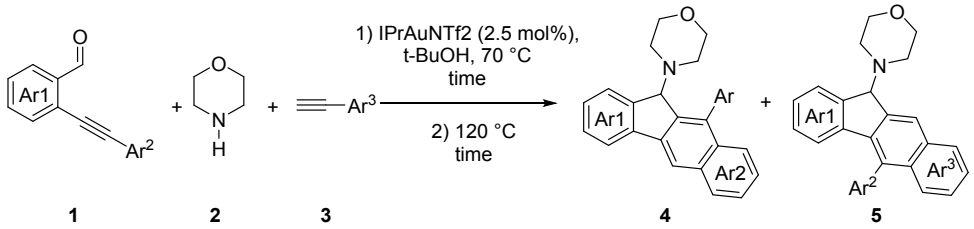
Electronic Supplementary Material (ESI) for Organic Chemistry Frontiers.


**Supportting information**

**General information.** Dry solvents were obtained from the solvent purification system MBraun MB SPS-800. Chemicals, unless differently mentioned, were purchased commercially from suppliers (Sigma Aldrich, Merck, ABCR, Acros, Alfa Aesar and Chempur) and used with no prior purification. Deuterated solvents were acquired from Euriso-Top GmbH. Silica gel 60 (70 – 230 mesh, 63 – 200 μm provided by Sigma-Aldrich) were applied for flash column chromatography and, as eluents, PE, EE and DCM were commonly used. For thin layer chromatography (TLC), pre-coated TLC sheets (Macherey-Nagel ALUGRAM® Xtra SIL G/UV254) were employed. Detection was performed using UV-light (254 nm) or staining solutions ($KMnO_4$ in 1.5 M $Na_2CO_3$, aq.; vanilline/$H_2SO_4$ in EtOH). Nuclear magnetic resonance spectroscopy (NMR) spectra were measured on spectrometers: Bruker Avance III 300; Bruker Avance III 500; Bruker Avance III 400 spectrometer. Chemical shifts δ are quoted in parts per million, whereas coupling constants J are quoted in Hertz (Hz). For $^1$H NMR, the multiplicity of the peaks are described as: s (singlet), d (doublet), t (triplet), q (quartet), quin (quintet), sext (sextet), sept (septet), m (multiplet), as well as their combinations. For describing multiplicities resulting from overlapping the abbreviation ps (pseudo) was used. All $^{13}$C NMR spectra were recorded with $^1$H-decoupled and, when needed interpreted along with $^{13}$C DEPT-135, $^1$H,$^1$H COSY, $^1$H,$^{13}$C HSQC and $^1$H,$^{13}$C HMBC. The peaks in the $^{13}$C NMR spectra are addressed as: s (quarternary carbon), d (tertiary carbon, CH), t (secondary carbon, CH2) and q (primary carbon, CH3). Mass spectra were measured at an Agilent 7890A Network GC System SSL gas chromatography system coupled with an Agilent 5975C VL MSD mass spectrometer. The gas chromatography system used a HP-5MS (5% Phenyl Methyl Silox) stationary phase. High resolution mass spectra (HR/MS) were measure on a JEOL AccuTOF GCx time-of-flight mass spectrometer, at the Institute of Organic Chemistry - Heidelberg University under the direction of Dr. J. Gross. Infrared spectroscopy was processed on an FT-IR Bruker (IF528), IR Perkin Elmer (283) or FT-IR BrukerVektor 22 - wave numbers ν [cm-1] are reported for the most significant bands. IUPAC names of substances were obtained with ChemDraw Professional 16.0.

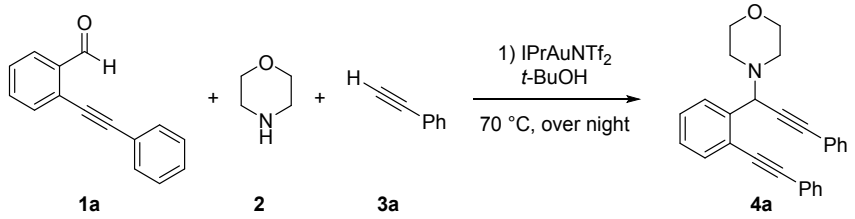
## General Procedures

### GP1. Synthesis of benzo[b]fluorenes




**1** (0.2 mmol, 1.0 eq.) and morpholine (19.4 mg, 0.22 mmol, 1.1 eq.) were dissolved in 2 ml $^t$BuOH and stir together at room temperature. After 5 minutes, phenylacetylene derivative **3** (0.3 mmol, 1.5 eq.) and $IPrAuNTf_2$ (10 mol%) were also added and the reaction mixture were stirred between 24 h and 48 h, at 70 °C. After that, the temperature was raised to 120 °C and stirred to additional time (between 48 and 72 hours). Finally, solvent was removed under reduced pressure and the reaction crude purified via chromatographical methods with no prior work-up.

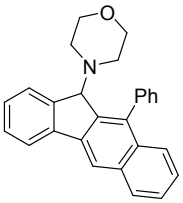
### GP2. Synthesis of Propagylamine via $A^3$-Coupling reaction.




**1a** (1.0 eq.) and morpholine (1.1 eq.) were dissolved in $^t$BuOH and stir together at room temperature. After 5 minutes, phenylacetylene **3a** (1.5 eq.) and $IPrAuNTf_2$ (5 mol%) were also added and the reaction mixture were stirred over 24 h, at 70 °C. After this time, solvent was removed under reduced pressure and the reaction crude was purified via chromatographical methods with no prior work-up.

**Characterization**

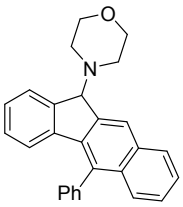
**5a**




**5a** was synthesizing according to the general procedure **GP1** using 41 mg of **1a** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 30.6 mg phenylacetylene **3a** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 72 hours. Purification was accomplished by flash column chromatography (Silica gel, PE: EA 30:1).

**Appearance**: yellowish solid; **Yield**: 45% (34 mg); **$^{1}$H NMR** (600 MHz, $CDCl_3$) δ 8.10 (s, 1H), 7.94 (d, *J* = 8.1 Hz, 1H), 7.89 (d, *J* = 7.6 Hz, 1H), 7.71 (d, *J* = 8.4 Hz, 1H), 7.56 – 7.52 (m, 3H), 7.48 (qd, *J* = 7.5, 1.2 Hz, 4H), 7.43 (dd, *J* = 12.2, 4.8 Hz, 3H), 7.37 (ddd, *J* = 8.1, 6.7, 1.2 Hz, 2H), 7.30 (td, *J* = 7.4, 0.9 Hz, 1H), 5.02 (s, 1H), 3.41 – 3.28 (m, 2H), 3.19 – 3.08 (m, 2H), 2.48 – 2.32 (m, 2H), 2.24 – 2.10 (m, 2H); **$^{13}$C NMR** (151 MHz, $CDCl_3$) δ 143.98 (s), 140.97 (s), 140.09 (s), 139.26 (s), 139.22 (s), 138.01 (s), 134.62 (s), 132.95 (s), 131.56 (d), 128.66 (2C; d), 128.63 (2C; d), 128.61 (d), 128.56 (d), 127.82 (d), 127.27 (d), 126.64 (d), 126.53 (d), 126.17 (d), 125.87 (d), 120.99 (d), 117.41 (d), 69.63 (t), 67.47 (t), 49.18 (d); **IR** (ATR, $cm^{-1}$): v = 3055, 2923, 2848, 2817, 1578, 1493, 1443, 1412, 1365, 1340, 1318, 1233, 1204, 1170, 1134, 1112, 1070, 1030, 1007, 940, 925, 892, 866, 855, 790, 764, 745, 733, 701, 670, 627; **HR-MS** (EI) m/z calcd for $C_{27}H_{23}NO$: 377.1774; found: 377.1786.

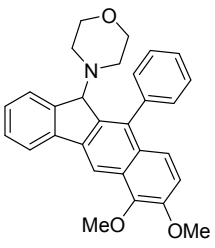
**6a**




**6a** was synthesizing according to the general procedure **GP1** using 41 mg of **1a** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 30.6 mg phenylacetylene **3a** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 72 hours. Purification was accomplished by flash column chromatography (silica gel, PE: EA 30:1).

**Appearance**: yellowish solid; **Yield**: 24% (18 mg); **$^{1}$H NMR** (600 MHz, CDCl3) δ 8.14 (s, 1H), 7.94 (d, J = 8.0 Hz, 1H), 7.67 (d, J = 7.4 Hz, 1H), 7.64 – 7.58 (m, 3H), 7.53 (d, J = 8.3 Hz, 1H), 7.49 (ddd, J = 8.0, 6.8, 1.2 Hz, 1H), 7.46 – 7.44 (m, 1H), 7.41 – 7.37 (m, 2H), 7.24 (td, J = 7.4, 0.9 Hz, 1H), 7.05 (t, J = 7.6 Hz, 1H), 6.41 (d, J = 7.8 Hz, 1H), 5.05 (s, 1H), 3.76 – 3.69 (m, 4H), 2.78 – 2.72 (m, 4H); **$^{13}$C NMR** (151 MHz, CDCl3) δ 144.98 (s), 141.77 (s), 141.21 (s), 139.05 (s), 136.81 (s), 133.83 (s), 133.80 (s), 133.02 (s), 130.34 (s), 129.41 (2C; d), 129.37 (2C; d), 128.46 (d), 128.31 (d), 128.13 (d), 127.59 (d), 126.67 (d), 126.23 (d), 126.13 (d), 125.91 (d), 124.66 (d), 123.75 (d), 69.62 (t), 68.10 (t), 49.71 (d); **IR** (ATR, $cm^{-1}$): v = 2940, 2848, 2815, 1598, 1576, 1492, 1442, 1408, 1368, 1340, 1325, 1252, 1184, 1147, 1112, 1070, 1031, 1013, 916, 889, 878, 867 , 760, 745, 736, 700, 669, 636, 612.; **HR-MS** (EI) m/z calcd for $C_{27}H_{23}NO$: 377.1774; found: 377.1771.

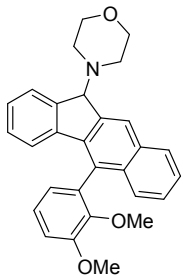
**5b**



**5b** was synthesizing according to the general procedure **GP1** using 53.2 mg of **1b** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 30.6 mg phenylacetylene **3a** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 48 hours. Purification was accomplished by flash column chromatography (Silica gel, PE:EA 20:1).

**Appearance**: yellow solid; **Yield**: 57% (50 mg); **$^1$H NMR** (600 MHz, $CDCl_3$): δ = 8.39 (s, 1H), 7.95 (d, J = 7.6 Hz, 1H), 7.52 (t, J = 6.8 Hz, 2H), 7.50 – 7.39 (m, 6H), 7.30 (t, J = 7.3 Hz, 1H), 7.16 (d, J = 9.2 Hz, 1H), 4.99 (s, 1H), 4.08 (s, 3H), 3.99 (s, 3H), 3.39 – 3.29 (m, 2H), 3.13 (s, 2H), 2.41 (s, 2H), 2.22 – 2.14 (m, 2H); **13C NMR** (151 MHz, CDCl3): δ = 148.8 (s), 144.1 (s), 143.4 (s), 141.2 (s), 139.7 (s), 139.3 (s), 138.3 (s), 137.9 (s), 131.5 (d), 130.4 (s), 129.1 (s), 128.7 (d), 128.6 (d), 128.6 (d), 127.8 (d), 127.8 (d), 127.3 (d), 126.5 (d), 123.1 (d), 121.2 (d), 114.4 (d), 110.8 (d), 69.5 (s, 1C), 67.5 (s, 2C), 61.6 (s, 1C), 57.1 (s, 1C), 30.0 (s, 2C); **IR** (ATR, $cm^{-1}$): v = 2960, 2931, 2859, 1728, 1610, 1579, 1512, 1480, 1450, 1424, 1383, 1342, 1323, 1268, 1223, 1178, 1105, 1045, 1033, 1009, 979, 935, 871, 856, 833, 808, 773, 750, 724, 706, 680; **HR-MS** (EI) m/z calcd for C29H27NO3: 437.1986; found: 437.1991

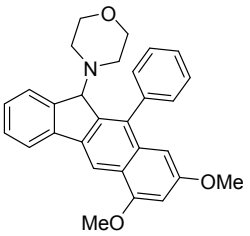
**6b**




**6b** was synthesizing according to the general procedure **GP1** using 53.2 mg of **1b** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 30.6 mg phenylacetylene **3a** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 48 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 20:1).

**Appearance**: yellow solid; **Yield**: 30% (27 mg); **$^1$H NMR** (600 MHz, $CDCl_3$): δ = 8.12 (s, 1H), 7.91 (d, J = 7.9 Hz, 1H), 7.64 (s, 1H), 7.53 (d, J = 8.4 Hz, 1H), 7.46 (t, J = 7.3 Hz, 1H), 7.37 (t, J = 7.3 Hz, 1H), 7.27 (t, J = 7.9 Hz, 1H), 7.23 (t, J = 7.3 Hz, 1H), 7.15 (dd, J = 8.2, 1.2 Hz, 1H), 7.09 (t, J = 7.1 Hz, 1H), 6.85 (dd, J = 7.5, 1.3 Hz, 1H), 6.53 (d, J = 7.8 Hz, 1H), 5.04 (s, 1H), 4.00 (s, 3H), 3.70 (s, 4H), 3.36 (s, 3H), 2.70 (s, 4H); **$^{13}$C NMR** (151 MHz, $CDCl_3$): δ = 153.76 (d), 147.45 (d), 144.87 (s), 141.54 (s), 141.47 (s), 137.1 (d), 133.67 (s), 133.19 (d), 133.03 (d), 129.99 (s), 128.51 (d), 128.47 (d), 127.58 (d), 126.56 (d), 126.51 (s). 126.25 (s), 125.96 (s), 125.17 (s), 124.76 (s), 123.6 (d), 123.4 (d), 112.6 (d), 69.5 (t), 68.1 (t), 60.8 (q), 56.2 (q), 49.5 (d); **IR** (ATR, $cm^{-1}$): v = 3063, 2930, 2852, 1723, 1677, 1600, 1577, 1509, 1471, 1425, 1370, 1341, 1322, 1263, 1231, 1177, 1113, 1070, 1009, 891, 859, 816, 765, 738, 702, 670, 620; **HR-MS** (EI) m/z calcd for $C_{29}H_{27}NO_3$: 437.1985; found: 437.1962.

**5c**

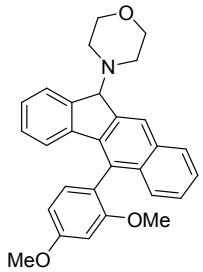



**5c** was synthesizing according to the general procedure **GP1** using 53.2 mg of **1c** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 30.6 mg phenylacetylene **3a** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 48 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 20:1).

**Appearance**: yellow solid; **Yield**: 50% (44 mg) ; **$^1$H NMR** (400 MHz, $CDCl_3$) δ 727.19 (s, 2H), 726.61 (d, J = 7.6 Hz, 2H), 726.30 – 726.19 (m, 8H), 726.15 (dd, J = 16.3, 8.2 Hz, 6H), 725.99 (t, J = 7.1 Hz, 3H), 725.32 (dd, J = 29.5, 2.0 Hz, 4H), 723.70 (s, 2H), 722.78 (s, 6H), 722.45 (s, 6H), 722.12 – 722.01 (m, 4H), 721.93 – 721.81 (m, 4H), 721.14

(s, 4H), 720.98 – 720.83 (m, 4H); **$^{13}$C NMR** (101 MHz, $CDCl_3$) δ 157.95 (s), 156.87 (s), 143.13 (s), 141.34 (s), 141.09 (s), 139.48 (s), 136. 35 (s), 136.34 (s), 134.30 (d), 131.14 (s), 128.41 (d), 128.35 (d), 128.22 (d), 127.55 (d), 126.87 (d), 126.77 (d), 126.06 (s), 122.42 (d), 120.33 (d), 111.51 (d), 97.44 (d), 97.28 (d), 69.43 (q), 67.18 (q), 55.75 (t), 55.21 (t), 48.90 (d); **IR** (ATR, $cm^{-1}$): v = 3073, 3005, 2942, 2858, 2800, 1622, 1589, 1511, 1494, 1466, 1445, 1412, 1342, 1326, 1262, 1219, 1200, 1154, 1113, 1100, 1056, 1028, 1006, 926, 871, 839, 762, 736, 701, 668, 625; **HR-MS** (EI) m/z calcd for $C_{29}H_{27}NO_3$: 437.1971; found: 437.1986.

**6c**

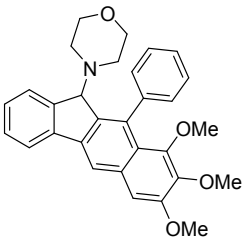



**6c** was synthesizing according to the general procedure **GP1** using 53.2 mg of **1c** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 30.6 mg phenylacetylene **3a** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 48 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 20:1).

**Appearance**: yellow solid; **Yield**: 22% (20 mg); **$^{1}$H NMR** (400 MHz, $CDCl_3$) δ 8.02 (s, 1H), 7.82 (d, J = 8.1 Hz, 1H), 7.57 (d, J = 7.3 Hz, 1H), 7.46 (d, J = 8.4 Hz, 1H), 7.37 (t, J = 7.2 Hz, 1H), 7.28 (t, J = 7.3 Hz, 1H), 7.15 (t, J = 7.5 Hz, 1H), 7.11 – 7.06 (m, 1H), 7.03 (t, J = 7.7 Hz, 1H), 6.67 – 6.62 (m, 2H), 6.56 (d, J = 7.8 Hz, 1H), 4.95 (s, 1H), 3.89 (s, 3H), 3.68 – 3.60 (m, J = 4.3 Hz, 4H), 3.51 (s, 3H), 2.74 – 2.55 (m, J = 3.3 Hz, 4H); **$^{13}$C NMR** (101 MHz, $CDCl_3$) δ 161.03 (s), 158.67 (s), 144.56 (s), 141.40 (s), 137.37 (s), 133.92 (s), 132.92 (d), 132.03 (d), 128.21 (d), 128.12 (d), 127.09 (d), 126.22 (d), 125.82 (d), 125.65 (d), 125.41 (s), 124.28 (d), 124.13 (s), 123.02 (s), 119.70 (s), 105.15 (d), 99.51 (d), 99.38 (d), 69.41 (q), 67.81 (q), 55.81 (t), 55.50 (t), 49.43 (d); **IR** (ATR): v = 2957,

2922, 2851, 1737, 1611, 1579, 1510, 1500, 1463, 1414, 1368, 1303, 1260, 1208, 1159, 1114, 1034, 930, 803, 768, 741, 619; **HR-MS** (EI) m/z calcd for $C_{29}H_{27}NO_3$: 437.1986; found: 437.1977.

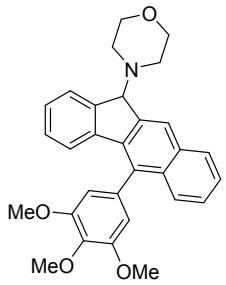
**5d**




**5d** was synthesizing according to the general procedure **GP1** using 59.2.0 mg of **1d** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 30.6 mg phenylacetylene **3a** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 48 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 20:1 to 10:1).

**Appearance**: yellow/redish solid; **Yield**: 53% (50 mg); **$^1$H NMR** (400 MHz, $CDCl_3$) δ 7.93 (s, 1H), 7.81 (d, J = 7.5 Hz, 1H), 7.48 (t, J = 7.0 Hz, 2H), 7.45 – 7.25 (m, 6H), 7.08 (s, 1H), 4.78 (s, 1H), 4.01 (s, 3H), 3.88 (s, 3H), 3.44 – 3.32 (m, J = 9.5, 6.4, 2.7 Hz, 2H), 3.27 (s, 3H), 3.26 – 3.19 (m, 2H), 2.46 – 2.31 (m, 2H), 2.14 – 1.98 (m, 2H); **$^{13}$C NMR** (101 MHz, $CDCl_3$) δ 152.83 (s), 150.61 (s), 143.55 (s), 142.27 (s), 141.95 (s), 140.55 (s), 139.23 (s), 138.52 (s), 136.23 (s), 132.65 (s), 131.52 (d), 128.16 (d), 127.27 (d), 126.85 (d), 126.41 (d), 126.14 (d), 126.10 (d), 125.65 (d), 123.02 (d), 120.40 (s), 116.28 (d), 103.41 (d), 69.42 (q), 67.04 (t), 60.94 (q), 60.54 (q), 55.80 (t), 48.81 (d); **IR** (ATR, $cm^{-1}$): v = 2959, 2928, 2850, 1607, 1577, 1494, 1474, 1559, 1445, 1415, 1357, 1339, 1320, 1288, 1257, 1201, 1136, 1107, 1052, 1002, 935, 888, 868, 827, 772, 745, 700, 627; **HR-MS** (EI) m/z calcd for $C_{30}H_{29}NO_4$: 467.2091; found: 467.2062.

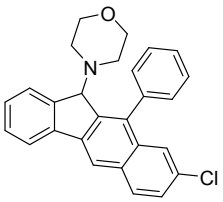
**6d**




**6d** was synthesizing according to the general procedure **GP1** using 59.2.0 mg of **1d** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 30.6 mg phenylacetylene **3a** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 48 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 20:1 to 10:1).

**Appearance**: yellow/redish solid; **Yield**: 10% (10 mg); **$^{1}$H NMR** (400 MHz, $CDCl_3$) δ 8.14 (s, 1H), 7.94 (d, J = 8.0 Hz, 1H), 7.69 (d, J = 7.4 Hz, 1H), 7.63 (d, J = 8.4 Hz, 1H), 7.51 (t, J = 7.2 Hz, 1H), 7.43 (t, J = 7.3 Hz, 1H), 7.31 – 7.25 (m, 1H), 7.13 (t, J = 7.5 Hz, 1H), 6.66 (d, J = 1.7 Hz, 1H), 6.62 (d, J = 7.8 Hz, 1H), 6.59 (d, J = 1.3 Hz, 1H), 5.06 (s, 1H), 4.06 (s, 3H), 3.86 (s, 3H), 3.82 (s, 3H), 3.78 – 3.67 (m, 4H), 2.80 – 2.72 (m, 3H); **$^{13}$C NMR** (101 MHz, $CDCl_3$) δ 153.95 (s), 153.91 (s), 144.70 (s), 141.52 (s), 140.72 (s), 137.55 (s), 136.44 (s), 134.19 (s), 133.50 (s);133.45 (s), 133.40 (s), 132.70 (d), 128.22 (d), 128.16 (d), 127.40 (d), 126.37 (d), 125.93 (d), 125.91 (d), 125.66 (d), 124.39 (d), 123.69 (d), 106.80 (d), 69.38 (t), 67.79 (q), 61.21 (2C, q), 56.18 (t), 49.49 (d); **IR** (ATR, $cm^{-1}$): v = 2957, 2917, 2849, 1725, 1578, 1501, 1462, 1451, 1407, 1375, 1322, 1233, 1179, 1124, 1070, 1007, 893, 864, 822, 768, 743, 717; **HR-MS** (EI) m/z calcd for $C_{30}H_{29}NO_4$: 467.2091; found: 467.2084.

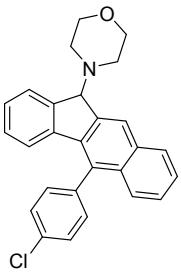
**5e**




**5e** was synthesizing according to the general procedure **GP1** using 48.0 mg of **1e** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 30.6 mg phenylacetylene **3a** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 72 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 30:1).

**Appearance**: yellow/greenish solid; **Yield**: 40% (33 mg); **$^{1}$H NMR** (400 MHz, $CDCl_3$) δ 8.05 (s, 1H), 7.87 (d, J = 8.6 Hz, 1H), 7.68 (d, J = 1.1 Hz, 1H), 7.60 – 7.37 (m, 4H), 7.32 (t, J = 7.4 Hz, 1H), 5.00 (s, 1H), 3.40 – 3.25 (m, J = 6.4 Hz, 1H), 3.18 – 2.99 (m, 1H), 2.45 – 2.31 (m, 1H), 2.25 – 2.12 (m, J = 6.6 Hz, 1H); **$^{13}$C NMR** (101 MHz, $CDCl_3$) δ 140.32 (s), 139.31 (s), 138.22 (s), 133.42 (s), 132.66 (s), 131.53 (s), 131.14 (d), 129.69 (2C; d), 128.51 (d), 128.46 (d), 128.43 (d), 128.35 (d), 127.84 (d), 127.69 (d), 127.33 (s), 126.78 (s), 126.31 (s), 125.26 (d), 120.73 (d), 116.92 (d), 69.33 (t), 67.11 (t), 48.91 (d); **IR** (ATR, $cm^{-1}$): v = 3064, 2961, 2897, 2859, 2815, 1738, 1600, 1576, 1489, 1444, 1398, 1364, 1342, 1249, 1174, 1141, 1106, 1079, 1033, 1008, 958, 930, 885, 854, 804, 769, 753, 741, 698, 657, 620; **HR-MS** (EI) m/z calcd for $C_{27}H_{22}NOCl$: 411.1384; found: 411.1397.

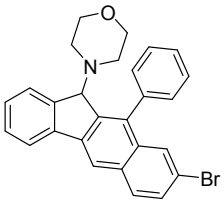
**6e**



**6e** was synthesizing according to the general procedure **GP1** using 48.0 mg of **1e** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 30.6 mg phenylacetylene **3a** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 72 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 30:1).

**Appearance**: yellow/greenish solid; **Yield**: 18% (15 mg); **$^{1}$H NMR** (600 MHz, $CDCl_3$) δ 8.44 (s, 1H), 8.23 (d, J = 8.1 Hz, 1H), 7.97 (d, J = 7.4 Hz, 1H), 7.92 – 7.87 (m, 1H), 7.83 – 7.74 (m, 1H), 7.73 – 7.65 (m, 1H), 7.64 – 7.59 (m, 1H), 7.40 (t, J = 7.5 Hz, 1H), 6.79 (d, J = 7.8 Hz, 1H), 5.34 (s, 1H), 4.04 – 3.81 (m, 1H), 3.27 – 2.92 (m, 1H); **$^{13}$C NMR** (151 MHz, $CDCl_3$) δ 145.88 (s), 145.06 (s), 141.78 (s), 140.89 (s), 137.53 (s), 136.87 (s), 134.17 (d), 133.64 (d), 132.99 (d), 131.88 (d), 131.85 (d), 129.74 (d), 129.68 (d), 128.56 (d), 128.41 (s), 127.82 (s), 126.31 (d), 126.03 (d), 125.00 (s), 123.61 (d), 69.57 (t), 68.07 (t), 49.71 (d); **IR** (ATR, $cm^{-1}$): v = 3067, 2920, 2850, 2815, 1716, 1488, 1449, 1392, 1341, 1325, 1290, 1250, 1186, 1148, 1115, 1087, 1079, 1013, 917, 894, 869, 821, 767, 745, 670, 612; **HR-MS** (EI) m/z calcd for $C_{27}H_{22}NOCl$: 411.1384; found: 411.1374

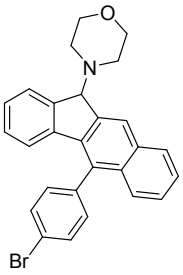
**5f**




**5f** was synthesizing according to the general procedure **GP1** using 57.0 mg of **1f** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 30.6 mg phenylacetylene **3a** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 72 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 30:1).

**Appearance**: yellow/greenish solid; **Yield**: 45% (41 mg); **$^{1}$H NMR** (400 MHz, $CDCl_3$) δ 8.04 (s, 1H), 7.87 (d, J = 7.6 Hz, 1H), 7.84 (d, J = 1.4 Hz, 1H), 7.80 (d, J = 8.7 Hz, 1H), 7.59 – 7.51 (m, 3H), 7.51 – 7.42 (m, 4H), 7.41 – 7.38 (m, 1H), 7.32 (dd, J = 10.8, 3.9 Hz, 1H), 5.00 (s, 1H), 3.49 – 3.23 (m, 2H), 3.23 – 2.95 (m, 2H), 2.47 – 2.24 (m, 2H), 2.22 – 2.05 (m, 2H); **$^{13}$C NMR** (101 MHz, $CDCl_3$) δ 143.69 (s), 140.97 (s), 140.29 (s), 139.41 (s), 138.18 (s), 137.01 (s), 133.87 (s), 132.83 (s), 131.13 (d), 129.79 (d), 129.26 (d), 128.49 (d), 128.42 (d); 128.32 (d), 127.81 (d), 127.31 (d), 126.28 (d), 120.77 (d), 119.80 (s), 116.94 (d), 69.37 (t), 67.13 (t); 48.90 (d) **IR** (ATR, $cm^{-1}$): v = 3059, 2959, 2920, 2851, 2813, 1714, 1670, 1594, 1488, 1444, 1396, 1340, 1324, 1248, 1163, 1140, 1107, 1069, 1033, 1007, 950, 928, 873, 853, 802, 768, 750, 740, 697, 648; **HR-MS** (EI) m/z calcd for $C_{27}H_{22}NOBr$: 455.0879; found: 455.0865.

