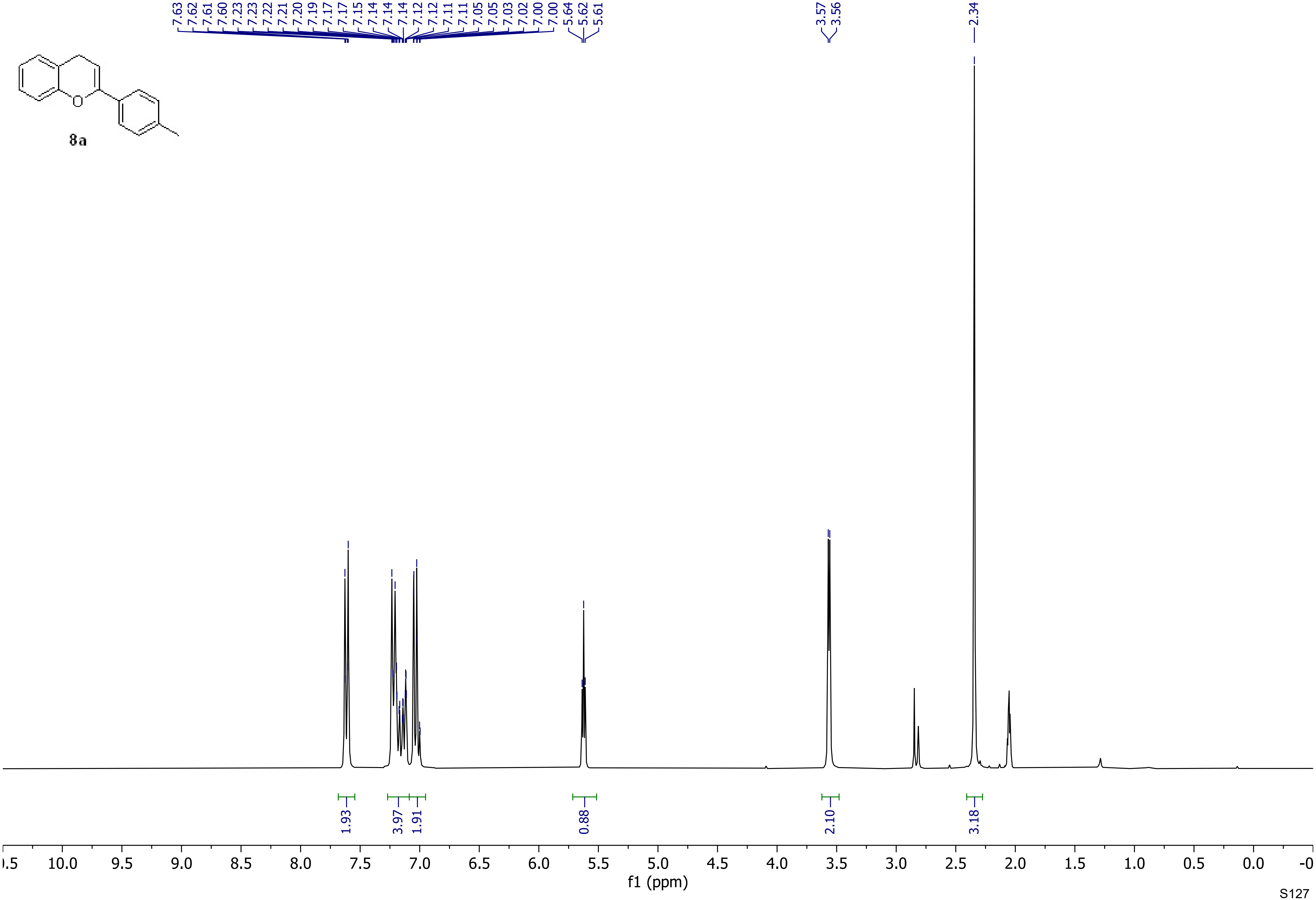
^{1}H NMR (300 MHz, $CDCl_3$)



^{13}C NMR (75 MHz, CDCl$_3$)

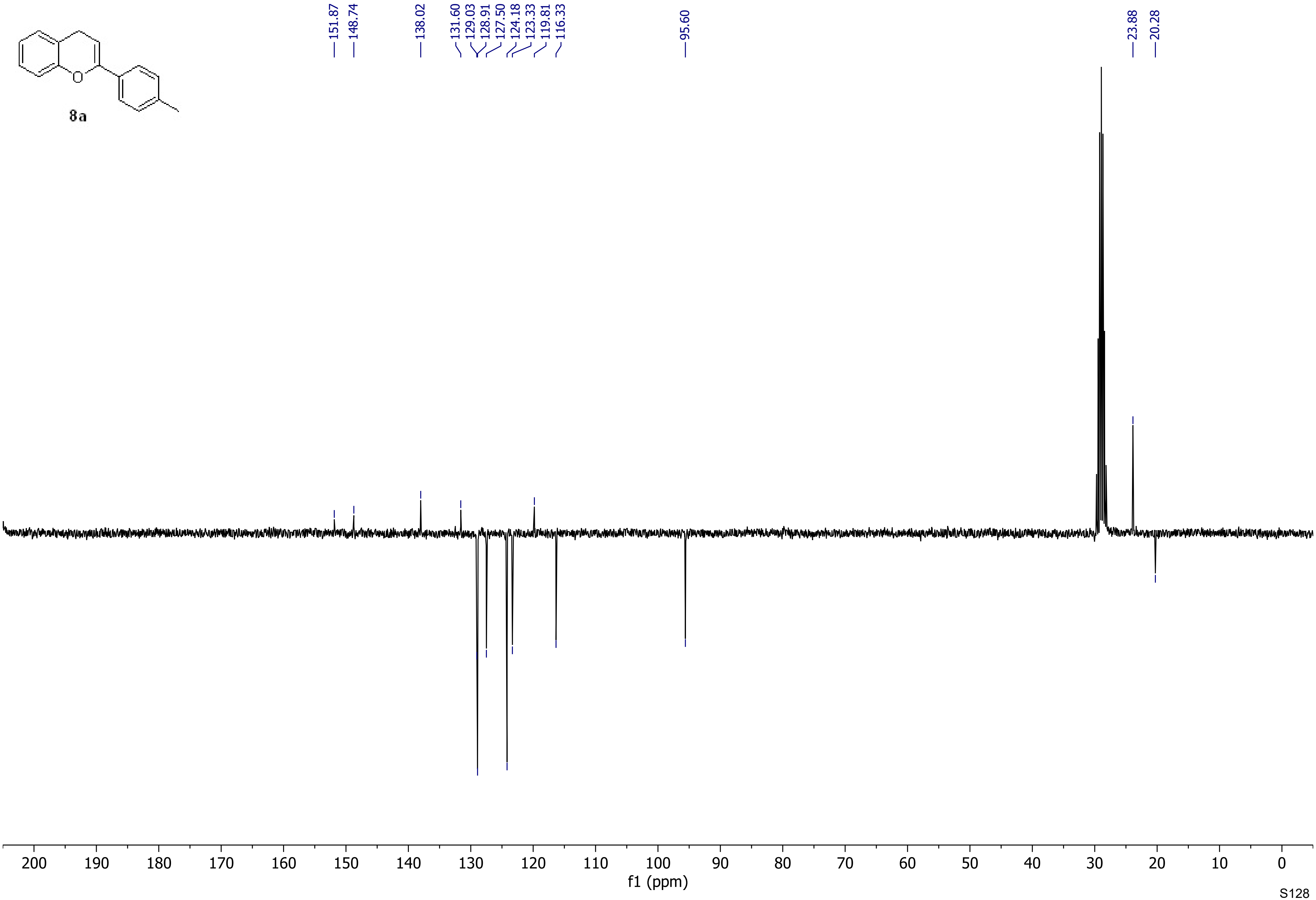


^{1}H NMR (300 MHz, Acetone-D$_6$)

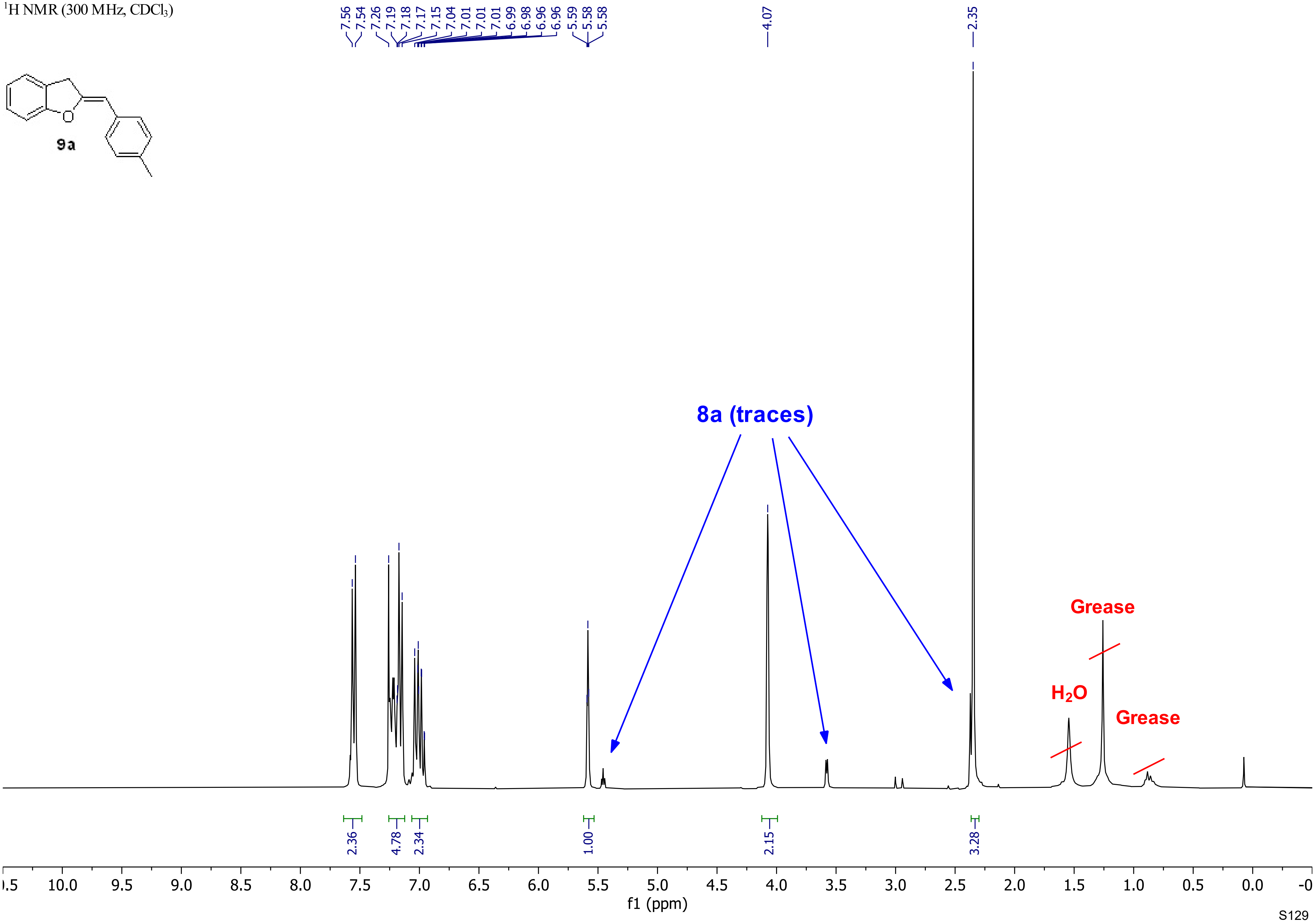


8a

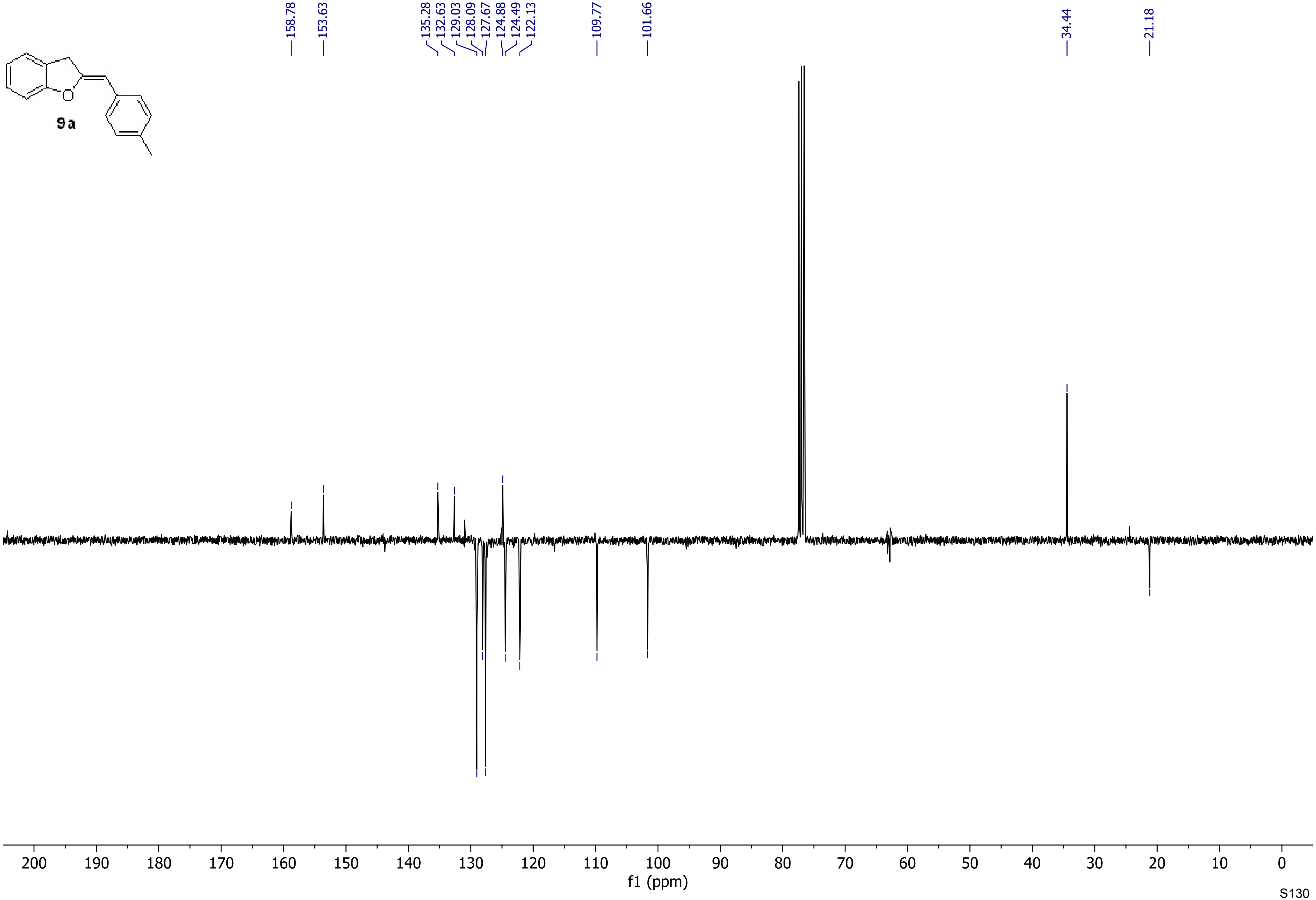
^{13}C NMR (75 MHz, Acetone-D$_6$)



^{1}H NMR (300 MHz, CDCl$_3$)

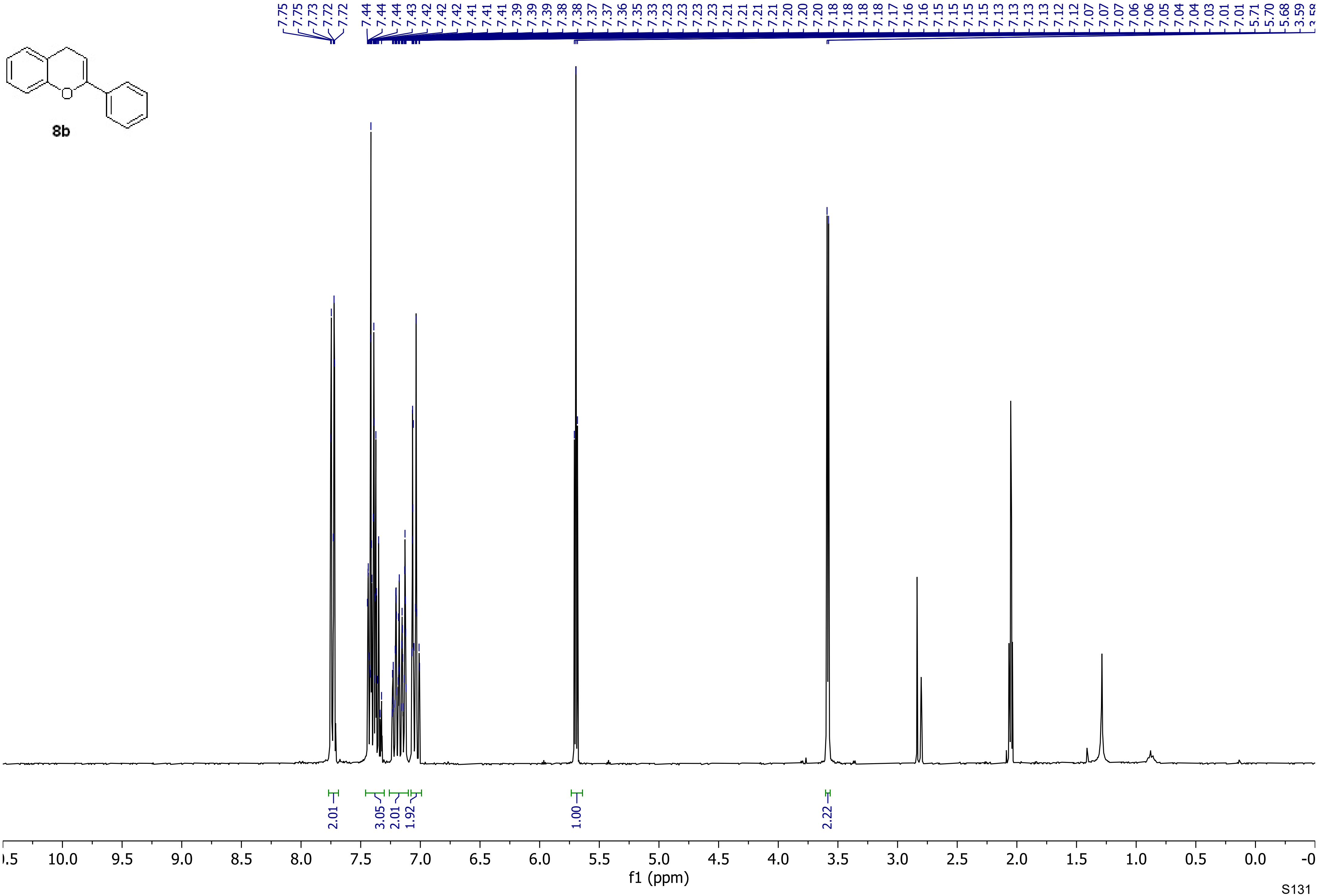


^{13}C NMR (75 MHz, $CDCl_3$)



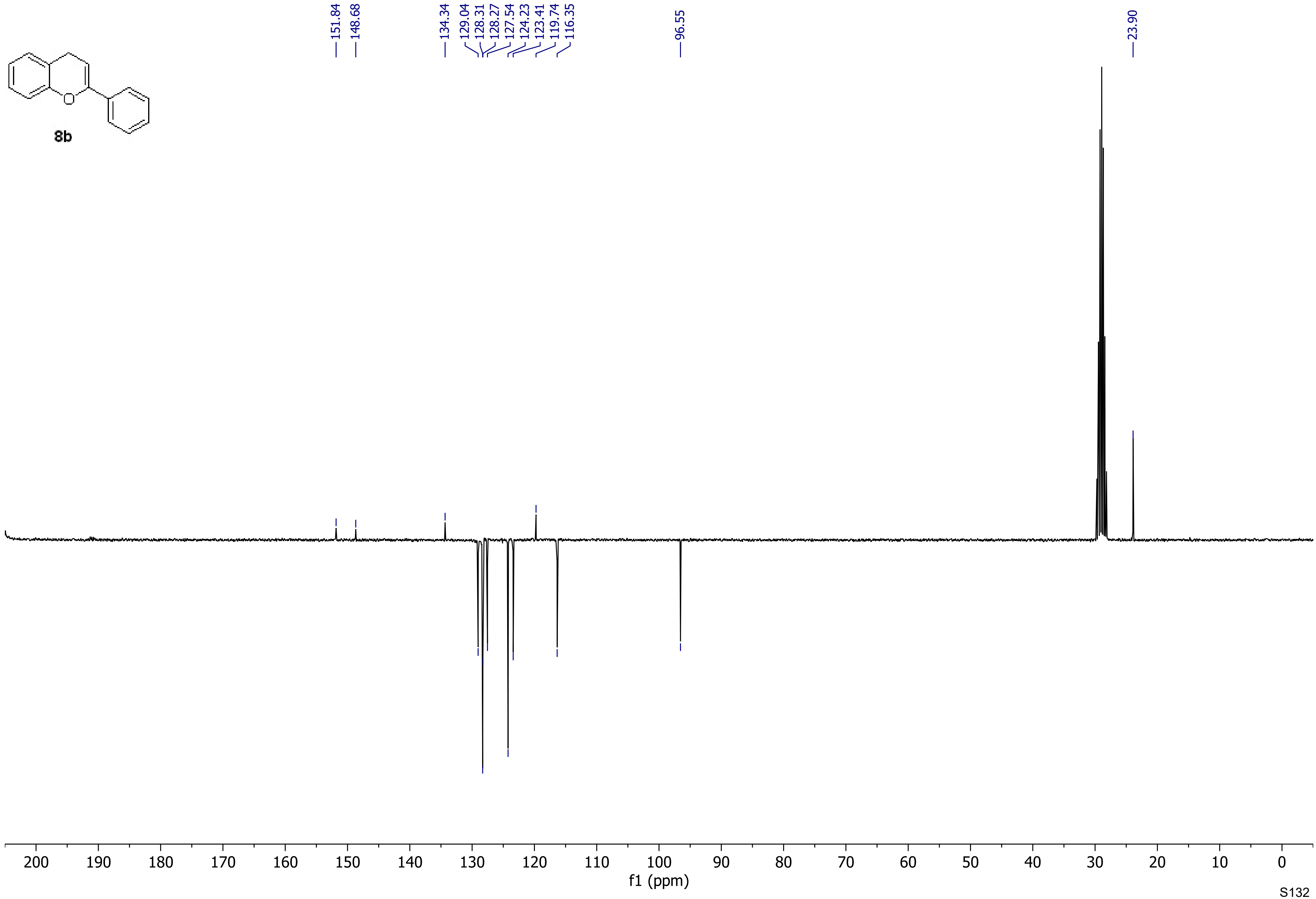
9a

^{1}H NMR (300 MHz, Acetone-D$_6$)

