Supporting Information

AN EFFICIENT SILVER TETRAFLUOROBORATE-CATALYZED CYCLOISOMERIZATION OF YNAMIDES

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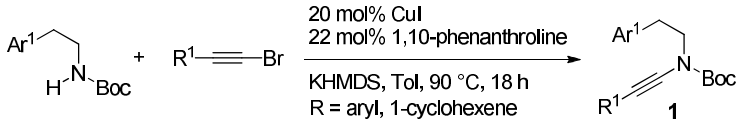
1. General methods

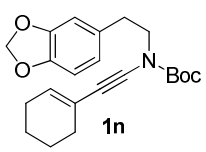
Unless otherwise noted, reactions were run in oven-dried round-bottomed flasks. Toluene was purified by the solvent purification system. Dichloromethane was dried over CaH_2, distilled under argon atmosphere, and kept over 4 Å molecular sieve prior to use. PIFA, as received from the suppliers, was dried under vacuum at room temperature for 48 h and kept in argon-filled glove box. All other compounds were used as received from the suppliers. The crude reaction mixtures were concentrated by a rotary evaporator that removed organic solvents under reduced pressure. Column chromatography was performed using silica gel 60 [particle size 60-200 μm (70-230 mesh ASTM) or 40-63 μm (230-400 mesh ASTM)]. Preparative thin-layer chromatography was performed using silica gel 60 PF_{254}. Analytical thin-layer chromatography (TLC) was performed with silica gel 60 F_{254} aluminum sheets. Nuclear magnetic resonance (NMR) spectra were recorded either on a Bruker AVIII-300 (^{1}H: 300 MHz, ^{13}C: 75 MHz) or a Bruker AVIII-HD-400 (^{1}H: 400 MHz, ^{13}C: 100 MHz) using deuterochloroform as solvents with tetramethylsilane as an internal standard. Chemical shifts for ^{1}H NMR spectra were reported in parts per million (ppm, δ) downfield from tetramethylsilane. Splitting patterns are described as singlet (s), doublet (d), triplet (t), quartet (q), multiplet (m), broad (br), doublet of doublet (dd) and doublet of doublet of doublet (ddd). Infrared spectra were recorded on a Perkin Elmer Spectrum One FT-IR Spectrophotometer using the universal attenuated total reflectance (ATR) technique and were reported in wavenumbers (cm^{-1}). Low resolution mass spectra were determined using a Thermo Scientific DSQ II single quadrupole GC/MS with FOCUS GC. High resolution mass spectra (HRMS) were obtained using time-of-flight (TOF) via atmospheric pressure chemical ionization (APCI) or electrospray ionization (ESI) on Bruker MicroTOF spectrometer. Melting points were determined on Electrothermal 9100 melting point apparatus and reported without correction.

2. General procedure for the synthesis of ynamides 1



Ynamides **1a-1m** and **1o-1q** were prepared according to the previous report and characterizations of these compounds were identical.[1]

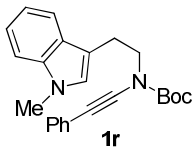
2.1 *tert*-Butyl (2-(benzo[*d*][1,3]dioxol-5-yl)ethyl)(cyclohex-1-en-1-ylethynyl)carbamate (**1n**):



General procedure 1 (GP1): To a 50 mL 2-neck round bottom flask equipped with a condenser and a septum was charged with *tert*-butyl (2-(benzo[*d*][1,3]dioxol-5-yl)ethyl)carbamate (186 mg, 0.70 mmol), 1-(bromoethynyl)cyclohex-1-ene (259 mg, 1.40 mmol), CuI (26.7 mg, 0.14 mmol), 1,10-phenanthroline (27.8 mg, 0.15 mmol) under argon atmosphere. Subsequently, toluene (3 mL) was added and the reaction mixture was stirred at 90 °C for 5 minute. A solution of KHMDS (0.45 *M* in toluene, 2.33 mL, 1.05 mmol) was slowly added to the reaction mixture using syringe pump over 3 h. The resulting dark-brown solution was stirred at 90 °C for 18 h and cooled to room temperature. The reaction mixture was quenched with the mixture of conc. ammonium hydroxide/brine (1:1, 25 mL), stirred at room temperature for 30 min, and extracted with EtOAc (3 x 10 mL). The combined organic layers were dried over anh. Na_2SO_4 and concentrated in vacuo. The crude product was purified by column chromatography (SiO_2, hexane:EtOAc; 50:1 to 20:1 + 1% Et_3N as eluent) to obtain **1n** (47.4 mg, 18%) as a pale yellow oil. ^{1}H NMR (300 MHz, $CDCl_3$) δ: 6.78-6.64 (m, 3H), 5.98 (br.s, 1H), 5.91 (s, 2H), 3.60 (t, J = 7.4 Hz, 2H), 2.86 (t, J = 7.4 Hz, 2H), 2.22-2.05 (m, 4H), 1.72-1.53 (m, 4H), 1.44 (s, 9H) ppm; ^{13}C NMR (75 MHz, $CDCl_3$) δ:

154.0, 147.6, 146.1, 132.1, 131.5, 121.9, 120.4, 109.4, 108.3, 100.8, 82.1, 81.2, 72.3, 50.7, 33.9, 29.6, 28.0, 25.6, 22.5, 21.7 ppm; IR (neat): ν_{max} = 2934, 2866, 2238, 1717, 1503, 1490, 1444, 1393, 1368, 1294, 1246, 1147, 1038, 928, 853, 809, 771 cm^{-1}; EI-MS: *m/z* (relative intensity) = 369 (7, M^+), 295 (10), 281 (5), 221 (20), 178 (36), 161 (15), 149 (30), 148 (100), 135 (16), 97 (10), 81 (11), 69 (14), 57 (35); TOF−HRMS calcd. for $C_{22}H_{27}NO_4Na$ $(M + Na)^+$ 392.1832, found 392.1824.

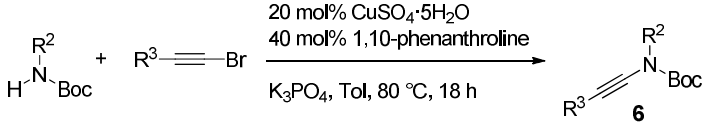
2.2 *tert*-Butyl (2-(1-methyl-1*H*-indol-3-yl)ethyl)(phenylethynyl)carbamate (1r):



1r

According to *GP1*; *tert*-butyl (2-(1-methyl-1*H*-indol-3-yl)ethyl)carbamate (412 mg, 1.50 mmol), (bromoethynyl)benzene (543 mg, 3.00 mmol), CuI (57.0 mg, 0.30 mmol), 1,10-phenanthroline (59.5 mg, 0.33 mmol) in toluene (5 mL) and KHMDS (0.42 *M* in toluene, 5.35 mL, 2.25 mmol) were employed. After usual workup, the crude product was purified by column chromatography (SiO_2, hexane:EtOAc; 30:1 to 20:1 + 1% Et_3N as eluent) to obtain **1r** (300 mg, 53%) as a pale yellow oil. ^1H NMR (400 MHz, $CDCl_3$) δ: 7.66-7.57 (m, 1H), 7.45-7.17 (m, 7H), 7.12 (dd, *J* = 7.5, 7.2 Hz, 1H), 6.92 (s, 1H), 3.79 (t, *J* = 7.5 Hz, 2H), 3.70 (s, 3H), 3.17 (t, *J* = 7.5 Hz, 2H), 1.67-10.5 (m, 9H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ: 153.9, 137.0, 130.6, 128.2, 127.8, 127.0, 123.8, 121.5, 118.8, 110.7, 109.1, 84.1, 82.2, 70.5, 49.9, 32.5, 27.9, 23.9 ppm; IR (neat): ν_{max} = 3055, 2978, 2932, 2241, 1716, 1474, 1453, 1393, 1368, 1307, 1289, 1247, 1149, 1068, 1014, 856, 736, 691 cm^{-1}; EI-MS: *m/z* (relative intensity) = 374 (5, M^+), 318 (64), 290 (6), 274 (23), 273 (25), 259 (30), 158 (87), 157 (43), 144 (100), 143 (19), 130 (11), 118 (5); TOF−HRMS calcd. for $C_{24}H_{26}N_2O_2Na$ $(M + Na)^+$ 397.1887, found 397.1882.

3. General procedure for the synthesis of ynamides 6

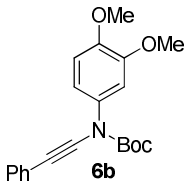


6

Ynamides **6** were prepared according to previous report and characterization data of known compounds were identical.[2]

General procedure 2 (GP2): To a 25 mL round bottom flask equipped with a condenser was charged with (bromoethynyl)benzene, *tert*-butyl phenylcarbamate (1.2 equiv), $CuSO_4·5H_2O$ (0.2 equiv), 1,10-phenanthroline (0.4 equiv), K_3PO_4 (2.4 equiv) and toluene under argon atmosphere. The heterogeneous mixture was stirred at 80 °C for 18 h and then cooled to room temperature. The reaction mixture was diluted with EtOAc, filtered throught celite and washed with EtOAc. The combined organic layers were concentrated in vacuo.

3.1 *tert*-butyl (3,4-dimethoxyphenyl)(phenylethynyl)carbamate (6b)

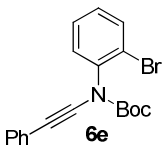


6b

According to *GP2*; (bromoethynyl)benzene (145 mg, 0.80 mmol), *tert*-butyl (3,4-dimethoxyphenyl)carbamate (243 mg, 0.96 mmol), $CuSO_4·5H_2O$ (40.0 mg, 0.16 mmol), 1,10-phenanthroline (57.7 mg, 0.32 mmol) and K_3PO_4 (408 mg, 1.92 mmol) in toluene (4 mL) were employed. After usual workup, the crude product was purified by column chromatography (SiO_2, hexane:EtOAc; 10:1 to 7:1 + 1% Et_3N as eluent) to obtain **6b** (54.9 mg, 19%) as a pale yellow oil. ^1H NMR (400 MHz, $CDCl_3$) δ: 7.38 (dd, *J* = 7.9, 1.5 Hz, 2H), 7.31-7.21 (m, 3H), 7.09-7.03 (m, 2H), 6.86 (d, *J* = 8.3 Hz, 1H), 3.89 (s, 3H), 3.88 (s, 3H), 1.57 (s, 9H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ: 153.1, 148.8, 147.8, 132.6, 130.7, 128.1, 127.2, 123.3, 117.3, 110.8, 109.0, 83.9, 83.2, 69.8, 56.0, 55.9, 27.9 ppm; IR (neat): ν_{max} = 2977, 2934, 2244, 1728, 1599, 1513, 1454, 1422, 1368, 1297, 1238, 1150, 1026, 902, 858, 803, 754, 692 cm^{-1}; EI-MS: *m/z* (relative intensity) = 353 (4, M^+), 294 (4), 253 (69), 238

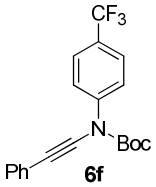
(26), 210 (5), 195 (3), 180 (2), 167 (2), 131 (2), 116 (8), 91 (6), 89 (5), 77 (2), 57 (100); TOF−HRMS calcd. for $C_{21}H_{23}NO_4Na$ $(M + Na)^+$ 376.1519, found 376.1515.

3.2 *tert*-Butyl (2-bromophenyl)(phenylethynyl)carbamate (6e)



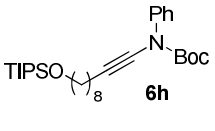
According to *GP2*; (bromoethynyl)benzene (145 mg, 0.80 mmol), *tert*-butyl (2-bromophenyl)carbamate (261 mg, 0.96 mmol), $CuSO_4 \cdot 5H_2O$ (40.0 mg, 0.16 mmol), 1,10-phenanthroline (57.7 mg, 0.32 mmol) and K_3PO_4 (408 mg, 1.92 mmol) in toluene (5 mL) were employed. After usual workup, the crude product was purified by column chromatography (SiO_2, hexane:EtOAc; 100:1 to 10:1 + 1% Et_3N as eluent) to obtain **6e** (167 mg, 56%) as a pale yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.64 (dd, J = 8.1, 1.3 Hz, 1H), 7.47 (d, J = 7.0 Hz, 1H), 7.43-7.30 (m, 3H), 7.28-7.15 (m, 4H), 1.52 (s, 3H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ: 152.4, 138.4, 133.4, 131.0, 129.7, 129.2, 128.4, 128.0, 127.3, 123.1, 122.4, 83.5, 82.8, 69.6, 27.8 ppm; IR (neat): ν_{max} = 2979, 2932, 2253, 1733, 1474, 1367, 1291, 1253, 1150, 1062, 1029, 1006, 858, 831, 752, 691 cm^{-1}; EI-MS: *m/z* (relative intensity) = 373 (1, M^+), 371 (1, M^+), 314 (1), 312 (1), 273 (45), 271 (47), 192 (8), 190 (5), 116 (5), 89 (13), 57 (100); TOF−HRMS calcd. for $C_{19}H_{18}BrNO_2Na$ $(M + Na)^+$ 394.0413, found 394.0420.

3.3 *tert*-Butyl (phenylethynyl)(4-(trifluoromethyl)phenyl)carbamate (6f)



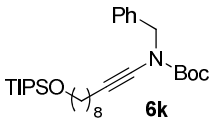
According to *GP2*; (bromoethynyl)benzene (145 mg, 0.80 mmol), *tert*-butyl (4-(trifluoromethyl)phenyl)carbamate (251 mg, 0.96 mmol), $CuSO_4 \cdot 5H_2O$ (40.0 mg, 0.16 mmol), 1,10-phenanthroline (57.7 mg, 0.32 mmol) and K_3PO_4 (408 mg, 1.92 mmol) in toluene (4 mL) were employed. After usual workup, the crude product was purified by column chromatography (SiO_2, hexane:EtOAc; 100:0 to 30:1 + 1% Et_3N as eluent) to obtain **6f** (121 mg, 42%) as a pale yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.63 (d, J = 8.5 Hz, 2H), 7.53 (d, J = 8.5 Hz, 2H), 7.34-7.28 (m, 2H), 7.23-7.14 (m, 3H), 1.48 (s, 9H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ: 152.2, 142.6, 130.9, 128.3, 128.1 (q, J = 32.8 Hz), 127.7, 125.8 (q, J = 3.7 Hz), 124.0, 123.9 (q, J = 271.9 Hz, –CF$_3$), 122.8, 84.2, 82.3, 71.3, 27.9 ppm; IR (neat): ν_{max} = 2981, 2935, 2253, 1737, 1616, 1516, 1370, 1324, 1279, 1254, 1149, 1123, 1069, 1008, 859, 841, 752, 690 cm^{-1}; EI-MS: *m/z* (relative intensity) = 361 (1, M^+), 262 (6), 261 (39), 242 (1), 165 (2), 116 (2), 89 (8), 57 (100); TOF−HRMS calcd. for $C_{20}H_{18}F_3NO_2Na$ $(M + Na)^+$ 384.1182, found 384.1192.

3.4 *tert*-Butyl phenyl(10-((triisopropylsilyl)oxy)dec-1-yn-1-yl)carbamate (6h)



According to *GP2*; ((10-bromodec-9-yn-1-yl)oxy)triisopropylsilane (273 mg, 0.70 mmol), *tert*-butyl phenylcarbamate (162 mg, 0.84 mmol), $CuSO_4 \cdot 5H_2O$ (35.0 mg, 0.14 mmol), 1,10-phenanthroline (50.5 mg, 0.28 mmol) and K_3PO_4 (357 mg, 1.68 mmol) in toluene (3 mL) were employed. After usual workup, the crude product was purified by column chromatography (SiO_2, hexane:EtOAc; 30:1 to 20:1 + 1% Et_3N as eluent) to obtain **6h** (152 mg, 43%) as a colorless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.46 (d, J = 7.9 Hz, 2H), 7.34 (dd, J = 8.1, 7.6 Hz, 2H), 7.20 (d, J = 7.4, 7.3 Hz, 1H), 3.66 (t, J = 6.6 Hz, 2H), 2.32 (t, J = 7.0 Hz, 2H), 1.57-1.47 (m, 13H), 1.45-1.36 (m, 2H), 1.36-1.24 (m, 6H), 1.11-1.01 (m, 21H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ: 153.6, 140.2, 128.6, 126.1, 124.5, 82.8, 74.3, 69.3, 63.4, 33.0, 29.4, 29.2, 28.9, 28.8, 28.0, 25.8, 18.5, 18.0, 12.0 ppm; IR (neat): ν_{max} = 2932, 2864, 2268, 1732, 1597, 1493, 1461, 1368, 1288, 1252, 1154, 1104, 1015, 882, 762, 688 cm^{-1}; EI-MS: *m/z* (relative intensity) = 501 (0.1, M^+), 402 (5), 358 (21), 316 (5), 282 (3), 228 (7), 187 (10), 186 (13), 173 (100), 159 (10), 131 (10), 115 (5), 103 (13); TOF−HRMS calcd. for $C_{30}H_{51}NO_3SiNa$ $(M + Na)^+$ 524.3530, found 524.3537.

3.5 *tert*-Butyl benzyl(10-((triisopropylsilyl)oxy)dec-1-yn-1-yl)carbamate (6k)

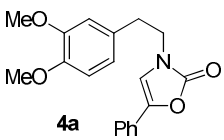


According to *GP2*; ((10-bromodec-9-yn-1-yl)oxy)triisopropylsilane (273 mg, 0.70 mmol), *tert*-butyl benzylcarbamate (174 mg, 0.84 mmol), $CuSO_4 \cdot 5H_2O$ (35.0 mg, 0.14 mmol), 1,10-phenanthroline (50.5 mg, 0.28 mmol) and K_3PO_4 (357 mg, 1.68 mmol) in toluene (3 mL) were employed. After usual workup, the crude product was purified by column chromatography (SiO_2, hexane:EtOAc; 30:1 to 20:1 + 1% Et_3N as eluent) to obtain **6k** (126 mg, 35%) as a colorless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.37-7.21 (m, 5H), 4.53 (s, 2H), 3.66 (t, *J* = 6.6 Hz, 2H), 2.29-2.15 (m, 2H), 1.58-1.38 (m, 13H), 1.38-1.20 (m, 8H), 1.11-0.98 (m, 21H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ: 154.6, 136.9, 128.3, 128.1, 127.6, 82.0, 74.6, 69.7, 63.4, 52.9, 33.0, 29.4, 29.2, 29.0, 28.7, 28.0, 25.8, 18.4, 18.0, 12.0 ppm; IR (neat): ν_{max} = 2931, 2864, 2263, 1717, 1457, 1390, 1368, 1294, 1255, 1158, 1105, 882, 858, 698, 679 cm^{-1}; EI-MS: *m/z* (relative intensity) = 515 (0.1, M^+), 458 (7), 416 (8), 372 (20), 280 (50), 238 (13), 200 (24), 187 (51), 186 (16), 173 (8), 146 (14), 131 (8), 106 (26), 91 (100); TOF−HRMS calcd. for $C_{31}H_{53}NO_3SiNa$ $(M + Na)^+$ 538.3697, found 538.3705.

4. General procedure for $AgBF_4$-catalyzed cycloisomerization of ynamides

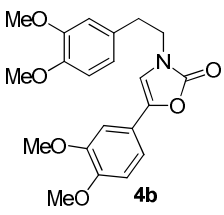
General procedure 3 (GP3): To a 10 mL screw-cap test-tube was charged with ynamides **1** or **6** and $AgBF_4$ (0.05 equiv) in dry dichloromethane (approx. 0.05 M). The reaction mixture was stirred at room temperature for 18 hours. The reaction mixture was directly subjected to column chromatography on silica gel (hexane:EtOAc) to afford the product.

4.1 3-(3,4-Dimethoxyphenethyl)-5-phenyloxazol-2(3*H*)-one (4a)



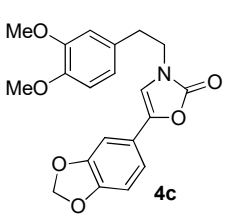
According to *GP3*: ynamide **1a** (38.2 mg, 0.10 mmol) and $AgBF_4$ (1.0 mg, 5.0 μmol) in CH_2Cl_2 (2 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 4:1 to 1:1), product **4a** was obtained (32.0 mg, 98%) as a pale yellow solid (m.p. 136-138 °C). ^{1}H NMR (300 MHz, $CDCl_3$) δ: 7.44-7.30 (m, 4H), 7.27 (dd, *J* = 7.1, 7.0 Hz, 1H), 6.82 (d, *J* = 8.1 Hz, 1H), 6.78-6.69 (m, 2H), 6.50 (s, 1H), 3.86 (s, 3H), 3.85 (t, *J* = 7.1 Hz, 2H), 3.84 (s, 3H), 2.97 (t, *J* = 7.1 Hz, 2H) ppm; ^{13}C NMR (75 MHz, $CDCl_3$) δ: 154.8, 149.0, 147.9, 138.7, 129.8, 128.8, 128.0, 127.3, 122.8, 120.8, 111.8, 111.4, 109.7, 55.9, 55.8, 45.7, 34.8 ppm; IR (neat): ν_{max} = 2937, 2833, 1745, 1592, 1516, 1452, 1400, 1263, 1237, 1189, 1154, 1025, 806, 764, 741, 691 cm^{-1}; EI-MS: *m/z* (relative intensity) = 325 (3, M^+), 178 (8), 164 (38), 149 (17), 129 (8), 111 (16), 97 (31), 83 (38), 71 (42), 69 (59), 57 (100); TOF−HRMS calcd. for $C_{19}H_{20}NO_4$ $(M + H)^+$ 326.1387, found 326.1385.

4.2 3-(3,4-Dimethoxyphenethyl)-5-(3,4-dimethoxyphenyl)oxazol-2(3*H*)-one (4b)



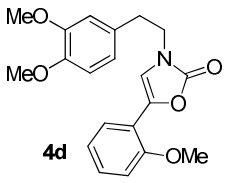
According to *GP3*: ynamide **1b** (62.0 mg, 0.14 mmol) and $AgBF_4$ (1.4 mg, 7.0 μmol) in CH_2Cl_2 (2 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 1:1), product **4b** was obtained (40.6 mg, 75%) as a pale yellow solid (m.p. 136-138 °C). ^{1}H NMR (300 MHz, $CDCl_3$) δ: 6.98 (d, *J* = 8.6 Hz, 1H), 6.95 (s, 1H), 6.89-6.79 (m, 2H), 6.75 (d, *J* = 9.5 Hz, 1H), 6.72 (s, 1H), 6.41 (s, 1H), 3.89 (s, 6H), 3.86 (s, 3H), 3.84 (t, *J* = 7.1 Hz, 2H), 2.97 (t, *J* = 7.1 Hz, 2H) ppm; ^{13}C NMR (75 MHz, $CDCl_3$) δ: 154.8, 149.2, 149.0, 147.9, 138.7, 129.8, 120.8, 120.3, 115.6, 111.8, 111.3, 108.3, 106.2, 55.9, 55.8, 45.7, 34.8 ppm; IR (neat): ν_{max} = 3053, 2939, 2838, 1748, 1592, 1515, 1465, 1420, 1264, 1236, 1141, 1026, 808, 731, 702 cm^{-1}; EI-MS: *m/z* (relative intensity) = 386 [1, $(M+H)^+$], 325 (16), 279 (10), 249 (10), 232 (8), 204 (10), 191 (95), 178 (20), 176 (32), 167 (19), 149 (63), 123 (14), 111 (22), 97 (44), 95 (28), 83 (32), 71 (68), 69 (100), 57 (61); TOF−HRMS calcd. for $C_{21}H_{24}NO_6$ $(M + H)^+$ 386.1598, found 386.1600.

4.3 5-(Benzo[*d*][1,3]dioxol-5-yl)-3-(3,4-dimethoxyphenethyl)oxazol-2(3*H*)-one (4c)



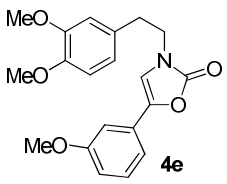
According to *GP3*: ynamide **1c** (21.3 mg, 0.05 mmol) and $AgBF_4$ (0.5 mg, 2.5 µmol) in CH_2Cl_2 (1 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 1:1), product **4c** was obtained (14.4 mg, 78%) as a pale yellow solid (m.p. 139-141 °C). ^{1}H NMR (300 MHz, $CDCl_3$) δ: 6.93 (dd, *J* = 8.1, 1.7 Hz, 1H), 6.88-6.68 (m, 5H), 6.34 (s, 1H), 5.97 (s, 2H), 3.86 (s, 3H), 3.84 (s, 3H), 3.83 (t, *J* = 7.2 Hz, 2H), 2.96 (t, *J* = 7.2 Hz, 2H) ppm; ^{13}C NMR (75 MHz, $CDCl_3$) δ: 154.6, 149.0, 148.0, 147.8, 147.5, 138.6, 129.8, 121.4, 120.8, 116.9, 111.8, 111.4, 108.6, 108.4, 103.4, 101.2, 55.78, 55.77, 45.6, 34.7 ppm; IR (neat): ν_{max} = 2941, 1737, 1515, 1449, 1354, 1264, 1232, 1185, 1155, 1139, 1026, 925, 809, 735, 703 cm^{-1}; EI-MS: *m/z* (relative intensity) = 369 (12, M^+), 302 (10), 253 (12), 227 (29), 205 (17), 193 (26), 164 (31), 149 (100), 129 (26), 104 (84), 97 (55), 76 (57), 73 (99), 69 (92); TOF−HRMS calcd. for $C_{20}H_{19}NO_6Na$ $(M + Na)^+$ 392.1105, found 392.1097.

4.4 3-(3,4-Dimethoxyphenethyl)-5-(2-methoxyphenyl)oxazol-2(3*H*)-one (4d)



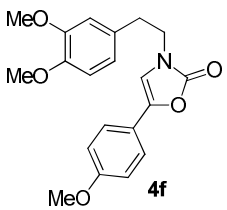
According to *GP3*: ynamide **1d** (20.6 mg, 0.05 mmol) and $AgBF_4$ (0.5 mg, 2.5 µmol) in CH_2Cl_2 (1 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 4:1 to 1:1), product **4d** was obtained (17.2 mg, 97%) as a pale yellow oil. ^{1}H NMR (300 MHz, $CDCl_3$) δ: 7.63 (dd, *J* = 7.7, 1.7 Hz, 1H), 7.29-7.19 (m, 1H), 7.00 (ddd, *J* = 7.6, 7.6, 0.8 Hz, 1H), 6.90 (d, *J* = 8.3 Hz, 1H), 6.85-6.71 (m, 3H), 6.75 (s, 1H), 3.87 (s, 3H), 3.86 (s, 3H), 3.83 (s, 3H), 3.84 (t, *J* = 7.2 Hz, 2H), 2.97 (t, *J* = 7.2 Hz, 2H) ppm; ^{13}C NMR (75 MHz, $CDCl_3$) δ: 155.0, 154.4, 149.1, 147.9, 135.2, 130.1, 128.3, 124.7, 120.89, 120.86, 116.4, 114.3, 112.1, 111.4, 110.3, 55.9, 55.8, 55.2, 45.6, 34.9 ppm; IR (neat): ν_{max} = 2997, 2938, 2837, 1744, 1596, 1515, 1464, 1396, 1286, 1237, 1181, 1153, 1023, 808, 750, 730 cm^{-1}; EI-MS: *m/z* (relative intensity) = 355 (20, M^+), 191 (13), 165 (16), 164 (100), 151 (15), 149 (12), 135 (10); TOF−HRMS calcd. for $C_{20}H_{22}NO_5$ $(M + H)^+$ 356.1493, found 356.1502.

4.5 3-(3,4-Dimethoxyphenethyl)-5-(3-methoxyphenyl)oxazol-2(3*H*)-one (4e)



According to *GP3*: ynamide **1e** (20.6 mg, 0.05 mmol) and $AgBF_4$ (0.5 mg, 2.5 µmol) in CH_2Cl_2 (1 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 4:1 to 1:1), product **4e** was obtained (17.3 mg, 97%) as a pale yellow solid (m.p. 73-76 °C). ^{1}H NMR (300 MHz, $CDCl_3$) δ: 7.25 (dd, *J* = 8.3, 8.1 Hz, 1H), 7.01-6.94 (m, 2H), 6.86-6.78 (m, 2H), 6.78-6.69 (m, 2H), 6.49 (s, 1H), 3.87 (s, 3H), 3.86 (t, *J* = 7.1 Hz, 2H), 3.84 (s, 3H), 3.81 (s, 3H), 2.97 (t, *J* = 7.1 Hz, 2H) ppm; ^{13}C NMR (75 MHz, $CDCl_3$) δ: 159.9, 154.7, 149.1, 148.0, 138.6, 129.9, 129.8, 128.6, 120.8, 115.2, 114.0, 111.9, 111.5, 110.0, 108.2, 55.9, 55.3, 45.8, 34.8 ppm; IR (neat): ν_{max} = 2937, 2836, 1745, 1602, 1515, 1454, 1394, 1263, 1234, 1152, 1028, 783, 746, 687 cm^{-1}; EI-MS: *m/z* (relative intensity) = 355 (17, M^+), 191 (3), 165 (15), 164 (100), 151 (19), 149 (14), 135 (5); TOF−HRMS calcd. for $C_{20}H_{21}NO_5Na$ $(M + Na)^+$ 378.1312, found 378.1326.

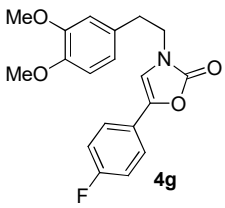
4.6 3-(3,4-Dimethoxyphenethyl)-5-(4-methoxyphenyl)oxazol-2(3*H*)-one (4f)



According to *GP3*: ynamide **1f** (20.6 mg, 0.05 mmol) and $AgBF_4$ (0.5 mg, 2.5 µmol) in CH_2Cl_2 (1 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 2:1 to 1:1), product **4f** was obtained (17.5 mg, 98%) as a pale yellow solid (m.p. 126-128 °C). ^{1}H NMR (300 MHz, $CDCl_3$) δ: 7.34 (d, *J* = 8.7 Hz, 2H), 6.88 (d, *J* = 8.7 Hz, 2H), 6.82 (d, *J* = 8.1 Hz, 1H), 6.78-6.69 (m, 2H), 6.36 (s, 1H), 3.88-3.78 (m, 2H), 3.86 (s, 3H), 3.84 (s, 3H), 3.81 (s, 3H), 2.96 (t, *J* = 7.1 Hz, 2H) ppm; ^{13}C NMR (75 MHz, $CDCl_3$) δ: 159.5, 154.8, 149.1,

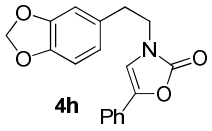
148.0, 138.9, 130.0, 124.4, 120.8, 120.1, 114.3, 112.0, 111.5, 108.0, 55.88, 55.86, 55.3, 45.7, 34.8 ppm; IR (neat): ν_{max} = 3111, 2938, 2840, 1732, 1607, 1511, 1445, 1422, 1401, 1248, 1234, 1176, 1155, 1138, 1025, 836, 814, 746, 689 cm^{-1}; EI-MS: *m/z* (relative intensity) = 355 (38, M^+), 191 (42), 178 (3), 165 (25), 164 (100), 151 (25), 149 (13), 135(8), 133 (6); TOF−HRMS calcd. for $C_{20}H_{21}NO_5Na$ $(M + Na)^+$ 378.1312, found 378.1311.

4.7 3-(3,4-Dimethoxyphenethyl)-5-(4-fluorophenyl)oxazol-2(3*H*)-one (4g)



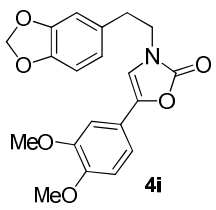
According to *GP3*: ynamide **1g** (40.0 mg, 0.10 mmol) and $AgBF_4$ (1.0 mg, 5.0 µmol) in CH_2Cl_2 (2 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 2:1), product **4g** was obtained (32.6 mg, 95%) as a white solid (m.p. 116-117 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.38 (dd, *J* = 8.8, 5.2 Hz, 2H), 7.05 (dd, *J* = 8.8, 8.6 Hz, 2H), 6.81 (d, *J* = 8.1 Hz, 1H), 6.75 (dd, *J* = 8.1, 1.8 Hz, 1H), 6.72 (d, *J* = 1.8 Hz, 1H), 6.44 (s, 1H), 3.86 (s, 3H), 3.85 (t, *J* = 7.1 Hz, 2H), 3.84 (s, 3H), 2.97 (t, *J* = 7.1 Hz, 2H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ: 162.3 (d, *J* = 248.6 Hz), 154.6, 149.0, 147.9, 137.9, 129.8, 124.7 (d, *J* = 8.1 Hz), 123.6 (d, *J* = 3.3 Hz), 120.8, 115.9 (d, *J* = 22.2 Hz), 111.8, 111.4, 109.3, 55.83, 55.81, 45.7, 34.7 ppm; IR (neat): ν_{max} = 3112, 2937, 2837, 1739, 1605, 1591, 1509, 1453, 1419, 1397, 1263, 1233, 1190, 1155, 1139, 1096, 1026, 835, 806, 765, 745, 689 cm^{-1}; EI-MS: *m/z* (relative intensity) = 343 (13, M^+), 178 (4), 165 (15), 164 (100), 151 (24), 149 (14), 121 (3), 107 (2), 97 (2), 83 (2), 71 (3), 57 (4); TOF−HRMS calcd. for $C_{19}H_{18}FNO_4Na$ $(M + N)^+$ 366.1112, found 366.1118.