**6f**

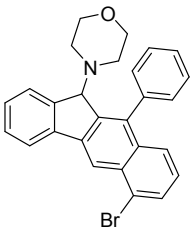



**6f** was synthesizing according to the general procedure **GP1** using 57.0 mg of **1f** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 30.6 mg phenylacetylene **3a** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 72 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 30:1).

**Appearance**: yellow/greenish solid; **Yield**: 15% (14 mg); **$^{1}$H NMR** (600 MHz, $CDCl_3$) δ 8.13 (s, 1H), 7.92 (d, J = 8.1 Hz, 1H), 7.77 – 7.71 (m, 2H), 7.67 (d, J = 7.4 Hz, 1H), 7.48 (dd, J = 12.5, 4.6 Hz, 1H), 7.45 (d, J = 8.4 Hz, 2H), 7.41 – 7.35 (m, 1H), 7.35 – 7.31 (m, 1H), 7.30 (dd, J = 6.4, 3.6 Hz, 1H), 7.28 – 7.23 (m, J = 5.4, 3.4 Hz, 2H), 7.10 (t, J = 7.6 Hz, 2H), 6.50 (d, J = 7.8 Hz, 1H), 5.03 (s, 1H), 3.80 – 3.63 (m, 4H), 2.90 – 2.55 (m, 4H); **$^{13}$C NMR** (151 MHz, $CDCl_3$) δ 145.07 (s), 141.79 (s), 140.87 (s), 138.03 (s), 136.80

(s), 133.56 (s), 132.99 (s), 132.69 (d), 132.69 (d), 132.23 (d), 132.21 (d), 128.57 (d), 128.43 (d), 127.84 (s), 126.36 (d), 126.30 (d), 126.04 (d), 125.02 (d), 123.62 (d), 122.33 (s), 69.57 (t), 68.08 (t), 49.71 (d); **IR** (ATR, $cm^{-1}$): v = 3061, 2956, 2851, 1713, 1595, 1488, 1450, 1388, 1323, 1289, 1248, 1114, 1069, 1011, 917, 892, 868, 816, 766, 752, 700, 669, 612; **HR-MS** (EI) m/z calcd for $C_{27}H_{22}NOBr$: 455.0879; found: 455.0884.

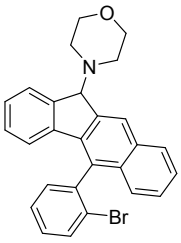
**5g**




**5g** was synthesizing according to the general procedure **GP1** using 57.0 mg of **1g** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 30.6 mg phenylacetylene **3a** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 72 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 30:1).

**Appearance**: yellow/greenish solid; **Yield**: 31% (29 mg); **$^{1}$H NMR** (400 MHz, $CDCl^{3}$) δ 8.54 (s, 1H), 7.99 (d, J = 7.6 Hz, 1H), 7.78 (dd, J = 7.3, 0.7 Hz, 1H), 7.68 (d, J = 8.5 Hz, 1H), 7.57 – 7.38 (m, 8H), 7.37 – 7.30 (m, 1H), 7.19 (t, J = 8.4 Hz, 1H), 5.00 (s, 1H); **$^{13}$C NMR** (101 MHz, CDCl3) δ 143.76 (s), 140.70 (s), 140.41 (s), 140.35 (s), 138.57 (s), 138.09 (s), 134.12 (s), 132.93 (s), 131.31 (d), 130.05 (d), 128.47 (d), 128.40 (d), 128.34 (d), 127.97 (d), 127.62 (d), 127.22 (d), 126.42 (d), 126.23 (d), 125.58 (d), 123.27 (s), 121.16 (d), 116.38 (d), 69.36 (t), 67.14 (t), 48.92 (d); **IR** (ATR, $cm^{-1}$): v = 2955, 2852, 2813, 1596, 1487, 1440, 1406, 1377, 1342, 1325, 1295, 1249,1207, 1178, 1112, 1071, 1029, 1011, 952, 873, 854, 817, 804, 784, 759, 748, 704, 633; **HR-MS** (EI) m/z calcd for $C_{27}H_{22}NOBr$: 455.0879; found: 455.0895.

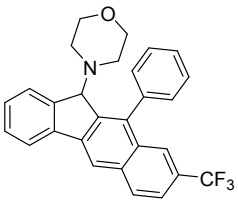
**6g**




**6g** was synthesizing according to the general procedure **GP1** using 57.0 mg of **1g** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 30.6 mg phenylacetylene **3a** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 72 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 30:1).

**Appearance**: yellow/greenish solid; **Yield**: 41% (37.4 mg); **$^{1}$H NMR** (400 MHz, CDCl3) δ 8.16 (d, J = 4.3 Hz, 1H), 7.94 (d, J = 8.1 Hz, 1H), 7.87 (d, J = 8.0 Hz, 1H), 7.67 (d, J = 7.3 Hz, 1H), 7.59 – 7.36 (m, 2H), 7.35 – 7.22 (m, 1H), 7.08 (t, J = 7.6 Hz, 1H), 6.37 (d, J = 3.3 Hz, 1H), 5.06 (s, 1H), 3.79 – 3.61 (m, J = 9.2, 5.9 Hz, 1H), 2.86 – 2.56 (m, 1H); **$^{13}$C NMR** (101 MHz, CDCl3) – Mixture of rotamers: δ 144.75, 144.66, 141.47, 141.44, 140.68, 140.52, 139.50, 139.49, 136.87, 136.72, 133.34, 133.31, 132.86, 132.78, 132.64, 131.95, 131.92, 131.86, 131.81, 131.65, 129.72, 129.51, 128.38, 128.36, 128.24, 128.22, 128.13, 127.54, 126.18, 126.17, 126.03, 126.02, 125.77, 125.68, 125.65, 124.91, 124.86, 124.30, 124.19, 122.81, 122.77, 69.42, 69.32, 67.80, 49.46, 49.33; **IR** (ATR): v = 3052, 2960, 2932, 2848, 1469, 1433, 1366, 1338, 1323, 1287, 1249, 1180, 1112, 1070, 1031, 1012, 997, 916, 889, 866, 809, 754, 739, 704, 659, 635, 612; **HR-MS** (EI) m/z calcd for $C_{27}H_{22}NOBr$: 369.0273; found: 369.0265.

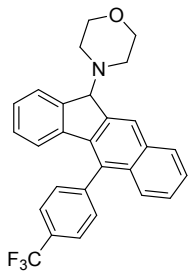
**5h**



**5h** was synthesizing according to the general procedure **GP1** using 55.0 mg of **1h** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 30.6 mg phenylacetylene **3a** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 72 hours. Purification was accomplished by flash column chromatography (Silica gel, PE:EA 30:1).

**Appearance**: yellow solid; **Yield**: 44% (39 mg); **$^{1}$H NMR** (600 MHz, CDCl3) δ 8.13 (s, 1H), 8.05 – 8.00 (m, 2H), 7.91 (d, J = 7.6 Hz, 1H), 7.64 (dd, J = 8.6, 1.5 Hz, 1H), 7.59 – 7.54 (m, 2H), 7.53 – 7.41 (m, 5H), 7.35 (td, J = 7.4, 0.8 Hz, 1H), 5.04 (s, 1H), 3.38 – 3.25 (m, 2H), 3.17 – 3.07 (m, 2H), 2.38 (s, 2H), 2.22 – 2.12 (m, 2H); **$^{13}$C NMR** (151 MHz, CDCl3) δ 144.23 (s), 141.54 (s), 141.37 (s), 140.31 (s), 139.03 (s), 138.17 (s), 135.98 (s), 131.88 (d), 131.29 (d), 129.49 (d), 128.85 (d), 128.80 (d), 128.61 (d), 128.52 (d), 128.20 (d), 127.79 (d), 127.51 (s; $J_{C\text{-}F(q)}$ = 32.0 Hz), 126.64 (d), 124.75 (s, $J_{C\text{-}F(q)}$ = 272.1 Hz), 124.32 (d; $J_{C\text{-}F(q)}$ = 4.6 Hz), 121.83 (d, $J_{C\text{-}F(q)}$ = 2.8 Hz), 121.37 (d), 117.14 (d), 69.64 (t), 67.41 (t), 49.19 (d); **IR** (ATR): v = 2961, 2918, 2894, 2859, 2813, 1631, 1583, 1496, 1467, 1442, 1409, 1371, 1311, 1238, 1164, 1109, 1070, 1034, 1010, 964, 905, 867, 814, 764, 736, 701, 676, 646; **HR-MS** (EI) m/z calcd for $C_{28}H_{22}NOF_3$: 445.1648; found: 445.1650.

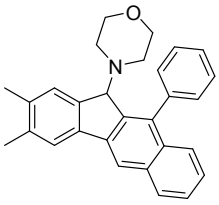
**6h**




**6h** was synthesizing according to the general procedure **GP1** using 55.0 mg of **1h** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 30.6 mg phenylacetylene **3a** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 72 hours. Purification was accomplished by flash column chromatography (Silica gel, PE:EA 30:1).

**Appearance**: yellow solid; **Yield**: 27% (24 mg); **$^{1}$H NMR** (600 MHz, CDCl3) δ 8.16 (s, 1H), 7.95 (dd, J = 18.4, 5.2 Hz, 1H), 7.91 – 7.84 (m, 2H), 7.66 (t, J = 8.7 Hz, 1H), 7.59 (d, J = 7.8 Hz, 1H), 7.53 – 7.47 (m, 2H), 7.41 – 7.35 (m, 2H), 7.25 (d, J = 7.4 Hz, 1H), 7.09 – 7.03 (m, 1H), 6.36 (d, J = 7.8 Hz, 1H), 5.04 (s, 1H), 3.75 – 3.68 (m, 4H), 2.78 – 2.69 (m, 4H); **$^{13}$C NMR** (151 MHz, CDCl3) δ 145.88 (s), 145.13 (s), 143.13 (s), 141.80 (s), 140.66 (s), 136.72 (s), 133.36 (s), 132.97 (s), 132.02 (2C; d), 130.99 (d), 130.48 (s, $J_{C\text{-}F(q)}$ = 32.6 Hz),128.62 (d), 128.46 (2C; d), 127.94 (2C; d), 126.43 (2C; d), 126.14 (d), 125.24 (d), 124.61 (s, $J_{C\text{-}F(q)}$ = 272.1 Hz), 123.43 (d), 69.55 (t), 68.07 (t), 49.72 (d); **IR** (ATR): v = 2970, 2931, 2863, 2817, 1617, 1504, 1450, 1407, 1335, 1323, 1250, 1160, 1112, 1068, 1013, 997, 950, 916, 892, 866, 845, 822, 767, 745, 693, 669; **HR-MS** (EI) m/z calcd for $C_{28}H_{22}NOF_3$: 445.1648; found: 445.1645.

**5i**

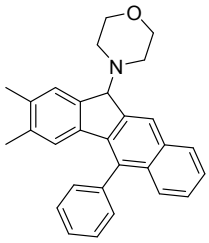



**5i** was synthesizing according to the general procedure **GP1** using 46.8 mg of **1i** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 30.6 mg phenylacetylene **3a** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 72 hours. Purification was accomplished by flash column chromatography (Silica gel, PE:EA 30:1).

**Appearance**: yellowish solid; **Yield**: 35% (28 mg); **$^{1}$H NMR** (400 MHz, $CDCl_3$) δ 7.95 (s, 1H), 7.84 (d, J = 8.1 Hz, 1H), 7.65 – 7.56 (m, 2H), 7.49 – 7.42 (m, J = 10.4, 4.5 Hz, 1H), 7.43 – 7.32 (m, 5H), 7.31 – 7.21 (m, 2H), 4.87 (s, 1H), 3.40 – 3.15 (m, 2H), 3.15 – 2.85 (m, 2H), 2.44 – 2.28 (m, 5H), 2.26 (s, 3H), 2.16 – 1.99 (m, 2H); **$^{13}$C NMR** (101 MHz, $CDCl_3$) δ 141.37 (s), 140.17 (s), 139.23 (s), 139.10 (s), 138.57 (s), 137.51 (s), 136.69 (s), 136.23 (s), 134.41 (s), 132.44 (s), 131.28 (d), 128.41 (d), 128.26 (d), 128.11

(d), 127.48 (d), 127.36 (d), 126.87 (d), 126.31 (d), 125.74 (d), 125.25 (d), 121.74 (d), 116.40 (d), 69.13 (t), 67.20 (t), 48.88 (d), 20.32 (q), 20.14 (q); **IR** (ATR, $cm^{-1}$): v = 3051, 2922, 2853, 1737, 1610, 1493, 1446, 1408, 1366, 1342, 1323, 1305, 1249, 1224, 1205, 1136, 1113, 1072, 1006, 948, 926, 888, 871, 804, 794, 774, 751, 700, 660, 639, 627, 609; **HR-MS** (EI) m/z calcd for $C_{29}H_{17}NO$: 405.2093; found: 405.2092

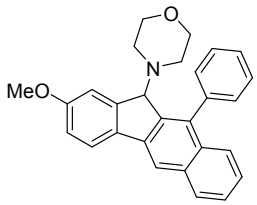
**6i**




**6i** was synthesizing according to the general procedure **GP1** using 46.8 mg of **1i** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 30.6 mg phenylacetylene **3a** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 72 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 30:1).

**Appearance**: yellowish solid; **Yield**: 20% (16 mg); **$^{1}$H NMR** (400 MHz, $CDCl_3$) δ 8.09 (s, 1H), 7.90 (d, J = 7.9 Hz, 1H), 7.63 – 7.56 (m, J = 4.9, 2.5 Hz, 3H), 7.53 (d, J = 8.6 Hz, 1H), 7.48 – 7.40 (m, 3H), 7.40 – 7.32 (m, J = 11.0, 3.9 Hz, 2H), 6.12 (s, 1H), 4.98 (s, 1H), 3.79 – 3.68 (m, 4H), 2.90 – 2.57 (m, 4H), 2.27 (s, 3H), 2.01 (s, 3H); **$^{13}$C NMR** (101 MHz, CDCl*3*) δ 142.35 (s), 141.89 (s), 139.00 (s), 138.79 (s), 136.89 (s), 136.17 (s), 136.05 (s), 133.47 (s), 132.73 (s), 132.54 (s), 130.14 (2C; d), 128.99 (d), 128.96 (d), 128.13 (d), 127.65 (d), 126.97 (d), 126.24 (d), 125.71 (d), 125.30 (d), 124.68 (d), 124.18 (d), 69.18 (t), 67.82 (t), 49.41 (d), 20.24 (q), 20.09 (q); **IR** (ATR, $cm^{-1}$): v = 3025, 2970, 2921, 2887, 2867, 2849, 2807, 1738, 1599, 1451, 1367, 1338, 1325, 1289, 1249, 1217, 1204, 1188, 1172, 1161, 1148, 1112, 1069, 1026, 1005, 985, 913, 894, 870, 808, 793, 760, 744, 702, 668, 636, 617; **HR-MS** (EI) m/z calcd for $C_{29}H_{17}NO$: 405.2093; found: 405.2074

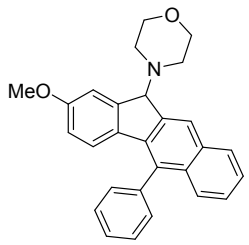
**5j**




**5j** was synthesizing according to the general procedure **GP1** using 47.2 mg of **1j** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 30.6 mg phenylacetylene **3a** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 72 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 30:1 to 20:1).

**Appearance**: yellow solid; **Yield**: 35% (29 mg); **$^{1}$H NMR** (400 MHz, $CDCl_3$) δ 7.89 (s, 1H), 7.83 (d, J = 8.1 Hz, 1H), 7.71 (d, J = 8.4 Hz, 1H), 7.61 (d, J = 8.4 Hz, 1H), 7.45 (dd, J = 12.9, 5.4 Hz, 1H), 7.41 – 7.30 (m, 5H), 7.26 (dd, J = 11.2, 4.0 Hz, 1H), 7.00 (d, J = 2.0 Hz, 1H), 6.90 (dd, J = 8.4, 2.2 Hz, 1H), 4.90 (s, 1H), 3.80 (s, 3H), 3.36 – 3.21 (m, 2H), 3.13 – 2.95 (m, 2H), 2.34 (s, 2H), 2.16 – 2.03 (m, 2H); **$^{13}$C NMR** (101 MHz, $CDCl_3$) δ 159.72 (s), 145.50 (s), 139.80 (s), 139.04 (s), 138.93 (s), 137.56 (s), 134.51 (s), 133.59 (s), 132.09 (s), 131.30 (d), 128.37 (d), 128.31 (d), 128.00 (d), 127.53 (d), 126.94 (d), 126.32 (d), 125.83 (d), 125.16 (d), 121.43 (d), 115.87 (d), 114.17 (d), 111.84 (d), 69.32 (t), 67.18 (q), 55.57 (t), 48.83 (d); **IR** (ATR, $cm^{-1}$): v = 2918, 2849, 137, 1609, 1487, 1453, 1417, 1366, 1277, 1229, 1217, 1113, 1094, 1031, 946, 809, 753, 730, 702, 616; **HR-MS** (EI) m/z calcd for $C_{28}H_{25}NO_2$: 407.5130; found: 407.1876

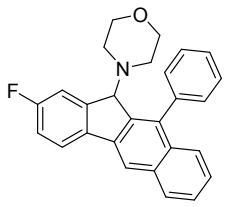
**6j**



**6j** was synthesizing according to the general procedure **GP1** using 47.2 mg of **1j** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 30.6 mg phenylacetylene **3a** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 72 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 30:1 to 20:1).

**Appearance**: yellow solid; **Yield**: 17% (14 mg); **$^{1}$H NMR** (400 MHz, $CDCl_3$) δ 7.99 (s, 1H), 7.81 (d, J = 8.0 Hz, 1H), 7.55 – 7.45 (m, 3H), 7.40 (d, J = 8.4 Hz, 1H), 7.37 – 7.32 (m, 2H), 7.31 – 7.24 (m, 2H), 7.11 (d, J = 1.7 Hz, 1H), 6.51 (dd, J = 8.6, 2.3 Hz, 1H), 6.21 (d, J = 8.6 Hz, 1H), 4.90 (s, 1H), 3.73 (s, 3H), 3.64 (dd, J = 4.7, 3.1 Hz, 4H), 2.81 – 2.43 (m, 4H); **$^{13}$C NMR** (101 MHz, $CDCl_3$) δ 159.53 (s), 146.74 (s), 141.45 (s), 138.93 (s), 136.54 (s), 133.78 (s), 133.57 (s), 132.18 (s), 132.08 (s), 130.16 (2C; d), 129.11 (d), 129.07 (d), 128.14 (d), 127.73 (d), 126.06 (d), 125.79 (d), 125.19 (d), 124.22 (d), 124.17 (d), 113.86 (d), 111.42 (d), 69.28, 67.81, 55.47, 49.40; **IR** (ATR, cm-1): v = 2952, 2952, 2858, 2830, 1707, 1604, 1486, 1453, 1442, 1409, 1369, 1341, 1325, 1306, 1291, 1280, 1263, 2147, 1216, 1169, 1111, 1083, 1070, 1028, 1008, 948, 910, 884, 865, 828, 806, 793, 747, 734, 700, 660, 646, 621; **HR-MS** (EI) m/z calcd for $C_{28}H_{25}NO_2$: 407.5130; found: 407.1883

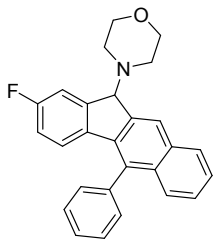
**5k**




**5k** was synthesizing according to the general procedure **GP1** using 44.8 mg of **1k** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 30.6 mg phenylacetylene **3a** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 48 hours, while the second step was stirred, at 120 °C for 72 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 30:1).

**Appearance**: yellowish solid; **Yield**: 58% (46 mg); **$^1$H NMR** (400 MHz, $CDCl_3$) δ 7.95 (s, 1H), 7.85 (d, J = 8.2 Hz, 1H), 7.74 (dd, J = 8.4, 5.1 Hz, 1H), 7.63 (d, J = 8.5 Hz, 1H), 7.45 (dd, J = 5.8, 4.1 Hz, 2H), 7.43 – 7.37 (m, 5H), 7.34 (dd, J = 5.0, 3.4 Hz, 1H), 7.32 – 7.26 (m, 2H), 7.16 (dd, J = 8.5, 2.2 Hz, 3H), 7.05 (td, J = 8.7, 2.2 Hz, 1H), 4.92 (s, 1H), 3.40 – 3.17 (m, 2H), 3.17 – 2.97 (m, 2H), 2.42 – 2.19 (m, 2H), 2.20 – 1.99 (m, 2H); **$^{13}$C NMR** (126 MHz, CDCl3) δ 162.58 (s), 145.89 (s), 139.61 (s), 138.78 (s), 138.01 (s), 137.83 (s), 136.64 (s), 134.37 (s), 132.34 (s), 131.23 (d), 128.42 (d), 128.28 (d), 128.19 (d), 127.63 (d), 127.11 (d), 126.38 (d), 126.04 (d), 125.65 (d), 121.69 (d), 116.78 (d), 115.51 (d), 113.45 (d), 69.27 (t), 67.13 (t), 48.73 (d); **IR** (ATR): v = 3053, 2918, 2857, 2799, 1721, 1666, 1589, 1492, 1478, 1445, 1326, 1294, 1264, 1242, 1185, 1141, 1108, 1070, 1026, 1010, 935, 896, 872, 862, 824, 808, 752, 728, 703, 665; **HR-MS** (EI) m/z calcd for $C_{27}H_{22}NOF$: 395.1680; found: 395.1680.

**6k**

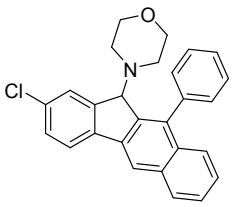



**6k** was synthesizing according to the general procedure **GP1** using 44.8 mg of **1k** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 30.6 mg phenylacetylene **3a** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 48 hours, while the second step was stirred, at 120 °C for 72 hours. Purification was accomplished by flash column chromatography (Silica gel, PE:EA 30:1).

**Appearance**: yellowish solid; **Yield**: 12% (10 mg); **$^1$H NMR** (600 MHz, CDCl3) δ 8.41 (s, 1H), 8.22 (d, J = 8.1 Hz, 1H), 7.94 – 7.87 (m, J = 14.8, 6.2, 3.7 Hz, 3H), 7.83 – 7.76 (m, J = 9.7, 8.9, 4.7 Hz, 1H), 7.73 (d, J = 7.0 Hz, 1H), 7.70 – 7.68 (m, 1H), 7.68 – 7.64 (m, 2H), 7.04 (td, J = 8.8, 2.4 Hz, 1H), 6.62 (dd, J = 8.6, 5.2 Hz, 1H), 5.31 (s, 1H), 4.21 – 3.93 (m, J = 8.2, 3.9 Hz, 4H), 3.18 – 2.99 (m, J = 4.2 Hz, 4H); **$^{13}$C NMR** (126 MHz,

$CDCl_3$) δ 161.45 (s), 146.17 (s), 140.21 (s), 137.48 (s), 135.77 (s), 134.61 (s), 132.43 (s), 131.38 (s), 128.93 (s), 128.18 (2C; d), 128.13 (2C; d), 127.13 (d), 126.89 (d), 125.23 (d), 124.94 (d), 124.62 (d), 123.44 (d), 123.37 (d), 114.10 (d), 111.93 (d), 68.10 (t), 66.69 (t), 48.32 (d); **IR** (ATR, $cm^{-1}$): v = 3057, 2958, 2926, 2852, 1721, 1679, 1604, 1480, 1451, 1411, 1339, 1322, 1264, 1246, 1114, 1031, 1012, 912, 891, 868, 824, 796, 750, 702, 621; **HR-MS** (EI) m/z calcd for $C_{27}H_{22}NOF$: 395.1680; found: 395.1680.

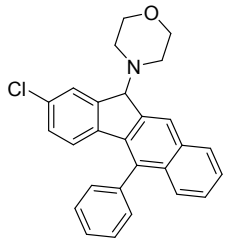
**5l**




**5l** was synthesizing according to the general procedure **GP1** using 48.0 mg of **1l** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 30.6 mg phenylacetylene **3a** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 48 hours, while the second step was stirred, at 120 °C for 72 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 30:1).

**Appearance**: yellowish solid; **Yield**: 40% (33 mg); **$^1$H NMR** (600 MHz, $CDCl_3$) δ 726.80 (s, 1H), 726.67 (d, J = 8.2 Hz, 1H), 726.53 (d, J = 8.1 Hz, 1H), 726.45 (d, J = 8.4 Hz, 1H), 726.29 (d, J = 7.6 Hz, 1H), 726.26 (d, J = 6.4 Hz, 1H), 726.23 (t, J = 6.1 Hz, 2H), 726.19 (d, J = 7.4 Hz, 2H), 726.17 – 726.10 (m, 3H), 723.74 (s, 1H), 722.14 – 722.01 (m, 2H), 721.93 – 721.82 (m, 2H), 721.18 – 721.05 (m, 2H), 720.97 – 720.85 (m, 2H); **$^{13}$C NMR** (151 MHz, $CDCl_3$) δ 145.73 (s), 139.66 (s), 139.51 (s), 139.00 (s), 138.22 (s), 138.09 (s), 134.60 (s), 133.58 (s), 132.97 (s), 131.50 (d), 128.90 (d), 128.72 (d), 128.57 (2C; d), 128.55 (2C; d), 127.93 (d), 127.42 (d), 126.68 (d), 126.39 (d), 126.13 (d), 121.88 (d), 117.61 (d), 69.55 (t), 67.41 (t), 49.21 (d); **IR** (ATR, $cm^{-1}$): v = 2943, 2925, 2856, 2803, 1621, 1511, 1493, 1445, 1413, 1374, 1341, 1324, 1294, 1261, 1218, 1200, 1154, 1111, 1101, 1056, 1029, 1006, 925, 909, 867, 838, 824, 762, 736, 700, 667, 625; **HR-MS** (EI) m/z calcd for $C_{27}H_{22}NOCl$: 411.1384; found: 411.1381.

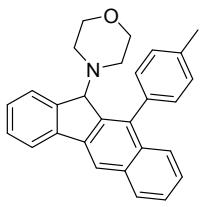
**6l**




**6l** was synthesizing according to the general procedure **GP1** using 48.0 mg of **1l** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 30.6 mg phenylacetylene **3a** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 48 hours, while the second step was stirred, at 120 °C for 72 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 30:1).

**Appearance**: yellowish solid; **Yield**: 17% (14 mg); **$^{1}$H NMR** (600 MHz, $CDCl_3$) δ 8.11 (s, 1H), 7.92 (d, J = 8.1 Hz, 1H), 7.63 – 7.56 (m, 4H), 7.53 – 7.47 (m, J = 16.8, 8.2 Hz, 2H), 7.42 – 7.37 (m, J = 10.2, 7.8 Hz, 2H), 7.34 (d, J = 6.6 Hz, 1H), 7.00 (d, J = 8.2 Hz, 1H), 6.27 (d, J = 8.4 Hz, 1H), 5.00 (s, 1H), 3.78 – 3.67 (m, J = 3.7 Hz, 4H), 2.78 – 2.69 (m, 4H); **$^{13}$C NMR** (151 MHz, $CDCl_3$) δ 146.87 (s), 141.32 (s), 139.66 (s), 138.70 (s), 135.78 (s), 134.03 (s), 133.75 (s), 133.58 (s), 133.05 (s), 130.20 (2C; d), 129.52 (2C; d), 129.47 (d), 128.62 (d), 128.50 (d), 128.30 (d), 126.67 (d), 126.35 (d), 126.16 (d), 124.79 (d), 124.57 (d), 69.43 (t), 68.02 (t), 49.68 (d); **IR** (ATR, $cm^{-1}$): v = 2962, 2928, 2857, 2804, 1719, 1582, 1492, 1469, 1444, 1410, 1344, 1326, 1295, 1250, 1162, 1138, 1110, 1070, 1028, 1009, 892, 880, 862, 822, 780, 752, 702, 662; **HR-MS** (EI) m/z calcd for $C_{27}H_{22}NOCl$: 411.1384; found: 411.1362.

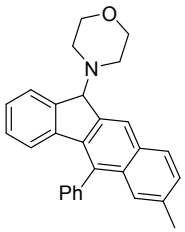
**5m**



**5m** was synthesizing according to the general procedure **GP1** using 41.2 mg of **1a** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 35 mg phenylacetylene derivative **3m** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 48 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 30:1).