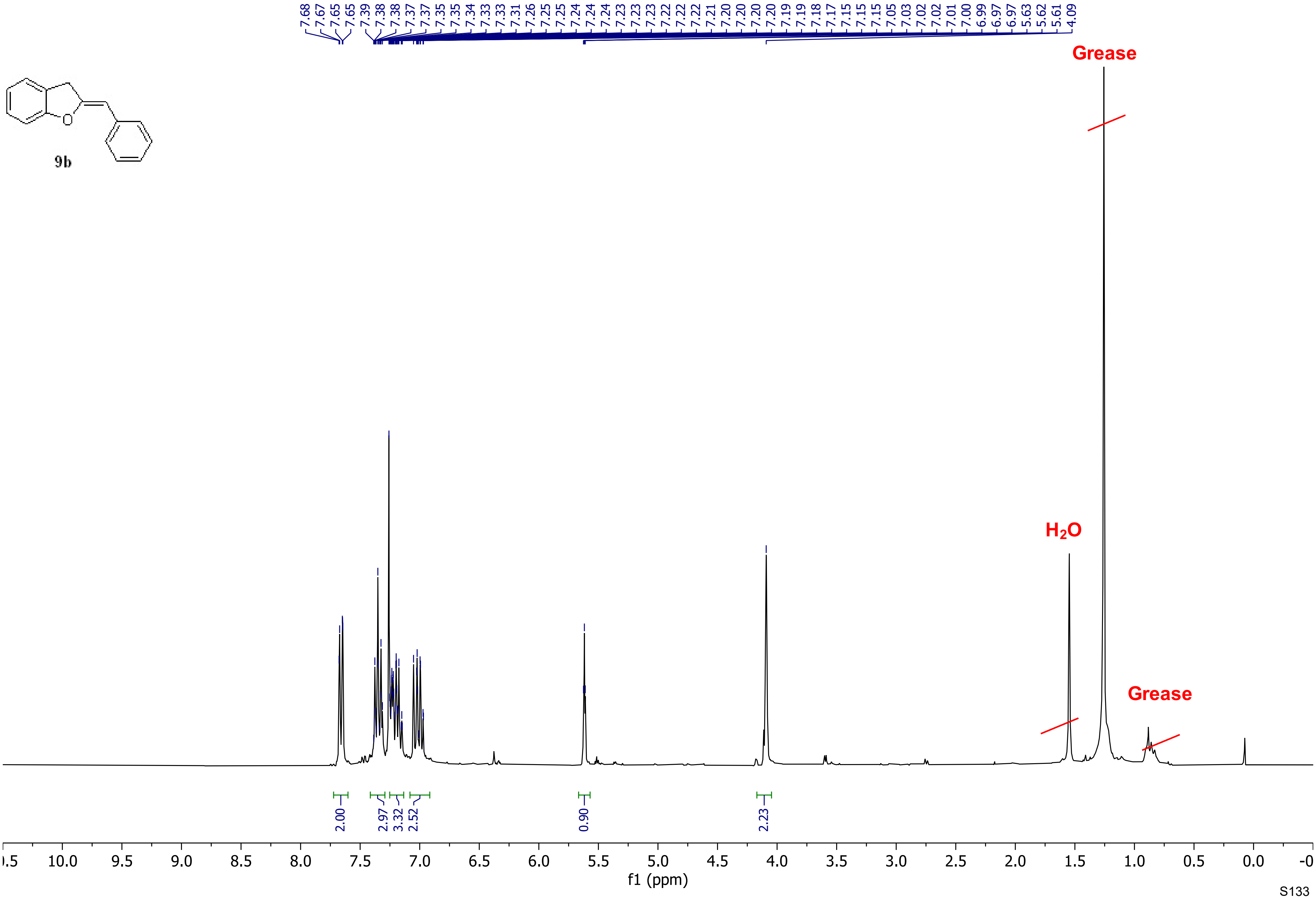


^{13}C NMR (75 MHz, Acetone-D$_6$)

8b

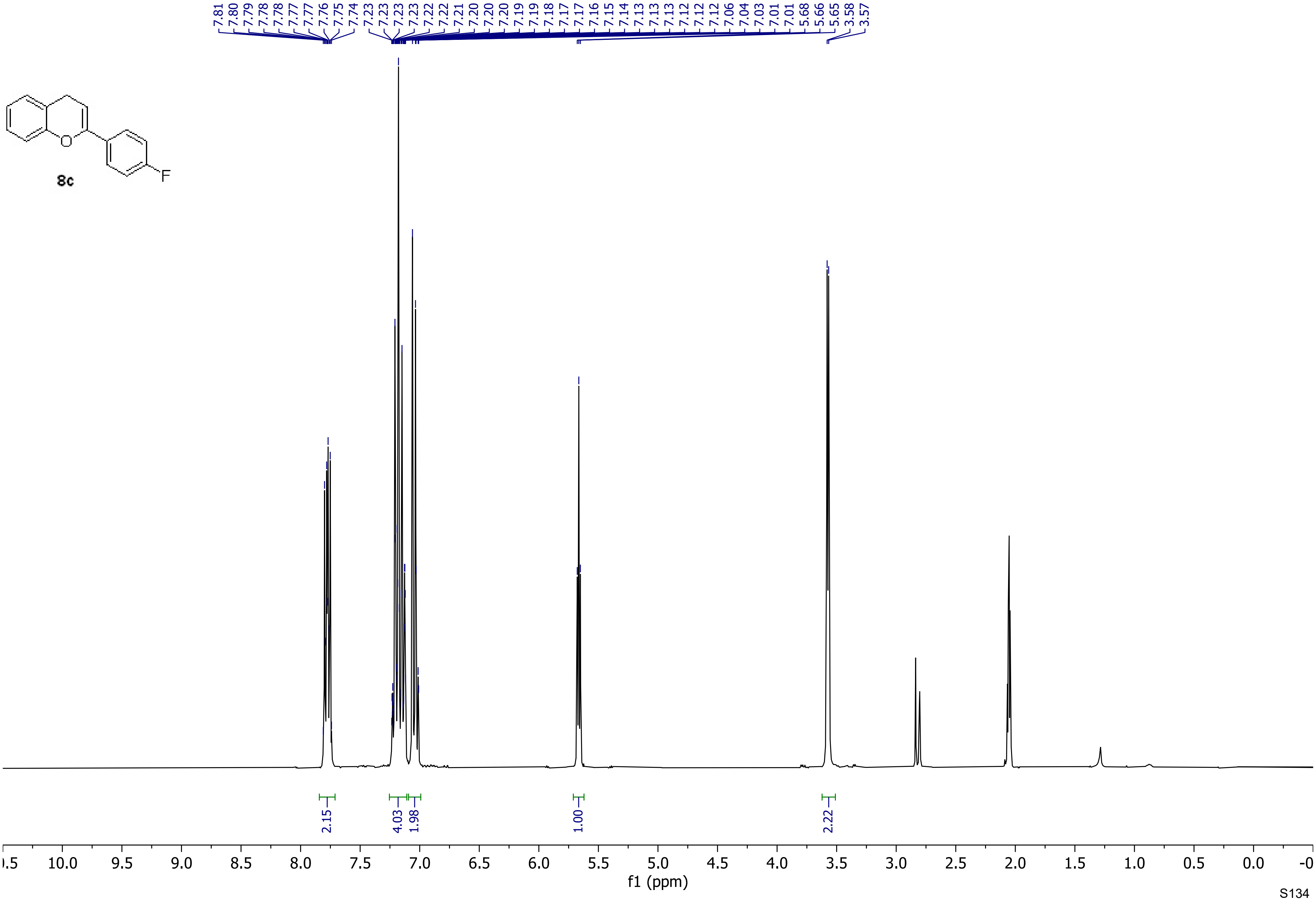


^{1}H NMR (300 MHz, $CDCl_3$)



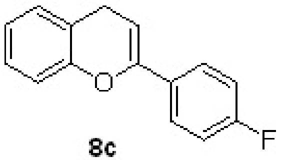
9b

^{1}H NMR (300 MHz, Acetone-D$_6$)

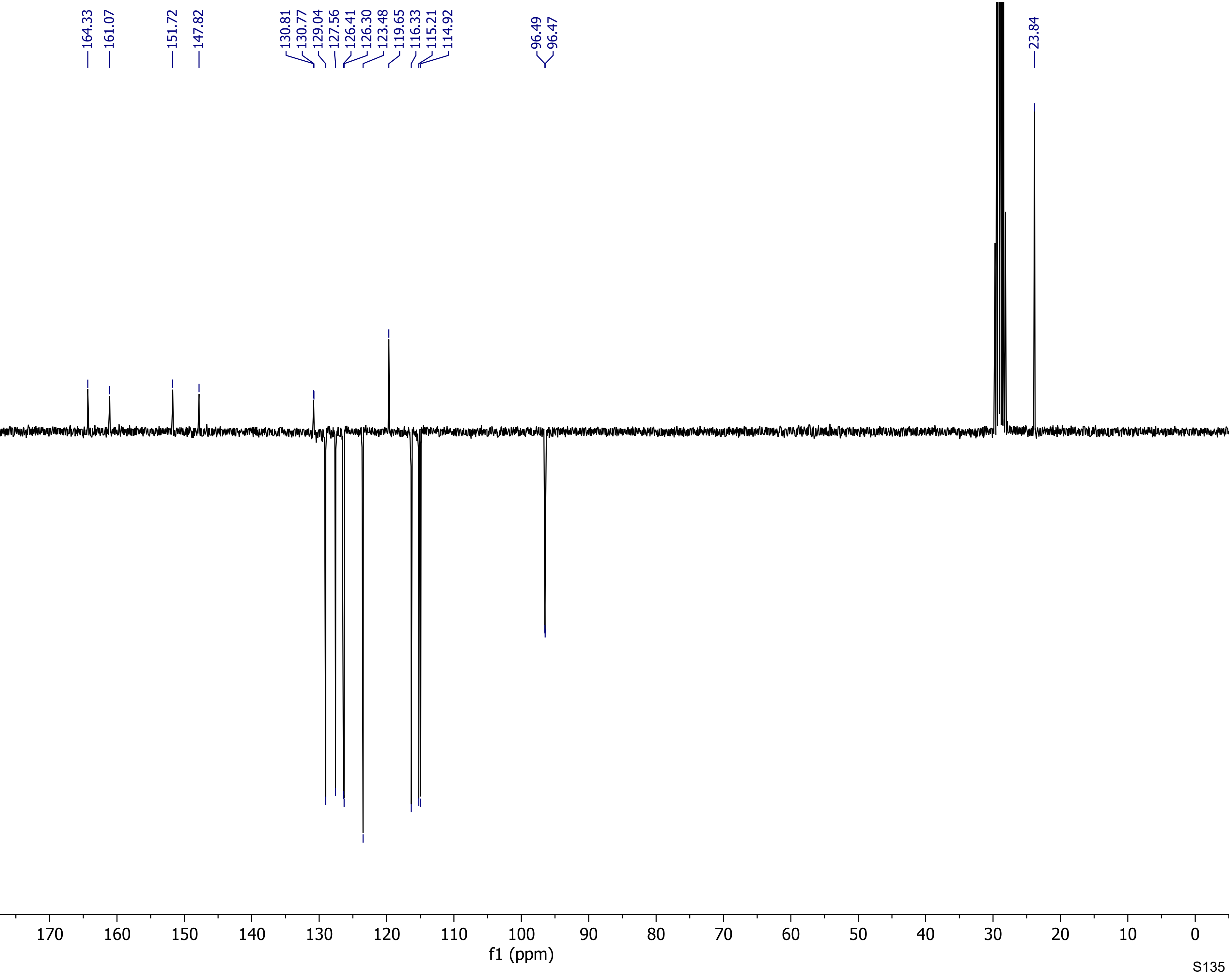


8c

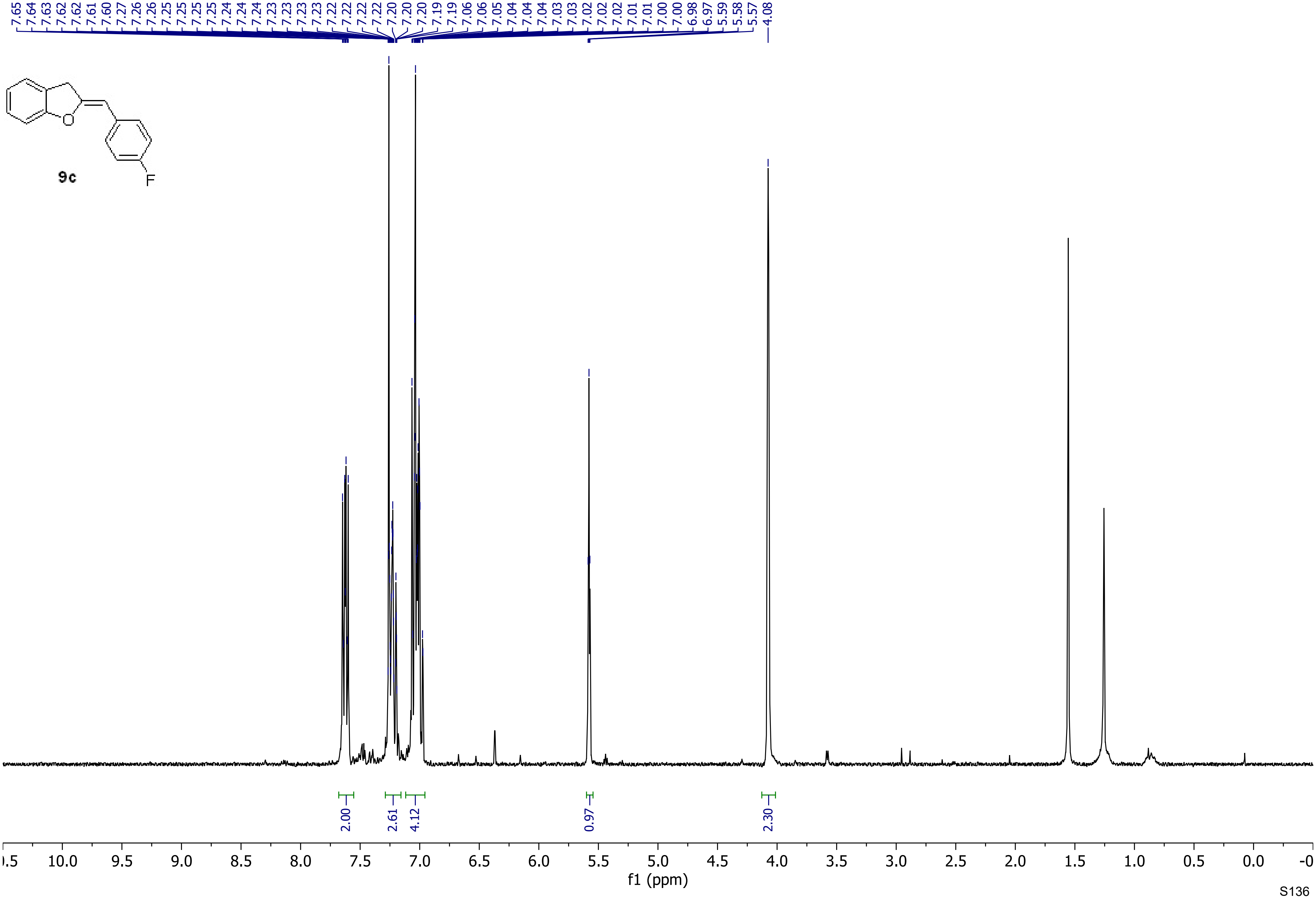
^{3}C NMR (75 MHz, Acetone-D$_6$)



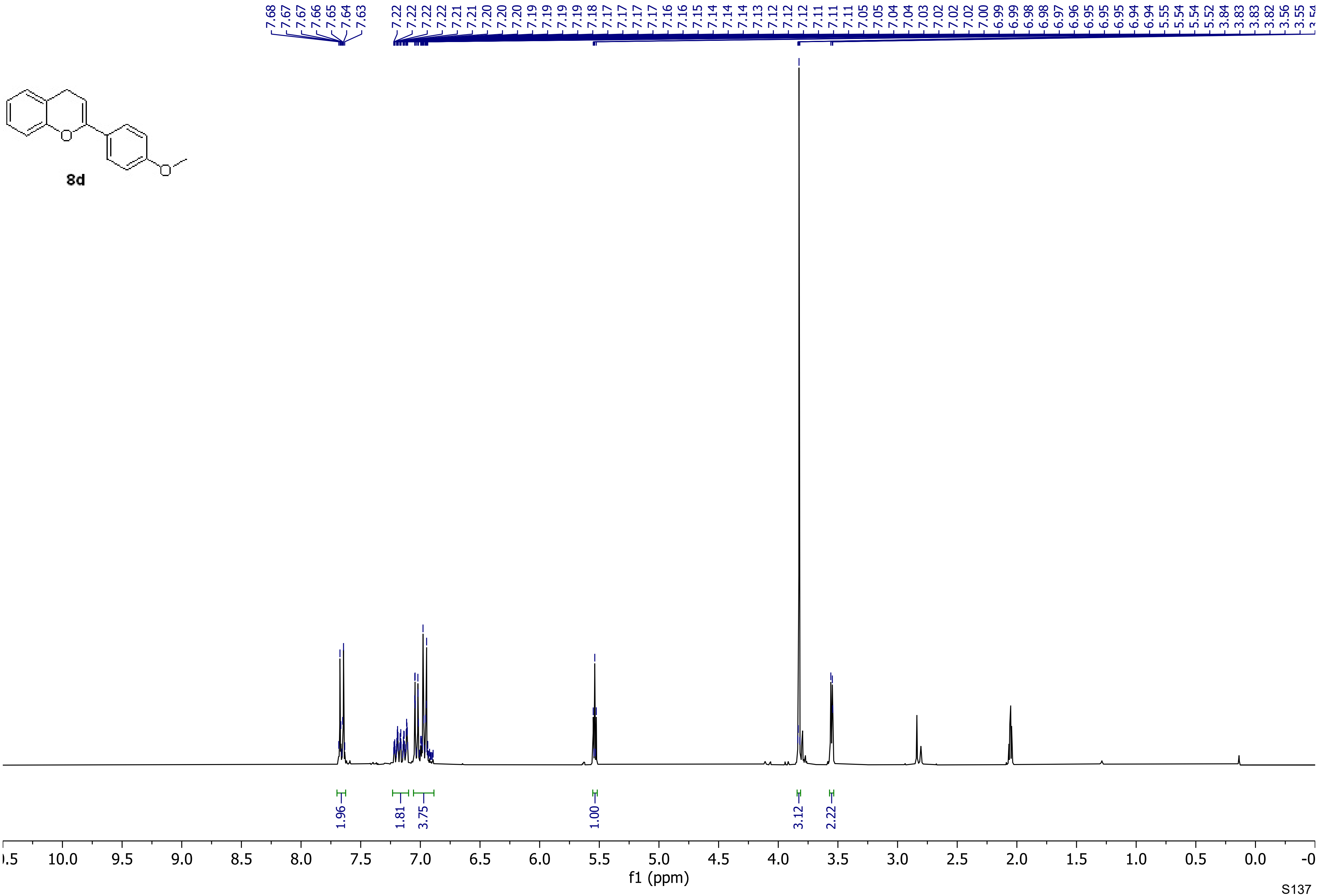
8c



^{1}H NMR (300 MHz, CDCl$_3$)

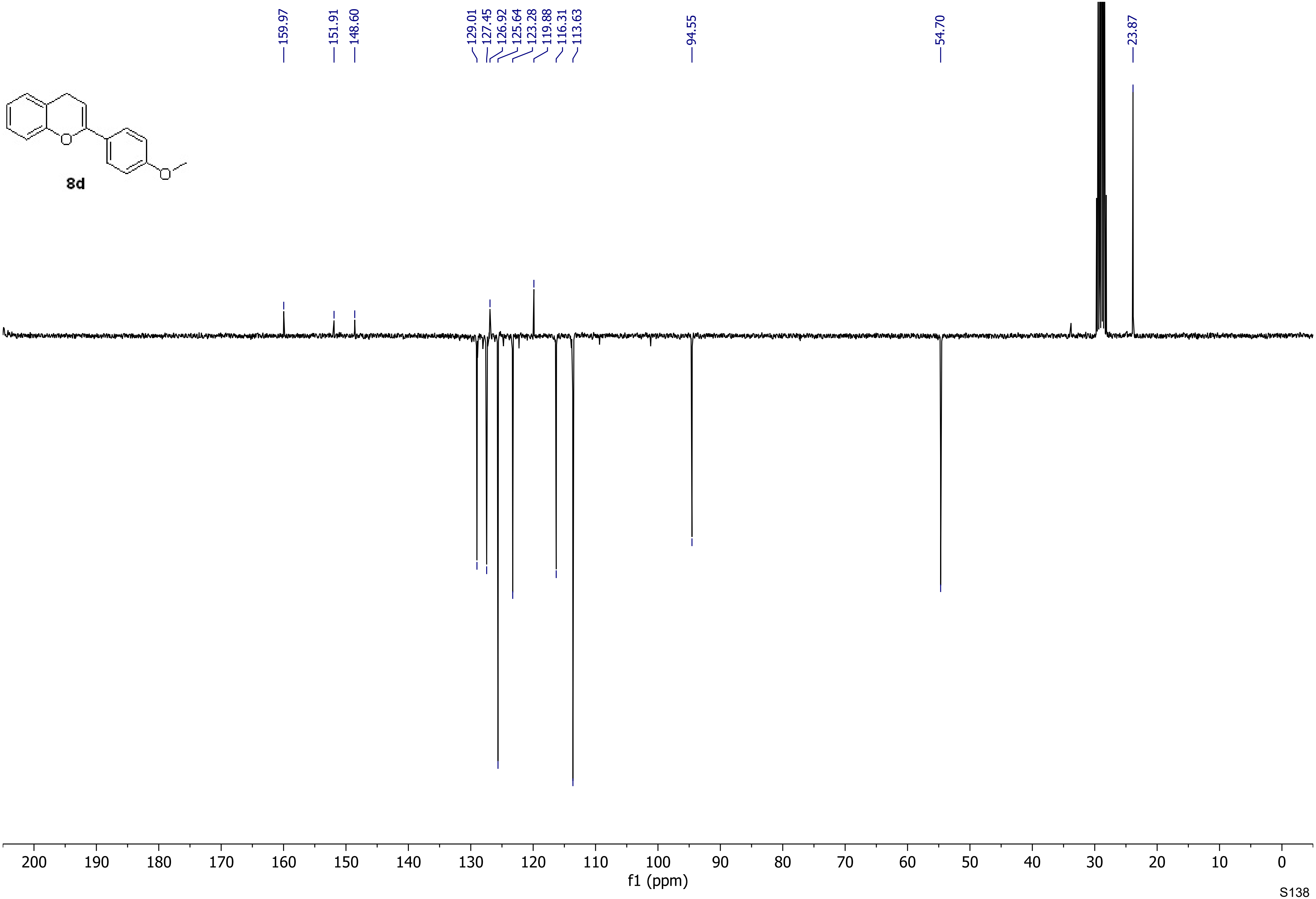


^{1}H NMR (300 MHz, Acetone-D$_6$)