4.8 3-(2-(Benzo[*d*][1,3]dioxol-5-yl)ethyl)-5-phenyloxazol-2(3*H*)-one (4h)



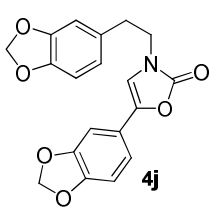
According to *GP3*: ynamide **1h** (18.3 mg, 0.05 mmol) and $AgBF_4$ (0.5 mg, 2.5 µmol) in CH_2Cl_2 (1 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 3:1), product **4h** was obtained (14.8 mg, 96%) as a pale yellow solid (m.p. 165-168 °C). ^{1}H NMR (300 MHz, $CDCl_3$) δ: 7.45-7.32 (m, 4H), 7.31-7.24 (m, 1H), 6.75 (d, *J* = 7.9 Hz, 1H), 6.70 (d, *J* = 1.6 Hz, 1H), 6.65 (dd, *J* = 7.9, 1.7 Hz, 1H), 6.52 (s, 1H), 5.94 (s, 2H), 3.82 (t, *J* = 7.1 Hz, 2H), 2.94 (t, *J* = 7.1 Hz, 2H) ppm; ^{13}C NMR (75 MHz, $CDCl_3$) δ: 154.8, 148.0, 146.5, 138.8, 131.0, 128.8, 128.1, 127.4, 122.9, 121.8, 109.5, 109.0, 108.5, 101.0, 45.8, 34.9 ppm; IR (neat): ν_{max} = 3120, 2907, 1730, 1504, 1453, 1399, 1248, 1188, 1101, 1044, 1019, 940, 927, 818, 739 cm^{-1}; EI-MS: *m/z* (relative intensity) = 309 (23, M^+), 161 (5), 149 (17), 148 (100), 135 (17), 130 (7), 105 (6), 103 (7), 91 (9), 77 (14), 65 (5); TOF−HRMS calcd. for $C_{18}H_{16}NO_4$ $(M + H)^+$ 310.1074, found 310.1065.

4.9 3-(2-(Benzo[*d*][1,3]dioxol-5-yl)ethyl)-5-(3,4-dimethoxyphenyl)oxazol-2(3*H*)-one (4i)



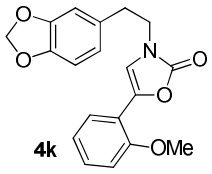
According to *GP3*: ynamide **1i** (23.0 mg, 0.05 mmol) and $AgBF_4$ (0.5 mg, 2.5 µmol) in CH_2Cl_2 (1 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 3:1 to 2:1), product **4i** was obtained (18.08 mg, 90%) as a pale yellow solid (m.p. 137-138 °C). ^{1}H NMR (300 MHz, $CDCl_3$) δ: 6.99 (dd, *J* = 8.2, 2.0 Hz, 1H), 6.98-6.94 (m, 1H), 6.85 (d, *J* = 8.3 Hz, 1H), 6.74 (d, *J* = 7.9 Hz, 1H), 6.70 (d, *J* = 1.4 Hz, 1H), 6.65 (dd, *J* = 7.8, 1.6 Hz, 1H), 6.44 (s, 1H), 5.93 (s, 2H), 3.89 (s, 3H), 3.88 (s, 3H), 3.81 (t, *J* = 7.1 Hz, 2H), 2.93 (t, *J* = 7.1 Hz, 2H) ppm; ^{13}C NMR (75 MHz, $CDCl_3$) δ: 154.7, 149.2, 149.0, 147.8, 146.4, 138.8, 131.0, 121.7, 120.3, 115.7, 111.4, 108.9, 108.4, 108.1, 106.3, 100.9, 55.87, 55.86, 45.6, 34.8 ppm; IR (neat): ν_{max} = 3124, 2937, 2835, 1742, 1606, 1504, 1490, 1443, 1421, 1350, 1249, 1226, 1187, 1171, 1140, 1090, 1023, 927, 855, 807, 763, 738, 698 cm^{-1}; EI-MS: *m/z* (relative intensity) = 369 (60, M^+), 221 (100), 206 (16), 190 (19), 165 (8), 163 (9), 149 (27), 148 (42), 135 (30), 119 (10), 105 (5), 91 (20), 77 (15), 65 (9); TOF−HRMS calcd. for $C_{20}H_{19}NO_6Na$ $(M + Na)^+$ 392.1105, found 392.1102.

4.10 5-(Benzo[*d*][1,3]dioxol-5-yl)-3-(2-(benzo[*d*][1,3]dioxol-5-yl)ethyl)oxazol-2(3*H*)-one (4j)



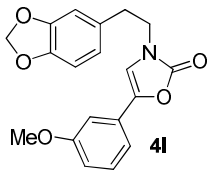
According to *GP3*: ynamide **1j** (24.6 mg, 0.06 mmol) and $AgBF_4$ (0.6 mg, 3.0 μmol) in CH_2Cl_2 (1 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 5:1), product **4j** was obtained (20.5 mg, 97%) as a white solid (m.p. 189-192 °C). ^{1}H NMR (300 MHz, $CDCl_3$) δ: 6.94 (dd, J = 8.1, 1.6 Hz, 1H), 6.86 (d, J = 1.5 Hz, 1H), 6.80 (d, J = 8.1 Hz, 1H), 6.75 (d, J = 7.9 Hz, 1H), 6.70 (d, J = 1.3 Hz, 1H), 6.64 (dd, J = 7.9, 1.4 Hz, 1H), 6.37 (s, 1H), 5.98 (s, 2H), 5.95 (s, 2H), 3.80 (t, J = 7.2 Hz, 2H), 2.92 (t, J = 7.2 Hz, 2H) ppm; ^{13}C NMR (75 MHz, $CDCl_3$) δ: 154.7, 148.1, 147.9, 147.6, 146.5, 138.8, 131.1, 121.8, 121.6, 117.1, 109.0, 108.7, 108.5, 108.3, 103.6, 101.3, 101.0, 45.7, 34.9 ppm; IR (neat): ν_{max} = 2922, 2853, 1735, 1502, 1490, 1447, 1353, 1232, 1190, 1145, 1037, 928, 810, 746 cm^{-1}; EI-MS: *m/z* (relative intensity) = 353 (1, M^+), 310 (5), 296 (8), 284 (14), 256 (13), 213 (8), 207 (14), 185 (17), 168 (15), 149 (26), 148 (21), 129 (34), 104 (100), 98 (50), 97 (55), 83 (41), 73 (63), 69 (79), 57 (55); TOF−HRMS calcd. for $C_{19}H_{15}NO_6Na$ $(M + Na)^+$ 376.0792, found 376.0780.

4.11 3-(2-(Benzo[*d*][1,3]dioxol-5-yl)ethyl)-5-(2-methoxyphenyl)oxazol-2(3*H*)-one (4k)



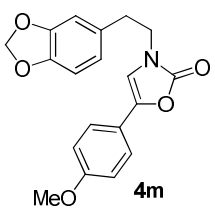
According to *GP3*: ynamide **1k** (40.0 mg, 0.10 mmol) and $AgBF_4$ (1.0 mg, 5.0 μmol) in CH_2Cl_2 (2 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 4:1 to 2:1), product **4k** was obtained (30.0 mg, 88%) as a white solid (m.p. 104-106 °C). ^{1}H NMR (300 MHz, $CDCl_3$) δ: 7.62 (dd, J = 7.7, 1.6 Hz, 1H), 7.28-7.19 (m, 1H), 7.00 (ddd, J = 7.6, 7.5, 0.9 Hz, 1H), 6.90 (d, J = 8.2 Hz, 1H), 6.79 (s, 1H), 6.74 (d, J = 7.9 Hz, 1H), 6.72 (d, J = 1.5 Hz, 1H), 6.66 (dd, J = 7.8, 1.6 Hz, 1H), 5.92 (s, 2H), 3.89 (s, 3H), 3.81 (t, J = 7.3 Hz, 2H), 2.94 (t, J = 7.3 Hz, 2H) ppm; ^{13}C NMR (75 MHz, $CDCl_3$) δ: 155.0, 154.4, 147.8, 146.4, 135.3, 131.2, 128.3, 124.8, 121.9, 120.9, 116.4, 114.1, 110.3, 109.1, 108.4, 100.9, 55.2, 45.6, 35.0 ppm; IR (neat): ν_{max} = 2940, 1742, 1580, 1493, 1443, 1396, 1287, 1246, 1181, 1125, 1091, 1023, 929, 810, 749, 729 cm^{-1}; EI-MS: *m/z* (relative intensity) = 339 (49, M^+), 191 (87), 149 (25), 148 (100), 135 (22), 119 (10), 105 (2), 91 (13), 77 (3); TOF−HRMS calcd. for $C_{19}H_{17}NO_5Na$ $(M + Na)^+$ 362.0999, found 362.1000.

4.12 3-(2-(Benzo[*d*][1,3]dioxol-5-yl)ethyl)-5-(3-methoxyphenyl)oxazol-2(3*H*)-one (4l)



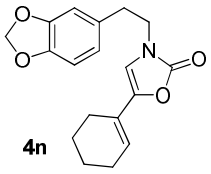
According to *GP3*: ynamide **1l** (19.8 mg, 0.05 mmol) and $AgBF_4$ (0.5 mg, 2.5 μmol) in CH_2Cl_2 (1 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 5:1 to 2:1), product **4l** was obtained (15.1 mg, 89%) as a white solid (m.p. 102-104 °C). ^{1}H NMR (300 MHz, $CDCl_3$) δ: 7.26 (dd, J = 8.4, 7.9 Hz, 1H), 7.03-6.94 (m, 2H), 6.85-6.79 (m, 1H), 6.74 (d, J = 7.9 Hz, 1H), 6.70 (d, J = 1.5 Hz, 1H), 6.64 (dd, J = 7.9, 1.6 Hz, 1H), 6.52 (s, 1H), 5.94 (s, 2H), 3.82 (s, 3H), 3.82 (t, J = 7.2 Hz, 2H), 2.93 (t, J = 7.2 Hz, 2H) ppm; ^{13}C NMR (75 MHz, $CDCl_3$) δ: 159.9, 154.7, 148.0, 146.5, 138.7, 131.0, 129.9, 128.6, 121.8, 115.2, 114.0, 109.9, 109.0, 108.5, 108.2, 101.0, 55.3, 45.8, 34.9 ppm; IR (neat): ν_{max} = 3124, 2938, 1740, 1646, 1602, 1579, 1502, 1489, 1444, 1395, 1361, 1288, 1245, 1173, 1093, 1033, 927, 857, 802, 780, 744, 687 cm^{-1}; EI-MS: *m/z* (relative intensity) = 339 (20, M^+), 191 (19), 160 (5), 149 (19), 148 (100), 135 (16), 119 (3), 91 (5); TOF−HRMS calcd. for $C_{19}H_{17}NO_5Na$ $(M + Na)^+$ 362.0999, found 362.1010.

4.13 3-(2-(Benzo[*d*][1,3]dioxol-5-yl)ethyl)-5-(4-methoxyphenyl)oxazol-2(3*H*)-one (4m)



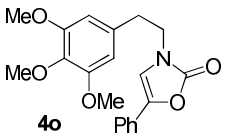
According to *GP3*: ynamide **1m** (19.8 mg, 0.05 mmol) and $AgBF_4$ (0.5 mg, 2.5 μmol) in CH_2Cl_2 (1 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 5:1 to 2:1), product **4m** was obtained (11.6 mg, 68%) as a white solid (m.p. 194-196 °C). ^{1}H NMR (300 MHz, $CDCl_3$) δ: 7.35 (d, *J* = 8.9 Hz, 2H), 6.89 (d, *J* = 8.9 Hz, 2H), 6.74 (d, *J* = 7.9 Hz, 1H), 6.70 (d, *J* = 1.5 Hz, 1H), 6.64 (dd, *J* = 7.8, 1.6 Hz, 1H), 6.39 (s, 1H), 5.94 (s, 2H), 3.80 (s, 3H), 3.78 (t, *J* = 7.3 Hz, 2H), 2.93 (t, *J* = 7.3 Hz, 2H) ppm; ^{13}C NMR (75 MHz, $CDCl_3$) δ: 159.5, 154.8, 147.9, 146.5, 139.0, 131.1, 124.5, 121.8, 120.2, 114.3, 109.0, 108.5, 107.8, 101.0, 55.3, 45.7, 35.0 ppm; IR (neat): ν_{max} = 3109, 2932, 1725, 1608, 1502, 1443, 1402, 1245, 1177, 1101, 1028, 924, 811, 748, 699 cm^{-1}; EI-MS: *m/z* (relative intensity) = 339 (42, M^+), 192 (10), 191 (100), 176 (3), 160 (15), 149 (22), 148 (56), 135 (31), 133 (12), 119 (9), 91 (9); TOF−HRMS calcd. for $C_{19}H_{17}NO_5Na$ $(M + Na)^+$ 362.0999, found 362.1011.

4.14 3-(2-(Benzo[*d*][1,3]dioxol-5-yl)ethyl)-5-(cyclohex-1-en-1-yl)oxazol-2(3*H*)-one (4n)



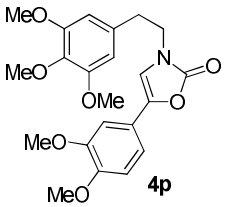
According to *GP3*: ynamide **1n** (47.4 mg, 0.13 mmol) and $AgBF_4$ (1.2 mg, 6.4 μmol) in CH_2Cl_2 (2 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 10:1 to 5:1), product **4n** was obtained (13.0 mg, 32%) as a white solid (m.p. 150-153 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.47 (d, *J* = 7.9 Hz, 1H), 6.68 (d, *J* = 1.6 Hz, 1H), 6.62 (dd, *J* = 7.9, 1.6 Hz, 1H), 6.13 (t, *J* = 4.1 Hz, 1H), 6.00 (s, 1H), 5.94 (s, 2H), 3.73 (t, *J* = 7.2 Hz, 2H), 2.87 (t, *J* = 7.2 Hz, 2H), 2.20-2.13 (m, 2H), 2.02-1.95 (m, 2H), 1.72-1.55 (m, 4H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ: 154.8, 147.9, 146.4, 140.3, 131.2, 123.7, 123.4, 121.8, 109.1, 108.5, 108.0, 101.0, 45.6, 35.0, 24.9, 23.3, 22.0, 21.9 ppm; IR (neat): ν_{max} = 3112, 2929, 2863, 1729, 1694, 1499, 1490, 1445, 1402, 1374, 1348, 1256, 1240, 1186, 1147, 1100, 1041, 1017, 934, 925, 814, 747, 700 cm^{-1}; EI-MS: *m/z* (relative intensity) = 313 (18, M^+), 178 (11), 165 (29), 149 (29), 148 (100), 135 (13), 119 (6), 97 (4), 91 (9), 83 (4), 71 (5), 57 (9); TOF−HRMS calcd. for $C_{18}H_{19}NO_4Na$ $(M + Na)^+$ 336.1206, found 336.1203.

4.15 5-Phenyl-3-(3,4,5-trimethoxyphenethyl)oxazol-2(3*H*)-one (4o)



According to *GP3*: ynamide **1o** (20.6 mg, 0.05 mmol) and $AgBF_4$ (0.5 mg, 2.5 μmol) in CH_2Cl_2 (1 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 1:1), product **4o** was obtained (16.8 mg, 95%) as a pale yellow oil. ^{1}H NMR (300 MHz, $CDCl_3$) δ: 7.45-7.32 (m, 4H), 7.31-7.24 (m, 1H), 6.50 (s, 1H), 6.42 (s, 2H), 3.87 (t, *J* = 7.2 Hz, 2H), 3.83 (s, 3H), 3.82 (s, 6H), 2.97 (t, *J* = 7.2 Hz, 2H) ppm; ^{13}C NMR (75 MHz, $CDCl_3$) δ: 154.8, 153.4, 138.8, 136.9, 133.0, 128.8, 128.1, 127.2, 122.8, 109.7, 105.7, 60.8, 56.1, 45.6, 35.5 ppm; IR (neat): ν_{max} = 3124, 2939, 2840, 1742, 1590, 1508, 1453, 1422, 1400, 1360, 1333, 1237, 1185, 1124, 1023, 1007, 829, 765, 741, 692, 671 cm^{-1}; EI-MS: *m/z* (relative intensity) = 355 (7, M^+), 195 (17), 194 (100), 181 (31), 179 (38), 165 (4), 149 (8), 130 (15), 121 (6), 105 (26), 103 (13), 91 (8), 77 (19); TOF−HRMS calcd. for $C_{20}H_{21}NO_5Na$ $(M + Na)^+$ 378.1312, found 378.1306.

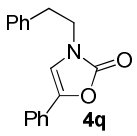
4.16 5-(3,4-Dimethoxyphenyl)-3-(3,4,5-trimethoxyphenethyl)oxazol-2(3*H*)-one (4p)



According to *GP3*: ynamide **1p** (23.6 mg, 0.05 mmol) and $AgBF_4$ (0.5 mg, 2.5 μmol) in CH_2Cl_2 (1 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 1:1), product **4p** was obtained (20.6 mg, 99%) as a pale yellow oil. ^{1}H NMR (300 MHz, $CDCl_3$) δ: 6.98 (dd, *J* = 8.3, 1.8 Hz, 1H), 6.94 (d, *J* = 1.6 Hz, 1H), 6.85 (d, *J* = 8.3 Hz, 1H), 6.42 (s, 2H), 6.41 (s, 1H), 3.89 (s, 6H), 3.86 (t, *J* = 7.3 Hz, 2H), 3.82 (s, 9H), 2.96 (t, *J* = 7.3 Hz, 2H)

ppm; ^{13}C NMR (75 MHz, $CDCl_3$) δ: 154.7, 153.3, 149.2, 149.0, 138.8, 136.8, 133.0, 120.2, 115.7, 111.4, 108.3, 106.3, 105.7, 60.7, 56.0, 55.9, 45.4, 35.4 ppm; IR (neat): ν_{max} = 2939, 2839, 1742, 1590, 1510, 1457, 1421, 1333, 1227, 1186, 1124, 1058, 1023, 812, 763, 734, 701 cm^{-1}; EI-MS: *m/z* (relative intensity) = 415 (0.1, M^+), 353 (6), 279 (6), 232 (6), 205 (12), 178 (9), 167 (16), 149 (51), 135 (14), 111 (15), 97 (32), 83 (24), 81 (30), 71 (52), 69 (100), 57 (48); TOF−HRMS calcd. for $C_{22}H_{25}NO_7Na$ $(M + Na)^+$ 438.1523, found 438.1521.

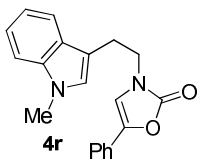
4.17 3-Phenethyl-5-phenyloxazol-2(3*H*)-one (4q)



4q

According to *GP3*: ynamide **1q** (38.0 mg, 0.12 mmol) and $AgBF_4$ (1.2 mg, 6.0 μmol) in CH_2Cl_2 (2 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 10:1 to 4:1), product **4q** was obtained (22.1 mg, 70%) as a pale yellow solid (m.p. 131-133 °C). ^{1}H NMR (300 MHz, $CDCl_3$) δ: 7.43-7.18 (m, 10H), 6.45 (s, 1H), 3.87 (t, *J* = 7.2 Hz, 2H), 3.02 (t, *J* = 7.2 Hz, 2H) ppm; ^{13}C NMR (75 MHz, $CDCl_3$) δ: 154.8, 138.7, 137.4, 128.79, 128.75, 128.0, 127.4, 127.0, 122.8, 109.6, 45.6, 35.2 ppm; IR (neat): ν_{max} = 3060, 2928, 2856, 1745, 1727, 1652, 1496, 1452, 1399, 1374, 1265, 1181, 1096, 1076, 1044, 1018, 924, 908, 740, 702, 688 cm^{-1}; EI-MS: *m/z* (relative intensity) = 265 (68, M^+), 174 (9), 161 (62), 130 (50), 105 (100), 103 (29), 91 (5), 79 (10), 77 (11); TOF−HRMS calcd. for $C_{17}H_{15}NO_2Na$ $(M + Na)^+$ 288.0995, found 288.1003.

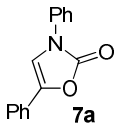
4.18 3-(2-(1-Methyl-1H-indol-3-yl)ethyl)-5-phenyloxazol-2(3*H*)-one (4r)



4r

According to *GP3*: ynamide **1r** (37.5 mg, 0.10 mmol) and $AgBF_4$ (1.0 mg, 5.0 μmol) in CH_2Cl_2 (2 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 10:1 to 2:1), product **4r** was obtained (27.6 mg, 87%) as a white solid (m.p. 150-153 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.61 (d, *J* = 7.9 Hz, 1H), 7.40-7.30 (m, 5H), 7.28-7.22 (m, 2H), 7.14 (ddd, *J* = 7.8, 6.9, 1.0 Hz, 1H), 6.89 (s, 1H), 6.49 (s, 1H), 3.94 (t, *J* = 7.1 Hz, 2H), 3.74 (s, 3H), 3.18 (t, *J* = 7.1 Hz, 2H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ: 154.9, 138.6, 137.0, 128.7, 127.9, 127.4, 127.3, 127.2, 122.7, 121.8, 119.1, 118.5, 109.9, 109.8, 109.4, 44.7, 32.6, 24.9 ppm; IR (neat): ν_{max} = 3122, 3056, 2932, 1742, 1647, 1474, 1451, 1400, 1378, 1329, 1188, 1091, 1044, 1022, 737, 691, 673 cm^{-1}; EI-MS: *m/z* (relative intensity) = 318 (16, M^+), 178 (3), 158 (18), 157 (100), 145 (10), 144 (95), 128 (2), 97 (2), 83 (2), 71 (3), 57 (4); TOF−HRMS calcd. for $C_{20}H_{18}N_2O_2Na$ $(M + Na)^+$ 341.1261, found 341.1272.

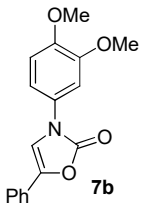
4.19 3,5-Diphenyloxazol-2(3*H*)-one (7a)



7a

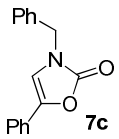
According to *GP3*: ynamide **6a** (29.3 mg, 0.10 mmol) and $AgBF_4$ (1.0 mg, 5.0 μmol) in CH_2Cl_2 (2 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 20:1), product **7a** was obtained (19.0 mg, 80%) as a pale yellow solid (m.p. 166-167 °C). ^{1}H NMR (300 MHz, $CDCl_3$) δ: 7.62 (d, *J* = 7.7 Hz, 2H), 7.55 (d, *J* = 7.3 Hz, 2H), 7.51-7.37 (m, 4H), 7.37-7.24 (m, 2H), 7.17 (s, 1H) ppm; ^{13}C NMR (75 MHz, $CDCl_3$) δ: 152.5, 139.8, 135.5, 129.4, 128.9, 128.5, 126.9, 126.6, 123.1, 120.9, 108.4 ppm; IR (neat): ν_{max} = 3130, 3060, 1747, 1654, 1597, 1507, 1449, 1397, 1282, 1251, 1209, 1139, 1054, 984, 753, 737, 688 cm^{-1}; EI-MS: *m/z* (relative intensity) = 237 (86, M^+), 193 (38), 165 (24), 149 (9), 116 (12), 105 (22), 104 (100), 97 (14), 89 (15), 83 (20), 77 (99), 71 (21), 69 (19), 57 (47); TOF−HRMS calcd. for $C_{15}H_{12}NO_2$ $(M + H)^+$ 238.0863, found 238.0862.

4.20 3-(3,4-Dimethoxyphenyl)-5-phenyloxazol-2(3*H*)-one (7b)



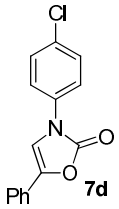
According to *GP3*: ynamide **4b** (61.0 mg, 0.17 mmol) and $AgBF_4$ (1.7 mg, 8.6 µmol) in CH_2Cl_2 (2 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 5:1 to 3:1), product **7b** was obtained (45.4 mg, 88%) as a pale yellow solid (m.p. 129-130 °C). ^{1}H NMR (300 MHz, $CDCl_3$) δ: 7.56-7.50 (m, 2H), 7.43-7.35 (m, 2H), 7.34-7.27 (m, 1H), 7.24 (d, J = 2.5 Hz, 1H), 7.11 (s, 1H), 7.02 (dd, J = 8.6, 2.5 Hz, 1H), 6.89 (d, J = 8.6 Hz, 1H), 3.91 (s, 3H), 3.88 (s, 3H) ppm; ^{13}C NMR (75 MHz, $CDCl_3$) δ: 152.7, 149.4, 147.7, 139.5, 128.8, 128.7, 128.4, 126.9, 123.0, 113.4, 111.3, 109.1, 105.8, 56.0 ppm; IR (neat): ν_{max} = 3121, 2940, 2836, 1742, 1653, 1596, 1512, 1457, 1938, 1333, 1296, 1267, 1224, 1176, 1128, 1054, 1022, 884, 850, 806, 762, 734, 684, 673 cm^{-1}; EI-MS: *m/z* (relative intensity) = 297 (100, M^+), 282 (5), 253 (6), 238 (17), 210 (11), 195 (20), 180 (7), 164 (72), 137 (35), 127 (7) 122 (14), 116 (28), 107 (9), 105 (19), 94 (8), 91 (19), 79 (18), 77 (19); TOF−HRMS calcd. for $C_{17}H_{16}NO_4$ $(M + H)^+$ 298.1074, found 298.1063.

4.21 3-Benzyl-5-phenyloxazol-2(3*H*)-one (7c)



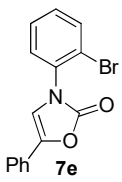
According to *GP3*: ynamide **6c** (24.6 mg, 0.08 mmol) and $AgBF_4$ (0.8 mg, 4.0 µmol) in CH_2Cl_2 (2 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 10:1 to 5:1), product **7c** was obtained (14.1 mg, 70%) as a pale yellow solid (m.p. 139-142 °C). ^{1}H-NMR (400 MHz, $CDCl_3$) δ: 7.47-7.31 (m, 9H), 7.20-7.24 (m, 1H), 6.64 (s, 1H), 4.80 (s, 2H) ppm; ^{13}C-NMR (100 MHz, $CDCl_3$) δ: 155.0, 139.3, 135.2, 129.1, 128.8, 128.5, 128.2, 128.0, 127.3, 122.9, 108.8, 47.9 ppm; IR (neat): ν_{max} = 3132, 3036, 2930, 1740, 1648, 1497, 1453, 1442, 1403, 1368, 1282, 1186, 1099, 1045, 1024, 966, 731, 705, 687 cm^{-1}; EI-MS: *m/z* (relative intensity) = 251 (33, M^+), 178 (35), 149 (2), 105 (10), 92 (7), 91 (100), 77 (2), 65 (2); TOF−HRMS calcd. for $C_{16}H_{13}NO_2Na$ $(M + Na)^+$ 274.0838, found 274.0839.

4.22 3-(4-Chlorophenyl)-5-phenyloxazol-2(3*H*)-one (7d)



According to *GP3*: ynamide **6d** (32.8 mg, 0.10 mmol) and $AgBF_4$ (1.0 mg, 5.0 µmol) in CH_2Cl_2 (2 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 10:1), product **7d** was obtained (26.9 mg, 99%) as a white solid (m.p. 219-220 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.60 (d, J = 8.9 Hz, 2H), 7.58-7.54 (m, 2H), 7.47-7.40 (m, 4H), 7.38-7.33 (m, 1H), 7.15 (s, 1H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ: 152.3, 140.2, 134.0, 132.1, 129.6, 128.9, 128.8, 126.7, 123.2, 122.0, 107.9 ppm; IR (neat): ν_{max} = 3136, 2925, 1730, 1657, 1501, 1451, 1393, 1283, 1250, 1205, 1146, 1099, 1055, 986, 821, 728, 684 cm^{-1}; EI-MS: *m/z* (relative intensity) = 273 (12, M^+), 271 (21, M^+), 256 (6), 249 (6), 227 (12), 221 (15), 192 (17), 178 (100), 167 (27), 165 (11), 161 (20), 149 (43), 138 (19), 125 (18), 123 (25), 121 (9), 113 (26), 111 (32), 105 (17), 99 (21), 97 (39), 85 (39), 83 (36), 73 (25), 71 (28), 70 (45), 69 (33), 57 (66); TOF−HRMS calcd. for $C_{15}H_{11}ClNO_2$ $(M + H)^+$ 272.0473, found 272.0477.

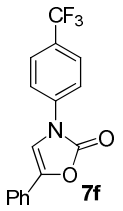
4.23 3-(2-Bromophenyl)-5-phenyloxazol-2(3*H*)-one (7e)



According to *GP3*: ynamide **6e** (37.2 mg, 0.10 mmol) and $AgBF_4$ (1.0 mg, 5.0 µmol) in CH_2Cl_2 (2 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 10:1), product **7e** was obtained (13.5 mg, 42%) as a white solid (m.p. 145-146 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.73 (dd, J = 8.1, 1.4 Hz, 1H), 7.57-7.51 (m, 3H), 7.48-7.39 (m, 3H), 7.37-7.30 (m, 2H), 7.00 (s, 1H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ: 153.1, 139.6, 134.04, 133.99, 130.5, 129.1, 128.9, 128.7, 128.5, 127.0, 123.1, 120.9, 110.8 ppm; IR (neat): ν_{max} = 3030, 3062, 1761, 1485, 1449, 1396, 1284, 1217, 1130, 1047, 1024, 981, 760, 733, 720, 692 cm^{-1}; EI-MS: *m/z* (relative intensity) = 317 (96, M^+), 315 (100, M^+), 273 (11), 271 (15), 236 (12), 221 (14), 192 (41), 184 (66), 182 (72), 178 (28), 167 (24), 165 (59),

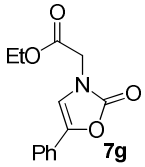
155 (24), 149 (63), 127 (14), 125 (15), 123 (16), 116 (27), 113 (22), 111 (29), 105 (35), 97 (38), 89 (31), 85 (39), 83 (33), 77 (21), 71 (54), 69 (26), 57 (57); TOF−HRMS calcd. for $C_{15}H_{10}BrNO_2Na$ (M + Na)$^+$ 337.9787, found 337.9776.

4.24 5-Phenyl-3-(4-(trifluoromethyl)phenyl)oxazol-2(3*H*)-one (7f)



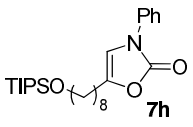
According to *GP3*: ynamide **6f** (36.1 mg, 0.10 mmol) and $AgBF_4$ (1.0 mg, 5.0 μmol) in CH_2Cl_2 (2 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 10:1), product **7f** was obtained (13.8 mg, 45%) as a white solid (m.p. 227-229 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.81 (d, *J* = 8.7 Hz, 2H), 7.74 (d, *J* = 8.7 Hz, 2H), 7.58 (d, *J* = 7.3 Hz, 2H), 7.44 (dd, *J* = 7.8, 7.2 Hz, 2H), 7.41-7.34 (m, 1H), 7.24 (s, 1H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ: 152.1, 140.6, 138.4, 129.03, 129.00, 128.4 (q, *J* = 33.1 Hz), 126.8 (q, *J* = 3.7 Hz), 126.5, 123.7 (q, *J* = 271.8 Hz), 123.4, 120.4, 107.3 ppm; IR (neat): ν_{max} = 3122, 2922, 2852, 1754, 1615, 1524, 1404, 1323, 1252, 1209, 1159, 1114, 1070, 1052, 842, 763, 739, 691 cm^{-1}; EI-MS: *m/z* (relative intensity) = 305 (100, M$^+$), 261 (39), 221 (7), 192 (6), 172 (54), 165 (15), 149 (8), 145 (24), 116 (20), 105 (37), 90 (12), 89 (11), 77 (11), 69 (8), 57 (8); TOF−HRMS calcd. for $C_{16}H_{11}F_3NO_2$ (M + H)$^+$ 306.0736, found 306.0728.

4.25 Ethyl 2-(2-oxo-5-phenyloxazol-3(2*H*)-yl)acetate (7g)



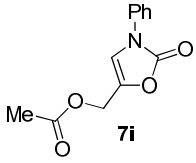
According to *GP3*: ynamide **6g** (30.3 mg, 0.10 mmol) and $AgBF_4$ (1.0 mg, 5.0 μmol) in CH_2Cl_2 (2 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 5:1 to 3:1), product **7g** was obtained (18.8 mg, 76%) as a white solid (m.p. 131-132 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.51-7.47 (m, 2H), 7.41-7.35 (m, 2H), 7.33-7.27 (m, 1H), 6.84 (s, 1H), 4.40 (s, 2H), 4.27 (q, *J* = 7.1 Hz, 2H), 1.31 (t, *J* = 7.1 Hz, 3H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ: 167.3, 154.8, 139.4, 128.8, 128.3, 127.1, 123.0, 109.6, 62.1, 44.9, 14.1 ppm; IR (neat): ν_{max} = 3160, 2982, 2948, 1744, 1647, 1450, 1426, 1392, 1374, 1351, 1297, 1209, 1109, 1096, 1044, 1019, 970, 738, 693 cm^{-1}; EI-MS: *m/z* (relative intensity) = 247 (100, M$^+$), 219 (13), 174 (29), 149 (12), 146 (8), 130 (88), 111 (6), 105 (24), 103 (30), 97 (8), 91 (6), 86 (21), 77 (14), 71 (12), 57 (14); TOF−HRMS calcd. for $C_{13}H_{13}NO_4Na$ (M + Na)$^+$ 270.0737, found 270.0733.