**Appearance**: yellowish solid; **Yield**: 29% (23 mg); **$^{1}$H NMR** (400 MHz, $CDCl_3$) δ 8.00 (s, 1H), 7.85 (d, J = 8.1 Hz, 1H), 7.81 (d, J = 7.6 Hz, 1H), 7.66 (d, J = 8.5 Hz, 1H), 7.46 (d, J = 7.4 Hz, 1H), 7.42 – 7.37 (m, 1H), 7.34 (t, J = 7.4 Hz, 1H), 7.30 – 7.20 (m, 6H), 4.94 (s, 1H), 3.27 (ddd, J = 9.5, 6.4, 2.7 Hz, 2H), 3.15 – 3.03 (m, 2H), 2.41 (s, 4H), 2.32 (d, J = 4.5 Hz, 2H), 2.19 – 2.06 (m, 2H); **$^{13}$C NMR** (101 MHz, $CDCl_3$) δ 143.77 (s), 140.72 (s), 139.80 (s), 138.95 (s), 137.81 (s), 136.49 (s), 135.91 (s), 134.36 (s), 132.85 (s), 131.18 (d), 128.88 (d), 128.30 (2C; d), 128.27 (d), 128.23 (d), 127.45 (d), 126.43 (d), 126.20 (d), 125.81 (d), 125.47 (d), 120.65 (d), 116.95 (d), 69.39 (t), 67.19 (t), 48.90 (d), 21.33 (q); **IR** (ATR, $cm^{-1}$): v = 2955, 2921, 2850, 2806, 1711, 1625, 1502, 1447, 1407, 1365, 1339, 1321, 1290, 1247, 1232, 1205, 1181, 1136, 1111, 1067, 1030, 1008, 944, 920, 886, 867, 857, 808, 791, 771, 755, 738, 702, 631; **HR-MS** (EI) m/z calcd for $C_{28}H_{25}NO$: 391.1936; found: 391.1931

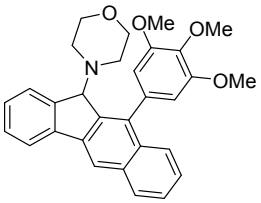
**6m**




**6m** was synthesizing according to the general procedure **GP1** using 41.2 mg of **1a** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 35 mg phenylacetylene derivative **3m** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 48 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 30:1).

**Appearance**: yellowish solid; **Yield**: 30% (24 mg); **$^{1}$H NMR** (400 MHz, $CDCl_3$) δ 7.99 (s, 1H), 7.74 (d, J = 8.2 Hz, 1H), 7.58 – 7.48 (m, 4H), 7.36 – 7.32 (m, 1H), 7.31 – 7.26 (m, 1H), 7.23 (d, J = 8.3 Hz, 1H), 7.13 (t, J = 7.4 Hz, 1H), 6.94 (t, J = 7.5 Hz, 1H), 6.27 (d, J = 7.8 Hz, 1H), 4.94 (s, 1H), 3.63 (s, 4H), 2.65 (s, 4H), 2.32 (s, 3H); **$^{13}$C NMR** (101 MHz, $CDCl_3$) δ 144.74 (s), 141.06 (s), 140.51 (s), 138.95 (s), 136.60 (s), 135.57 (s), 133.64 (s), 133.62 (s), 132.98 (s), 130.98 (d), 130.09 (d), 129.10 (d), 129.06 (d), 128.02 (d), 127.94 (d), 127.84 (d), 127.74 (d), 127.15 (d), 125.87 (d), 125.33 (d), 124.12 (d), 123.39 (d), 69.30 (t), 67.81 (t), 49.41 (d), 21.89 (q); **IR** (ATR, cm~~–1~~): v = 2956, 2930, 1738, 1642, 1537, 1450, 1377, 1322, 1230, 1116, 1071, 1032, 878, 805, 764, 738, 703, 665, 616; **HR-MS** (EI) m/z calcd for $C_{28}H_{25}NO$: 391.1936; found: 391.1931

**5n**

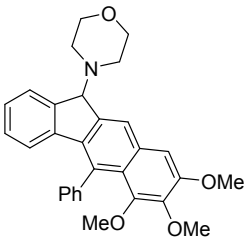



**5n** was synthesizing according to the general procedure **GP1** using 41.2 mg of **1a** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 57.6 mg phenylacetylene derivative **3n** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 48 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 20:1 to 10:1).

**Appearance**: orangish solid; **Yield**: 35% (33 mg) ; **$^{1}$H NMR** (600 MHz, $CDCl_3$) δ 8.09 (s, 1H), 7.95 (d, J = 8.1 Hz, 1H), 7.89 (d, J = 7.6 Hz, 1H), 7.82 (d, J = 8.4 Hz, 1H), 7.56 (d, J = 7.4 Hz, 1H), 7.50 (t, J = 7.4 Hz, 1H), 7.42 (dt, J = 8.0, 4.2 Hz, 2H), 7.32 (t, J = 7.4 Hz, 1H), 6.69 (dd, J = 19.8, 1.5 Hz, 2H), 5.07 (s, 1H), 3.98 (s, 3H), 3.90 (s, 3H), 3.86 (s, 3H), 3.41 – 3.34 (m, 2H), 3.28 – 3.15 (m, 2H), 2.44 (s, 2H), 2.23 (dd, J = 9.1, 5.8 Hz, 2H); **$^{13}$C NMR** (151 MHz, $CDCl_3$) δ 153.62 (s), 153.11 (s), 143.80 (s), 140.92 (s), 140.01 (s), 139.26 (s), 137.90 (s), 137.37 (s), 135.00 (s), 134.63 (s), 132.82 (s), 128.70 (s), 128.60

(s), 127.90 (s), 126.62 (s), 126.56 (s), 126.28 (s), 126.02 (s), 121.04 (s), 117.47 (s), 108.63 (s), 105.84 (s), 69.81 (t), 67.75 (q), 61.43 (t), 56.61 (q), 56.58 (q), 49.32 (d); **IR** (ATR, $cm^{-1}$): v = 2944, 2928, 2847, 2799, 1580, 1502, 1461, 1448, 1409, 1347, 1349, 1321, 1233, 1181, 1125, 1008, 909, 866, 826, 794, 776, 761, 746, 698, 641; **HR-MS** (EI) m/z calcd for $C_{30}H_{29}NO_4$: 467.2091; found: 467.2074.

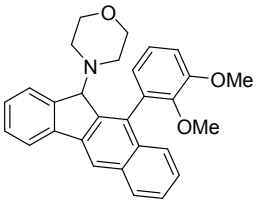
**6n**




**6n** was synthesizing according to the general procedure **GP1** using 41.2 mg of **1a** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 57.6 mg phenylacetylene derivative **3n** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 48 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 20:1 to 10:1).

**Appearance**: yellow solid; **Yield**: 65% (61 mg); **$^1$H NMR** (600 MHz, $CDCl_3$) δ 8.17 (s, 1H), 7.79 (d, J = 7.4 Hz, 1H), 7.75 – 7.63 (m, 3H), 7.61 (d, J = 7.3 Hz, 1H), 7.56 – 7.52 (m, 1H), 7.46 (s, 1H), 7.36 (td, J = 7.4, 0.8 Hz, 1H), 7.15 (t, J = 7.6 Hz, 1H), 6.05 (d, J = 7.9 Hz, 1H), 5.15 (s, 1H), 4.22 (s, 3H), 4.07 (s, 3H), 3.90 (t, J = 4.5 Hz, 4H), 3.49 (s, 3H), 2.91 (d, J = 2.2 Hz, 4H); **13C NMR** (151 MHz, $CDCl_3$) δ 153.04 (s), 151.02 (s), 144.53 (s), 142.85 (s), 142.72 (s), 141.73 (s), 141.48 (s), 136.64 (s), 132.49 (s), 131.28 (s), 128.88 (d), 128.77 (d), 128.42 (d), 128.38 (d), 128.21 (d), 127.03 (d), 126.81 (d), 125.91 (d), 123.91 (d), 123.75 (d), 123.72 (d), 103.57 (d), 69.37 (t), 68.12 (q), 61.15 (q), 60.81 (q), 56.12 (t), 49.69 (d); **IR** (ATR, $cm^{-1}$): v = 2964, 2924, 2855, 2813, 1608, 1573, 1497, 1463, 1445, 1414, 1361, 1345, 1318, 1262, 1245, 1208, 1154, 1106, 1052, 1038, 998, 901, 887, 861, 832, 775, 745, 700, 633; **HR-MS** (EI) m/z calcd for C30H29NO4: 467.2091; found: 467.2072.

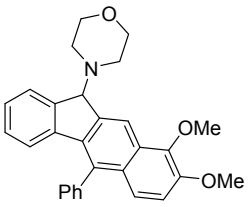
**5o**




**5o** was synthesizing according to the general procedure **GP1** using 41.2 mg of **1a** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 48.6 mg phenylacetylene derivative **3o** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 48 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 20:1 to 10:1).

**Appearance**: yellow solid; **Yield**: 34% (30 mg); **$^{1}$H NMR** (400 MHz, $CDCl_3$) δ 8.09 (s, 1H), 7.93 (d, J = 8.0 Hz, 1H), 7.88 (d, J = 7.6 Hz, 1H), 7.68 (d, J = 8.4 Hz, 1H), 7.54 (d, J = 7.3 Hz, 1H), 7.46 (ddd, J = 8.1, 6.9, 1.2 Hz, 1H), 7.41 (t, J = 7.5 Hz, 1H), 7.36 (ddd, J = 8.2, 6.8, 1.3 Hz, 1H), 7.30 (dd, J = 7.4, 1.0 Hz, 1H), 7.13 (d, J = 7.6 Hz, 1H), 7.05 (dd, J = 8.2, 1.5 Hz, 1H), 6.88 (dd, J = 7.5, 1.6 Hz, 1H), 4.92 (s, 1H), 3.98 (s, 3H), 3.62 (s, 3H), 3.51 – 3.43 (m, 2H), 3.21 – 3.15 (m, 2H), 2.48 (s, 2H), 2.22 – 2.15 (m, 2H); **$^{13}$C NMR** (101 MHz, $CDCl_3$) δ 152.56 (s), 147.90 (s), 143.65 (s), 140.81 (s), 140.15 (s), 138.92 (s), 134.99 (s), 133.99 (s), 132.73 (s), 132.67 (s), 128.21 (d), 127.30 (d), 126.65 (d), 126.31 (d), 125.74 (d), 125.16 (d), 123.29 (d), 121.59 (d), 120.62 (d), 117.07 (d), 111.95 (d), 104.18 (d), 69.50 (t), 67.24 (q), 60.12 (q), 55.93 (t), 49.26 (d); **IR** (ATR, $cm^{-1}$): v = 2954, 2933, 2867, 2833, 1727, 1679, 1579, 1471, 1427, 1366, 1342, 1322, 1263, 1230, 1171, 1114, 1079, 1010, 950, 903, 867, 812, 786, 768, 745, 702, 657, 620; **HR-MS** (EI) m/z calcd for $C_{29}H_{27}NO_3$: 437.1986; found: 437.1969.

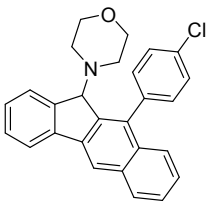
**6o**




**6o** was synthesizing according to the general procedure **GP1** using 41.2 mg of **1a** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 48.6 mg phenylacetylene derivative **3o** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 48 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 20:1 to 10:1).

**Appearance**: yiellow solid; **Yield**: 33% (29 mg); **$^{1}$H NMR** (400 MHz, $CDCl_3$) δ 8.39 (s, 1H), 7.64 (d, J = 7.5 Hz, 1H), 7.59 – 7.54 (m, 3H), 7.44 – 7.38 (m, 1H), 7.37 – 7.31 (m, 1H), 7.24 – 7.21 (m, 1H), 7.19 (dd, J = 7.5, 0.9 Hz, 1H), 7.16 (d, J = 9.3 Hz, 1H), 7.01 (t, J = 7.4 Hz, 1H), 6.36 (d, J = 7.8 Hz, 1H), 5.04 (s, 1H), 4.09 (s, 3H), 3.98 (s, 3H), 3.72 (d, J = 3.0 Hz, 4H), 2.81 – 2.64 (m, 4H); **$^{13}$C NMR** (101 MHz, $CDCl_3$) δ 148.40 (s), 144.51 (s), 143.11 (s), 141.94 (s), 140.94 (s), 138.84 (s), 134.99 (s), 133.45 (s), 130.00 (d), 129.75 (s), 129.03 (d), 128.40 (d), 127.95 (d), 127.80 (d), 127.06 (d), 125.91 (d), 123.10 (d), 122.81 (d), 117.66 (d), 114.59 (d), 104.22 (d), 69.43 (t), 67.79 (q), 61.28 (q), 56.86 (t), 49.46 (d); **IR** (ATR, $cm^{-1}$): v = 2959, 2930, 2851, 1730, 1711, 1679, 1607, 1579, 1510, 1468, 1378, 1338, 1322, 1271, 1177, 1114, 1074, 1031, 1010, 979, 896, 818, 791, 765, 741, 704; **HR-MS** (EI) m/z calcd for $C_{29}H_{27}NO_3$: 437.1986; found: 437.1995.

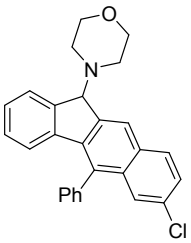
**5p**



**5q** was synthesizing according to the general procedure **GP1** using 41.2 mg of **1a** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 41.1 mg phenylacetylene derivative **3q** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 48 hours, while the second step was stirred, at 120 °C for 72 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 30:1).

**Appearance**: yellow/greenish solid; **Yield**: 30% (25 mg); **$^{1}$H NMR** (400 MHz, $CDCl_3$) δ 8.10 (s, 1H), 7.94 (d, J = 8.1 Hz, 1H), 7.89 (d, J = 7.5 Hz, 1H), 7.65 (d, J = 8.4 Hz, 1H), 7.60 – 7.36 (m, 8H), 7.31 (t, J = 7.4 Hz, 1H), 4.97 (s, 1H), 3.58 – 3.25 (m, 2H), 3.19 (dd, J = 8.4, 5.5 Hz, 2H), 2.50 – 2.36 (m, 2H), 2.33 – 2.11 (m, 2H); **$^{13}$C NMR** (101 MHz, $CDCl_3$) δ 143.37 (s), 140.59 (s), 139.90 (s), 138.94 (s), 137.44 (s), 136.35 (s), 134.35 (s), 132.97 (s), 132.65 (s), 132.49 (d), 129.81 (d), 128.47 (d), 128.42 (d), 128.37 (d), 127.79 (d), 127.62 (d) 126.28 (d), 126.02 (d), 125.99 (d) 125.78 (d), 120.75 (d), 117.42 (d), 69.31 (t), 67.17 (t), 48.91 (d); **IR** (ATR, $cm^{-1}$): v = 3062, 2956, 2928, 2853, 1712, 1677, 1625, 1599, 1490, 1448, 1419, 1322, 1248, 1157, 1114, 1087, 1014, 933, 876, 808, 758, 740, 702, 673; **HR-MS** (EI) m/z calcd for $C_{27}H_{22}NOCl$: 411.1384; found: 411.1383.

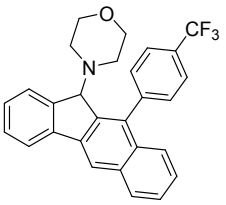
**6p**




**6q** was synthesizing according to the general procedure **GP1** using 41.2 mg of **1a** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 41.1 mg phenylacetylene derivative **3q** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 48 hours, while the second step was stirred, at 120 °C for 72 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 30:1).

**Appearance**: yellow/greenish solid; **Yield**: 16% (13 mg); **$^1$H NMR** (400 MHz, $CDCl_3$) δ 8.01 (d, J = 4.7 Hz, 2H), 7.76 (d, J = 8.7 Hz, 1H), 7.63 – 7.49 (m, 4H), 7.41 – 7.22 (m, 6H), 6.96 (t, J = 7.6 Hz, 1H), 6.30 (d, J = 7.8 Hz, 1H), 4.93 (s, 1H), 3.66 – 3.49 (m, 4H), 2.70 – 2.52 (m, J = 3.8 Hz, 4H); **$^{13}$C NMR** (101 MHz, $CDCl_3$) δ 144.77 (s), 141.92 (s), 140.50 (s), 137.98 (s), 137.57 (s), 131.87 (s), 130.95 (s), 129.97 (d), 129.64 (2C; d), 129.31 (2C; d), 129.27 (d), 128.15 (d), 126.41 (d), 125.95 (d), 125.19 (d), 124.18 (d), 123.85 (d, J = 56.2 Hz), 128.15 (d), 120.75 (s), 117.42 (s), 69.31 (t), 67.77 (t), 49.44 (d); **IR** (Reflection, $cm^{-1}$): v = 2937, 2850, 2831, 2244, 1609, 1581, 1488, 1471, 1415, 1376, 1339, 1324, 1268, 1249, 1208, 1152, 1106, 1052, 1033, 1004, 986, 905, 862, 834, 798, 769, 730, 706, 657; **HR-MS** (EI) m/z calcd for $C_{27}H_{22}NOCl$: 411.1384; found: 411.1414.

**5q**

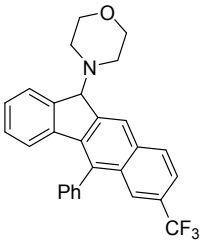



**5q** was synthesizing according to the general procedure **GP1** using 41.2 mg of **1a** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 51 mg phenylacetylene derivative **3q** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 48 hours, while the second step was stirred, at 120 °C for 72 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 30:1).

**Appearance**: yellowish solid; **Yield**: 35% (31 mg); **$^1$H NMR** (400 MHz, $CDCl_3$) δ 8.13 (s, 1H), 7.96 (d, J = 8.1 Hz, 1H), 7.90 (d, J = 7.6 Hz, 1H), 7.82 (d, J = 8.0 Hz, 1H), 7.78 (d, J = 8.0 Hz, 1H), 7.61 (d, J = 8.3 Hz, 2H), 7.56 (dd, J = 11.2, 7.9 Hz, 2H), 7.53 – 7.48 (m, 1H), 7.44 (t, J = 7.5 Hz, 1H), 7.39 (ddd, J = 8.2, 6.9, 1.2 Hz, 1H), 7.32 (td, J = 7.4, 0.8 Hz, 1H), 4.98 (s, 1H), 3.37 – 3.27 (m, 2H), 3.16 – 3.04 (m, 2H), 2.41 (s, 2H), 2.24 – 2.12 (m, 2H); **$^{13}$C NMR** (101 MHz, $CDCl_3$) δ 143.23 (s), 143.06 (s), 140.51 (s), 139.94 (s), 138.93 (s), 136.03 (s), 134.36 (s), 132.17 (s), 131.64 (d), 129.39 (s; $J_{C\text{-}F(q)}$ = 32.5 Hz), 128.76 (d), 128.48 (d), 128.44 (d), 127.70 (d), 126.30 (d), 126.13 (d), 125.95 (d), 125.81

(d), 125.29 (d; $J_{C-F(q)}$ = 3.8 Hz), 124.47 (d; $J_{C-F(q)}$ = 3.7 Hz), 120.81 (d), 117.70 (d), 69.27 (t), 67.02 (t), 48.89 (d); **IR** (ATR, $cm^{-1}$): v = 2922, 2852, 2851, 1678, 1620, 1466, 1407, 1324, 1261, 1164, 1107, 1066, 1020, 855, 792, 767, 742, 702, 617; **HR-MS** (EI) m/z for $C_{28}H_{22}NOF_3$: 445.1648; found: 445.1646.

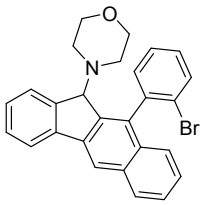
**6q**




**6q** was synthesizing according to the general procedure **GP1** using 41.2 mg of **1a** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 51 mg phenylacetylene derivative **3q** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 48 hours, while the second step was stirred, at 120 °C for 72 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 30:1).

**Appearance**: yellowish solid; **Yield**: 20% (18 mg); **$^1$H NMR** (400 MHz, $CDCl_3$) δ 8.17 (s, 1H), 8.02 (d, J = 8.5 Hz, 1H), 7.80 (d, J = 0.5 Hz, 1H), 7.69 – 7.58 (m, 5H), 7.45 – 7.40 (m, 1H), 7.38 – 7.34 (m, 1H), 7.28 – 7.23 (m, 1H), 7.05 (t, J = 7.5 Hz, 1H), 6.39 (d, J = 7.8 Hz, 1H), 5.05 (s, 1H), 3.74 – 3.66 (m, 4H), 2.78 – 2.68 (m, 4H); **$^{13}$C NMR** (101 MHz, $CDCl_3$) δ 145.60 (s), 144.67 (s), 144.04 (s), 140.29 (s), 137.88 (s), 137.59 (s), 134.36 (s), 133.90 (s), 132.60 (s), 129.90 (d), 129.88 (d), 129.36 (d), 129.32 (d), 129.15 (d), 128.34 (d), 128.19 (d), 127.82 (d), 125.98 (d), 125.58 (d), 124.14 (d), 123.89 (d, $J_{C-F(q)}$ = 4.5 Hz), 123.72 (s), 121.18 (d $J_{C-F(q)}$ = 3.1 Hz), 69.38 (t), 67.75 (t), 49.47 (d); **IR** (ATR, $cm^{-1}$): v = 2958, 2922, 2851, 1720, 1680, 1471, 1451, 1415, 1318, 1274, 1163, 1115, 1070, 1014, 905, 819, 763, 741, 704; **HR-MS** (EI) m/z calcd for $C_{28}H_{22}F_3NO$: 445.1637; found: 445.1641.

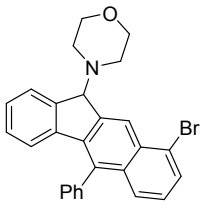
**5r**




**5r** was synthesizing according to the general procedure **GP1** using 41.2 mg of **1a** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 54.3 mg phenylacetylene derivative **3r** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 48 hours, while the second step was stirred, at 120 °C for 72 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 30:1).

**Appearance**: yellowish solid; **Yield**: 23% (21 mg); **$^{1}$H NMR** (400 MHz, $CDCl_3$) δ 8.15 (s, 1H), 7.97 (d, J = 8.1 Hz, 1H), 7.91 (d, J = 7.6 Hz, 1H), 7.76 (d, J = 7.9 Hz, 1H), 7.58 (d, J = 7.4 Hz, 1H), 7.54 – 7.30 (m, 9H), 5.03 (s, 1H), 3.47 – 3.28 (m, 2H), 3.23 – 3.03 (m, 2H), 2.51 – 2.39 (m, 2H), 2.37 – 2.23 (m, J = 9.0, 5.9 Hz, 2H); **$^{13}$C NMR** (101 MHz, $CDCl_3$) δ 143.47 (s), 140.62 (s), 140.12 (s), 139.28 (s), 138.76 (s), 136.04 (s), 134.30 (s), 133.53 (d), 132.60 (d), 128.87 (s), 128.39 (d), 128.28 (d), 127.54 (2C; d), 126.35 (d), 126.01 (d), 125.85 (d), 125.73 (d), 122.88 (s), 120.77 (d), 117.73 (d), 70.00 (t), 67.02 (t), 49.18 (d); **IR** (ATR, $cm^{-1}$): v = 2952, 2858, 2812, 1578, 1504, 1472, 1448, 1432, 1410, 1368, 1345, 1324, 1294, 1250, 1179, 1137, 1107, 1068, 1049, 1026, 1007, 953, 904, 877, 865, 851, 789, 757, 734, 699, 686, 653, 636; **HR-MS** (EI) m/z calcd for $C_{27}H_{22}NOBr$: 455.0879; found: 455.0886.

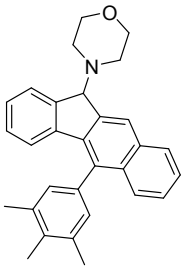
**6r**



**6r** was synthesizing according to the general procedure **GP1** using 41.2 mg of **1a** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 54.3 mg phenylacetylene derivative **3r** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 48 hours, while the second step was stirred, at 120 °C for 72 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 30:1).

**Appearance**: yellowish solid; **Yield**: 13% (12 mg); purification not possible – mixture with the 5r was delivered; **HR-MS** (EI) m/z calcd for $C_{27}H_{22}NOBr$: 455.0879; found: 455.0880

**6s**

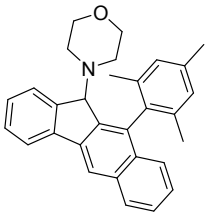



**6t** was synthesizing according to the general procedure **GP1** using 49.6 mg of **1t** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 30.6 mg phenylacetylene **3a** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 48 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 30:1).

**Appearance**: pale white/yellowish solid; **Yield**: 97% (82 mg); **$^1$H NMR** (600 MHz, $CDCl_3$) δ 8.10 (s, 1H), 7.92 (d, J = 8.1 Hz, 1H), 7.65 (d, J = 7.4 Hz, 1H), 7.50 – 7.44 (m, 1H), 7.40 (d, J = 8.2 Hz, 1H), 7.35 (dd, J = 11.0, 4.0 Hz, 1H), 7.22 (s, 1H), 7.12 – 7.05 (m, 3H), 6.41 (d, J = 7.8 Hz, 1H), 5.06 (s, 1H), 3.75 – 3.66 (m, 4H), 2.75 – 2.64 (m, J = 2.9 Hz, 4H), 2.47 (s, 3H), 1.80 (s, 3H), 1.78 (s, 3H); **$^{13}$C NMR** (151 MHz, $CDCl_3$) δ 144.69 (s), 141.85 (s), 141.55 (s), 137.65 (s), 137.05 (s), 136.90 (s), 136.84 (s), 134.45 (s), 133.44 (s), 132.93 (s), 132.22 (s), 129.05 (2C; d), 128.99 (d), 128.69 (d), 127.58 (d),

126.34 (d), 126.14 (d), 125.98 (d), 125.63 (2C; d), 124.29 (d), 122.65 (d), 69.65 (t), 68.10 (t), 49.54 (d), 21.65 (q), 20.20 (q), 20.14 (q); **IR** (ATR, $cm^{-1}$): v = 2959, 2933, 2917, 2856, 2817, 1612, 1415, 1508, 1374, 1340, 1324, 1291, 1249, 1181, 1146, 1113, 1068, 1011, 997, 932, 887, 864, 769, 740, 656, 613; **HR-MS** (EI) m/z calcd for $C_{30}H_{29}NO$: 419.2244; found: 419.2240.

**5t**




**5t** was synthesizing according to the general procedure **GP1** using 41.2 mg of **1a** (0.2 mmol, 1.0 eq.), 19.4 mg of morpholine (0.22 mmol, 1.1 eq.) and 43.3 mg phenylacetylene derivative **3t** (0.3 mmol, 1.5 eq.). First step was stirred at 70 °C 24 hours, while the second step was stirred, at 120 °C for 48 hours. Purification was accomplished by flash column chromatography (silica gel, PE:EA 20:1).

