*Supporting Information*

*For*

# The Synthesis of Multi-substituted Pyrrolidinones via a Direct [3+2] Cycloaddition of Azaoxyallyl Cations with Aromatic Ethylenes

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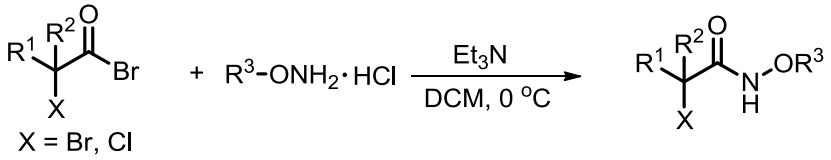
# 1. General Information

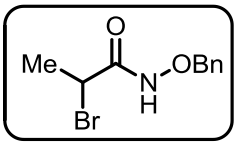
All reactions were carried out in anhydrous solvents under argon atmosphere and monitored by TLC on gel $F_{254}$ plates. The 300 MHz **$^1$H NMR** spectra were obtained on Bruker JNM ECS 300 MHz instrument, 400 MHz **$^1$H NMR** and 100 MHz **$^{13}$C NMR** spectra data were obtained on Bruker Ax-400 MHz instrument, both in $CDCl_3$ ($\delta$ = 77.00 ppm) solution. Unless specified, chemical shifts ($\delta$) are reported in ppm using TMS (tetramethylsilane) as internal standard. High-resolution mass spectral analysis (**HRMS**) data were measured on the ESI Bruker Apex II. **IR** spectra data were recorded on a Nicolet FT-170SX spectrometer.

# 2. Experimental Procedure

## 2.1 Synthesis of α-halo hydroxamates.

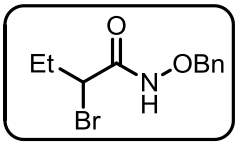



The substrates of α-halo hydroxamates were prepared according to literature procedures.[1]



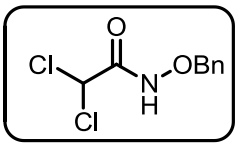

**1a**

**$^1$H NMR** (300 MHz, $CDCl_3$): $\delta$ 8.87 (s, 1H), 7.49 - 7.33 (m, 5H), 4.93 (s, 2H), 4.28 (d, *J* = 6.4 Hz, 1H), 1.93 - 1.73 (m, 3H).



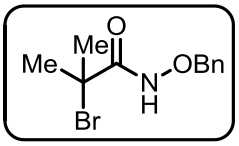

**1b**

**$^1$H NMR** (300 MHz, $CDCl_3$): δ 9.78 (s, 1H), 7.38 - 7.34 (m, 5H), 4.94 - 4.90 (m, 2H), 4.16 - 4.11 (m, 1H), 2.01 (tdd, *J* = 21.7, 14.5, 7.1 Hz, 2H), 0.95 (t, *J* = 7.1 Hz, 3H).



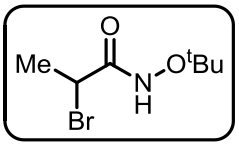

**1c**

**$^1$H NMR** (300 MHz, $CDCl_3$): δ 10.48 (s, 1H), 7.37 - 7.27 (m, 5H), 6.02 (s, 1H), 4.92 (s, 2H).



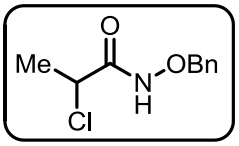

**1d**

**$^1$H NMR** (300 MHz, $CDCl_3$): δ 9.06 (s, 1H), 7.44 - 7.38 (m, 5H), 4.95 (s, 2H), 1.94 (s, 6H).




**1e**

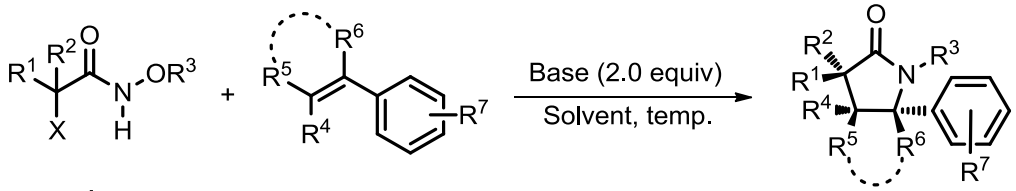
**$^1$H NMR** (300 MHz, $CDCl_3$): δ 10.25 (s, 1H), 4.57 (q, *J* = 6.8 Hz, 1H), 1.73 (d, *J* = 6.8 Hz, 3H), 1.22 (s, 9H).




**1f**

**$^1$H NMR** (300 MHz, $CDCl_3$): δ 9.87 (s, 1H), 7.39 - 7.33 (m, 5H), 4.89 (s, 2H), 4.33 (q, *J* = 6.9 Hz, 1H), 1.62 (d, *J* = 6.9 Hz, 3H).

2.2 General procedure of synthesis of pyrrolidinones.



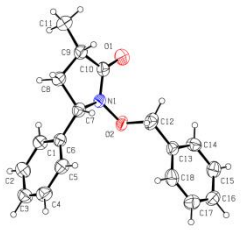

**1** **2** **3**

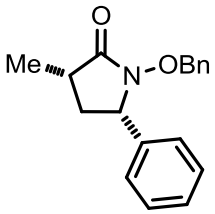
To a solution of α-halo hydroxamates (0.2 mmol) in dry HFIP was added $Et_3N$ (0.4 mmol) and styrenes (0.4 mmol) at 50 $^oC$. The reaction was monitored by TLC. After the α-halo hydroxamates consumed completely, the solvent was evaporated under vacuum and flash column chromatography using petrol ether and acetone (v/v = 10 : 1) as eluent provided the desired products.

## 3. References

1. C. S. Jeffrey, K. L. Barnes, J. A. Eickhoff and C. R. Carson, *J. Am. Chem. Soc.*, **2011**, *133*, 7688.

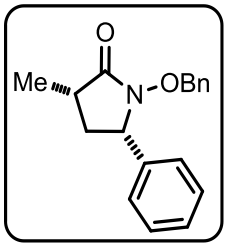
## 4. X- Ray Ellipsoid Plots of **3a** (CCDC 1836255).






**3a**

## 5. NMR Spectra Data of the Products

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**3a[a]/3a[b]**

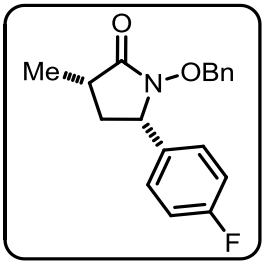
(3S,5S)-1-(benzyloxy)-3-methyl-5-phenylpyrrolidin-2-one

White solid (m[a] = 37 mg, 66%, ***d.r. = 7.2 : 1),*** (m[b] = 35 mg, 62%, ***d.r. = 5.5 : 1);*** m.p.: 74.9 - 76.1 $^oC$; **$^1$H NMR** (400 MHz, ) δ 7.37 (m, 5H), 7.30 - 7.23 (m, 3H), 7.22 - 7.11 (m, 2H), 5.06

(d, *J* = 10.0 Hz, 1H), 4.58 (d, *J* = 10.0 Hz, 1H), 4.44 - 4.35 (m, 1H), 2.65 - 2.43 (m, 2H), 1.68 - 1.59 (m, 1H), 1.32 (d, *J* = 6.8 Hz, 3H).

White solid (***m***$^{b}$ = 35 mg, 62%, ***d.r. = 5.5 : 1***); **$^{1}$H NMR** (400 MHz, $CDCl_3$, ppm): δ 7.37 (m, 5H), 7.29 - 7.23 (m, 3H), 7.21 - 7.10 (m, 2H), 5.05 (d, *J* = 10.0 Hz, 1H), 4.57 (d, *J* = 10.0 Hz, 1H), 4.40 (dd, *J* = 9.1, 6.6 Hz, 1H), 2.65 - 2.43 (m, 2H), 1.68 - 1.58 (m, 1H), 1.32 (d, *J* = 6.8 Hz, 3H); **$^{13}$C NMR** (100 MHz, $CDCl_3$, ppm): δ 174.72, 139.32, 134.98, 129.39, 128.68, 128.59, 128.46, 128.39, 128.28, 127.44, 126.78, 126.50, 77.39, 61.95, 60.80, 35.25, 34.09, 16.43; **IR** *v* ($cm^{-1}$): 3033, 2932, 2849, 1713, 1604, 1496, 1456, 1369, 1124, 731, 699; **HRMS ESI** Calcd for $C_{18}H_{19}NO_2$ $[M+Na]^+$: 304.1313, Found: 304.1310.

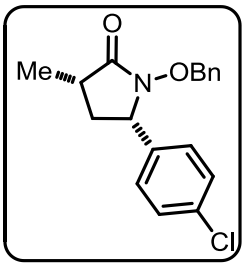
[a]The reaction was carried out X = Br. [b]The reaction was carried out X = Cl.




**3b**

(3S,5S)-1-(benzyloxy)-5-(4-fluorophenyl)-3-methylpyrrolidin-2-one

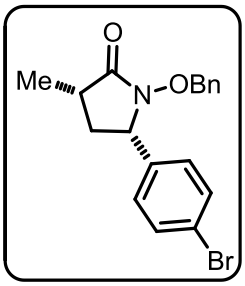
White solid (m = 37 mg, 62%, ***d.r. = 12.5 : 1***); m.p.: 61.2 - 62.0 $^{o}$C; **$^{1}$H NMR** (400 MHz, $CDCl_3$, ppm): δ 7.30 - 7.25 (m, 5H), 7.15 - 7.13 (m, 2H), 7.06 (dd, *J* = 14.7, 6.1 Hz, 2H), 5.04 (d, *J* = 10.5, 1H), 4.59 (d, *J* = 10.1 Hz, 1H), 4.36 (dd, *J* = 9.2, 6.5 Hz, 1H), 2.61 - 2.42 (m, 2H), 1.57 (m, 1H), 1.31 (d, *J* = 6.7 Hz, 3H); **$^{13}$C NMR** (100 MHz, $CDCl_3$, ppm): δ 174.70, 162.59 (d, *J* = 246.9 Hz), 163.808, 134.95 (d, *J* = 3.2 Hz), 134.90, 129.49, 129.38, 129.08 (d, *J* = 8.3 Hz), 128.69, 128.40, 128.34, 115.62 (d, *J* = 21.6 Hz), 77.41, 61.26, 35.36, 34.06, 16.38; **IR** *v* ($cm^{-1}$): 3034, 2932, 2850, 1711, 1607, 1512, 1367, 1124, 836, 732, 698; **HRMS ESI** Calcd for $C_{18}H_{18}FNO_2$ $[M+Na]^+$: 322.1219, Found: 322.1210.




**3c**

(3S,5S)-1-(benzyloxy)-5-(4-chlorophenyl)-3-methylpyrrolidin-2-one

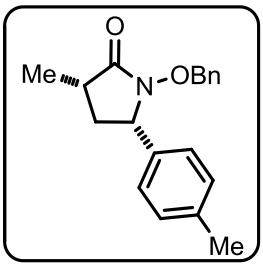
White solid (m = 37 mg, 58%, ***d.r. = 11.1 : 1***); m.p.: 96.1 - 97.9 $^{o}$C; **$^{1}$H NMR** (400 MHz, $CDCl_3$, ppm): δ 7.36 - 7.25 (m, 5H), 7.23 - 7.19 (m, 2H), 7.16 (dd, *J* = 7.8, 1.6 Hz, 2H), 5.07 - 5.03 (d, *J* = 10.3 Hz, 1H), 4.63 (d, *J* = 10.2 Hz, 1H), 4.33 (dd, *J* = 9.1, 6.7 Hz, 1H), 2.50 (m, 2H), 1.59 - 1.50 (m, 1H), 1.33 - 1.28 (d, *J* = 6.8 Hz, 3H); **$^{13}$C NMR** (100 MHz, $CDCl_3$, ppm): δ 174.72, 137.85, 134.90, 134.04, 129.38, 128.92, 128.85, 128.70, 128.68, 128.42, 128.36,127.82, 61.30, 35.30, 34.02, 16.51; **IR** *v* (cm$^{-1}$): 3033, 2933, 2849, 1710, 1598, 1491, 1454, 1366, 1124, 827, 732, 699; **HRMS ESI** Calcd for $C_{18}H_{18}ClNO_2$ $[M+Na]^+$: 338.0924, Found: 338.0918.




**3d**

(3S,5S)-1-(benzyloxy)-5-(4-bromophenyl)-3-methylpyrrolidin-2-one

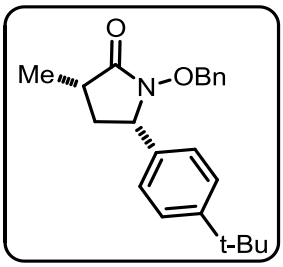
Colourless oil (m = 36 mg, 50%, ***d.r.*** > ***20 : 1***); **$^{1}$H NMR** (400 MHz, $CDCl_3$, ppm): δ 7.49 (d, *J* = 8.4 Hz, 2H), 7.30 - 7.26 (m, 3H), 7.17 - 7.13 (m, 4H), 5.05 (d, *J* = 10.2 Hz, 1H), 4.63 (d, *J* = 10.2 Hz, 1H), 4.31 (dd, *J* = 9.1, 6.6 Hz, 1H), 2.50 (m, 2H), 1.58 - 1.49 (m, 1H), 1.30 (d, *J* = 6.8 Hz, 3H); **$^{13}$C NMR** (100 MHz, $CDCl_3$, ppm): δ 174.74, 138.41, 134.92, 131.81, 131.49, 129.40, 129.00, 128.71, 128.38, 122.18, 122.02, 77.40, 61.38, 35.28, 34.02, 16.40; **IR** *v* (cm$^{-1}$): 3033, 2969, 2932, 2876, 1712, 1594, 1489, 1454, 1377, 1124, 837, 823, 732, 699, 553; **HRMS ESI** Calcd for $C_{18}H_{18}BrNO_2$ $[M+Na]^+$: 382.0419, Found: 382.0413.




**3e**

(3S,5S)-1-(benzyloxy)-3-methyl-5-(p-tolyl)pyrrolidin-2-one

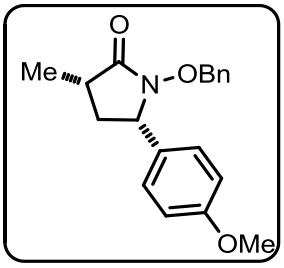
White solid (m = 34 mg, 58%, ***d.r. = 11.4 : 1***); m.p.: 87.3 - 90.1 $^{o}$C; **$^{1}$H NMR** (400 MHz, $CDCl_3$, ppm): δ 7.34 - 7.28 (m, 3H), 7.27 - 7.18 (m, 4H), 7.16 - 7.13 (m, 2H), 5.04 (d, *J* = 10.0 Hz, 1H), 4.56 (d, *J* = 10.0 Hz, 1H), 4.35 (dd, *J* = 9.1, 6.7 Hz, 1H), 2.55 - 2.44 (m, 2H), 2.38 (s, 3H), 1.62 - 1.59 (m, 1H), 1.31 (d, *J* = 6.7 Hz, 3H); **$^{13}$C NMR** (100 MHz, $CDCl_3$, ppm): δ 174.63, 138.19, 136.19, 135.02, 129.40, 129.34, 128.56, 128.26, 127.38, 77.39, 61.68, 35.25, 34.07, 21.18, 16.42; **IR** *v* (cm$^{-1}$): 3032, 2968, 2930, 2875, 2850, 1716, 1616, 1498, 1454, 1367, 1124, 844, 731, 698; **HRMS ESI** Calcd for $C_{19}H_{21}NO_2$ $[M+Na]^+$: 318.1470, Found: 318.1464.




**3f**

(3S,5S)-1-(benzyloxy)-5-(4-(tert-butyl)phenyl)-3-methylpyrrolidin-2-one

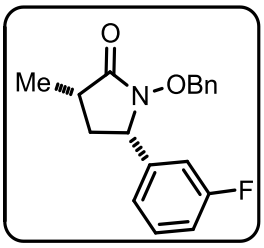
White solid (m = 43 mg, 64%, ***d.r.*** > ***20 : 1***); m.p.: 93. 1- 97.6 $^{o}$C; **$^{1}$H NMR** (400 MHz, $CDCl_3$, ppm): δ 7.42 -7 .40 (m, 2H), 7.28 - 7.21 (m, 5H), 7.06 (dd, *J* = 7.8, 1.5 Hz, 2H), 5.07 (d, *J* = 10.0 Hz, 1H), 4.54 (d, *J* = 9.9 Hz, 1H), 4.38 (dd, *J* = 9.2, 6.6 Hz, 1H), 2.50 (m, 2H), 1.64 (m, 1H), 1.35 (s, 9H), 1.33 - 1.30 (d, *J* = 7.2 Hz, 3H); **$^{13}$C NMR** (100 MHz, $CDCl_3$, ppm): δ 174.61, 151.47, 136.04, 134.96, 129.45, 128.56, 128.22, 127.24, 125.56, 77.48, 61.63, 35.10, 34.59, 34.10, 31.31, 16.41; **IR** *v* (cm$^{-1}$): 3032, 2963, 2872, 1719, 1614, 1512, 1454, 1418, 1363, 1127, 835, 699; **HRMS ESI** Calcd for $C_{22}H_{27}NO_2$ $[M+Na]^+$: 360.1939, Found: 360.1936.




**3g**

(3S,5S)-1-(benzyloxy)-5-(4-methoxyphenyl)-3-methylpyrrolidin-2-one

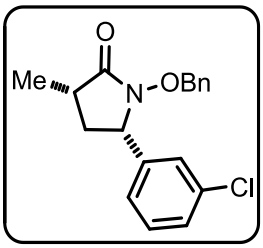
Colourless oil (m = 40 mg, 64%, ***d.r. = 8.3 : 1***); **$^{1}$H NMR** (400 MHz, $CDCl_3$, ppm): δ 7.34 - 7.23 (m, 5H), 7.17 - 7.11 (m, 2H), 6.94 - 6.87 (m, 2H), 5.02 (d, *J* = 9.9 Hz, 1H), 4.54 (d, *J* = 9.9 Hz, 1H), 4.38 - 4.33 (m, 1H), 3.82 (s, 3H), 2.55 - 2.44 (m, 2H), 1.61 (qdd, *J* = 10.7, 8.6, 3.5 Hz, 1H), 1.32 - 1.30 (d, *J* = 6.9Hz, 3H); **$^{13}$C NMR** (100 MHz, $CDCl_3$, ppm): δ 174.62, 159.59, 135.00, 131.00, 129.40, 128.72, 128.57, 128.27, 113.98, 113.87, 77.38, 61.40, 55.31, 35.19, 34.09, 16.39; **IR** *v* ($cm^{-1}$): 3032, 1715, 1612, 1514, 1454, 1368, 1248, 1225, 832, 730, 699; **HRMS ESI** Calcd for $C_{19}H_{21}NO_3$ $[M+Na]^+$: 334.1419, Found: 334.1424.




**3h**

(3S,5S)-1-(benzyloxy)-5-(3-fluorophenyl)-3-methylpyrrolidin-2-one

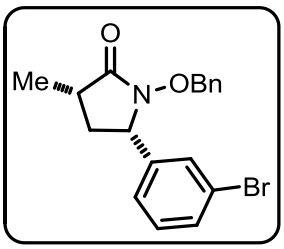
Colourless oil (m = 26 mg, 43%, ***d.r.*** > ***20 : 1***); **$^{1}$H NMR** (400 MHz, $CDCl_3$, ppm): δ 7.37 - 7.25 (m, 4H), 7.17 (dd, *J* = 7.6, 1.7 Hz, 2H), 7.10 - 6.97 (m, 3H), 5.08 (d, *J* = 10.1 Hz, 1H), 4.65 (d, *J* = 10.2 Hz, 1H), 4.35 (dd, *J* = 9.0, 6.7 Hz, 1H), 2.59 - 2.43 (m, 2H), 1.57 (m, 1H), 1.31 (d, *J* = 6.8 Hz, 3H); **$^{13}$C NMR** (100 MHz, $CDCl_3$, ppm): δ 174.77, 162.89 (d, *J* = 246.8 Hz), 142.15, 134.90, 130.27 (d, *J* = 8.2 Hz), 129.41, 128.75, 128.37, 123.01 (d, *J* = 2.9 Hz), 115.32 (d, *J* = 21.1 Hz), 114.21 (d, *J* = 22.0 Hz), 77.33, 61.48, 35.22, 34.02, 16.42; **IR** *v* ($cm^{-1}$): 3033, 2933, 2849, 1713, 1594, 1491, 1455, 1367, 1123, 731, 697; **HRMS ESI** Calcd for $C_{18}H_{18}FNO_2$ $[M+Na]^+$: 322.1219, Found: 322.1223.




**3i**

(3S,5S)-1-(benzyloxy)-5-(3-chlorophenyl)-3-methylpyrrolidin-2-one

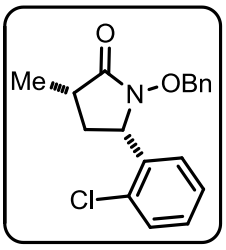
White solid (m = 37 mg, 59%, ***d.r.*** > ***20 : 1***); m.p.: 83.8 - 86.1 $^{o}$C; **$^{1}$H NMR** (400 MHz, $CDCl_3$, ppm): δ 7.33 - 7.25 (m, 6H), 7.19 - 7.15 (m, 3H), 5.07 (d, *J* = 10.1 Hz, 1H), 4.65 (d, *J* = 10.2 Hz, 1H), 4.32 (dd, *J* = 9.0, 6.7 Hz, 1H), 2.58 - 2.43 (m, 2H), 1.58 - 1.48 (m, 1H), 1.31 (d, *J* = 6.8 Hz, 3H); **$^{13}$C NMR** (100 MHz, $CDCl_3$, ppm): δ 174.69, 141.53, 134.88, 134.54, 130.00, 129.43, 128.77, 128.52, 128.38, 127.50, 125.48, 125.40, 77.36, 61.45, 35.19, 34.02, 16.40; **IR** *v* ($cm^{-1}$): 3032, 2970, 2933, 2875, 1715, 1454, 1124, 733, 698; **HRMS ESI** Calcd for $C_{18}H_{18}ClNO_2$ $[M+Na]^+$: 338.0924, Found: 338.0925.




**3j**

(3S,5S)-1-(benzyloxy)-5-(3-bromophenyl)-3-methylpyrrolidin-2-one

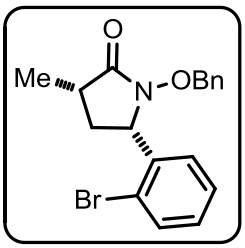
White solid (m = 28 mg, 39%, ***d.r.*** > ***20 : 1***); m.p.: 89.5 - 91.5 $^{o}$C; **$^{1}$H NMR** (400 MHz, $CDCl_3$, ppm): δ 7.49 - 7.46 (m, 1H), 7.41 (s, 1H), 7.32 - 7.27 (m, 4H), 7.26 (m, 1H), 7.24 - 7.16 (m, 2H), 5.07 (d, *J* = 10.1 Hz, 1H), 4.64 (d, *J* = 10.2 Hz, 1H), 4.29 (dd, *J* = 9.0, 6.7 Hz, 1H), 2.57 - 2.43 (m, 2H), 1.56 (m, 1H), 1.31 (d, *J* = 6.7 Hz, 3H); **$^{13}$C NMR** (100 MHz, $CDCl_3$, ppm): δ 174.66, 141.76, 134.87, 131.45, 130.44, 130.29, 129.44, 128.78, 128.39, 125.93, 122.68, 77.38, 61.40, 35.19, 34.02, 16.38; **IR** *v* ($cm^{-1}$): 3032, 2967, 2930, 2874, 2850, 1716, 1454, 1123, 788, 733, 697; **HRMS ESI** Calcd for $C_{18}H_{18}BrNO_2$ $[M+Na]^+$: 382.0419, Found: 382.0417.




**3k**

(3S,5S)-1-(benzyloxy)-5-(2-chlorophenyl)-3-methylpyrrolidin-2-one

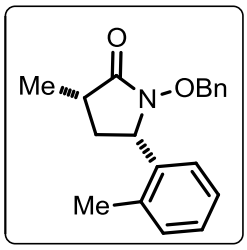
Colourless oil (m = 31 mg, 48%, d.r. = 11.1 ***: 1***); **$^{1}$H NMR** (400 MHz, $CDCl_3$): δ 7.38 - 7.35 (m, 2H), 7.32 - 7.29 (m, 3H), 7.28 - 7.22 (m, 4H), 5.07 (d, *J* = 10.4 Hz, 1H), 4.88 (dd, *J* = 16.3, 8.9 Hz, 2H), 2.68 (ddd, *J* = 12.8, 9.2, 7.5 Hz, 1H), 2.55 - 2.44 (m, 1H), 1.48 - 1.41 (m, 1H), 1.23 (d, *J* = 7.1 Hz, 3H); **$^{13}$C NMR** (100 MHz, $CDCl_3$, ppm): δ 174.20, 137.36, 134.92, 132.83, 129.75, 129.29, 128.93, 128.75, 128.45, 127.63, 127.24, 76.35, 58.00, 33.73, 30. 16.80; **IR** *v* ($cm^{-1}$): 3033, 2928, 2850, 1704, 1595, 1497, 1454, 1124, 733, 699; **HRMS ESI** Calcd for $C_{18}H_{18}ClNO_2$ $[M+Na]^+$: 338.0924, Found: 338.0923.




**3l**

(3S,5S)-1-(benzyloxy)-5-(2-bromophenyl)-3-methylpyrrolidin-2-one

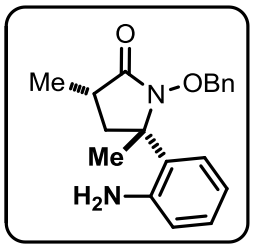
Colourless oil (m = 29 mg, 40%, ***d.r.*** = ***3.7 : 1***); **$^{1}$H NMR** (400 MHz, $CDCl_3$, ppm): δ 7.54 (m, 1H), 7.35 (m, 2H), 7.34 - 7.29 (m, 3H), 7.29 - 7.26 (m, 1H), 7.20 - 7.15 (m, 2H), 5.09 (d, *J* = 10.5 Hz, 1H), 5.03 (d, *J* = 11.1 Hz, 1H), 4.86 (dd, *J* = 15.4, 8.9 Hz, 2H), 2.73 - 2.65 (m, 1H), 2.55 - 2.45 (m, 1H), 1.41 (m, 1H), 1.24 (d, *J* = 7.2 Hz, 3H); **$^{13}$C NMR** (100 MHz, $CDCl_3$, ppm): δ 174.16, 139.45, 134.89, 133.00, 129.29, 129.24, 128.76, 128.47, 127.86, 127.70, 122.67, 76.78, 60.35, 33.85, 33.55, 16.83; **IR** *v* ($cm^{-1}$): 3033, 2961, 2875, 2850, 1711, 1590, 1497, 1381, 1454, 1124, 732, 699, 541; **HRMS ESI** Calcd for $C_{18}H_{18}BrNO_2$ $[M+Na]^+$: 382.0419, Found: 382.0412.