4.26 3-Phenyl-5-(8-((triisopropylsilyl)oxy)octyl)oxazol-2(3*H*)-one (7h)



According to *GP3*: ynamide **6h** (50.2 mg, 0.10 mmol) and $AgBF_4$ (1.0 mg, 5.0 μmol) in CH_2Cl_2 (2 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 20:1 to 10:1), product **7h** was obtained (44.3 mg, 99%) as a colorless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.56 (d, *J* = 8.1 Hz, 2H), 7.42 (dd, *J* = 7.9, 7.6 Hz, 2H), 7.24 (d, *J* = 7.3 Hz, 1H), 6.57 (s, 1H), 3.67 (t, *J* = 6.6 Hz, 2H), 2.46 (t, *J* = 7.4 Hz, 2H), 1.75-1.48 (m, 4H), 1.43-1.25 (m, 8H), 1.11-1.02 (m, 21H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ: 153.2, 141.9, 135.8, 129.3, 126.0, 120.6, 108.9, 63.4, 33.0, 29.3, 29.2, 28.9, 26.4, 25.9, 25.7, 18.0, 12.0 ppm; IR (neat): ν_{max} = 2930, 2864, 1755, 1677, 1600, 1505, 1461, 1393, 1210, 1112, 1071, 996, 973, 882, 755, 681 cm^{-1}; EI-MS: *m/z* (relative intensity) = 445 (0.1, M$^+$), 403 (23), 402 (100), 316 (1), 260 (1), 174 (1), 157 (1), 130 (1), 103 (2), 75 (2); TOF−HRMS calcd. for $C_{26}H_{43}NO_3SiNa$ (M + Na)$^+$ 468.2904, found 468.2905.

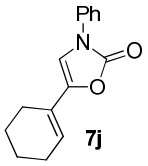
4.27 (2-Oxo-3-phenyl-2,3-dihydrooxazol-5-yl)methyl acetate (7i)



According to *GP3*: ynamide **6i** (28.9 mg, 0.10 mmol) and $AgBF_4$ (1.0 mg, 5.0 μmol) in CH_2Cl_2 (2 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 3:1), product **7i** was obtained (23.0 mg, 99%) as a pale yellow solid (m.p. 53-54 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.54

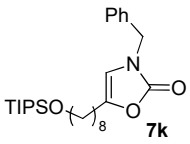
(d, J = 8.2 Hz, 2H), 7.45 (dd, J = 8.4, 7.4 Hz, 2H), 7.30 (dd, J = 7.4, 7.2 Hz, 1H), 7.00 (s, 1H), 4.91 (s, 2H), 2.12 (s, 3H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ: 170.5, 152.6, 135.4, 135.1, 129.5, 126.8, 121.0, 114.7, 56.0, 20.7 ppm; IR (neat): ν_{max} = 3142, 3047, 5129, 1742, 1598, 1505, 1402, 1379, 1360, 1215, 1118, 1026, 975, 757, 691 cm^{-1}; EI-MS: *m/z* (relative intensity) = 233 (68, M^+), 221 (5), 191 (8), 178 (34), 174 (100), 163 (30), 161 (14), 149 (10), 130 (73), 129 (32), 119 (18), 104 (61), 103 (21), 77 (53), 71 (13), 57 (16); TOF−HRMS calcd. for $C_{12}H_{11}NO_4Na$ $(M + Na)^+$ 256.0580, found 256.0579.

4.28 5-(Cyclohex-1-en-1-yl)-3-phenyloxazol-2(3*H*)-one (7j)



According to *GP3*: ynamide **6j** (29.7 mg, 0.10 mmol) and $AgBF_4$ (1.0 mg, 5.0 μmol) in CH_2Cl_2 (2 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 20:1), product **7j** was obtained (9.5 mg, 39%) as a white solid (m.p. 138-141 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.60-7.53 (m, 2H), 7.48-7.41 (m, 2H), 7.30-7.23 (m, 1H), 6.65 (s, 1H), 6.27 (t, J = 4.1 Hz, 1H), 2.25-2.18 (m, 2H), 2.17-2.11 (m, 2H), 1.78-1.70 (m, 2H), 1.70-1.62 (m, 2H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ: 152.6, 141.3, 135.7, 129.4, 126.3, 125.1, 123.2, 120.8, 106.9, 25.0, 23.3, 22.0, 21.9 ppm; IR (neat): ν_{max} = 3123, 2923, 2861, 1745, 1599, 1507, 1459, 1396, 1241, 1205, 1145, 1020, 751, 740, 689 cm^{-1}; EI-MS: *m/z* (relative intensity) = 241 (100, M^+), 213 (7), 196 (17), 182 (8), 169 (15), 168 (22), 156 (12), 130 (4), 117 (4), 104 (33), 79 (8), 77 (16); TOF−HRMS calcd. for $C_{15}H_{15}NO_2Na$ $(M + Na)^+$ 264.0995, found 264.0994.

4.29 3-Benzyl-5-(8-((triisopropylsilyl)oxy)octyl)oxazol-2(3*H*)-one (7k)



According to *GP3*: ynamide **6k** (51.6 mg, 0.10 mmol) and $AgBF_4$ (1.0 mg, 5.0 μmol) in CH_2Cl_2 (2 mL) were employed. After purification by column chromatography (SiO_2, hexane:EtOAc, 20:1 to 10:1), product **7k** was obtained (32.2 mg, 72%) as a colorless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.41-7.29 (m, 3H), 7.27 (d, J = 5.9 Hz, 2H), 6.01 (s, 1H), 4.68 (s, 2H), 3.66 (t, J = 6.6 Hz, 2H), 2.34 (t, J = 7.5 Hz, 2H), 1.59-1.45 (m, 4H), 1.37-1.23 (m, 8H), 1.14-1.01 (m, 21H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ: 155.8, 141.2, 135.6, 128.9, 128.2, 127.9, 109.1, 63.4, 47.4, 32.9, 29.24, 29.16, 28.8, 26.4, 25.9, 25.7, 18.0, 12.0 ppm; IR (neat): ν_{max} = 2930, 2864, 1749, 1669, 1497, 1457, 1400, 1366, 1248, 1160, 1101, 1068, 1013, 996, 882, 733, 702, 679 cm^{-1}; EI-MS: *m/z* (relative intensity) = 459 (0.1, M^+), 417 (24), 416 (100), 282 (1), 254 (1), 157 (1), 131 (2), 103 (1), 91 (29), 81 (1), 69 (1); TOF−HRMS calcd. for $C_{27}H_{45}NO_3SiNa$ $(M + Na)^+$ 482.3061, found 482.307.

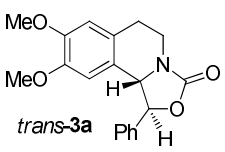
5. General procedure for acid-mediated cyclization reaction

General procedure 4 (GP4): In 10 mL microwave vessel, a suspension of oxazol-2(3*H*)-one derivatives (0.05 mmol) and $TsOH·H_2O$ (23.8 mg 2.5 equiv) in MeCN (1 mL) was irradiated using microwave. The microwave run time was set to 2 min, with power at 200 Watt, temperature at 100 °C, and pressure at 50 psi, and the conditions held for 3 h. The resulting solution was diluted with EtOAc (3 mL) and neutralized with sat. $NaHCO_3$. The organic layer was separated and the aqueous layer was extracted with EtOAc (2 x 3 mL). The combined organic layers were dried over anh. Na_2SO_4 and concentrated in vacuo. Subsequently, the reaction mixture was purified by preparative thin-layer chromatography on silica gel (hexane:EtOAc) to afford the product.

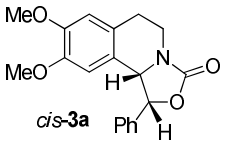
5.1 *cis*- and *trans*-Oxazolidone 3a

According to *GP4*: oxazol-2(3*H*)-one **4a** (16.3 mg, 0.05 mmol) and $TsOH·H_2O$ (23.8 mg, 0.13 mmol) in MeCN (1 mL) were employed. After removal of the solvent, the crude product was

purified by preparative thin-layer chromatography (SiO_2, hexane:EtOAc, 2:1) to obtain product **3a** (12.2 mg, 75% with *trans*:*cis* ratio of 10:1)

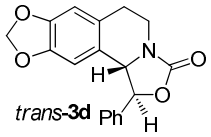


trans-**3a** as a white solid (m.p. 168-169 °C). ^{1}H NMR (300 MHz, $CDCl_3$) δ: 7.62-7.35 (m, 5H), 6.66 (s, 1H), 6.32 (s, 1H), 5.16 (d, *J* = 7.5 Hz, 1H), 4.87 (d, *J* = 7.5 Hz, 1H), 4.20 (dd, *J* = 12.7, 5.6 Hz, 1H), 3.87 (s, 3H), 3.71 (s, 3H), 3.25-3.11 (m, 1H), 3.11-2.96 (m, 1H), 2.68 (d, *J* = 15.5 Hz, 1H) ppm; ^{13}C NMR (75 MHz, $CDCl_3$) δ: 156.7, 148.5, 148.1, 137.8, 129.5, 129.1, 127.1, 125.8, 125.1, 112.0, 107.4, 84.0, 61.6, 55.9, 55.8, 38.6, 27.7 ppm; IR (neat): ν_{max} = 2936, 2840, 1751, 1612, 1515, 1454, 1420, 1365, 1310, 1256, 1228, 1173, 1117, 1086, 1033, 997, 961, 872, 854, 767, 702 cm^{-1}; EI-MS: *m/z* (relative intensity) = 325 (2, M^+), 313 (10), 296 (67), 284 (22), 268 (33), 256 (19), 236 (12), 213 (18) 207 (14), 185 (28), 171 (21), 149 (18), 135 (24), 129 (53), 111 (35), 97 (78), 84 (61), 73 (77), 69 (100), 57 (89); TOF−HRMS calcd. for $C_{19}H_{20}NO_4$ $(M + H)^+$ 326.1387, found 326.1385.



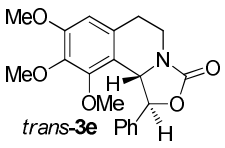
cis-**3a** as a white solid (m.p. 163-165 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.23-7.17 (m, 3H), 7.12-7.06 (m, 2H), 6.47 (s, 1H), 5.88 (d, *J* = 8.5 Hz, 1H), 5.79 (s, 1H), 5.31 (d, *J* = 8.5 Hz, 1H), 4.19 (dd, *J* = 13.1, 5.1 Hz, 1H), 3.78 (s, 3H), 3.42 (s, 3H), 3.15 (ddd, *J* = 12.9, 12.6, 3.6 Hz, 1H), 2.98 (ddd, *J* = 15.9, 12.2, 5.5 Hz, 1H), 2.56 (dd, *J* = 15.8, 3.2 Hz, 1H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ: 157.8, 147.7, 146.8, 135.2, 128.8, 128.2, 127.4, 127.0, 122.3, 111.4, 110.2, 80.6, 59.5, 55.6, 55.5, 39.7, 27.4 ppm; IR (neat): ν_{max} = 2925, 2853, 1747,1611, 1516, 1456, 1420, 1360, 1331, 1256, 1231, 1209, 1173, 1120, 1088, 1030, 1009, 765, 736, 700 cm^{-1}; EI-MS: *m/z* (relative intensity) = 325 (8, M^+), 207 (8), 191 (100), 176 (32), 149 (11), 133 (7), 121 (9), 111 (15), 105 (34), 97 (25), 85 (17), 83 (27), 77 (24), 69 (24), 57 (30); TOF−HRMS calcd. for $C_{19}H_{20}NO_4$ $(M + H)^+$ 326.1387, found 326.1398.

5.2 *trans*-Oxazolidone 3d



According to *GP4*: oxazol-2(3*H*)-one **4h** (15.5 mg, 0.05 mmol) and $TsOH\cdot H_2O$ (23.8 mg, 0.13 mmol) in MeCN (1 mL) were employed. After removal of the solvent, the crude product was purified by preparative thin-layer chromatography (SiO_2, hexane:EtOAc, 2:1) to obtain product **3d** (9.0 mg, 58%, only *trans*-isomer) as a white solid (m.p. 177-179 °C). ^{1}H NMR (300 MHz, $CDCl_3$) δ: 7.55-7.40 (m, 5H), 6.63 (s, 1H), 6.36 (s, 1H), 5.93 (d, *J* = 1.4 Hz, 2H), 5.17 (d, *J* = 6.9 Hz, 1H), 4.85 (d, *J* = 6.9 Hz, 1H), 4.15 (ddd, *J* = 13.0, 5.9, 1.6 Hz, 1H), 3.17 (ddd, *J* = 12.8, 12.0, 3.9 Hz, 1H), 3.01 (ddd, *J* = 15.9, 11.7, 5.8 Hz, 1H), 2.65 (dd, *J* = 15.8, 3.3 Hz, 1H) ppm; ^{13}C NMR (75 MHz, $CDCl_3$) δ: 156.7, 147.2, 146.8, 137.8, 129.4, 129.1, 127.1, 126.9, 126.6, 109.3, 104.6, 101.1, 83.7, 61.9, 38.6, 28.1 ppm; IR (neat): ν_{max} = 2923, 2853, 1755, 1504, 1487, 1456, 1377, 1247, 1226, 1174, 1061, 1037, 940, 758, 701 cm^{-1}; EI-MS: *m/z* (relative intensity) = 309 (2, M^+), 293 (9), 279 (15), 227 (5), 175 (11), 167 (32), 149 (100), 127 (10) 113 (10), 97 (19), 85 (13), 83 (16), 71 (37), 69 (29), 57 (35); TOF−HRMS calcd. for $C_{18}H_{15}NO_4Na$ $(M + Na)^+$ 332.0893, found 332.0892.

5.3 *trans*-Oxazolidone 3e



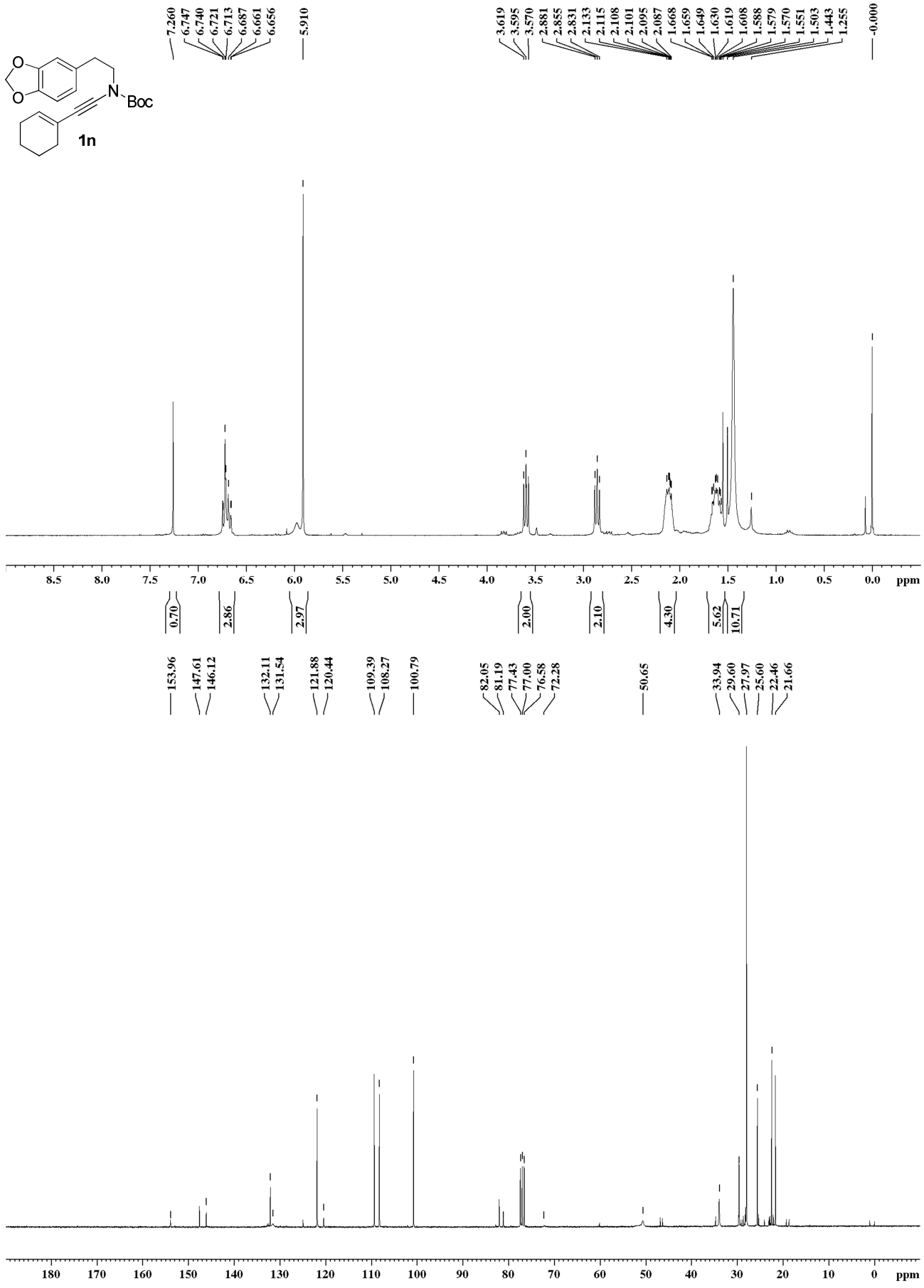
According to *GP4*: oxazol-2(3*H*)-one **4o** (17.8 mg, 0.05 mmol) and $TsOH\cdot H_2O$ (23.8 mg, 0.13 mmol) in MeCN (1 mL) were employed. After removal of the solvent, the crude product was purified by preparative thin-layer chromatography (SiO_2, hexane:EtOAc, 1:1) to obtain product **3e** (8.8 mg, 50%, only *trans*-isomer) as a white solid (m.p. 150-154 °C). ^{1}H NMR (300 MHz, $CDCl_3$) δ: 7.59-7.53 (m, 2H), 7.48-7.35 (m, 3H), 6.42 (s, 1H), 5.40 (d, *J* = 3.6 Hz, 1H), 4.87 (d, *J* = 3.6 Hz, 1H), 4.23-4.07 (m, 1H), 3.86 (s, 6H), 3.73 (s, 3H), 3.18-3.01 (m, 2H), 2.63-2.48 (m, 1H)

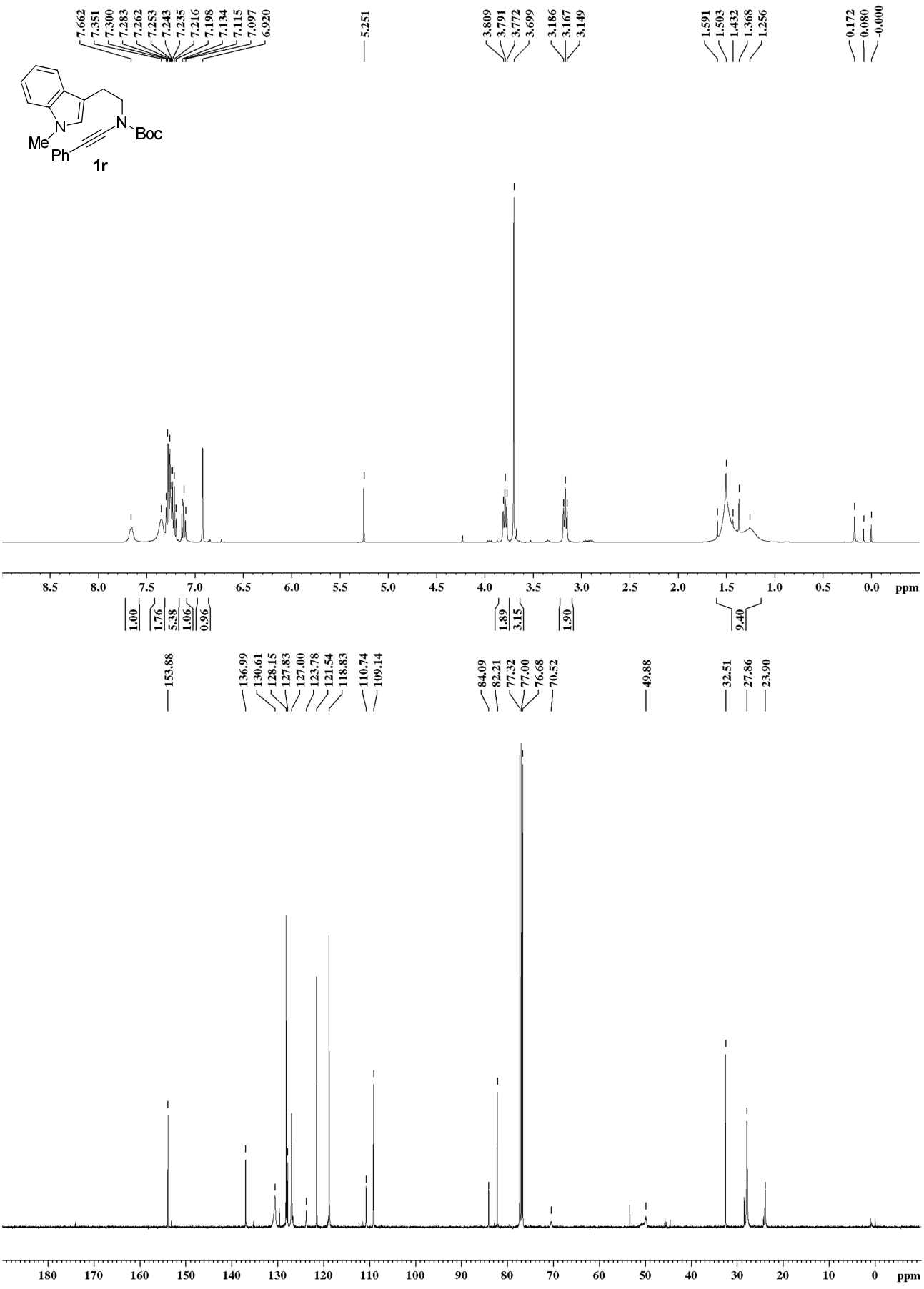
ppm; ^{13}C NMR (75 MHz, $CDCl_3$) δ: 158.5, 153.3, 150.3, 140.2, 140.1, 130.1, 128.6, 126.3, 120.2, 107.5, 82.3, 61.01, 60.95, 59.7, 56.0, 39.5, 26.8 ppm; IR (neat): ν_{max} = 2936, 2849, 1748, 1603, 1580, 1495, 1456, 1414, 1360, 1341, 1272, 1219, 1172, 1121, 1097, 1037, 1014, 997, 942, 910, 772, 732, 699 cm^{-1}; EI-MS: *m/z* (relative intensity) = 355 (3, M^+), 293 (2), 279 (4), 221 (22), 206 (13), 178 (7), 167 (25), 149 (100), 127 (12), 113 (15), 111 (16), 105 (16), 97 (28), 95 (18), 83 (35), 81 (19), 71 (35), 69 (28), 57 (51); TOF−HRMS calcd. for $C_{20}H_{22}NO_5$ $(M + H)^+$ 356.1493, found 356.1497.

References:

1. Ieawsuwan, W.; Ruchirawat, S. *Bioorg. Med. Chem.* **2017**, *25*, 2856-2867.
2. Istrate, F. M.; Buzas, A. K.; Jurberg, I. D.; Odabachian, Y.; Gagosz, F. *Org. Lett.* **2008**, *10*, 925.