**Appearance**: pale white/yellowish solid; **Yield**: 60% (81 mg); **$^{1}$H NMR** (600 MHz, $CDCl^{3}$): δ [ppm] = 8.09 (s, 1H), 7.95 (d, J = 8.2 Hz, 1H), 7.89 (d, J = 7.6 Hz, 1H), 7.53 (d, J = 7.4 Hz, 1H), 7.45 (sext, J = 7.2 Hz, 3H), 7.32 (dt, J = 18.3, 7.4 Hz, 2H), 7.02 (d, J = 15.7 Hz, 2H), 4.60 (s, 1H), 3.47 – 3.40 (m, 2H), 3.30 – 3.19 (m, 2H), 2.44 – 2.43 (m, 2H), 2.41 (s, 3H), 2.23 – 2.16 (m, 2H), 1.94 (s, 3H), 1.86 (s, 3H); **$^{13}$C NMR** (151 MHz, $CDCl_3$): δ [ppm] = 143.5 (s), 141.2 (s), 140.1 (s), 139.2 (s), 138.0 (s), 137.1 (s), 136.7 (s), 135.1 (s), 134.8 (s), 134.6 (s), 132.7 (s), 128.8 (d), 128.6 (d), 128.4 (d), 128.0 (d), 127.6 (d), 126.7 (d), 126.2 (d), 126.0 (d), 126.0 (d), 121.0 (d), 117.1 (d), 70.4 (t), 67.3 (t), 50.2 (d), 21.5 (q), 21.4 (q), 20.1 (q); **IR** (ATR, $cm^{-1}$): v = 2958, 2919, 2886, 2854, 2816, 1445, 1371, 1341, 1323, 1247, 1205, 1178, 1113, 1067, 1029, 1010, 922, 888, 874, 856, 791, 762, 735, 700, 629; **HR-MS** (EI) m/z calcd for $C_{30}H_{29}NO$: 419.2244; found: 419.2236