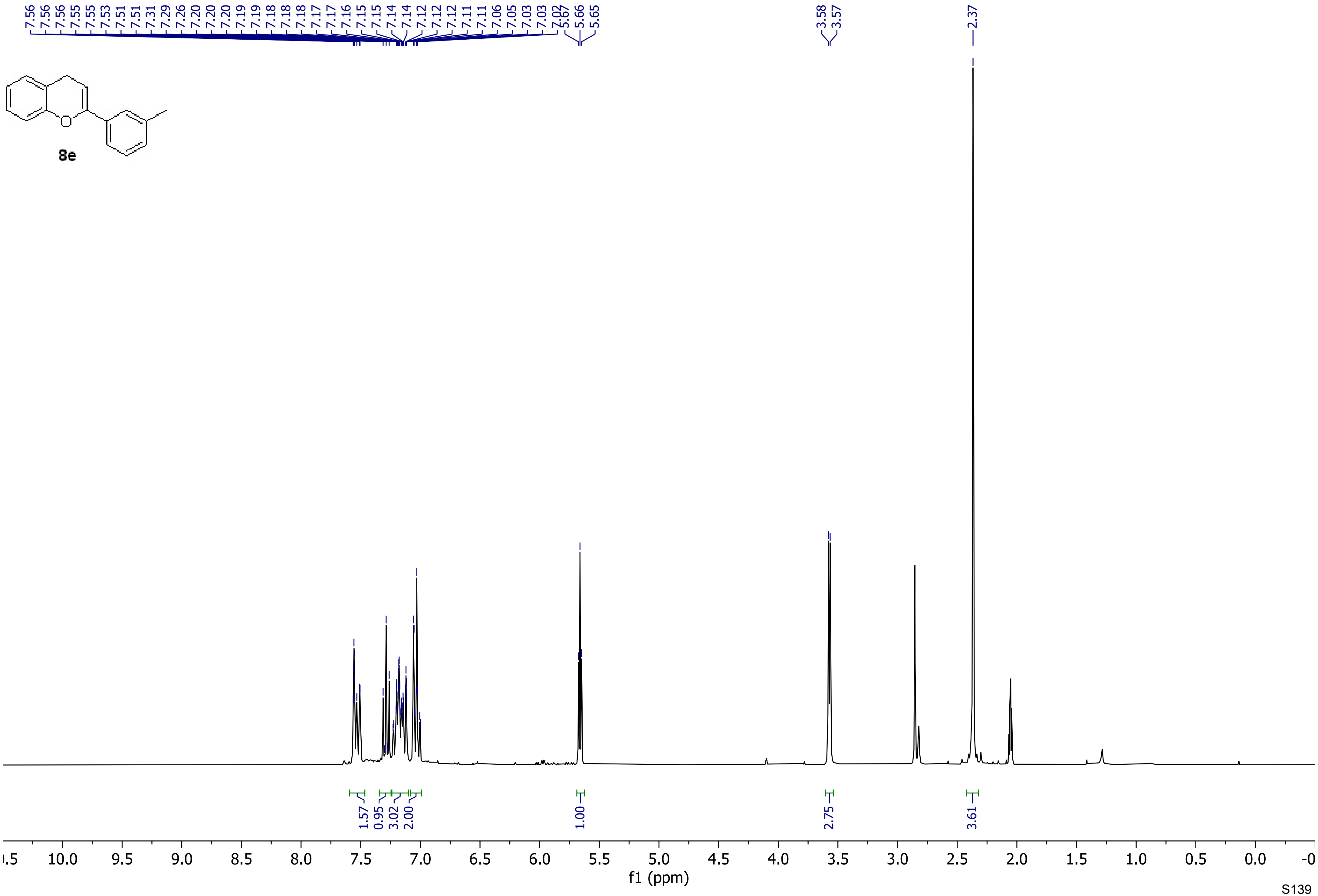
8d

^{13}C NMR (75 MHz, Acetone-D_6)

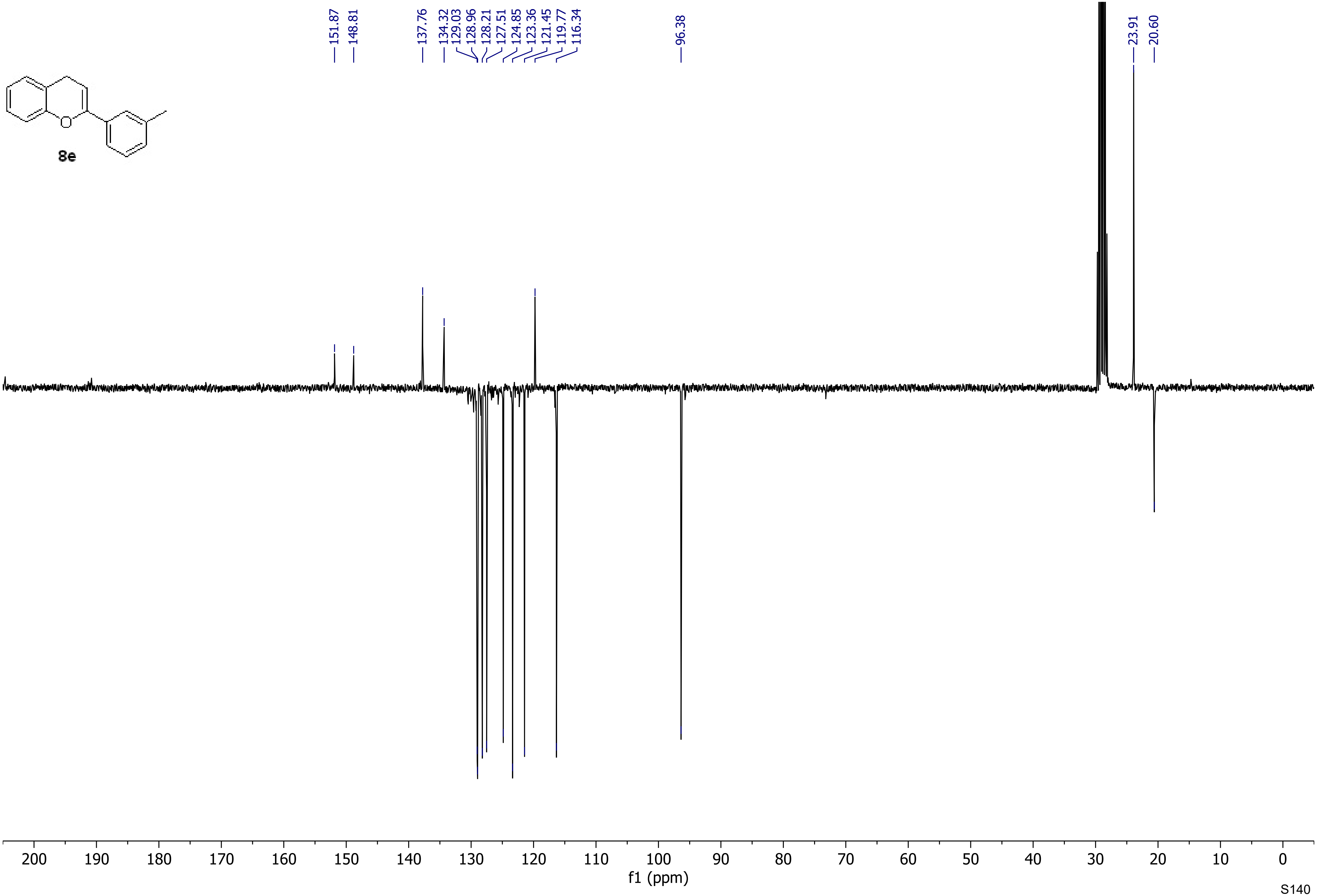


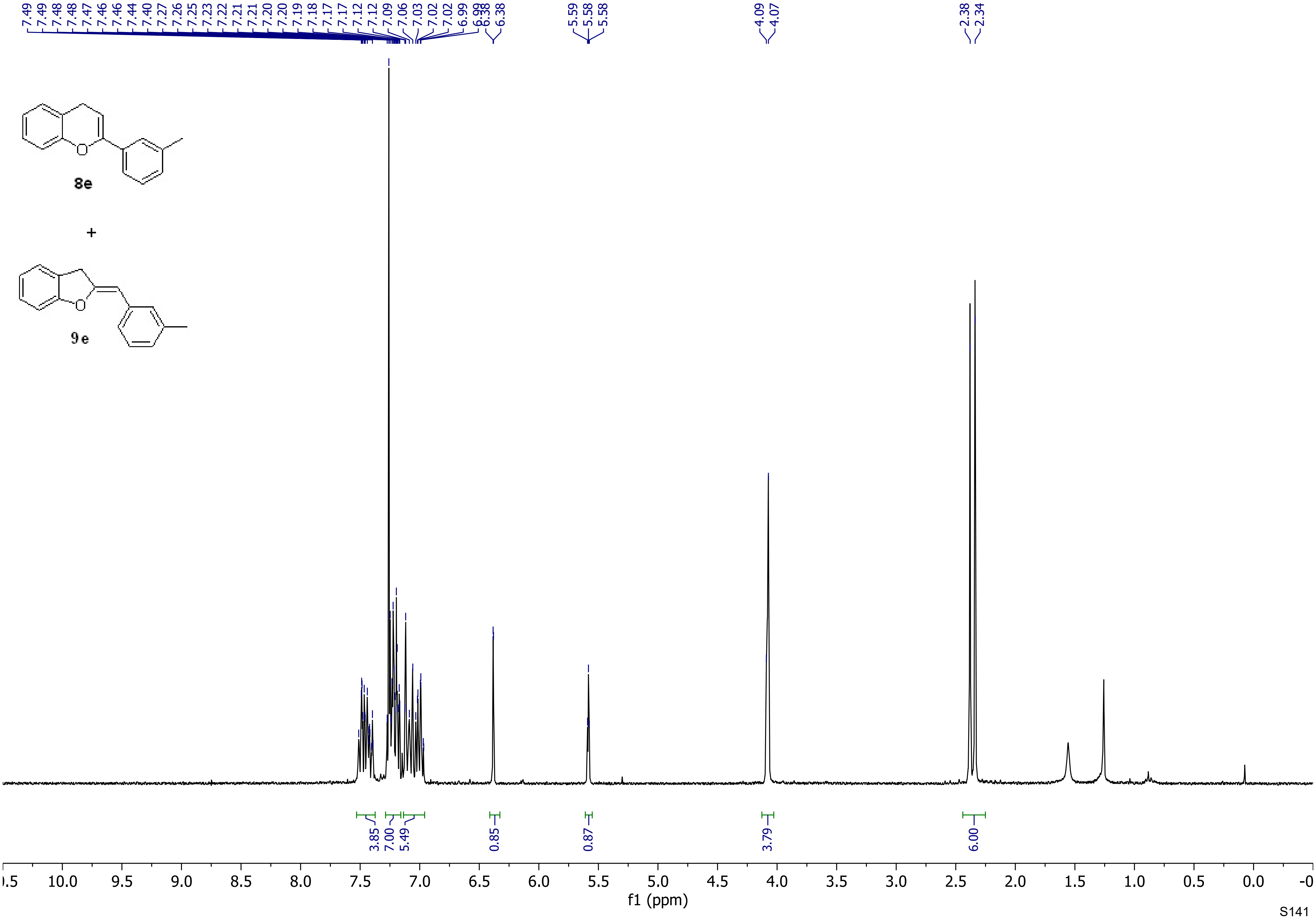
8d

^{1}H NMR (300 MHz, Acetone-D$_6$)



^{13}C NMR (75 MHz, Acetone-D_6)




7.49
7.49
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6.38
6.38
5.59
5.58
5.58
4.09
4.07
2.38
2.34
O
8e
+
O
9e
3.85
7.00
5.49
0.85
0.87
3.79
6.00
.5
10.0
9.5
9.0
8.5
8.0
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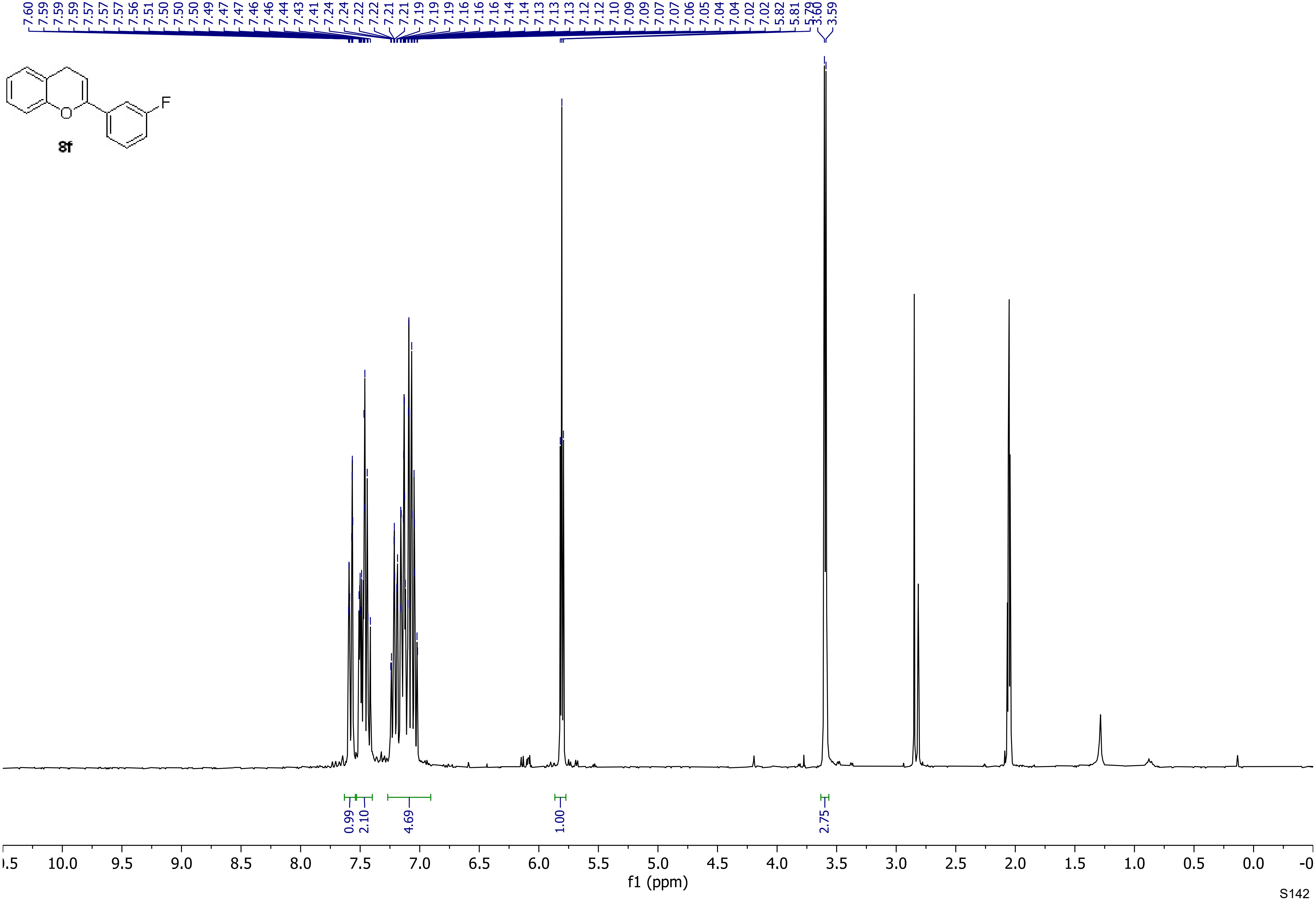
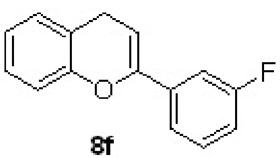
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0
f1 (ppm)

^{1}H NMR (300 MHz, Acetone-D$_6$)

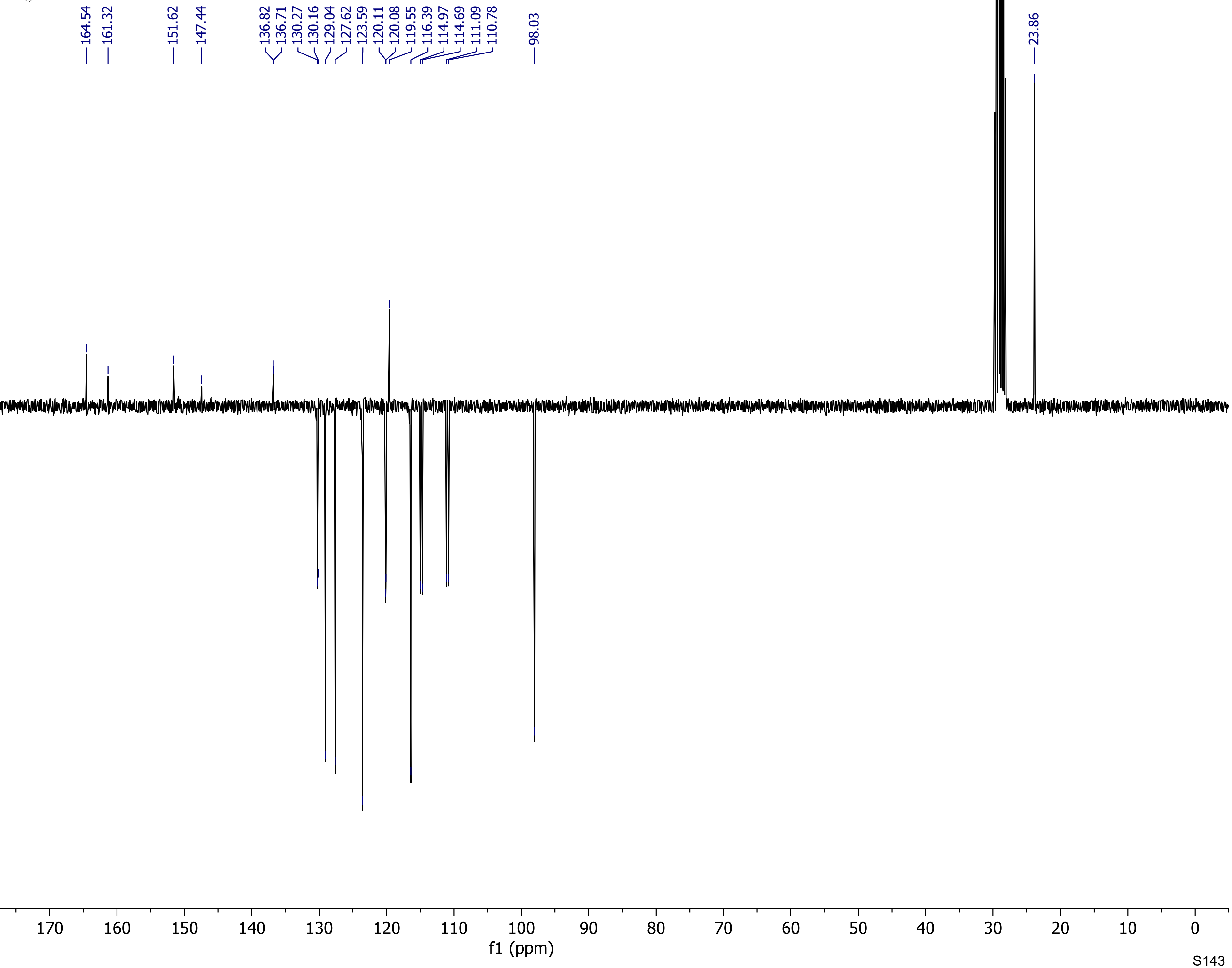
8f



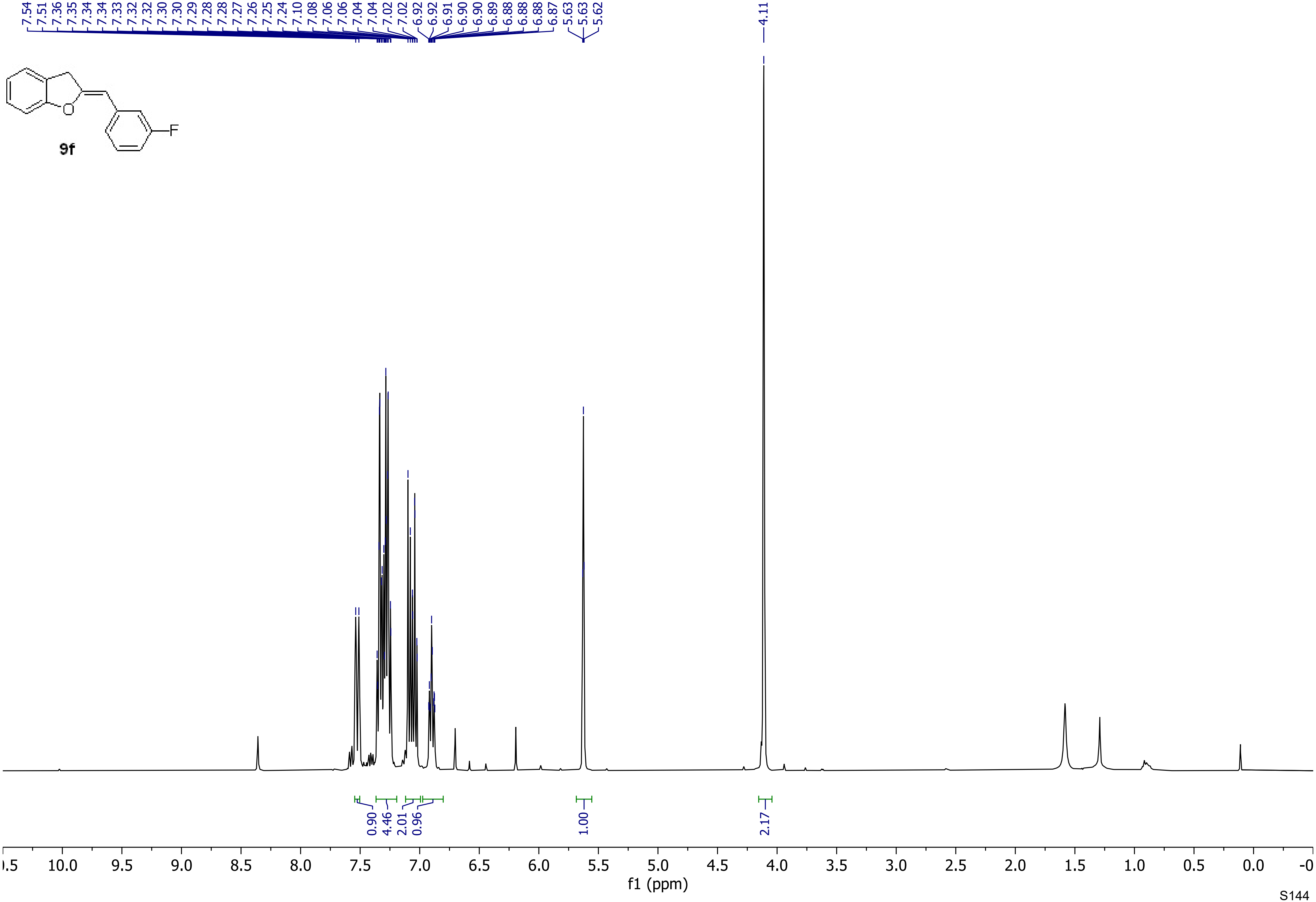
^{13}C NMR (75 MHz, Acetone-D$_6$)