**3m**

(3S,5S)-1-(benzyloxy)-3-methyl-5-(o-tolyl)pyrrolidin-2-one

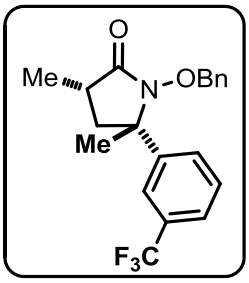
White solid (m = 42 mg, 71%, ***d.r. = 5.9 : 1***); m.p.: 90.6 - 92.0 $^{o}$C; **$^{1}$H NMR** (400 MHz, $CDCl_3$, ppm): δ 7.34 (m, 2H), 7.31 - 7.24 (m, 4H), 7.22 (dd, *J* = 7.1, 1.7 Hz, 1H), 7.20 - 7.14 (m, 3H), 5.09 (d, *J* = 10.4 Hz, 1H), 4.71 (d, *J* = 10.3 Hz, 1H), 4.63 (t, *J* = 7.6 Hz, 1H), 2.59 - 2.44 (m, 2H), 2.21 (s, 3H), 1.53 - 1.45 (m, 1H), 1.28 (d, *J* = 6.8 Hz, 3H); **$^{13}$C NMR** (100 MHz, $CDCl_3$, ppm): δ 174.38, 137.53, 135.65, 134.78, 130.76, 129.45, 129.32, 128.60, 128.37, 127.64, 126.41, 126.25, 125.98, 76.80, 57.86, 34.10, 33.82, 18.98, 16.64; **IR** *v* ($cm^{-1}$): 3030, 2968, 2931, 2874, 1714, 1606, 1494, 1454, 1370, 1124, 755, 699; **HRMS ESI** Calcd for $C_{19}H_{21}NO_2$ $[M+Na]^+$: 318.1470, Found: 318.1477.




**3n**

(3S,5S)-5-(2-aminophenyl)-1-(benzyloxy)-3,5-dimethylpyrrolidin-2-one

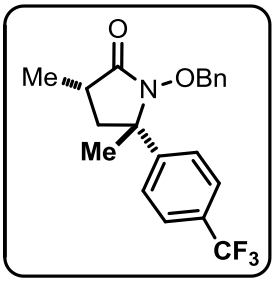
Yellow oil (m = 40 mg, 65%, ***d.r.*** > ***20 : 1***); **$^{1}$H NMR** (400 MHz, $CDCl_3$, ppm): δ 8.99 (s, 1H), 7.27 (dd, *J* = 8.4, 5.3 Hz, 5H), 7.13 (t, *J* = 7.7 Hz, 1H), 7.03 (dd, *J* = 7.4, 1.2 Hz, 1H), 6.79 (t, *J* = 7.3 Hz, 1H), 6.54 (d, *J* = 8.1 Hz, 1H), 5.28 (s, 1H), 4.95 - 4.79 (m, 3H), 4.17 (s, 1H), 3.82 (s, 1H), 1.97 (s, 3H), 1.47 (d, *J* = 6.9 Hz, 3H); **$^{13}$C NMR** (100 MHz, $CDCl_3$, ppm): δ 170.92, 142.88, 134.70, 130.14, 129.30, 128.69, 128.49, 128.26, 128.38, 128.02, 127.99, 118.71, 116.17, 111.63, 78.25, 54.30, 34.02, 32.95, 24.13, 19.52; **IR** *v* ($cm^{-1}$): 3032, 2973, 2934, 1663, 1600, 1507, 1452, 1372, 909, 747; **HRMS ESI** Calcd for $C_{19}H_{22}N_2O_2$ $[M+Na]^+$: 333.1573, Found:333.1578.




**3o**

(3S,5S)-1-(benzyloxy)-3,5-dimethyl-5-(3-(trifluoromethyl)phenyl)pyrrolidin-2-one

Colourless oil (m = 35 mg, 47%, ***d.r***. > ***20 : 1***); **$^{1}$H NMR** (400 MHz, $CDCl_3$, ppm): δ7.71 (s, 1H), 7.67 (d, *J* = 7.9 Hz, 1H), 7.57 (d, *J* = 7.7 Hz, 1H), 7.48 (t, *J* = 7.8 Hz, 1H), 7.26 (m, 3H), 7.19 (m, 2H), 5.09 (d, *J* = 9.7 Hz, 1H), 4.72 (d, *J* = 9.7 Hz, 1H), 2.67 - 2.57 (m, 1H), 2.39 (dd, *J* = 12.8, 9.3 Hz, 1H), 1.91 (dd, *J* = 12.8, 7.9 Hz, 1H), 1.68 (s, 3H), 1.25 (d, *J* = 7.2 Hz, 3H); **$^{13}$C NMR** (100 MHz, $CDCl_3$, ppm): δ 174.66, 145.39, 134.90, 130.88 (d, *J* = 32 Hz), 129.72, 129.20, 129.03, 128.60, 128.31, 124.44 (d, *J* =4 Hz), 124.01 (d, *J* =270 Hz), 123.01 (d, *J* =4 Hz), 78.30, 64.35, 42.14, 32.65, 22.75, 16.26; **IR** *v* ($cm^{-1}$): 3028, 2969, 2930, 1713, 1610, 1454, 1378, 1330, 1245, 1140, 710; **HRMS ESI** Calcd for $C_{20}H_{20}FNO_2$ $[M+Na]^+$: 386.1338, Found:386.1348.

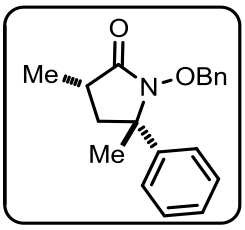



**3p**

(3S,5S)-1-(benzyloxy)-3,5-dimethyl-5-(4-(trifluoromethyl)phenyl)pyrrolidin-2-one

Colourless oil (m = 40 mg, 54%, ***d.r***. > ***20 : 1***); **$^{1}$H NMR** (400 MHz, $CDCl_3$, ppm): δ 7.57 (dd, *J* = 21.7, 8.4 Hz, 4H), 7.28 - 7.20 (m, 5H), 5.06 (d, *J* = 9.8 Hz, 1H), 4.85 (d, *J* = 9.8 Hz, 1H), 2.61 (dp, *J* = 9.2, 7.2 Hz, 1H), 2.38 (dd, *J* = 12.8, 9.3 Hz, 1H), 1.91 (dd, *J* = 12.9, 7.3 Hz, 1H), 1.67 (s, 3H), 1.21 (d, *J* = 7.2 Hz, 3H); **$^{13}$C NMR** (100 MHz, $CDCl_3$, ppm): δ 174.60, 148.53, 135.00, 129.74 (d, *J* = 32 Hz), 129.24, 128.64, 128.34, 126.57, 125.42 (d, *J* = 4 Hz), 124.00 (d, *J* = 274 Hz), 122.62, 78.25, 64.59, 42.25, 32.65, 23.25, 16.79; **IR** *v* ($cm^{-1}$): 3024, 2974, 2930, 1718, 1618, 1456, 1374, 1333, 1243, 1125, 702; **HRMS ESI** Calcd for $C_{20}H_{20}FNO_2$ $[M+Na]^+$:

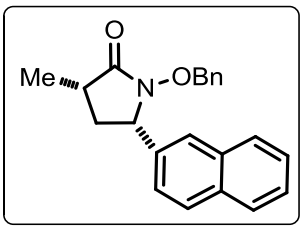
386.1338, Found:386.1342.




**3q**

(3S,5S)-1-(benzyloxy)-3,5-dimethyl-5-phenylpyrrolidin-2-one

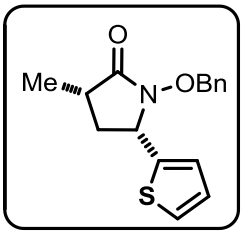
Colourless oil (m = 28 mg, 47%, ***d.r***. > ***20 : 1***); **$^{1}$H NMR** (400 MHz, $CDCl_3$, ppm): δ 7.53 - 7.47 (m, 2H), 7.38 (dd, *J* = 10.2, 4.9 Hz, 2H), 7.32 (dd, *J* = 5.9, 3.7 Hz, 1H), 7.27 (dd, *J* = 6.4, 3.4 Hz, 3H), 7.19 (m, 2H), 5.05 (d, *J* = 9.5 Hz, 1H), 4.67 (d, *J* = 9.5 Hz, 1H), 2.67 - 2.55 (m, 1H), 2.37 (dd, *J* = 12.8, 9.3 Hz, 1H), 1.98 (dd, *J* = 12.8, 7.9 Hz, 1H), 1.67 (s, 3H), 1.25 (d, *J* = 7.2 Hz, 3H); **$^{13}$C NMR** (100 MHz, $CDCl_3$, ppm): δ 174.42, 143.98, 135.02, 129.30, 128.53, 128.48, 128.40, 128.23, 127.55, 126.32, 78.27, 64.43, 42.20, 32.65, 22.70, 16.73; **IR** *v* ($cm^{-1}$): 3032, 2974, 2934, 2876, 1708, 1602, 1497, 1380, 1115, 732, 700; **HRMS ESI** Calcd for $C_{19}H_{21}NO_2$ $[M+Na]^+$: 318.1470, Found: 318.1469.




**3r**

(3S,5S)-1-(benzyloxy)-3-methyl-5-(naphthalen-2-yl)pyrrolidin-2-one

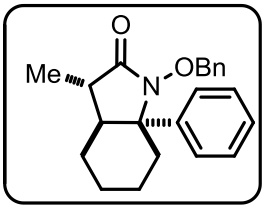
Colourless oil (m = 32 mg, 48%, ***d.r***. > ***20 : 1***); **$^{1}$H NMR** (400 MHz, $CDCl_3$, ppm): δ 7.91 (m, 1H), 7.83 (d, *J* = 8.1 Hz, 2H), 7.56 - 7.46 (m, 4H), 7.29 - 7.15 (m, 5H), 5.17 (d, *J* = 6.9 Hz, 1H), 5.12 (d, *J* = 10.4 Hz, 1H), 4.83 (dd, *J* = 10.4, 7.3Hz, 1H), 2.78 - 2.68 (m, 1H), 2.64 - 2.53 (m, 1H), 1.69 (m, 1H), 1.26 (d, *J* = 7.1 Hz, 3H); **$^{13}$C NMR** (100 MHz, $CDCl_3$, ppm): δ 174.34, 135.05, 133.89, 130.64, 129.38, 129.11, 128.65, 128.34, 126.23, 125.69, 125.50, 122.31, 76.52, 34.34, 33.79, 30.96, 17.01; **IR** *v* ($cm^{-1}$): 3034, 2968, 2931, 2875, 2850, 1708, 1454, 1374, 1122, 732, 699; **HRMS ESI** Calcd for $C_{22}H_{21}NO_2$ $[M+Na]^+$: 354.1470, Found: 354.1462.




**3s**

(3S,5S)-1-(benzyloxy)-3-methyl-5-(thiophen-2-yl)pyrrolidin-2-one

Colourless oil (m = 25 mg, 44%, ***d.r.*** > ***20 : 1***); **$^{1}$H NMR** (400 MHz, $CDCl_3$, ppm): δ 7.37 - 7.34 (m, 1H), 7.33 (s, 1H), 7.29 (m, 2H), 7.21 (m, 2H), 7.10 (m, 1H), 7.02 (m, 1H), 5.03 (d, *J* = 9.8 Hz, 1H), 4.77 - 4.69 (m, 1H), 4.50 (d, *J* = 9.7 Hz, 1H), 2.72 - 2.59 (m, 1H), 2.53 - 2.41 (m, 1H), 1.79 (dt, *J* = 12.6, 9.6 Hz, 1H), 1.33 (d, *J* = 7.0 Hz, 3H); **$^{13}$C NMR** (100 MHz, $CDCl_3$, ppm): δ 174.41, 142.62, 134.92, 129.48,129.44, 128.59, 128.39, 128.29, 127.42, 127.36, 125.90, 78.07, 57.19, 35.39, 34.20, 16.26; **IR** *v* ($cm^{-1}$): 3033, 2966, 2930, 1716, 1608, 1498, 1454, 1375, 1247, 1003, 910, 731; **HRMS ESI** Calcd for $C_{16}H_{17}NO_2S$ $[M+Na]^+$: 310.0872, Found:310.0881.

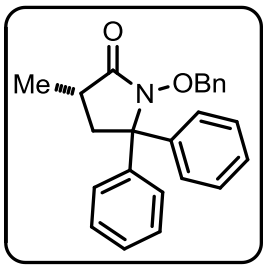



**3t**

(3S,7aS)-1-(benzyloxy)-3-methyl-7a-phenyloctahydro-2H-indol-2-one

Colourless oil (m = 32 mg, 48%, ***d.r.*** > ***20 : 1***); **$^{1}$H NMR** (400 MHz, $CDCl_3$, ppm): δ 7.66 - 7.61 (m, 2H), 7.43 (dd, *J* = 10.1, 4.8 Hz, 2H), 7.39 - 7.34 (m, 1H), 7.27 - 7.22 (m, 3H), 7.06 (dd, *J* = 7.1, 2.4 Hz, 2H), 4.90 (d, *J* = 9.3 Hz, 1H), 4.26 (d, *J* = 9.3 Hz, 1H), 2.76 (d, *J* = 14.5 Hz, 1H), 2.46 (m, 1H), 2.36 (m, 1H), 1.70 (m, 2H), 1.62 - 1.53 (m, 3H), 1.48 (ddd, *J* = 10.2, 7.4, 3.7 Hz, 1H), 1.38 (m, 1H), 1.29 (d, *J* = 6.8 Hz, 3H); **$^{13}$C NMR** (100 MHz, $CDCl_3$, ppm): δ 175.06, 140.30, 134.97, 129.27, 128.37, 128.36, 128.17, 127.85, 127.80, 78.47, 65.27, 44.81, 36.26, 30.66, 23.18, 22.04, 20.80, 14.64; **IR** *v* ($cm^{-1}$): 3031, 2932, 1717, 1602, 1497, 1452, 1373, 1123, 744, 699; **HRMS ESI** Calcd for $C_{22}H_{25}NO_2$ $[M+Na]^+$: 358.1783, Found:

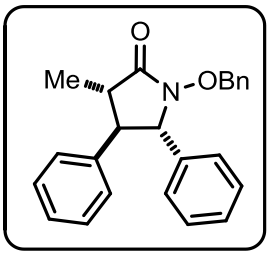
358.1770.




**3u**

(S)-1-(benzyloxy)-3-methyl-5,5-diphenylpyrrolidin-2-one

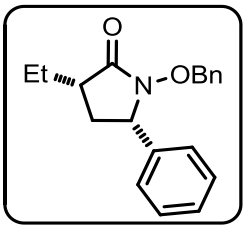
Colourless oil (m = 28 mg, 38%); **$^{1}$H NMR** (400 MHz, $CDCl_3$, ppm): δ 7.48 - 7.44 (m, 2H), 7.40 - 36 (m, 3H), 7.33 - 7.21 (m, 8H), 7.11 (m, 2H), 4.81 (d, *J* = 8.9 Hz, 1H), 4.09 (d, *J* = 8.9 Hz, 1H), 2.73 - 2.67 (dd, *J* = 8.5, 9.2 Hz, 1H), 2.60 (dd, *J* = 12.0, 10.0 Hz, 1H), 2.43 - 2.36 (m, 1H), 1.29 (d, *J* = 7.0 Hz, 3H); **$^{13}$C NMR** (100 MHz, $CDCl_3$, ppm): δ 173.46, 142.51, 134.43, 129.54, 128.85, 128.48, 128.23, 128.19, 128.15, 127.51, 127.31, 78.20, 70.92, 40.95, 32.11, 15.58; **IR** *v* ($cm^{-1}$): 3033, 2965, 2931, 2875, 2850, 1711, 1600, 1495, 1448, 1375, 1113, 731,699; **HRMS ESI** Calcd for $C_{24}H_{23}NO_2$ $[M+Na]^+$: 380.1626, Found: 380.1630.




**3v**

(3S,4R,5S)-1-(benzyloxy)-3-methyl-4,5-diphenylpyrrolidin-2-one

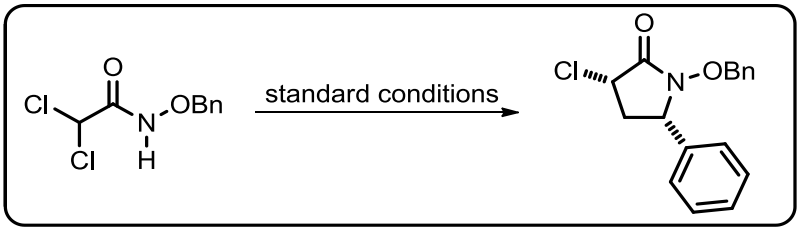
Colourless oil (m = 11 mg, 15%, ***d.r.*** > ***20 : 1***); **$^{1}$H NMR** (400 MHz, $CDCl_3$, ppm): δ 7.27 - 7.25 (m, 6H), 7.24 - 7.21 (m, 4H), 7.19 - 7.15 (m, 4H), 6.99 - 6.97 (m, 2H), 5.17 (d, *J* = 10.1 Hz, 1H), 4.68 (d, *J* = 10.1 Hz, 1H), 4.34 (d, *J* = 8.9 Hz, 1H), 2.88 - 2.85 (t, *J* = 6.0 Hz, 1H), 2.61 (dq, *J* = 10.0, 7.0 Hz, 1H), 1.28 (d, *J* = 7.0 Hz, 3H); **$^{13}$C NMR** (100 MHz, $CDCl_3$, ppm): δ 173.21, 129.52, 128.71, 128.66, 128.54, 128.37, 128.33, 127.75, 127.52, 127.43, 77.00 , 69.36, 54.93, 42.21, 14.71; **IR** *v* ($cm^{-1}$): 3032, 2961, 2919, 1708, 1601, 1497, 1455, 1374, 909, 732; **HRMS ESI** Calcd for $C_{24}H_{23}NO_2$ $[M+Na]^+$: 380.1621, Found:380.1624.




**3w**

(3S,5S)-1-(benzyloxy)-3-ethyl-5-phenylpyrrolidin-2-one

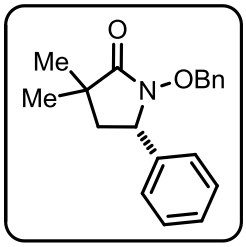
Colourless oil (m = 38 mg, 64%, ***d.r. = 11.1 : 1***); **$^{1}$H NMR** (400 MHz, $CDCl_3$, ppm): δ 7.43 - 7.35 (m, 3H), 7.33 (dd, *J* = 7.7, 1.7 Hz, 2H), 7.29 - 7.23 (m, 3H), 7.13 (dd, *J* = 7.6, 1.7 Hz, 2H), 5.05 (d, *J* = 10.0 Hz, 1H), 4.57 (d, *J* = 9.9 Hz, 1H), 4.40 (dd, *J* = 9.2, 6.9 Hz, 1H), 2.50 (ddd, *J* = 12.4, 9.1, 6.9 Hz, 1H), 2.39 (ddd, *J* = 18.3, 9.1, 4.1 Hz, 1H), 1.99 (qdd, *J* = 10.9, 6.8, 3.7 Hz, 1H), 1.66 (m, 1H), 1.60 - 1.50 (m, 1H), 0.99 (t, *J* = 7.5 Hz, 3H); **$^{13}$C NMR** (100 MHz, $CDCl_3$, ppm): δ 174.25, 139.48, 134.95, 129.50, 129.40, 128.76, 128.69, 128.59, 128.38, 128.28, 127.41, 61.93, 40.34, 32.51, 30.96, 24.12, 10.97; **IR** *v* ($cm^{-1}$): 3034, 2964, 2934, 2877, 1708, 1604, 1497, 1458, 1367, 1129, 732, 699; **HRMS ESI** Calcd for $C_{19}H_{21}NO_2$ $[M+Na]^+$: 318.1470, Found: 318.1471.




**3x**

(3S,5S)-1-(benzyloxy)-3-chloro-5-phenylpyrrolidin-2-one

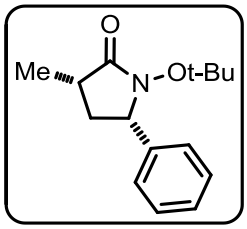
Colourless oil (m = 22 mg, 36%, ***d.r.*** > ***20 : 1***); **$^{1}$H NMR** (400 MHz, $CDCl_3$, ppm): δ 7.43 (m, 3H), 7.38 - 7.34 (m, 2H), 7.33 - 7.26 (m, 3H), 7.13 (d, *J* = 6.5 Hz, 2H), 5.12 (d, *J* = 10.0 Hz, 1H), 4.57 (d, *J* = 10.0 Hz, 1H), 4.43 (m, 2H), 3.01 (ddd, *J* = 13.9, 9.0, 7.3 Hz, 1H), 2.33 - 2.23 (m, 1H); **$^{13}$C NMR** (100 MHz, $CDCl_3$, ppm): δ 166.54, 137.67, 134.38, 129.51, 129.36, 129.10, 128.98, 128.93, 128.75, 128.43, 127.90, 127.60, 77.70, 77.20, 61.05, 50.81, 37.03; **IR** *v* ($cm^{-1}$): 3033, 1728, 1604, 1497, 1457, 1368, 1124, 699; **HRMS ESI** Calcd for $C_{17}H_{16}ClNO_2$ $[M+Na]^+$: 324.0762, Found: 324.0766.




**3y**

(S)-1-(benzyloxy)-3,3-dimethyl-5-phenylpyrrolidin-2-one

White solid (m = 28 mg, 47%); m.p.: 96.2 - 98.6 $^{o}$C; **$^{1}$H NMR** (400 MHz, $CDCl_3$, ppm): δ 7.39 (m, 3H), 7.32 - 7.26 (m, 5H), 7.17 (dd, *J* = 7.7, 1.7 Hz, 2H), 5.07 (d, *J* = 10.2 Hz, 1H), 4.62 (d, *J* = 10.2 Hz, 1H), 4.40 (dd, *J* = 8.5, 7.3 Hz, 1H), 2.17 (dd, *J* = 12.9, 7.1 Hz, 1H), 1.82 (dd, *J* = 12.8, 8.7 Hz, 1H), 1.27 (s, 3H), 1.19 (s, 3H); **$^{13}$C NMR** (100 MHz, $CDCl_3$, ppm): δ 176.52, 139.40, 134.97, 129.54, 128.70, 128.69, 128.31, 128.27, 127.28, 77.06, 60.48, 42.31, 37.83, 25.58; **IR** *v* ($cm^{-1}$): 3033, 2964, 2929, 2871, 1710, 1604, 1497, 1457, 1388, 1366, 1120, 732, 699; **HRMS ESI** Calcd for $C_{19}H_{21}NO_2$ $[M+Na]^+$: 318.1470, Found: 318.1474.