## NMR Spectra

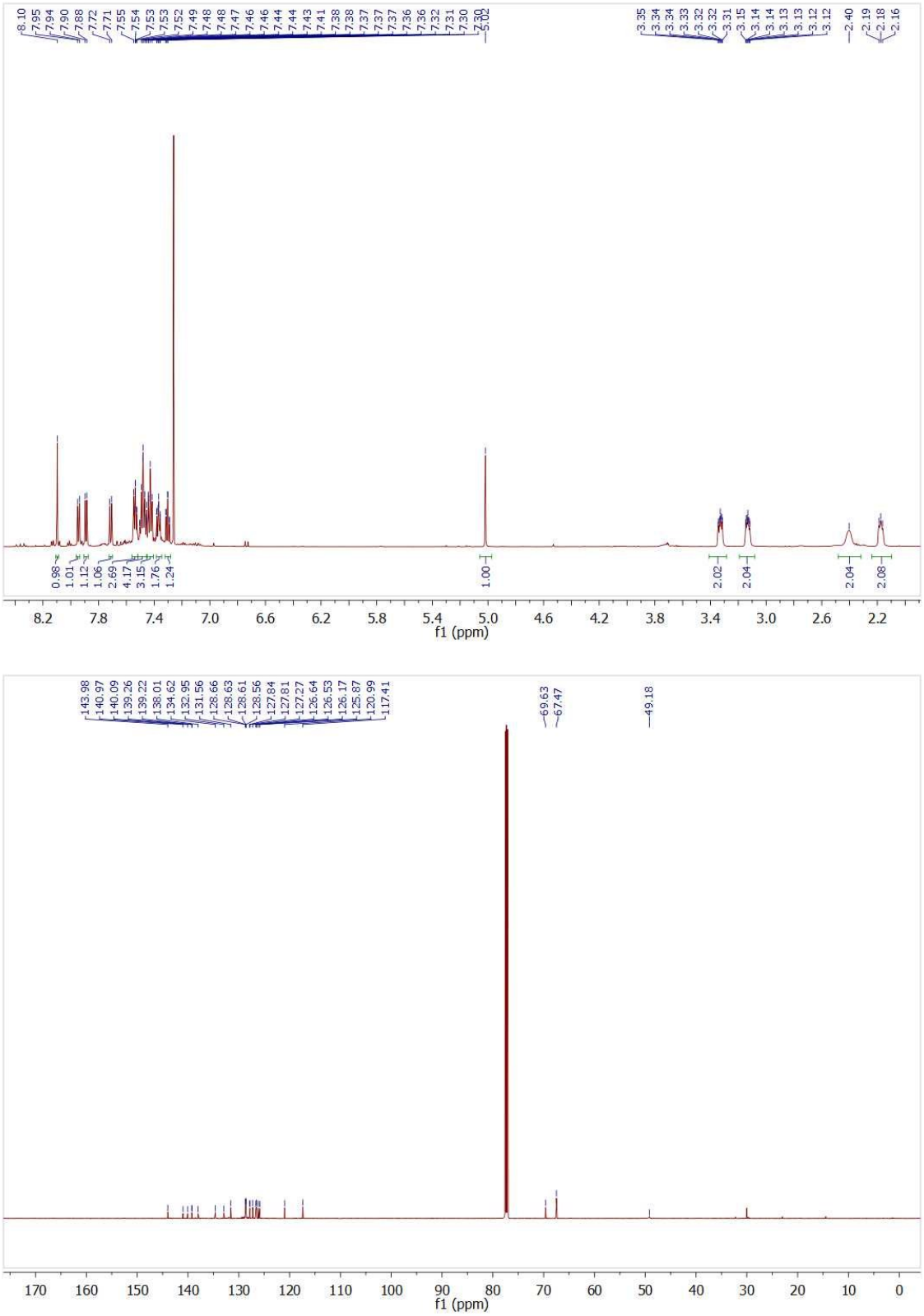



**Figure 1. 1H NMR spectrum (top) and 13C spectrum (bottom) of 5a in CDCl3.**

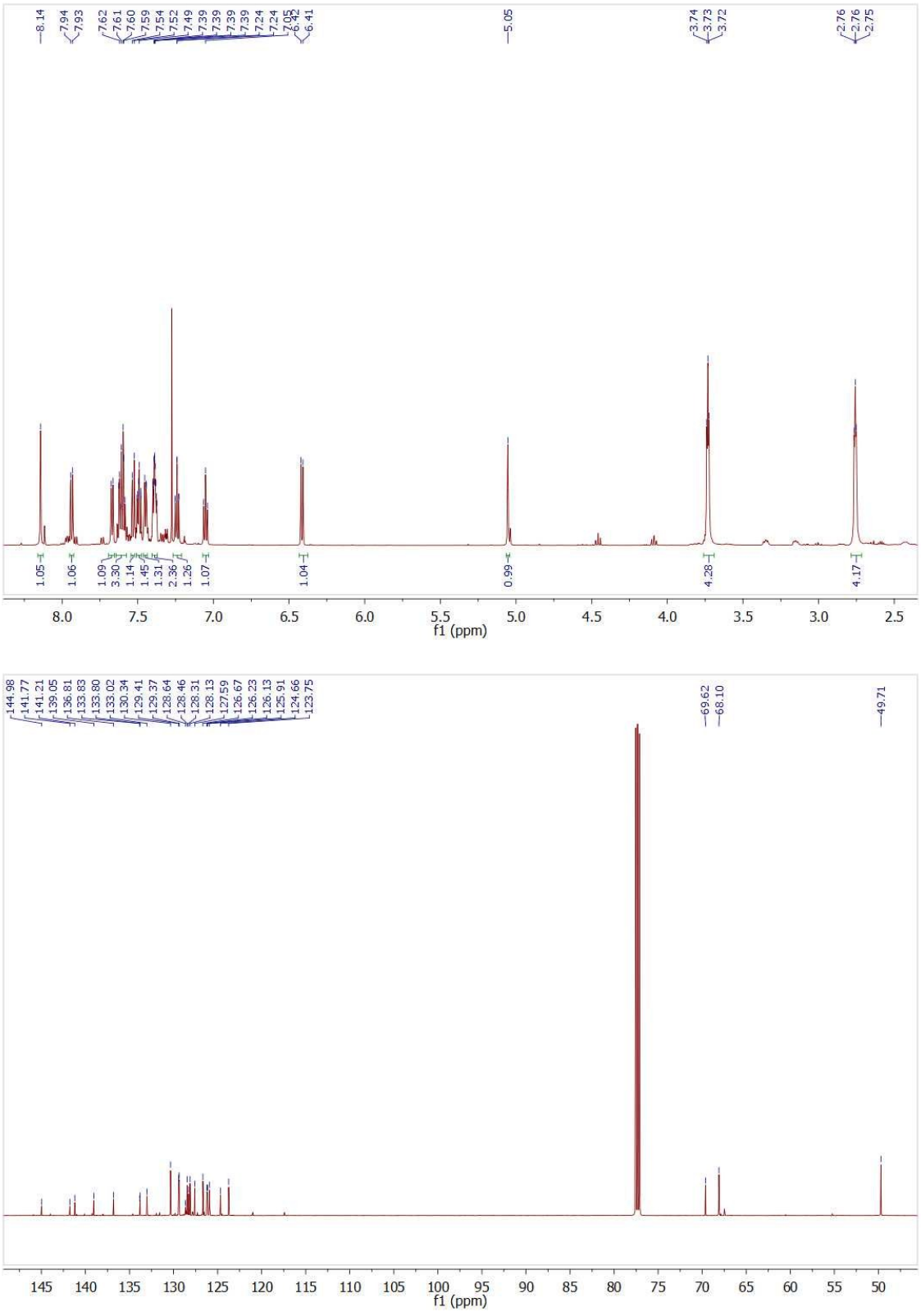



**Figure 2. 1H NMR spectrum (top) and 13C spectrum (bottom) of 6a in CDCl3.**

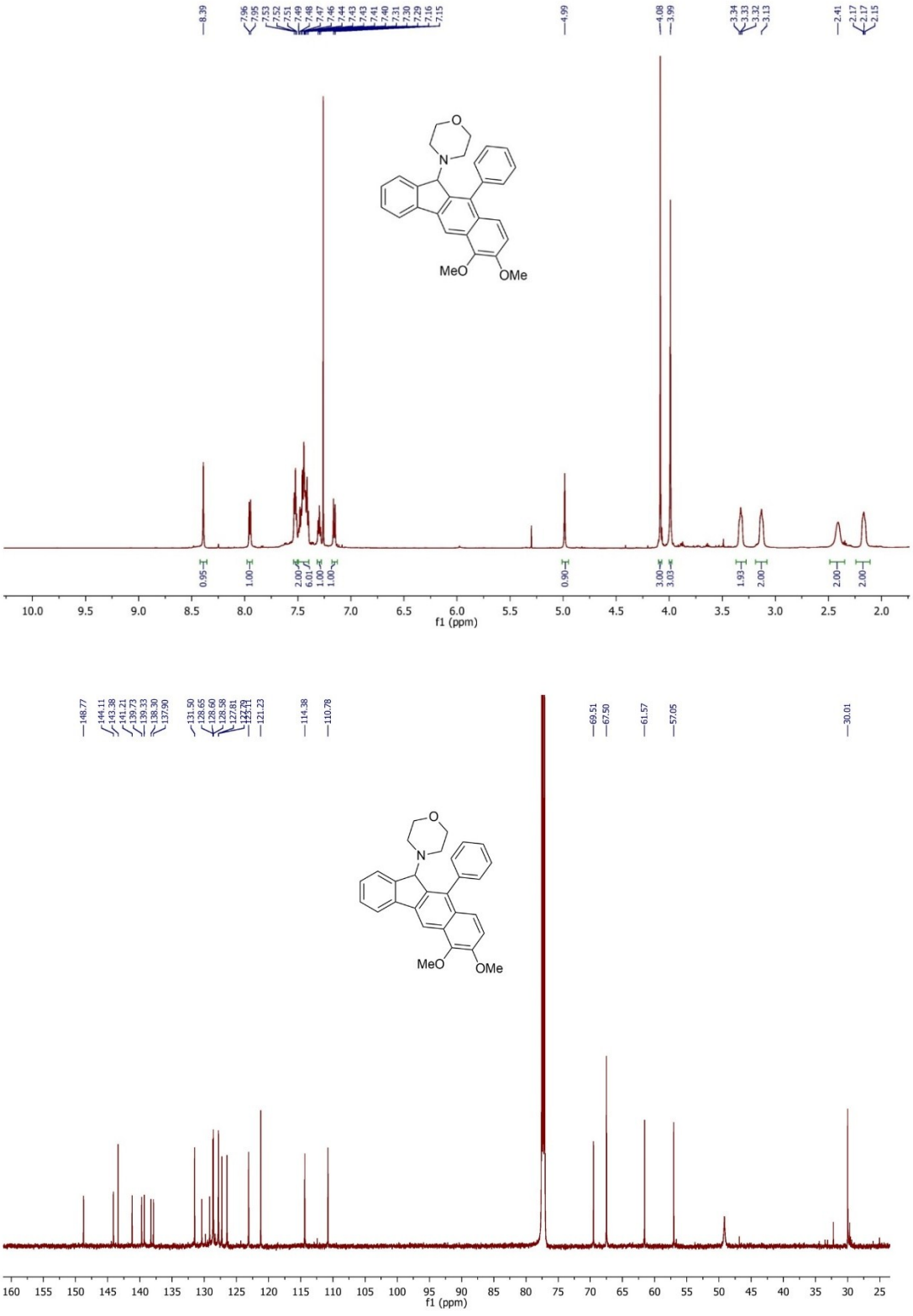



**Figure 3. 1H NMR spectrum (top) and 13C spectrum (bottom) of 5b in CDCl3.**

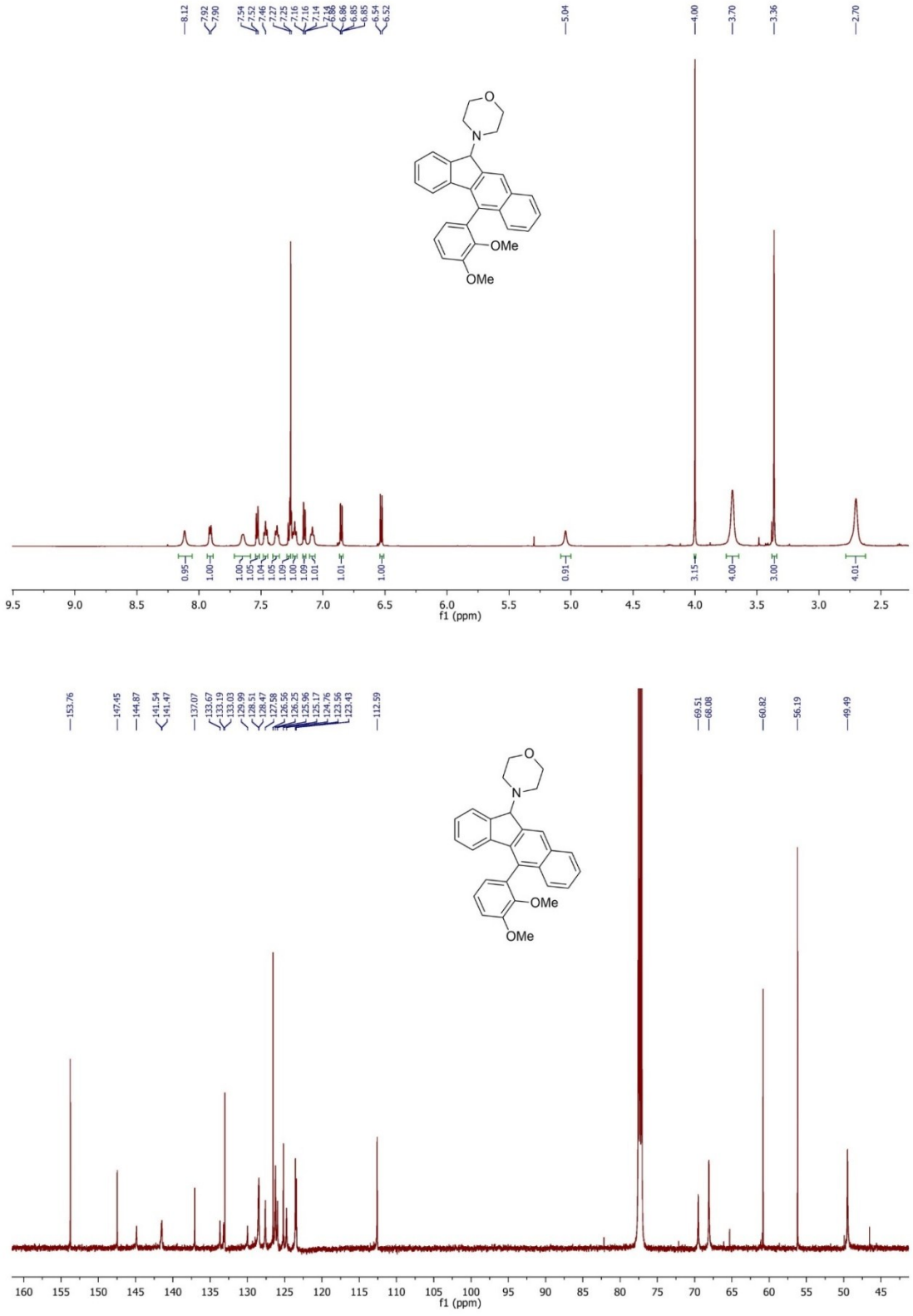



**Figure 4. 1H NMR spectrum (top) and 13C spectrum (bottom) of 6b in CDCl3.**

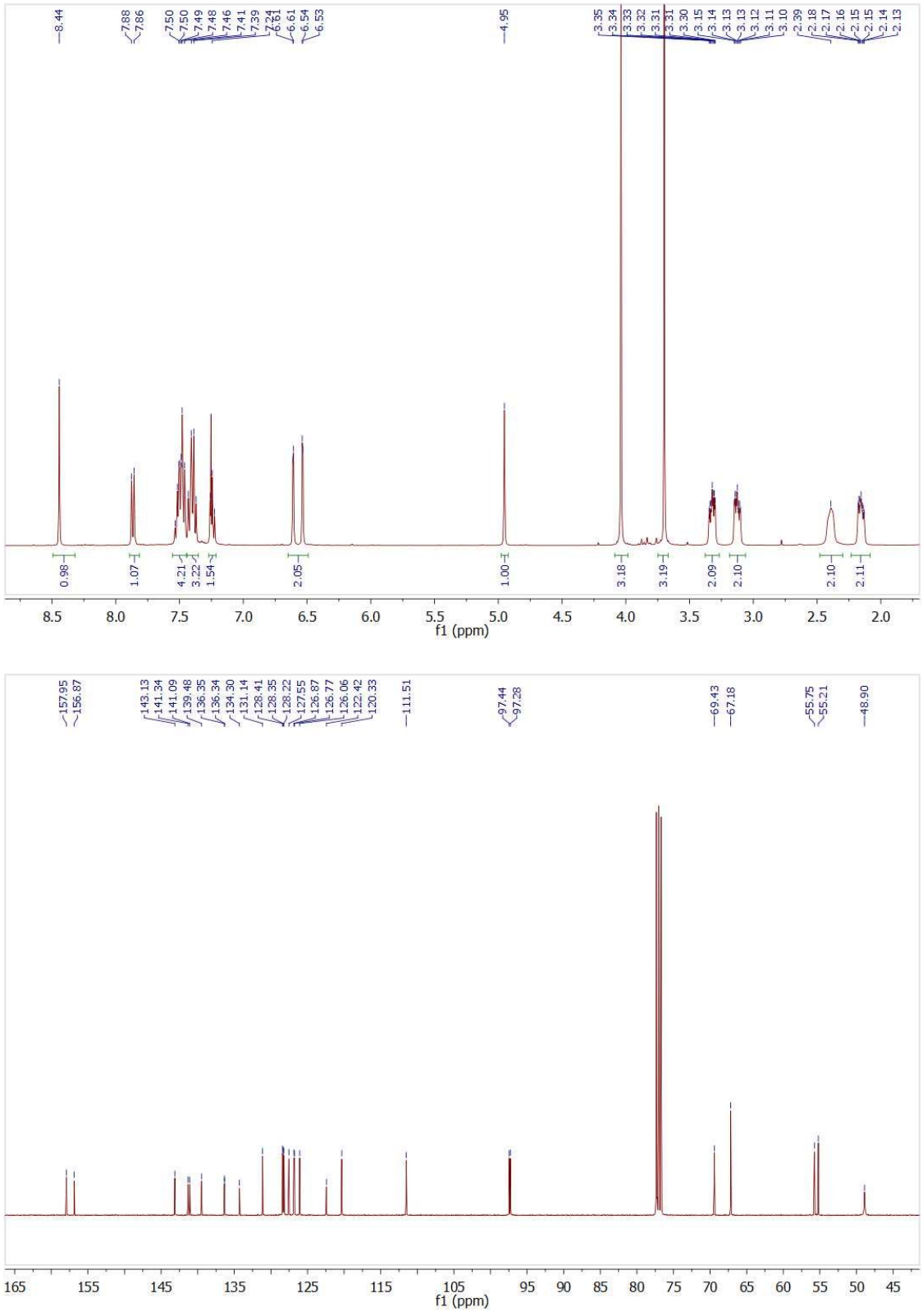



**Figure 5. 1H NMR spectrum (top) and 13C spectrum (bottom) of 5c in CDCl3.**

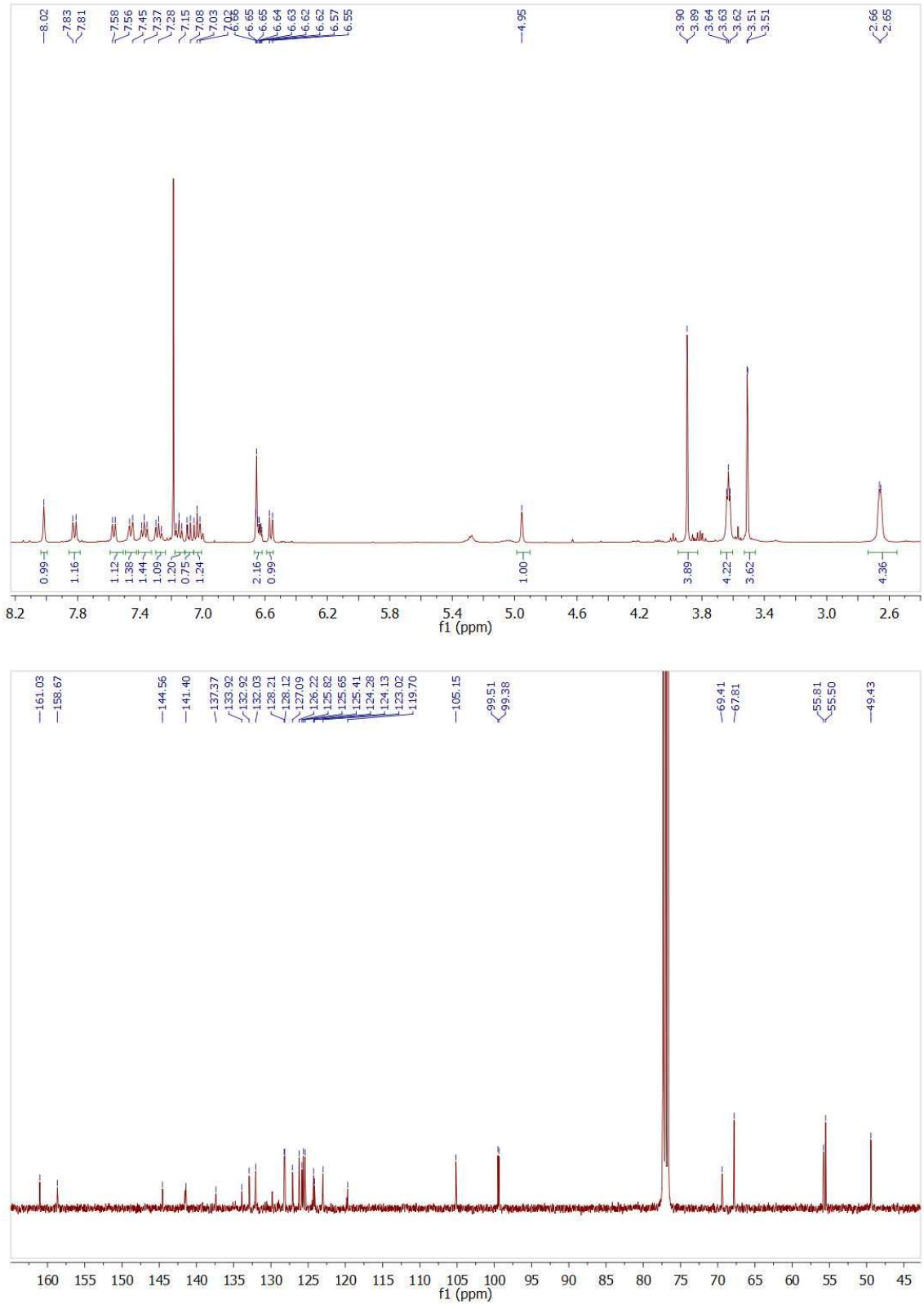



**Figure 6. 1H NMR spectrum (top) and 13C spectrum (bottom) of 6c in CDCl3.**

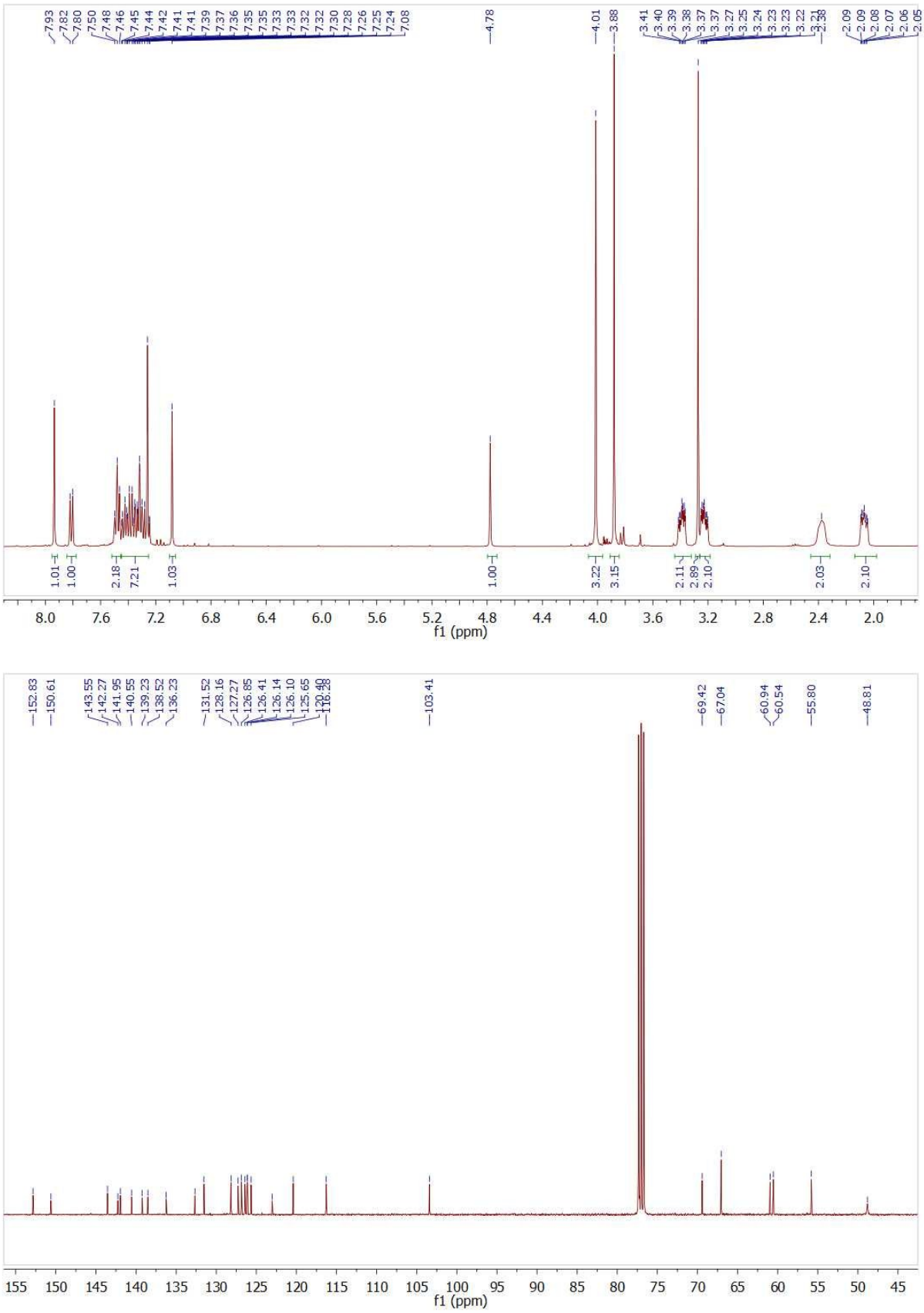


**Figure 7. 1H NMR spectrum (top) and 13C spectrum (bottom) of 5d in CDCl3.**

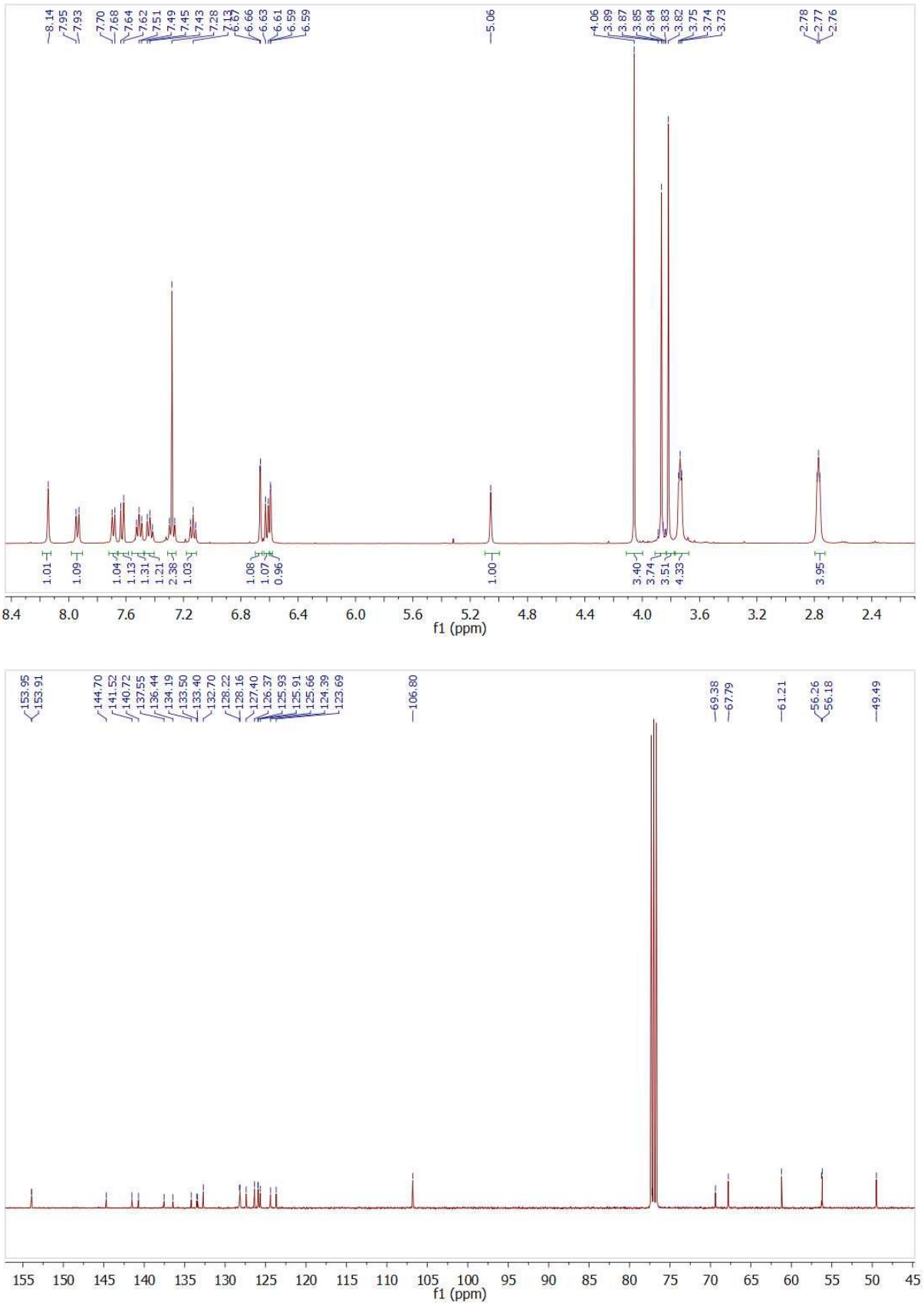



**Figure 8. 1H NMR spectrum (top) and 13C spectrum (bottom) of 6d in CDCl3.**

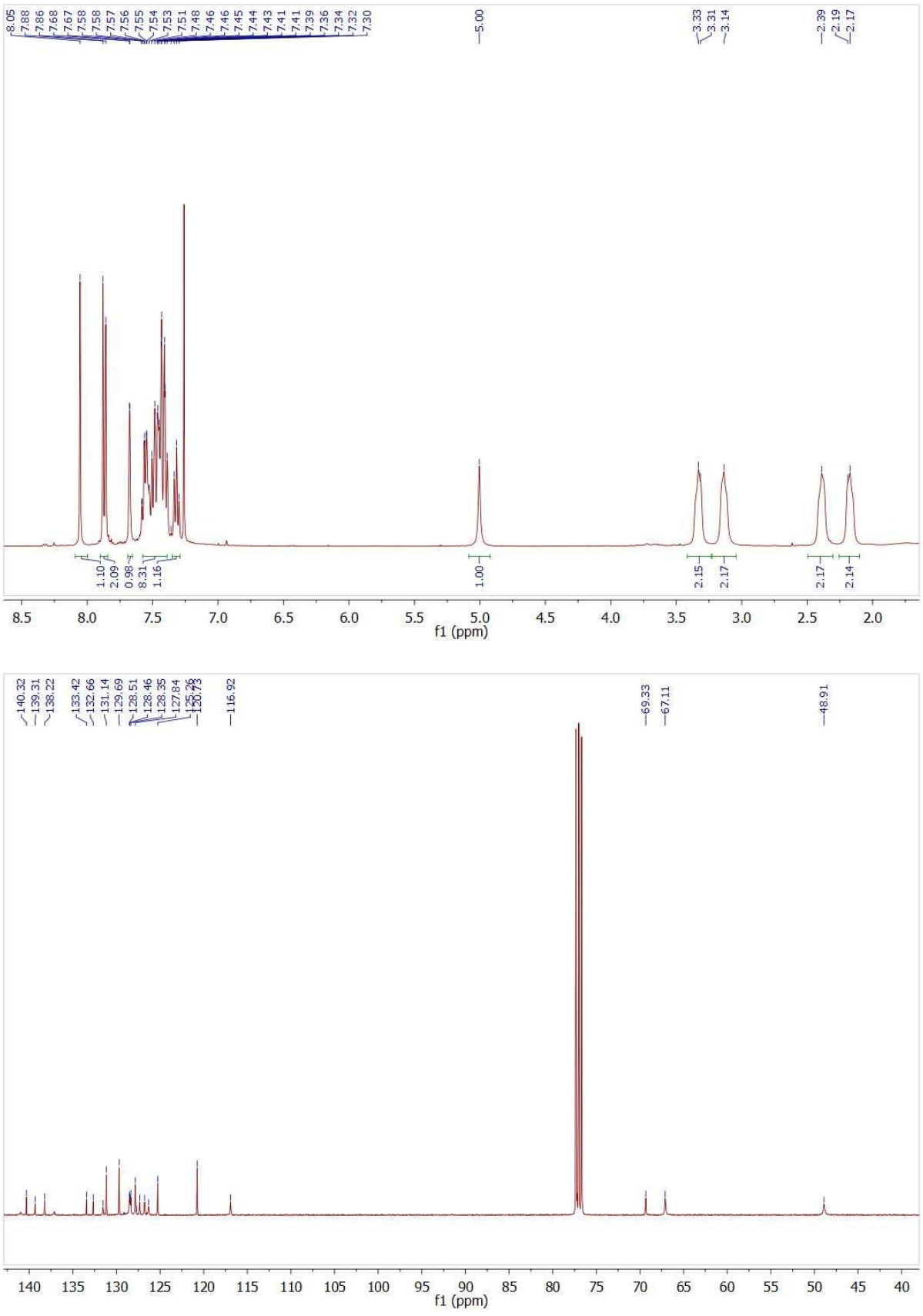



**Figure 9. 1H NMR spectrum (top) and 13C spectrum (bottom) of 5e in CDCl3.**

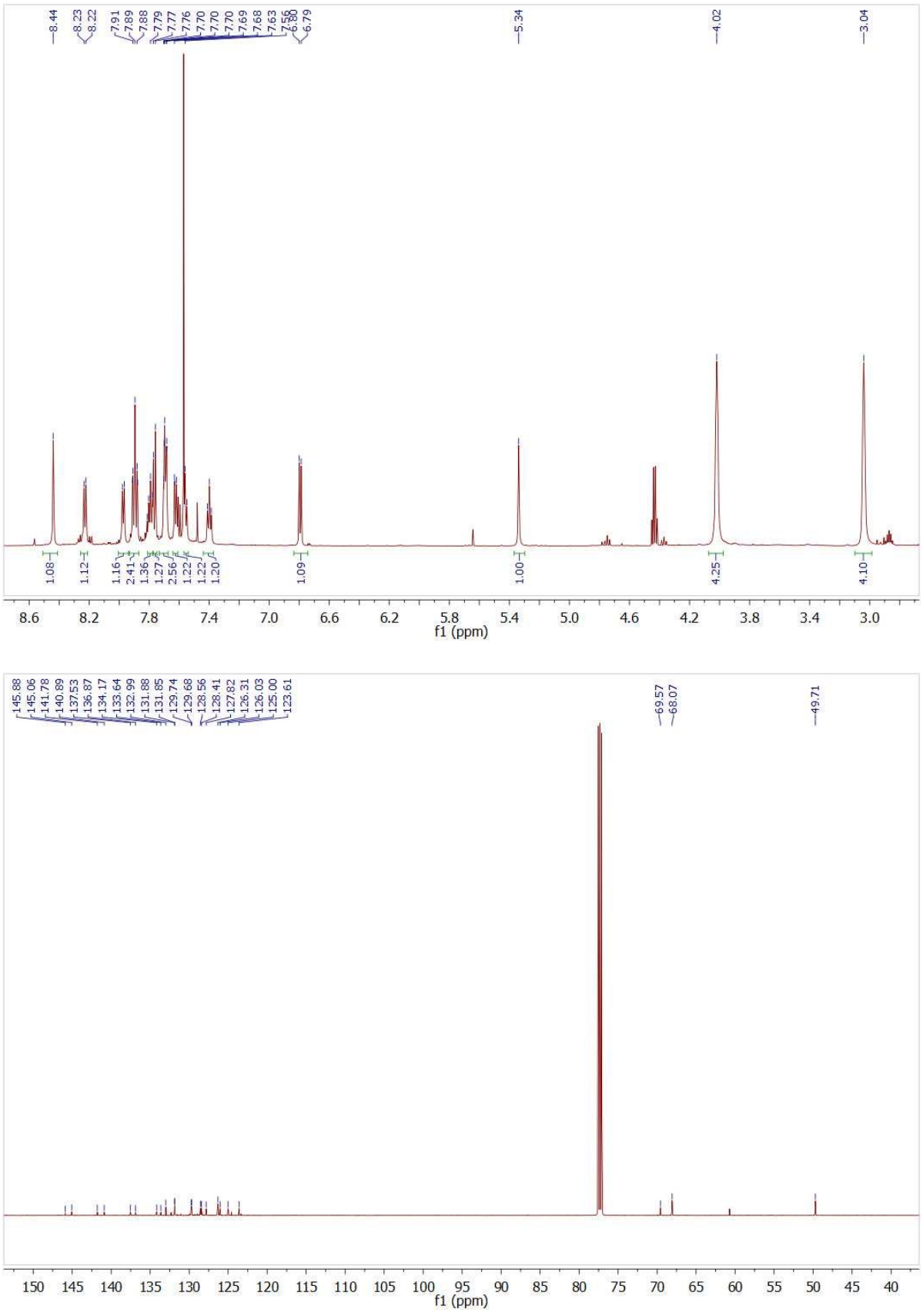