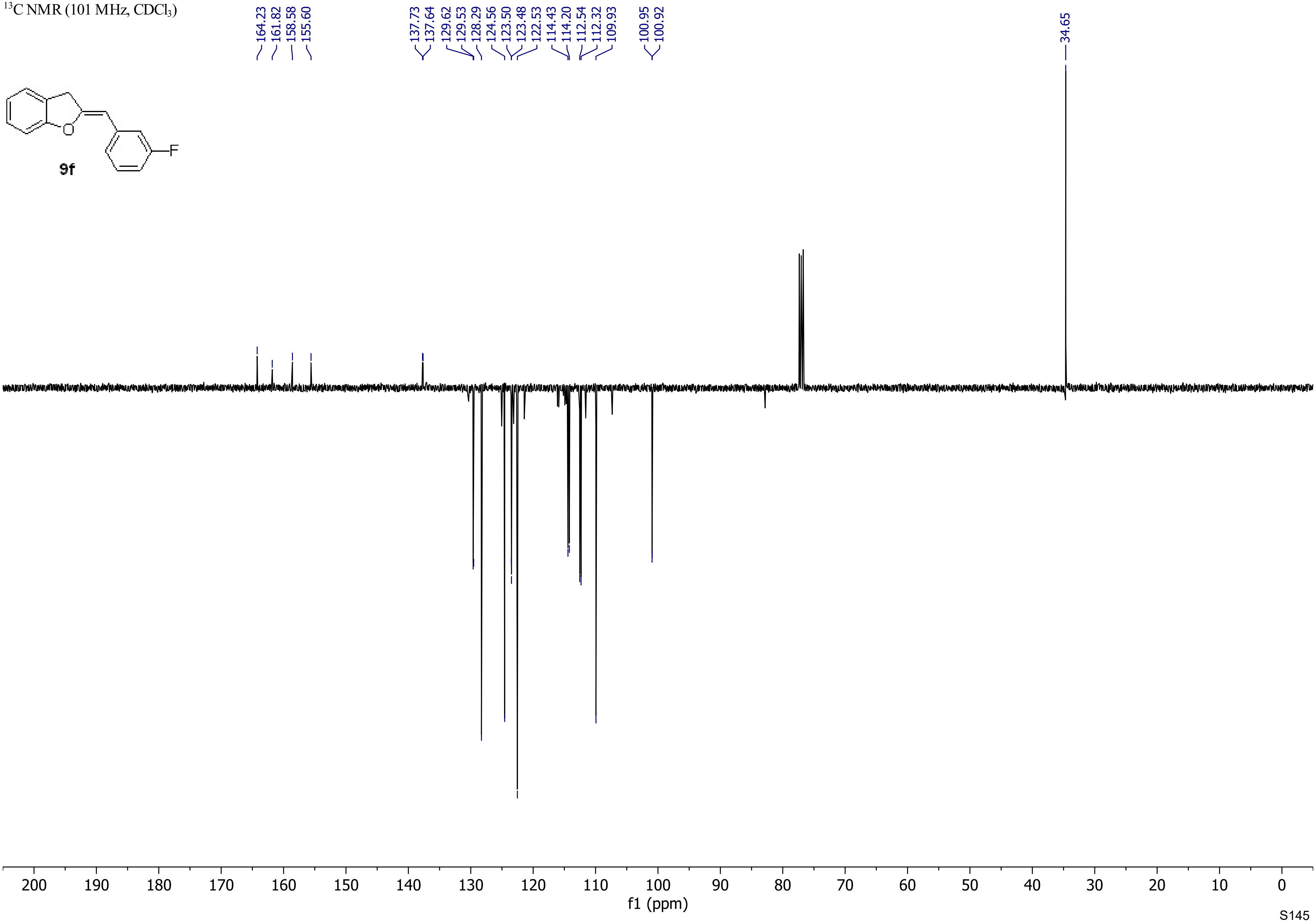
8f



^{1}H NMR (400 MHz, $CDCl_3$)

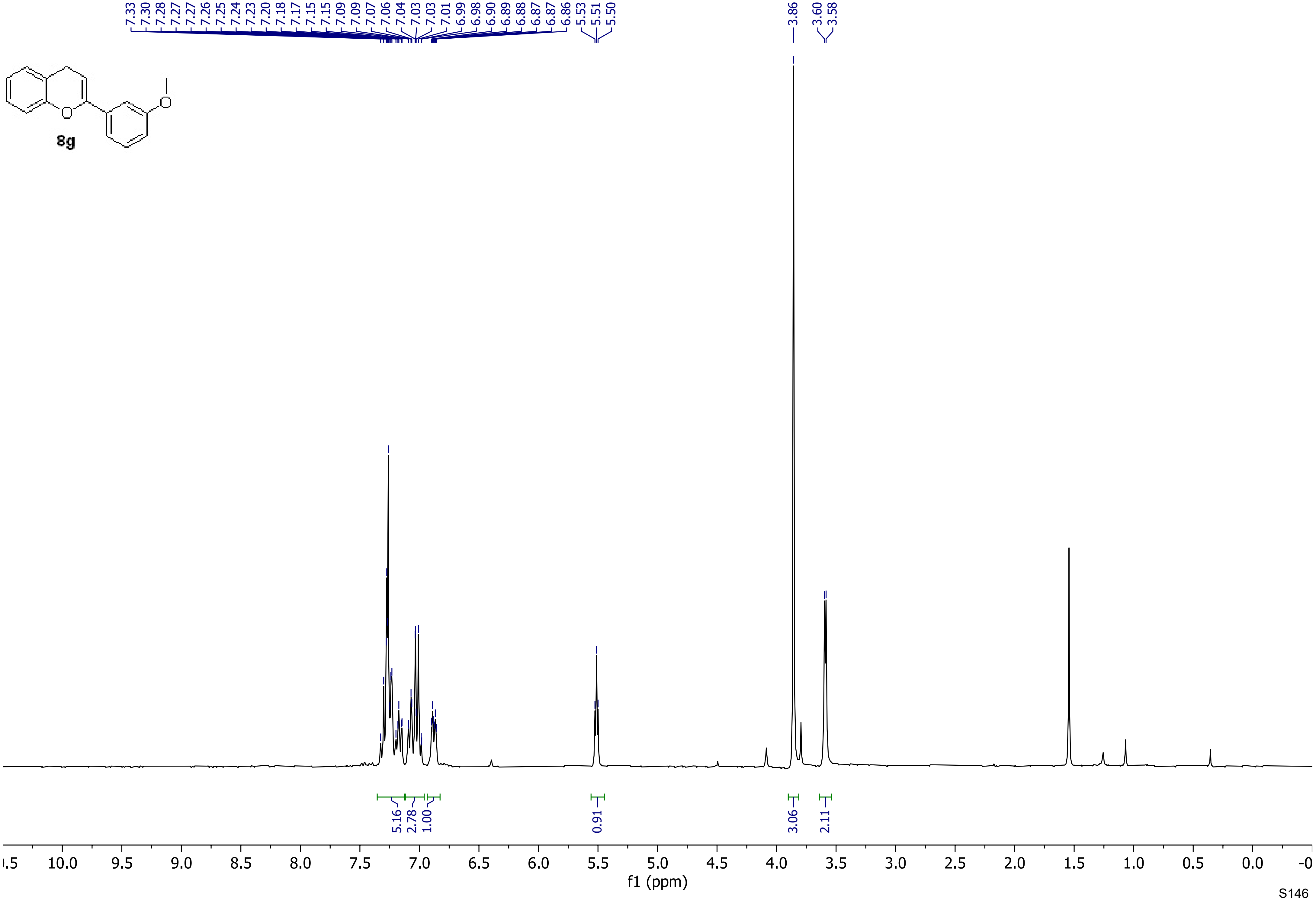


^{13}C NMR (101 MHz, $CDCl_3$)

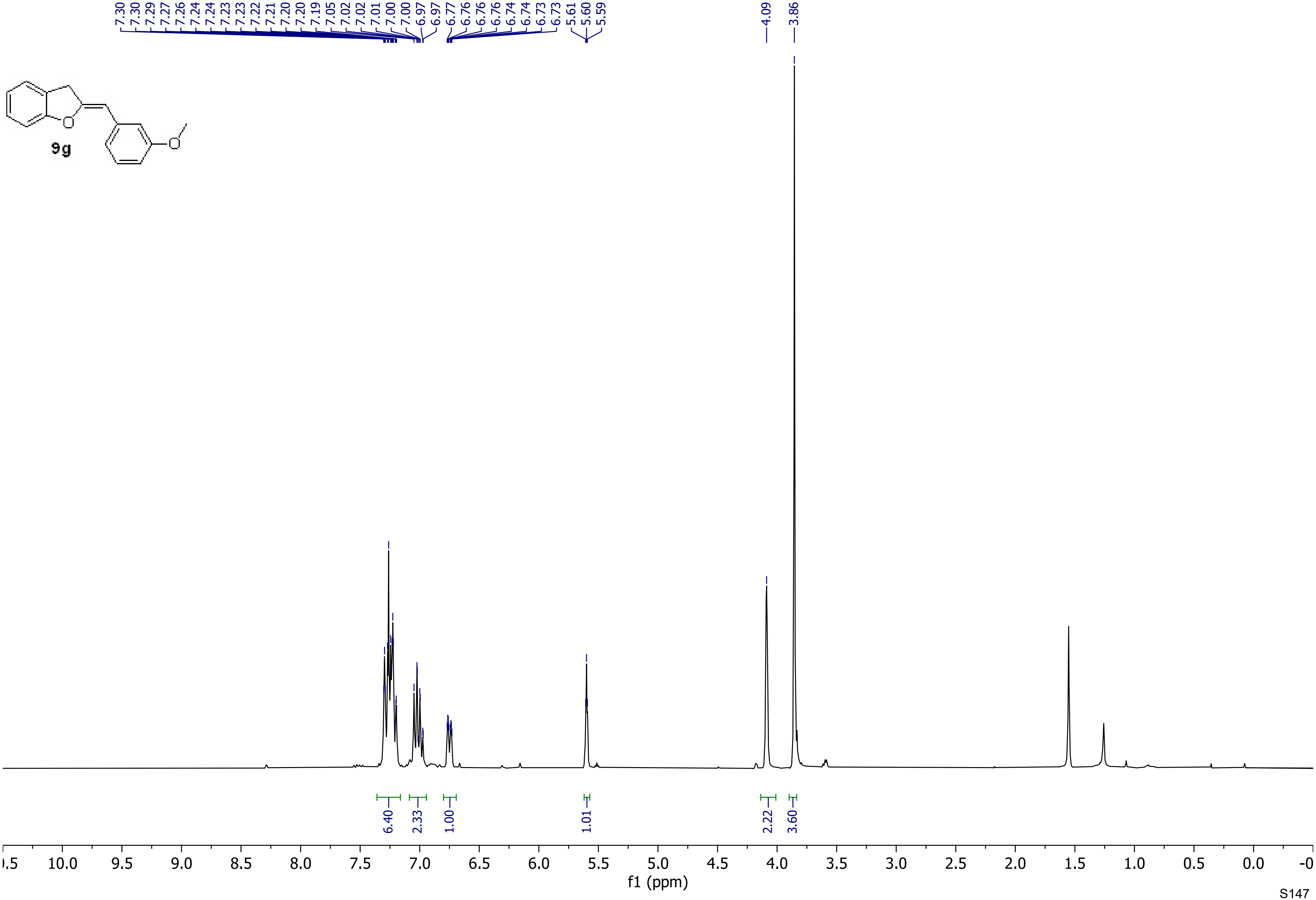


9f

^{1}H NMR (300 MHz, CDCl$_3$)

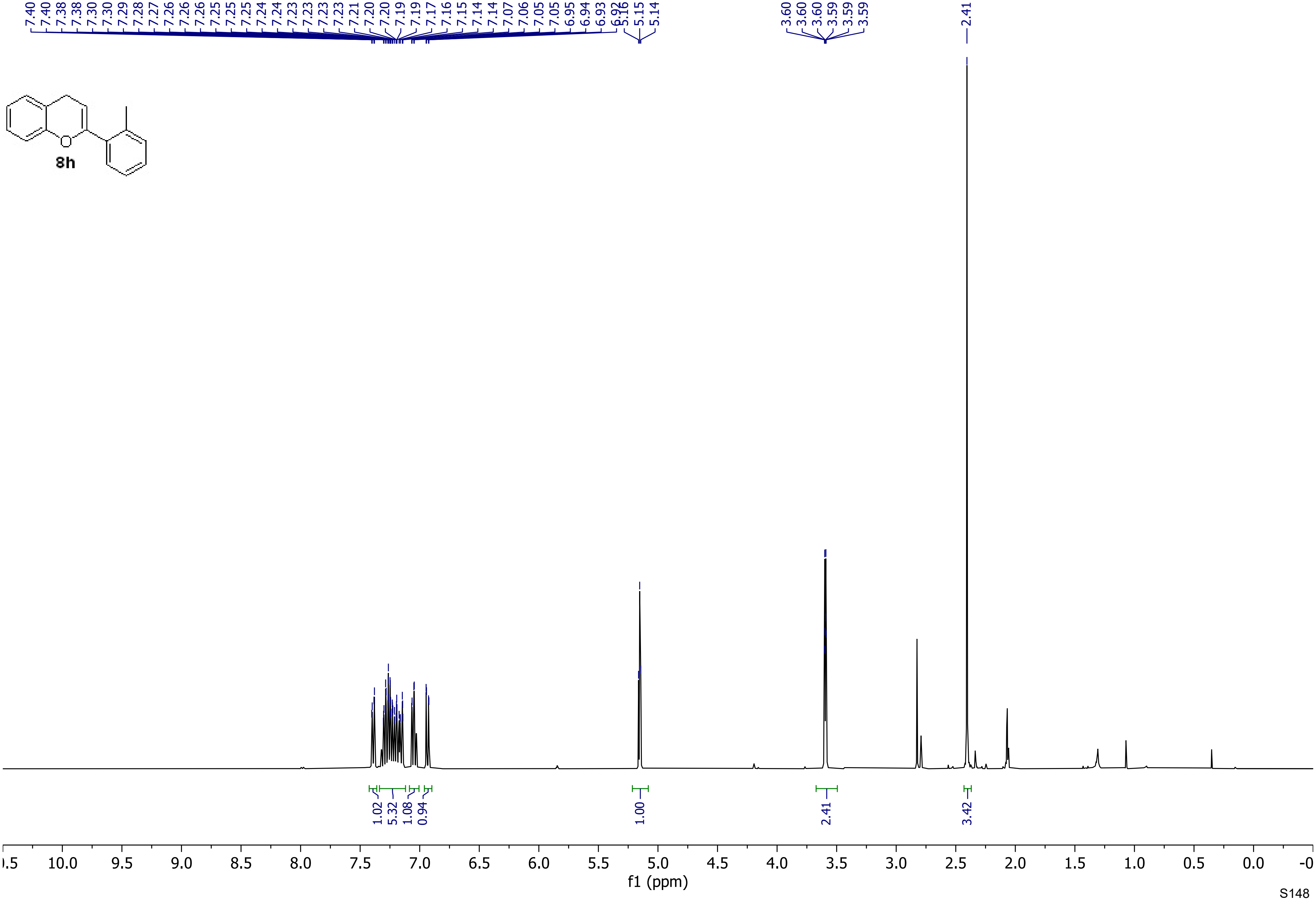


^{1}H NMR (300 MHz,$CDCl_3$)



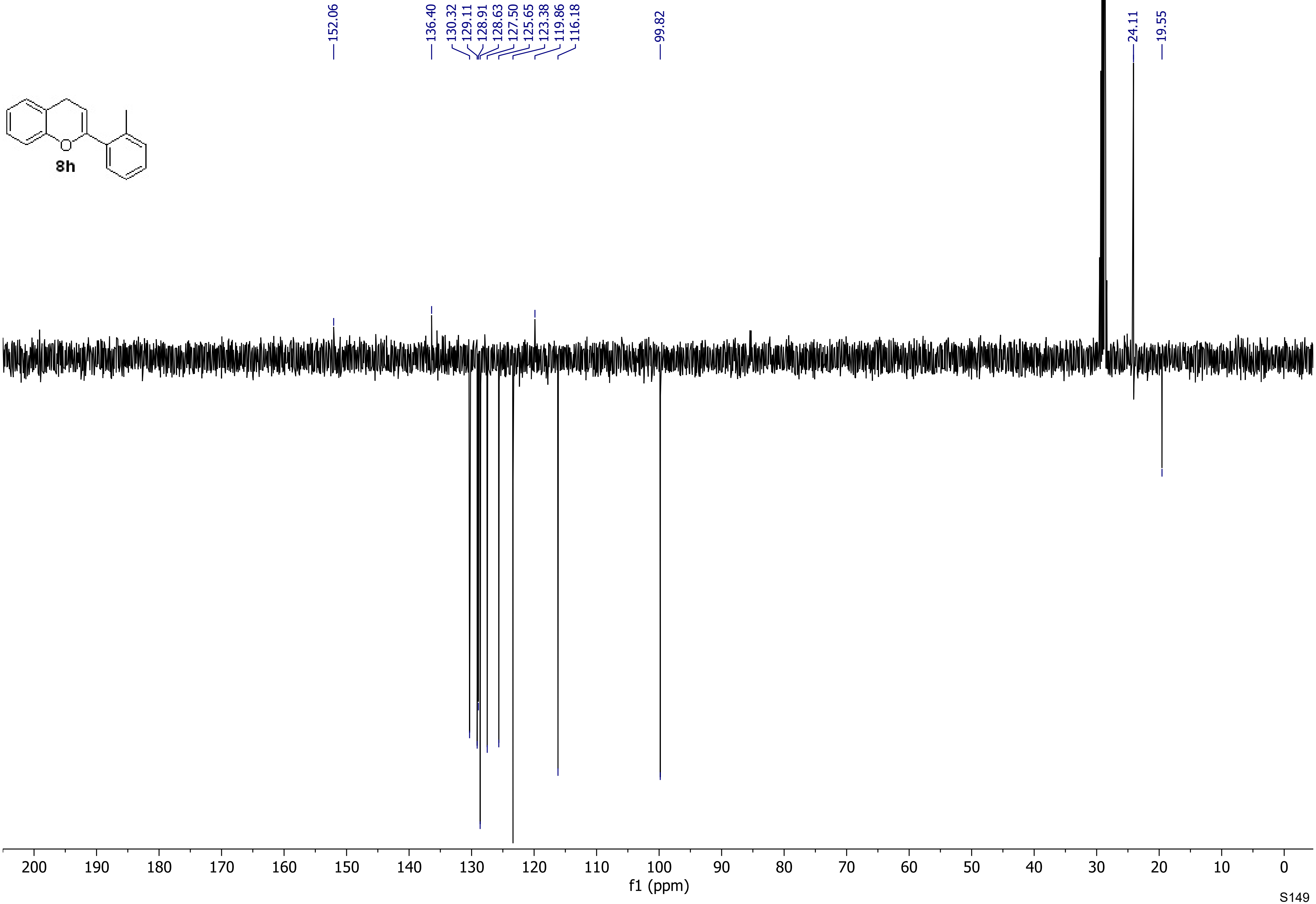
9g

^1H NMR (400 MHz, Acetone-D_6)

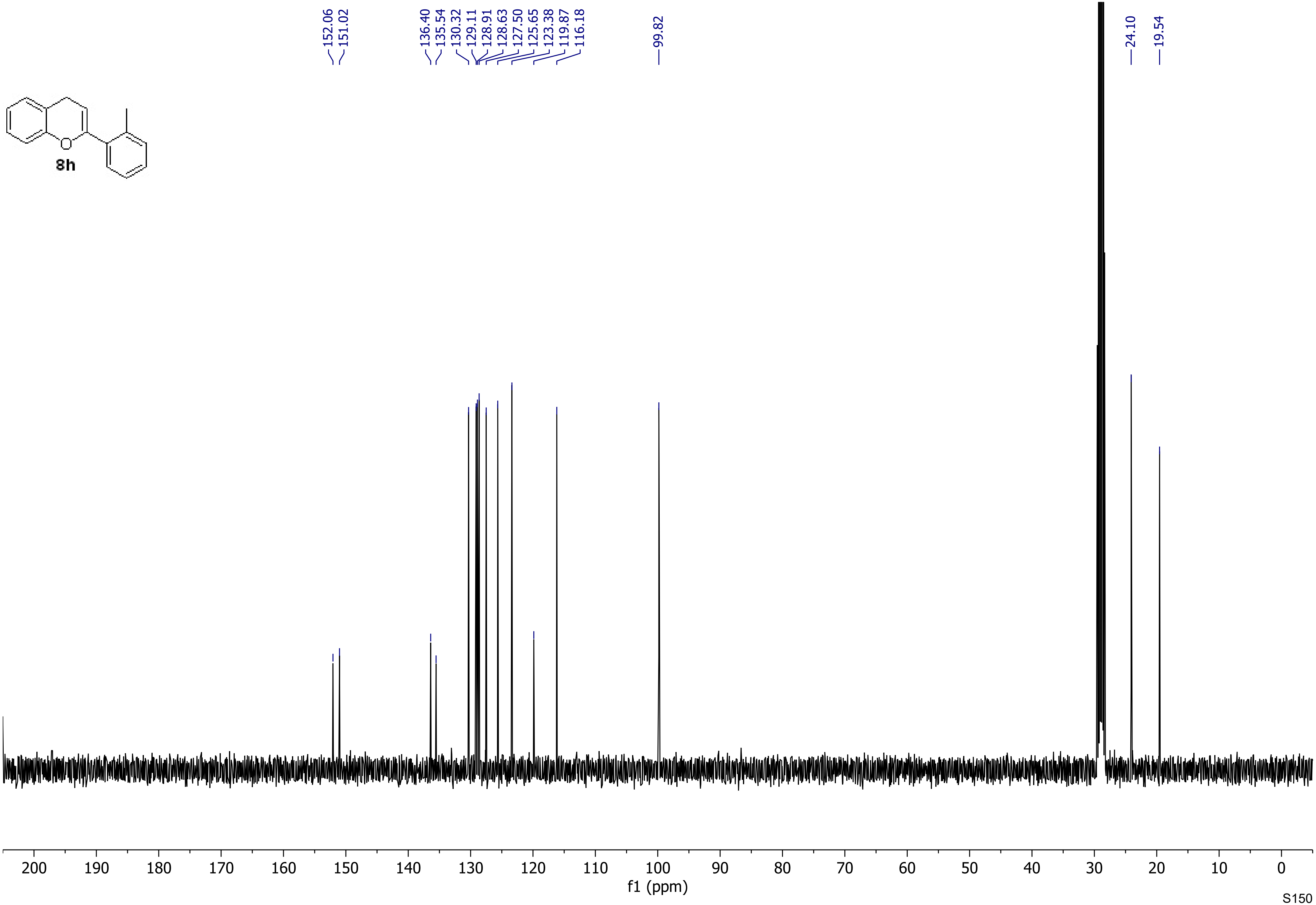


^{13}C NMR (101 MHz, Acetone-D_6)