6. ^{1}H and ^{13}C NMR spectra of ynamides 1n, 1r, 6b, 6e, 6f, 6h and 6k

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6.920
5.251
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3.791
3.772
3.699
3.186
3.167
3.149
1.591
1.503
1.432
1.368
1.256
0.172
0.080
-0.000
N
Me
Ph
N
Boc
1r
ppm
1.00
1.76
5.38
1.06
0.96
1.89
3.15
1.90
9.40
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136.99
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127.00
123.78
121.54
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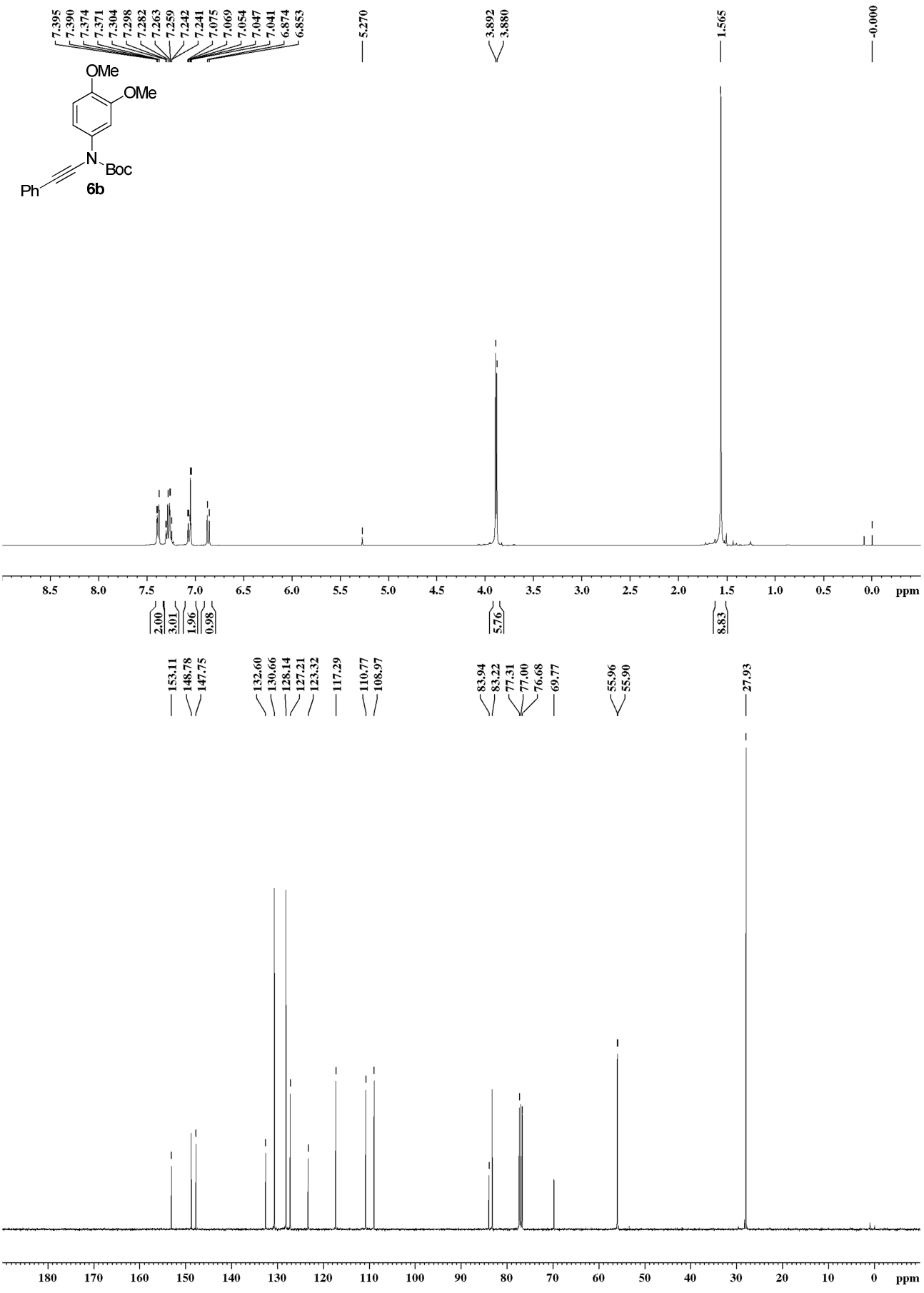
ppm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7.047
7.041
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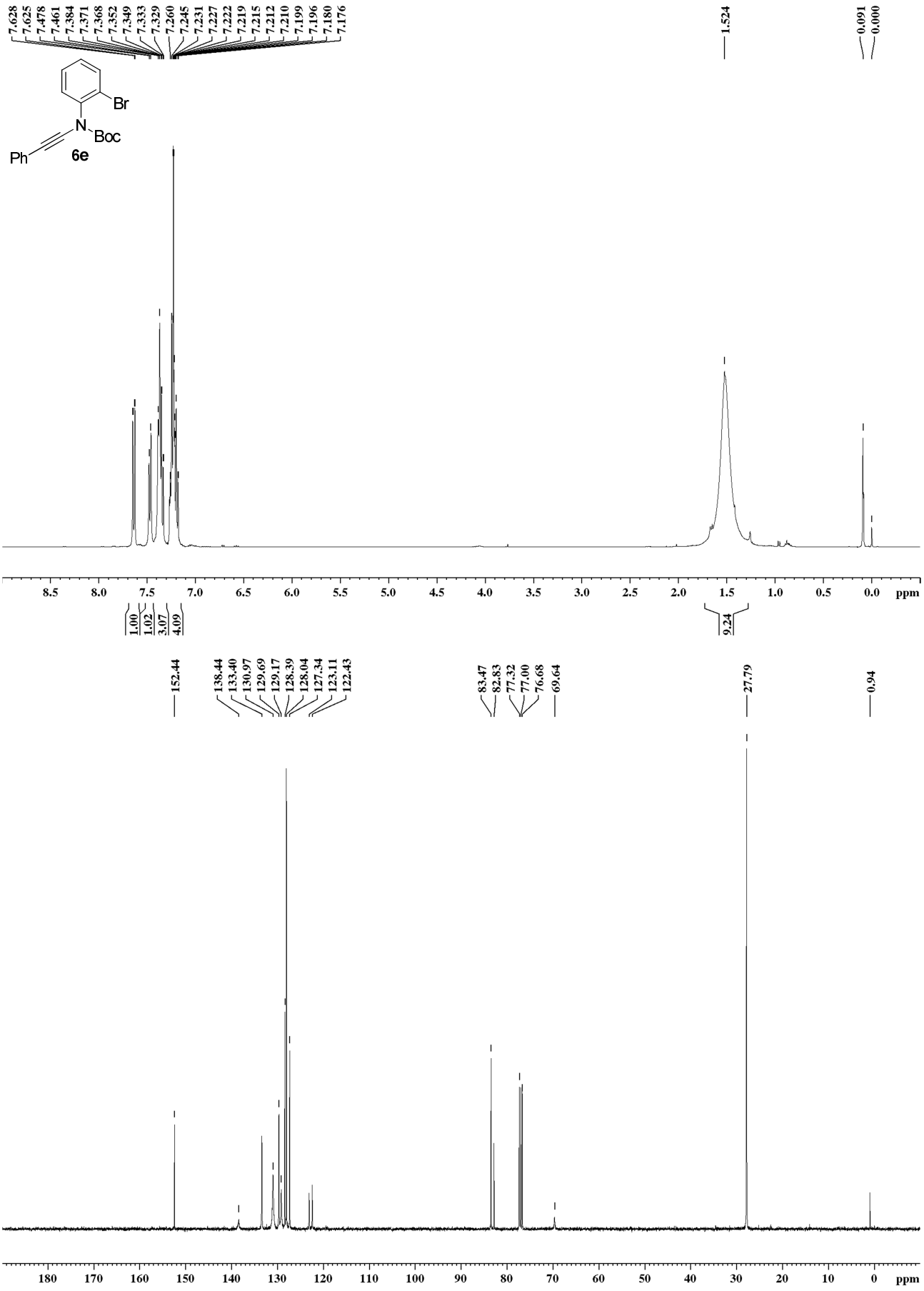
6.853
5.270
3.892
3.880
1.565
-0.000
OMe
OMe
N
Boc
Ph
6b
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 ppm
2.00
3.01
1.96
0.98
5.76
8.83
153.11
148.78
147.75
132.60
130.66
128.14
127.21
123.32
117.29
110.77
108.97
83.94
83.22
77.31
77.00
76.68
69.77
55.96
55.90
27.93
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 ppm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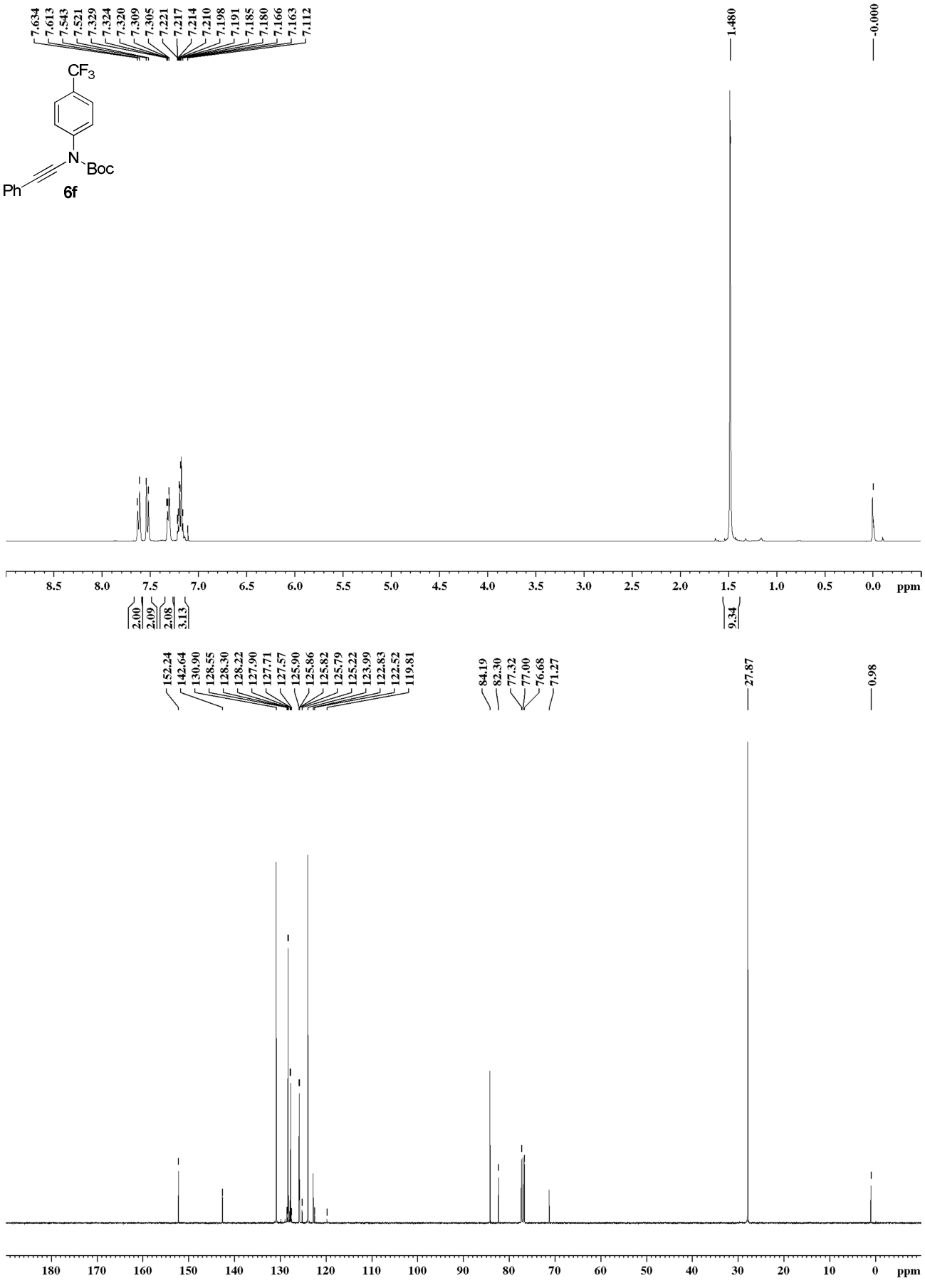
7.333
7.329
7.260
7.245
7.231
7.227
7.222
7.219
7.215
7.212
7.210
7.199
7.196
7.180
7.176
1.524
0.091
0.000
Br
N
Boc
Ph
6e
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
ppm
1.00
1.02
3.07
4.09
9.24
152.44
138.44
133.40
130.97
129.69
129.17
128.39
128.04
127.34
123.11
122.43
83.47
82.83
77.32
77.00
76.68
69.64
27.79
0.94
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm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7.543
7.521
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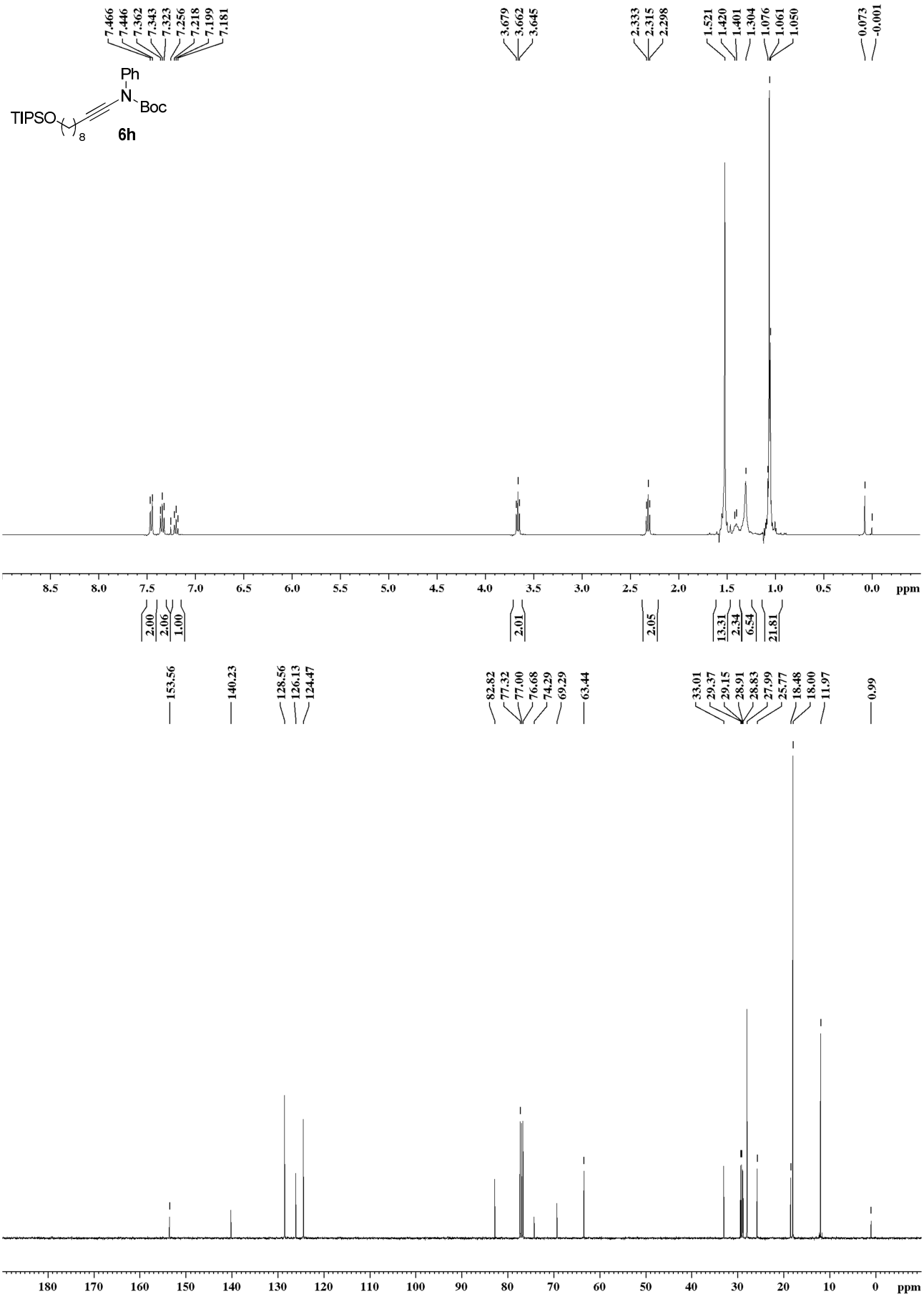
7.324
7.320
7.309
7.305
7.221
7.217
7.214
7.210
7.198
7.191
7.185
7.180
7.166
7.163
7.112
1.480
-0.000
CF3
N
Boc
Ph
6f
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
ppm
2.00
2.09
2.08
3.13
9.34
152.24
142.64
130.90
128.55
128.30
128.22
127.90
127.71
127.57
125.90
125.86
125.82
125.79
125.22
123.99
122.83
122.52
119.81
84.19
82.30
77.32
77.00
76.68
71.27
27.87
0.98
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm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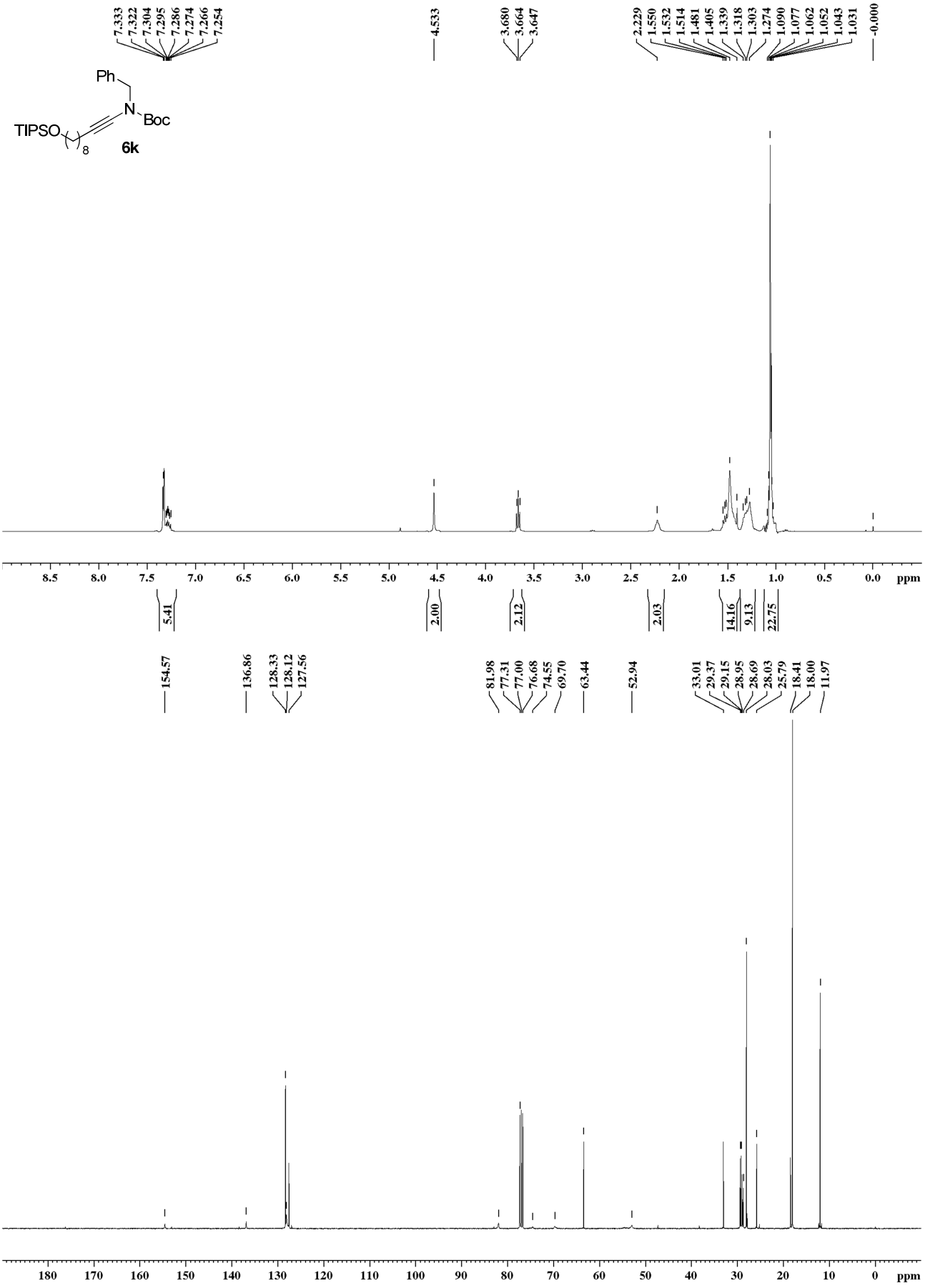
7.446
7.362
7.343
7.323
7.256
7.218
7.199
7.181
3.679
3.662
3.645
2.333
2.315
2.298
1.521
1.420
1.401
1.304
1.076
1.061
1.050
0.073
-0.001
Ph
N
Boc
TIPSO
8
6h
ppm
2.00
2.06
1.00
2.01
2.05
13.31
2.34
6.54
21.81
153.56
140.23
128.56
126.13
124.47
82.82
77.32
77.00
76.68
74.29
69.29
63.44
33.01
29.37
29.15
28.91
28.83
27.99
25.77
18.48
18.00
11.97
0.99
ppm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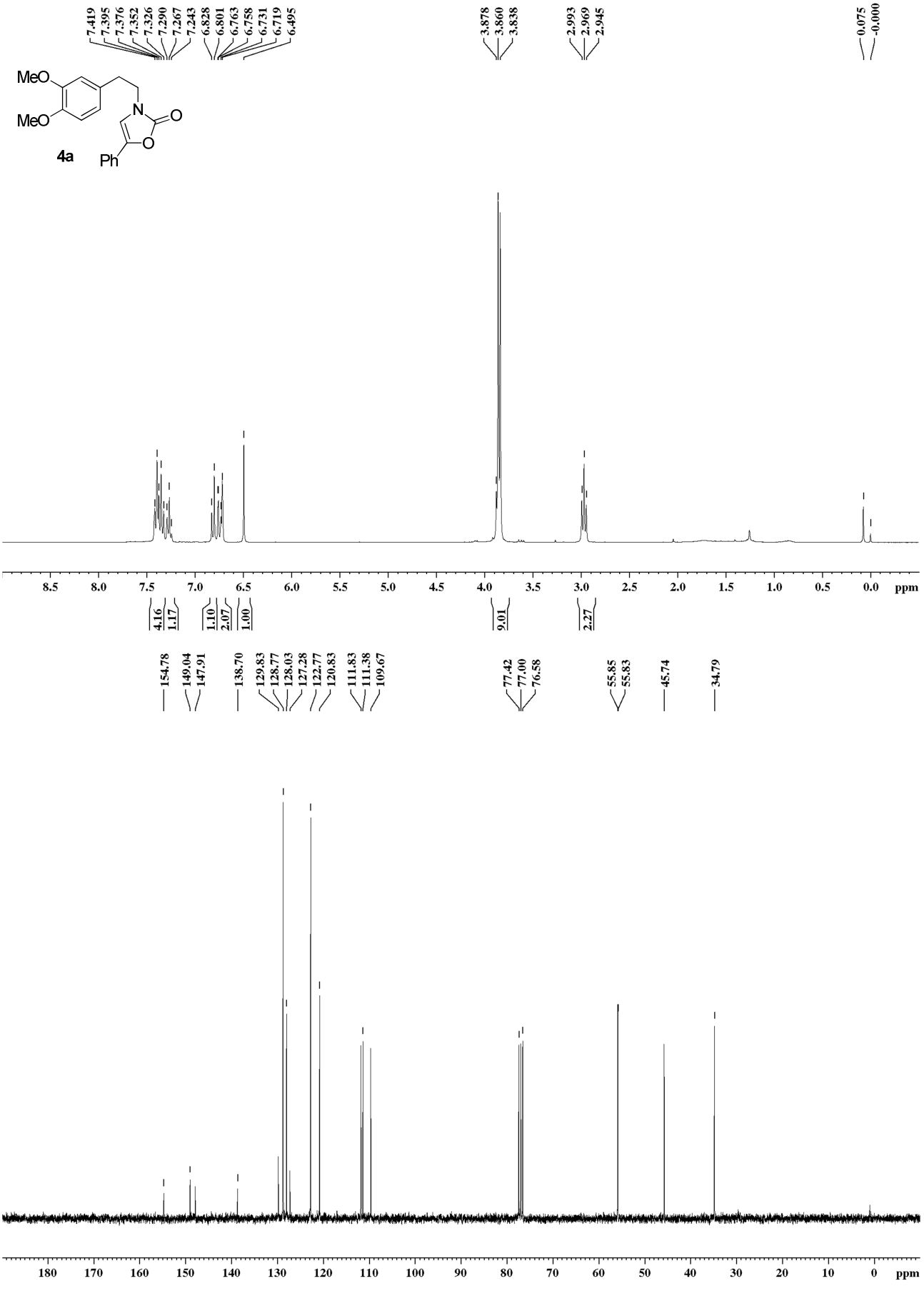
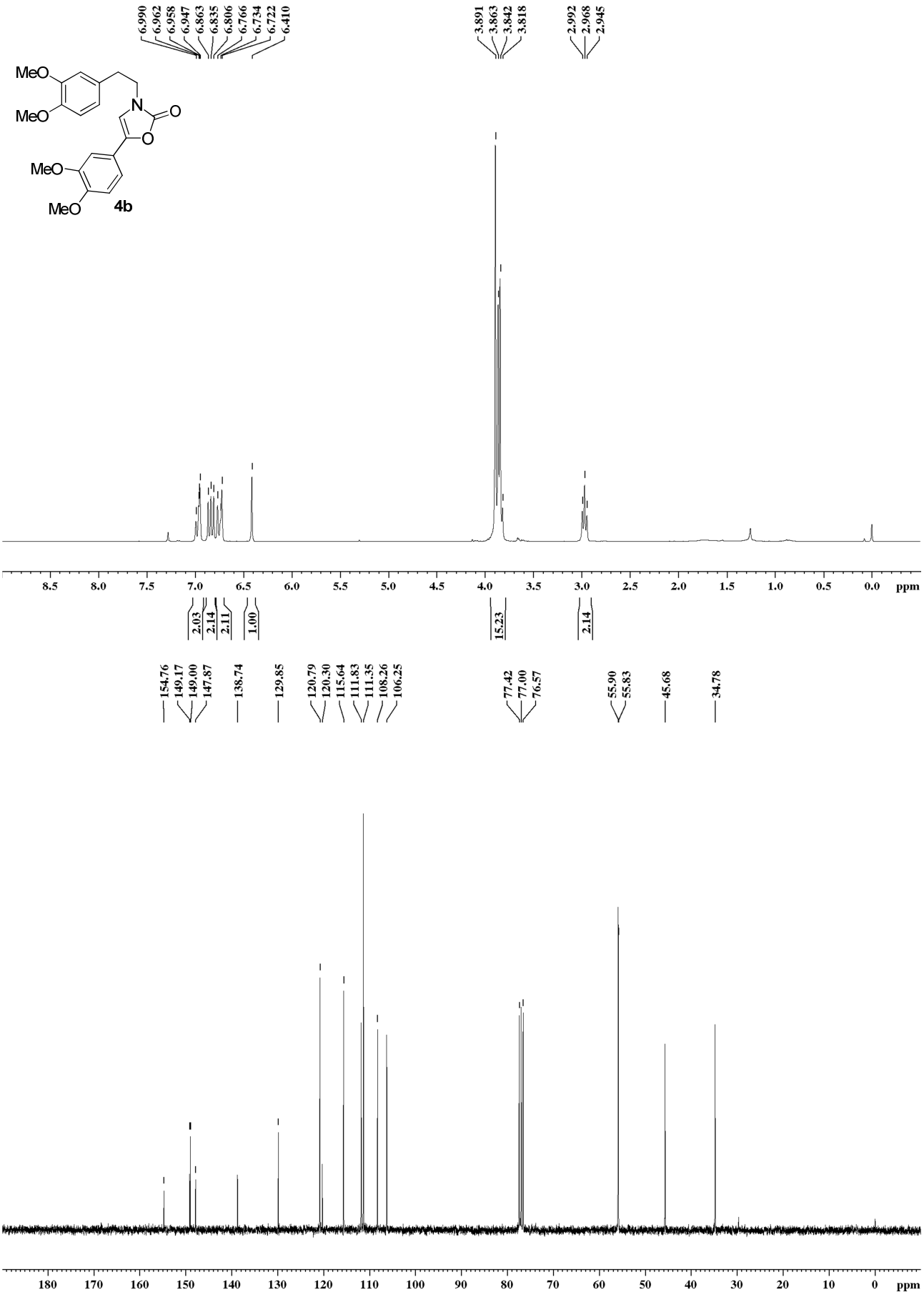
7.322
7.304
7.295
7.286
7.274
7.266
7.254
4.533
3.680
3.664
3.647
2.229
1.550
1.532
1.514
1.481
1.405
1.339
1.318
1.303
1.274
1.090
1.077
1.062
1.052
1.043
1.031
-0.000
Ph
N
Boc
TIPSO
8
6k
ppm
5.41
2.00
2.12
2.03
14.16
9.13
22.75
154.57
136.86
128.33
128.12
127.56
81.98
77.31
77.00
76.68
74.55
69.70
63.44
52.94
33.01
29.37
29.15
28.95
28.69
28.03
25.79
18.41
18.00
11.97
ppm