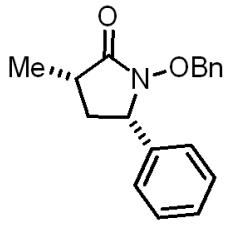

**3z**

(3S,5S)-1-(tert-butoxy)-3-methyl-5-phenylpyrrolidin-2-one

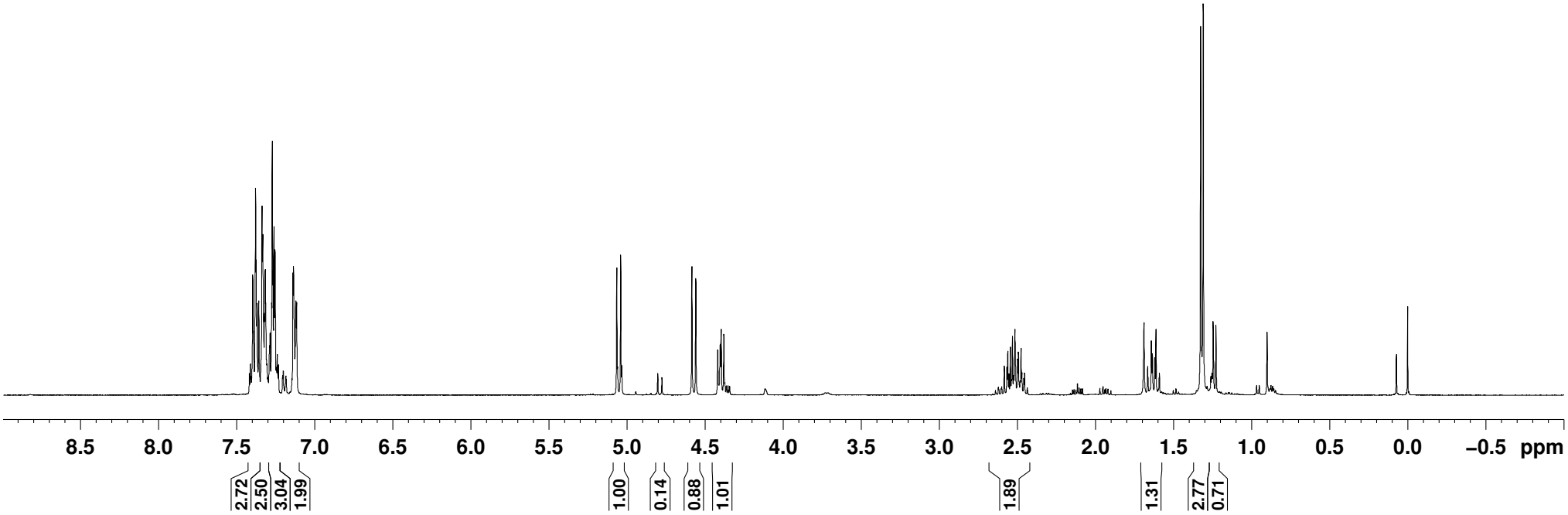
Colourless oil (m = 18 mg, 36%, ***d.r.*** > ***20 : 1***); **$^{1}$H NMR** (400 MHz, $CDCl_3$, ppm): δ 7.35 (d, *J* = 7.5 Hz, 2H), 7.31 (dd, *J* = 5.3, 1.8 Hz, 1H), 7.26 (dd, *J* = 5.6, 4.2 Hz, 2H), 4.75 (t, *J* = 7.0 Hz, 1H), 2.70 (m, 1H), 2.60 - 2.50 (m, 1H), 1.67 (m, 1H), 1.23 (d, *J* = 7.2 Hz, 3H), 1.15 (s, 9H); **$^{13}$C NMR** (100 MHz, $CDCl_3$, ppm): δ 176.35, 140.56, 128.47, 127.82, 127.34, 83.55, 63.20, 34.95, 32.68, 27.58, 17.24; **IR** *v* ($cm^{-1}$): 3033, 2976, 2931, 1714, 1604, 1495, 1457, 1388, 1366, 1122, 700; **HRMS ESI** Calcd for $C_{15}H_{21}NO_2$ $[M+Na]^+$: 270.1470, Found: 270.1476.

zhang-100

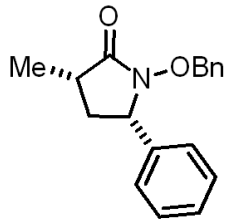
7.418 7.411 7.407 7.396 7.392 7.389 7.378 7.373 7.369 7.365 7.358 7.336 7.331 7.321 7.316 7.313 7.305 7.300 7.287 7.285 7.275 7.266 7.260 7.257 7.253 7.245 7.243 7.239 7.235 7.231 7.205 7.200 7.195 7.184 7.145 7.138 7.135 7.120 7.115 5.064 5.059 5.040 5.033 4.802 4.776 4.583 4.559 4.418 4.402 4.396 4.379 4.373 4.362 4.352 4.342 2.621 2.599 2.583 2.566 2.561 2.553 2.544 2.537 2.531 2.523 2.515 2.498 2.493 2.481 2.475 2.470 2.458 2.453 2.436 1.688 1.665 1.642 1.634 1.619 1.612 1.590 1.326 1.309 1.260 1.253 1.246 1.243 1.228 0.000



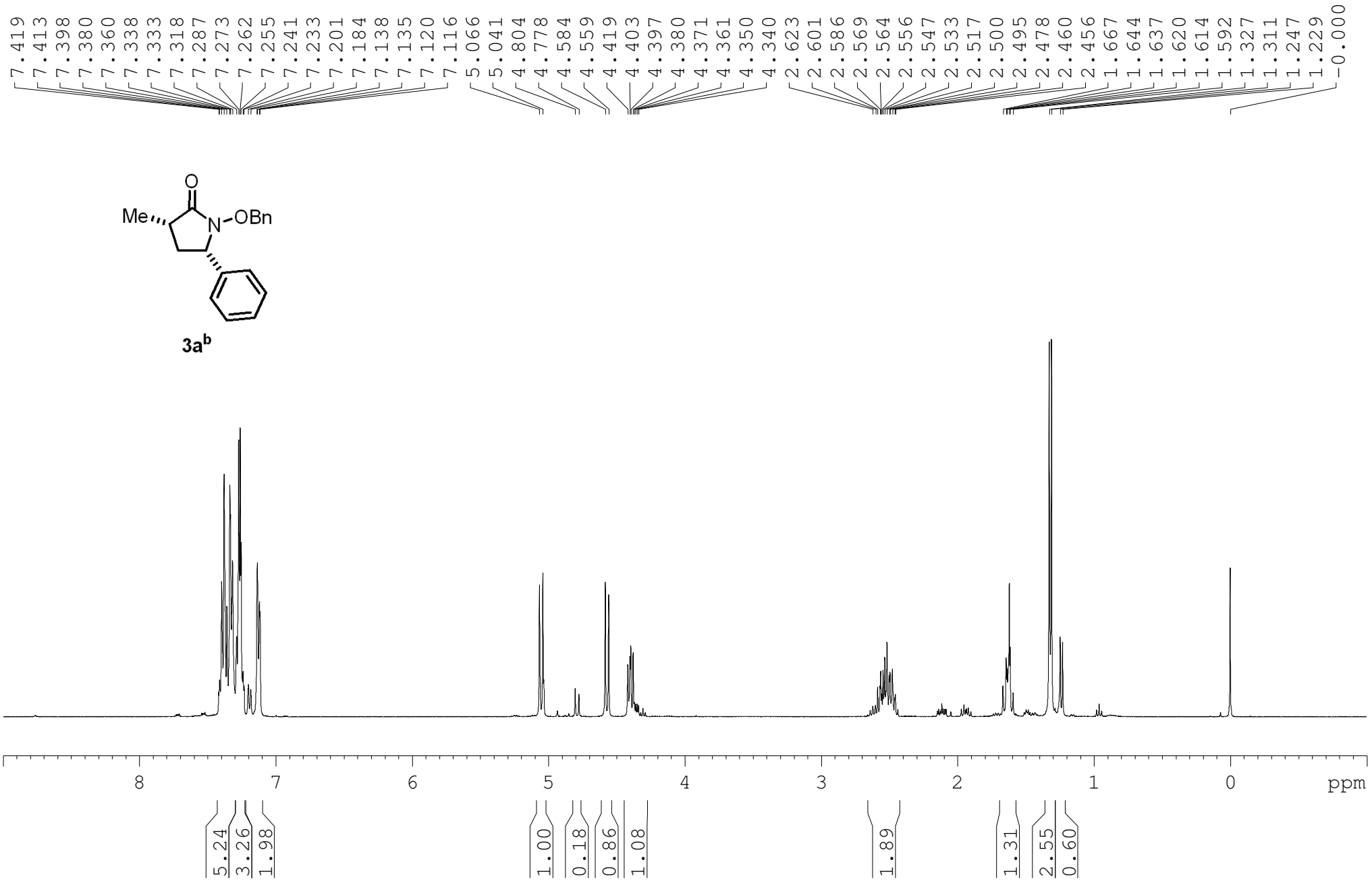

**3a**[a]



zhang-100




**3a**[a]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7.255
7.241
7.233
7.201
7.184
7.138
7.135
7.120
7.116
5.066
5.041
4.804
4.778
4.584
4.559
4.419
4.403
4.397
4.380
4.371
4.361
4.350
4.340
2.623
2.601
2.586
2.569
2.564
2.556
2.547
2.533
2.517
2.500
2.495
2.478
2.460
2.456
1.667
1.644
1.637
1.620
1.614
1.592
1.327
1.311
1.247
1.229
0.000
O
Me
N
OBn
3a[b]
8
7
6
5
4
3
2
1
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ppm
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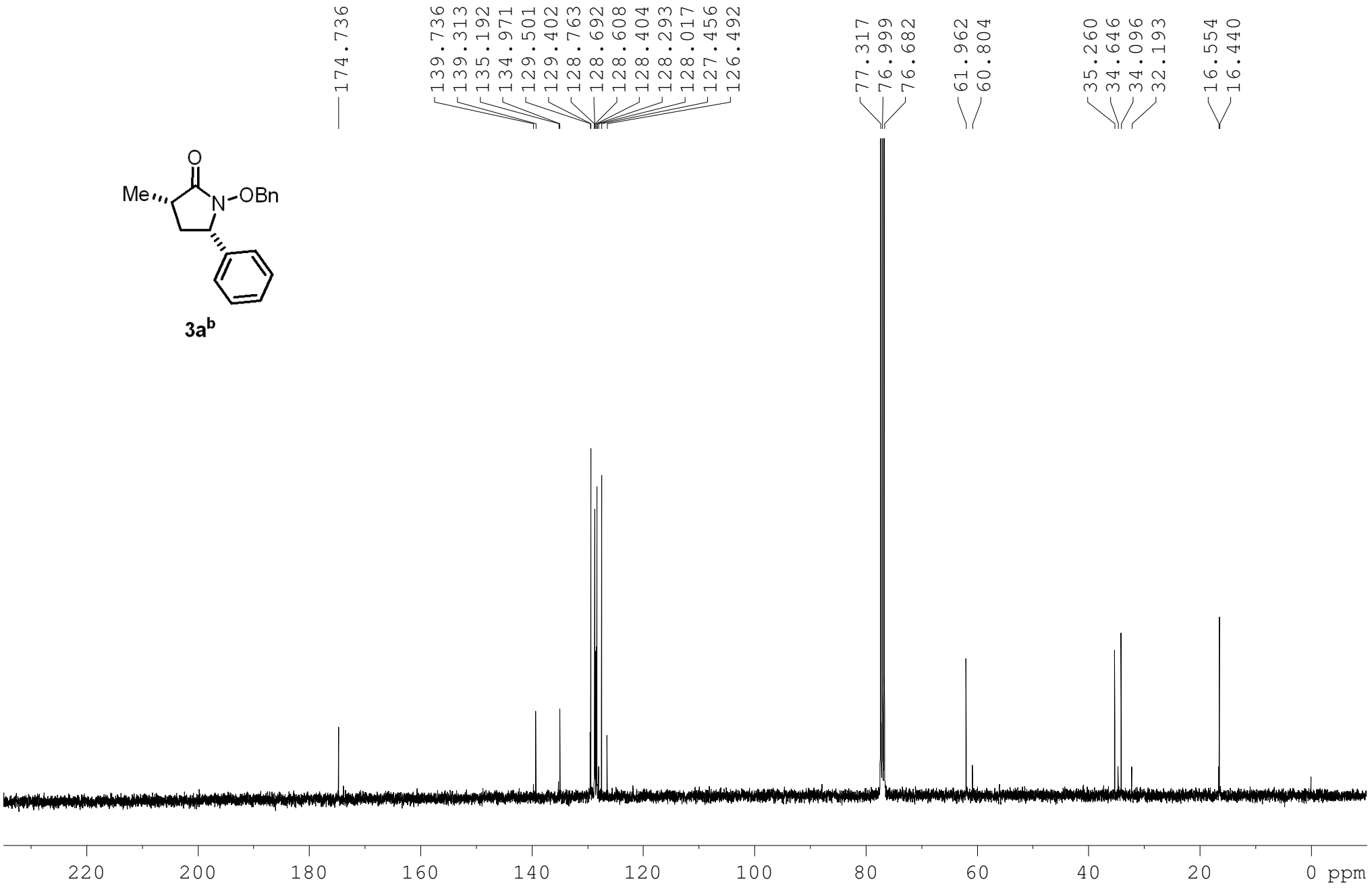
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128.293
128.017
127.456
126.492
77.317
76.999
76.682
61.962
60.804
35.260
34.646
34.096
32.193
16.554
16.440
Me
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OBn
O
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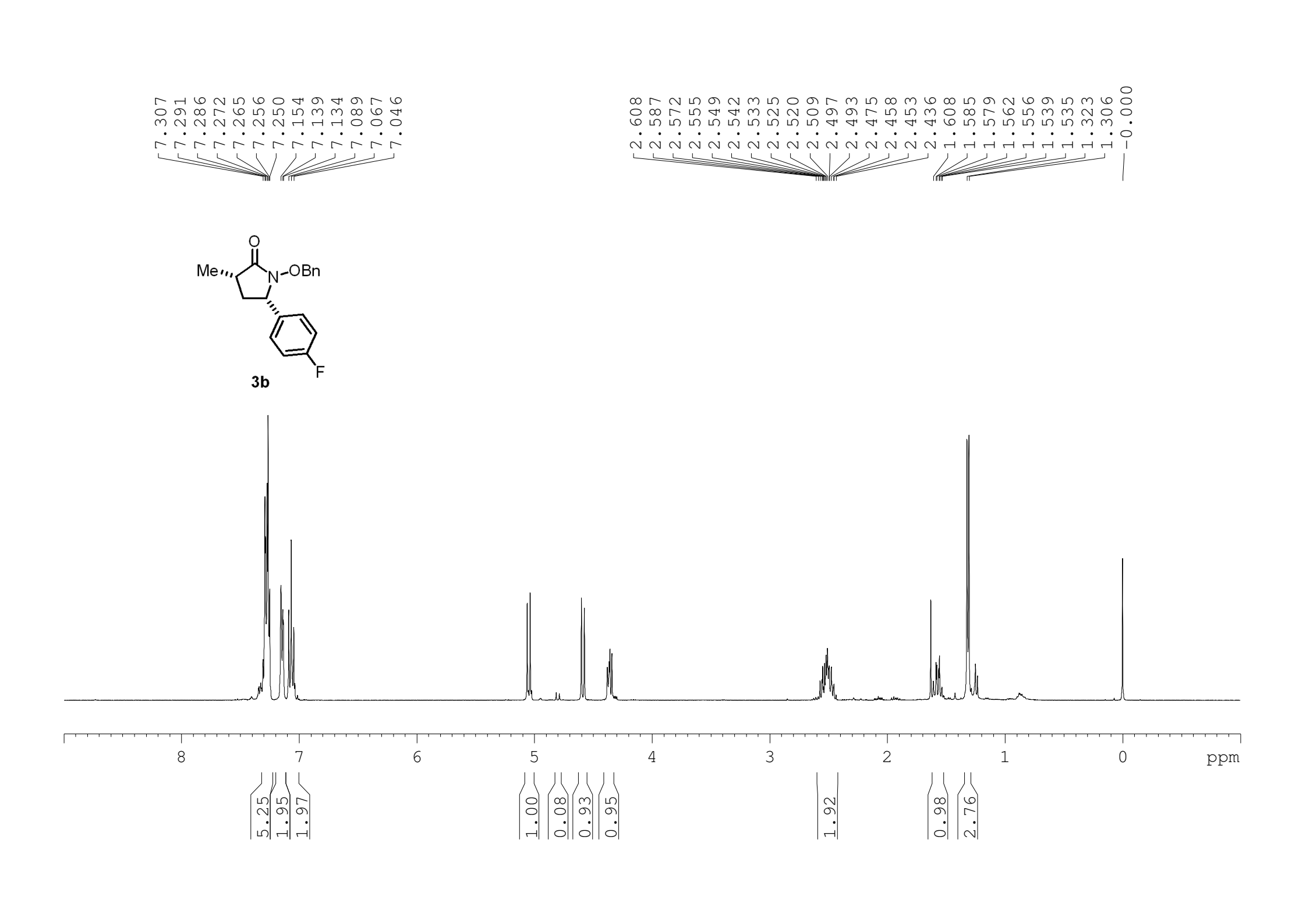
200
180
160
140
120
100
80
60
40
20
0 ppm

**3a[b]**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7.256
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7.046
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2.587
2.572
2.555
2.549
2.542
2.533
2.525
2.520
2.509
2.497
2.493
2.475
2.458
2.453
2.436
1.608
1.585
1.579
1.562
1.556
1.539
1.535
1.323
1.306
0.000
O
Me
N
OBn
F
3b
8
7
6
5
4
3
2
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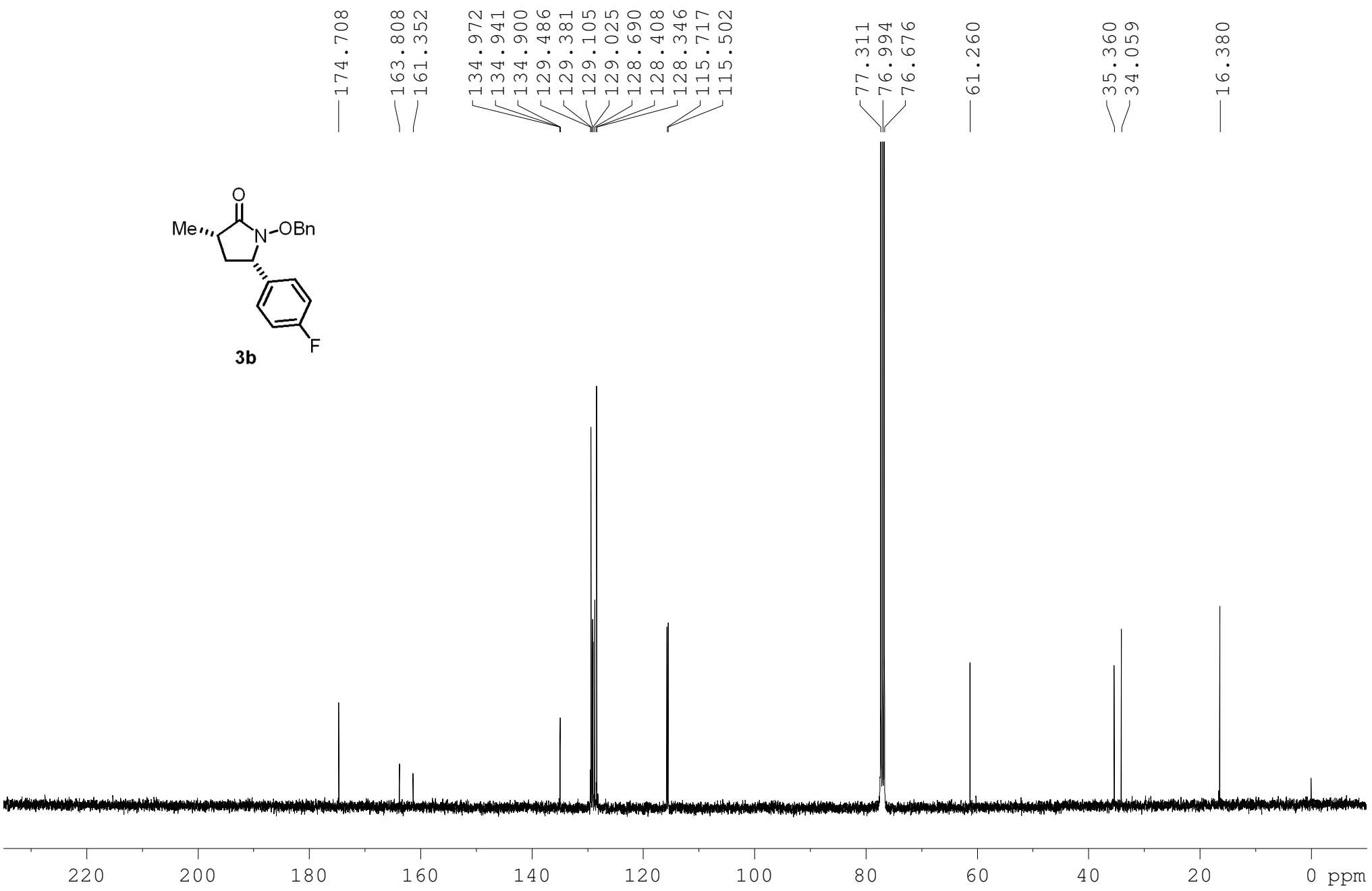
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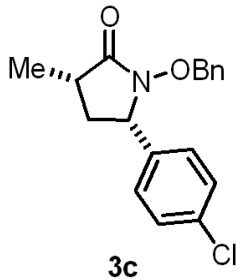
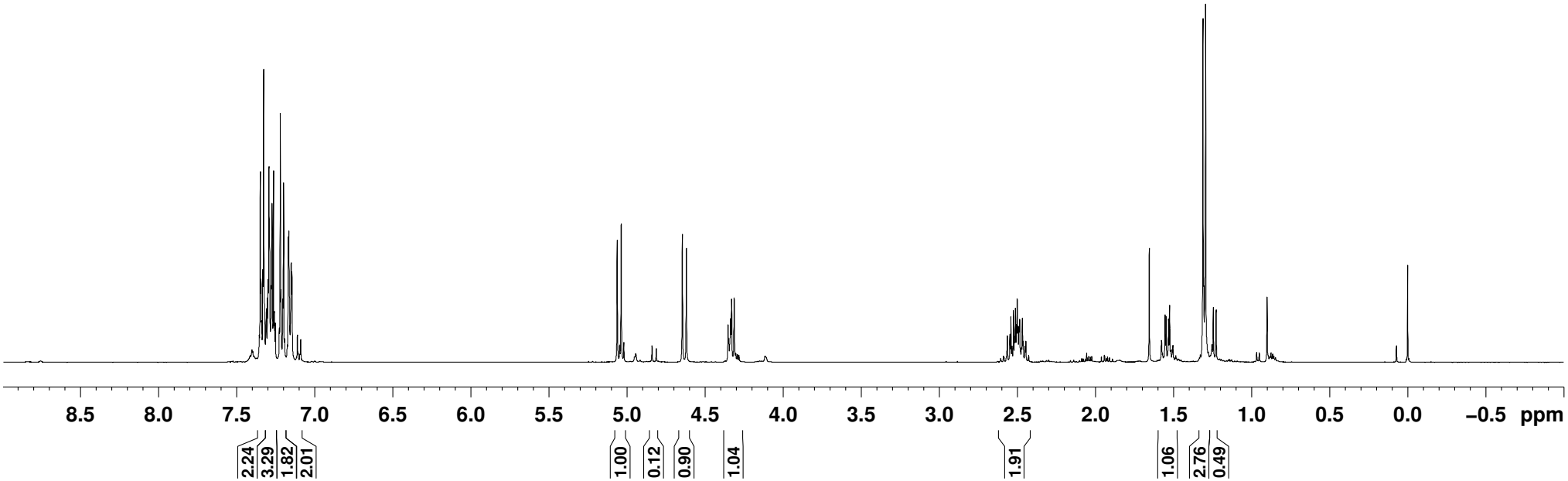
134.941
134.900
129.486
129.381
129.105
129.025
128.690
128.408
128.346
115.717
115.502
77.311
76.994
76.676
61.260
35.360
34.059
16.380
O
Me
N
OBn
F
3b
220
200
180
160
140
120
100
80
60
40
20
0 ppm

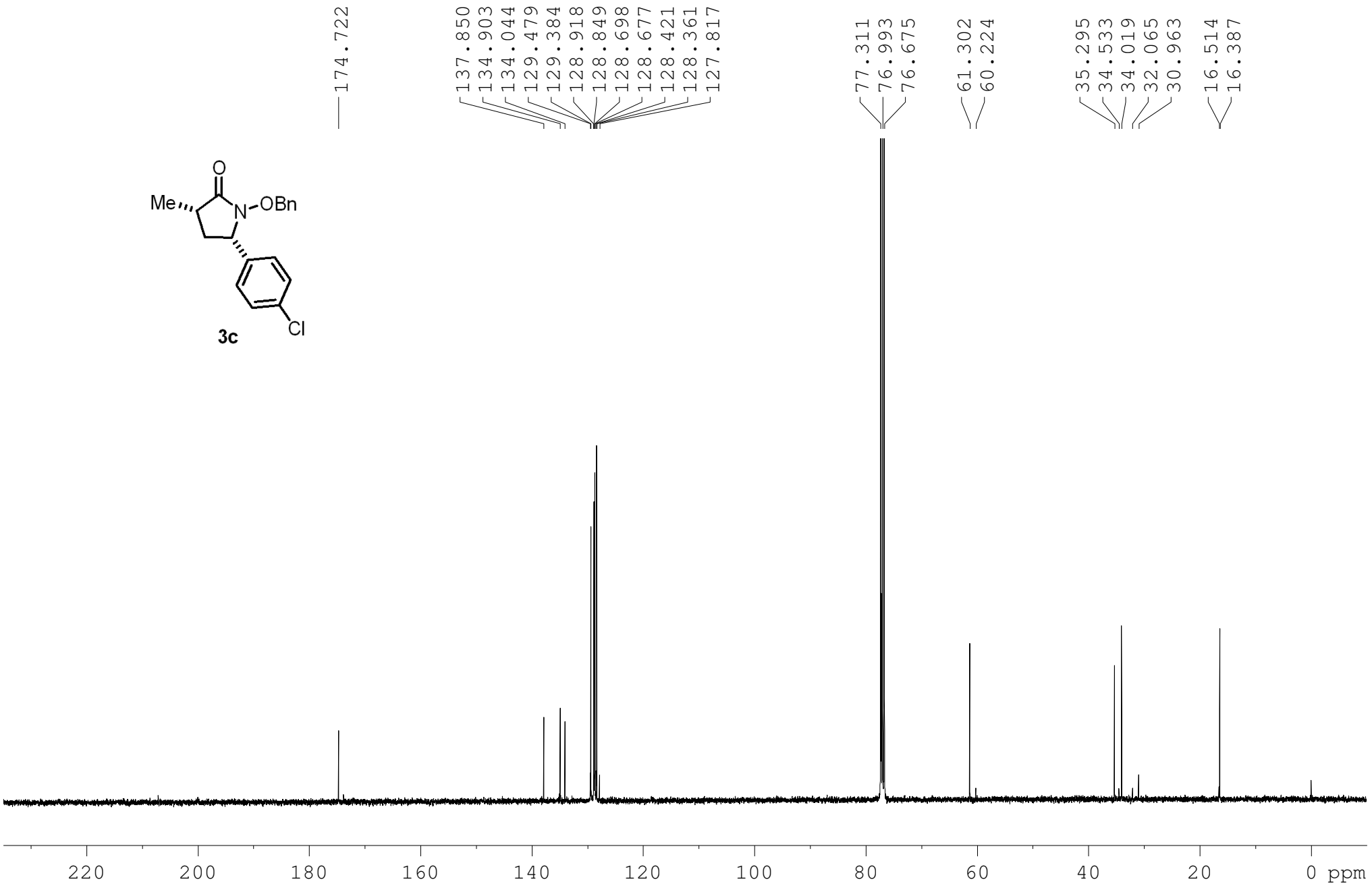
zhang180414-1

7.412 7.401 7.396 7.387 7.354 7.348 7.343 7.340 7.331 7.327 7.310 7.308 7.301 7.297 7.292 7.277 7.273 7.263 7.258 7.251 7.226 7.220 7.215 7.203 7.199 7.192 7.175 7.169 7.165 7.150 7.145 7.110 7.105 7.093 7.089 5.062 5.047 5.037 5.020 4.944 4.839 4.812 4.645 4.619 4.352 4.336 4.330 4.313 4.303 4.293 4.282 4.116 2.588 2.570 2.564 2.547 2.541 2.534 2.524 2.518 2.512 2.506 2.501 2.496 2.488 2.485 2.473 2.467 2.462 2.450 2.445 2.428 1.577 1.570 1.554 1.547 1.531 1.524 1.518 1.508 1.503 1.485 1.311 1.306 1.294 1.253 1.245 1.227 0.000