**Figure 10. 1H NMR spectrum (top) and 13C spectrum (bottom) of 6e in CDCl3.**

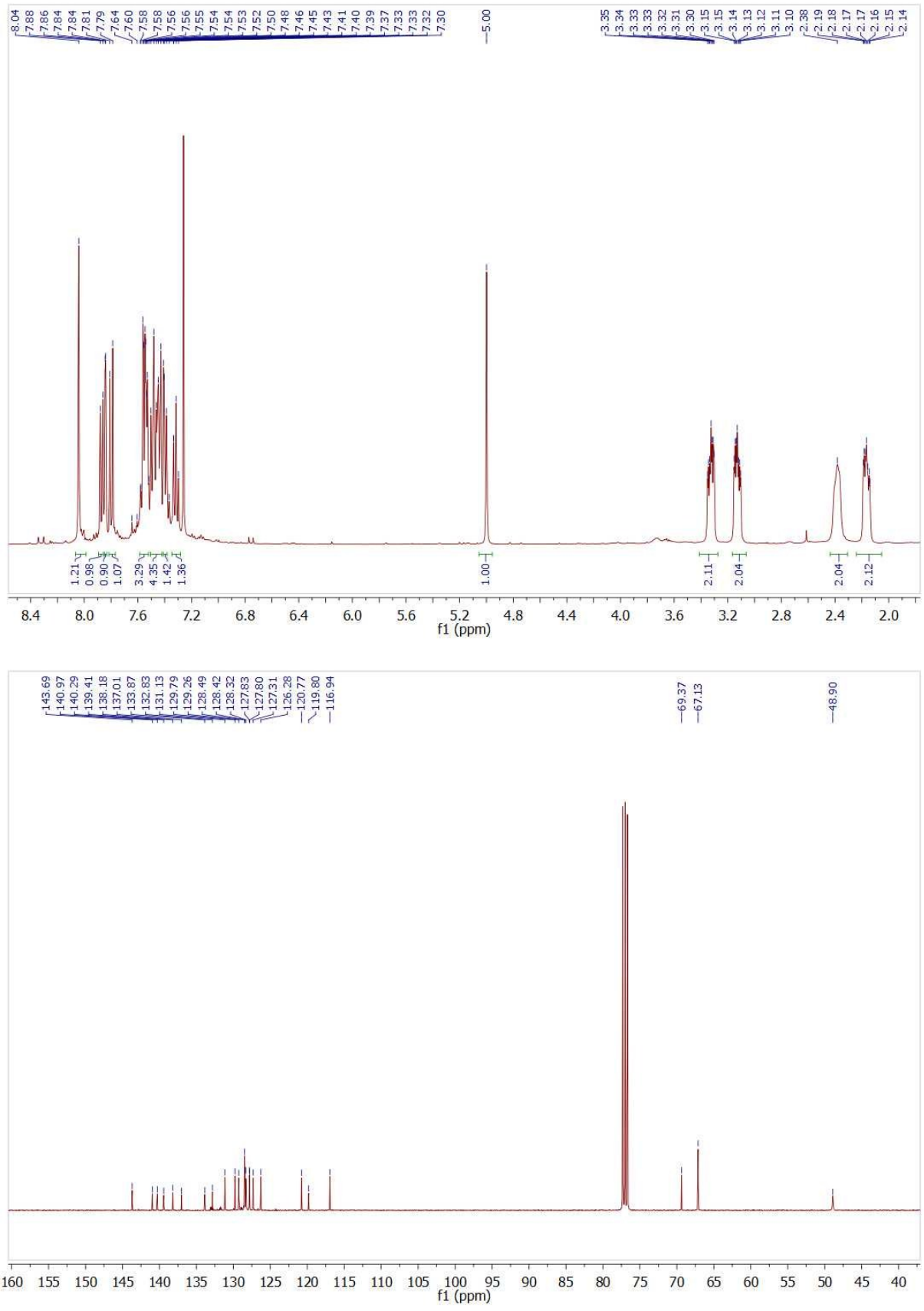


**Figure 11. 1H NMR spectrum (top) and 13C spectrum (bottom) of 5f in CDCl3.**

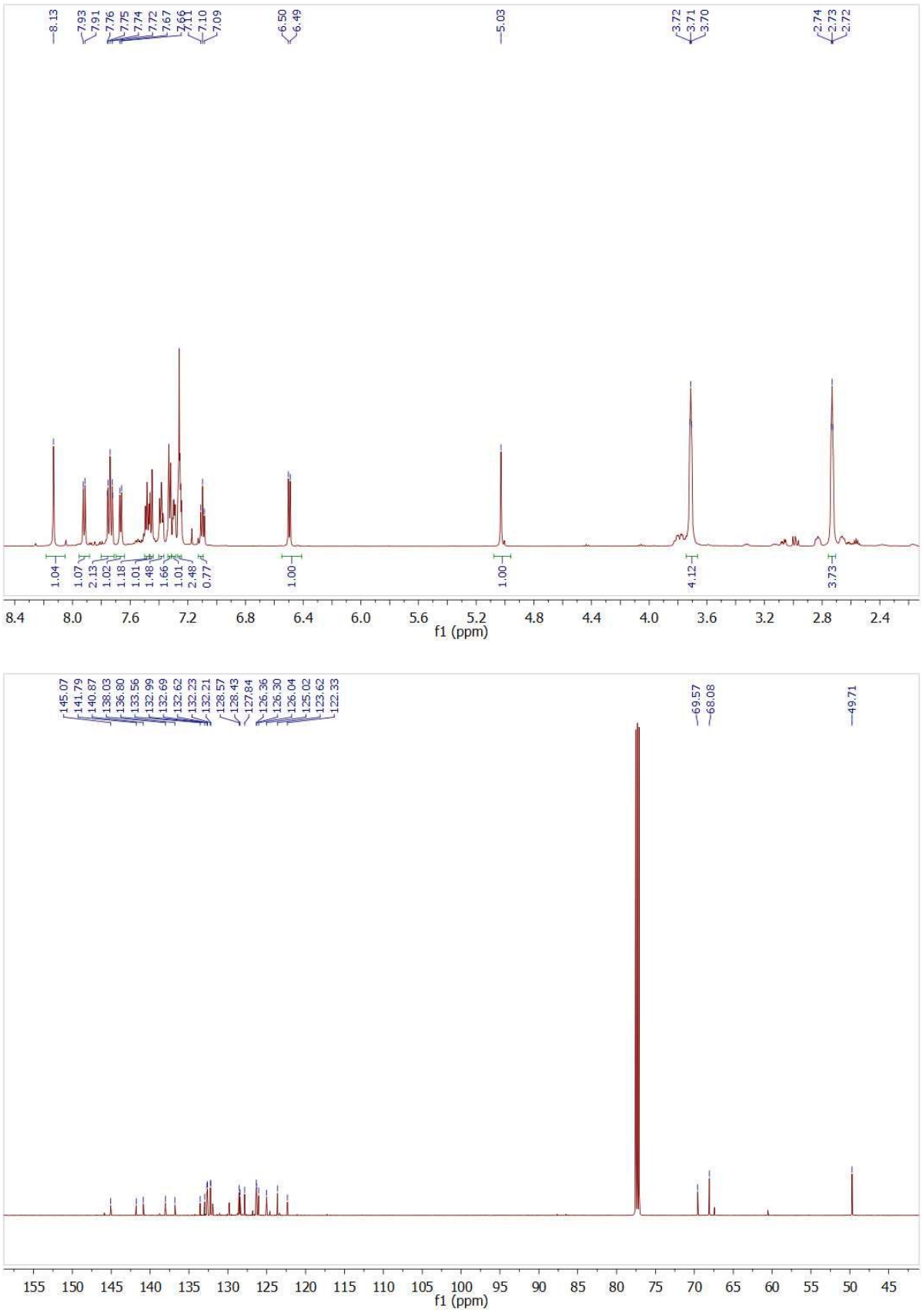



**Figure 11. 1H NMR spectrum (top) and 13C spectrum (bottom) of 6f in CDCl3.**

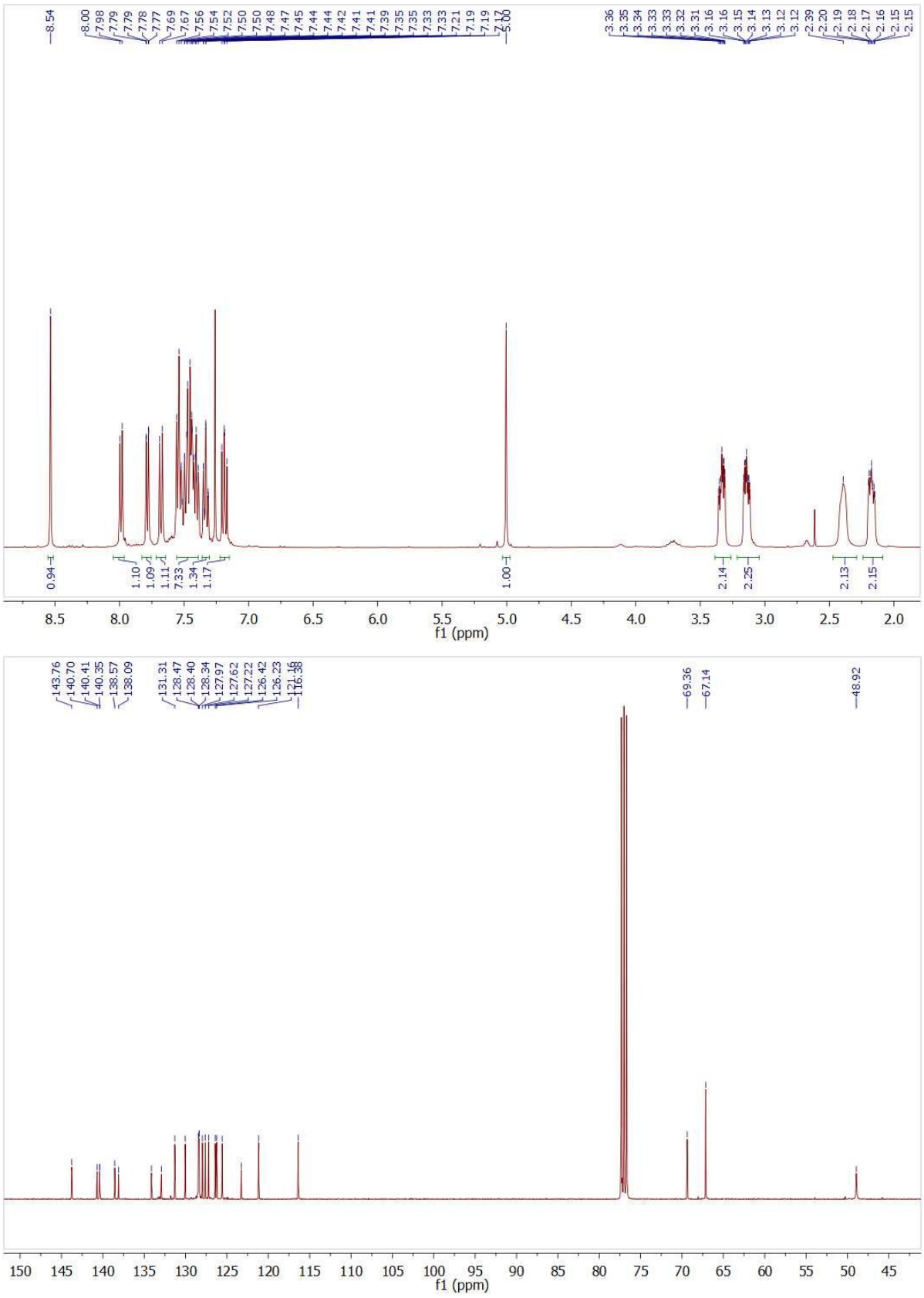



**Figure 13. 1H NMR spectrum (top) and 13C spectrum (bottom) of 5g in CDCl3.**

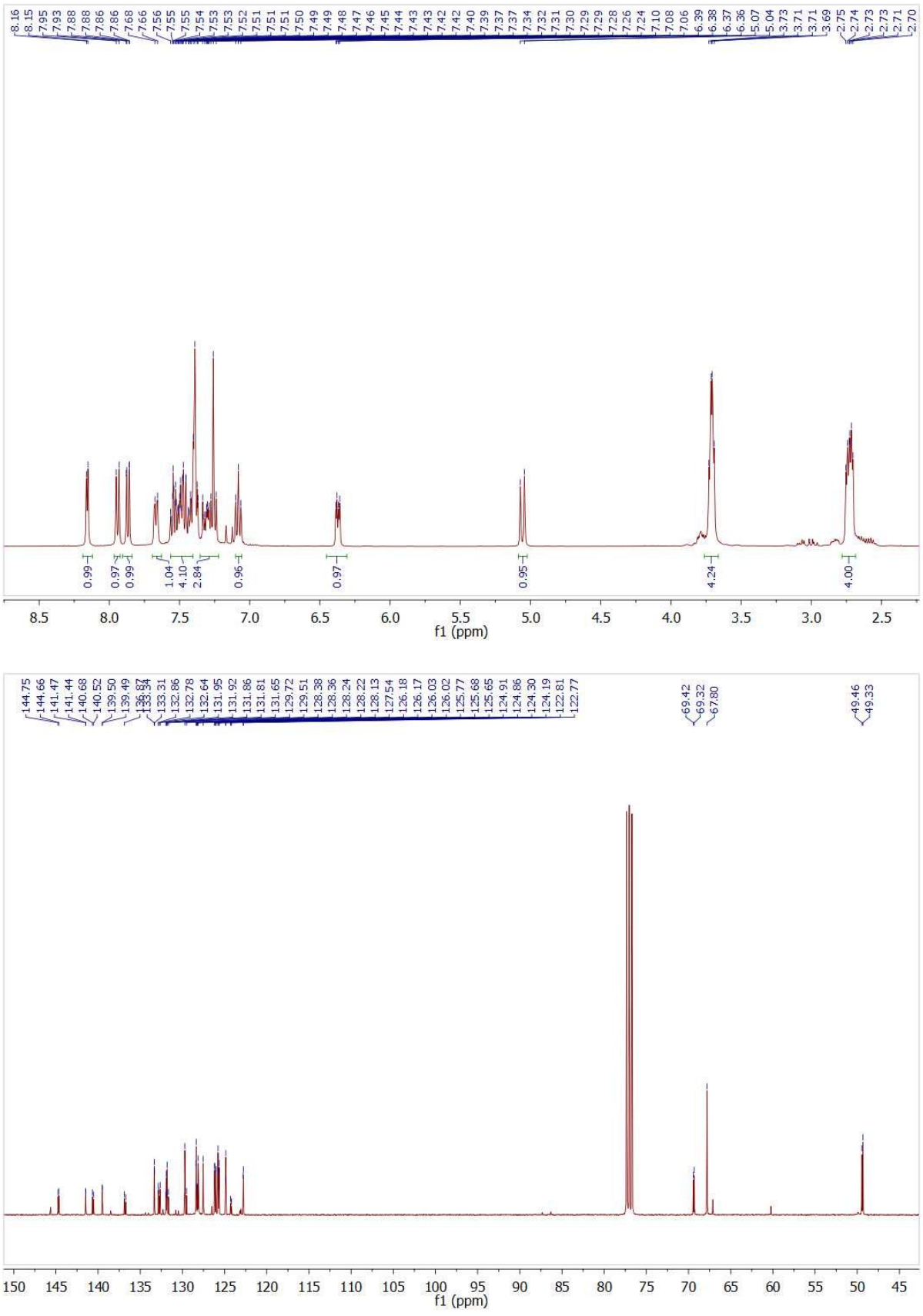



**Figure 14. 1H NMR spectrum (top) and 13C spectrum (bottom) of 6g in CDCl3.**

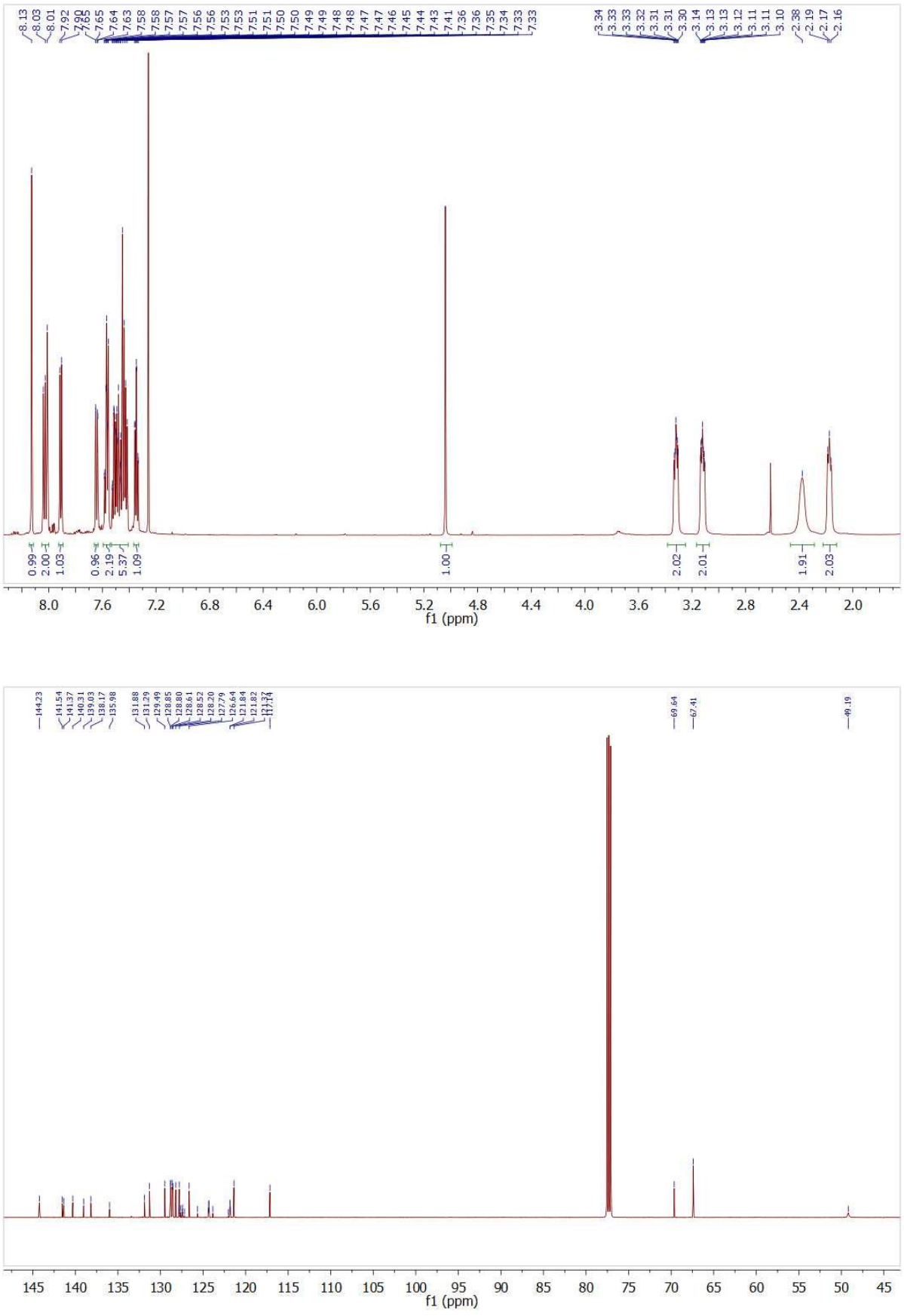


**Figure 15. 1H NMR spectrum (top) and 13C spectrum (bottom) of 5h in CDCl3.**

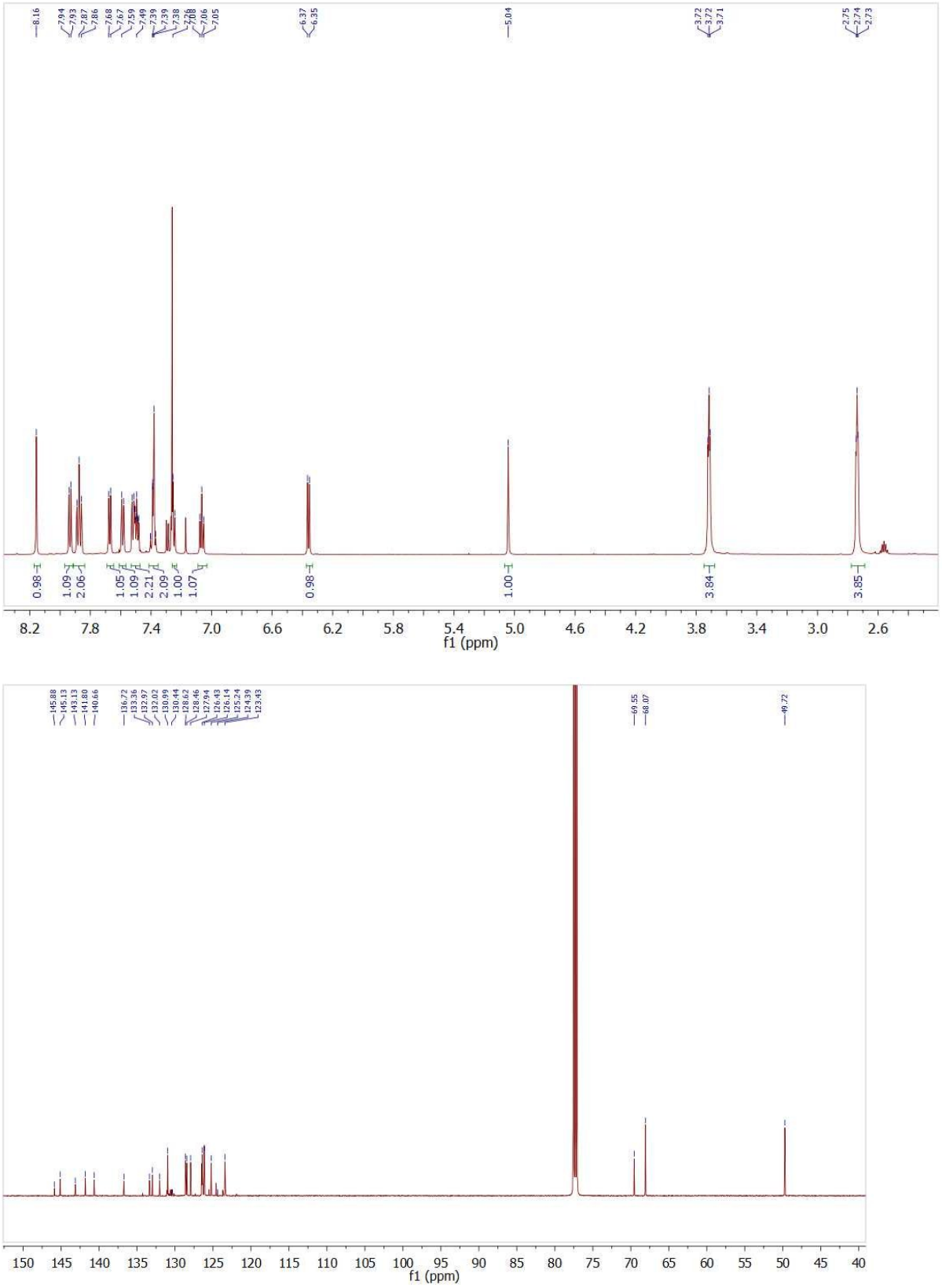



**Figure 16. 1H NMR spectrum (top) and 13C spectrum (bottom) of 6h in CDCl3.**

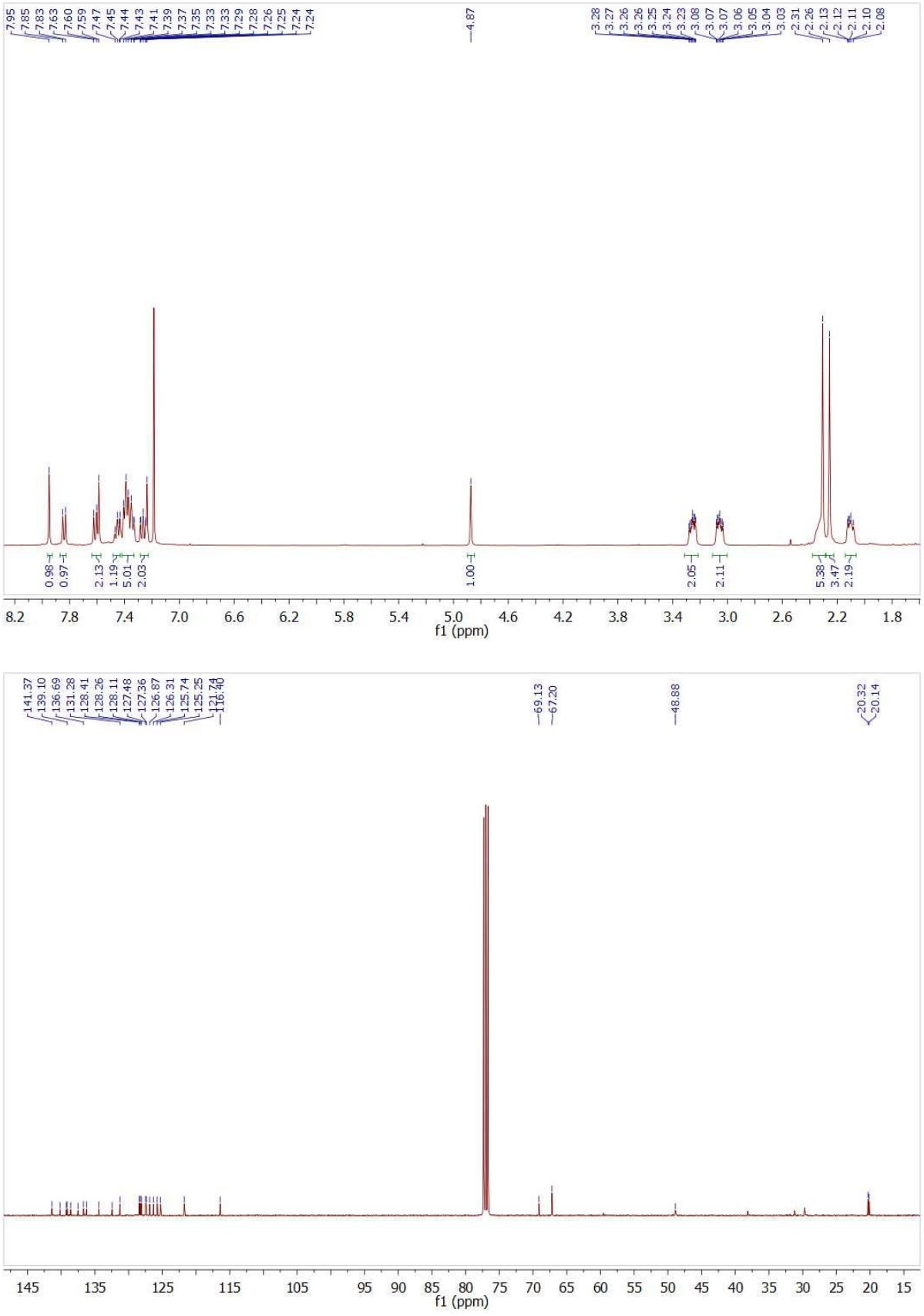



**Figure 17. 1H NMR spectrum (top) and 13C spectrum (bottom) of 5i in CDCl3.**

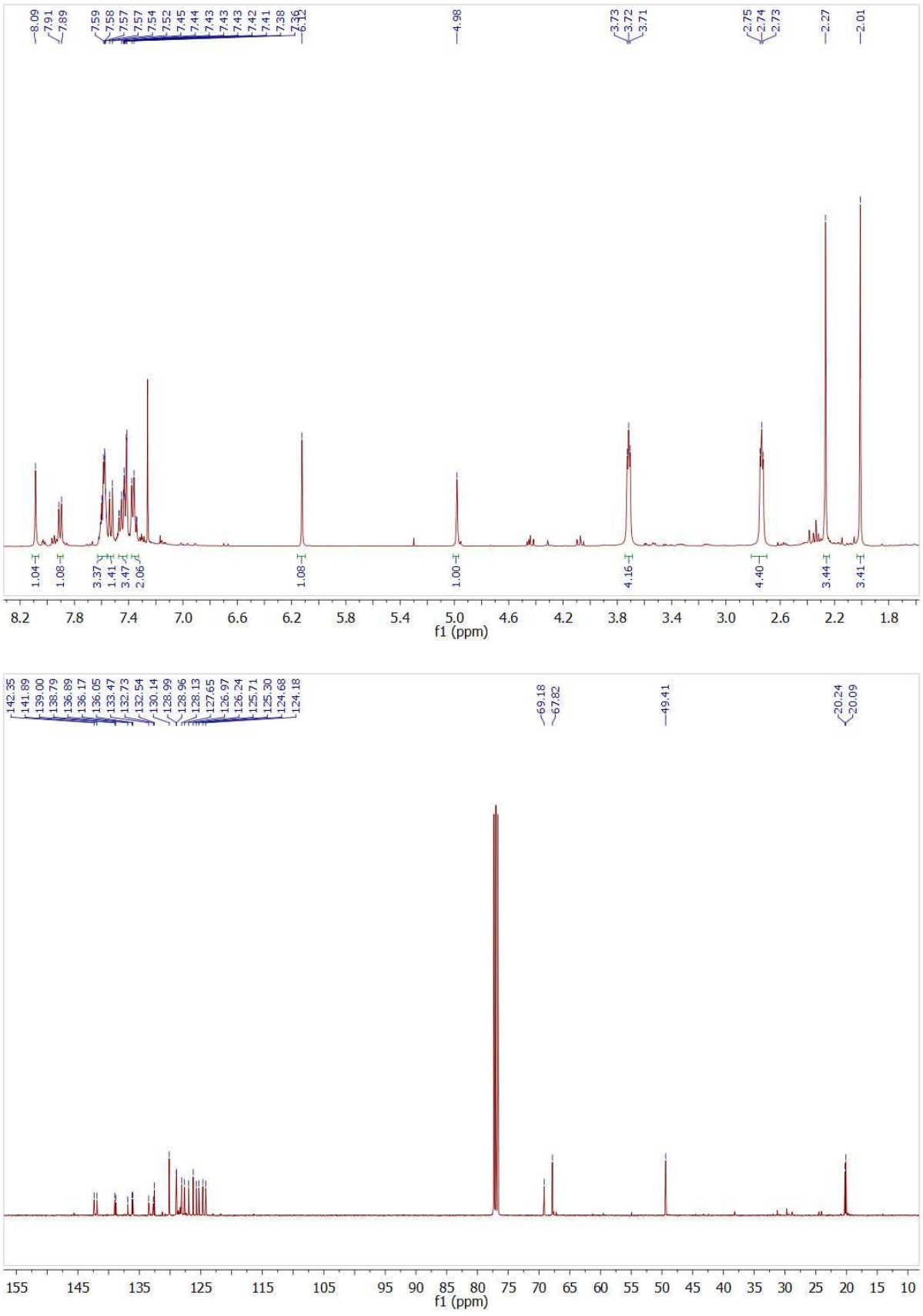



**Figure 18. 1H NMR spectrum (top) and 13C spectrum (bottom) of 6i in CDCl3.**

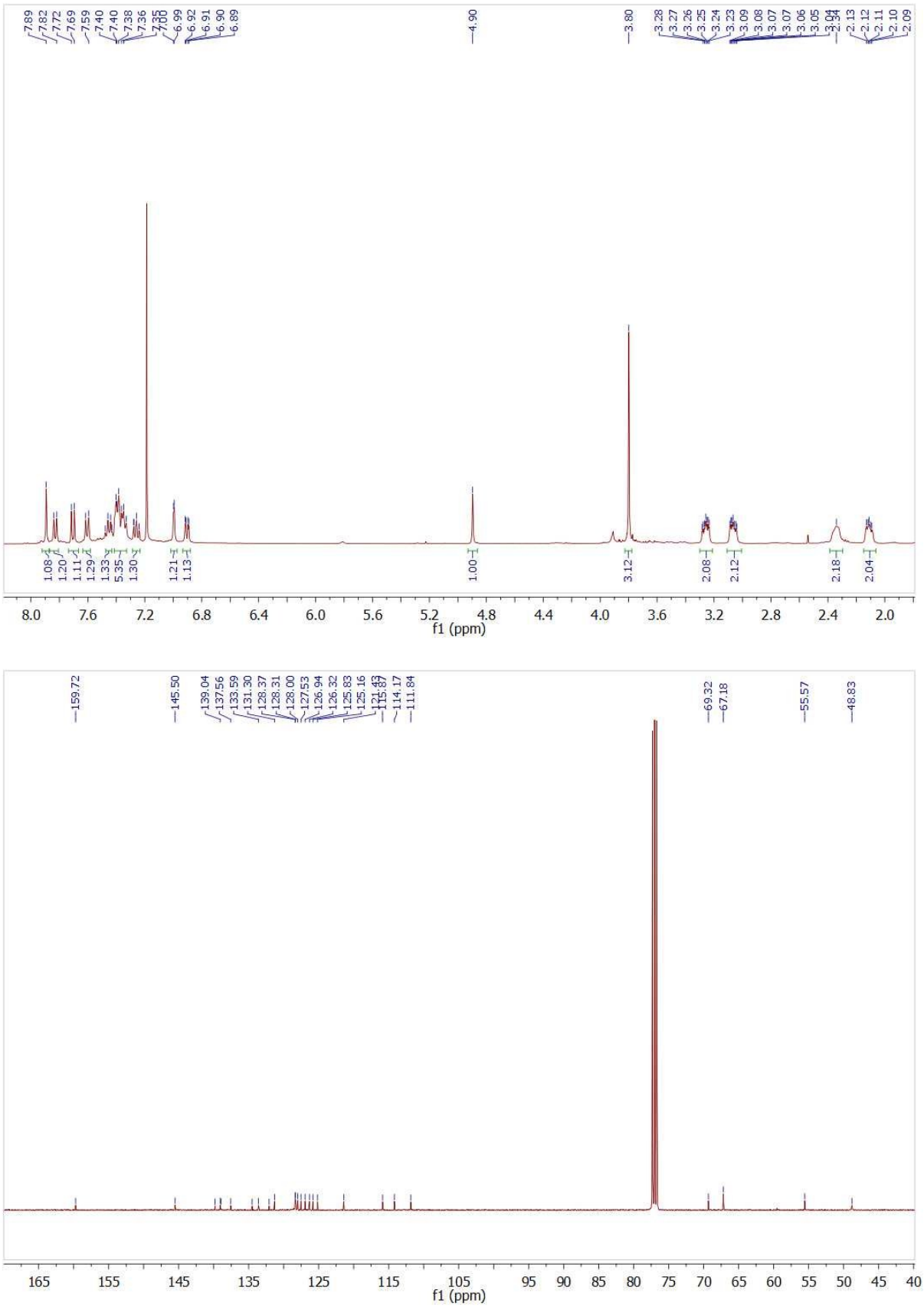



**Figure 19. 1H NMR spectrum (top) and 13C spectrum (bottom) of 5j in CDCl3.**

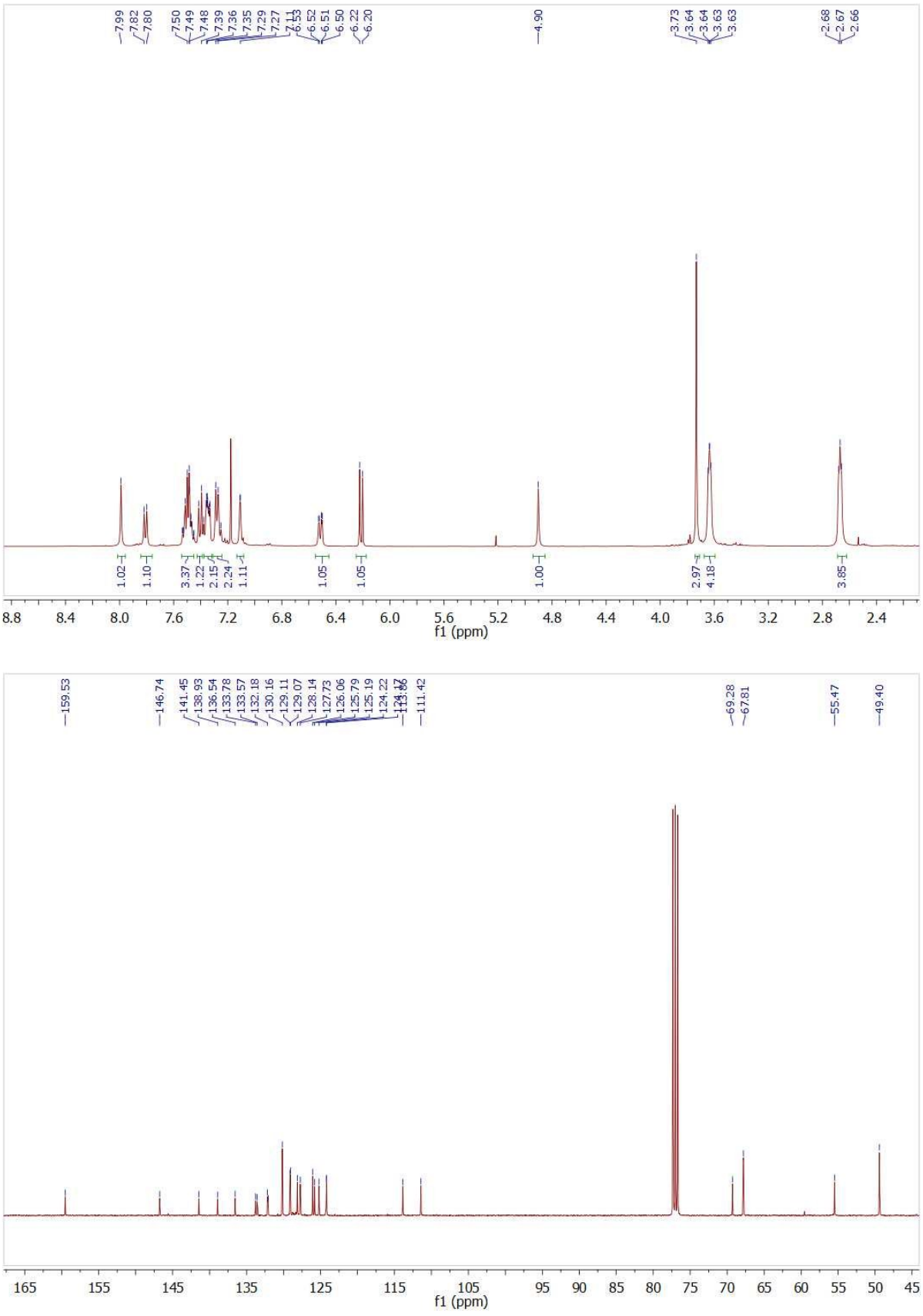