7. ^{1}H and ^{13}C NMR spectra of oxazol-2(3*H*)-ones 4a-4r and 7a-7k

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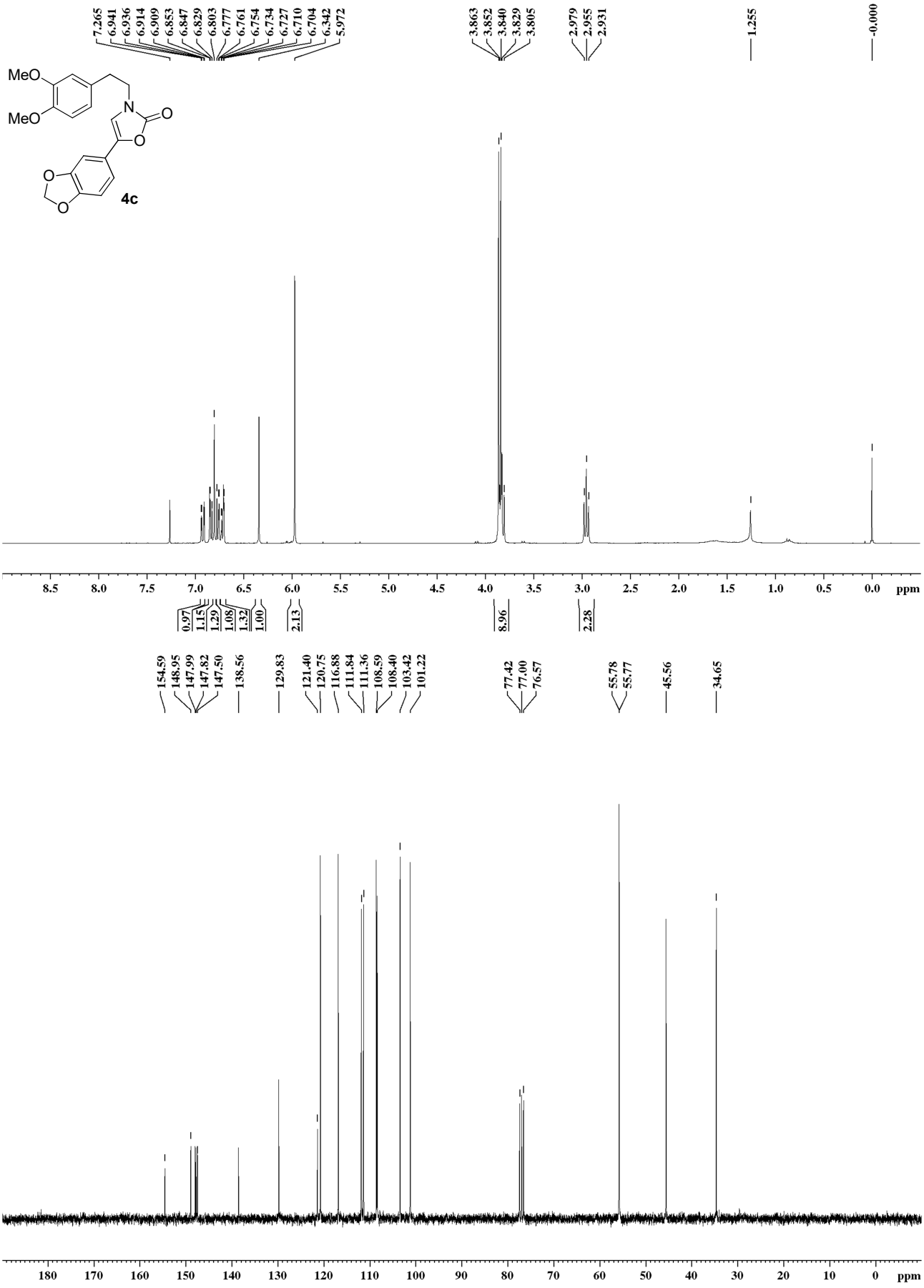
6.958
6.947
6.863
6.835
6.806
6.766
6.734
6.722
6.410
3.891
3.863
3.842
3.818
2.992
2.968
2.945
MeO
MeO
MeO
MeO
N
O
O
O
4b
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
ppm
2.03
2.14
2.11
1.00
15.23
2.14
154.76
149.17
149.00
147.87
138.74
129.85
120.79
120.30
115.64
111.83
111.35
108.26
106.25
77.42
77.00
76.57
55.90
55.83
45.68
34.78
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm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6.936
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6.909
6.853
6.847
6.829
6.803
6.777
6.761
6.754
6.734
6.727
6.710
6.704
6.342
5.972
3.863
3.852
3.840
3.829
3.805
2.979
2.955
2.931
1.255
-0.000
MeO
MeO
N
O
O
O
O
4c
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 ppm
0.97
1.15
1.29
1.08
1.32
1.00
2.13
8.96
2.28
154.59
148.95
147.99
147.82
147.50
138.56
129.83
121.40
120.75
116.88
111.84
111.36
108.59
108.40
103.42
101.22
77.42
77.00
76.57
55.78
55.77
45.56
34.65
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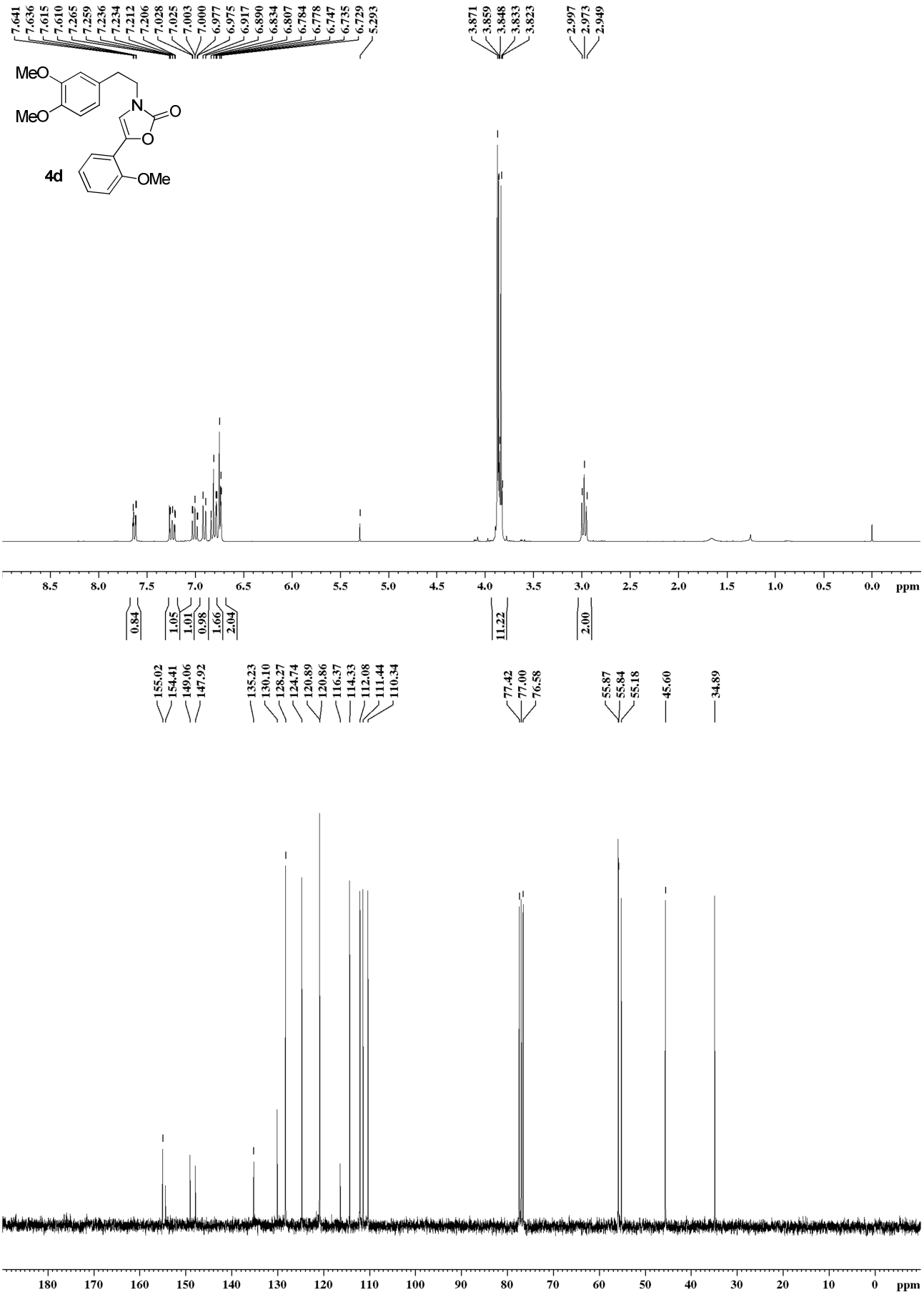
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7.615
7.610
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7.259
7.236
7.234
7.212
7.206
7.028
7.025
7.003
7.000
6.977
6.975
6.917
6.890
6.834
6.807
6.784
6.778
6.747
6.735
6.729
5.293
3.871
3.859
3.848
3.833
3.823
2.997
2.973
2.949
MeO
MeO
N
O
O
4d
OMe
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
ppm
0.84
1.05
1.01
0.98
1.66
2.04
11.22
2.00
155.02
154.41
149.06
147.92
135.23
130.10
128.27
124.74
120.89
120.86
116.37
114.33
112.08
111.44
110.34
77.42
77.00
76.58
55.87
55.84
55.18
45.60
34.89
180
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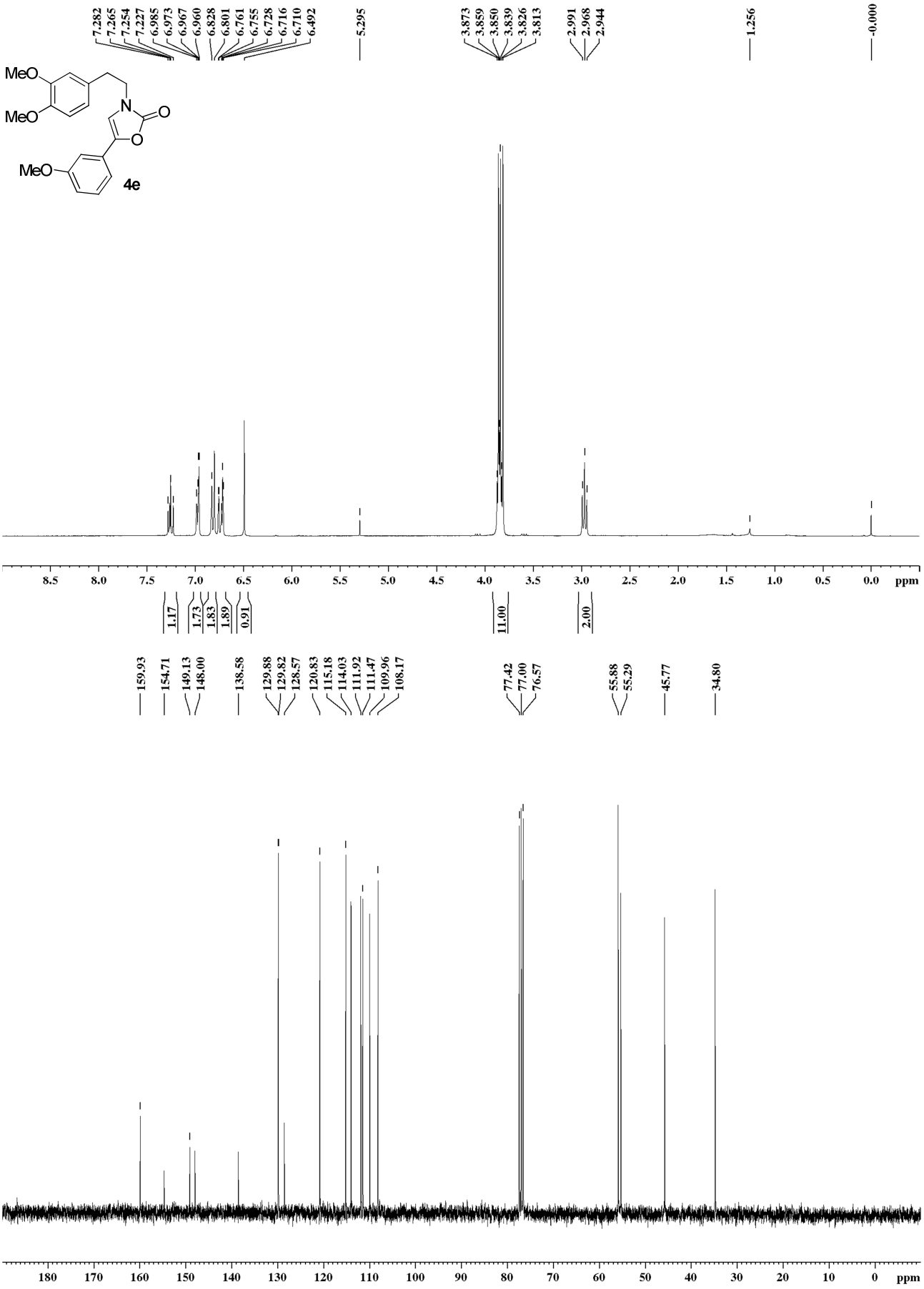
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm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7.227
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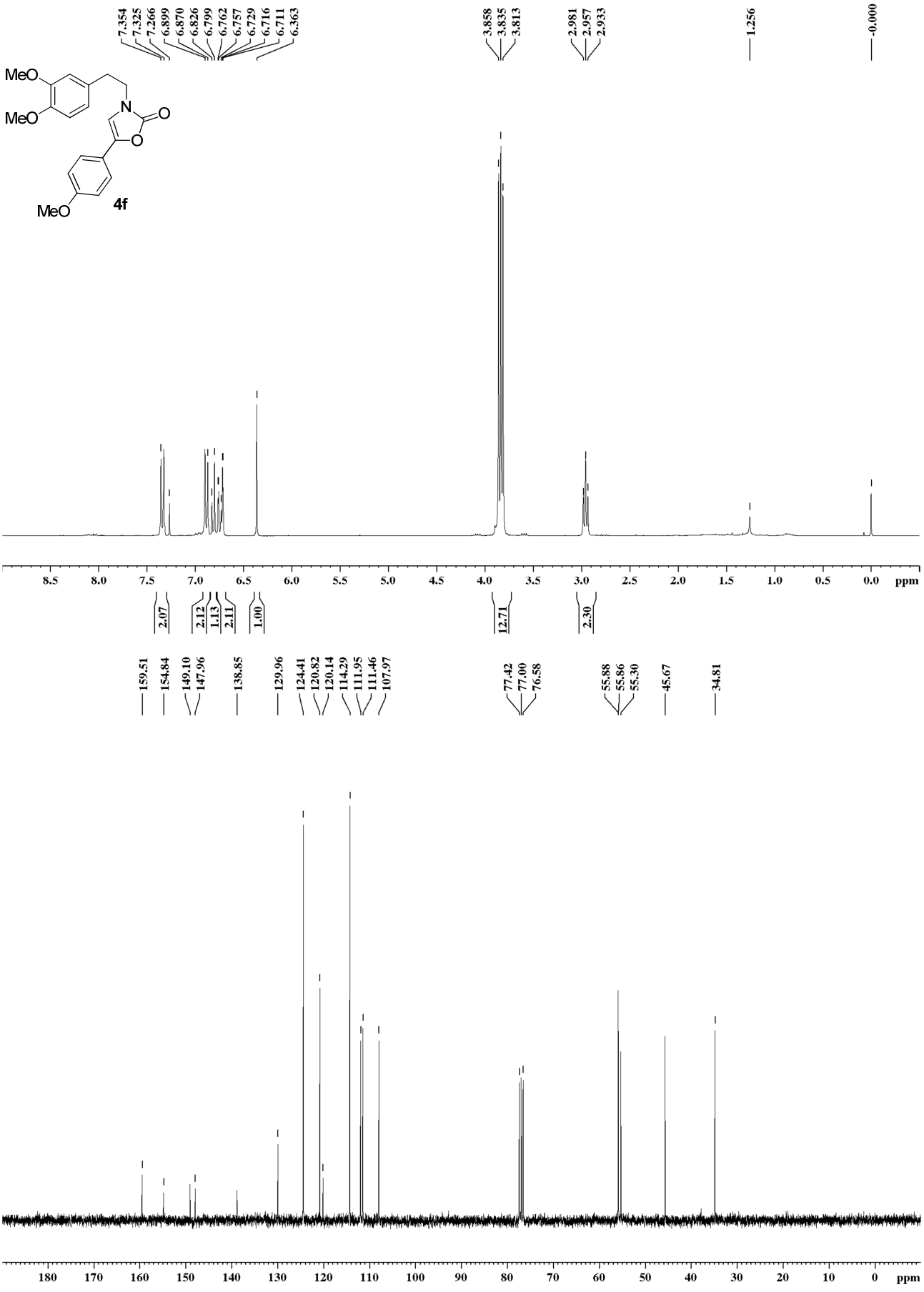
6.973
6.967
6.960
6.828
6.801
6.761
6.755
6.728
6.716
6.710
6.492
5.295
3.873
3.859
3.850
3.839
3.826
3.813
2.991
2.968
2.944
1.256
-0.000
MeO
MeO
MeO
N
O
O
4e
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
ppm
1.17
1.73
1.83
1.89
0.91
11.00
2.00
159.93
154.71
149.13
148.00
138.58
129.88
129.82
128.57
120.83
115.18
114.03
111.92
111.47
109.96
108.17
77.42
77.00
76.57
55.88
55.29
45.77
34.80
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm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6.762
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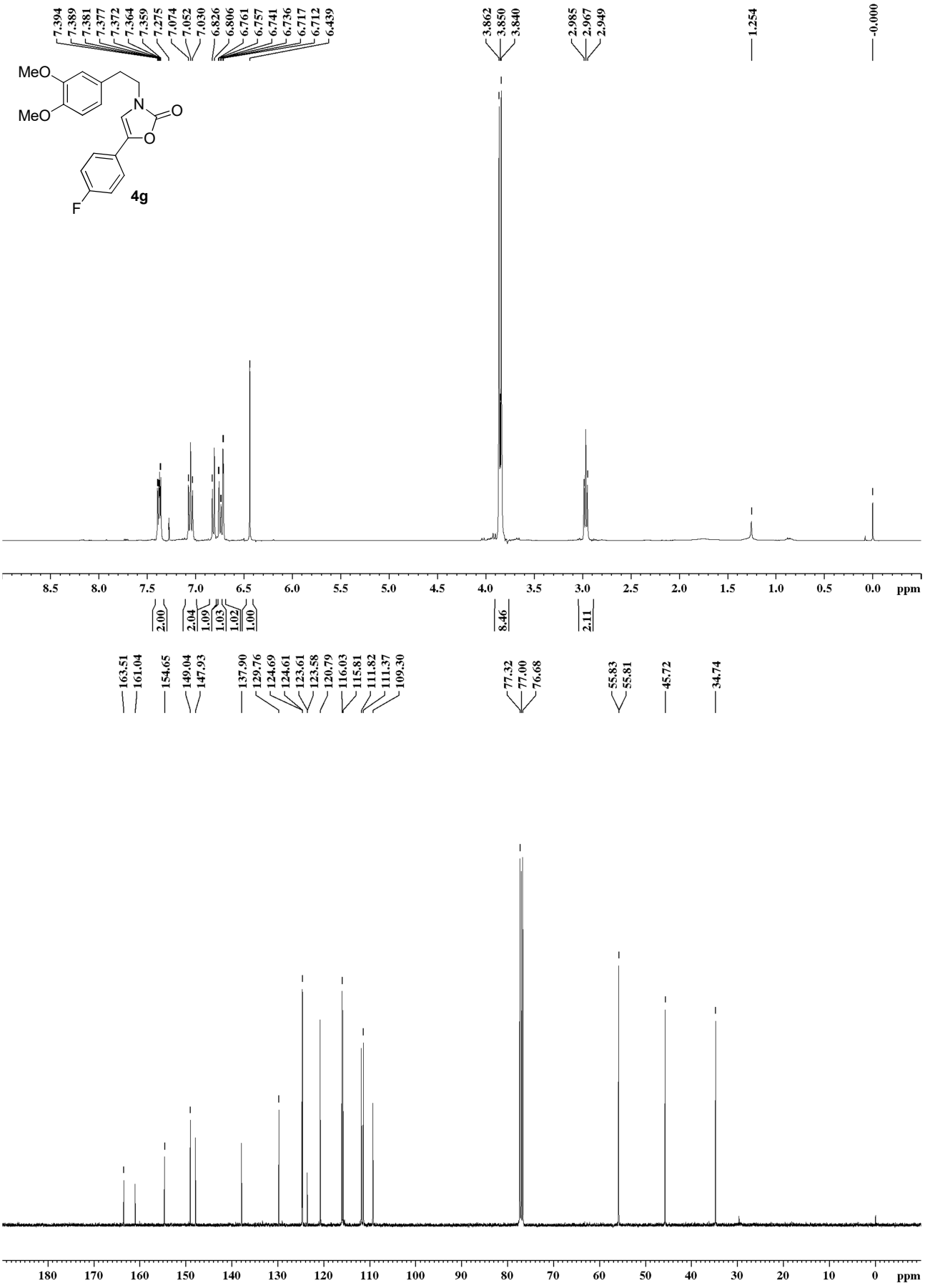
6.729
6.716
6.711
6.363
3.858
3.835
3.813
2.981
2.957
2.933
1.256
-0.000
MeO
MeO
MeO
N
O
O
O
4f
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
ppm
2.07
2.12
1.13
2.11
1.00
12.71
2.30
159.51
154.84
149.10
147.96
138.85
129.96
124.41
120.82
120.14
114.29
111.95
111.46
107.97
77.42
77.00
76.58
55.88
55.86
55.30
45.67
34.81
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm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7.377
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7.052
7.030
6.826
6.806
6.761
6.757
6.741
6.736
6.717
6.712
6.439
3.862
3.850
3.840
2.985
2.967
2.949
1.254
-0.000
MeO
MeO
N
O
O
F
4g
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 ppm
2.00
2.04
1.09
1.03
1.02
1.00
8.46
2.11
163.51
161.04
154.65
149.04
147.93
137.90
129.76
124.69
124.61
123.61
123.58
120.79
116.03
115.81
111.82
111.37
109.30
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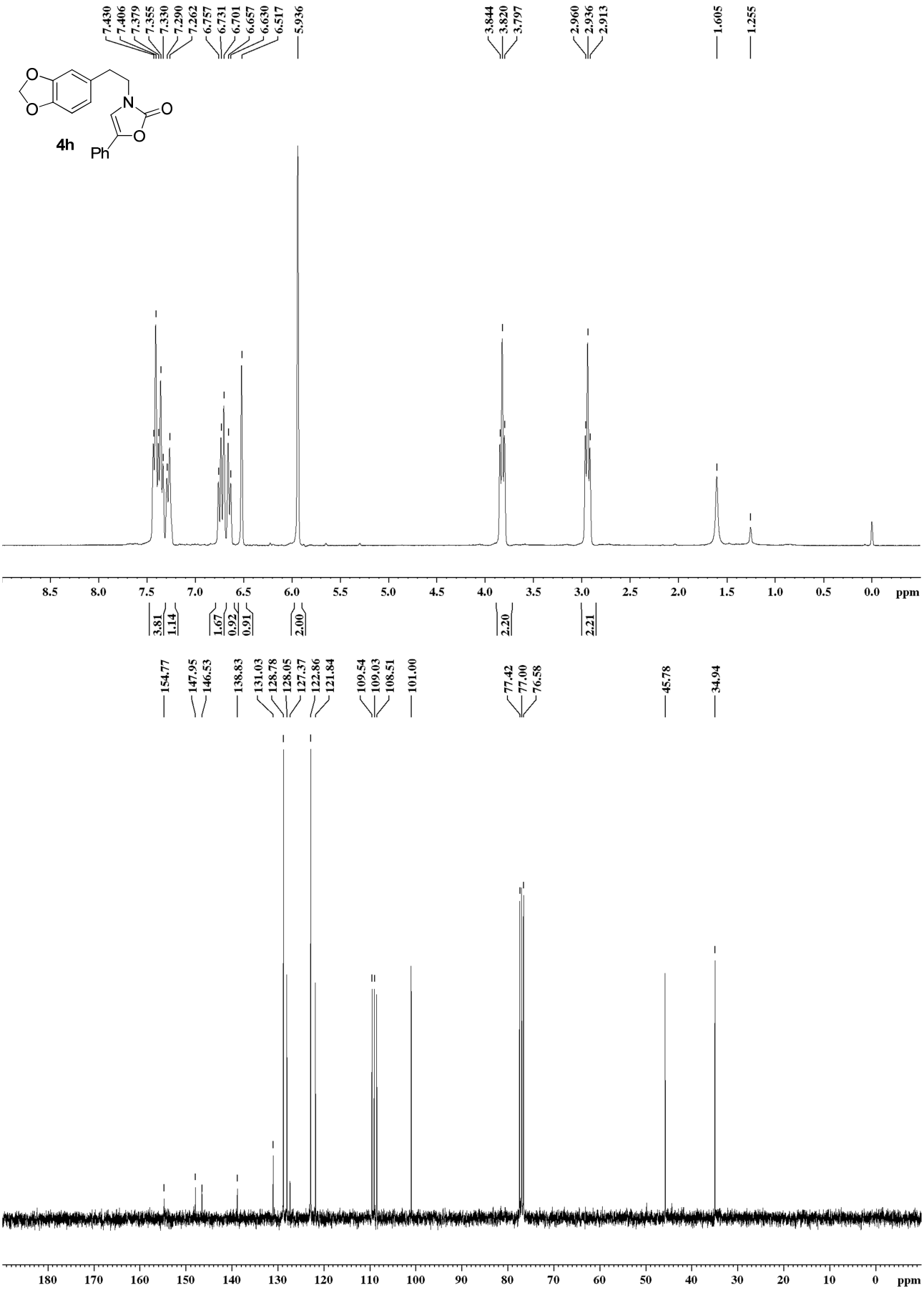
76.68
55.83
55.81
45.72
34.74
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 ppm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6.757
6.731
6.701
6.657
6.630
6.517
5.936
3.844
3.820
3.797
2.960
2.936
2.913
1.605
1.255
4h
Ph
ppm
3.81
1.14
1.67
0.92
0.91
2.00
2.20
2.21
154.77
147.95
146.53
138.83
131.03
128.78
128.05
127.37
122.86
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109.03
108.51
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77.00
76.58
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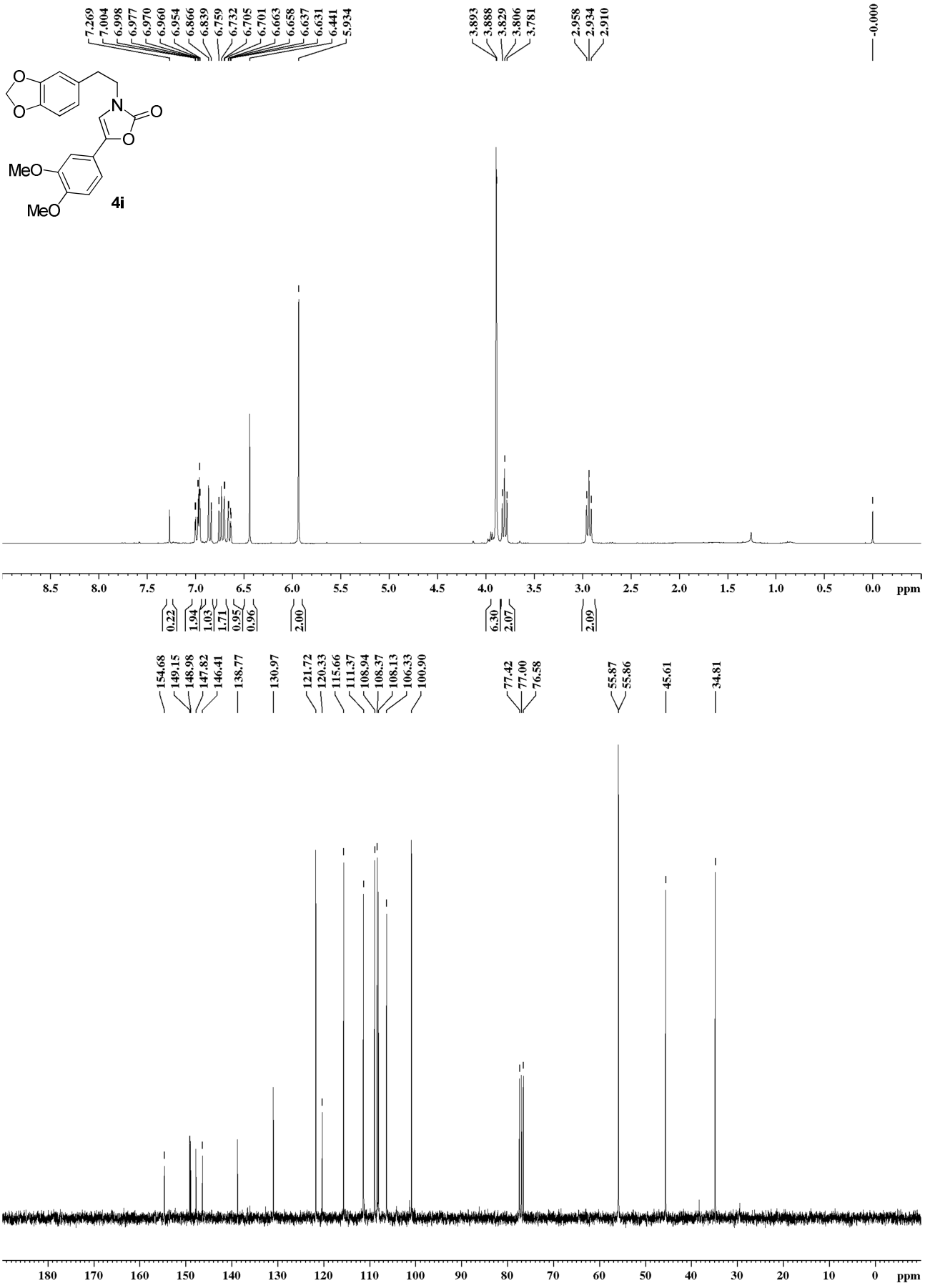
34.94
ppm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6.960
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6.866
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6.759
6.732
6.705
6.701
6.663
6.658
6.637
6.631
6.441
5.934
3.893
3.888
3.829
3.806
3.781
2.958
2.934
2.910
-0.000
MeO
MeO
4i
ppm
0.22
1.94
1.03
1.71
0.95
0.96
2.00
6.30
2.07
2.09
154.68
149.15
148.98
147.82
146.41
138.77
130.97
121.72
120.33
115.66
111.37
108.94
108.37
108.13
106.33
100.90
77.42
77.00
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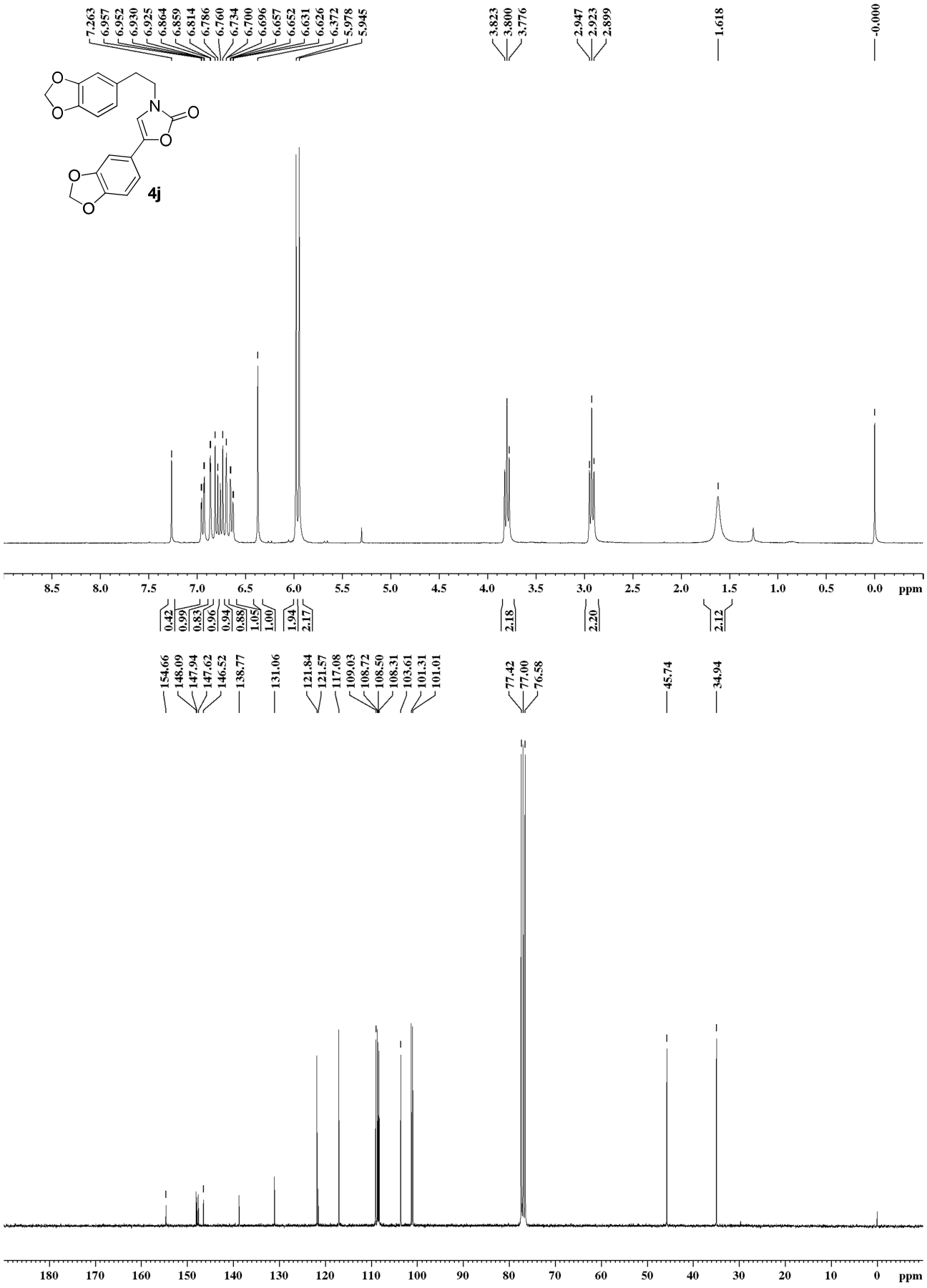
55.86
45.61
34.81
ppm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6.864
6.859
6.814
6.786
6.760
6.734
6.700
6.696
6.657
6.652
6.631
6.626
6.372
5.978
5.945
3.823
3.800