**3c**

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127.817
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76.993
76.675
61.302
60.224
35.295
34.533
34.019
32.065
30.963
16.514
16.387
O
Me
N
OBn
Cl
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140
120
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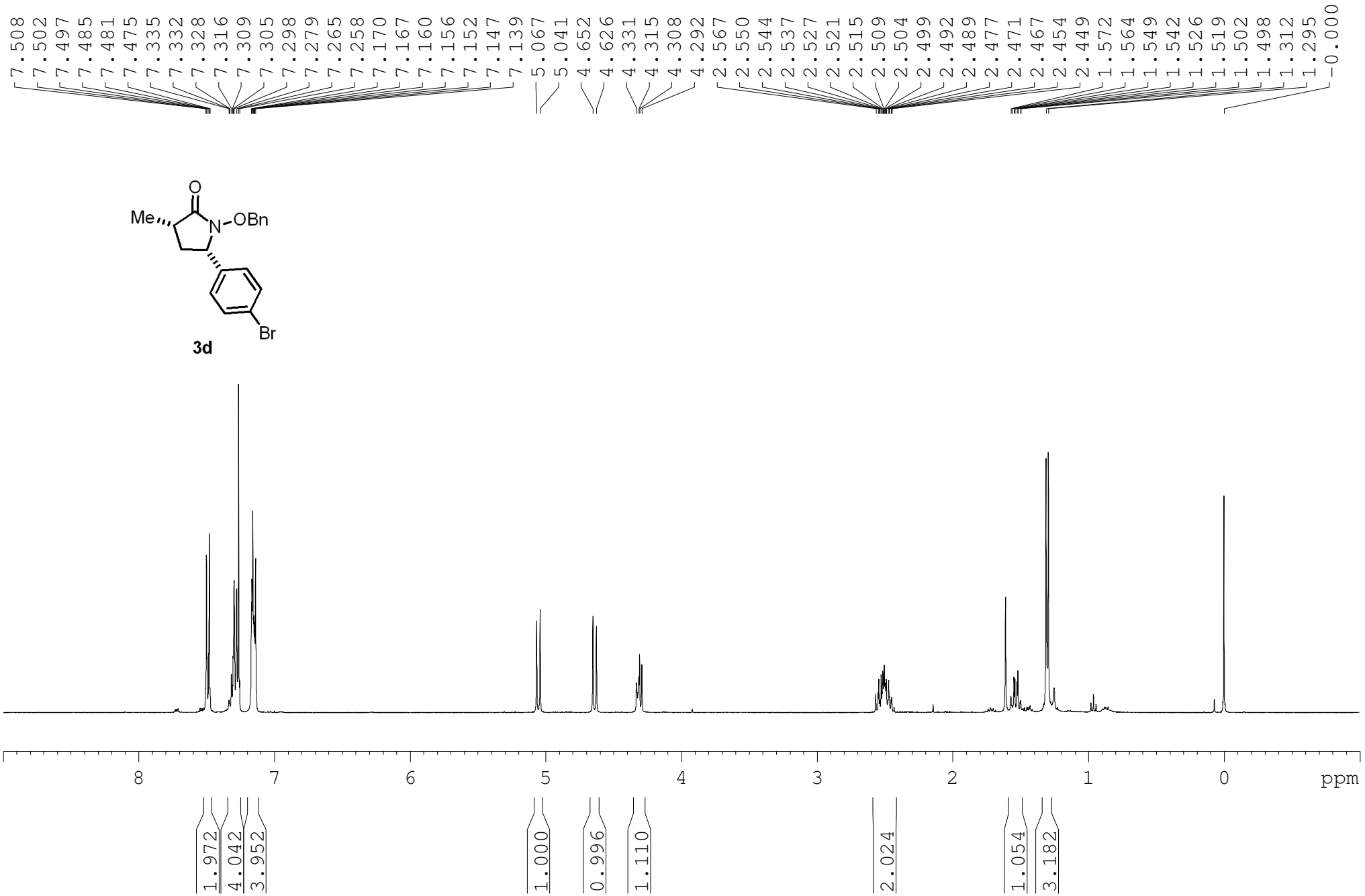
80
60
40
20
0 ppm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7.298
7.279
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7.258
7.170
7.167
7.160
7.156
7.152
7.147
7.139
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5.041
4.652
4.626
4.331
4.315
4.308
4.292
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2.550
2.544
2.537
2.527
2.521
2.515
2.509
2.504
2.499
2.492
2.489
2.477
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2.449
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1.564
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1.542
1.526
1.519
1.502
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1.295
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Br
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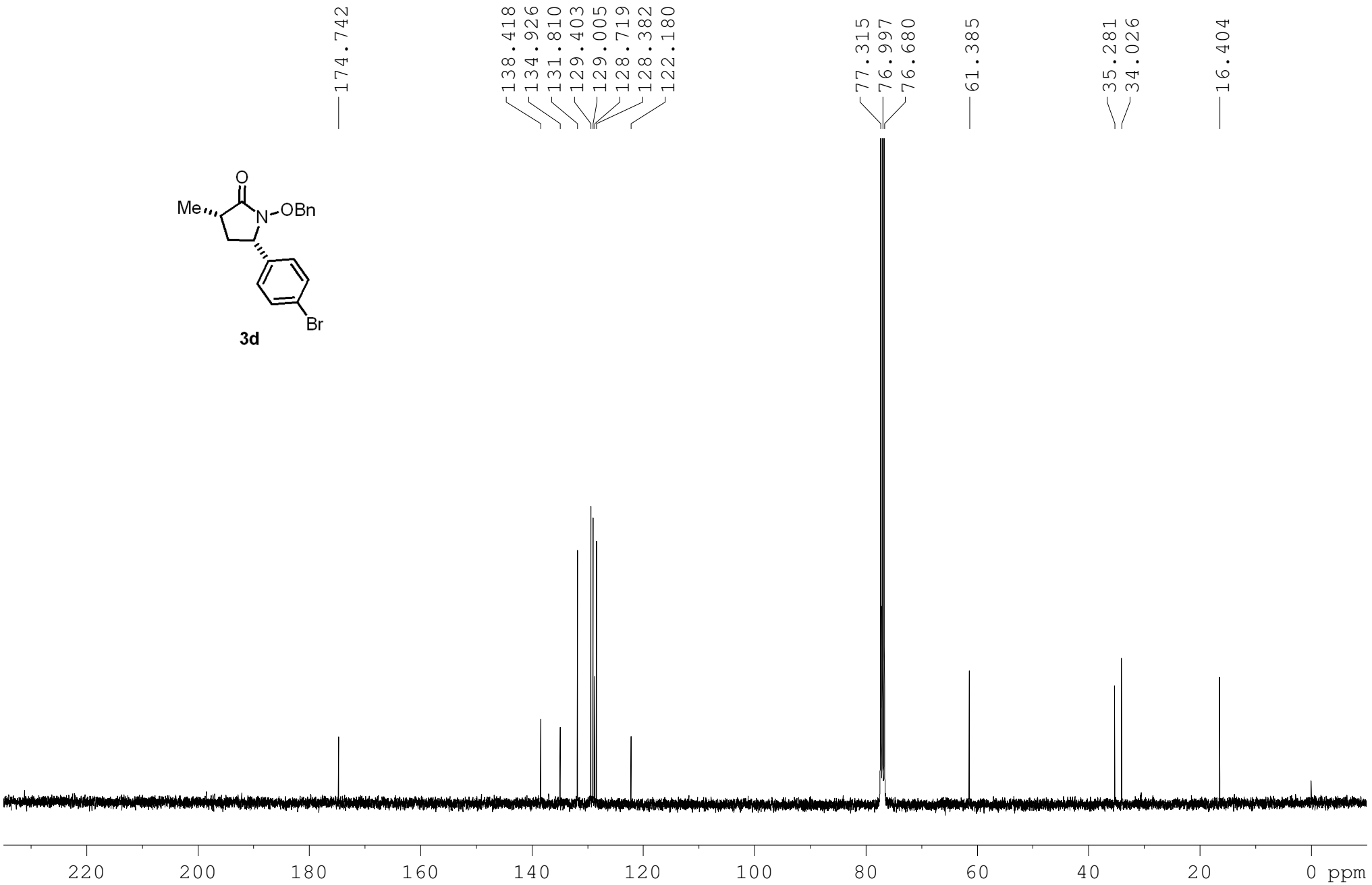
3.182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76.680
61.385
35.281
34.026
16.404
O
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OBn
Br
3d
220
200
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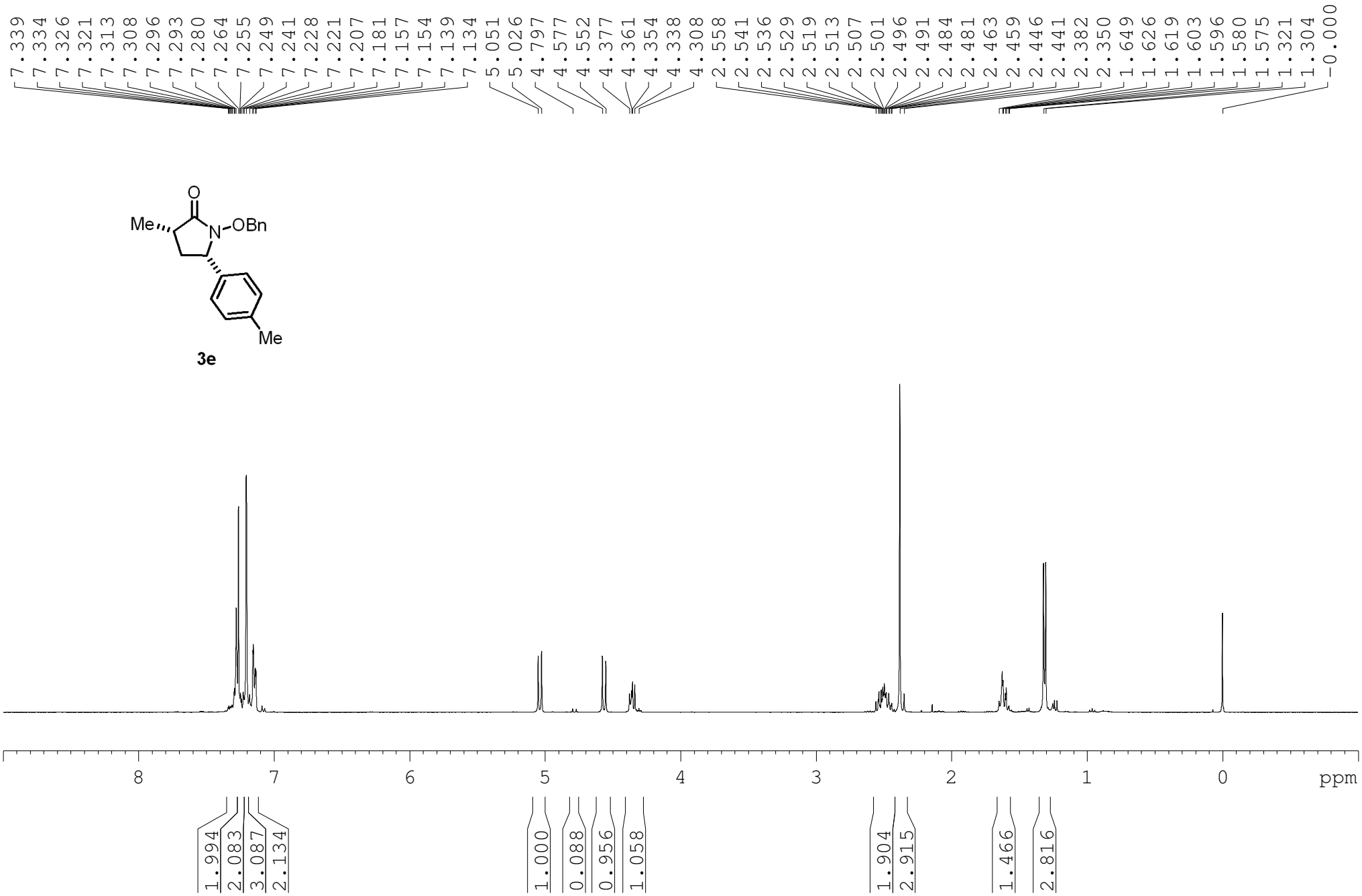
60
40
20
0 ppm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7.241
7.228
7.221
7.207
7.181
7.157
7.154
7.139
7.134
5.051
5.026
4.797
4.577
4.552
4.377
4.361
4.354
4.338
4.308
2.558
2.541
2.536
2.529
2.519
2.513
2.507
2.501
2.496
2.491
2.484
2.481
2.463
2.459
2.446
2.441
2.382
2.350
1.649
1.626
1.619
1.603
1.596
1.580
1.575
1.321
1.304
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O
Me
N
OBn
Me
3e
8
7
6
5
4
3
2
1
0
ppm
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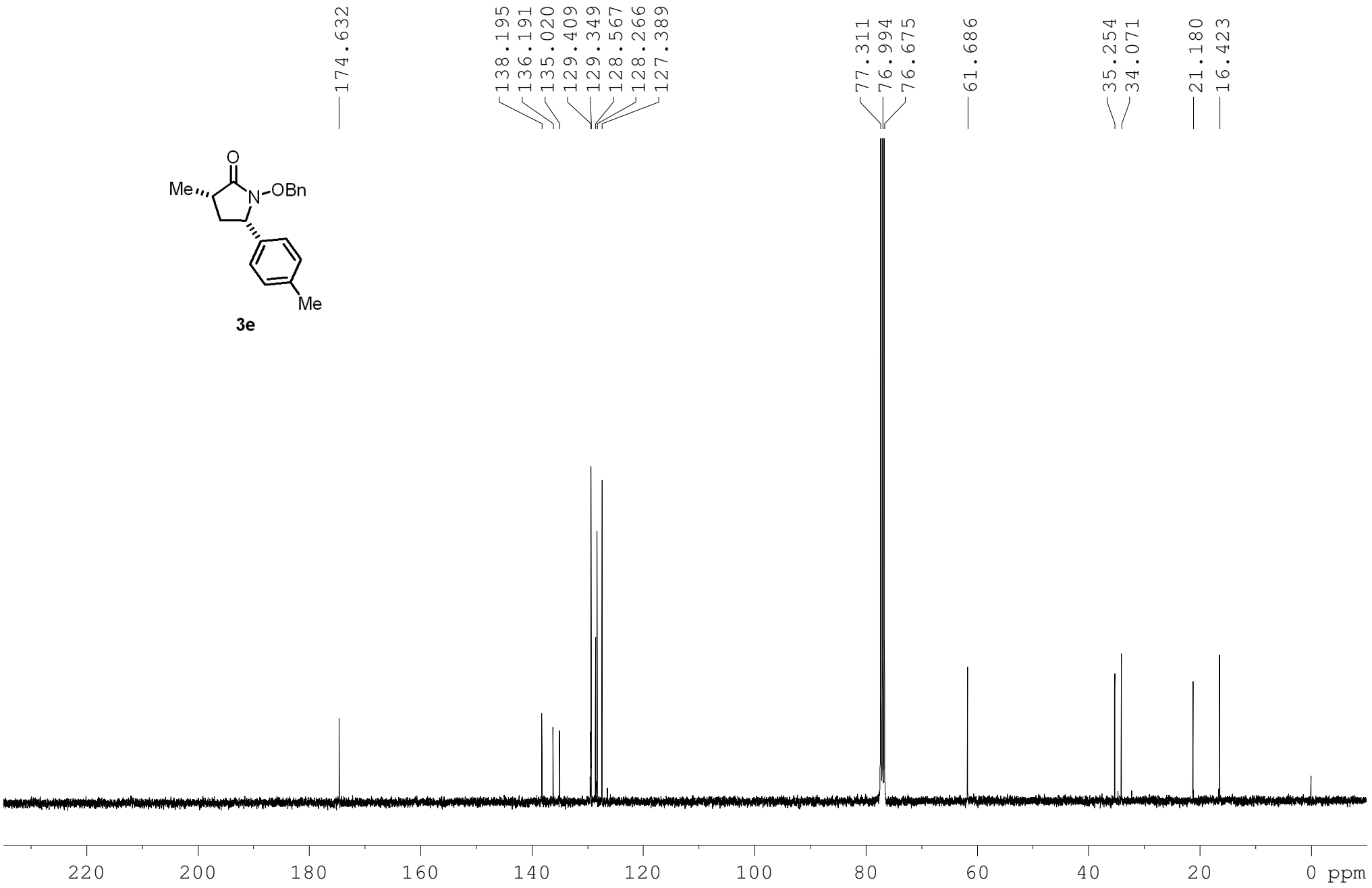
1.466
2.816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61.686
35.254
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21.180
16.423
O
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OBn
Me
3e
220
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180
160
140
120
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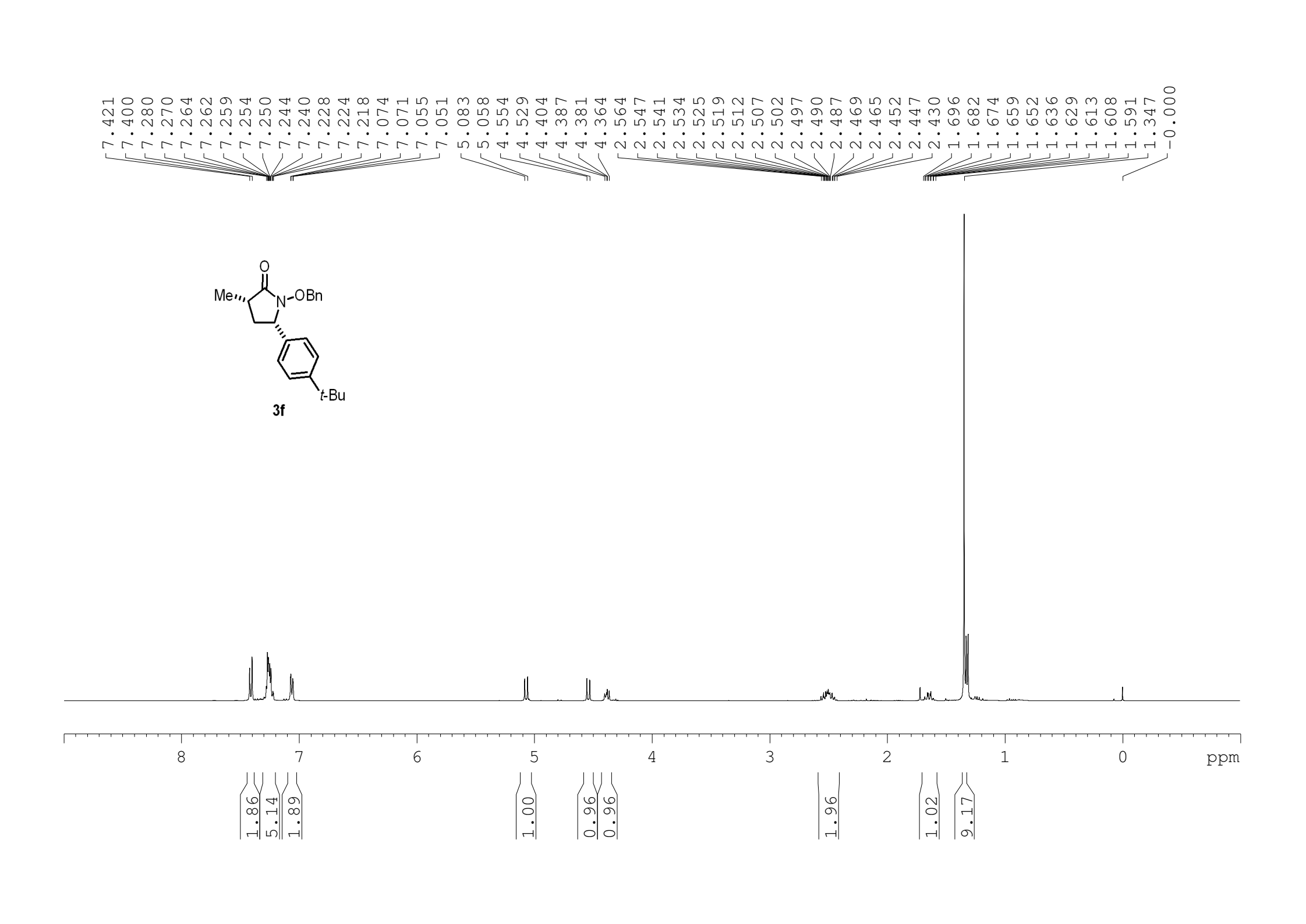
80
60
40
20
0 ppm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7.224
7.218
7.074
7.071
7.055
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5.058
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4.529
4.404
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4.381
4.364
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2.547
2.541
2.534
2.525
2.519
2.512
2.507
2.502
2.497
2.490
2.487
2.469
2.465
2.452
2.447
2.430
1.696
1.682
1.674
1.659
1.652
1.636
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1.613
1.608
1.591
1.347
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O
Me
N
OBn
t-Bu
3f
8
7
6
5
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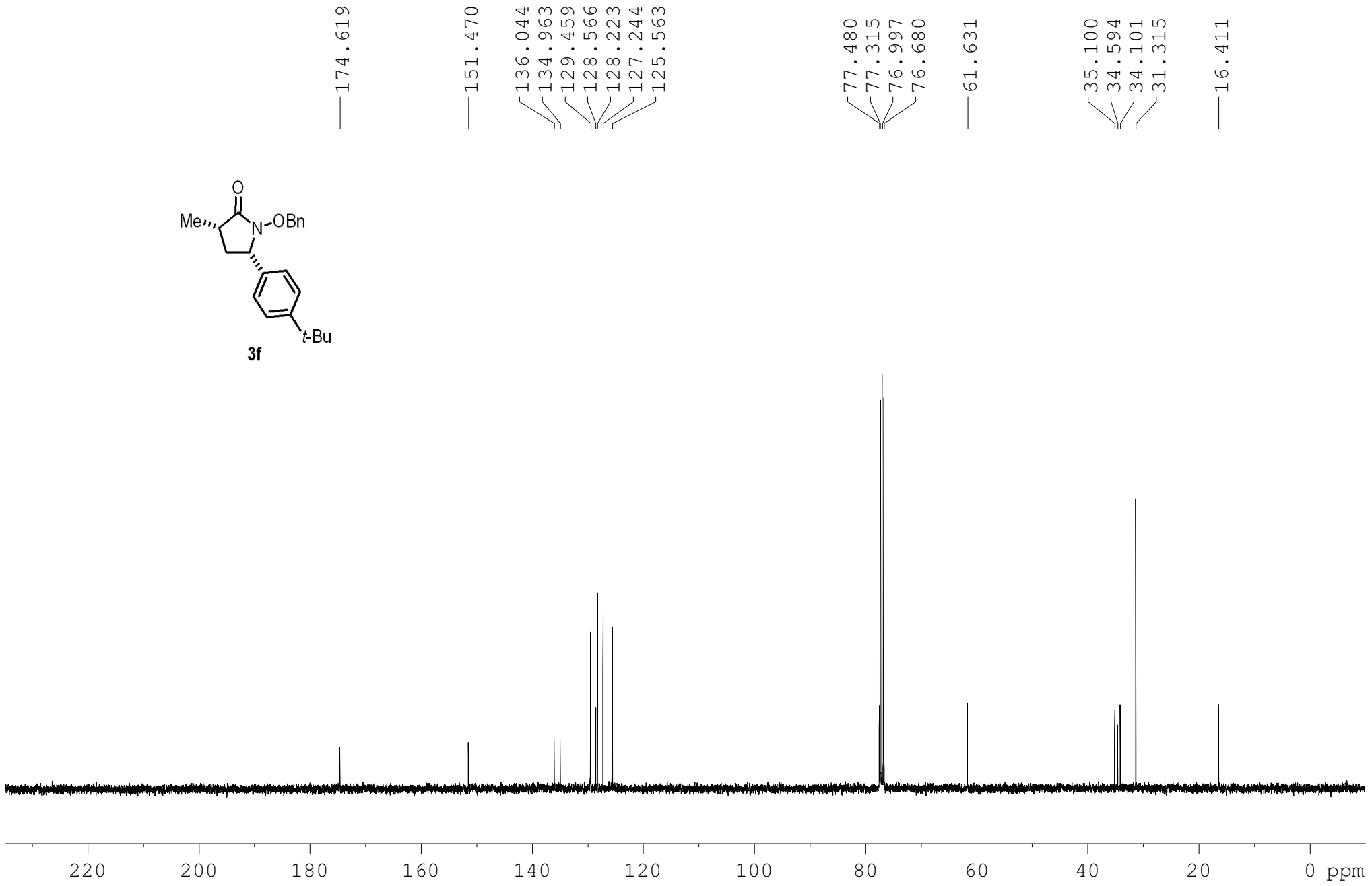
9.17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76.680
61.631
35.100
34.594
34.101
31.315
16.411
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Me
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OBn
t-Bu
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200
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160
140
120
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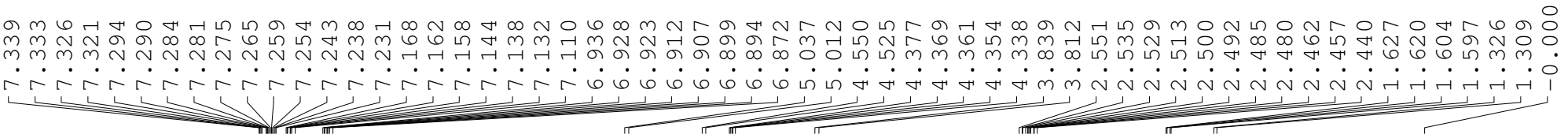
80
60
40
20
0 ppm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7.259
7.254
7.243
7.238
7.231
7.168
7.162
7.158
7.144
7.138
7.132
7.110
6.936
6.928
6.923
6.912
6.907
6.899
6.894
6.872
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5.012
4.550
4.525
4.377
4.369
4.361
4.354
4.338
3.839
3.812
2.551
2.535
2.529
2.513
2.500
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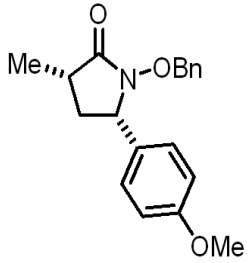
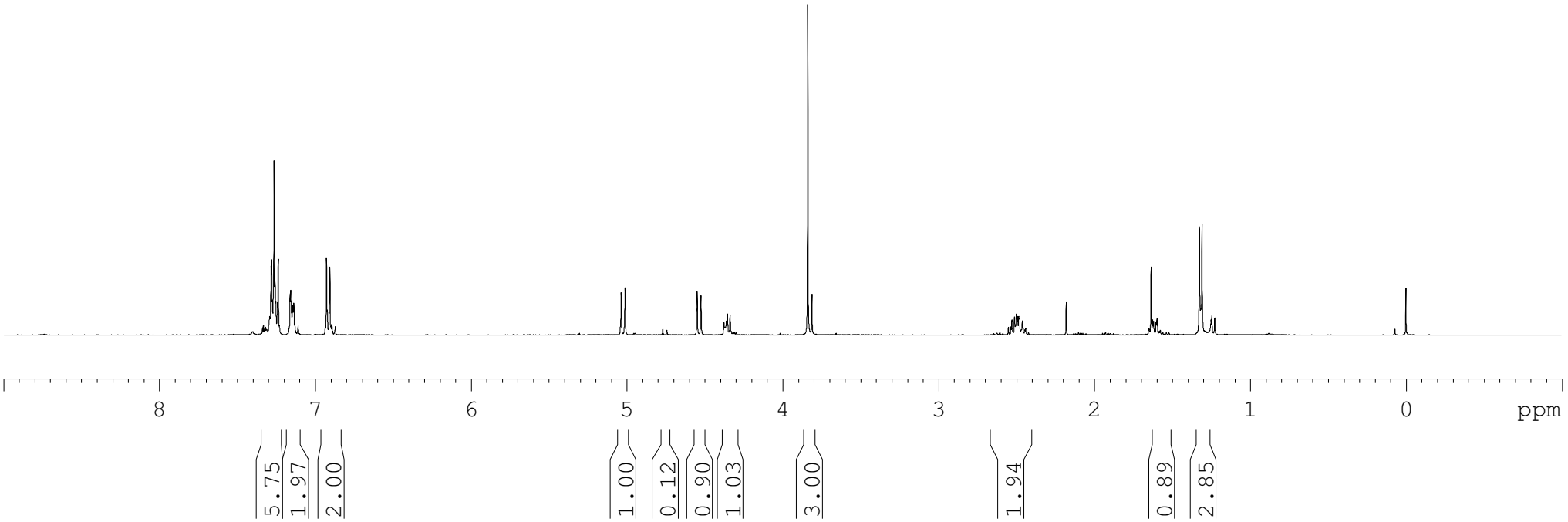
0.000