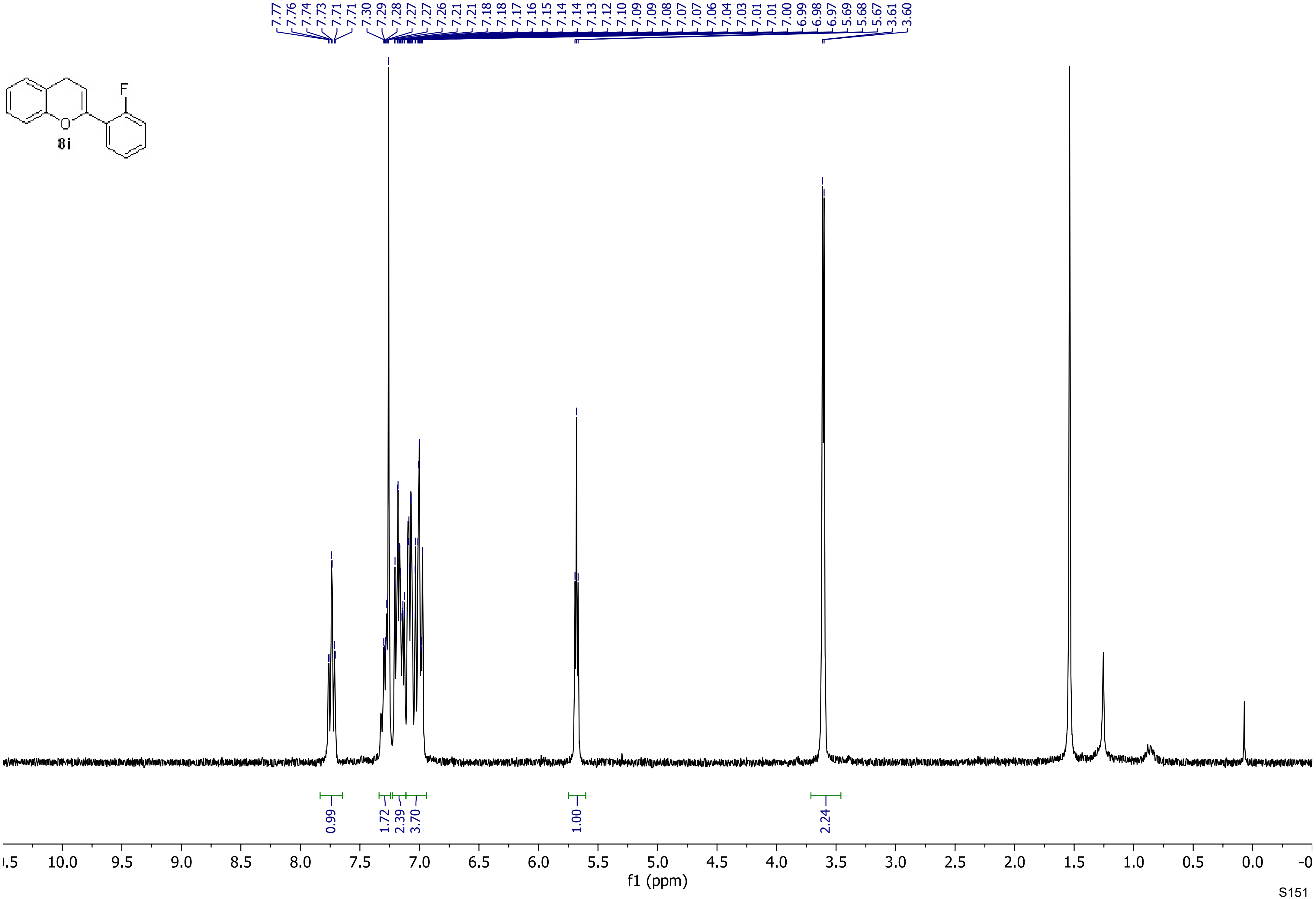
8h



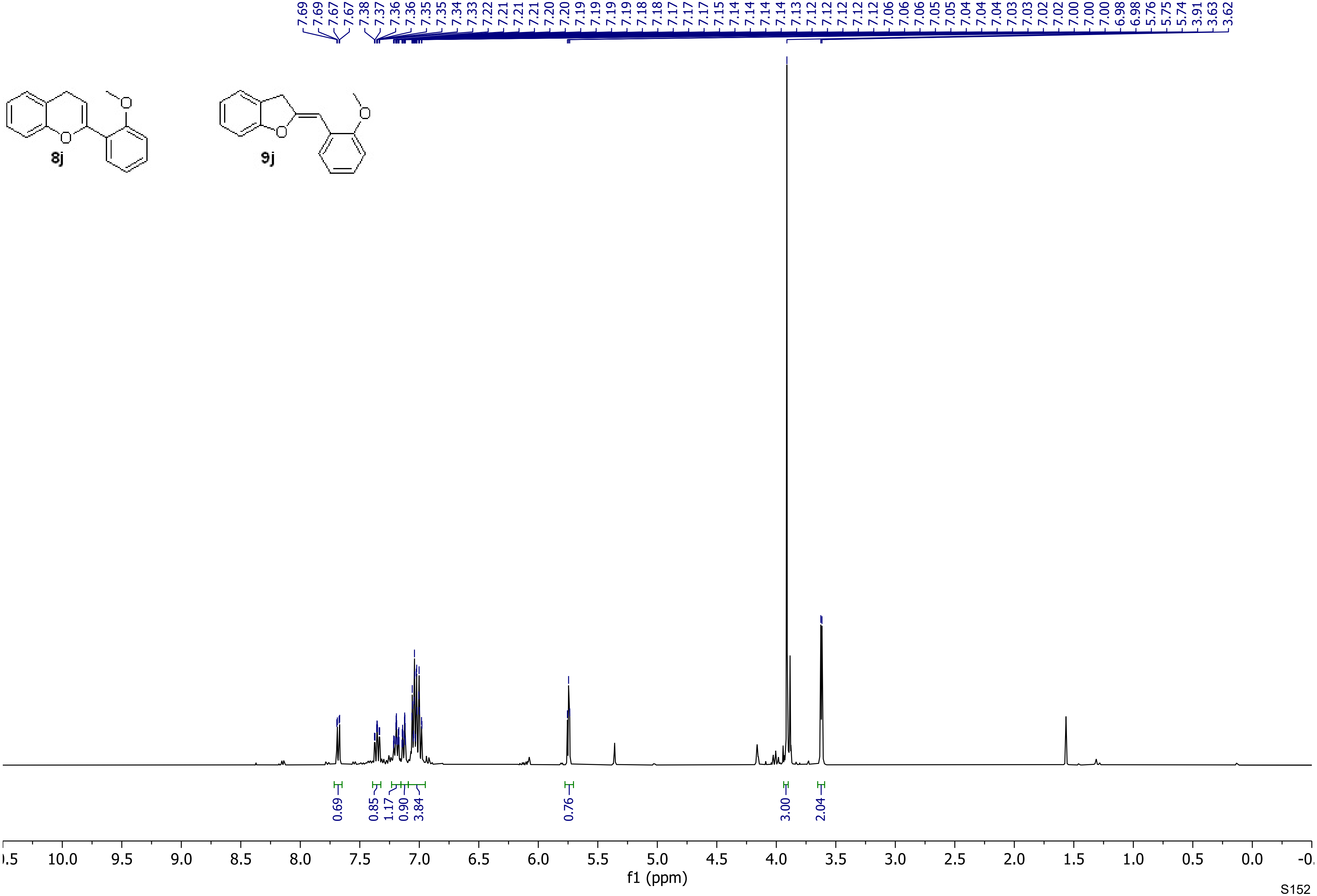
^{13}C NMR (101 MHz, Acetone-D$_6$)



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


8i

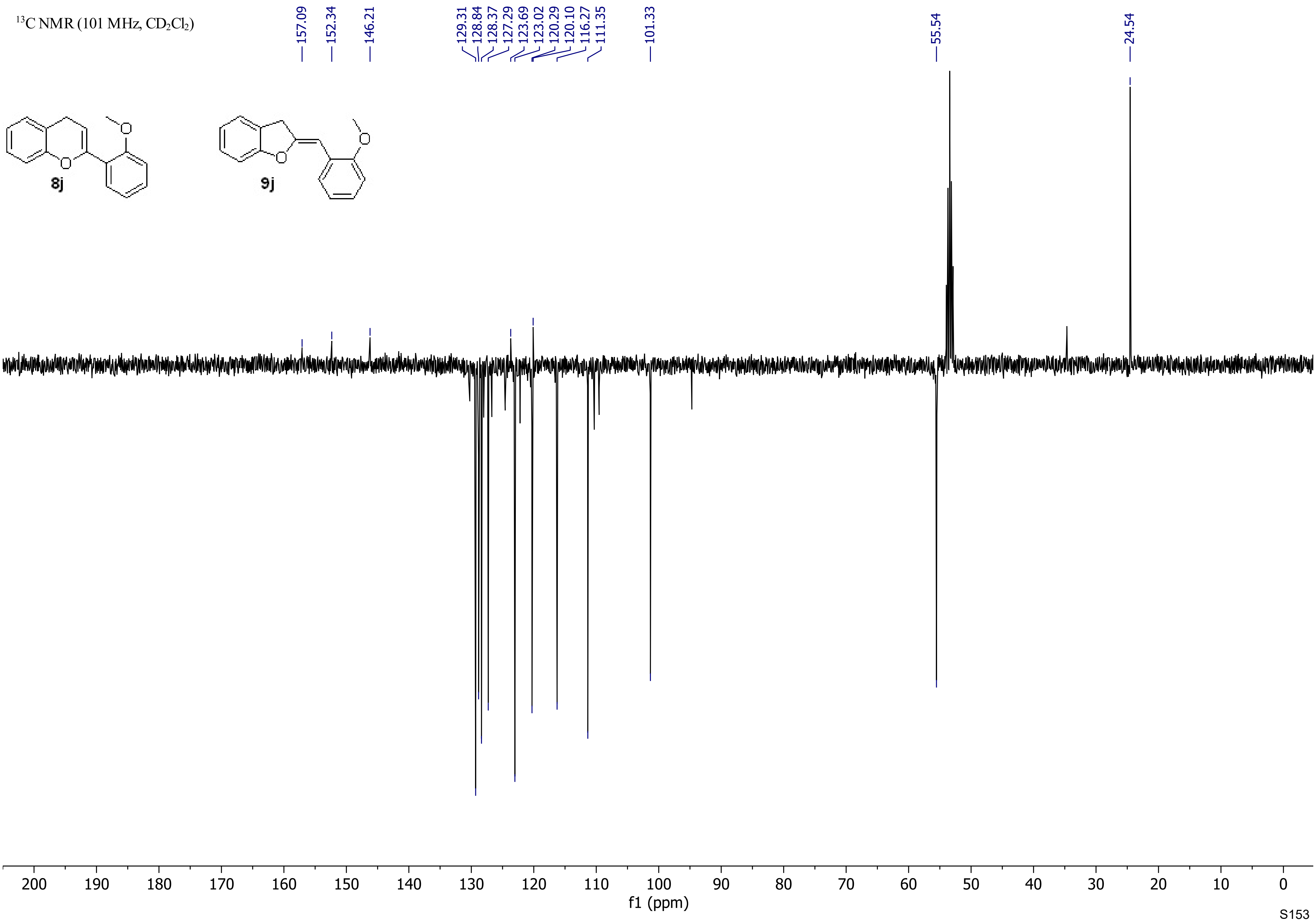
^{1}H NMR (400 MHz, CD_2Cl_2)



8j

9j

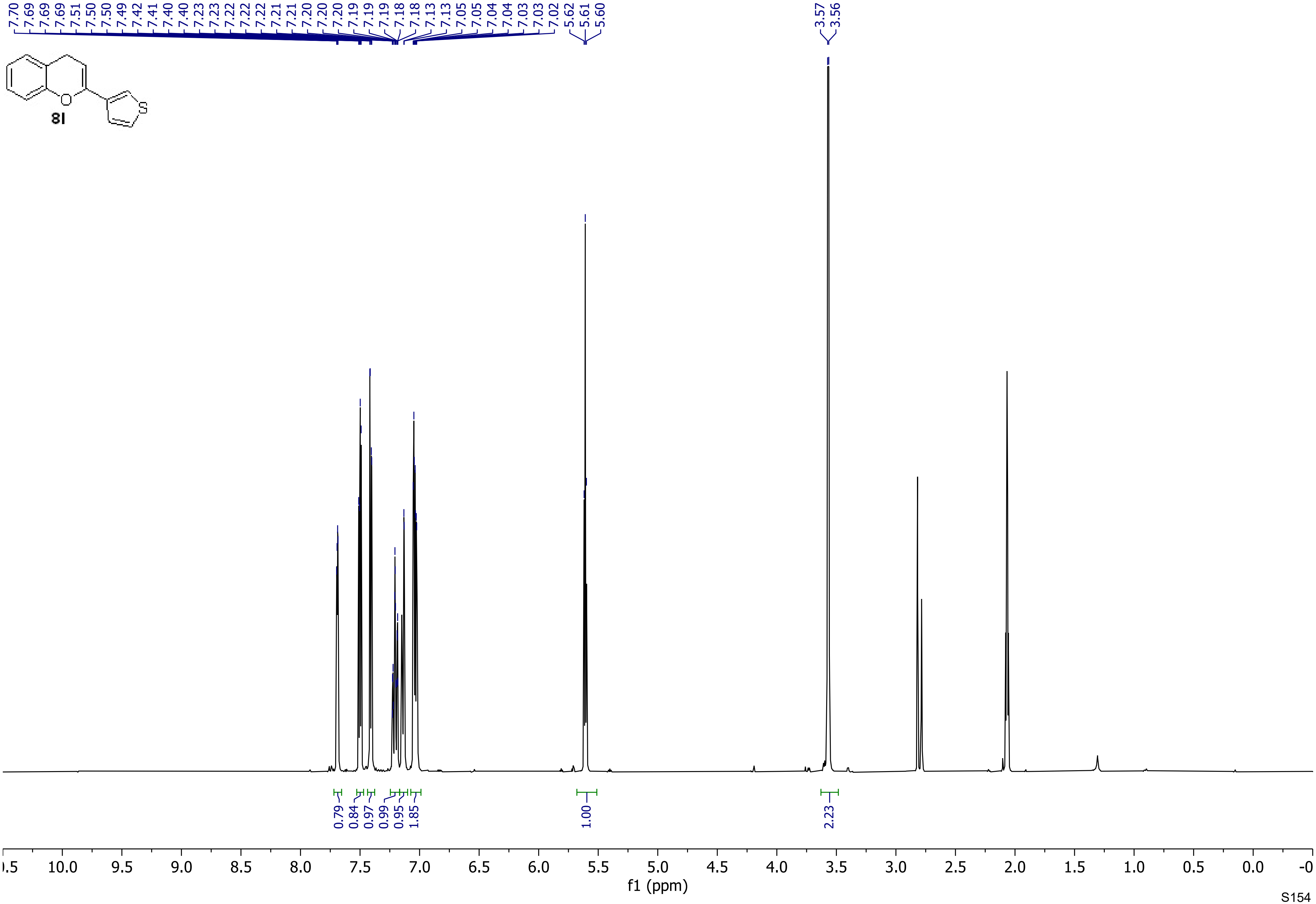
^{13}C NMR (101 MHz, CD_2Cl_2)



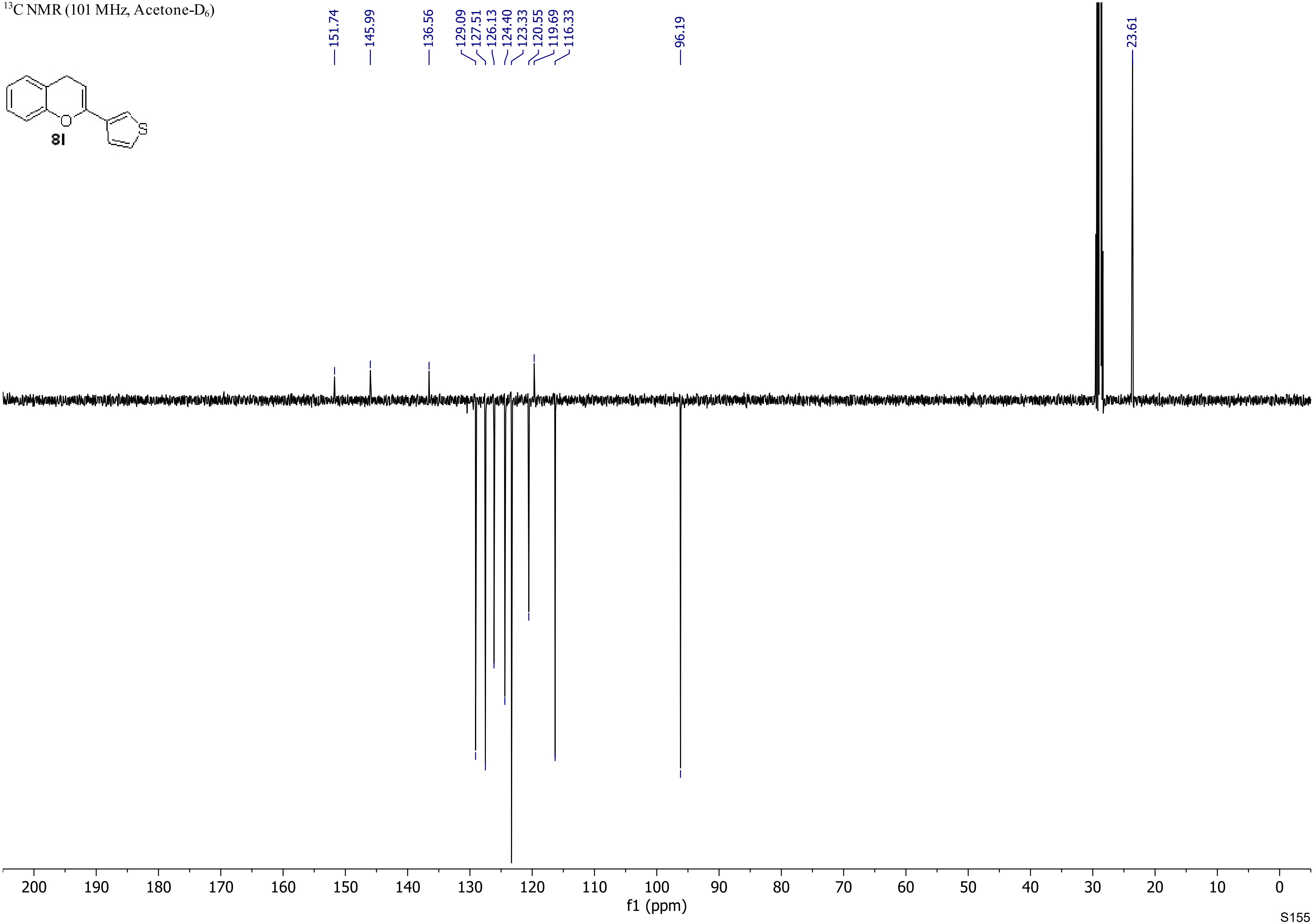
8j

9j

^{1}H NMR (400 MHz, Acetone-D$_6$)

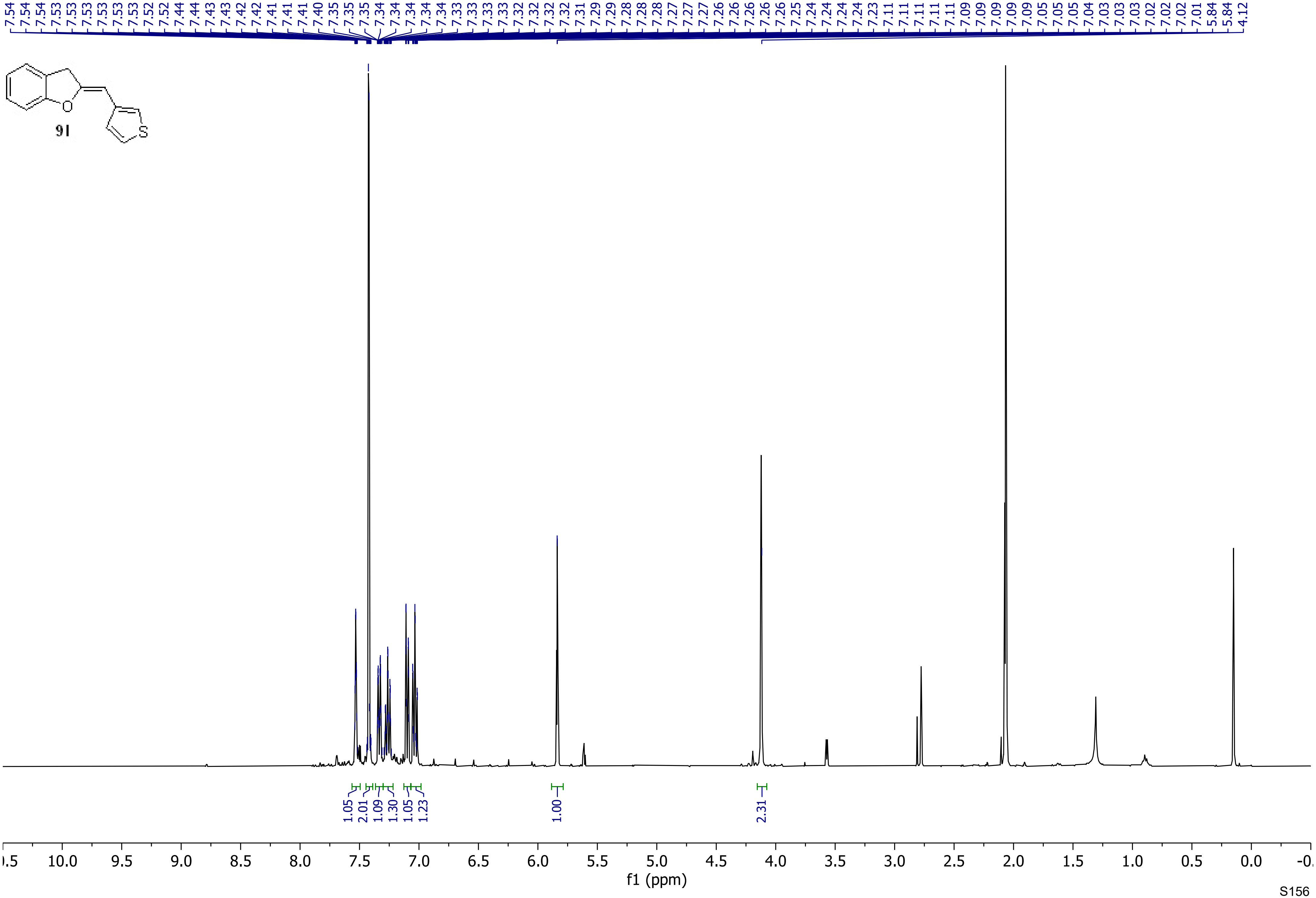

8l

^{13}C NMR (101 MHz, Acetone-D_6)