3.776
2.947
2.923
2.899
1.618
-0.000
4j
ppm
0.42
0.99
0.83
0.96
0.94
0.88
1.05
1.00
1.94
2.17
2.18
2.20
2.12
154.66
148.09
147.94
147.62
146.52
138.77
131.06
121.84
121.57
117.08
109.03
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108.31
103.61
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77.00
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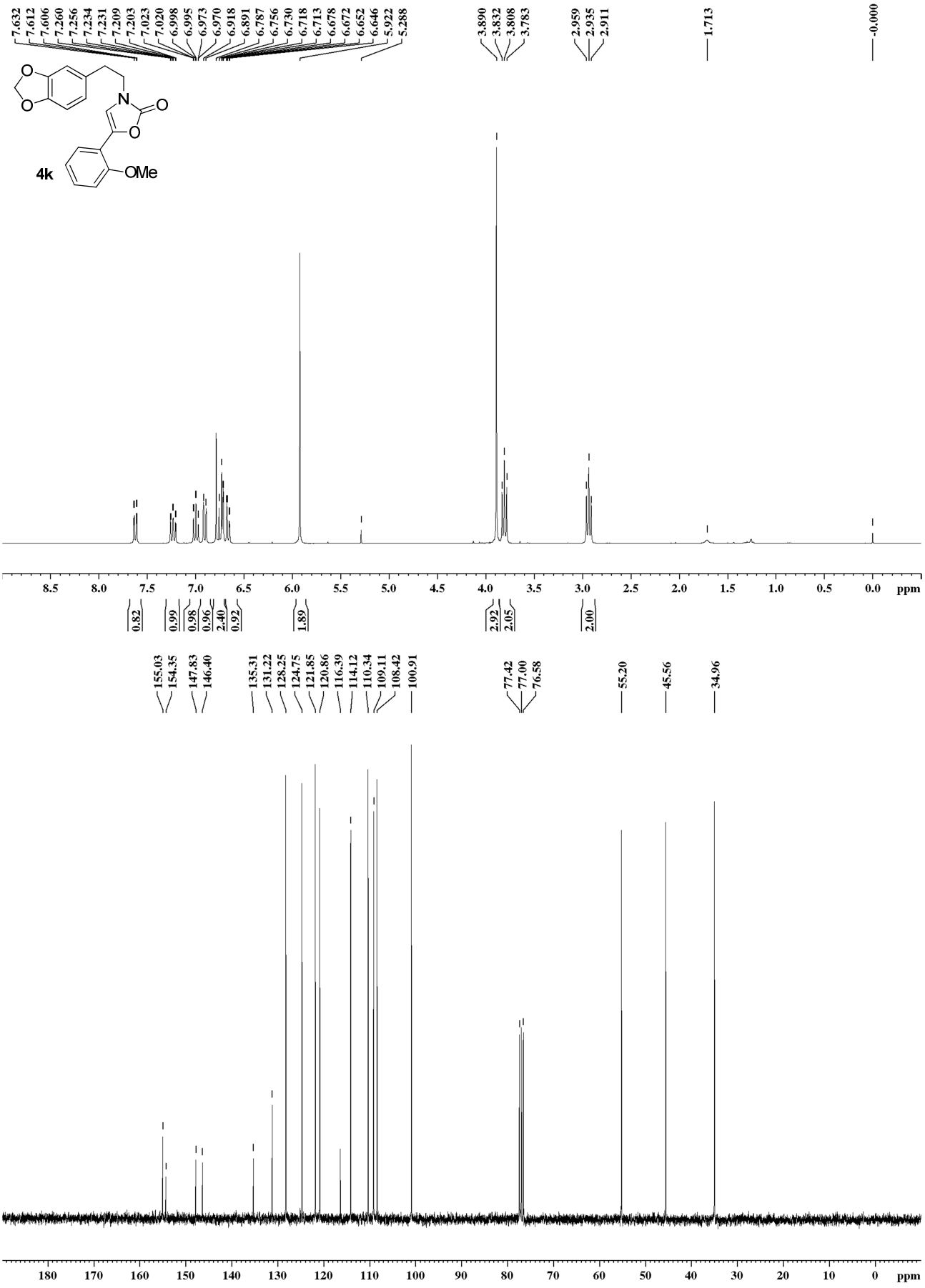
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7.256
7.234
7.231
7.209
7.203
7.023
7.020
6.998
6.995
6.973
6.970
6.918
6.891
6.787
6.756
6.730
6.718
6.713
6.678
6.672
6.652
6.646
5.922
5.288
3.890
3.832
3.808
3.783
2.959
2.935
2.911
1.713
-0.000
4k
OMe
ppm
0.82
0.99
0.98
0.96
2.40
0.92
1.89
2.92
2.05
2.00
155.03
154.35
147.83
146.40
135.31
131.22
128.25
124.75
121.85
120.86
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109.11
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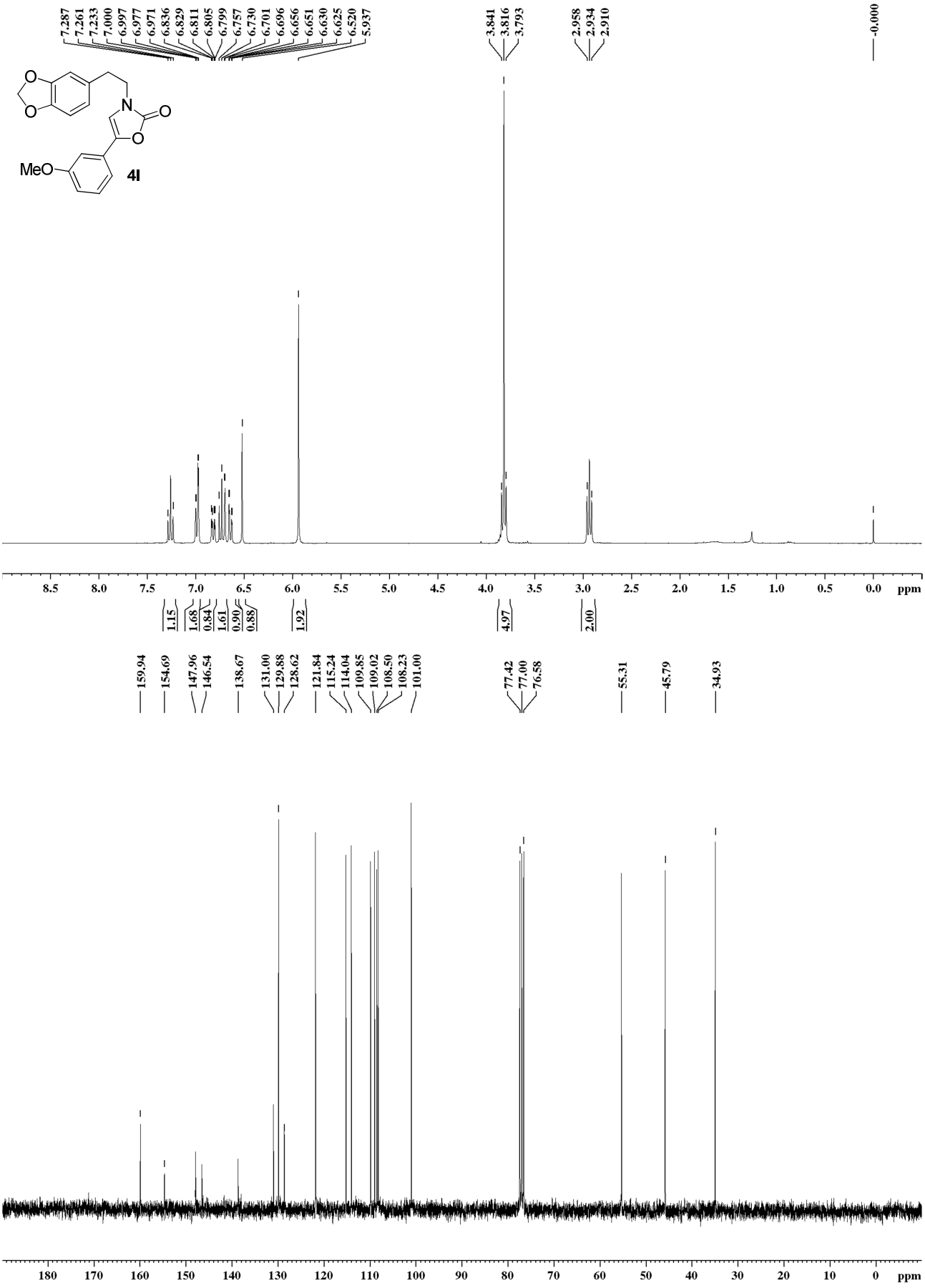
ppm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6.829
6.811
6.805
6.799
6.757
6.730
6.701
6.696
6.656
6.651
6.630
6.625
6.520
5.937
3.841
3.816
3.793
2.958
2.934
2.910
-0.000
O
O
N
O
O
MeO
4l
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 ppm
1.15
1.68
0.84
1.61
0.90
0.88
1.92
4.97
2.00
159.94
154.69
147.96
146.54
138.67
131.00
129.88
128.62
121.84
115.24
114.04
109.85
109.02
108.50
108.23
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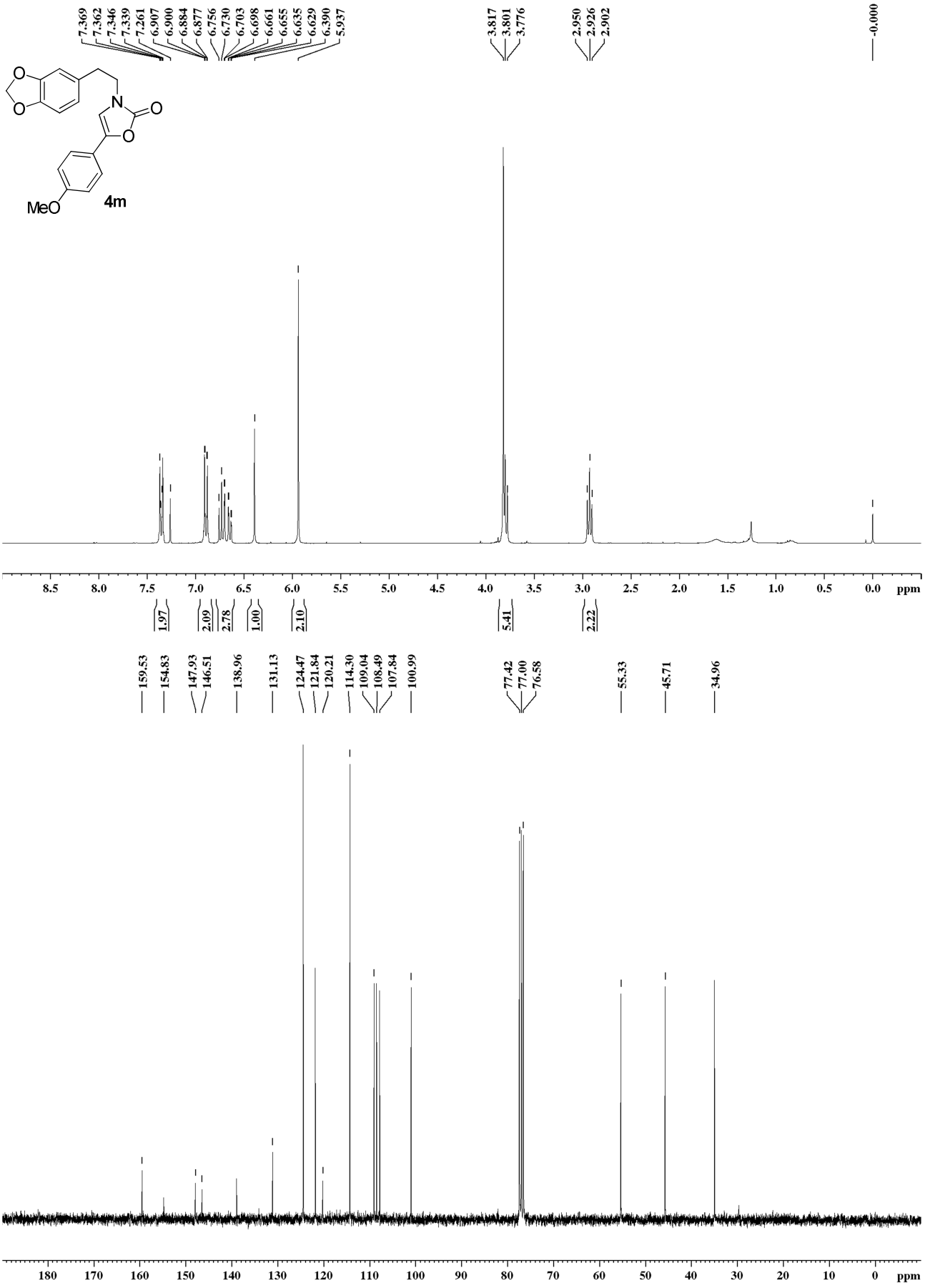
77.00
76.58
55.31
45.79
34.93
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 ppm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3.776
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N
O
O
MeO
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77.00
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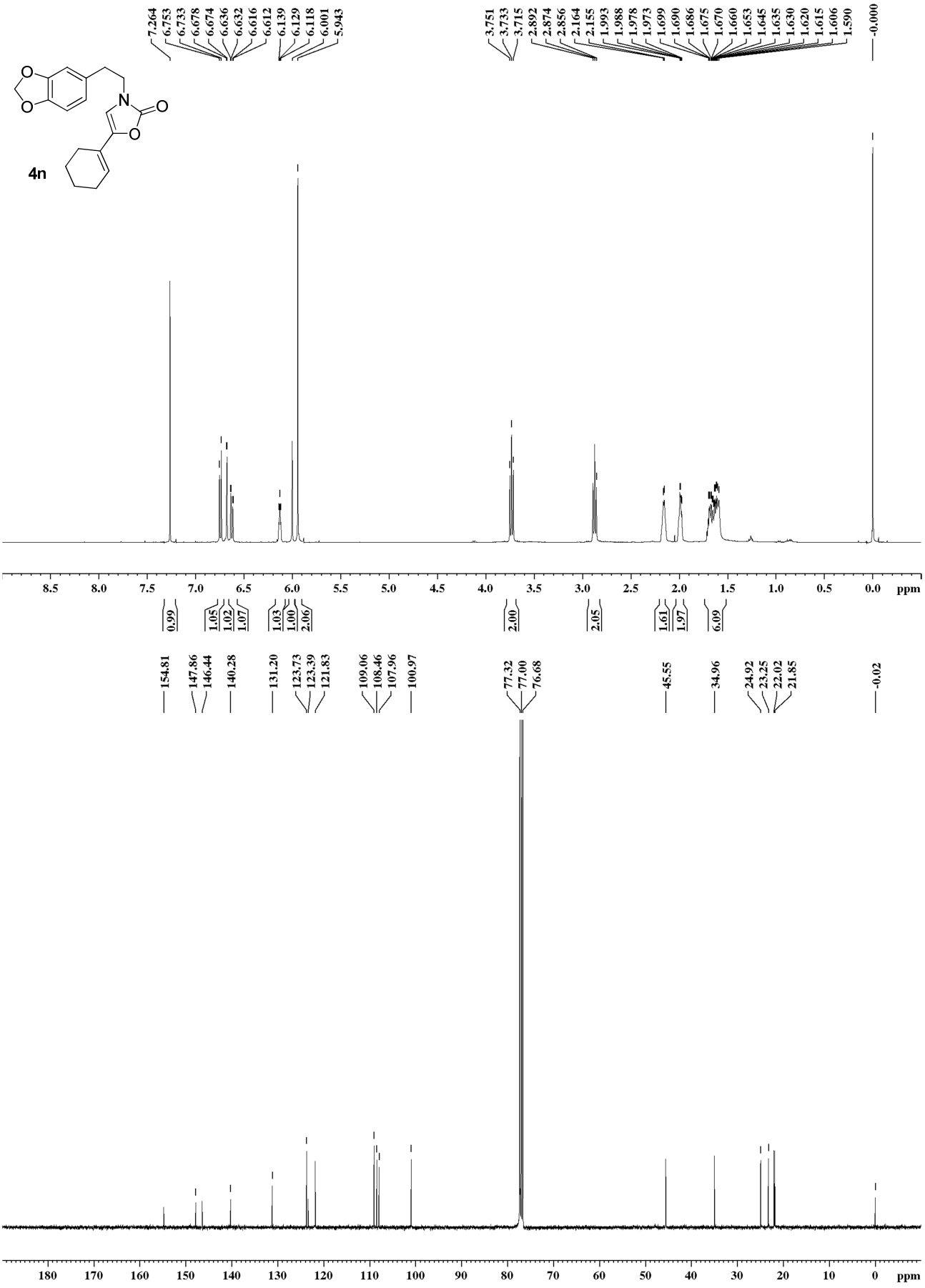
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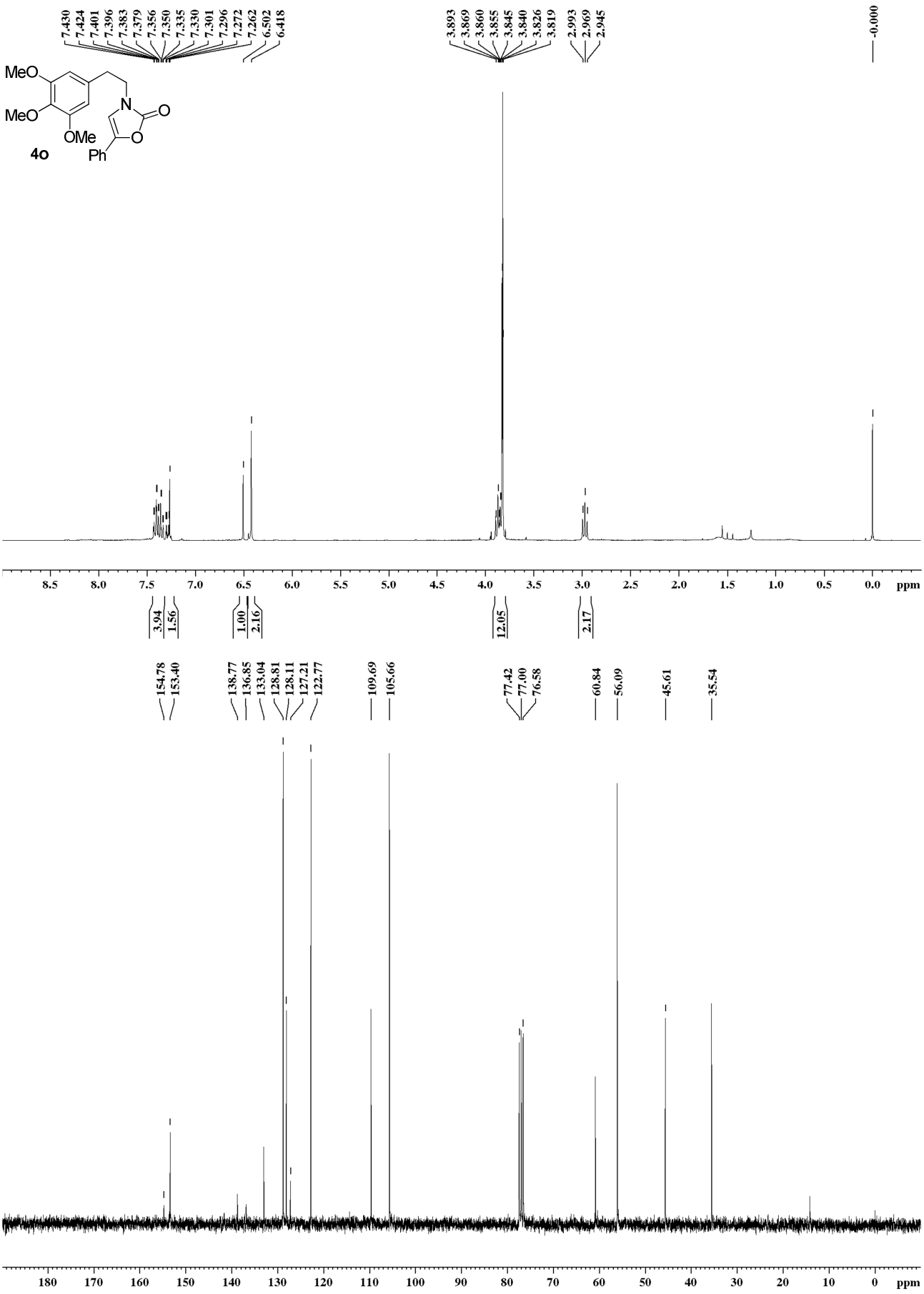
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3.855
3.845
3.840
3.826
3.819
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2.945
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MeO
MeO
OMe
Ph
4o
ppm
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2.17
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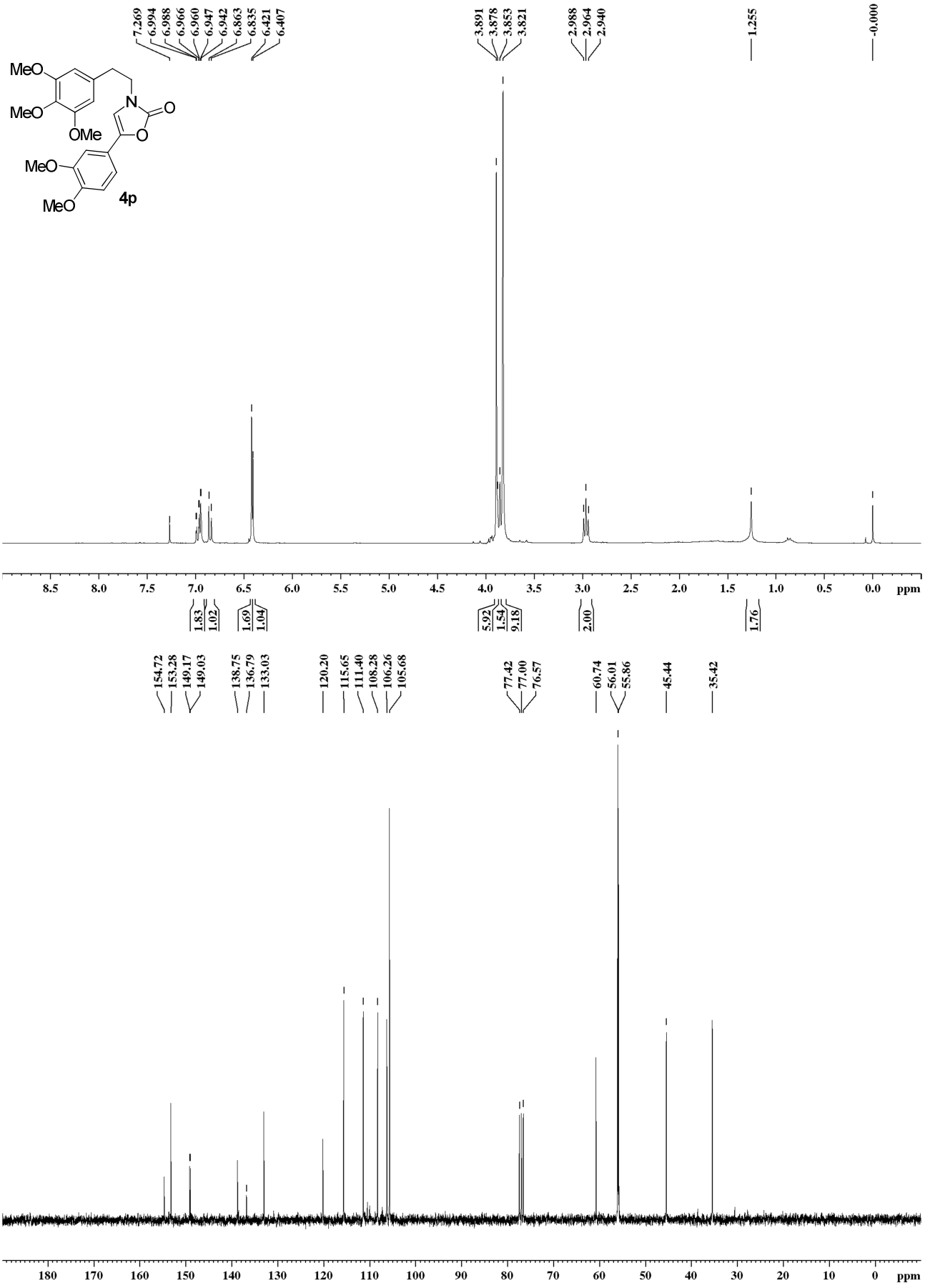
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3.853
3.821
2.988
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MeO
OMe
MeO
MeO
N
O
O
O
4p
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1.69
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5.92
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ppm
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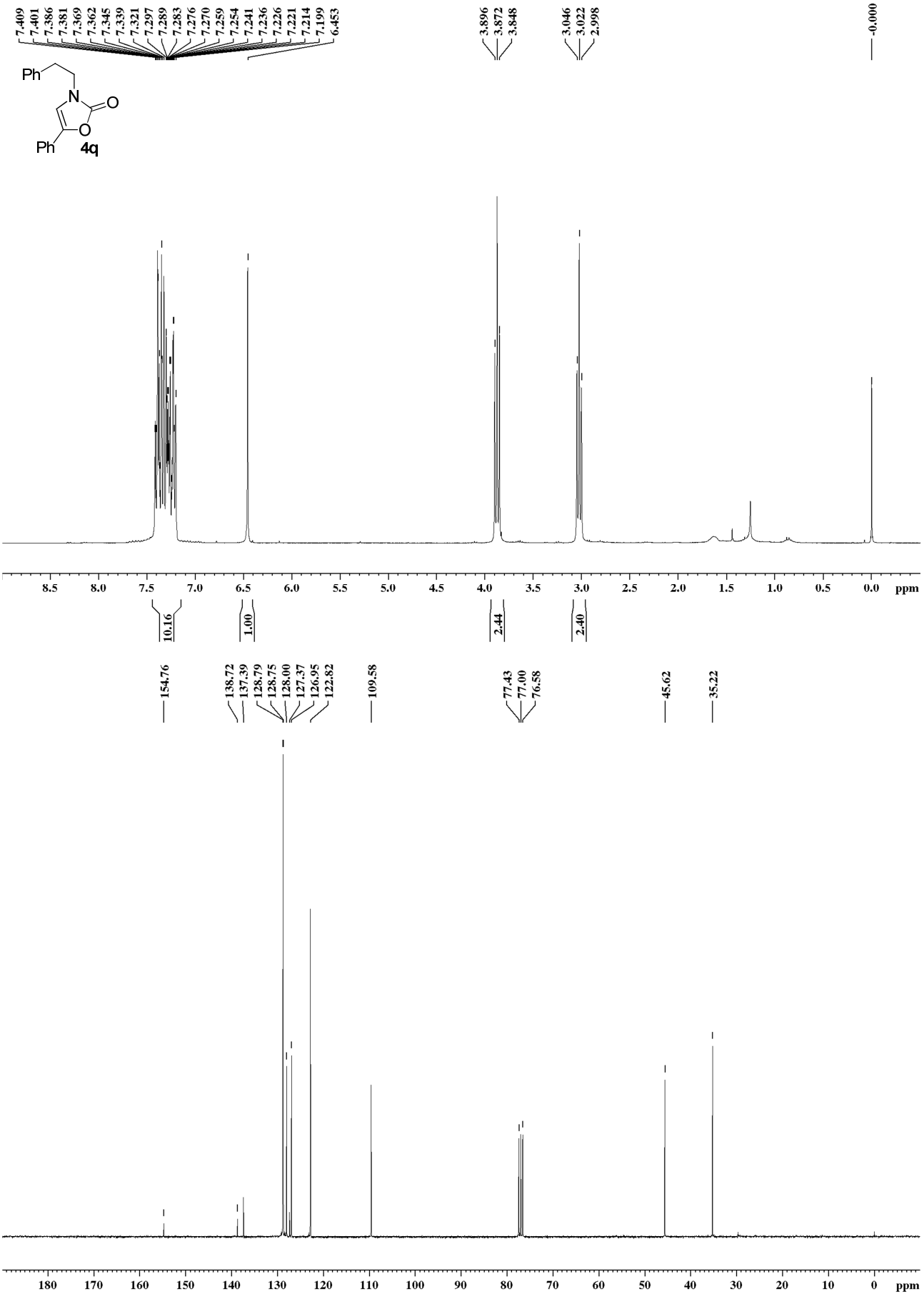
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7.270
7.259
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7.241
7.236
7.226
7.221
7.214
7.199
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3.872
3.848
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O
O
Ph
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2.40
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137.39
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128.75
128.00
127.37
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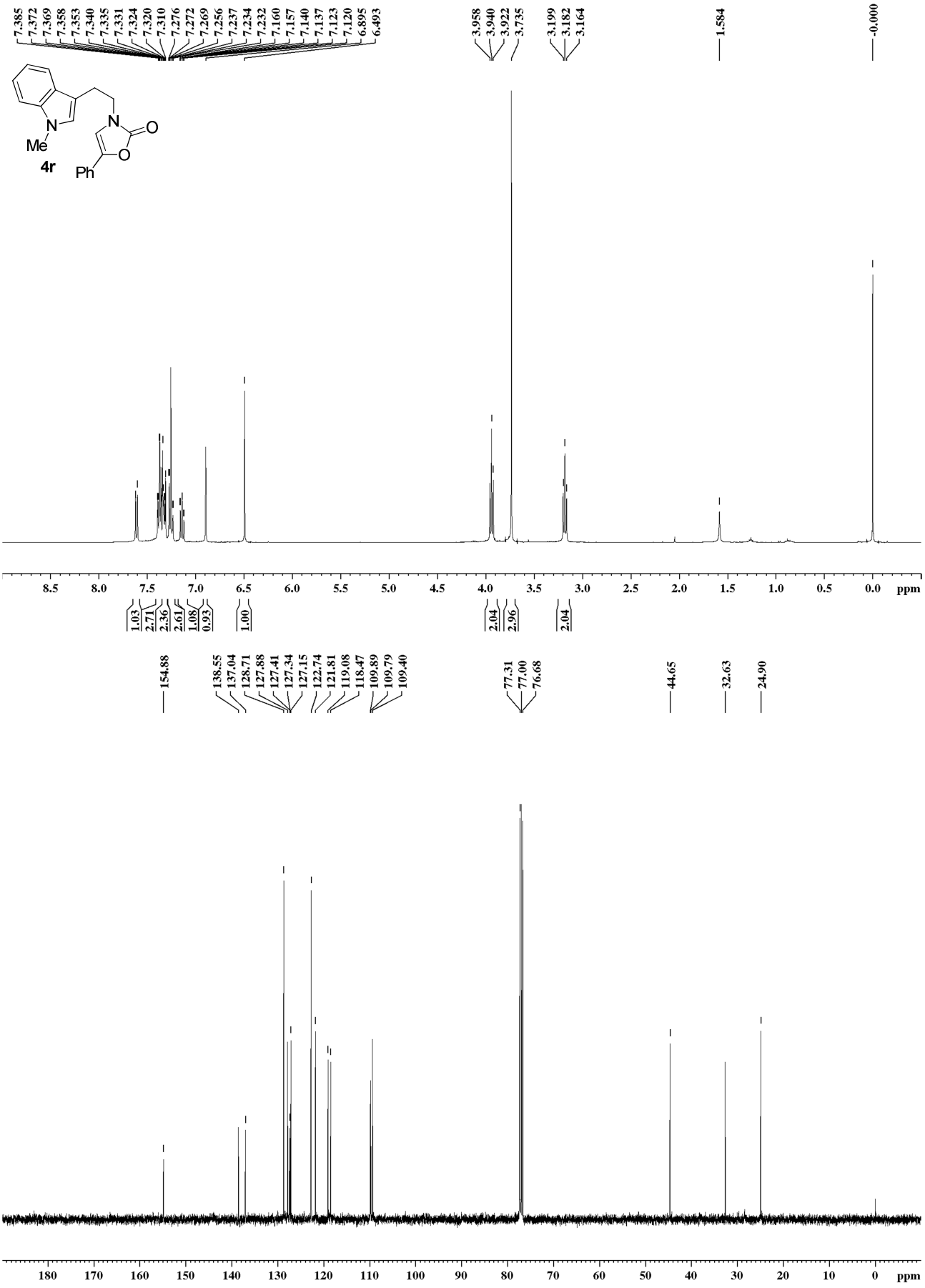
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7.272
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7.256
7.237
7.234
7.232
7.160
7.157
7.140
7.137
7.123
7.120
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6.493
3.958
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3.922
3.735
3.199
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3.164
1.584
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Me
4r
Ph
ppm
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2.61
1.08
0.93
1.00
2.04
2.96
2.04
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128.71
127.88
127.41
127.34
127.15
122.74
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24.90
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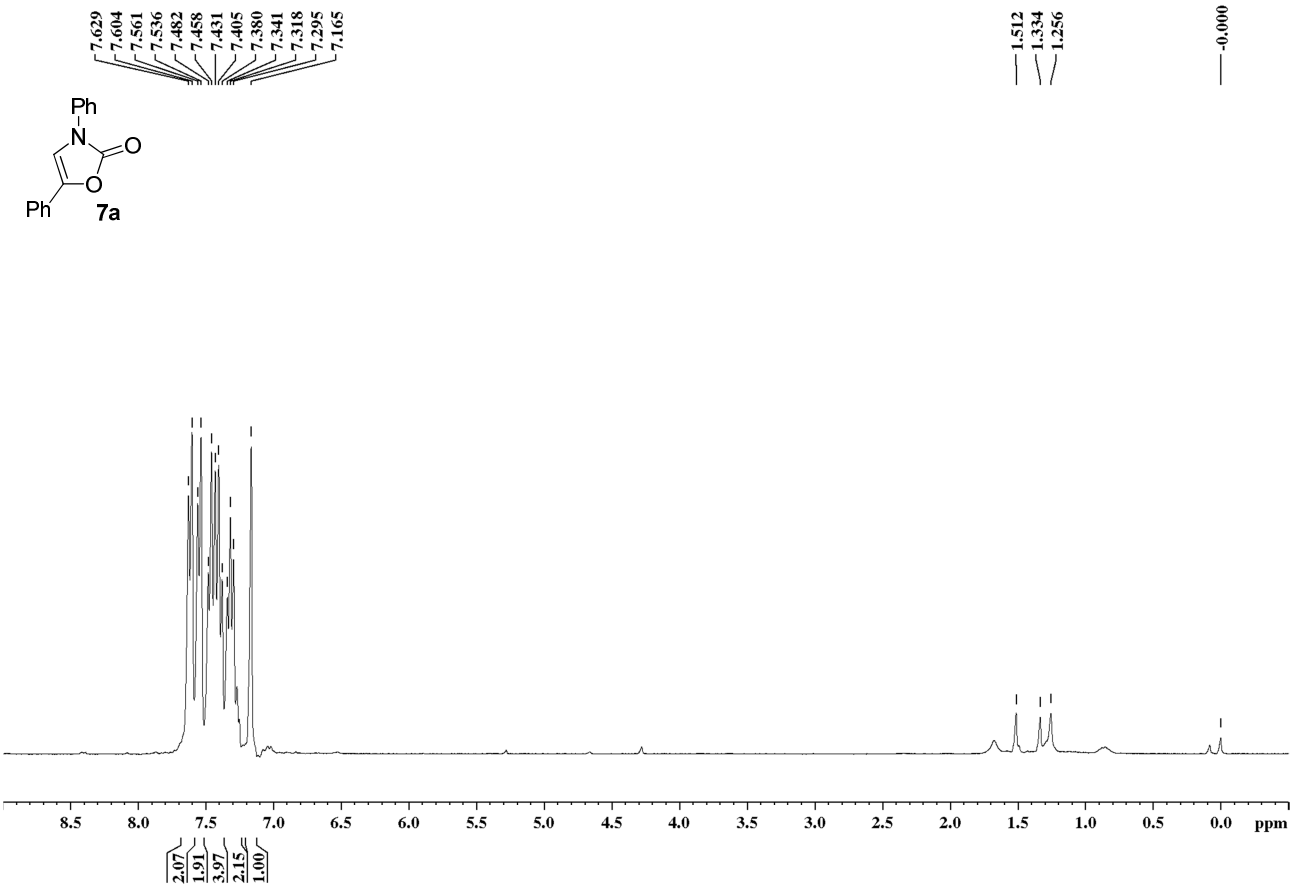
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1.334
1.256
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O
O
Ph
7a
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6.0
5.5
5.0
4.5
4.0
3.5
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1.5
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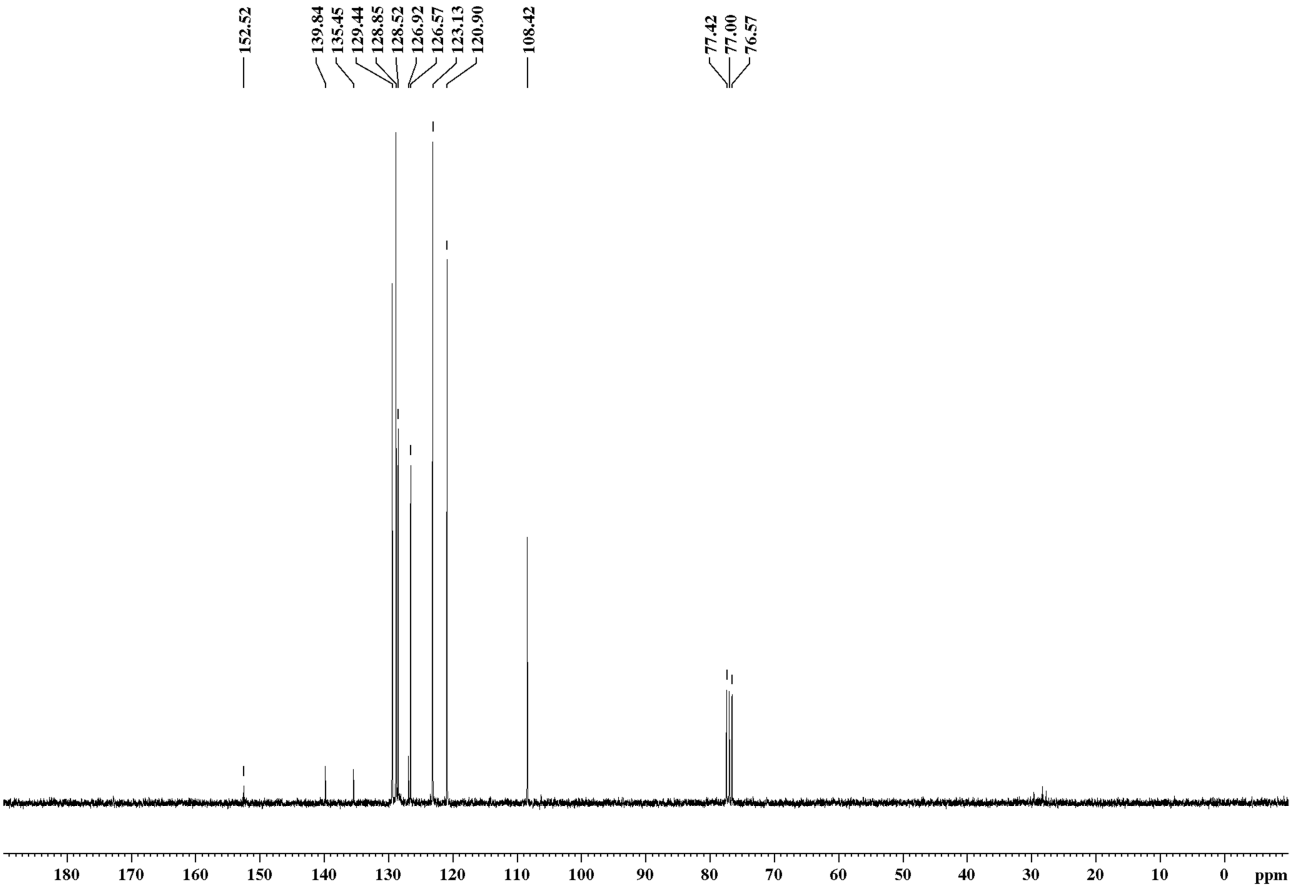
1.00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76.57
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120
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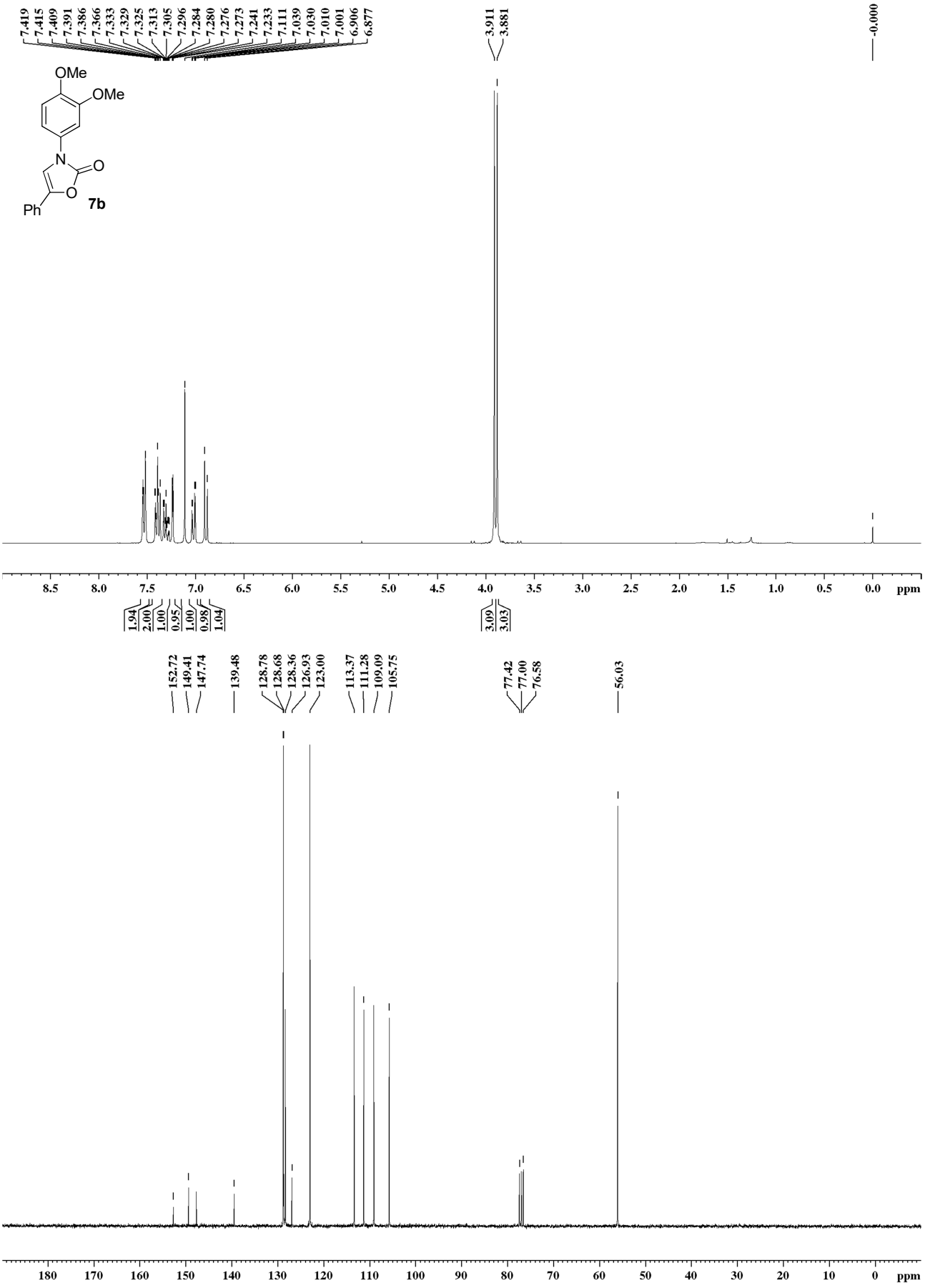
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7.296
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7.276
7.273
7.241
7.233
7.111
7.039
7.030
7.010
7.001
6.906
6.877
3.911
3.881
-0.000
OMe
OMe
N
O
O
Ph
7b
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7.0
6.5
6.0
5.5
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77.00