O
Me
N
OBn
OMe

**3g**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6
5
4
3
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1.03
3.00
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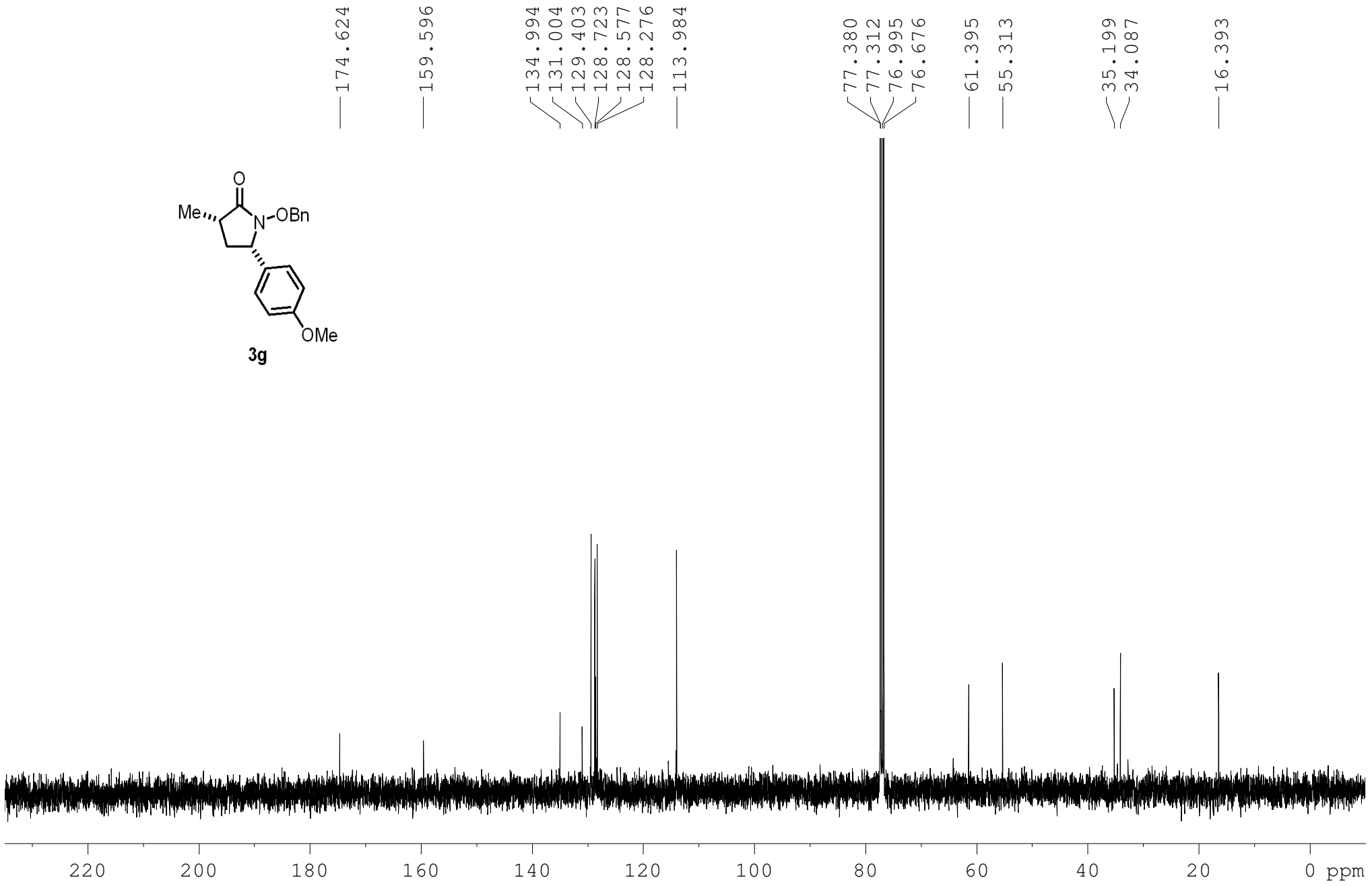
0.89
2.85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76.676
61.395
55.313
35.199
34.087
16.393
O
Me
N
OBn
OMe
3g
220
200
180
160
140
120
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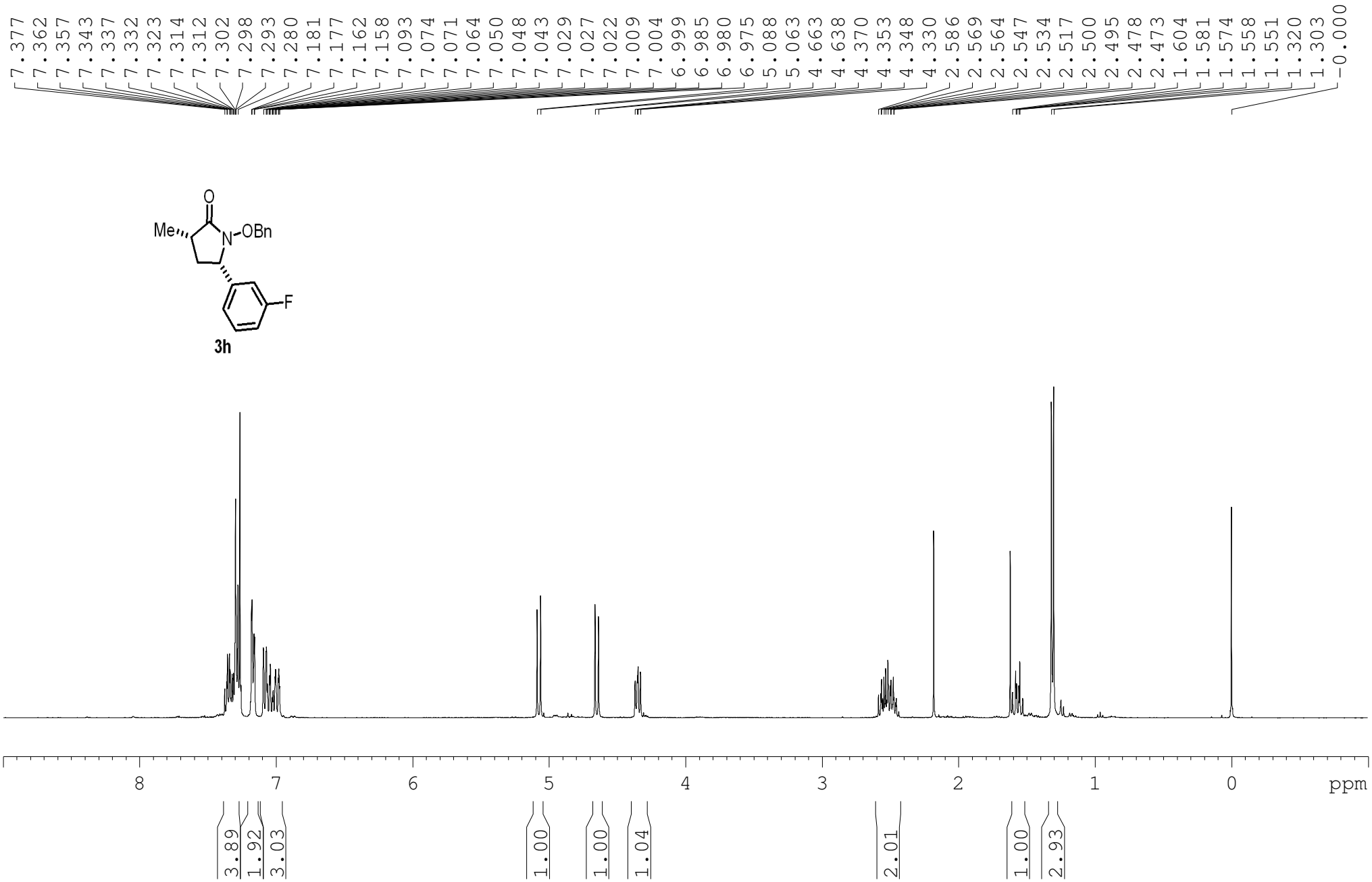
80
60
40
20
0 ppm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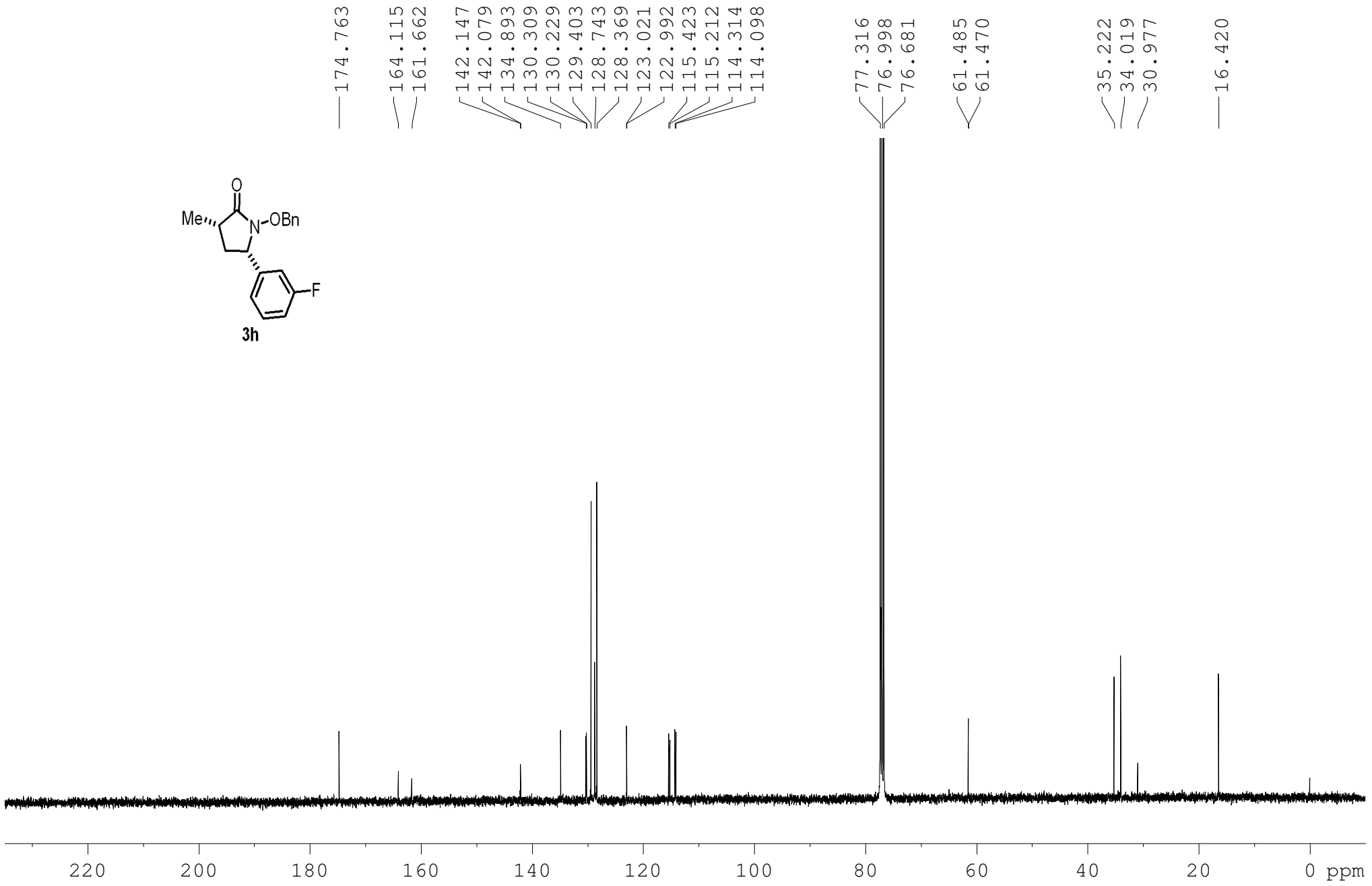
7.314
7.312
7.302
7.298
7.293
7.280
7.181
7.177
7.162
7.158
7.093
7.074
7.071
7.064
7.050
7.048
7.043
7.029
7.027
7.022
7.009
7.004
6.999
6.985
6.980
6.975
5.088
5.063
4.663
4.638
4.370
4.353
4.348
4.330
2.586
2.569
2.564
2.547
2.534
2.517
2.500
2.495
2.478
2.473
1.604
1.581
1.574
1.558
1.551
1.320
1.303
-0.000
O
Me
N-OBn
F
3h
8
7
6
5
4
3
2
1
0
ppm
3.89
1.92
3.03
1.00
1.00
1.04
2.01
1.00
2.93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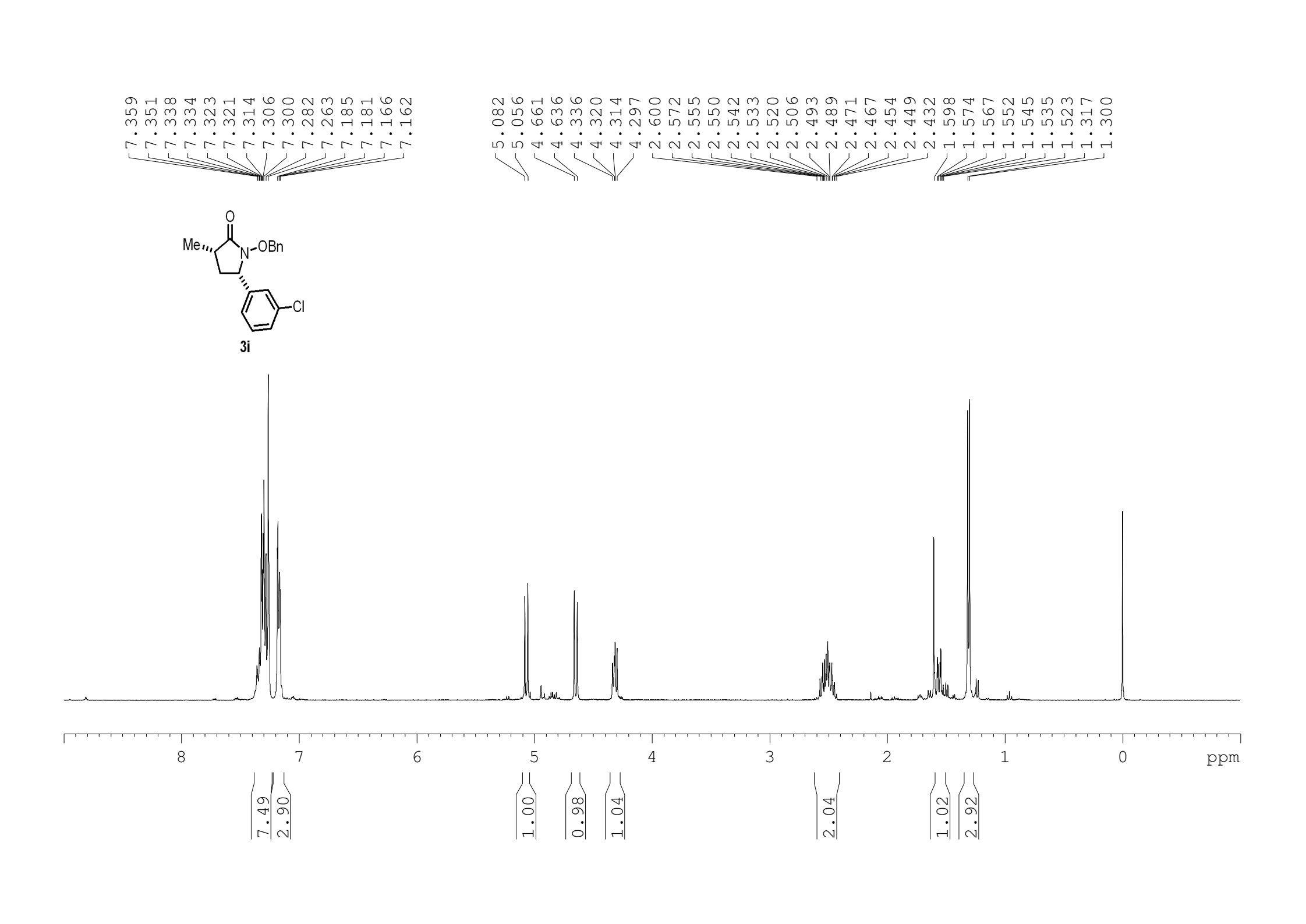
161.662
142.147
142.079
134.893
130.309
130.229
129.403
128.743
128.369
123.021
122.992
115.423
115.212
114.314
114.098
77.316
76.998
76.681
61.485
61.470
35.222
34.019
30.977
16.420
O
Me
N-OBn
F
3h
220
200
180
160
140
120
100
80
60
40
20
0 ppm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7.351
7.338
7.334
7.323
7.321
7.314
7.306
7.300
7.282
7.263
7.185
7.181
7.166
7.162
5.082
5.056
4.661
4.636
4.336
4.320
4.314
4.297
2.600
2.572
2.555
2.550
2.542
2.533
2.520
2.506
2.493
2.489
2.471
2.467
2.454
2.449
2.432
1.598
1.574
1.567
1.552
1.545
1.535
1.523
1.317
1.300
O
Me
N
OBn
Cl
3i
8
7
6
5
4
3
2
1
0
ppm
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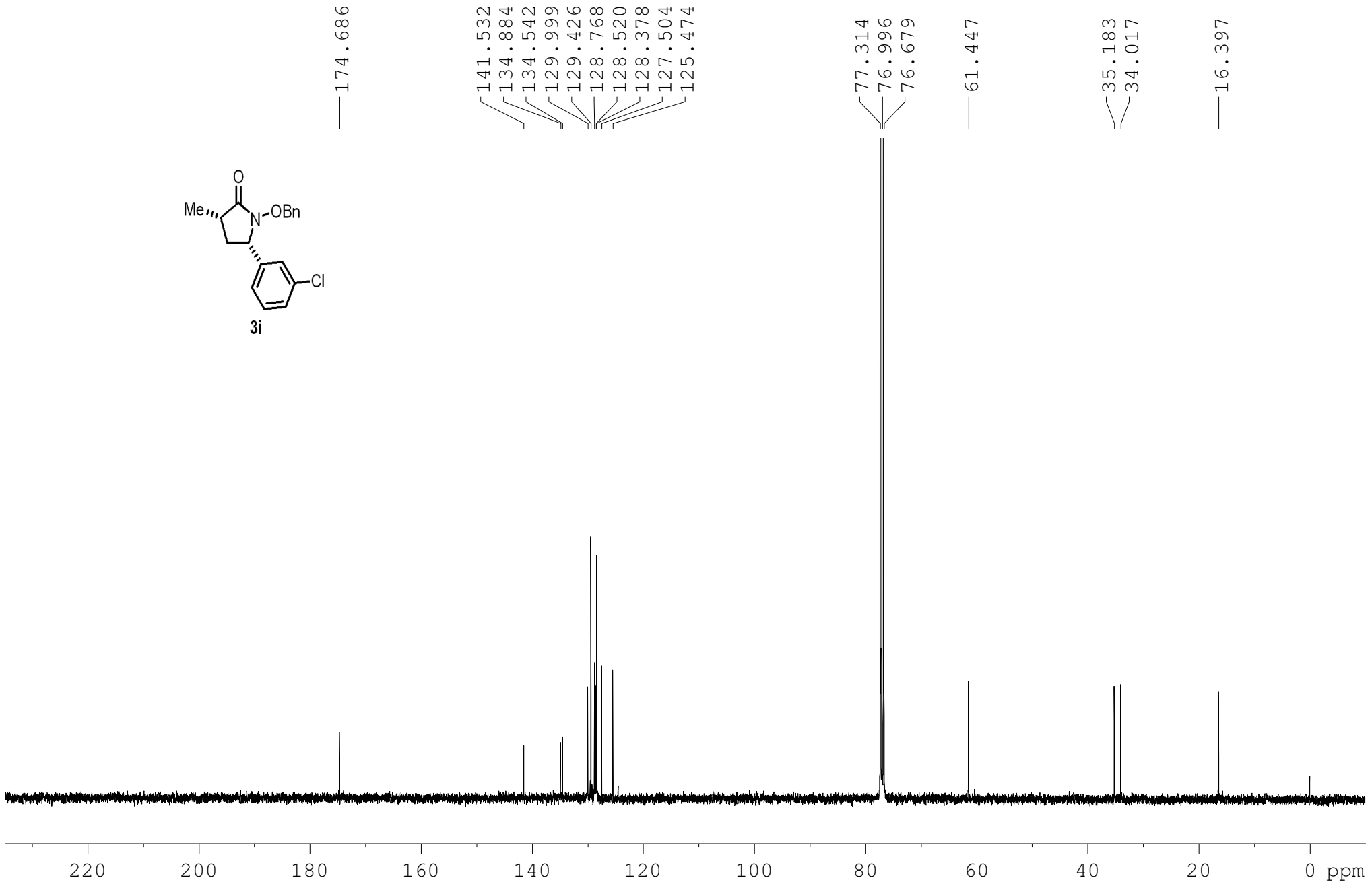
2.90
1.00
0.98
1.04
2.04
1.02
2.92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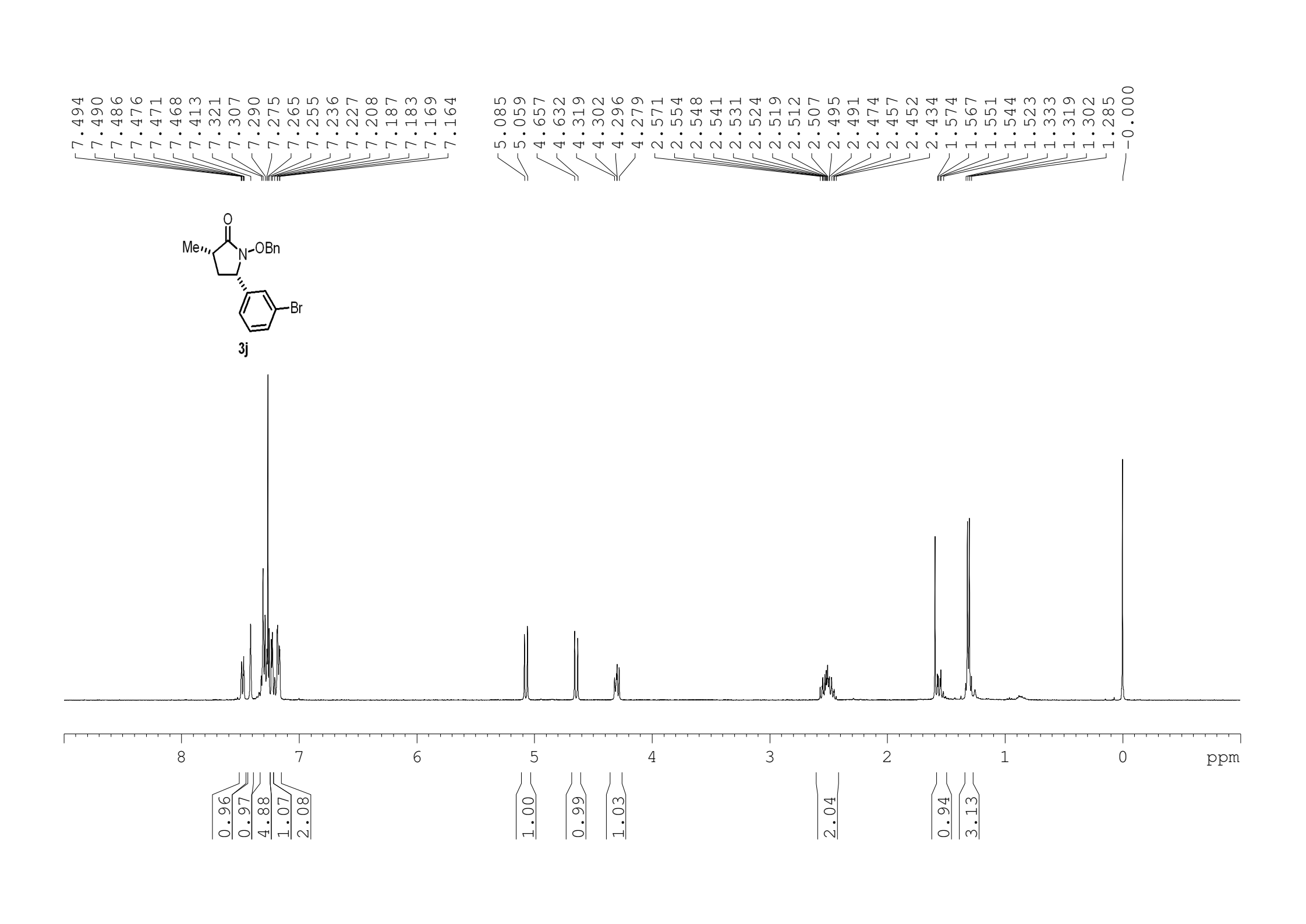
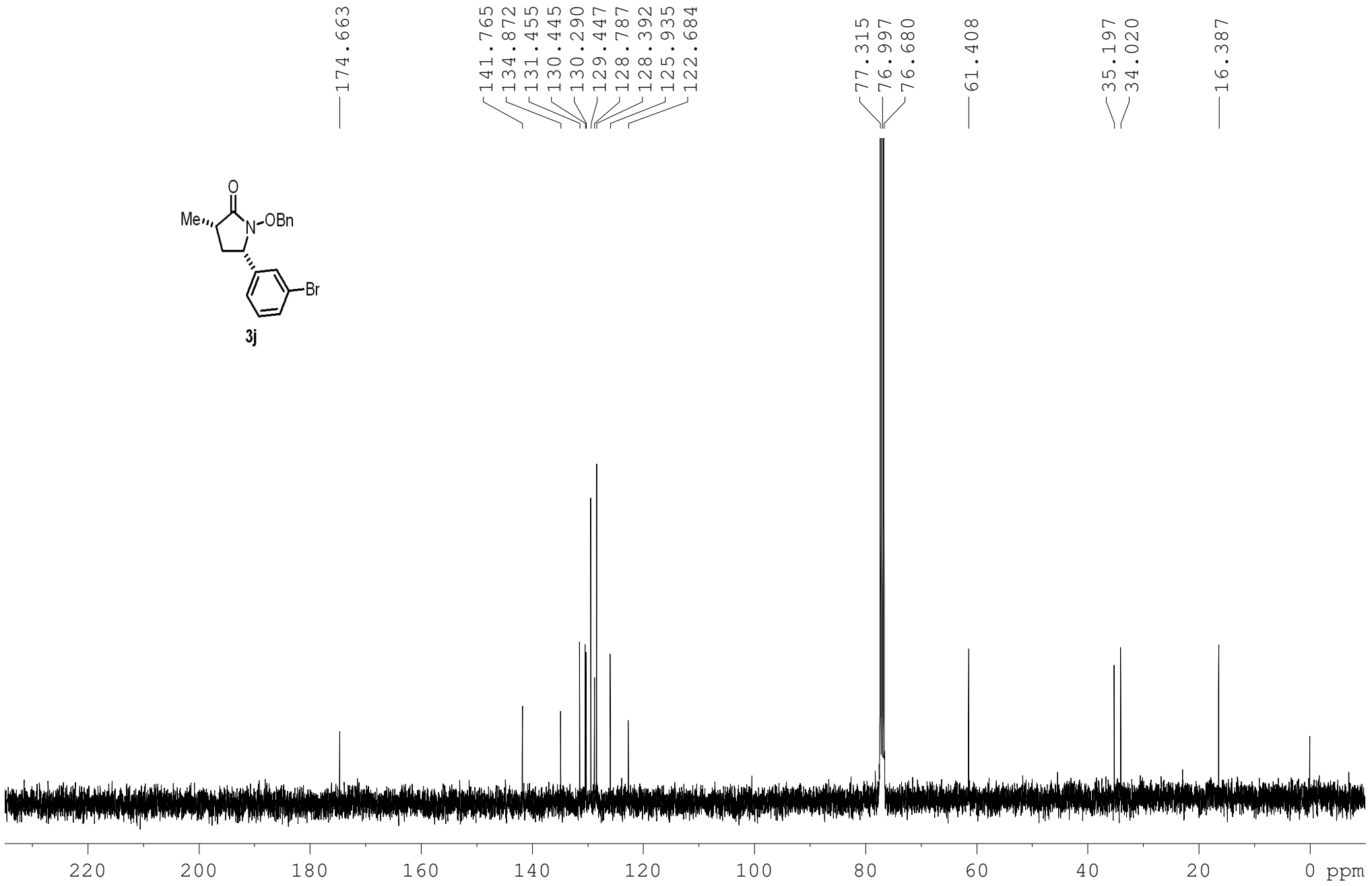
141.532
134.884
134.542
129.999
129.426
128.768
128.520
128.378
127.504
125.474
77.314
76.996
76.679
61.447
35.183
34.017
16.397
O
Me
N
OBn
Cl
3i
220
200
180
160
140
120
100
80
60
40
20
0 ppm