8l

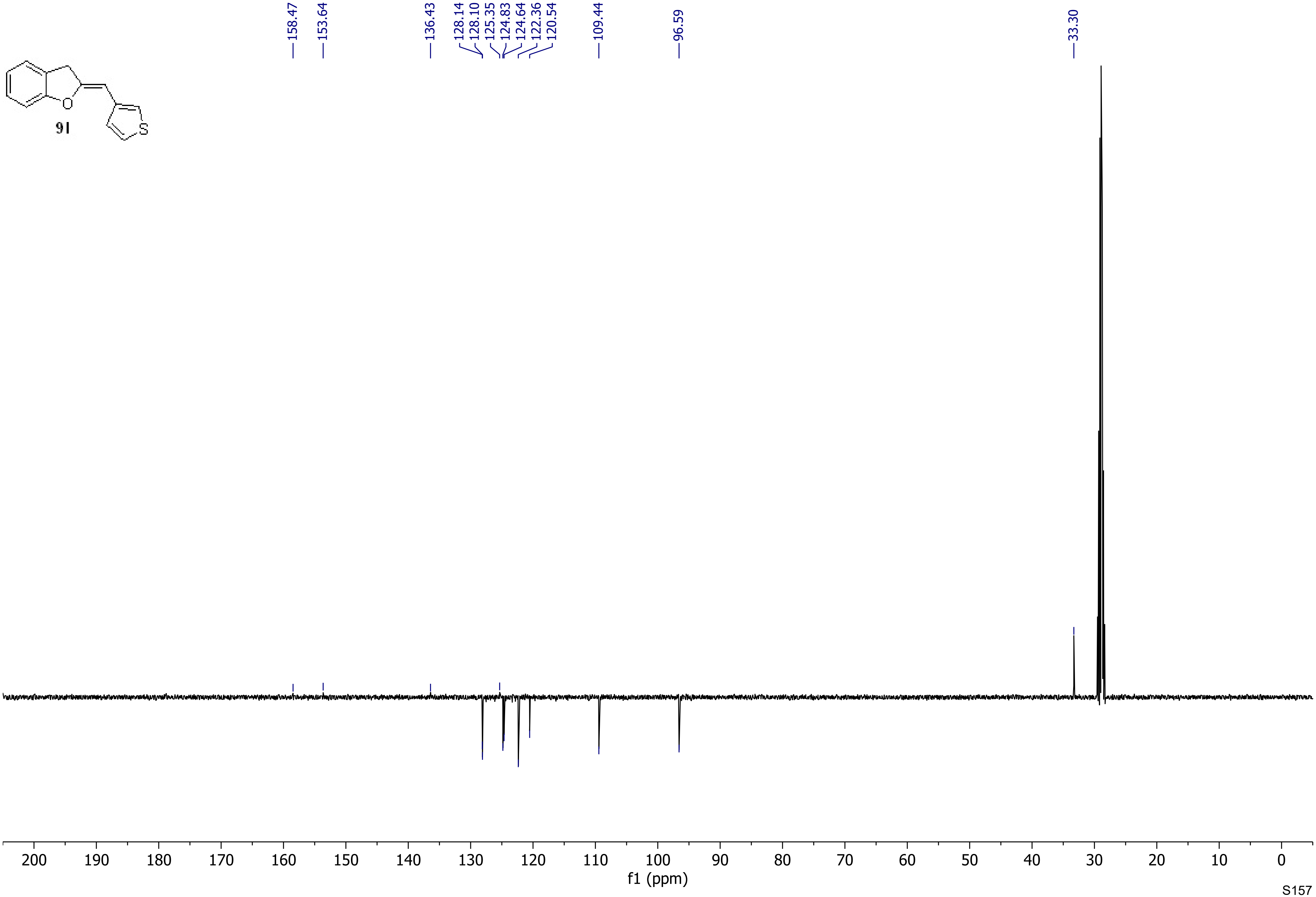
^{1}H NMR (400 MHz, Acetone-D$_6$)



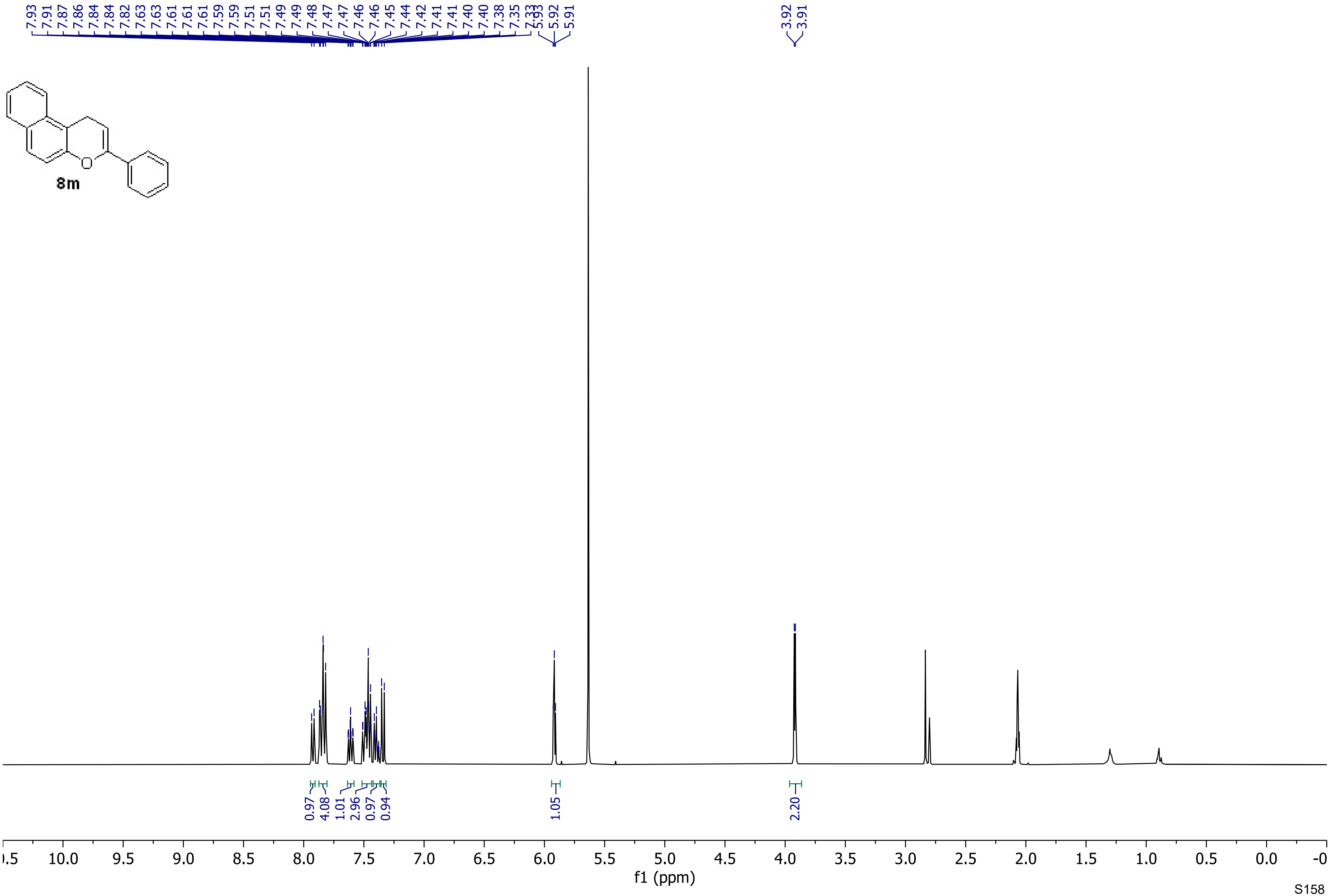
9l

^{13}C NMR (101 MHz, Acetone-D_6)

9l



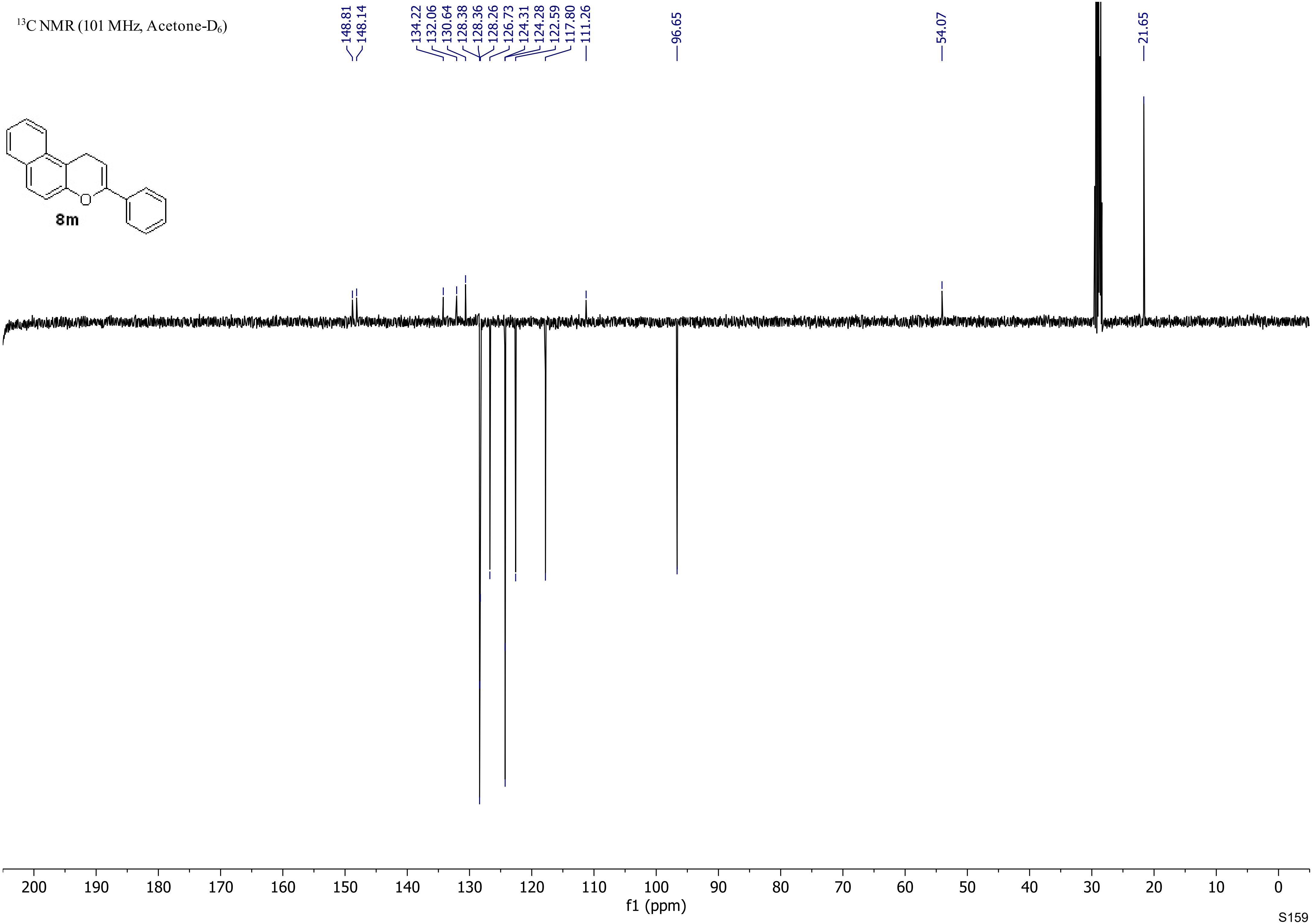
^1H NMR (400 MHz, Acetone-D_6)



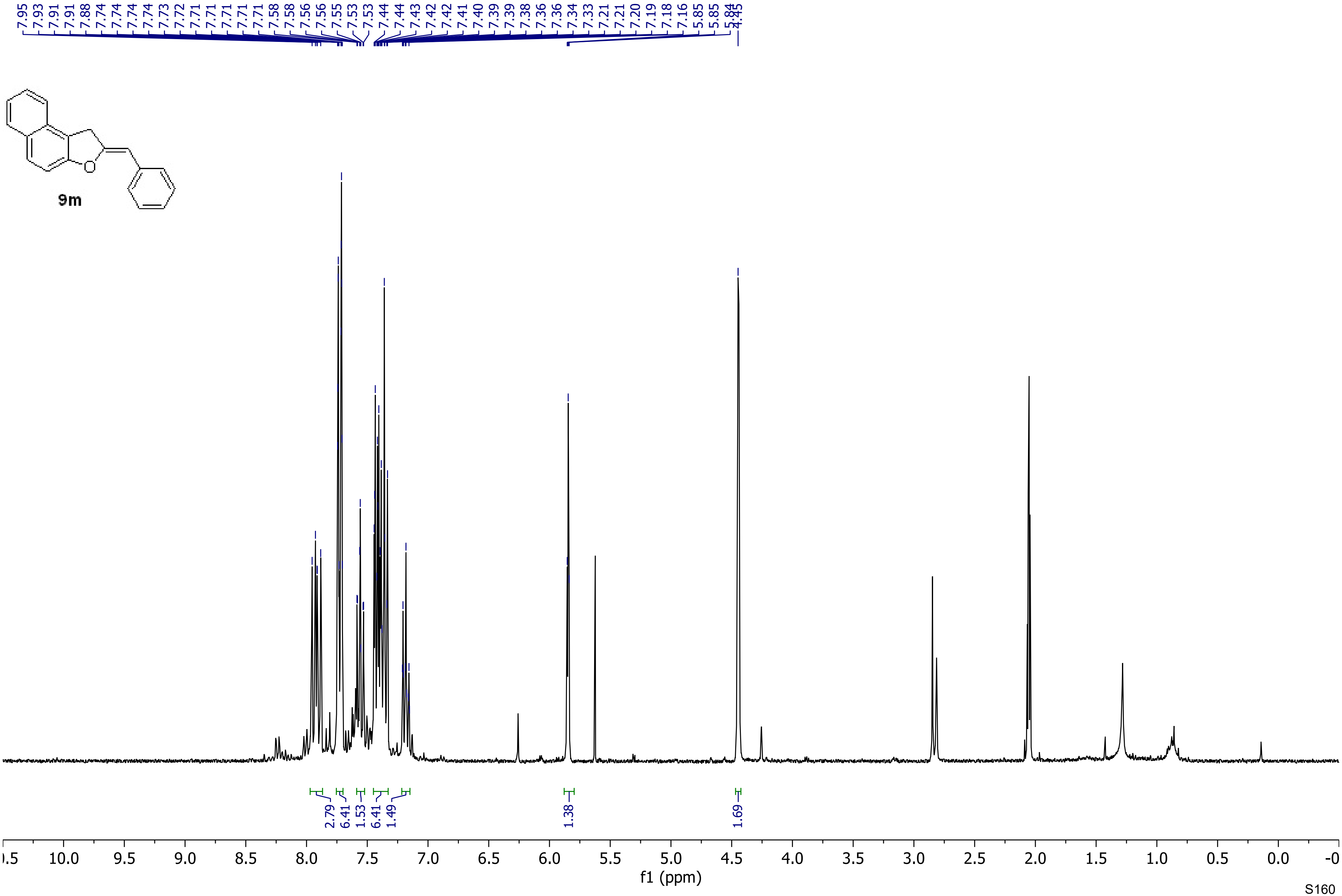
8m

^{13}C NMR (101 MHz, Acetone-D_6)

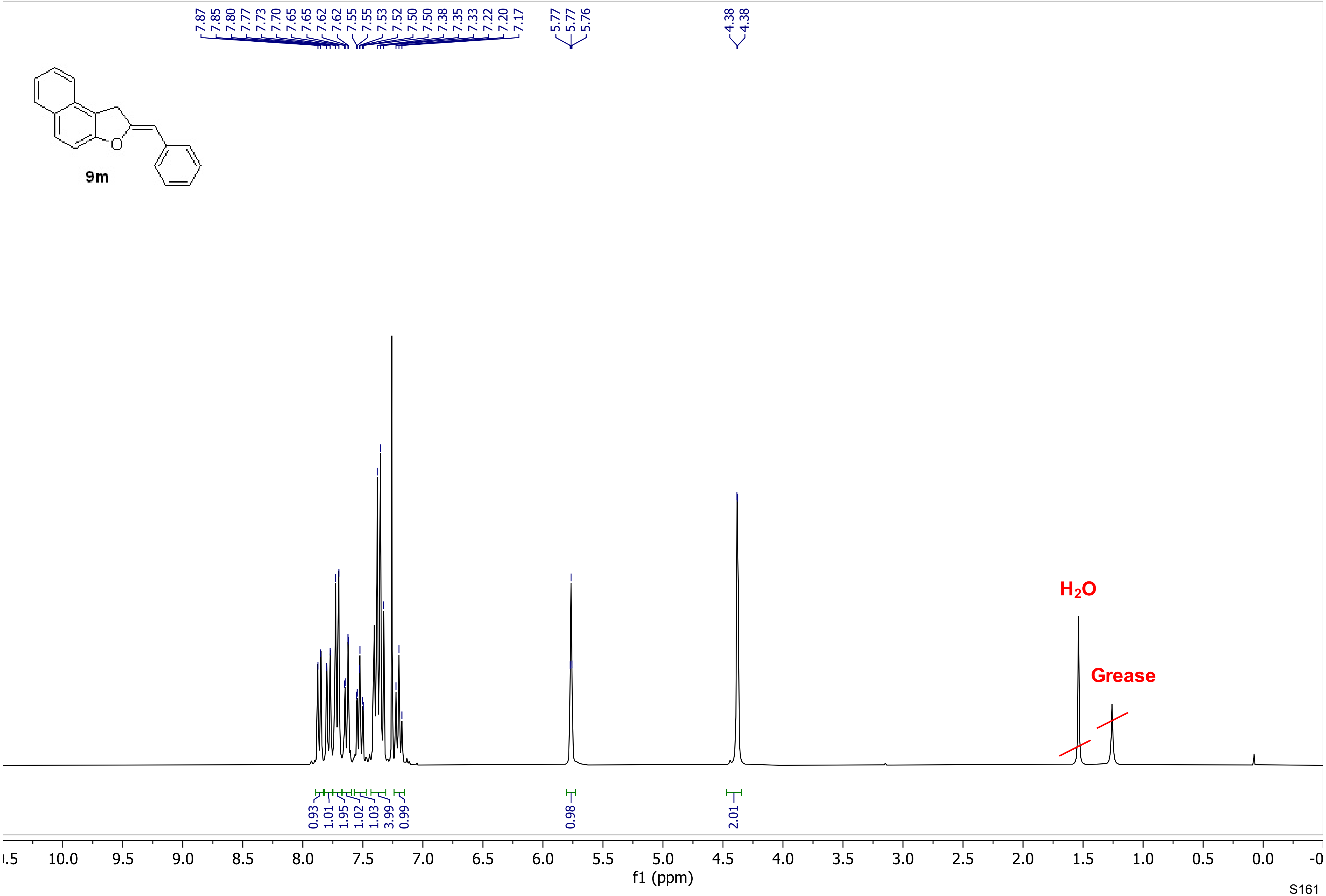
8m



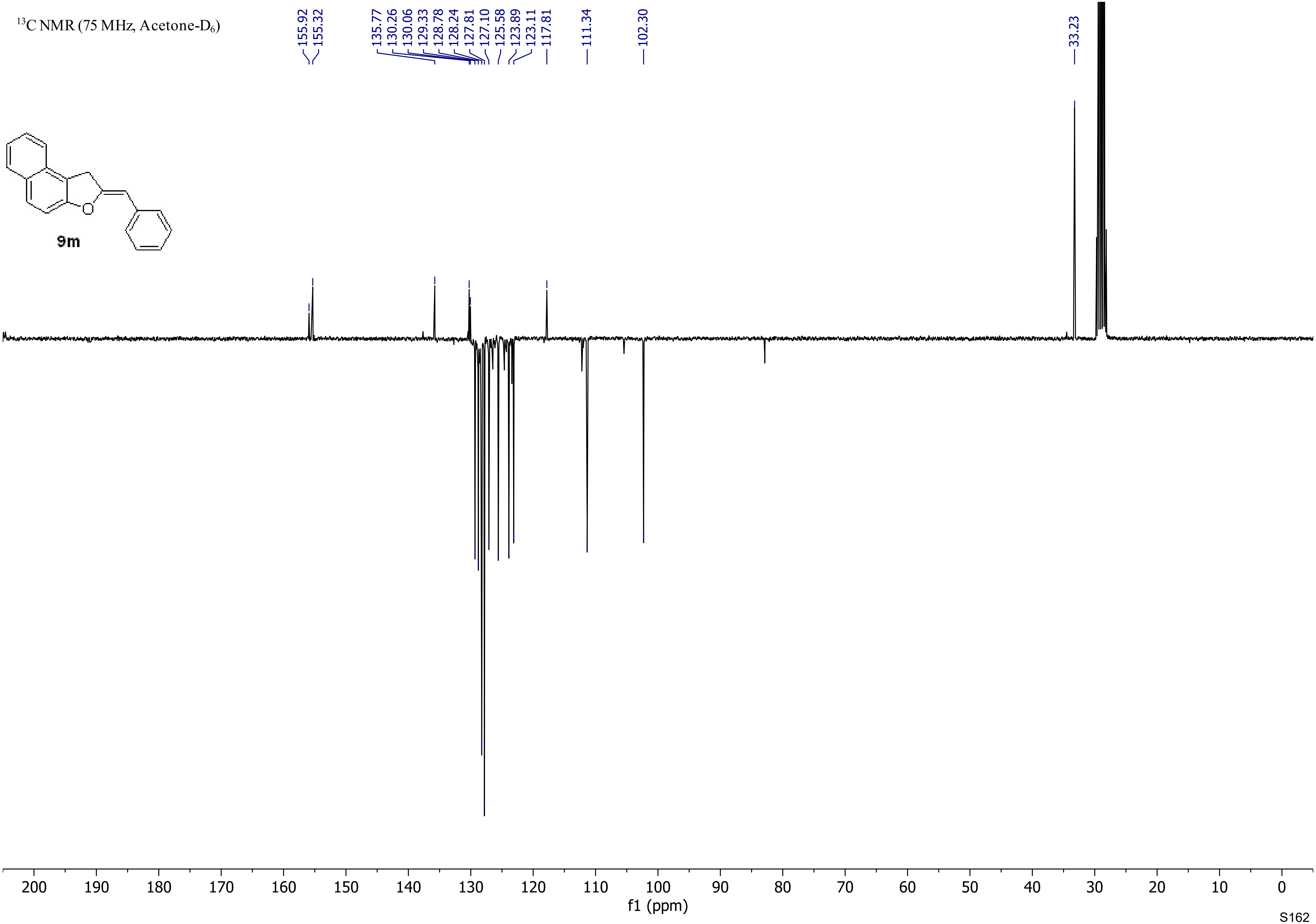
^{1}H NMR (300 MHz, Acetone-D$_6$)