**Figure 20. 1H NMR spectrum (top) and 13C spectrum (bottom) of 6j in CDCl3.**

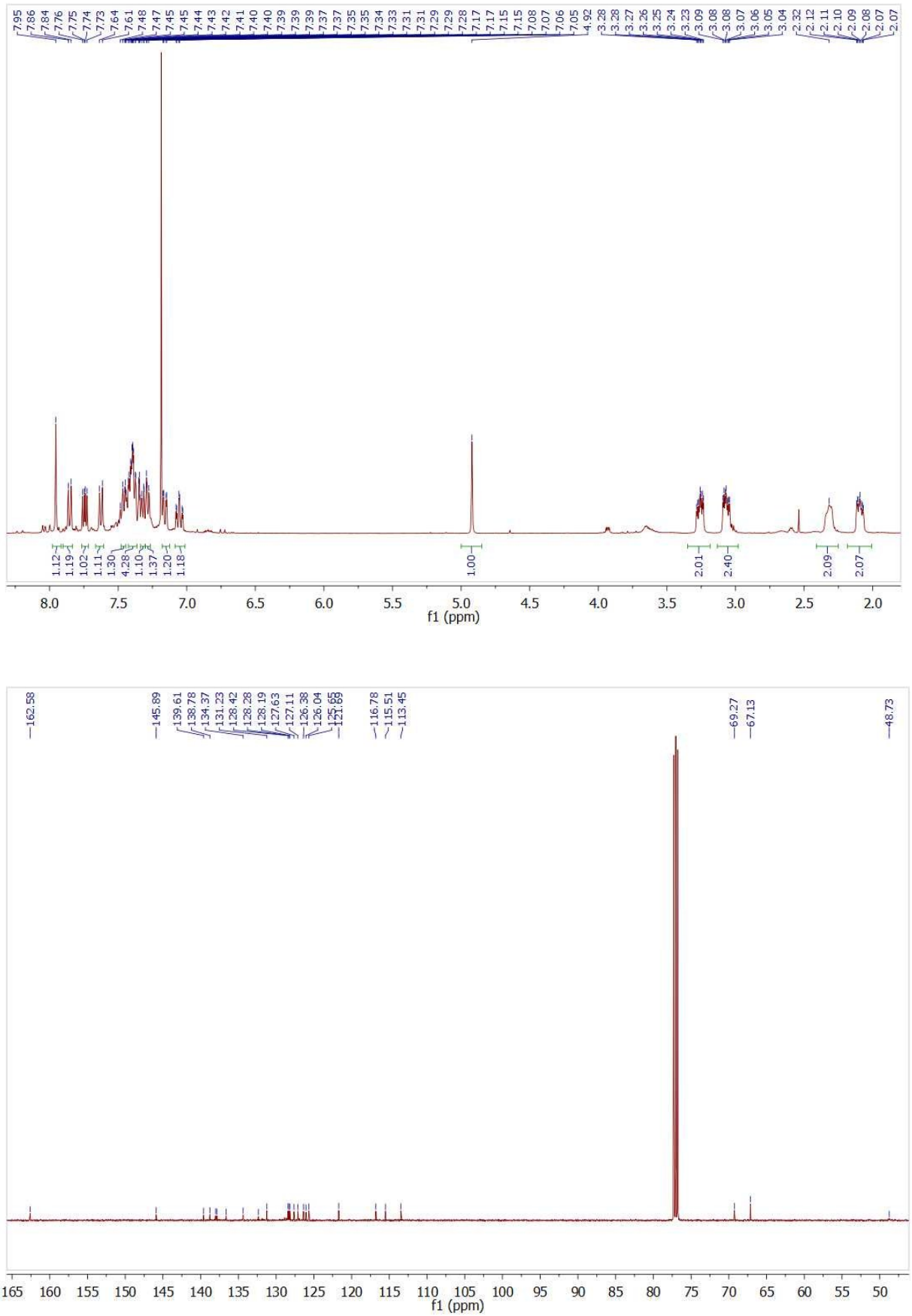



**Figure 21. 1H NMR spectrum (top) and 13C spectrum (bottom) of 5k in CDCl3.**

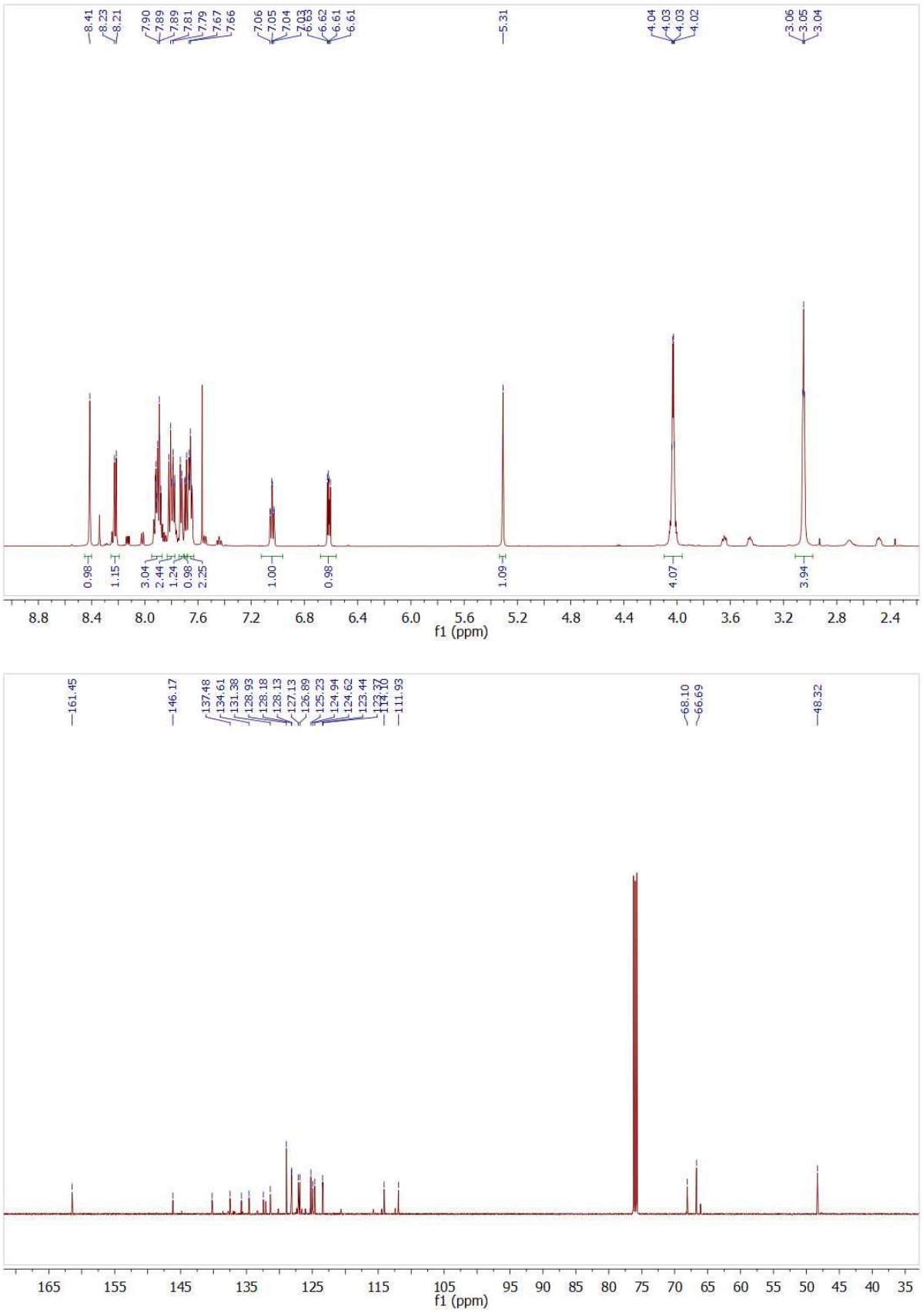



**Figure 22. 1H NMR spectrum (top) and 13C spectrum (bottom) of 6k in CDCl3.**

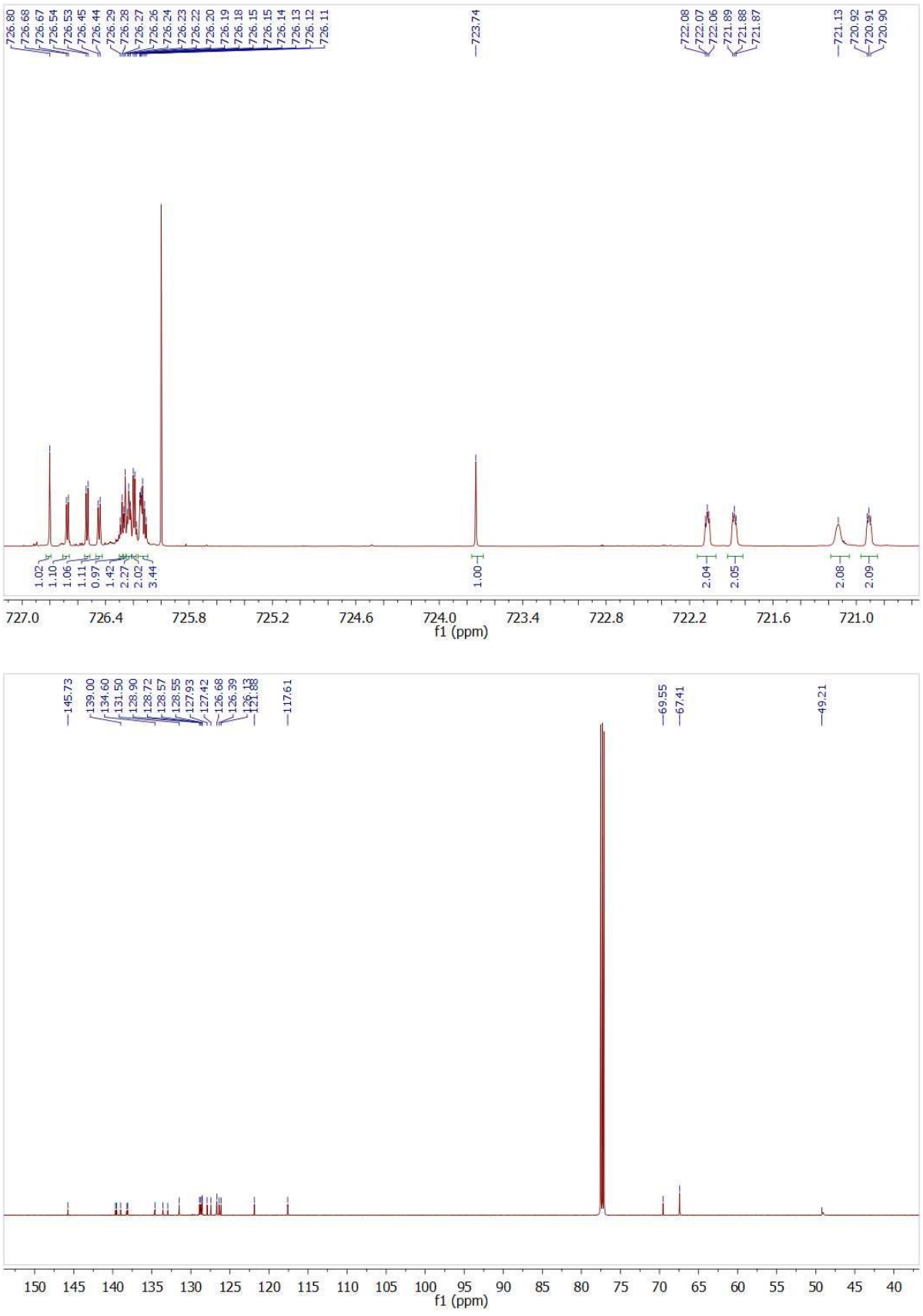



**Figure 23. 1H NMR spectrum (top) and 13C spectrum (bottom) of 5l in CDCl3.**

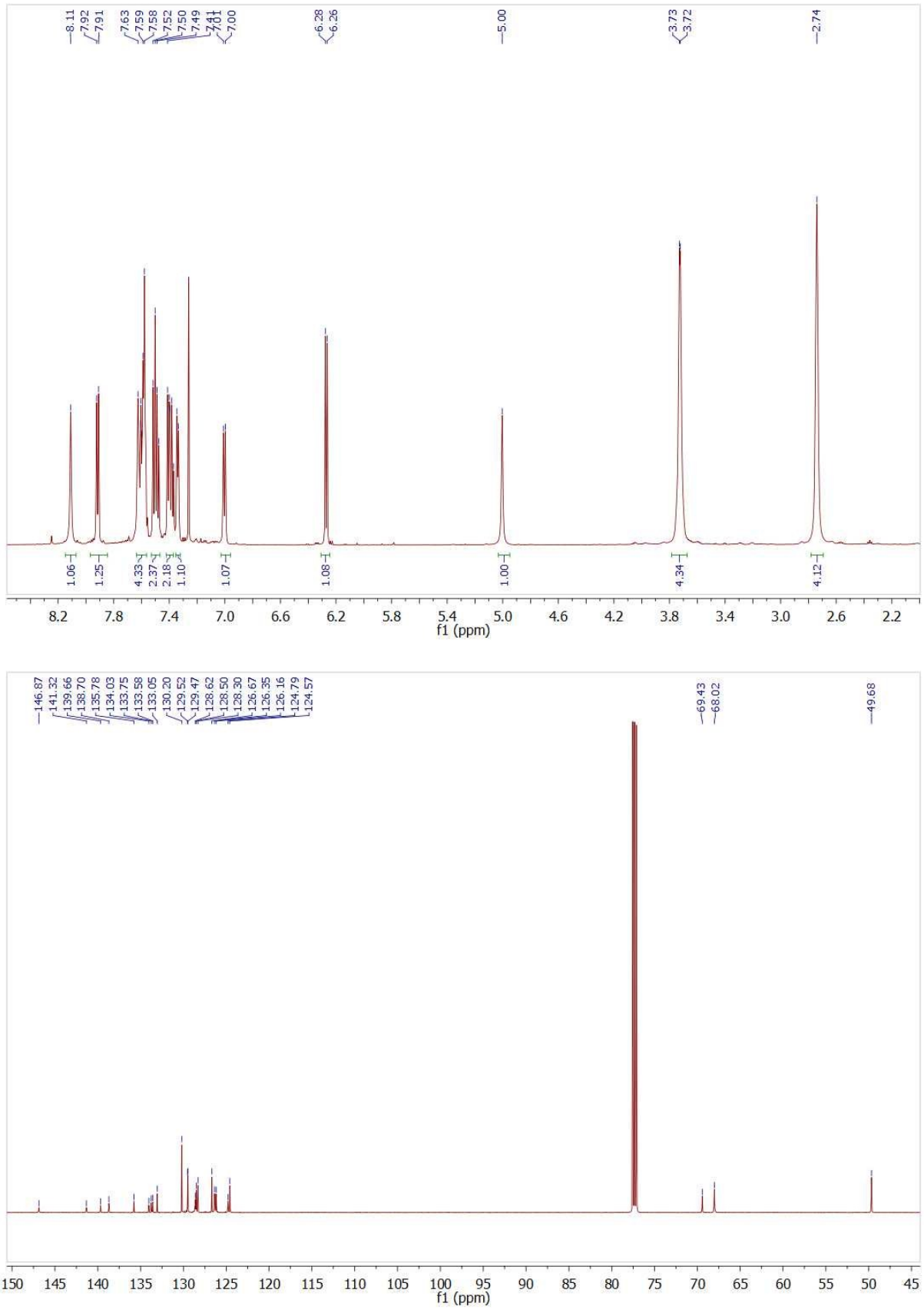



**Figure 24. 1H NMR spectrum (top) and 13C spectrum (bottom) of 6l in CDCl3.**

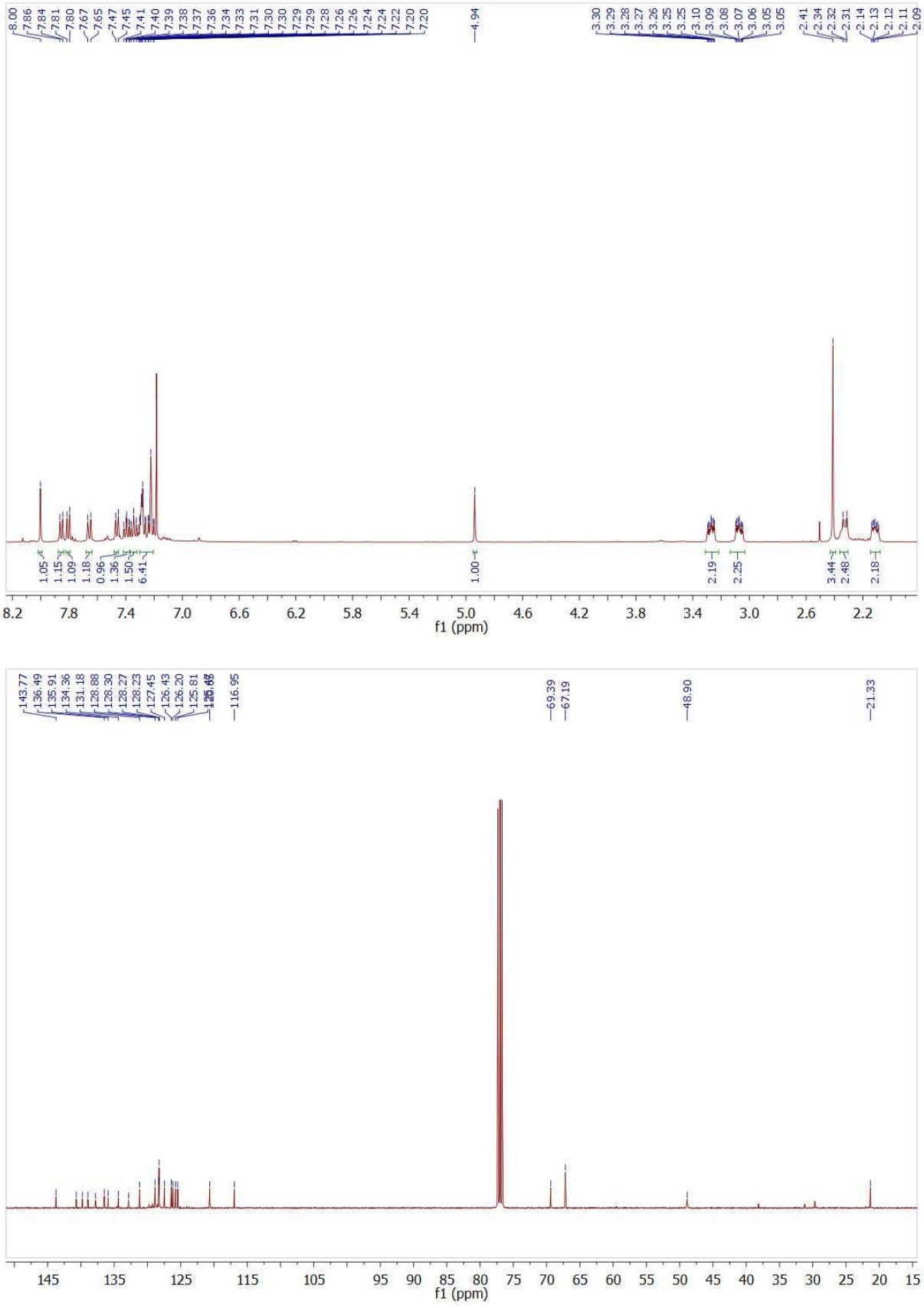


**Figure 25. 1H NMR spectrum (top) and 13C spectrum (bottom) of 5m in CDCl3.**

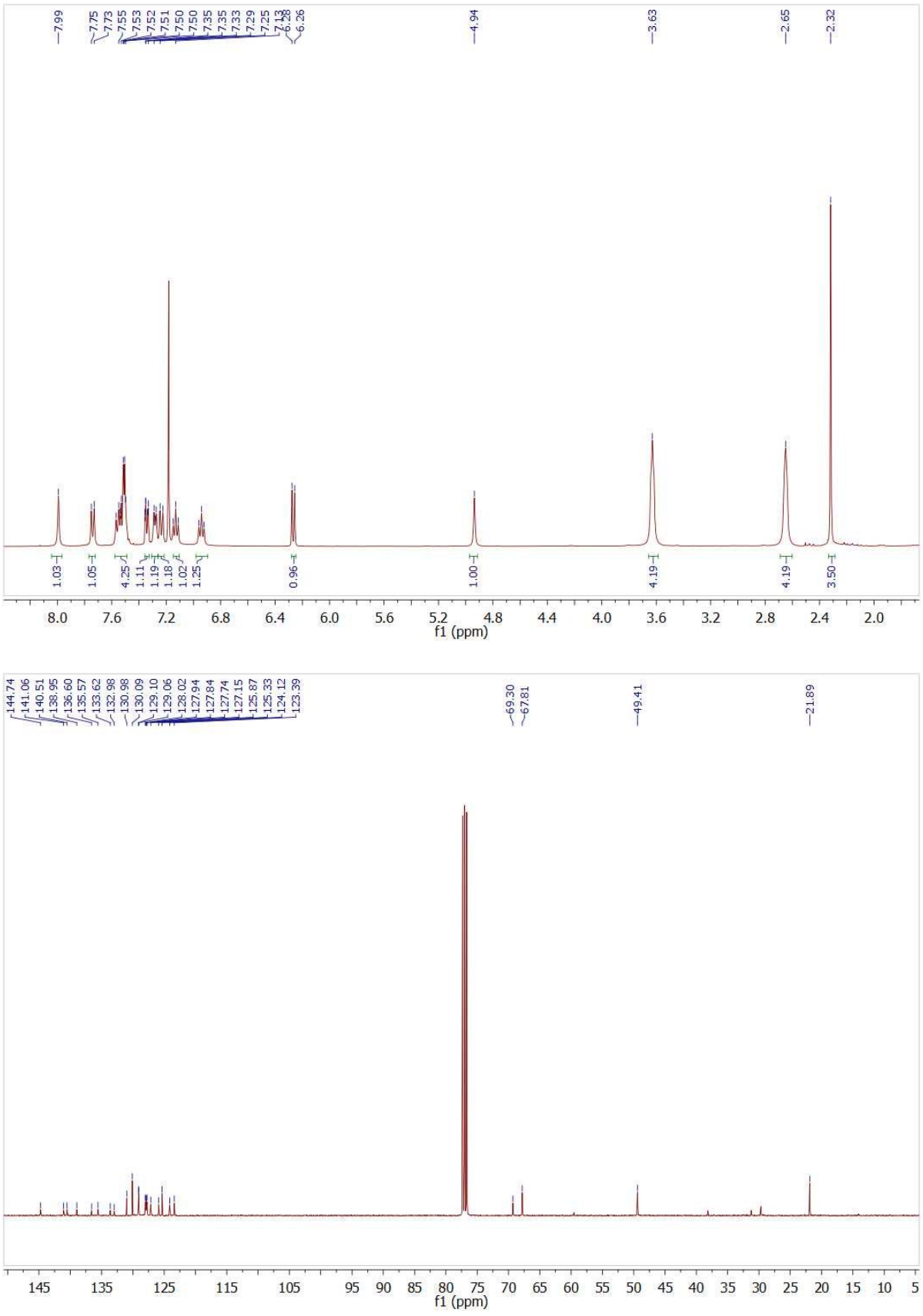



**Figure 26. 1H NMR spectrum (top) and 13C spectrum (bottom) of 6m in CDCl3.**

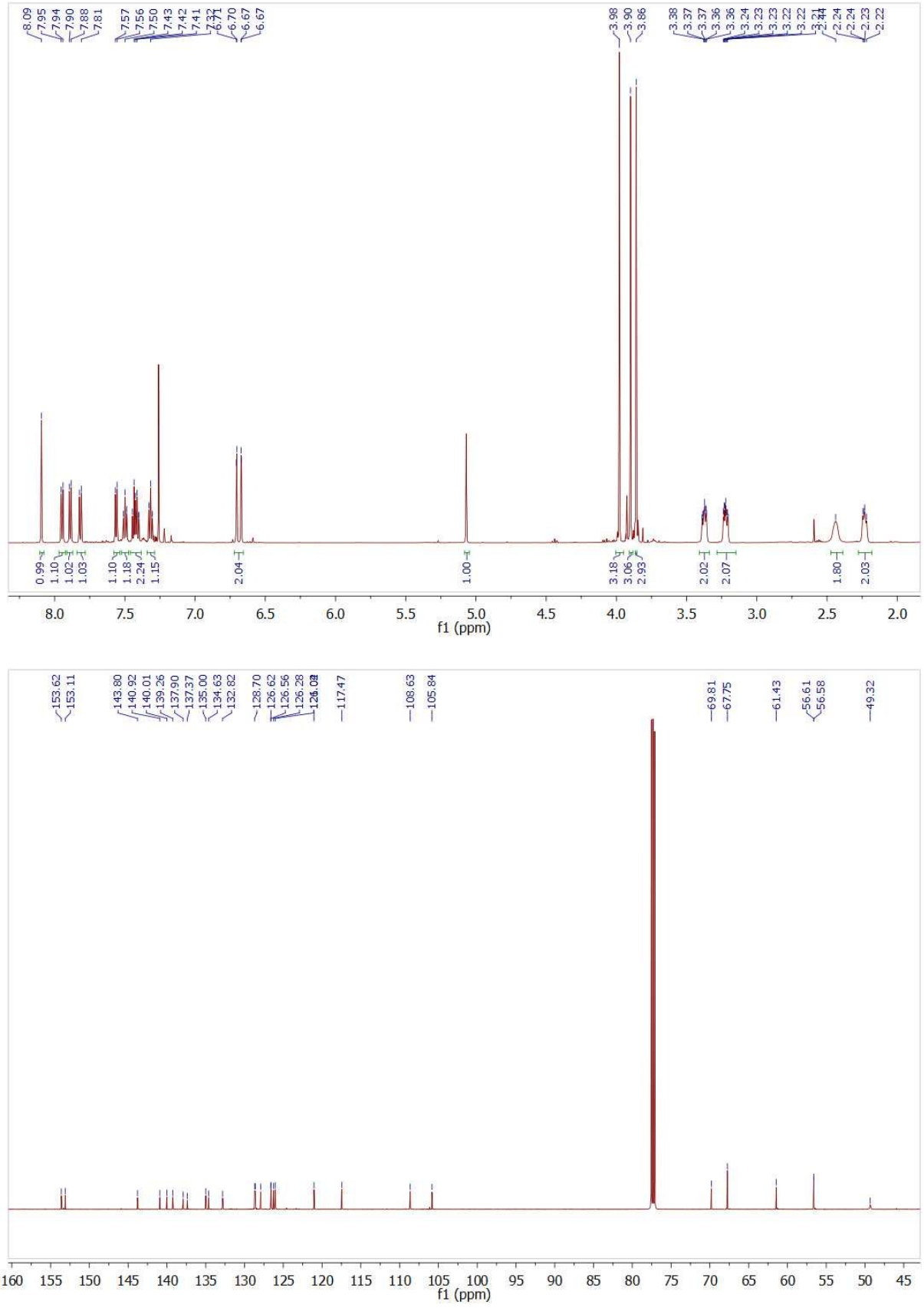



**Figure 27. 1H NMR spectrum (top) and 13C spectrum (bottom) of 5n in CDCl3.**

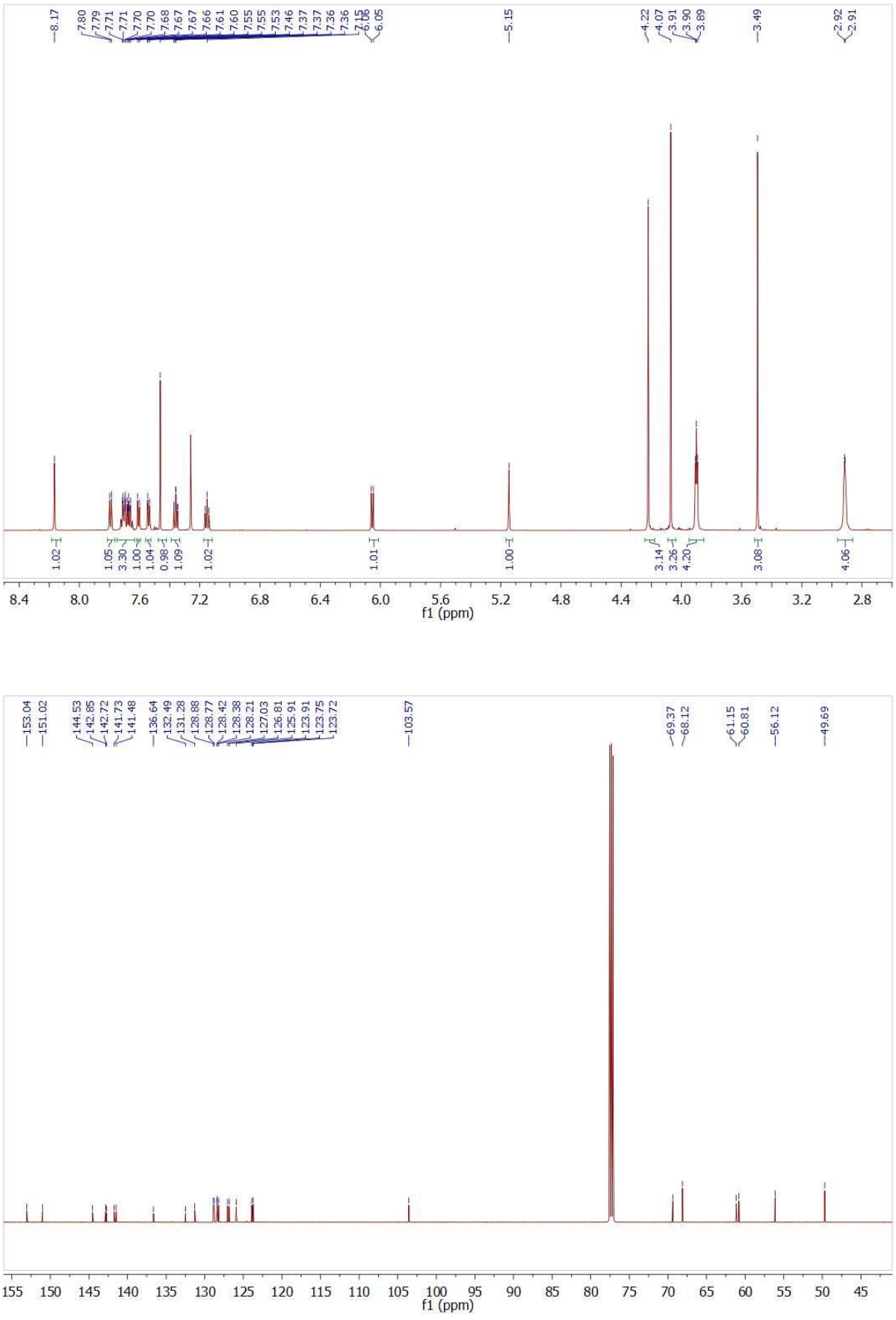



**Figure 28. 1H NMR spectrum (top) and 13C spectrum (bottom) of 6n in CDCl3.**

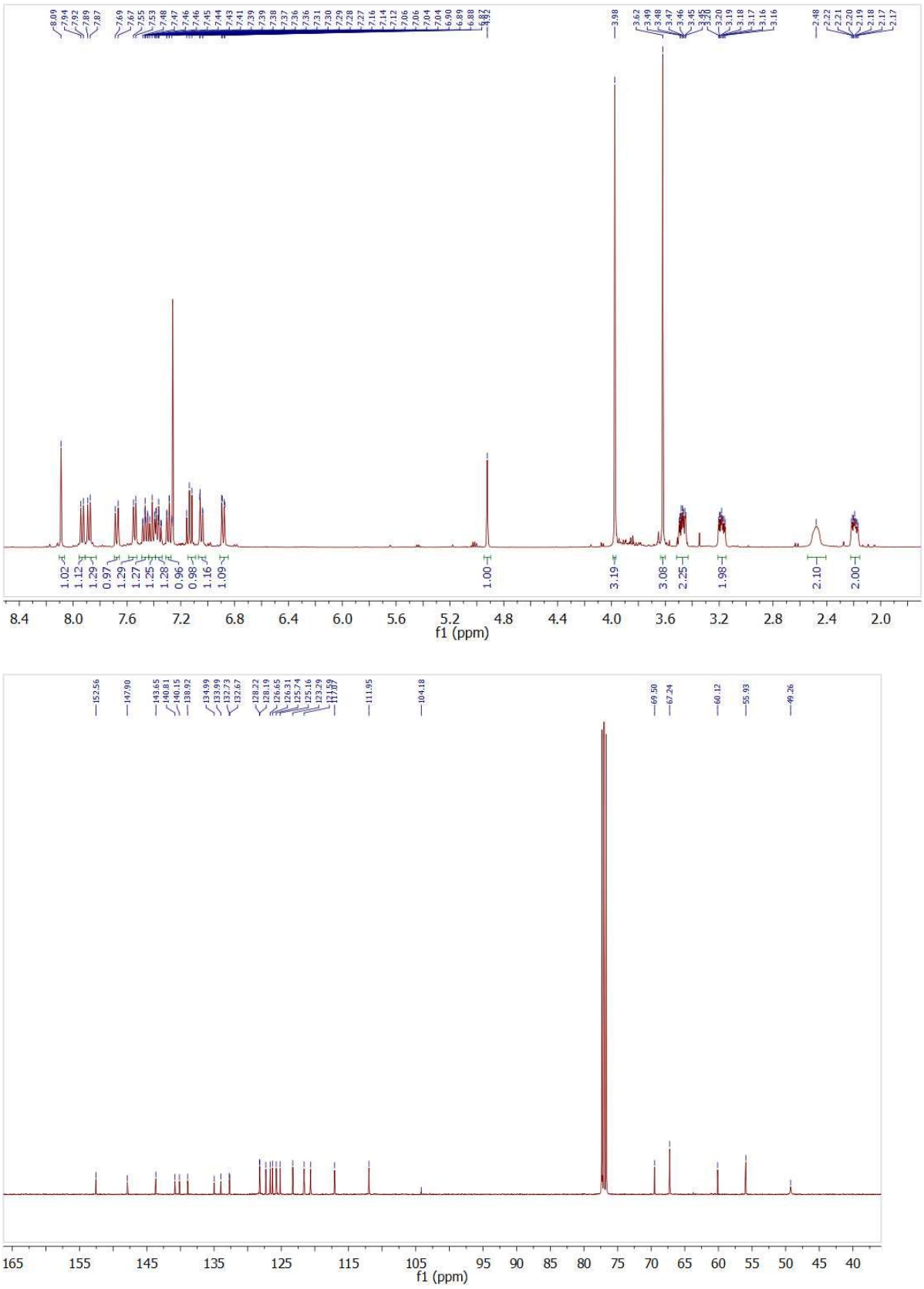