7.494
7.490
7.486
7.476
7.471
7.468
7.413
7.321
7.307
7.290
7.275
7.265
7.255
7.236
7.227
7.208
7.187
7.183
7.169
7.164
5.085
5.059
4.657
4.632
4.319
4.302
4.296
4.279
2.571
2.554
2.548
2.541
2.531
2.524
2.519
2.512
2.507
2.495
2.491
2.474
2.457
2.452
2.434
1.574
1.567
1.551
1.544
1.523
1.333
1.319
1.302
1.285
-0.000
O
Me
N-OBn
Br
3j
8
7
6
5
4
3
2
1
0
ppm
0.96
0.97
4.88
1.07
2.08
1.00
0.99
1.03
2.04
0.94
3.13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141.765
134.872
131.455
130.445
130.290
129.447
128.787
128.392
125.935
122.684
77.315
76.997
76.680
61.408
35.197
34.020
16.387
O
Me
N
OBn
Br
3j
220
200
180
160
140
120
100
80
60
40
20
0 ppm

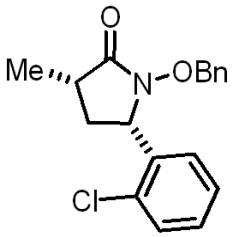
zhang180414-2

7.384
7.379
7.374
7.370
7.365
7.360
7.354
7.352
7.322
7.318
7.311
7.306
7.299
7.294
7.292
7.282
7.275
7.268
7.263
7.256
7.252
7.246
7.242
7.228
7.223

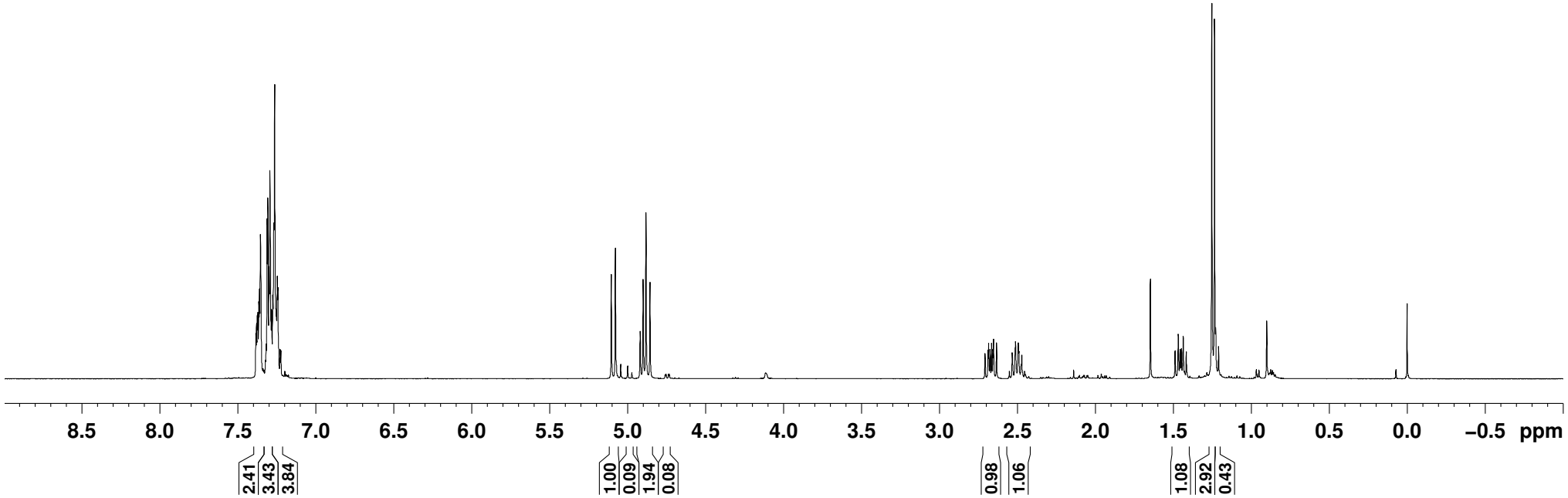
5.104
5.078
5.043
4.999
4.972
4.919
4.900
4.882
4.856
4.758
4.753
4.737
4.732

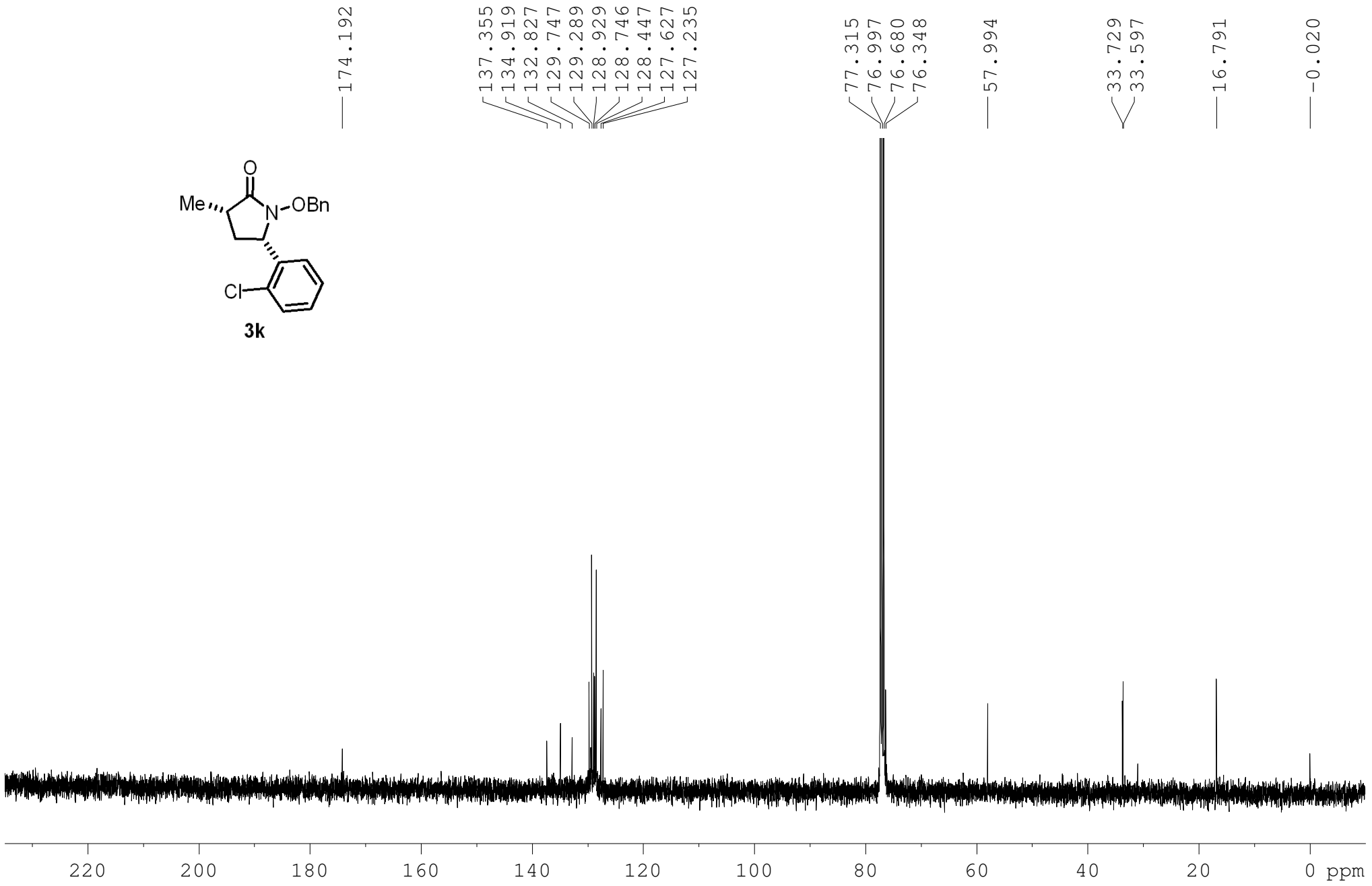
2.707
2.688
2.684
2.675
2.665
2.656
2.652
2.633
2.551
2.533
2.515
2.512
2.494
2.489
2.471
2.453
2.448
1.488
1.468
1.456
1.448
1.436
1.427
1.416
1.253
1.235
1.228
1.210

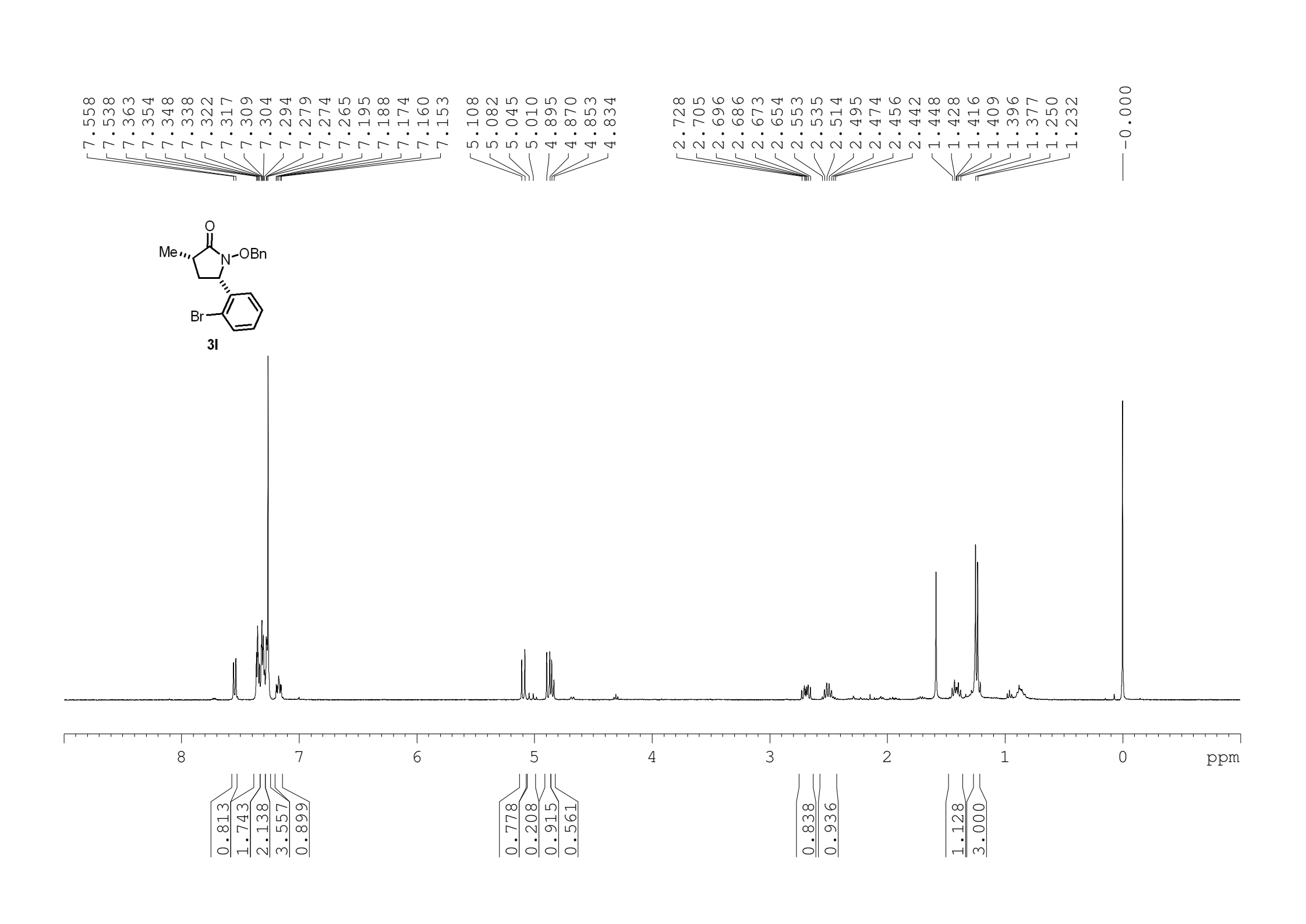
0.000

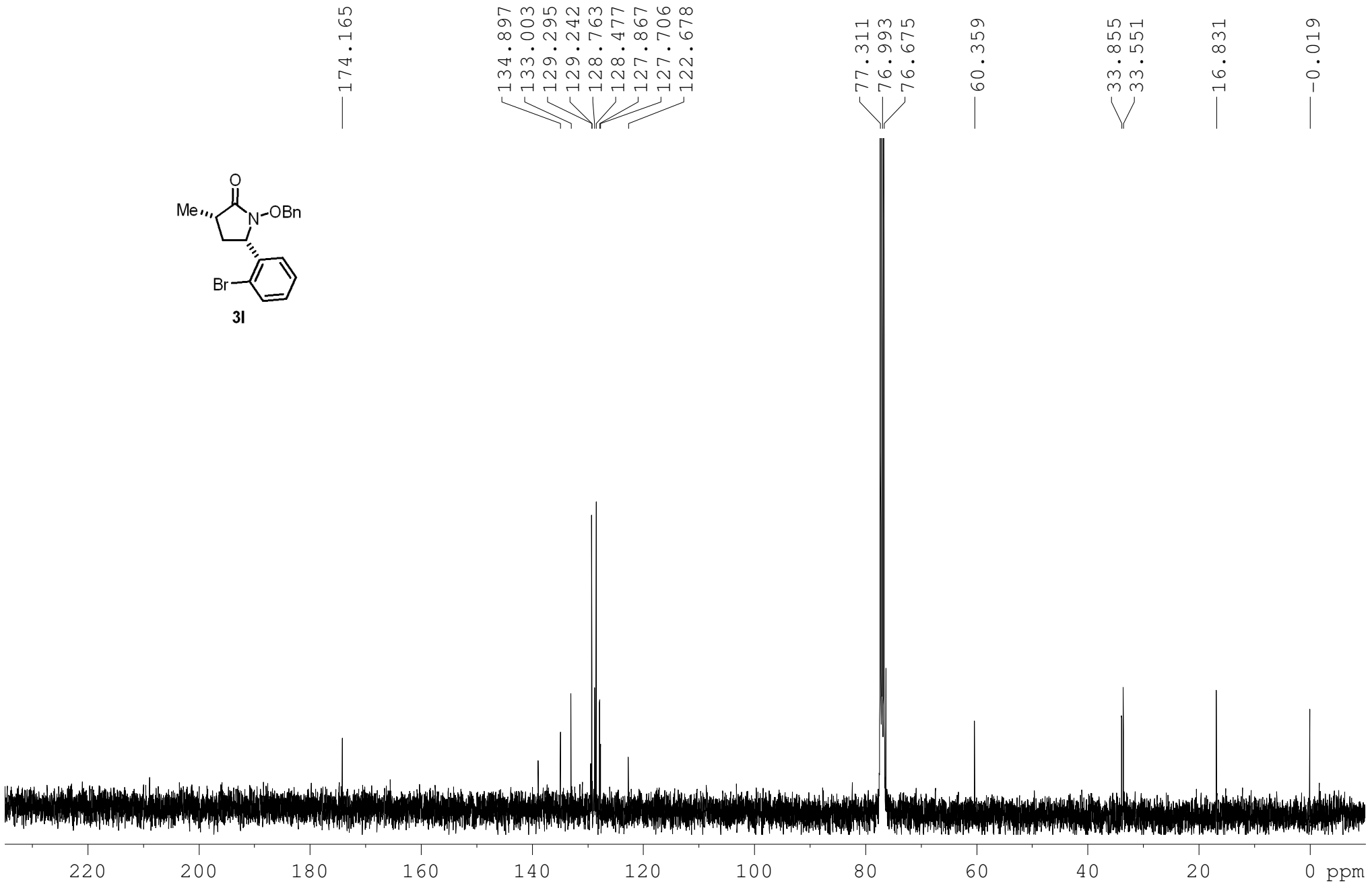



**3k**




174.192
137.355
134.919
132.827
129.747
129.289
128.929
128.746
128.447
127.627
127.235
77.315
76.997
76.680
76.348
57.994
33.729
33.597
16.791
-0.020
Me
O
N
OBn
Cl
3k
220
200
180
160
140
120
100
80
60
40
20
0 ppm


7.558
7.538
7.363
7.354
7.348
7.338
7.322
7.317
7.309
7.304
7.294
7.279
7.274
7.265
7.195
7.188
7.174
7.160
7.153
5.108
5.082
5.045
5.010
4.895
4.870
4.853
4.834
2.728
2.705
2.696
2.686
2.673
2.654
2.553
2.535
2.514
2.495
2.474
2.456
2.442
1.448
1.428
1.416
1.409
1.396
1.377
1.250
1.232
0.000
Me
N
OBn
Br
3l
8
7
6
5
4
3
2
1
0
ppm
0.813
1.743
2.138
3.557
0.899
0.778
0.208
0.915
0.561
0.838
0.936
1.128
3.000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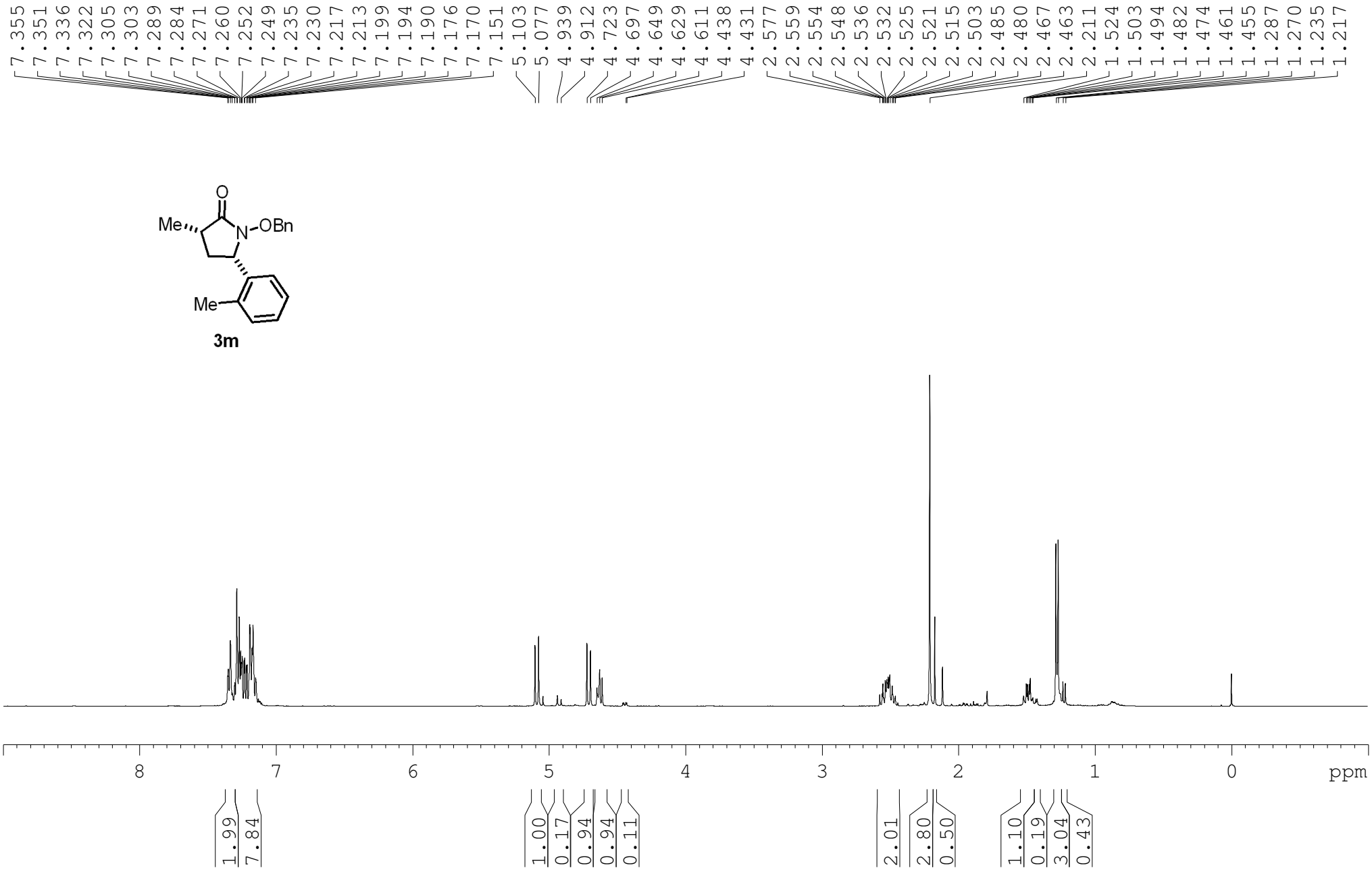
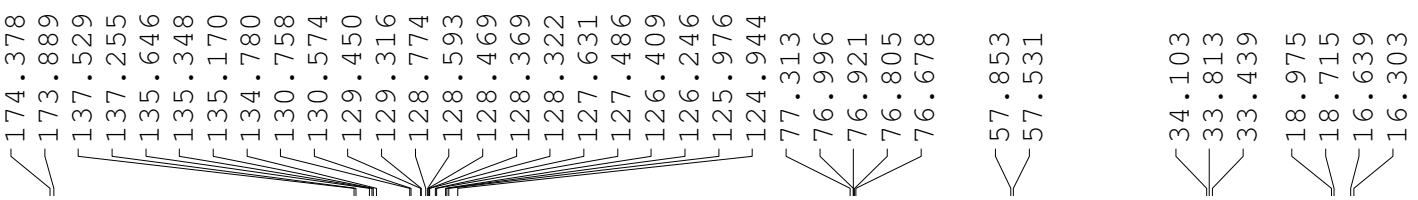
134.897
133.003
129.295
129.242
128.763
128.477
127.867
127.706
122.678
77.311
76.993
76.675
60.359
33.855
33.551
16.831
-0.019
O
Me
N
OBn
Br
3l
220
200
180
160
140
120
100
80
60
40
20
0 ppm