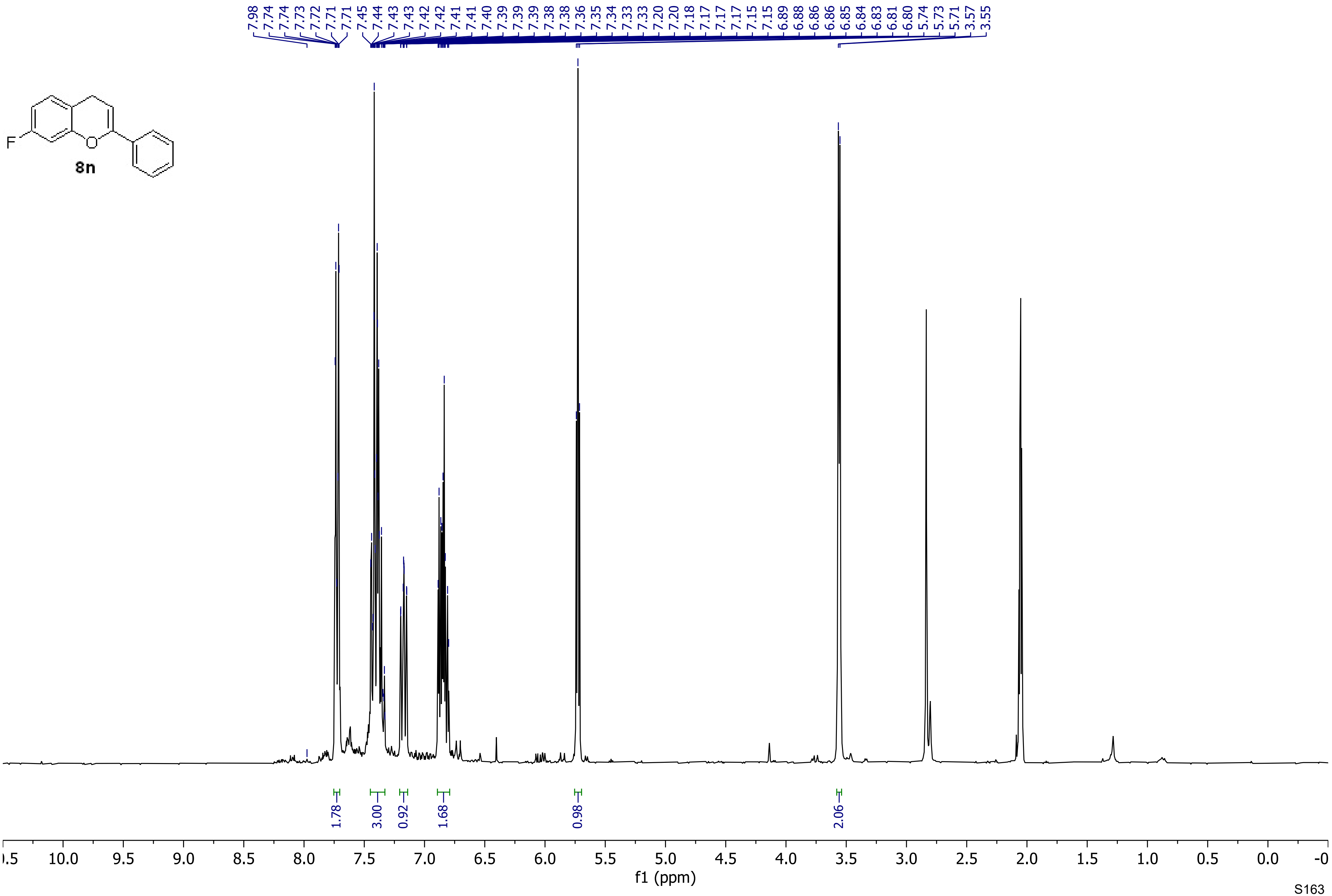
^{1}H NMR (300 MHz, $CDCl_3$)



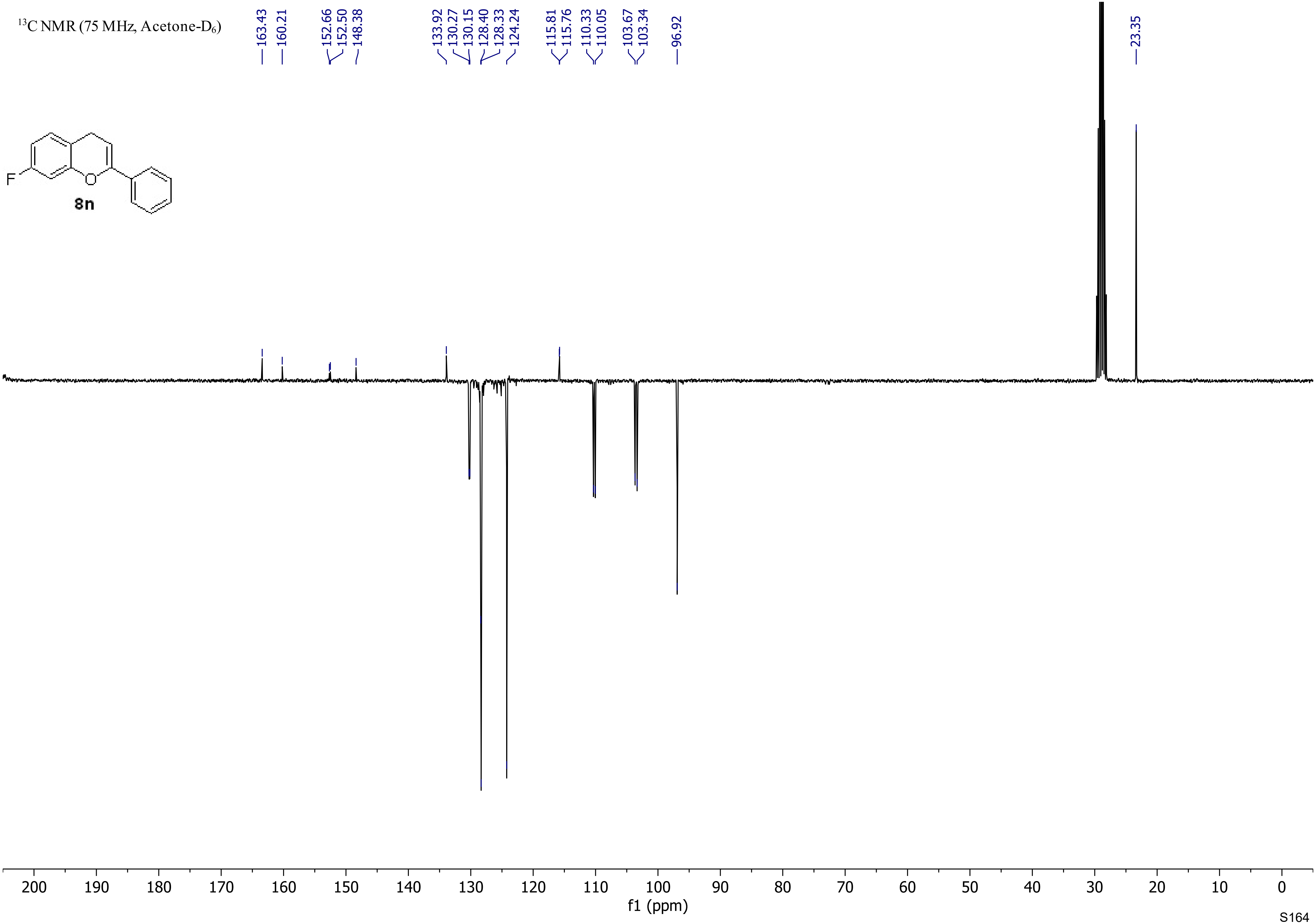
^{13}C NMR (75 MHz, Acetone-D$_6$)



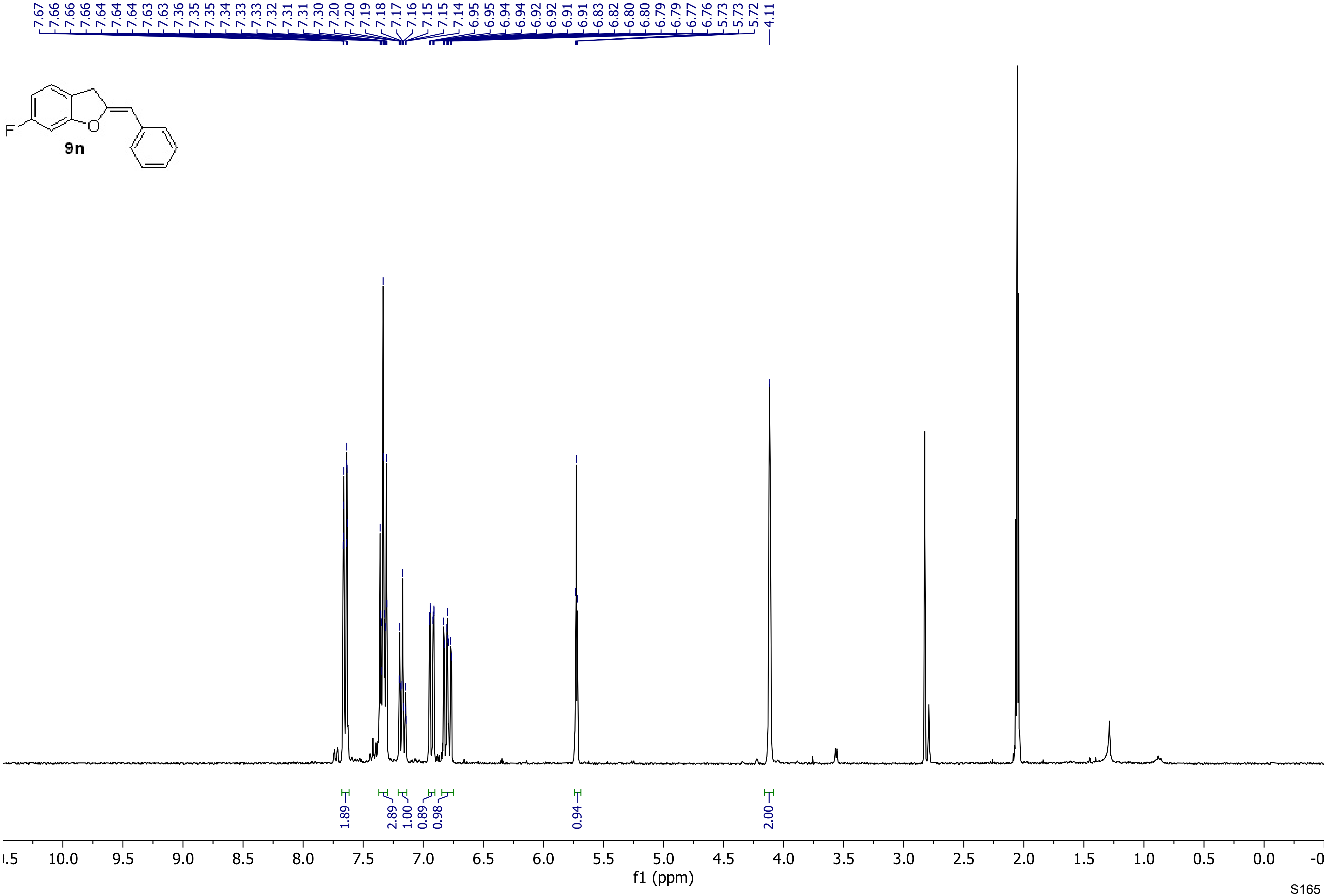
^{1}H NMR (300 MHz, Acetone-D_6)



^{13}C NMR (75 MHz, Acetone-D$_6$)

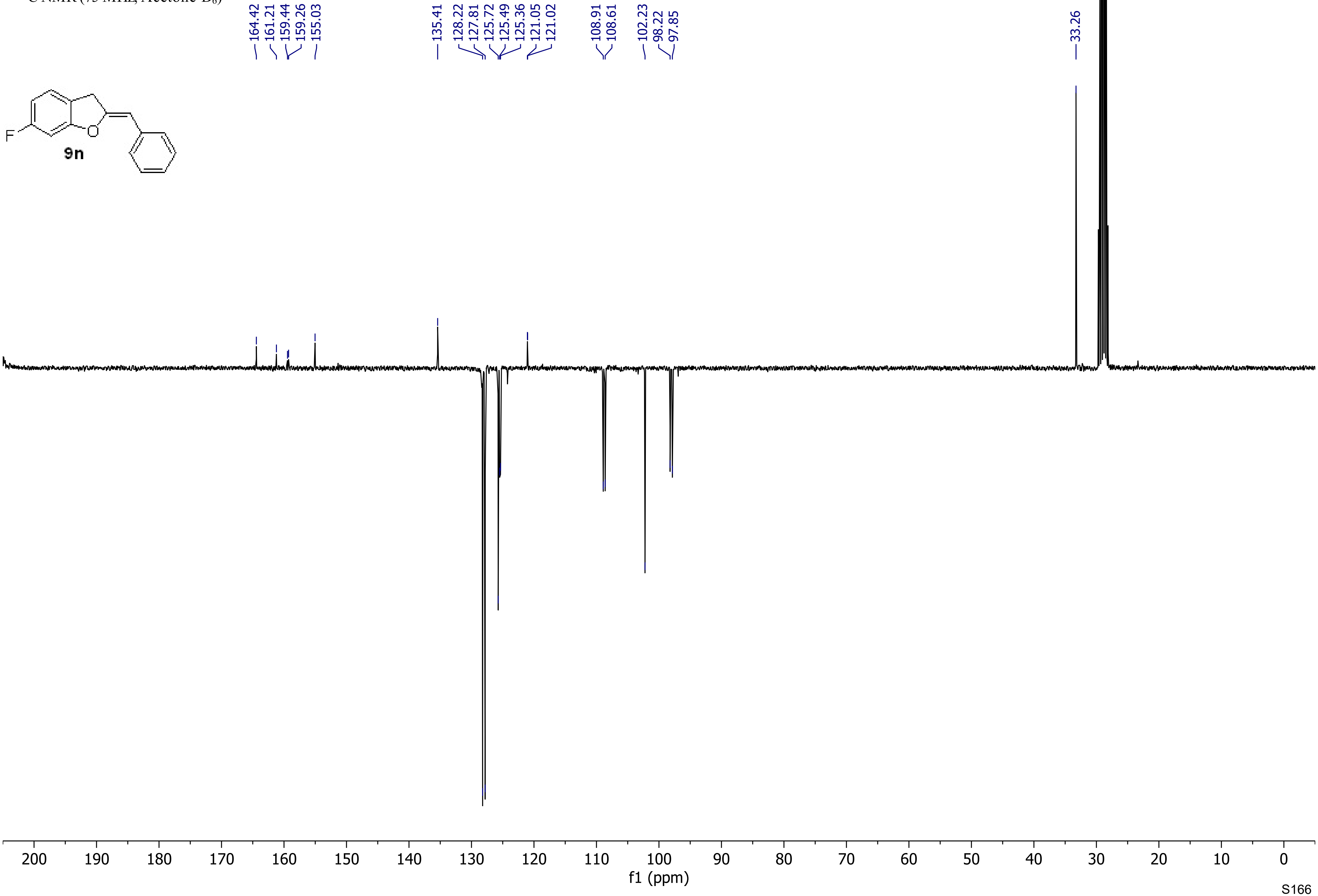


^{1}H NMR (300 MHz, Acetone-D$_6$)



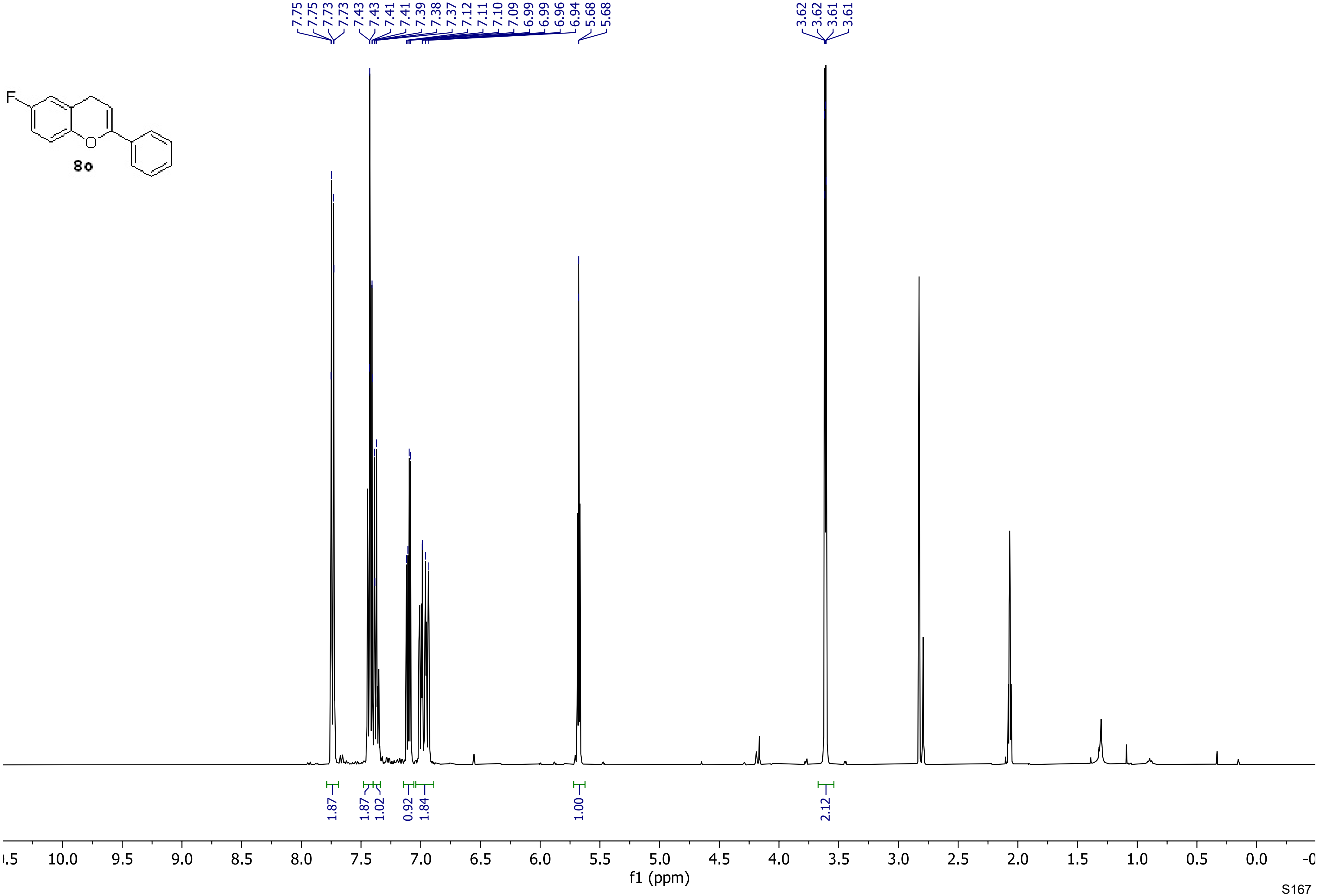
9n

^{13}C NMR (75 MHz, Acetone-D$_6$)