**Figure 29. 1H NMR spectrum (top) and 13C spectrum (bottom) of 5o in CDCl3.**

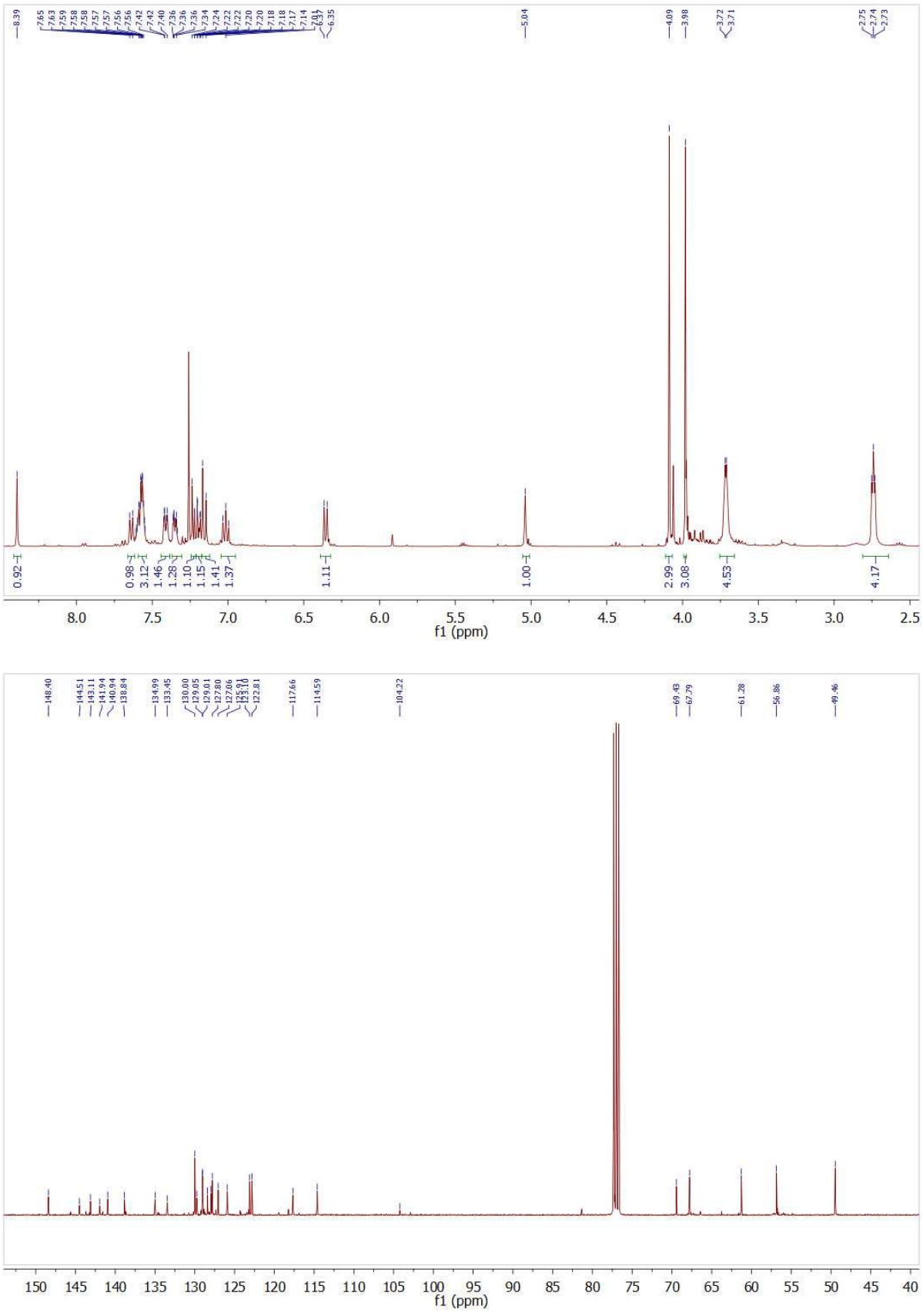


**Figure 30. 1H NMR spectrum (top) and 13C spectrum (bottom) of 6o in CDCl3.**

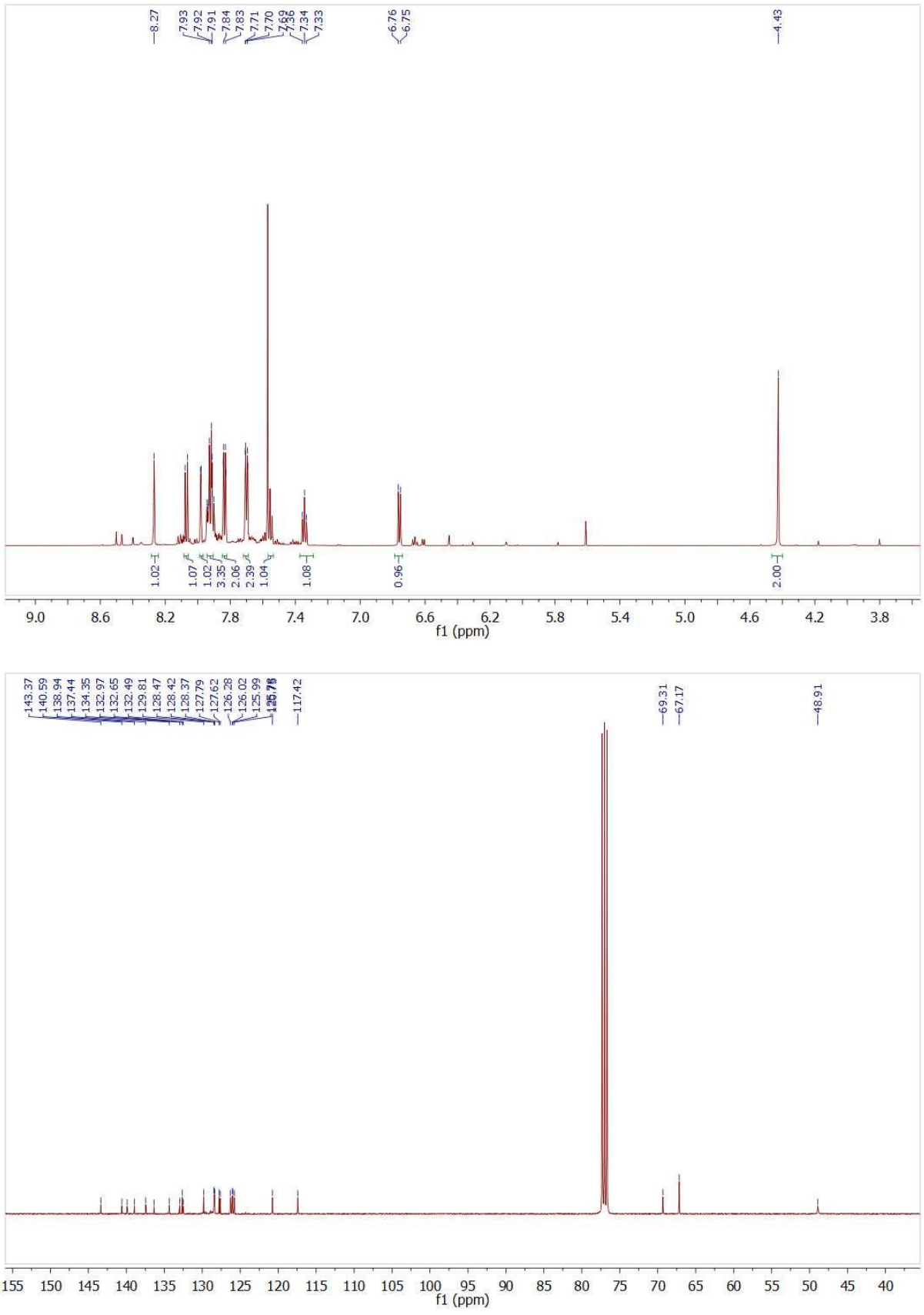



**Figure 31. 1H NMR spectrum (top) and 13C spectrum (bottom) of 5p in CDCl3.**

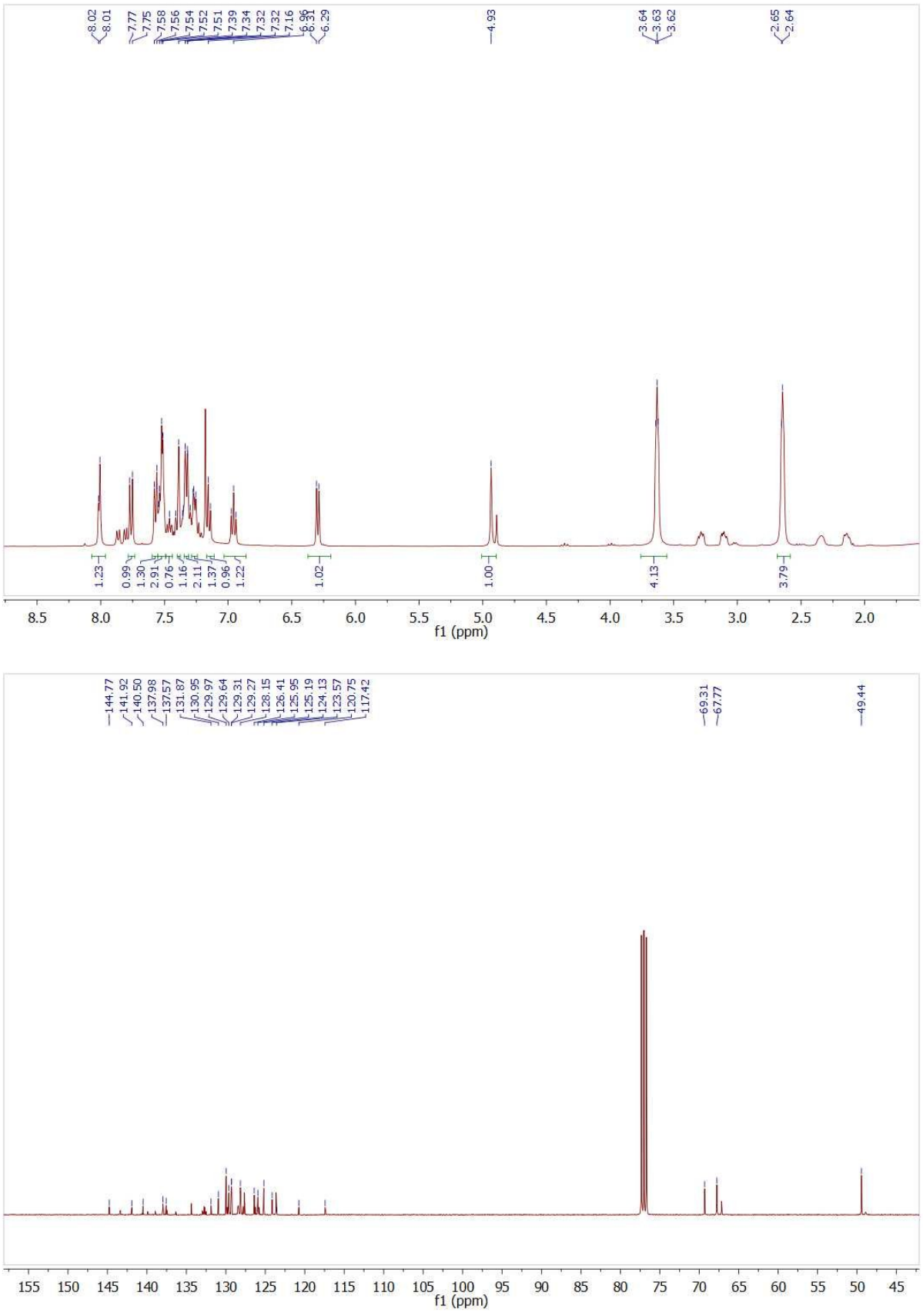



**Figure 32. 1H NMR spectrum (top) and 13C spectrum (bottom) of 6p in CDCl3.**

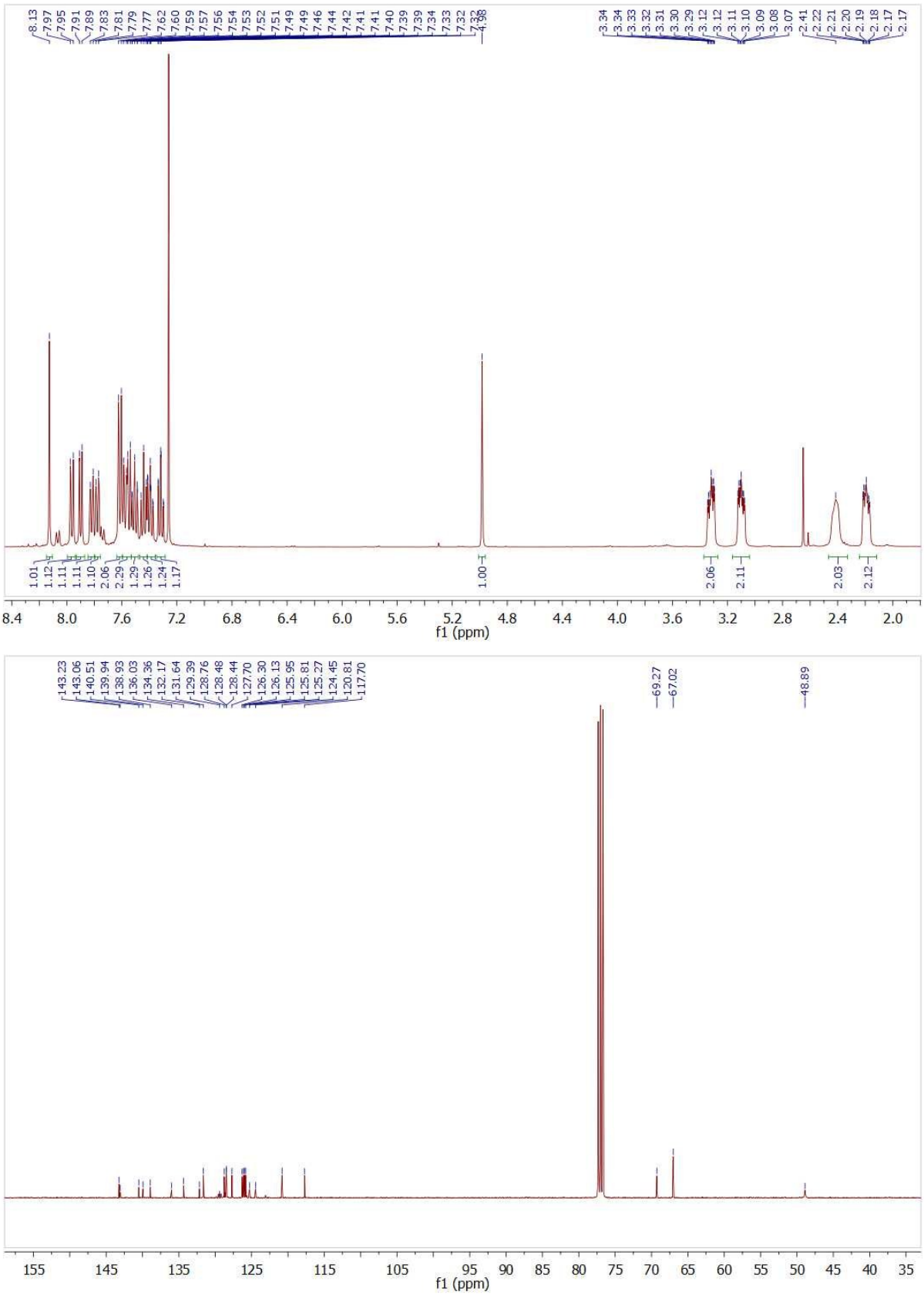



**Figure 33. 1H NMR spectrum (top) and 13C spectrum (bottom) of 5q in CDCl3.**

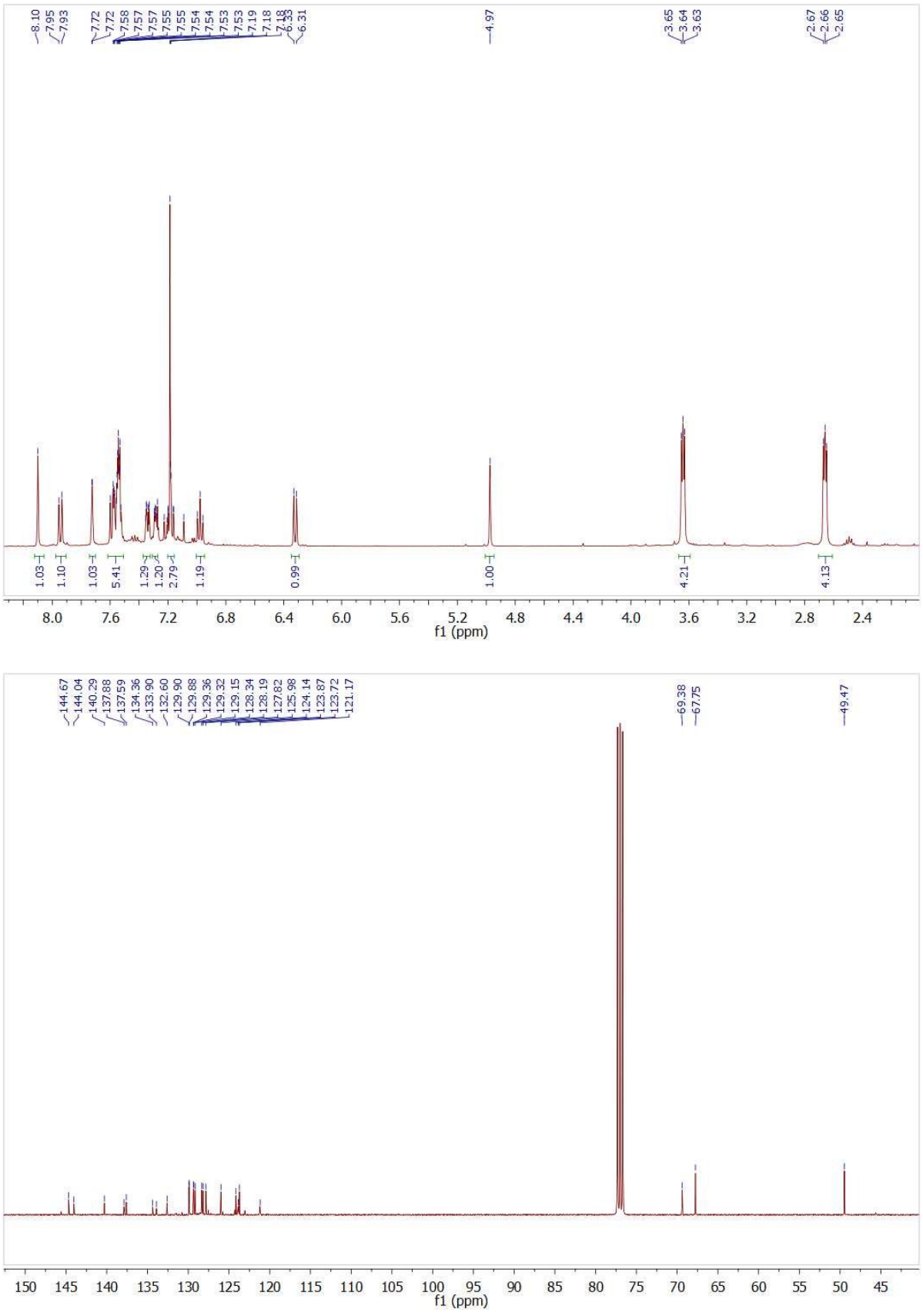



**Figure 34. 1H NMR spectrum (top) and 13C spectrum (bottom) of 6q in CDCl3.**

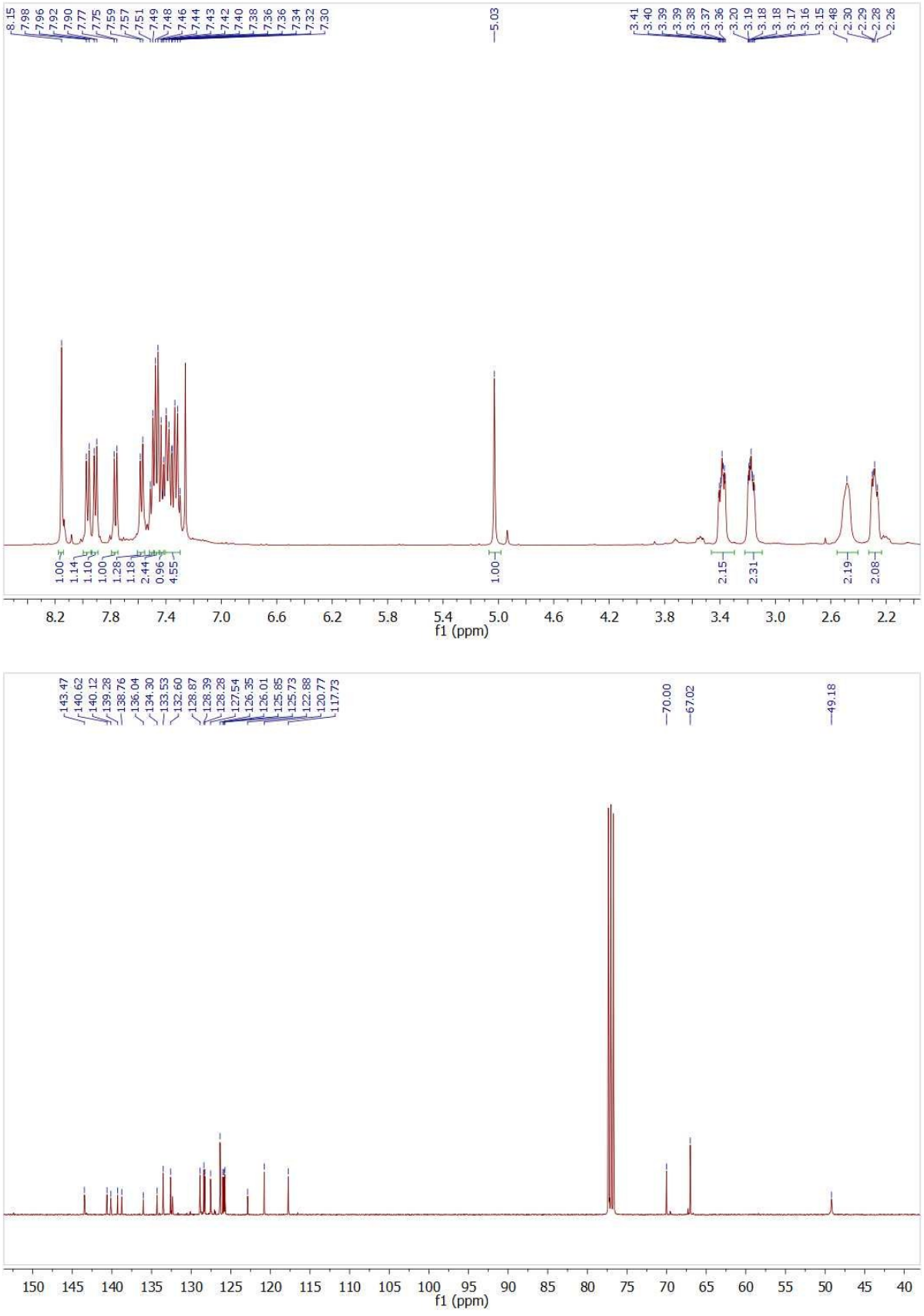



**Figure 35. 1H NMR spectrum (top) and 13C spectrum (bottom) of 5r in CDCl3.**

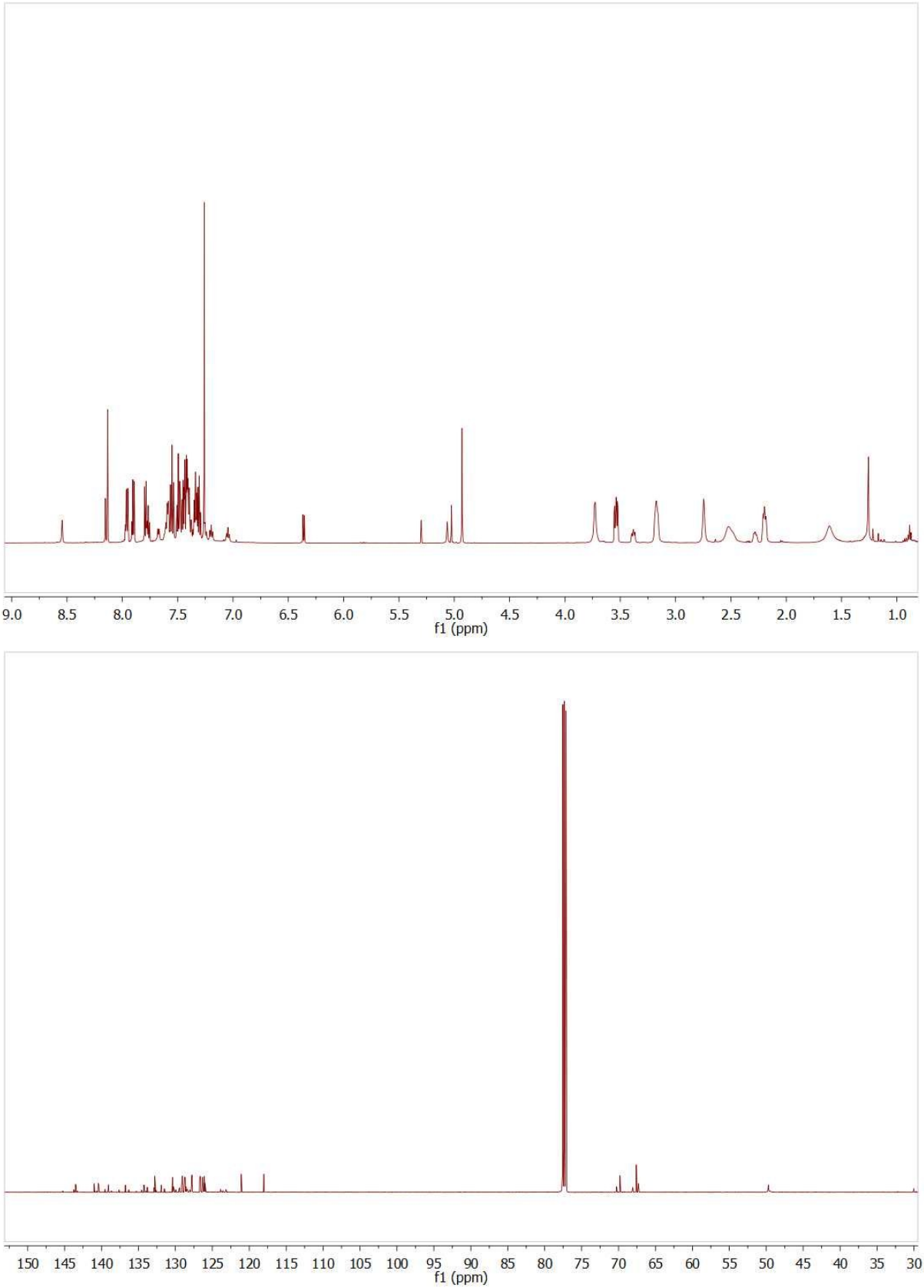



**Figure 36. 1H NMR spectrum (top) and 13C spectrum (bottom) of 6r in CDCl3.**

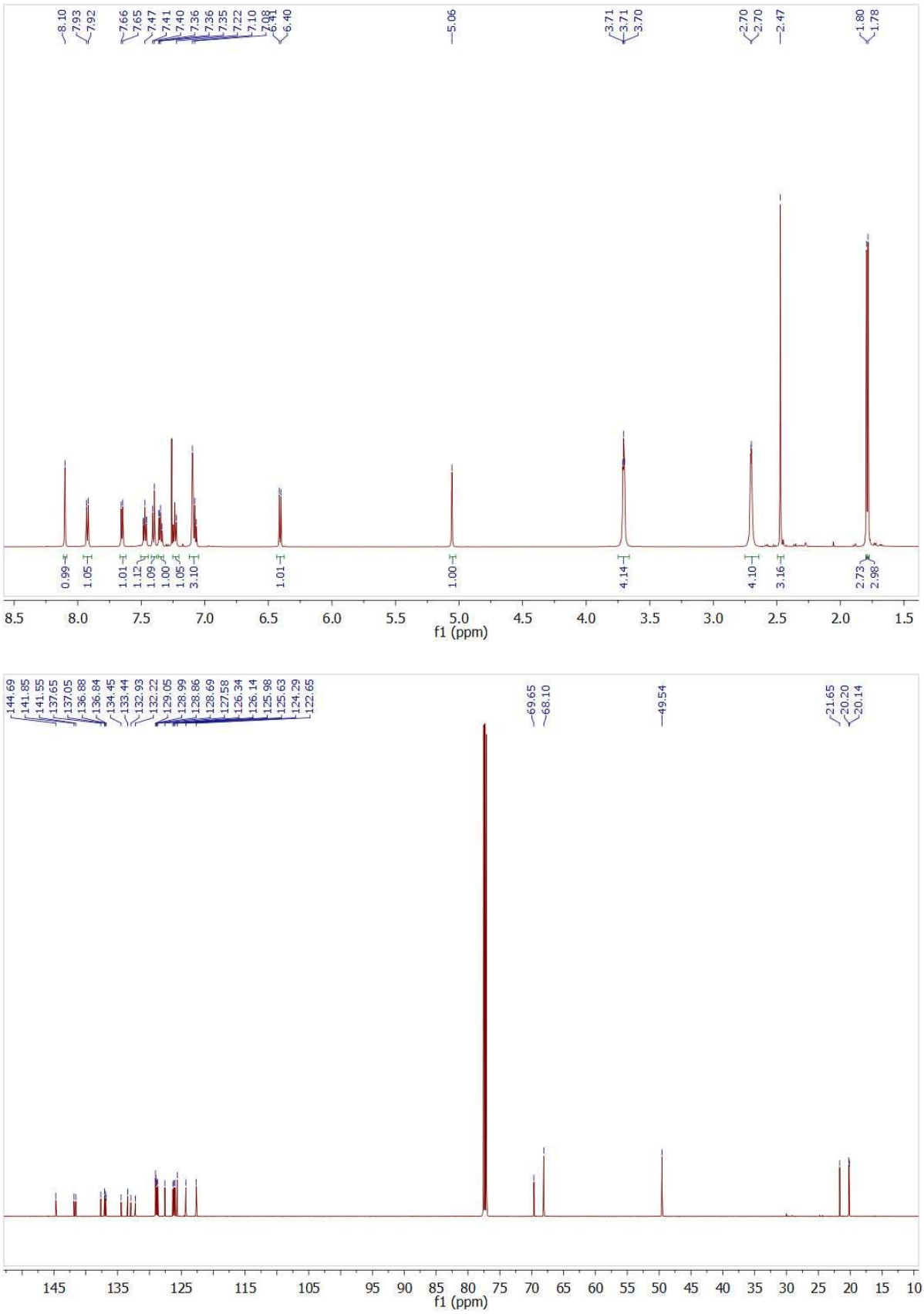



**Figure 37. 1H NMR spectrum (top) and 13C spectrum (bottom) of 6s in CDCl3.**

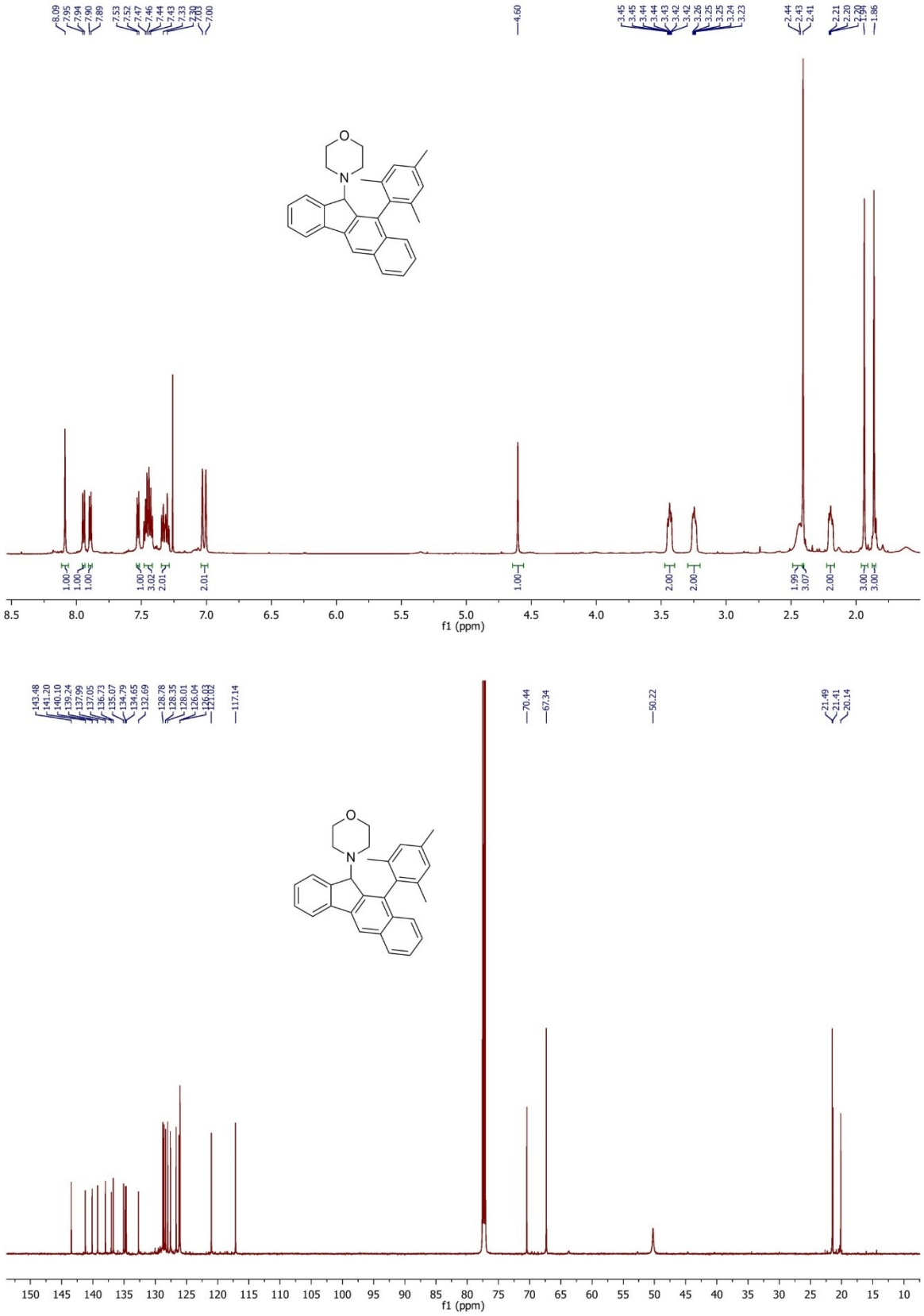



**Figure 38. 1H NMR spectrum (top) and 13C spectrum (bottom) of 5t in CDCl3.**