7.355
7.351
7.336
7.322
7.305
7.303
7.289
7.284
7.271
7.260
7.252
7.249
7.235
7.230
7.217
7.213
7.199
7.194
7.190
7.176
7.170
7.151
5.103
5.077
4.939
4.912
4.723
4.697
4.649
4.629
4.611
4.438
4.431
2.577
2.559
2.554
2.548
2.536
2.532
2.525
2.521
2.515
2.503
2.485
2.480
2.467
2.463
2.211
1.524
1.503
1.494
1.482
1.474
1.461
1.455
1.287
1.270
1.235
1.217
Me
N
OBn
O
Me
3m
8
7
6
5
4
3
2
1
0
ppm
1.99
7.84
1.00
0.17
0.94
0.94
0.11
2.01
2.80
0.50
1.10
0.19
3.04
0.43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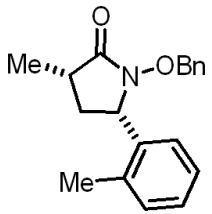
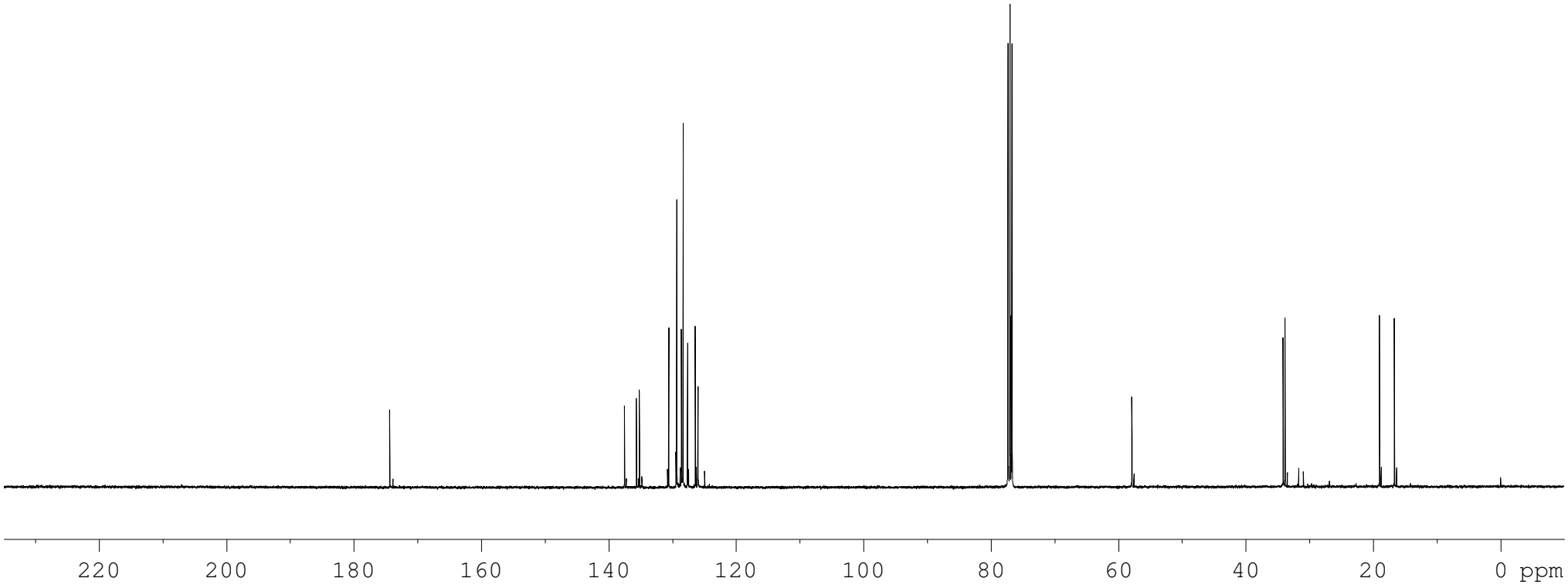
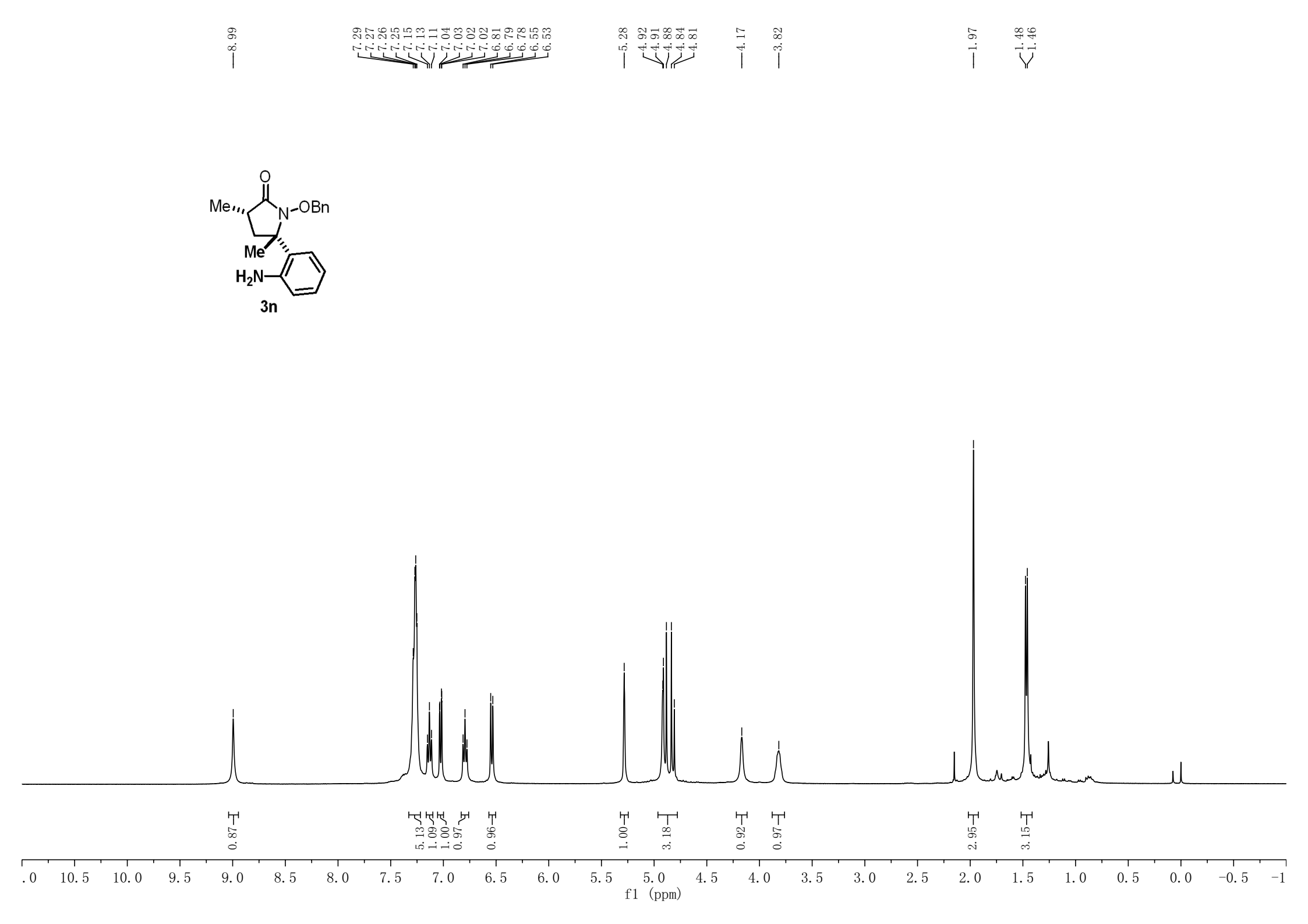
173.889
137.529
137.255
135.646
135.348
135.170
134.780
130.758
130.574
129.450
129.316
128.774
128.593
128.469
128.369
128.322
127.631
127.486
126.409
126.246
125.976
124.944
77.313
76.996
76.921
76.805
76.678
57.853
57.531
34.103
33.813
33.439
18.975
18.715
16.639
16.303

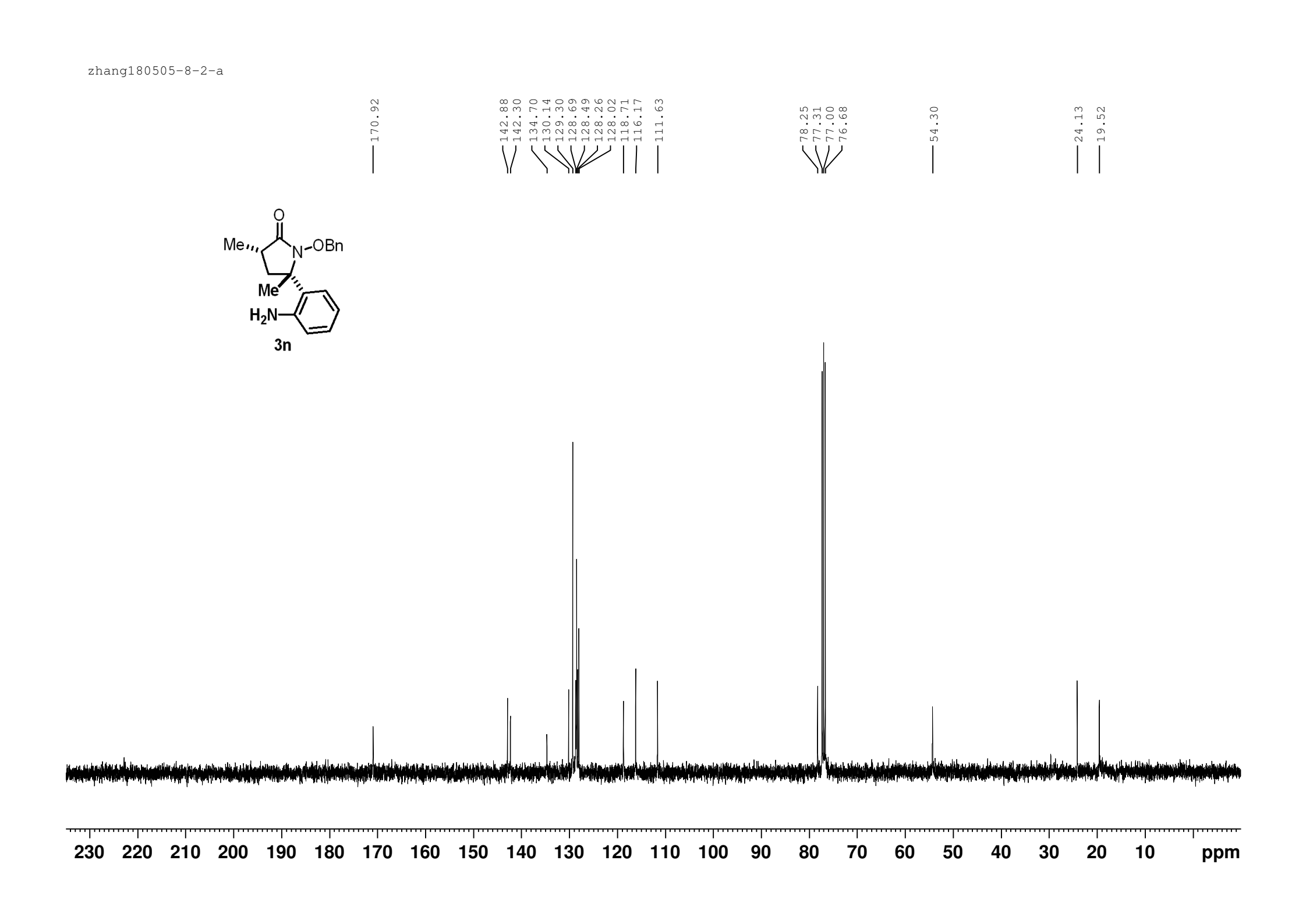

O
Me
N
OBn
Me

**3m**

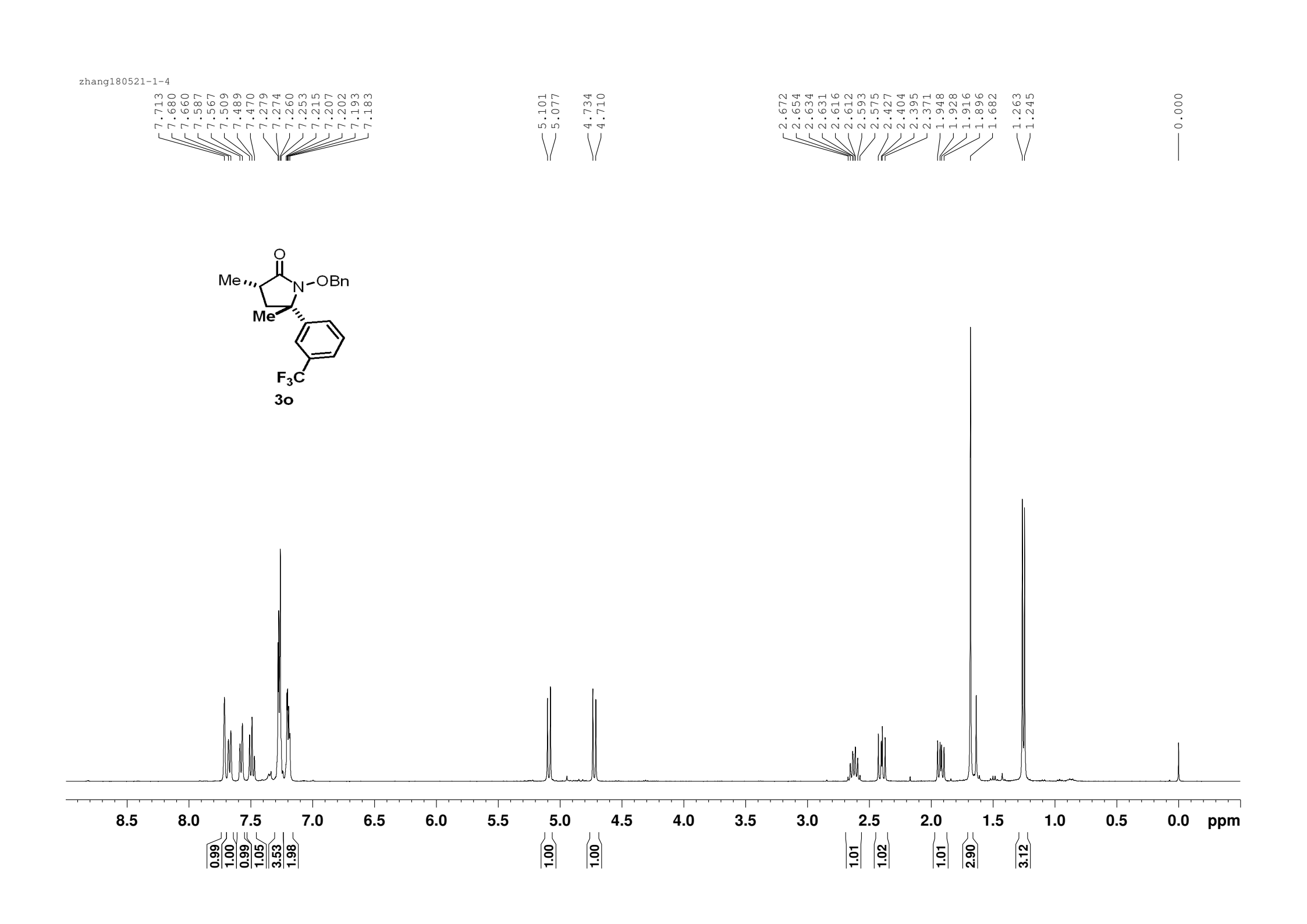

220
200
180
160
140
120
100
80
60
40
20
0 ppm


8.99
7.29
7.27
7.26
7.25
7.15
7.13
7.11
7.04
7.03
7.02
7.02
6.81
6.79
6.78
6.55
6.53
5.28
4.92
4.91
4.88
4.84
4.81
4.17
3.82
1.97
1.48
1.46
O
Me
N
OBn
Me
H2N
3n
0.87
5.13
1.09
1.00
0.97
0.96
1.00
3.18
0.92
0.97
2.95
3.15
f1 (ppm)

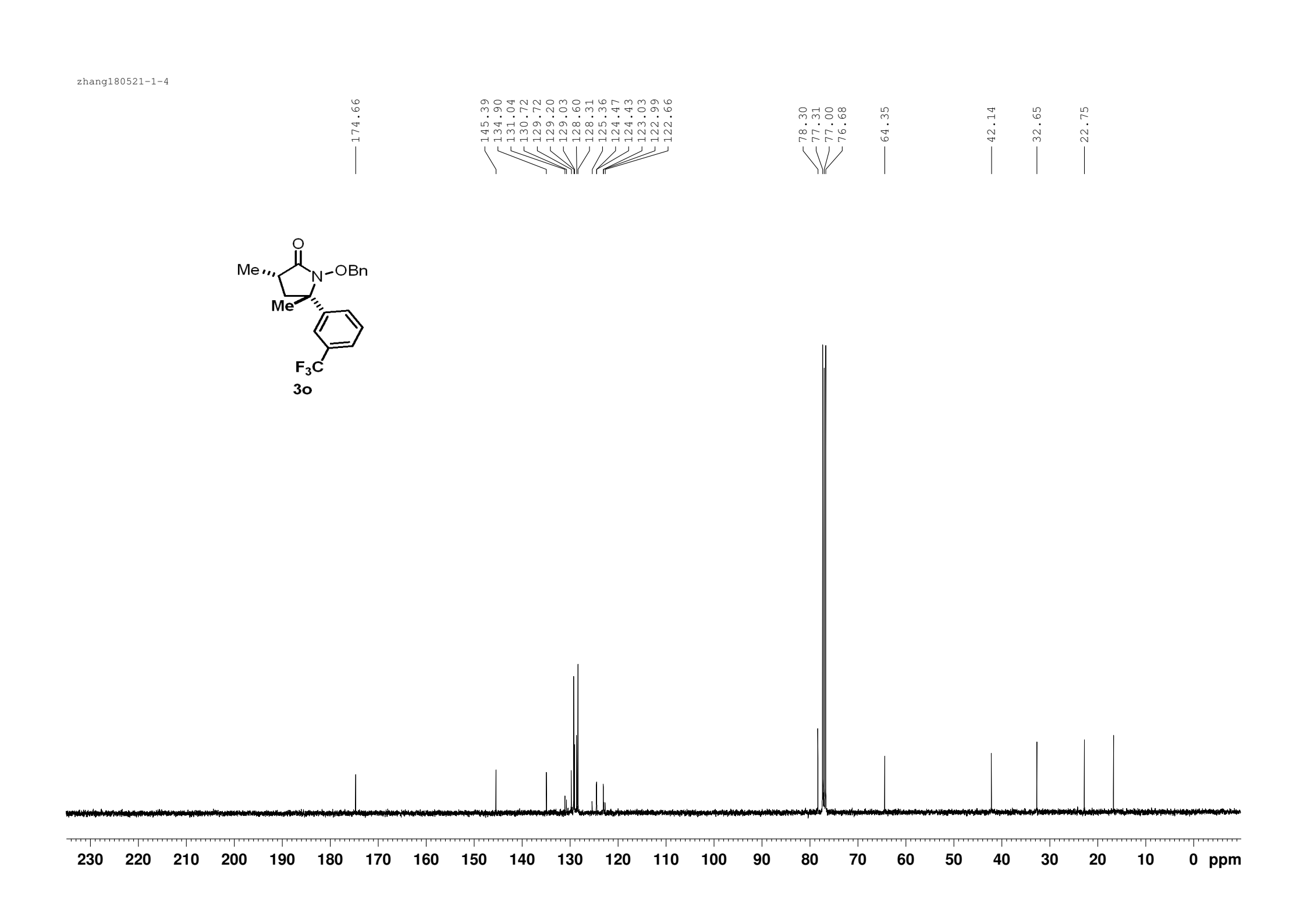
zhang180505-8-2-a



zhang180521-1-4



zhang180521-1-4



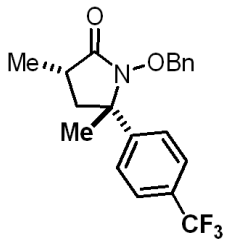
zhang180521-1-1

7.611
7.589
7.556
7.535
7.287
7.281
7.274
7.269
7.261
7.245
7.239
7.232
7.227
7.219
7.207

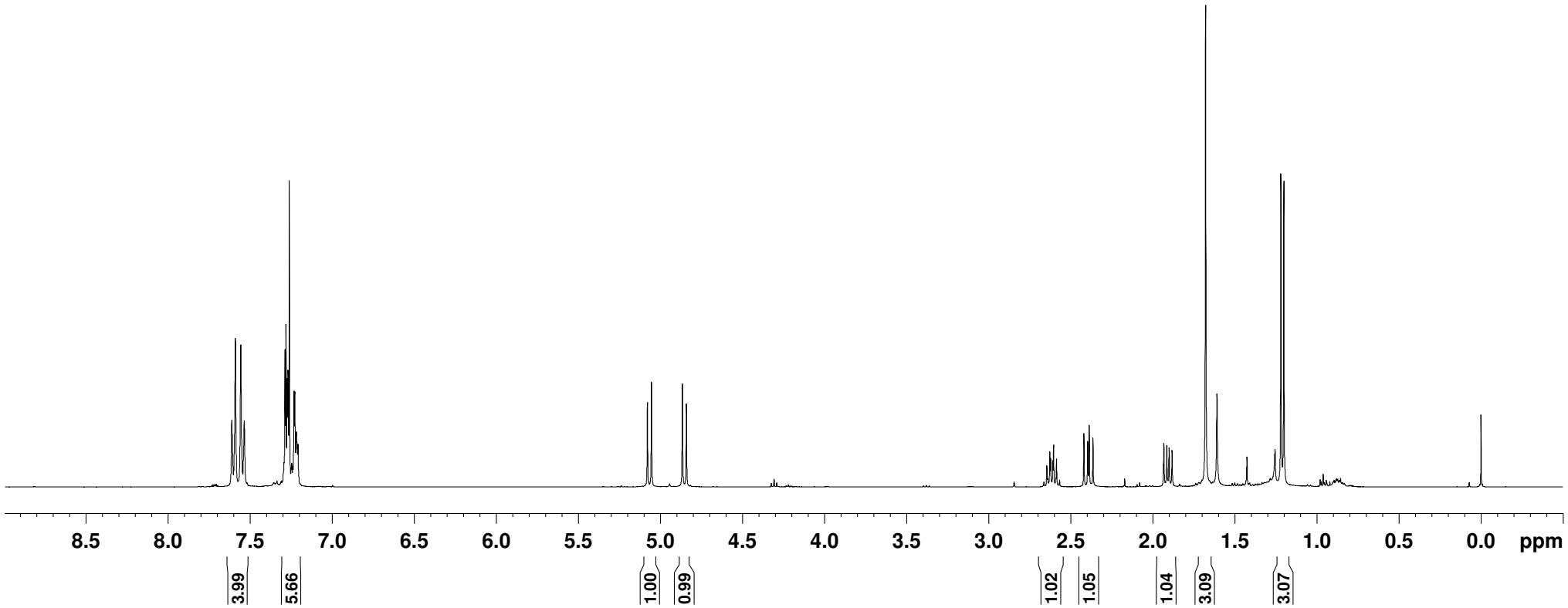
5.079
5.054
4.866
4.841

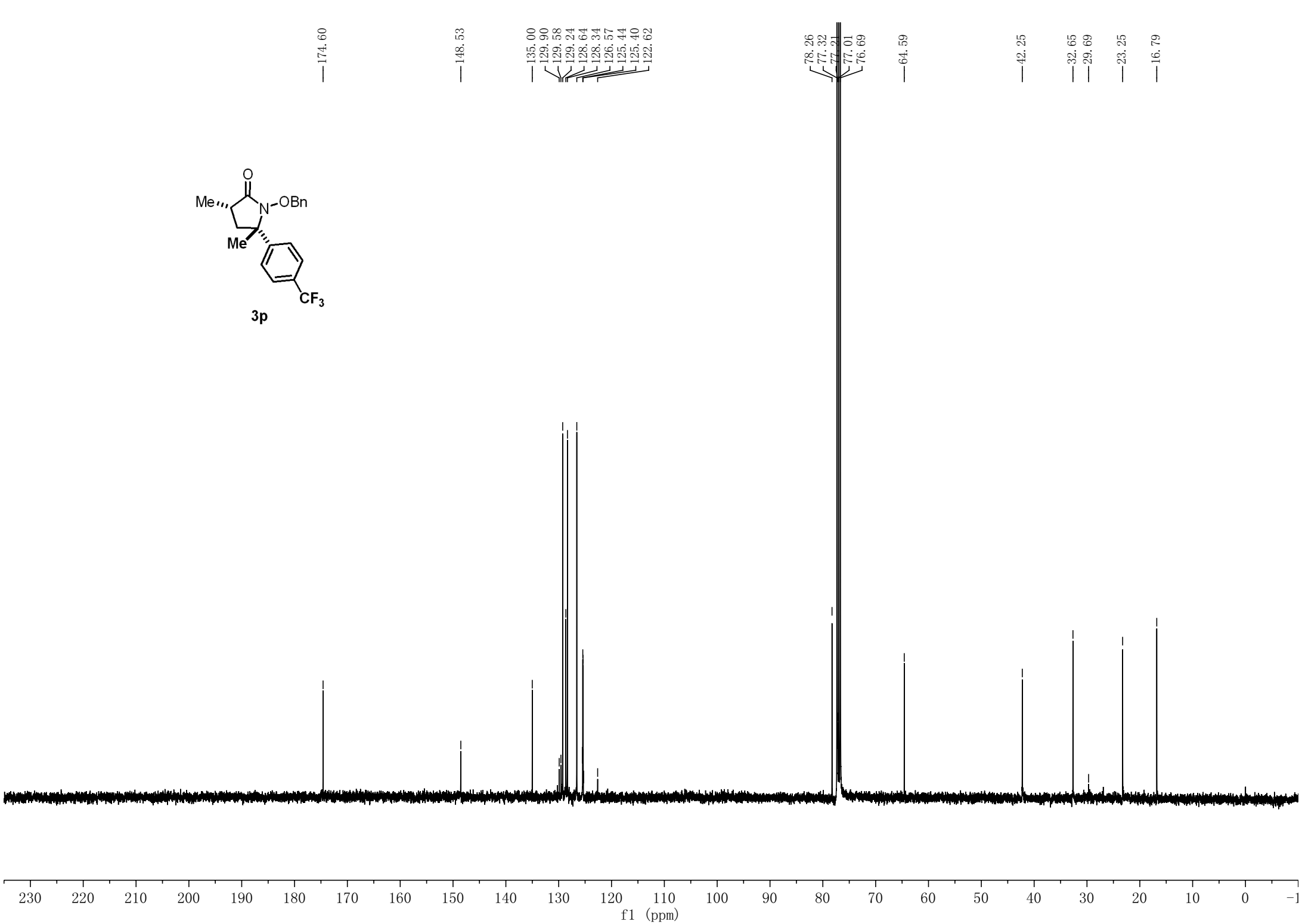
2.663
2.645
2.640
2.627
2.622
2.609
2.604
2.591
2.586
2.568
2.420
2.396
2.387
2.364
1.933
1.914
1.900
1.882
1.678
1.219
1.201