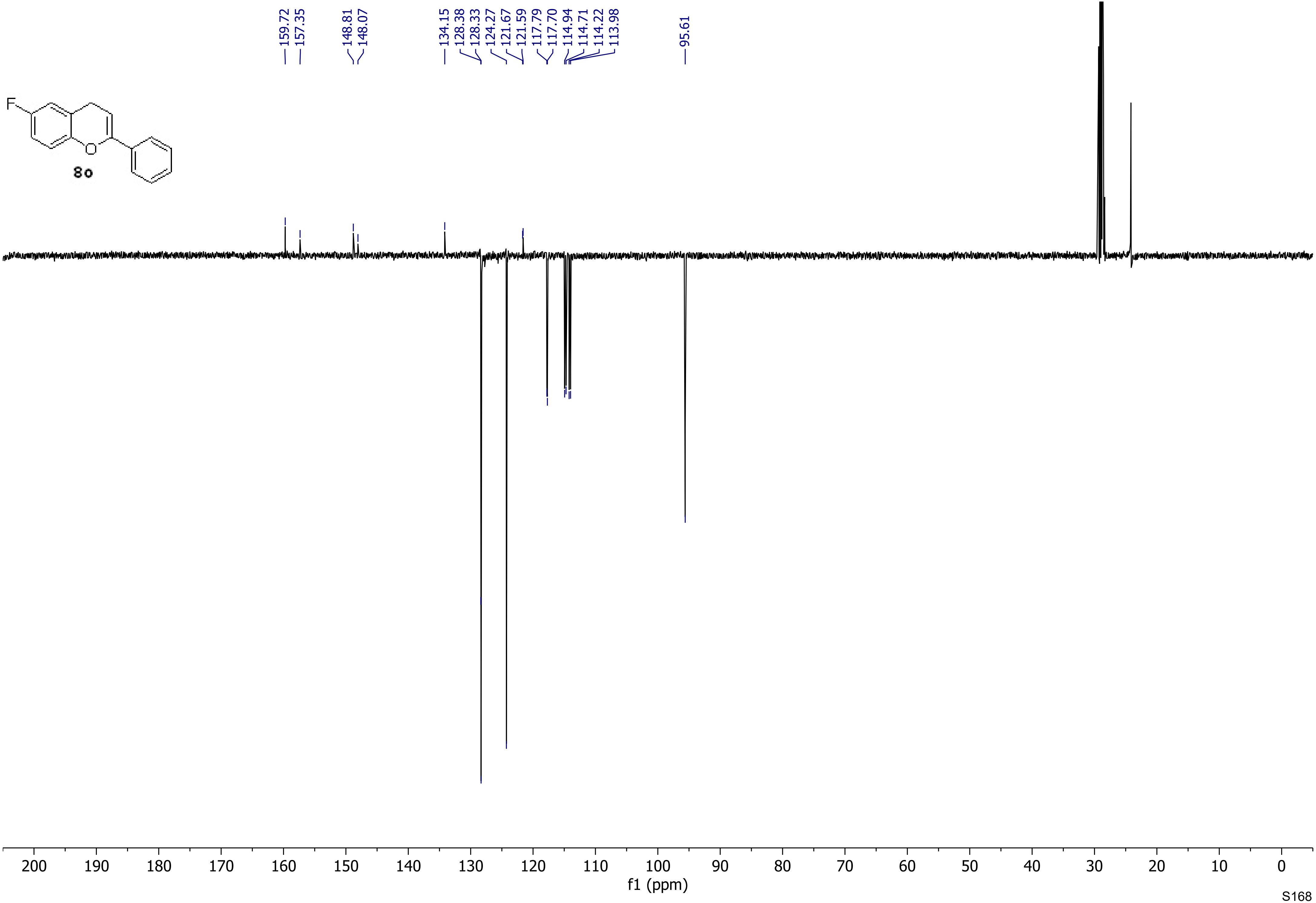


9n

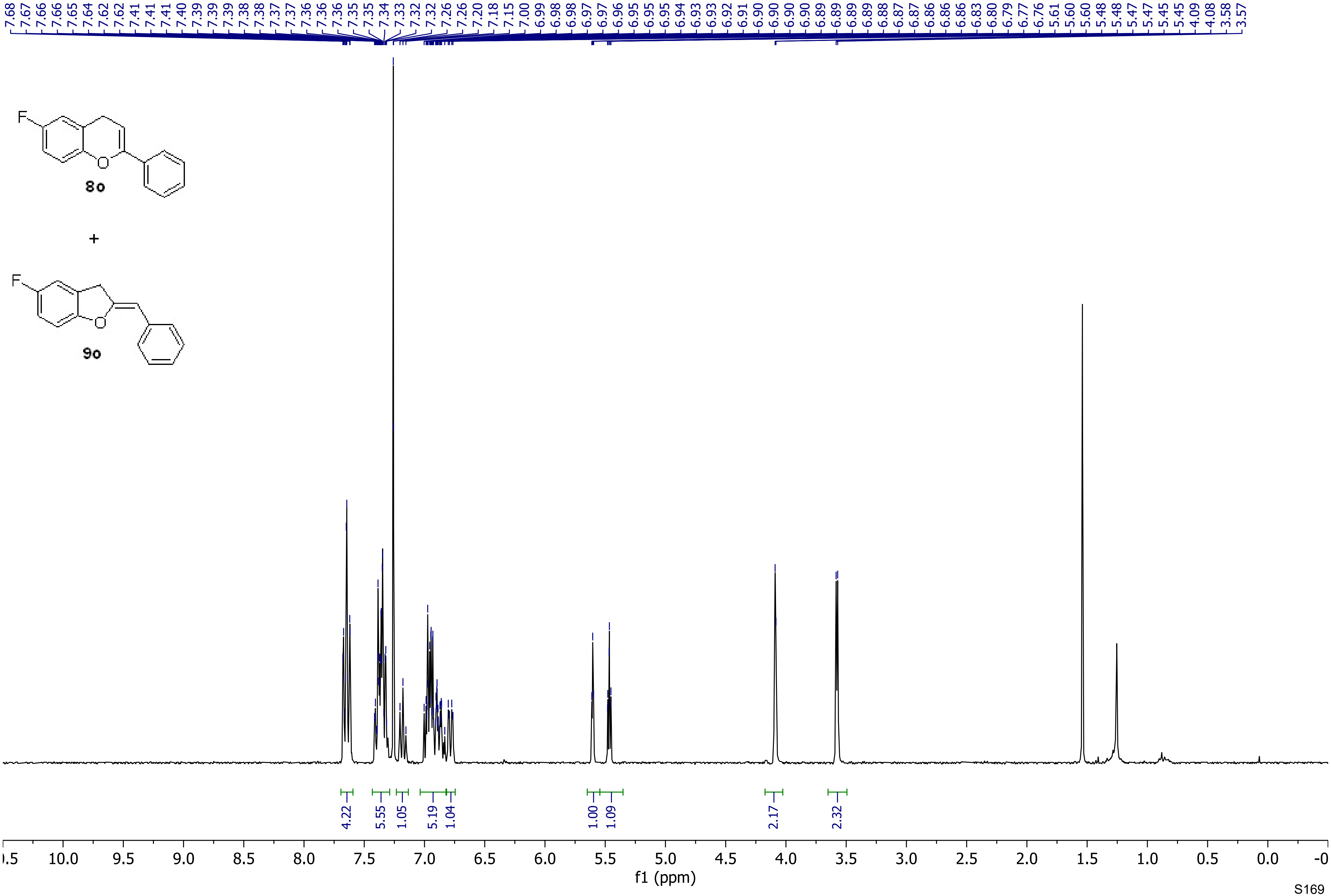
^{1}H NMR (400 MHz, Acetone-D$_6$)



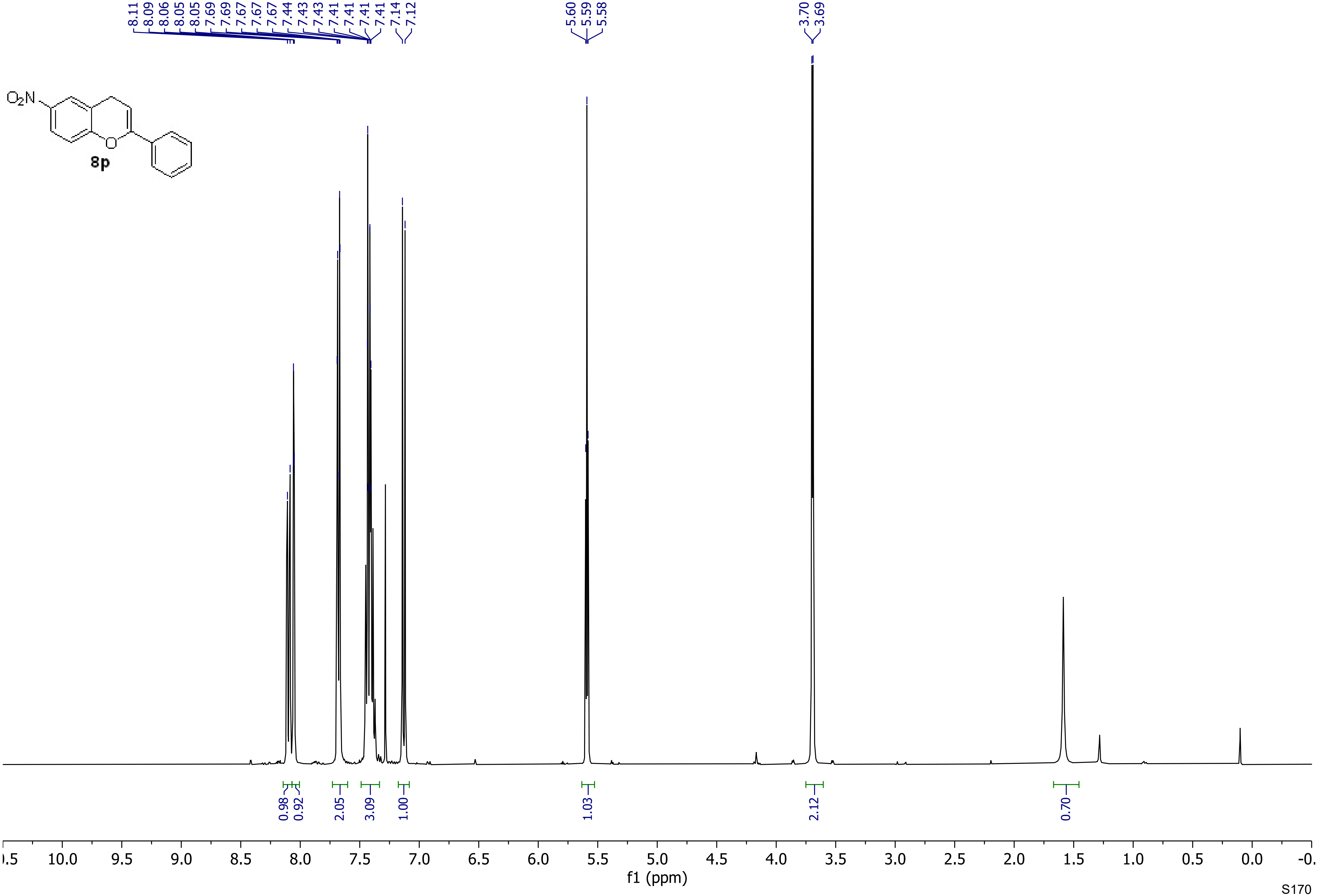
^{13}C NMR (101 MHz, Acetone-D$_6$)



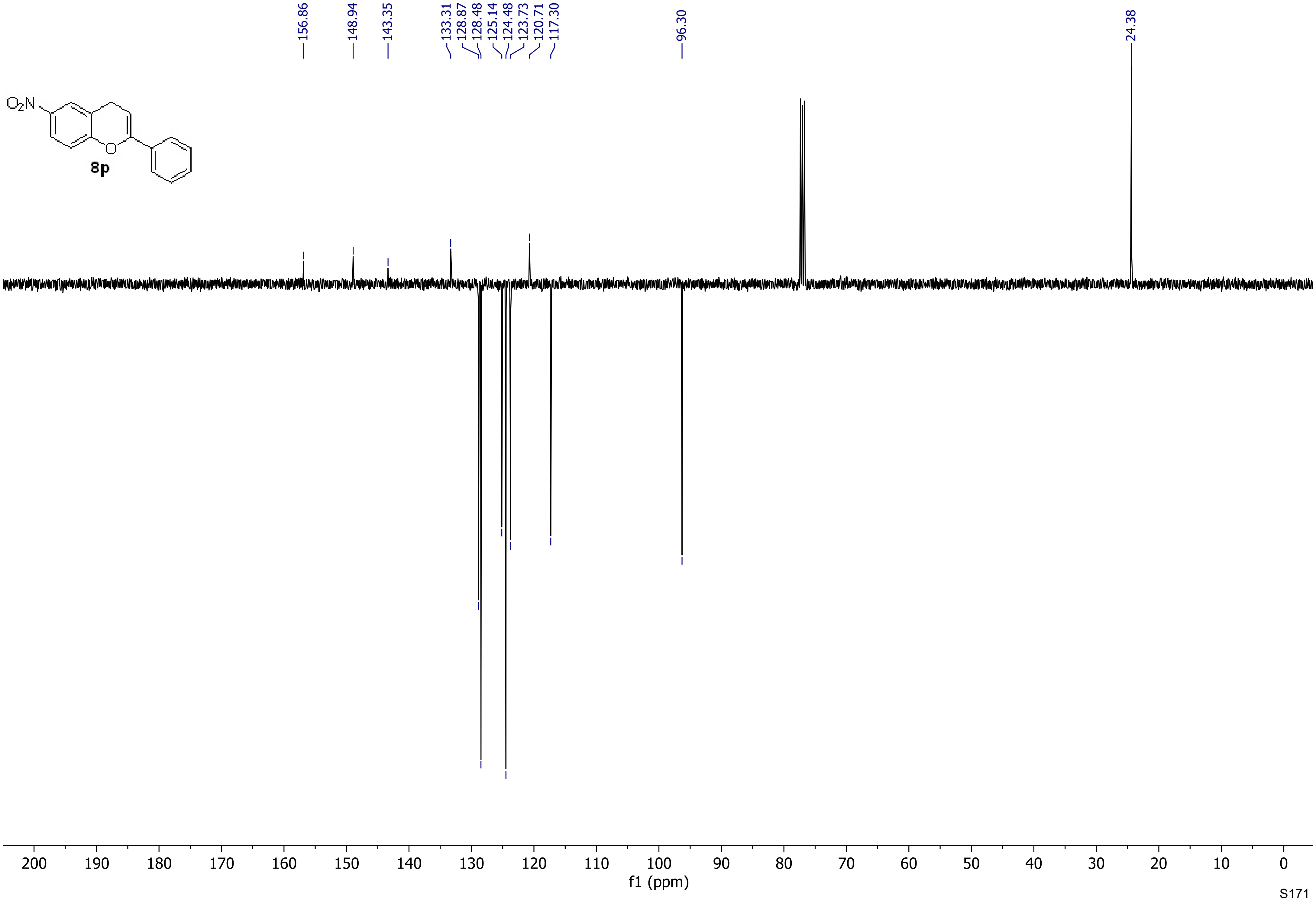
^{1}H NMR (300 MHz, cdcl$_3$)



^{1}H NMR (400 MHz, $CDCl_3$)

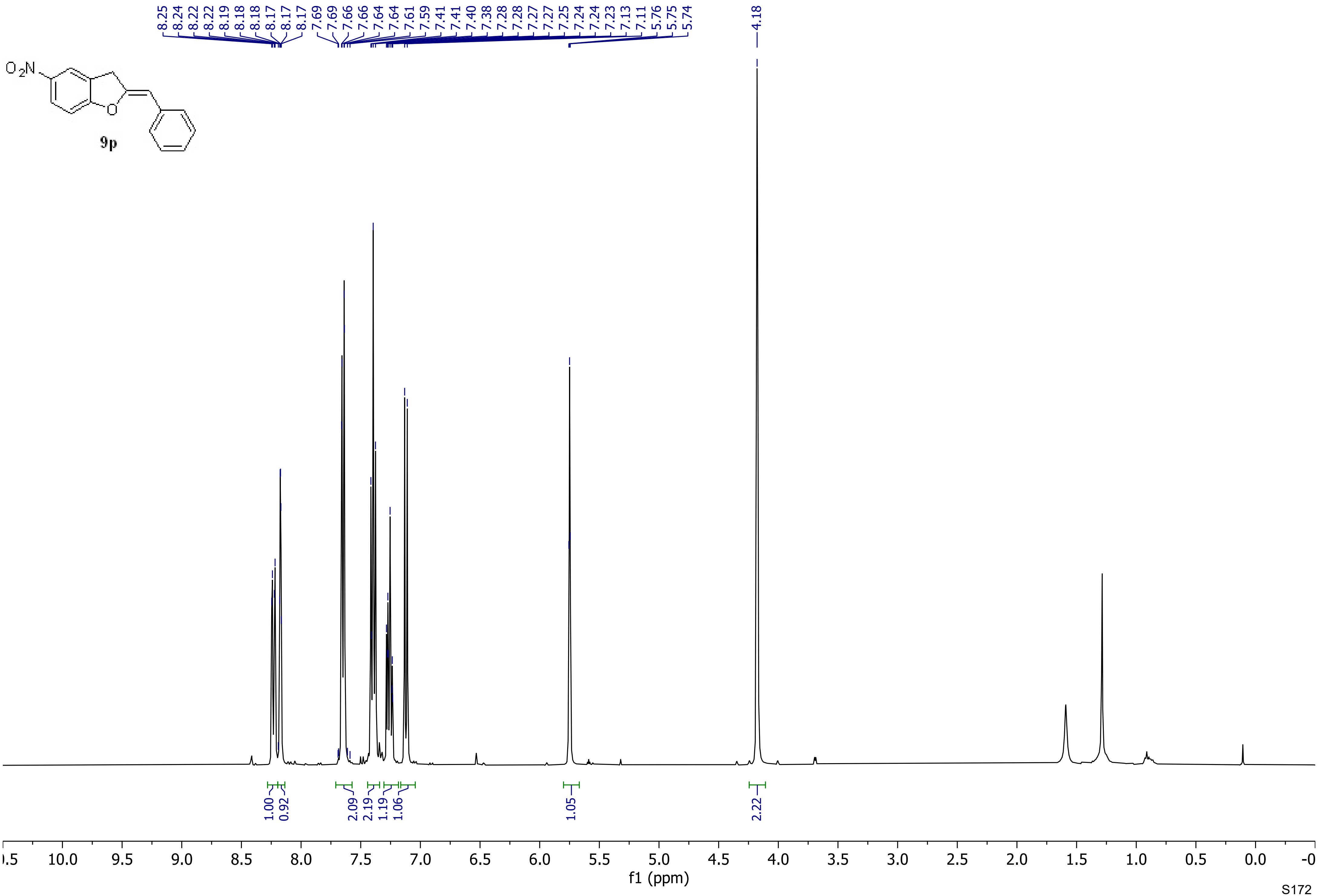


^{13}C NMR (101 MHz, CDCl$_3$)



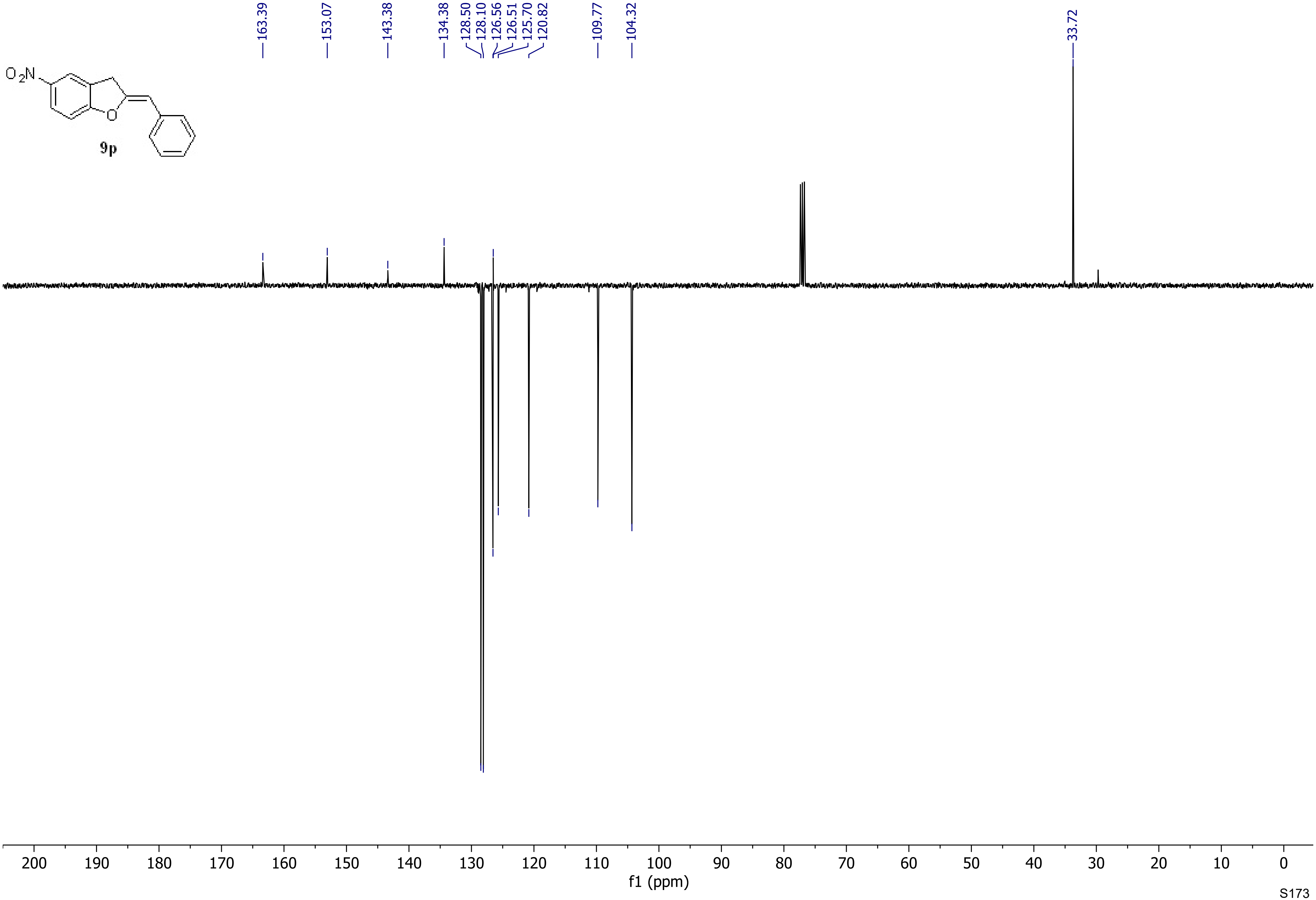
8p

^{1}H NMR (400 MHz, $CDCl_3$)

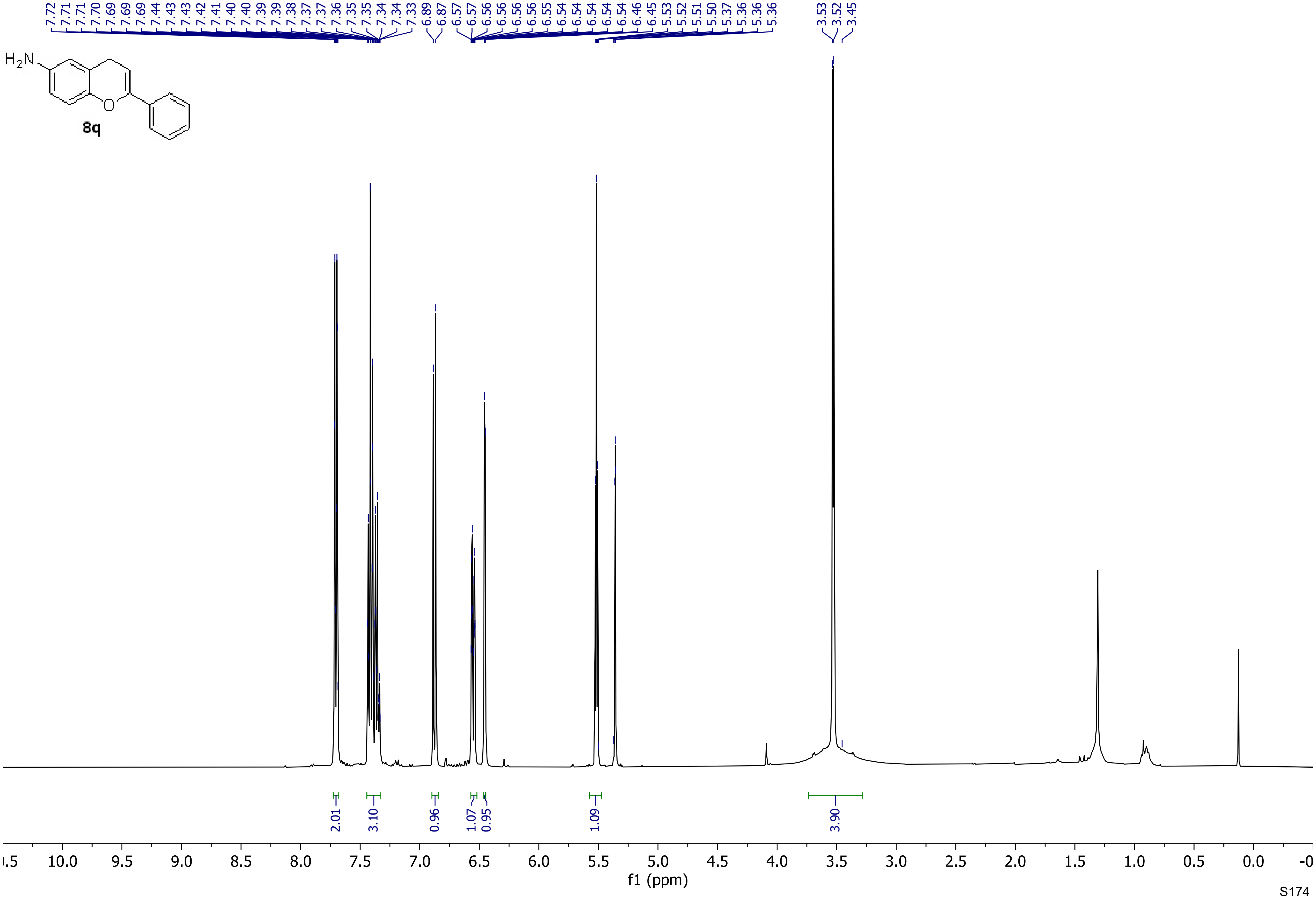

9p

^{13}C NMR (101 MHz, CDCl$_3$)

9p



^{1}H NMR (400 MHz, CD_2Cl_2)



8q

^{13}C NMR (101 MHz, CD_2Cl_2)