76.58
56.03
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140
130
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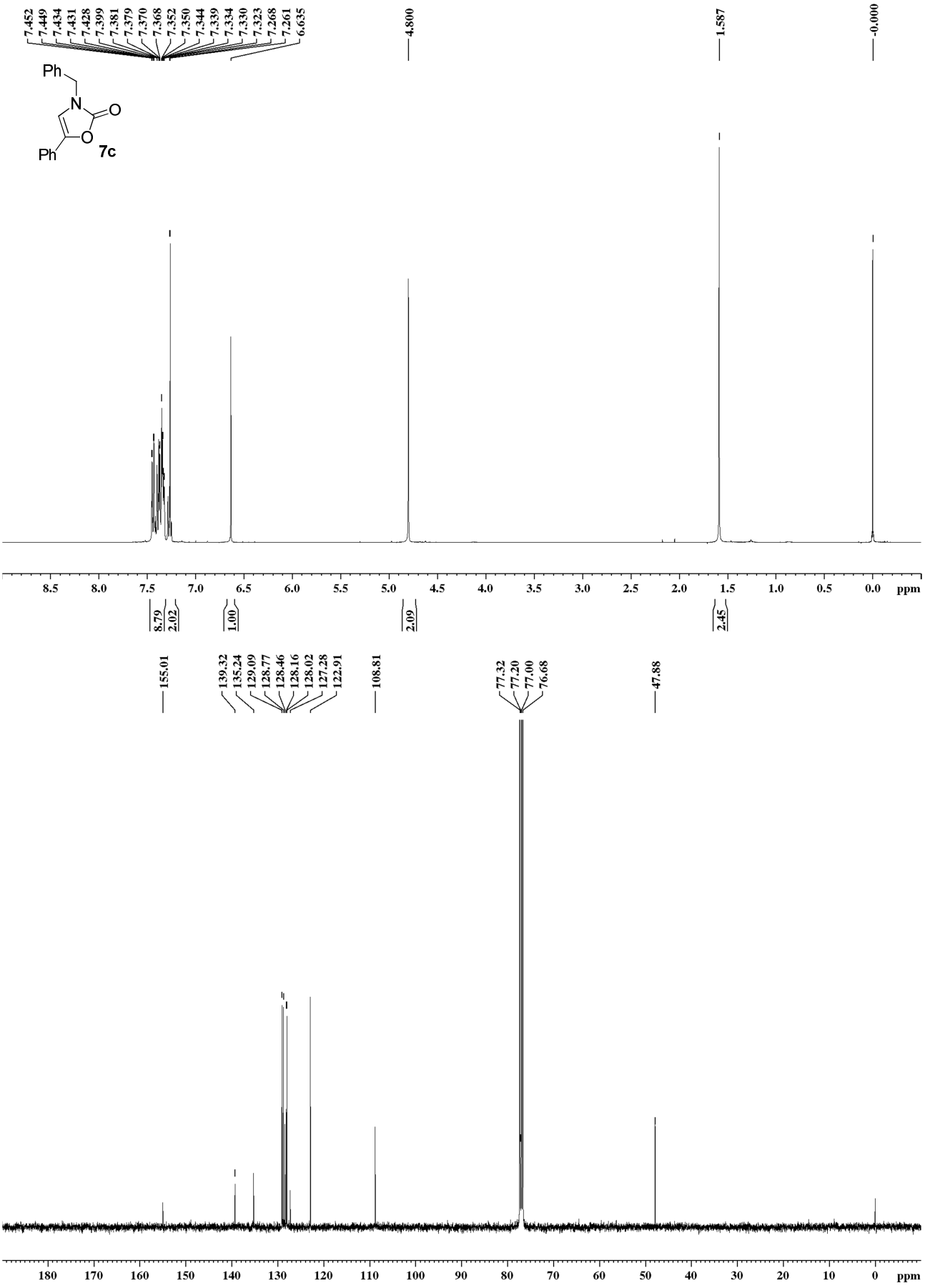
0
ppm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7.339
7.334
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7.323
7.268
7.261
6.635
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1.587
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Ph
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O
O
Ph
7c
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6.5
6.0
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5.0
4.5
4.0
3.5
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0.5
0.0
ppm
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128.46
128.16
128.02
127.28
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77.20
77.00
76.68
47.88
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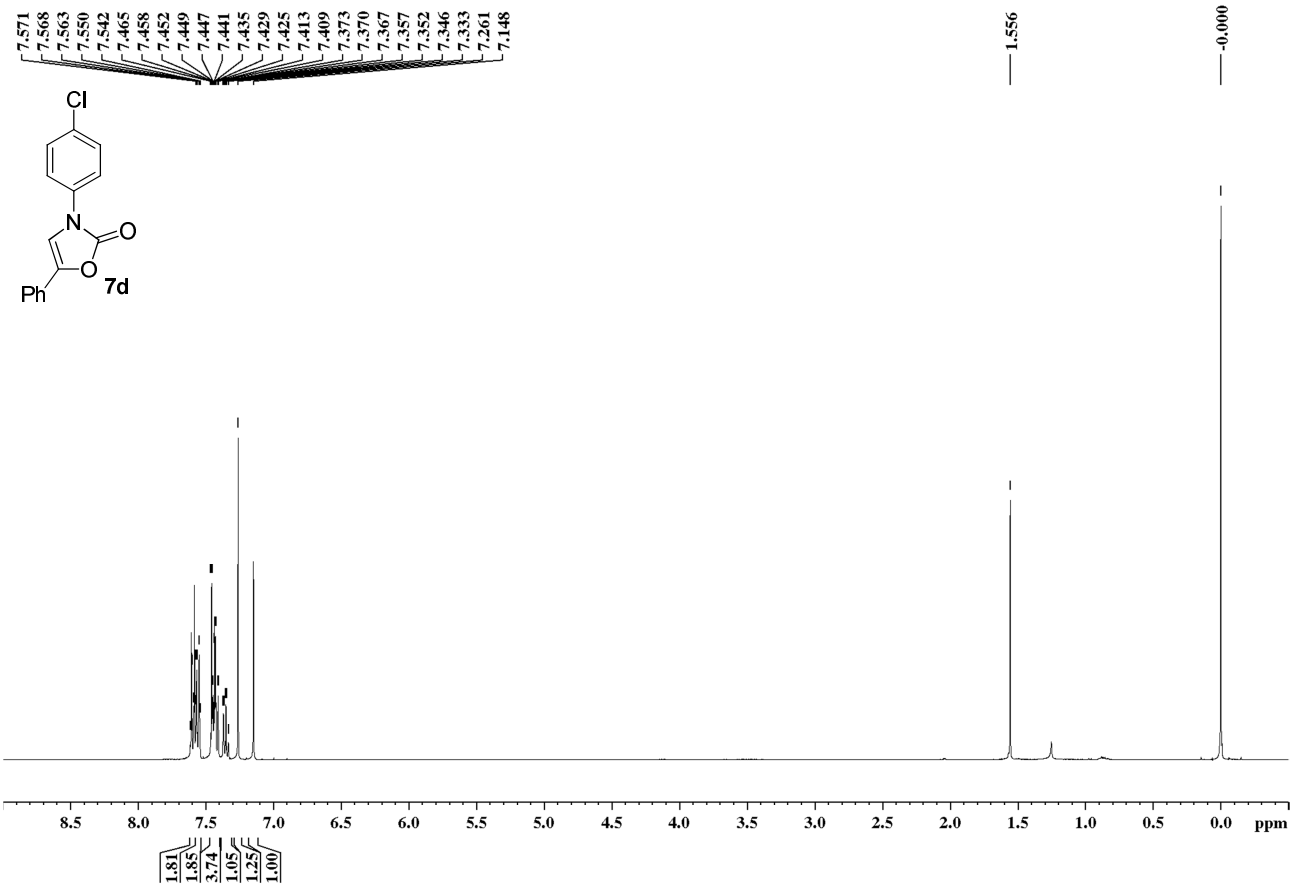
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7.447
7.441
7.435
7.429
7.425
7.413
7.409
7.373
7.370
7.367
7.357
7.352
7.346
7.333
7.261
7.148
1.556
-0.000
Cl
N
O
O
Ph
7d
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7.5
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6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
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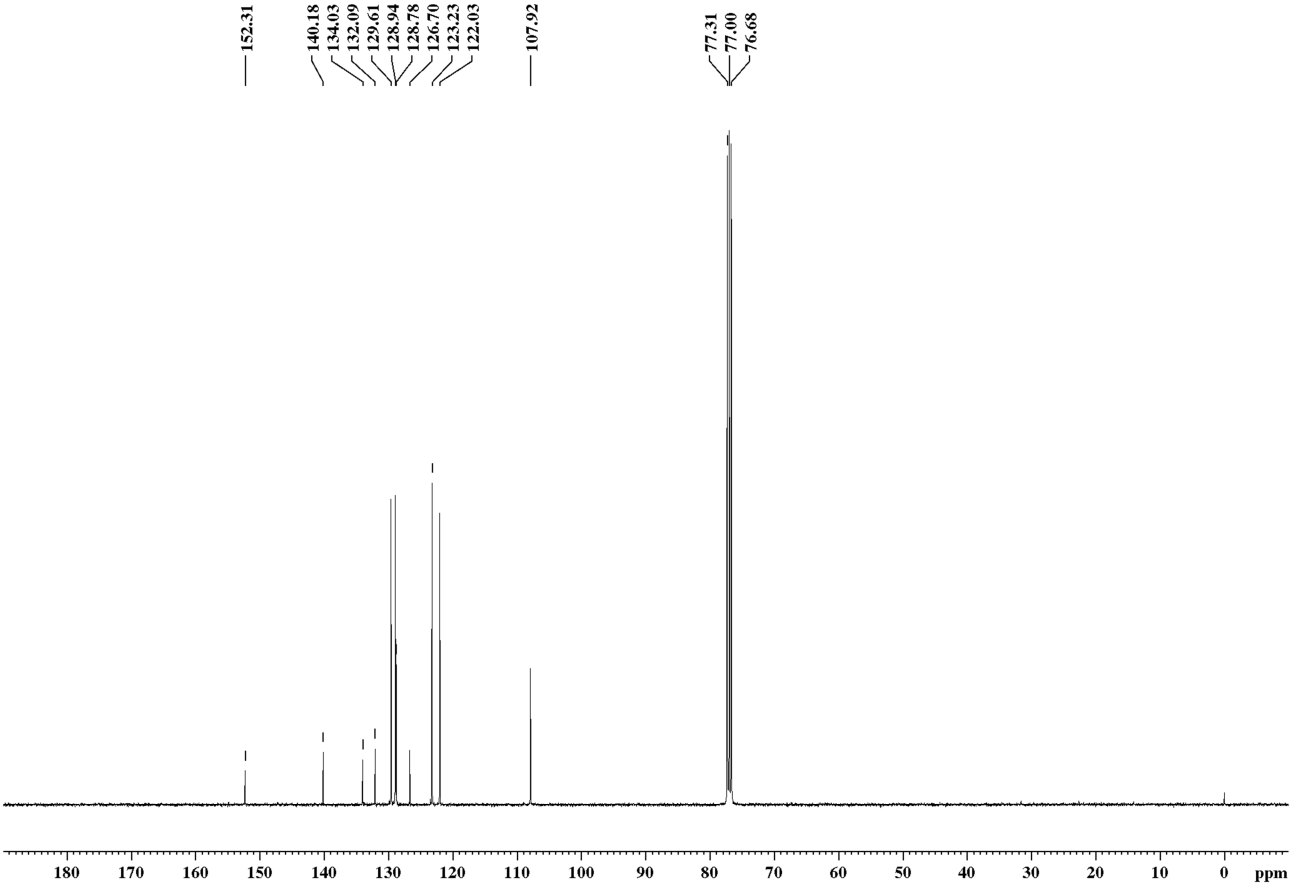
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123.23
122.03
107.92
77.31
77.00
76.68
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150
140
130
120
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80
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60
50
40
30
20
10
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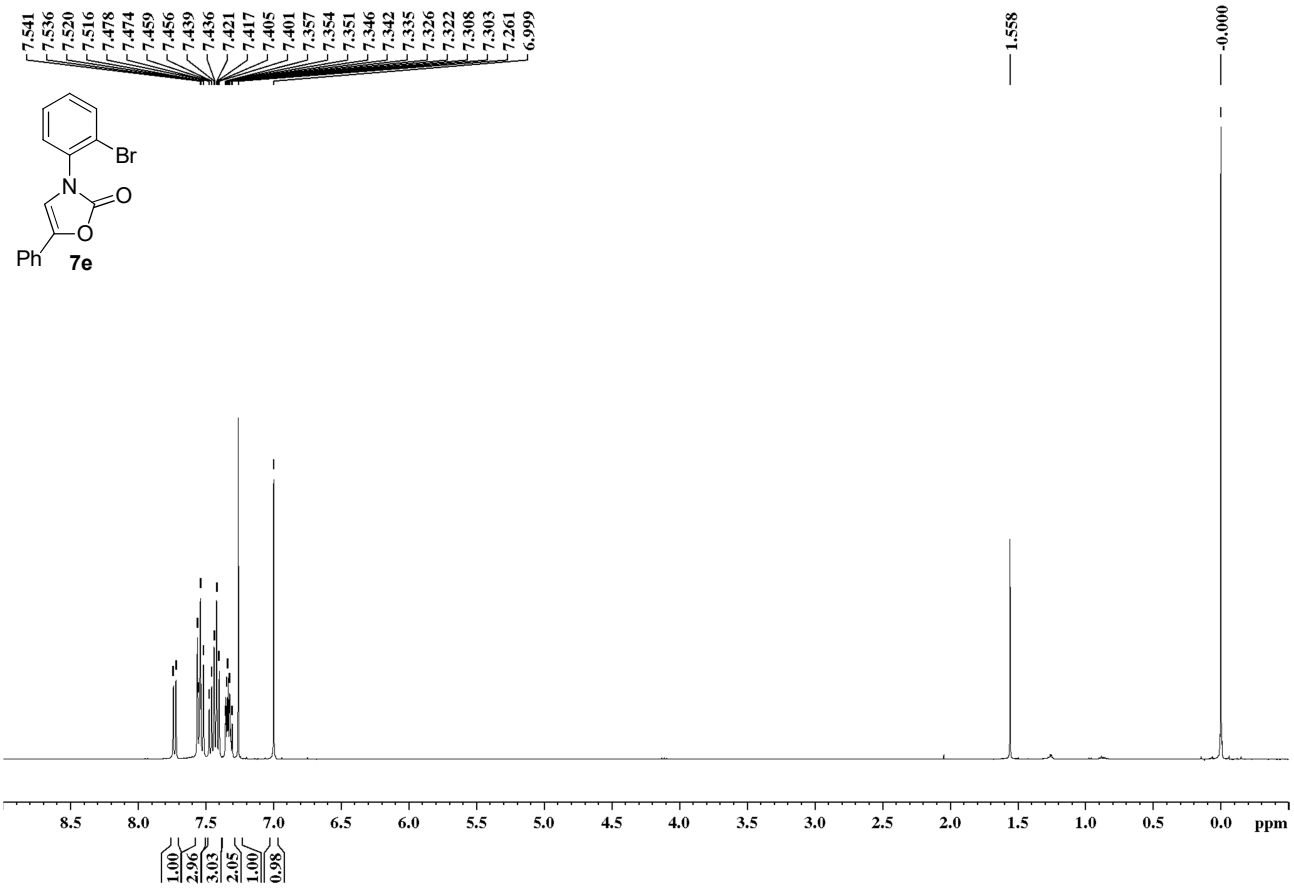
ppm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7.405
7.401
7.357
7.354
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7.346
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7.322
7.308
7.303
7.261
6.999
1.558
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Br
N
O
O
Ph
7e
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7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
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1.0
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0.0
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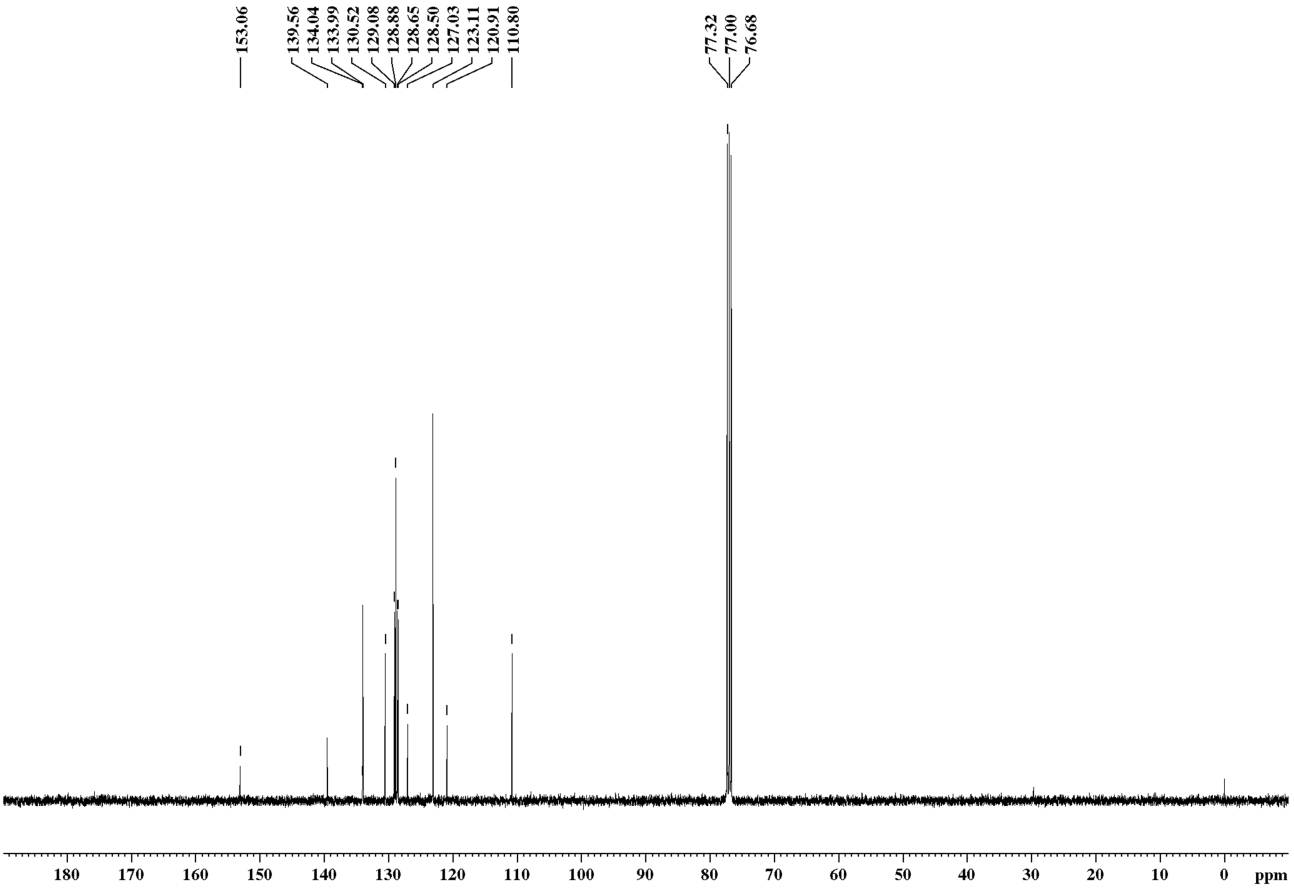
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76.68
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120
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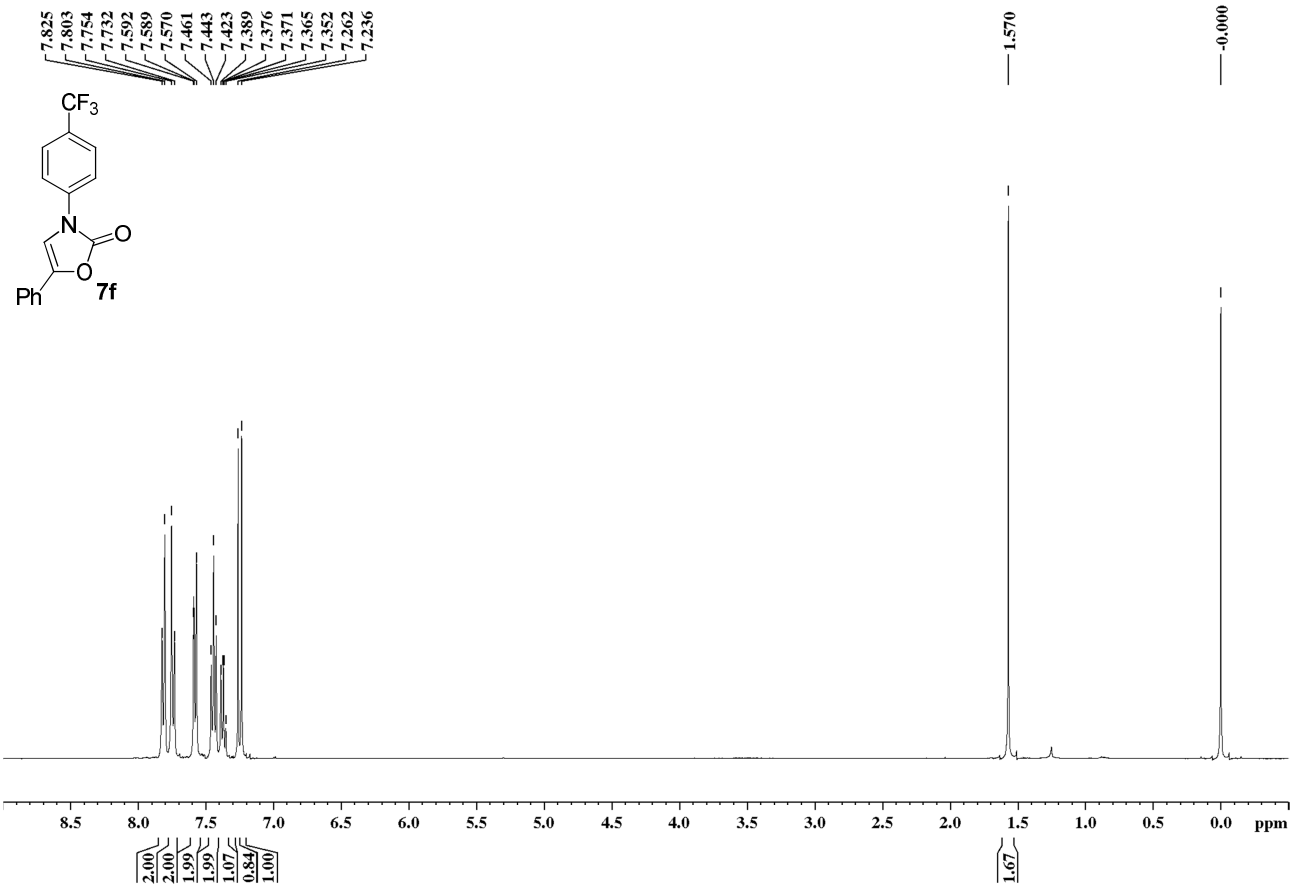
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7.371
7.365
7.352
7.262
7.236
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-0.000
CF3
N
O
O
Ph
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6.0
5.5
5.0
4.5
4.0
3.5
3.0
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2.0
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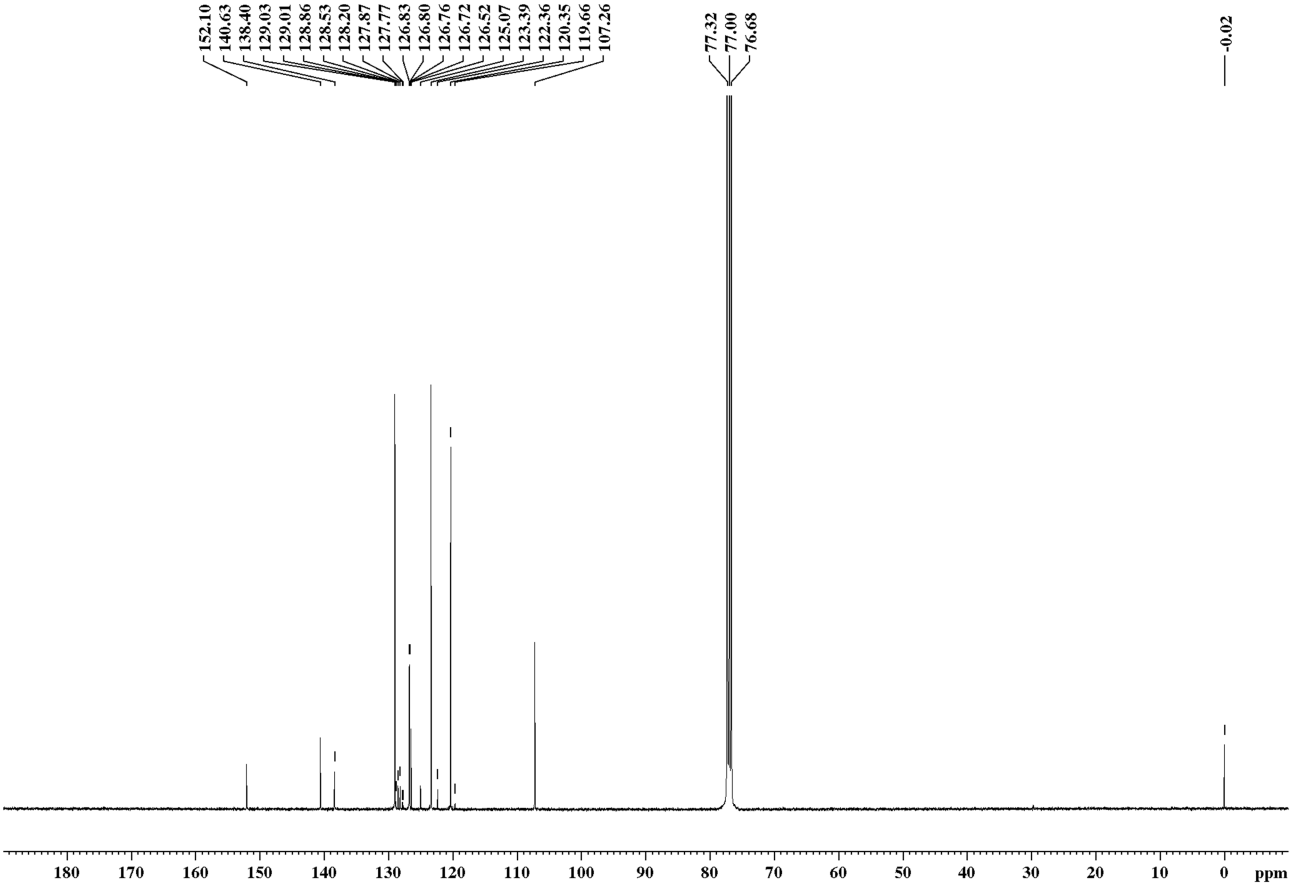
1.67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126.52
125.07
123.39
122.36
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107.26
77.32
77.00
76.68
-0.02
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120
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80
70
60
50
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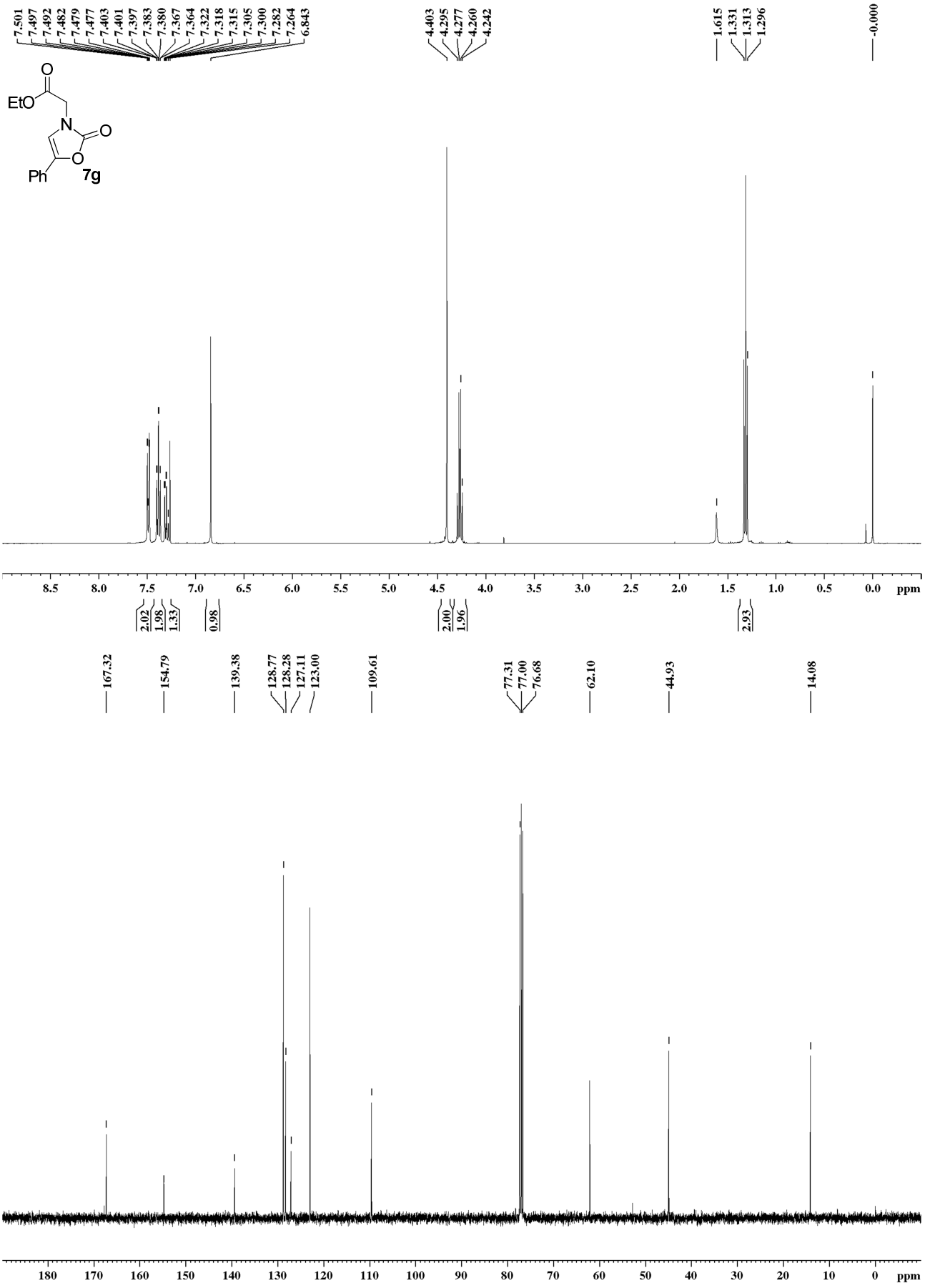
20
10
0
ppm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7.318
7.315
7.305
7.300
7.282
7.264
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4.260
4.242
1.615
1.331
1.313
1.296
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O
N
O
O
Ph
7g
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1.33
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128.28
127.11
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62.10
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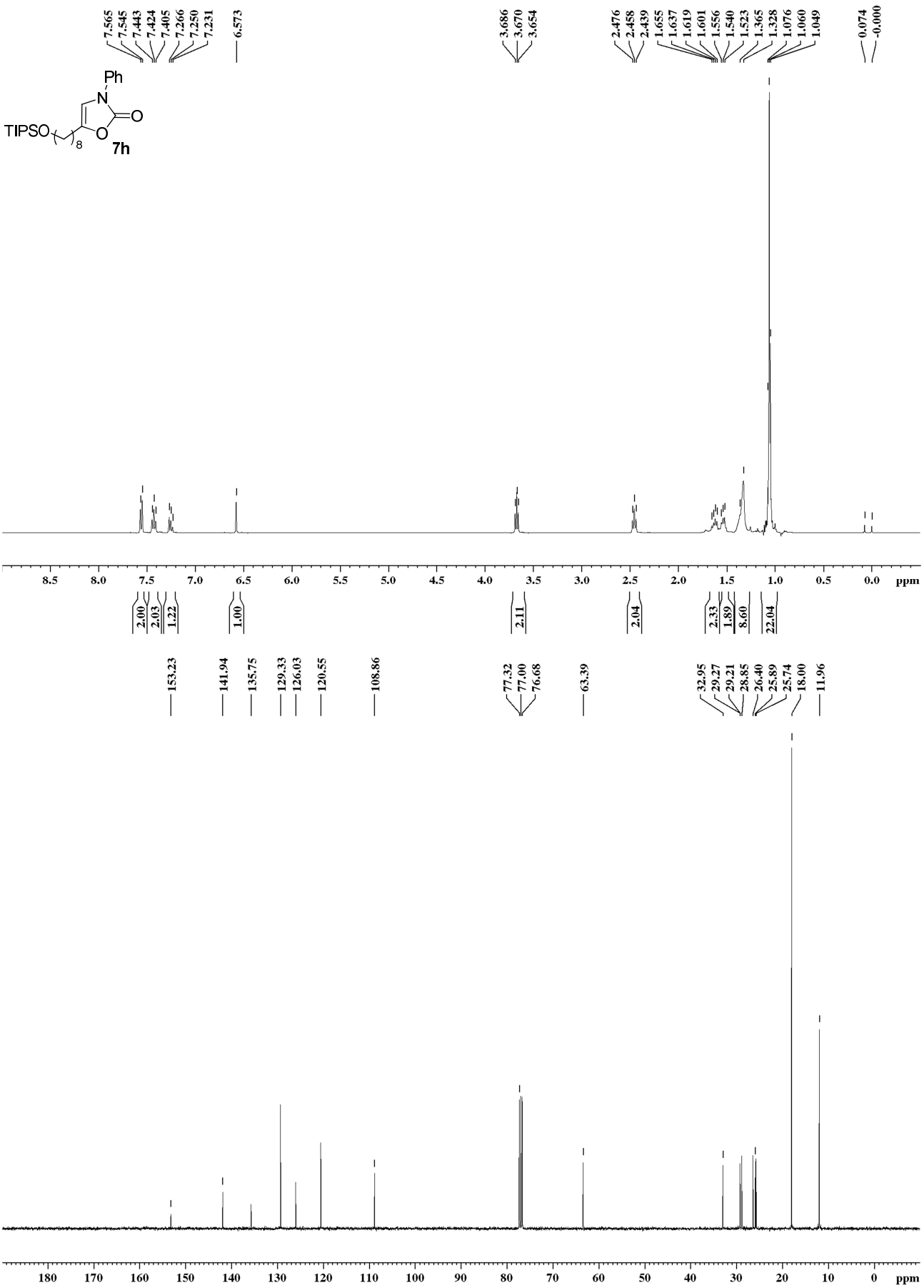
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2.439
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1.540
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1.060
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O
TIPSO
8
O
7h
ppm
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1.22
1.00
2.11
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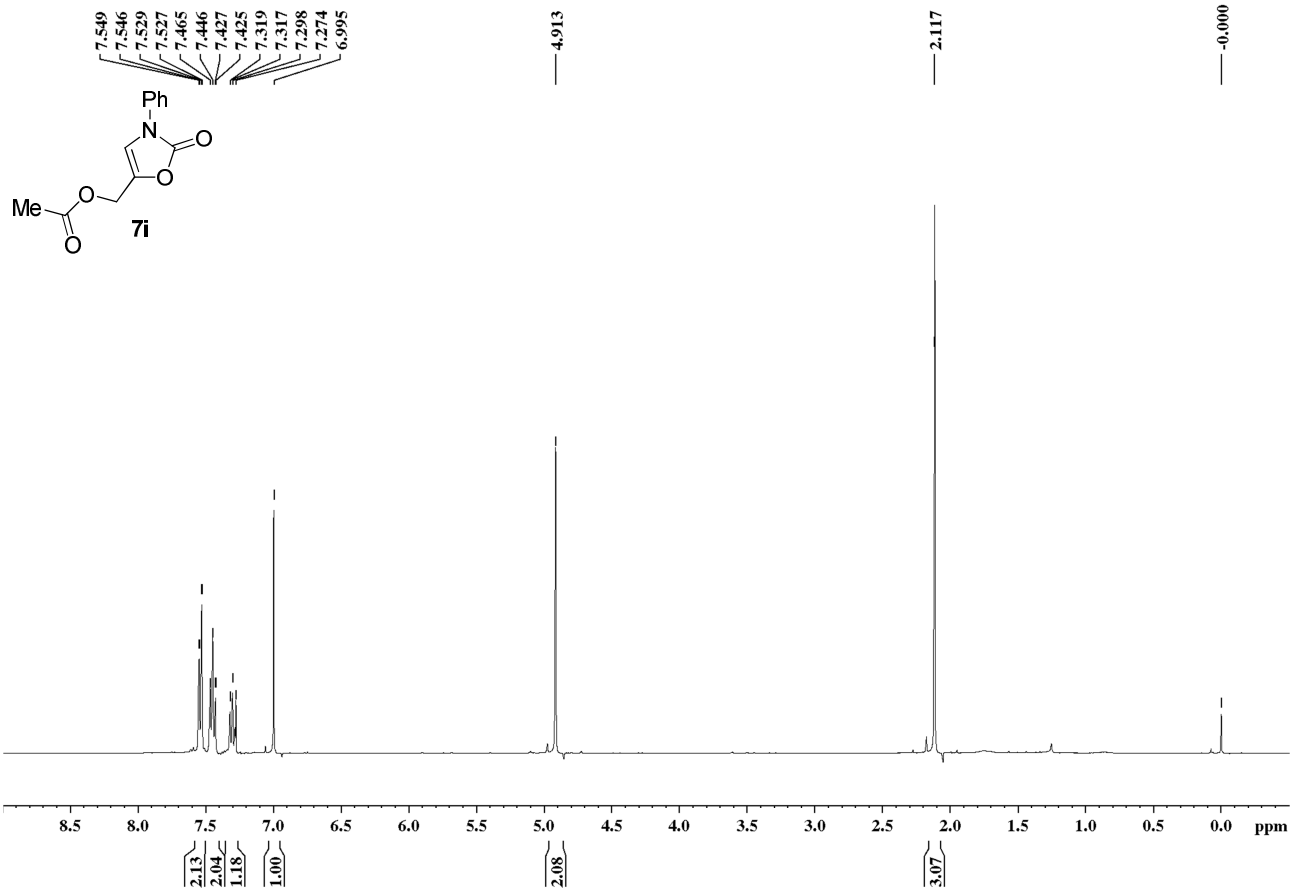
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4.913
2.117
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O
O
O
Me
O
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6.5
6.0
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5.0
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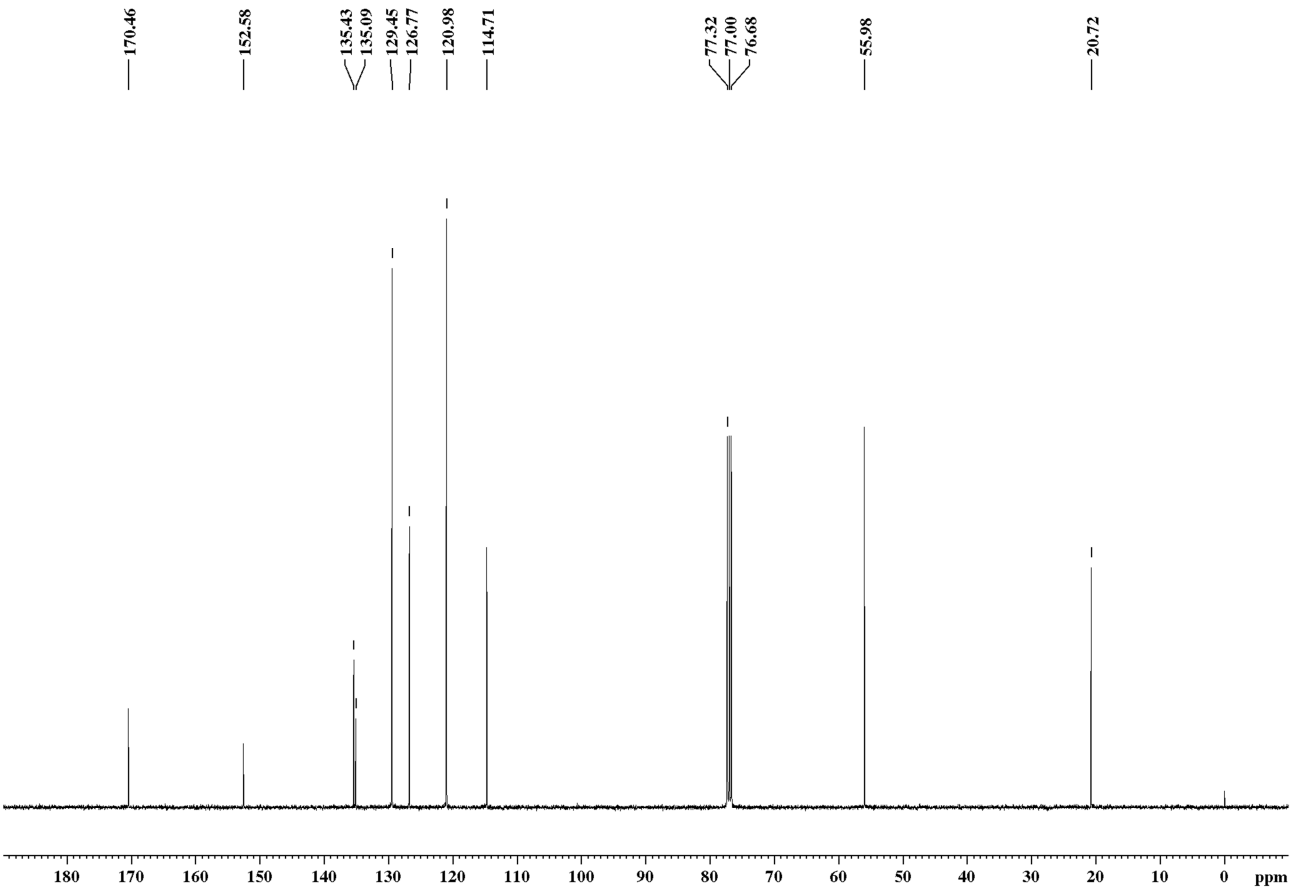
3.07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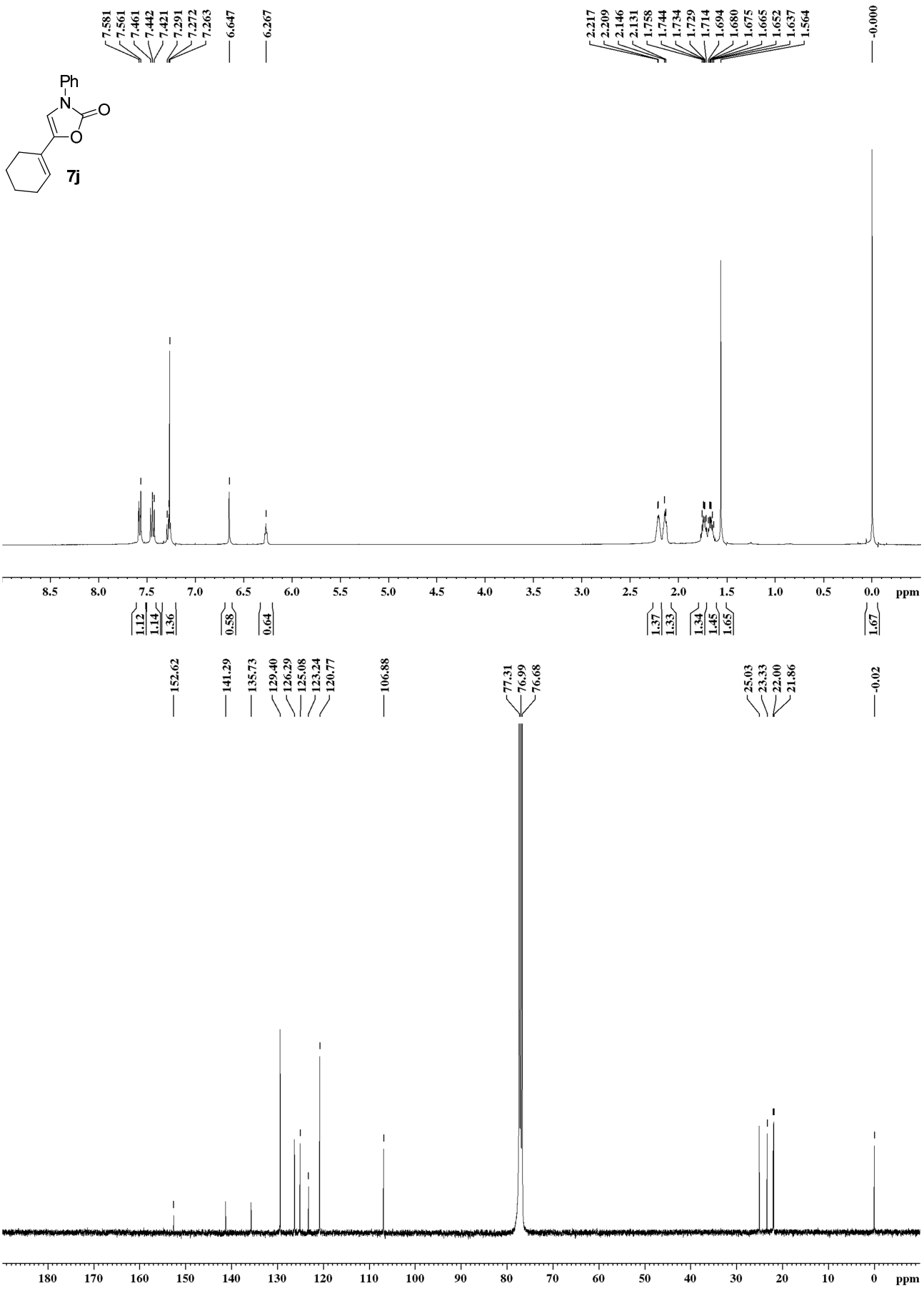
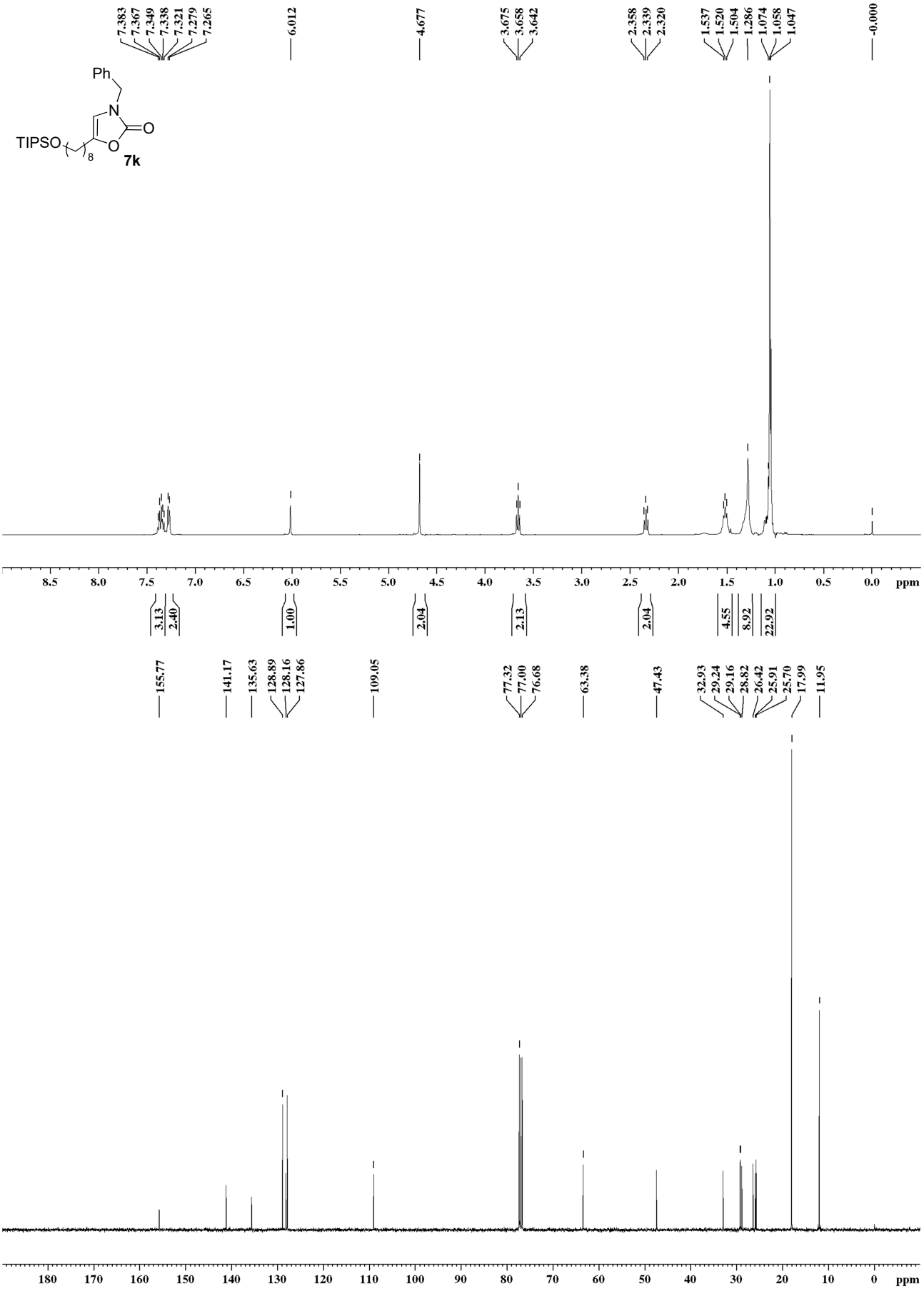
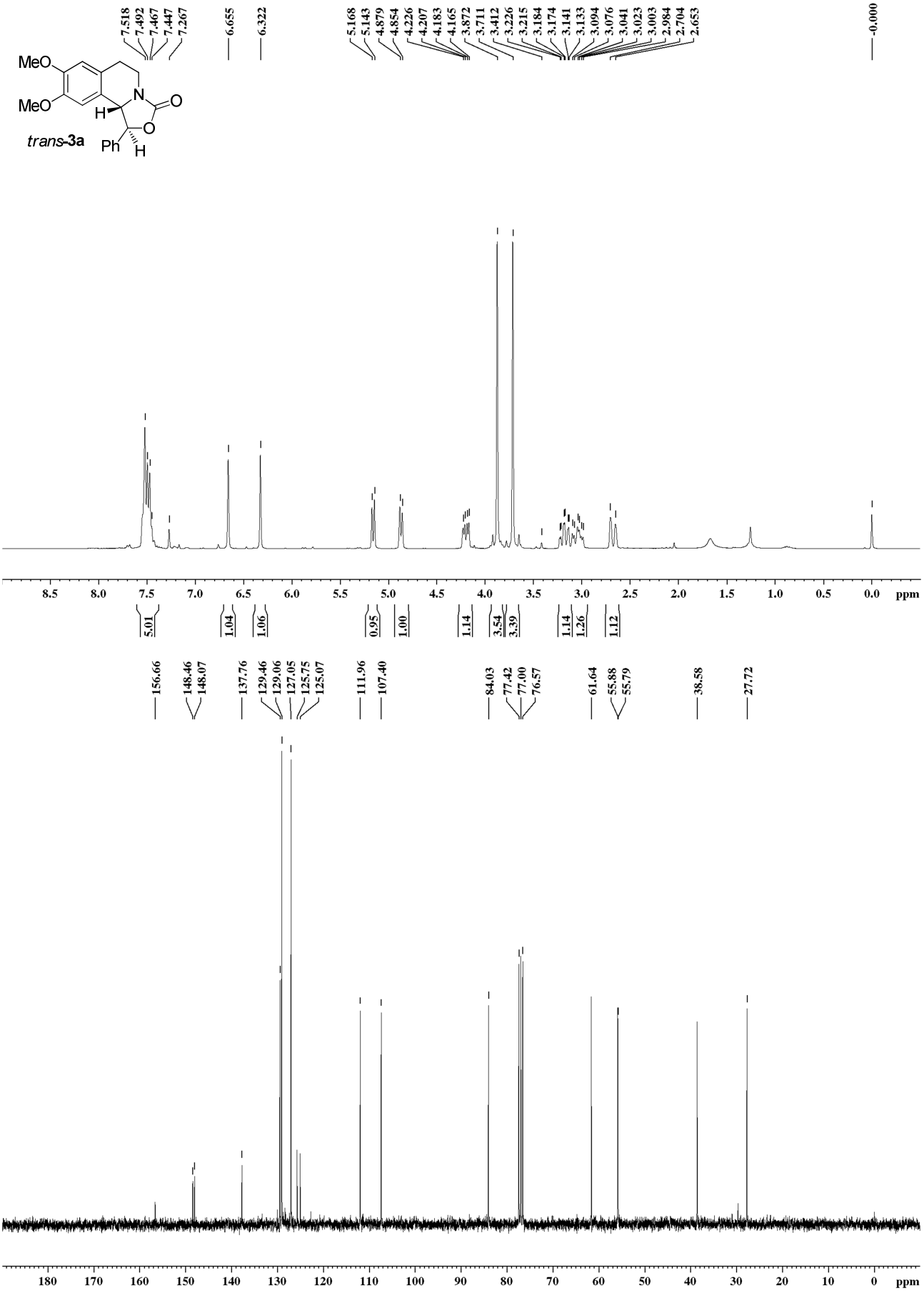
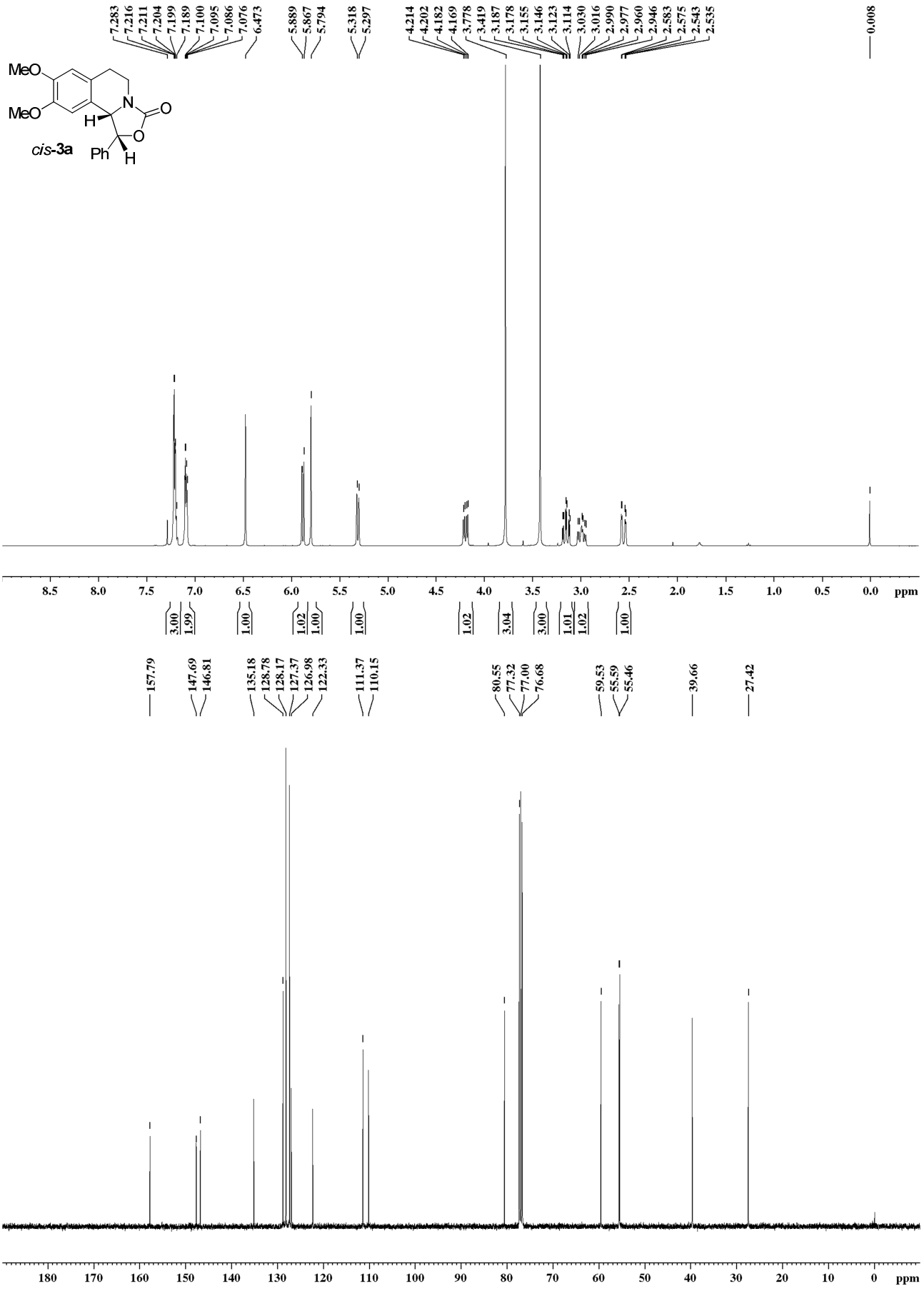
20.72
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm

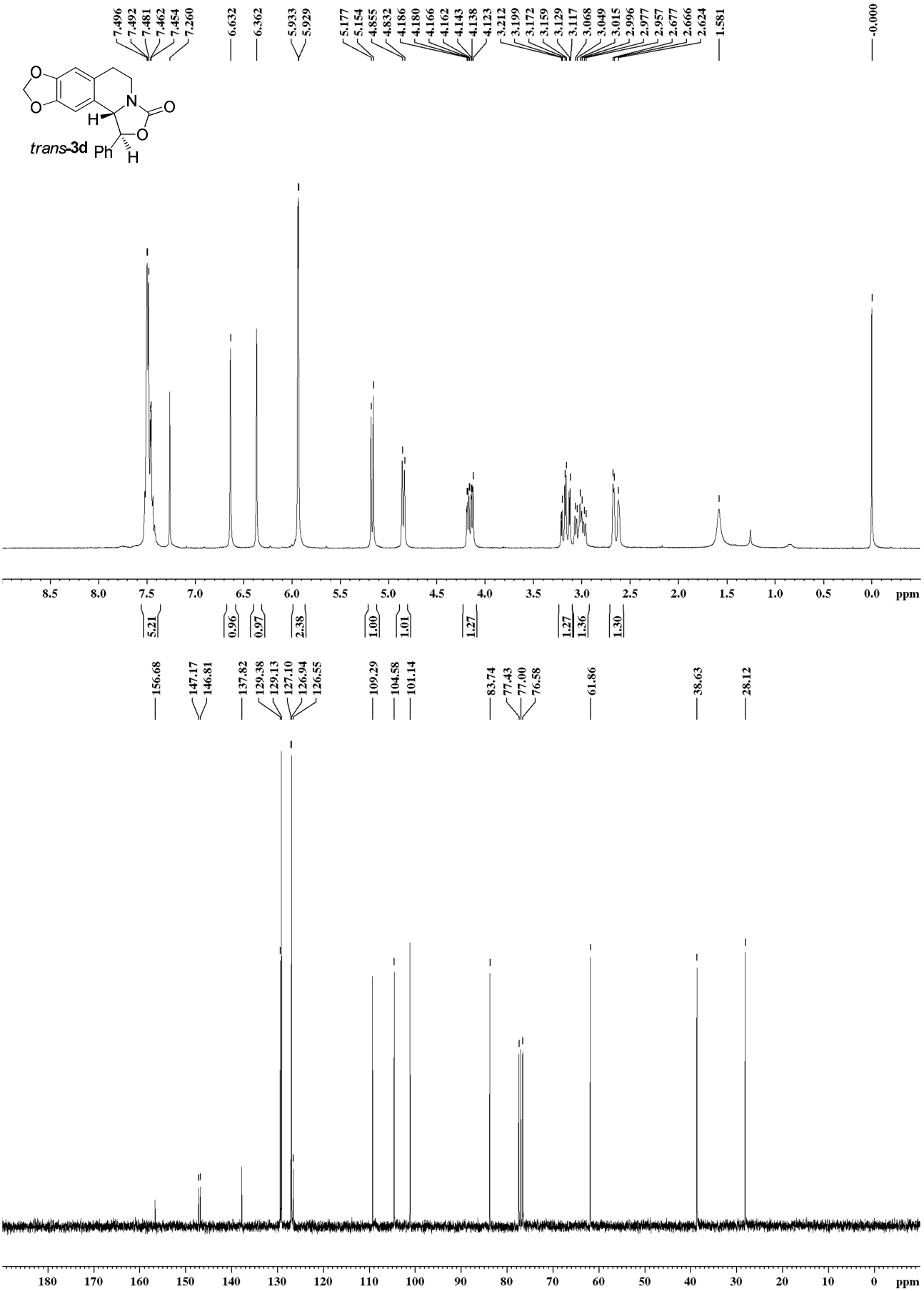

7.581
7.561
7.461
7.442
7.421
7.291
7.272
7.263
6.647
6.267
2.217
2.209
2.146
2.131
1.758
1.744
1.734
1.729
1.714
1.694
1.680
1.675
1.665
1.652
1.637
1.564
-0.000
Ph
N
O
O
7j
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 ppm
1.12
1.14
1.36
0.58
0.64
1.37
1.33
1.34
1.45
1.65
1.67
152.62
141.29
135.73
129.40
126.29
125.08
123.24
120.77
106.88
77.31
76.99
76.68
25.03
23.33
22.00
21.86
-0.02
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 ppm

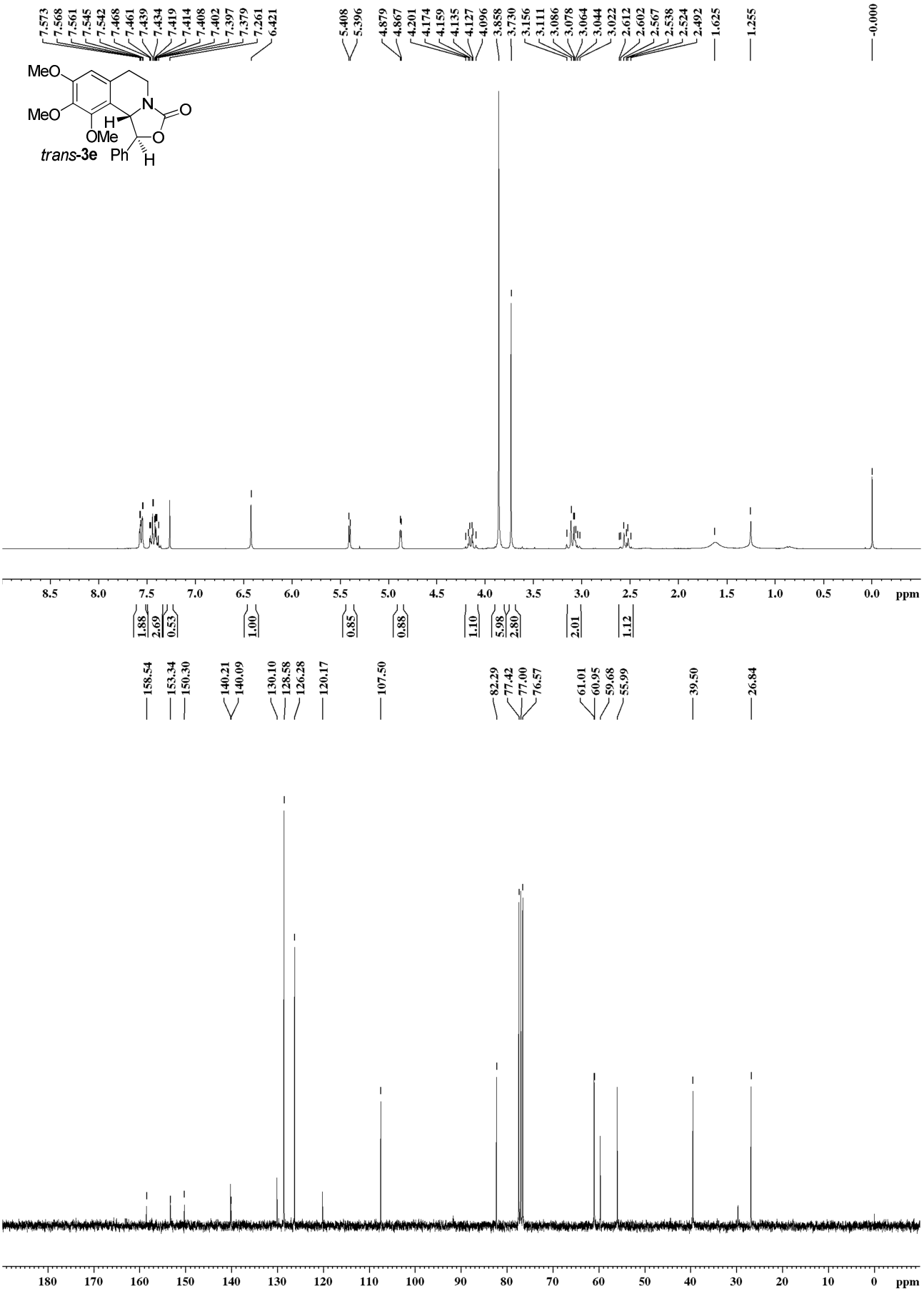

7.383
7.367
7.349
7.338
7.321
7.279
7.265
6.012
4.677
3.675
3.658
3.642
2.358
2.339
2.320
1.537
1.520
1.504
1.286
1.074
1.058
1.047
-0.000
Ph
N
O
O
TIPSO
8
7k
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 ppm
3.13
2.40
1.00
2.04
2.13
2.04
4.55
8.92
22.92
155.77
141.17
135.63
128.89
128.16
127.86
109.05
77.32
77.00
76.68
63.38
47.43
32.93
29.24
29.16
28.82
26.42
25.91
25.70
17.99
11.95
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 ppm

8. ^{1}H and ^{13}C NMR spectra of oxazolidones **3a**, **3d and 3e**




7.283
7.216
7.211
7.204
7.199
7.189
7.100
7.095
7.086
7.076
6.473
5.889
5.867
5.794
5.318
5.297
4.214
4.202
4.182
4.169
3.778
3.419
3.187
3.178
3.155
3.146
3.123
3.114
3.030
3.016
2.990
2.977
2.960
2.946
2.583
2.575
2.543
2.535
0.008
MeO
MeO
N
O
H
O
Ph
H
cis-3a
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 ppm
3.00
1.99
1.00
1.02
1.00
1.00
1.02
3.04
3.00
1.01
1.02
1.00
157.79
147.69
146.81
135.18
128.78
128.17
127.37
126.98
122.33
111.37
110.15
80.55
77.32
77.00
76.68
59.53
55.59
55.46
39.66
27.42
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 ppm


7.496
7.492
7.481
7.462
7.454
7.260
6.632
6.362
5.933
5.929
5.177
5.154
4.855
4.832
4.186
4.180
4.166
4.162
4.143
4.138
4.123
3.212
3.199
3.172
3.159
3.129
3.117
3.068
3.049
3.015
2.996
2.977
2.957
2.677
2.666
2.624
1.581
-0.000
O
O
N
O
H
O
trans-3d Ph
H
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 ppm
5.21
0.96
0.97
2.38
1.00
1.01
1.27
1.27
1.36
1.30
156.68
147.17
146.81
137.82
129.38
129.13
127.10
126.94
126.55
109.29
104.58
101.14
83.74
77.43
77.00
76.58
61.86
38.63
28.12
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 ppm


7.573
7.568
7.561
7.545
7.542
7.468
7.461
7.439
7.434
7.419
7.414
7.408
7.402
7.397
7.379
7.261
6.421
5.408
5.396
4.879
4.867
4.201
4.174
4.159
4.135
4.127
4.096
3.858
3.730
3.156
3.111
3.086
3.078
3.064
3.044
3.022
2.612
2.602
2.567
2.538
2.524
2.492
1.625
1.255
-0.000
MeO
MeO
OMe
N
O
H
O
H
trans-3e Ph
ppm
1.88
2.69
0.53
1.00
0.85
0.88
1.10
5.98
2.80
2.01
1.12
158.54
153.34
150.30
140.21
140.09
130.10
128.58
126.28
120.17
107.50
82.29
77.42
77.00
76.57
61.01
60.95
59.68
55.99
39.50
26.84
ppm