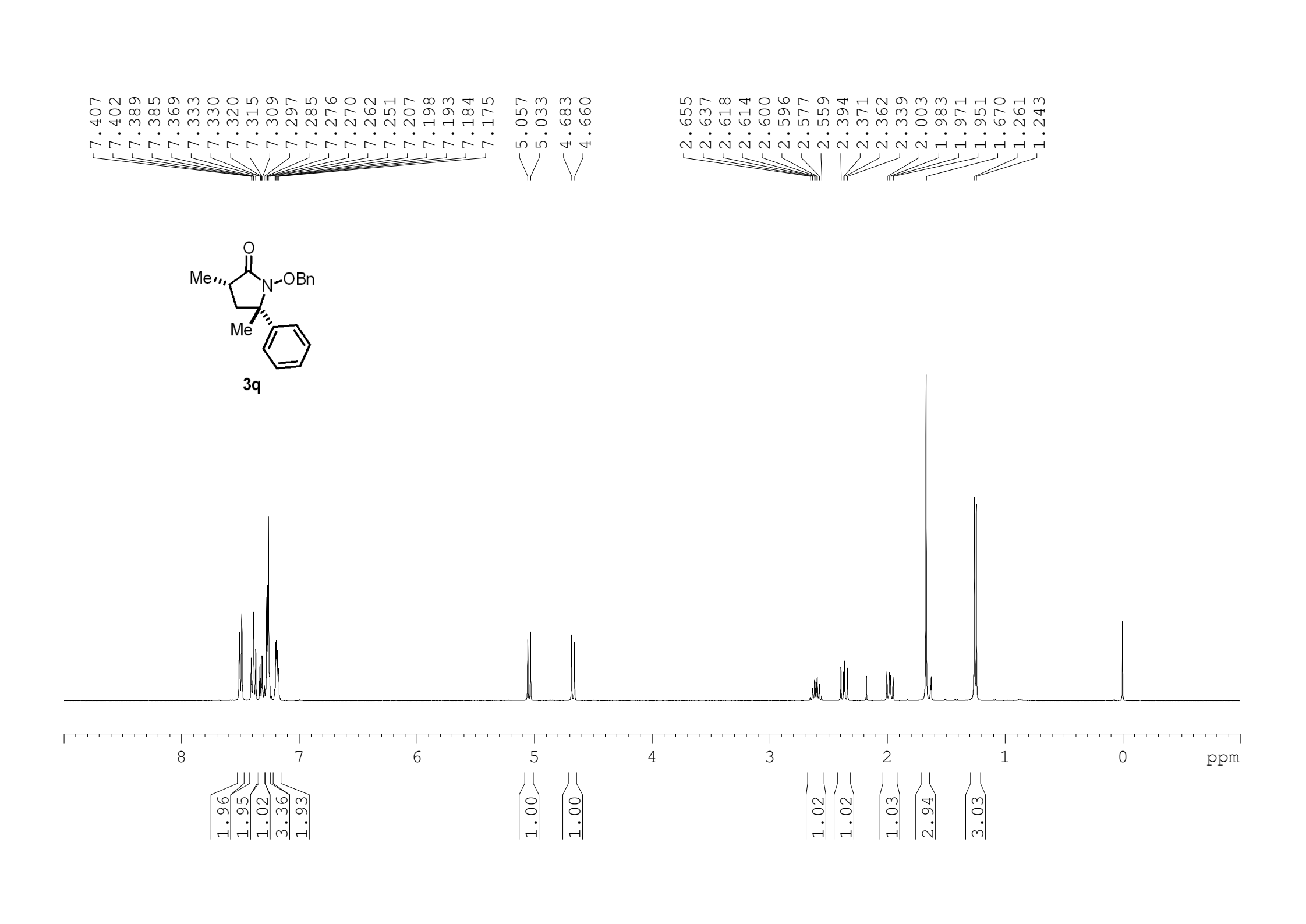
0.000

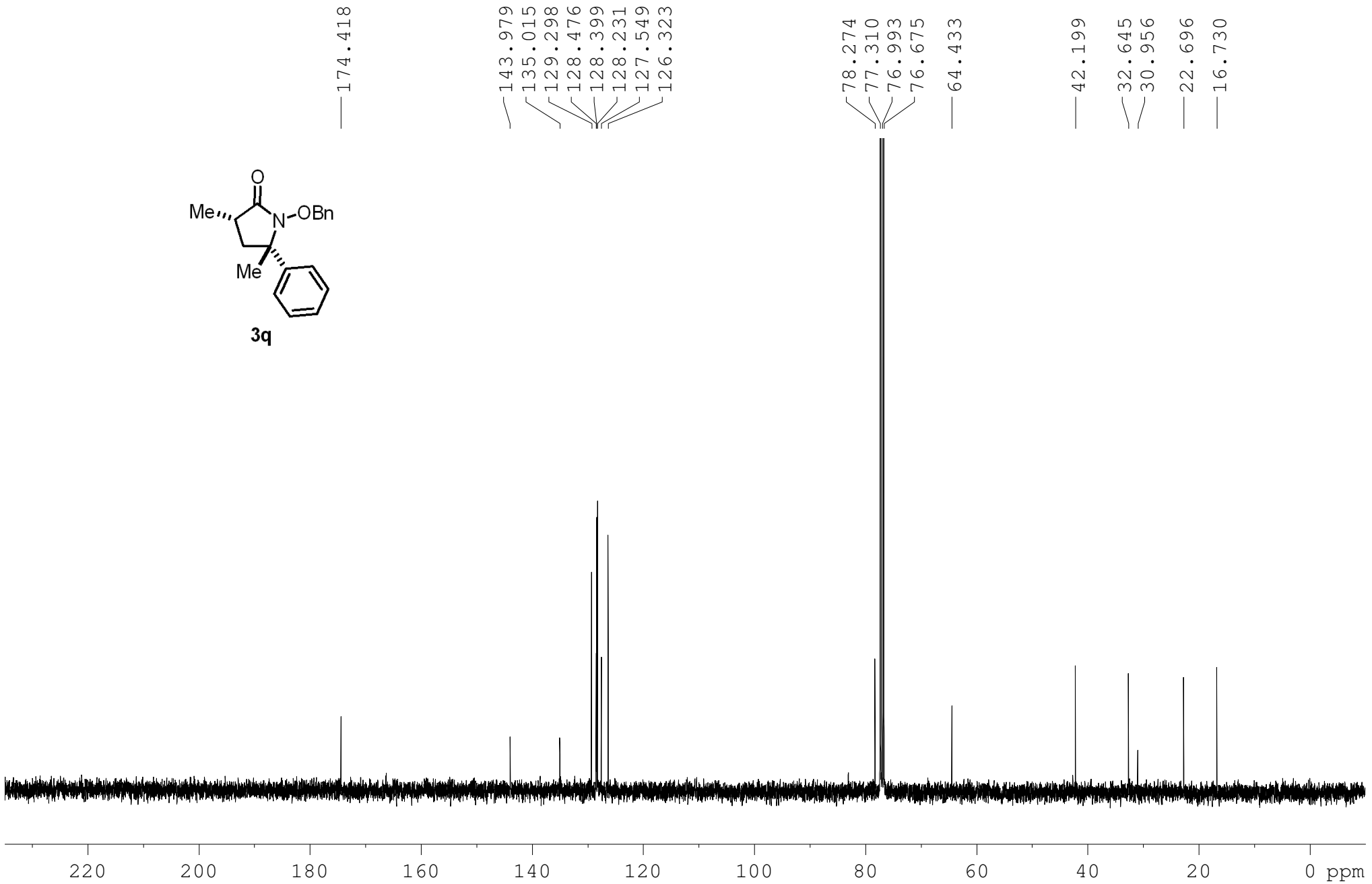


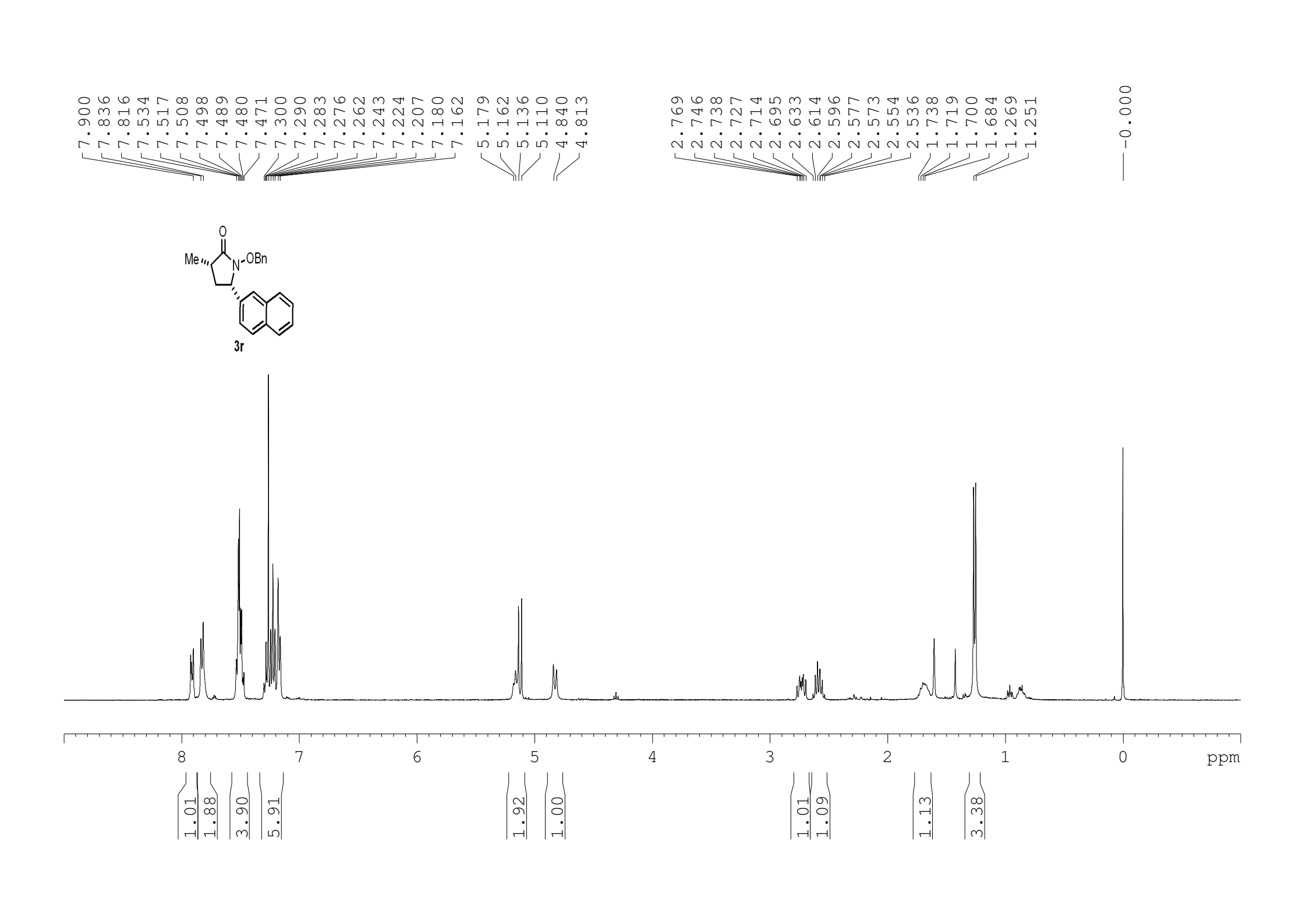

3p




174.60
148.53
135.00
129.90
129.58
129.24
128.64
128.34
126.57
125.44
125.40
122.62
78.26
77.32
77.21
77.01
76.69
64.59
42.25
32.65
29.69
23.25
16.79
O
Me
N
OBn
Me
CF3
3p
230
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-1
f1 (ppm)


7.407
7.402
7.389
7.385
7.369
7.333
7.330
7.320
7.315
7.309
7.297
7.285
7.276
7.270
7.262
7.251
7.207
7.198
7.193
7.184
7.175
5.057
5.033
4.683
4.660
2.655
2.637
2.618
2.614
2.600
2.596
2.577
2.559
2.394
2.371
2.362
2.339
2.003
1.983
1.971
1.951
1.670
1.261
1.243
O
Me
N
OBn
Me
3q
8
7
6
5
4
3
2
1
0
ppm
1.96
1.95
1.02
3.36
1.93
1.00
1.00
1.02
1.02
1.03
2.94
3.03


174.418
143.979
135.015
129.298
128.476
128.399
128.231
127.549
126.323
78.274
77.310
76.993
76.675
64.433
42.199
32.645
30.956
22.696
16.730
O
Me
N
OBn
Me
3q
220
200
180
160
140
120
100
80
60
40
20
0 ppm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7.836
7.816
7.534
7.517
7.508
7.498
7.489
7.480
7.471
7.300
7.290
7.283
7.276
7.262
7.243
7.224
7.207
7.180
7.162
5.179
5.162
5.136
5.110
4.840
4.813
2.769
2.746
2.738
2.727
2.714
2.695
2.633
2.614
2.596
2.577
2.573
2.554
2.536
1.738
1.719
1.700
1.684
1.269
1.251
0.000
O
Me
N
OBn
3r
8
7
6
5
4
3
2
1
0
ppm
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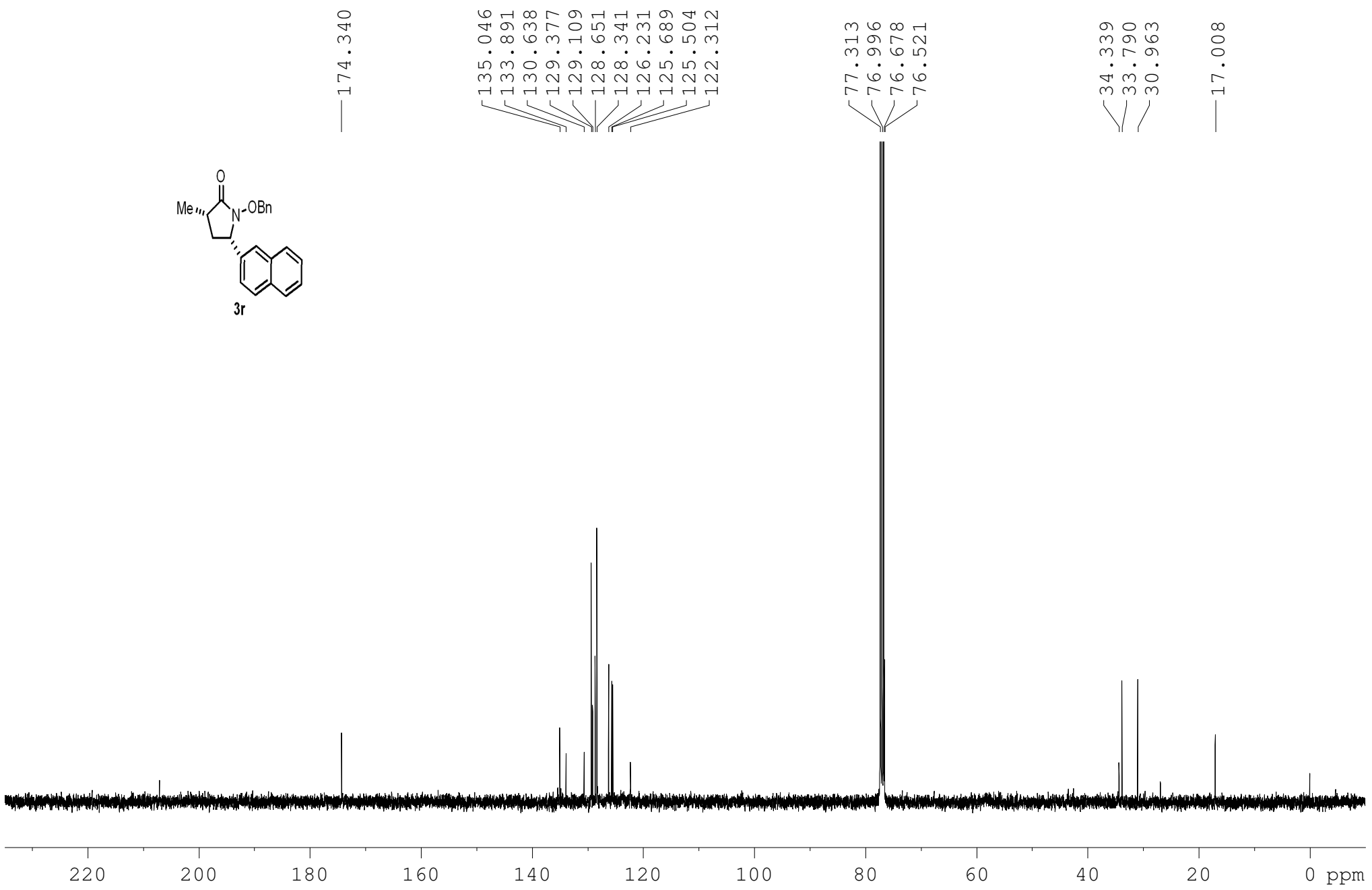
1.88
3.90
5.91
1.92
1.00
1.01
1.09
1.13
3.38


174.340
135.046
133.891
130.638
129.377
129.109
128.651
128.341
126.231
125.689
125.504
122.312
77.313
76.996
76.678
76.521
34.339
33.790
30.963
17.008
O
Me
N
OBn
3r
220
200
180
160
140
120
100
80
60
40
20
0 ppm

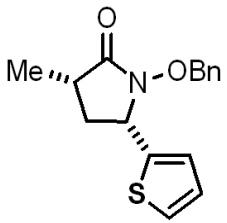
zhang180507-11-3

7.358
7.347
7.346
7.337
7.327
7.303
7.294
7.287
7.277
7.258
7.217
7.212
7.203
7.194
7.104
7.102
7.095
7.094
7.033
7.025
7.021
7.012
6.984
6.981
6.969

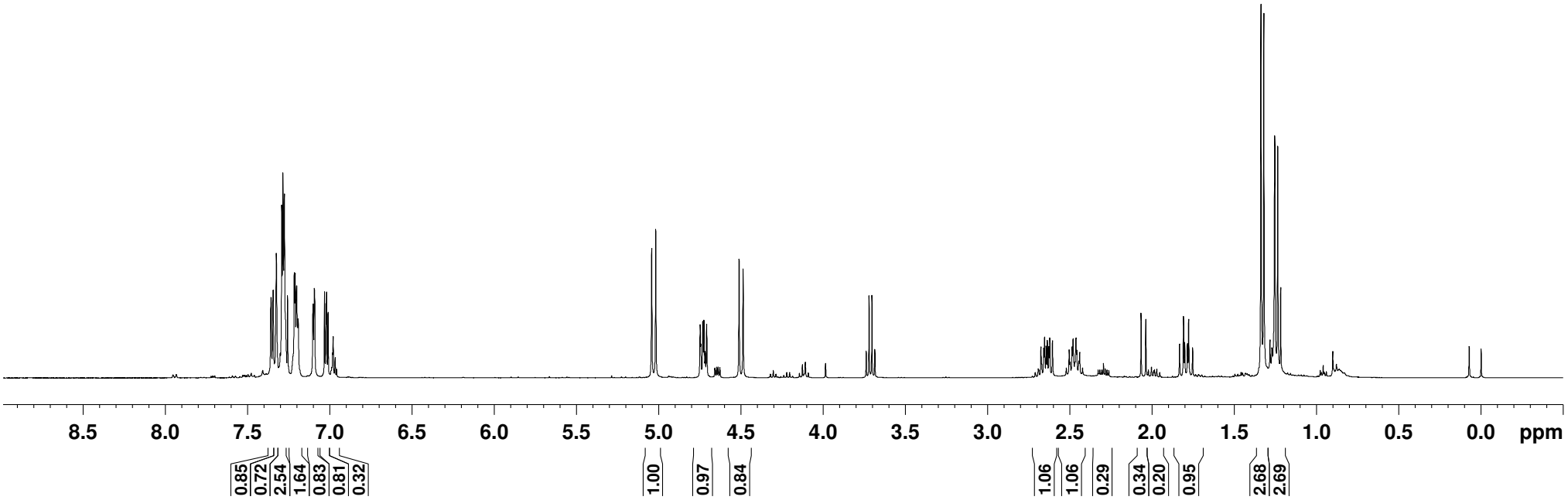
5.044
5.019
4.749
4.745
4.733
4.726
4.718
4.709
4.512
4.488

3.740
3.722
3.704
3.687
2.677
2.660
2.655
2.645
2.638
2.629
2.623
2.607
2.505
2.488
2.481
2.463
2.459
2.441
2.068
2.039
1.834
1.810
1.802
1.786
1.778
1.754
1.339
1.321
1.284
1.273
1.255
1.237
1.219

0.000

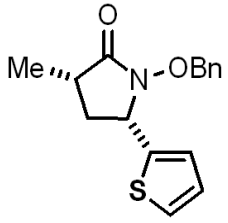



**3s**

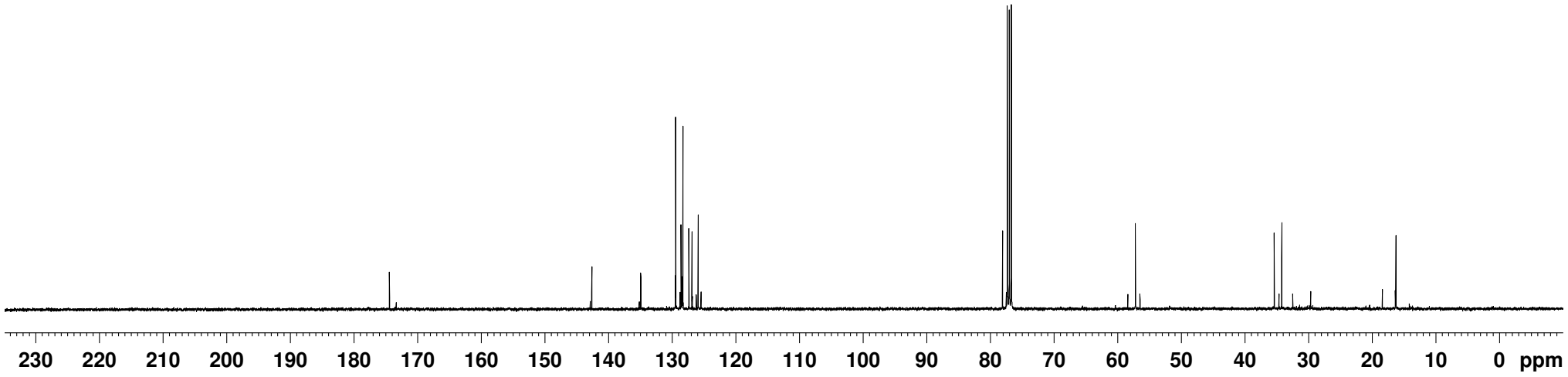


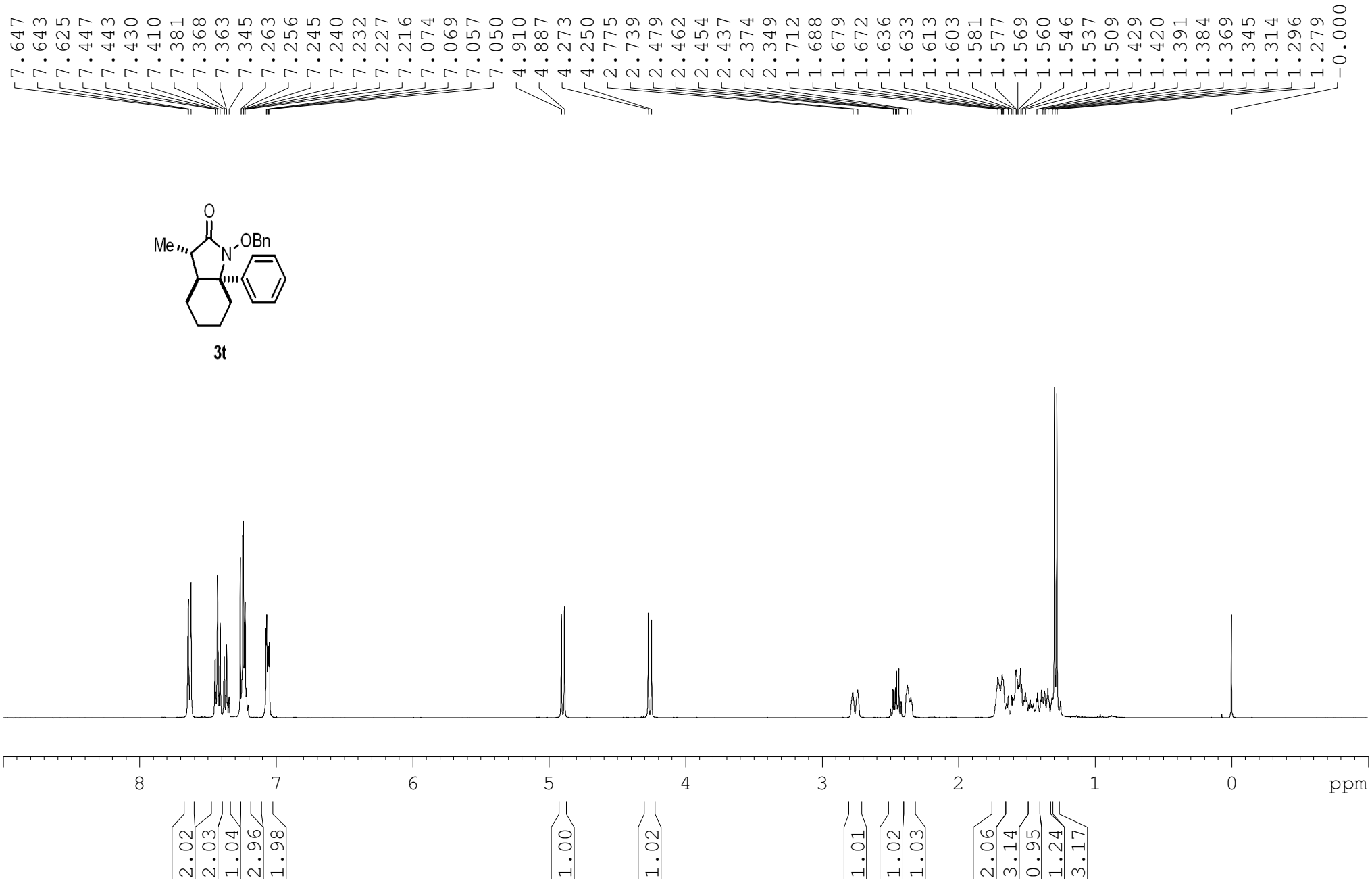
zhang180507-11-3

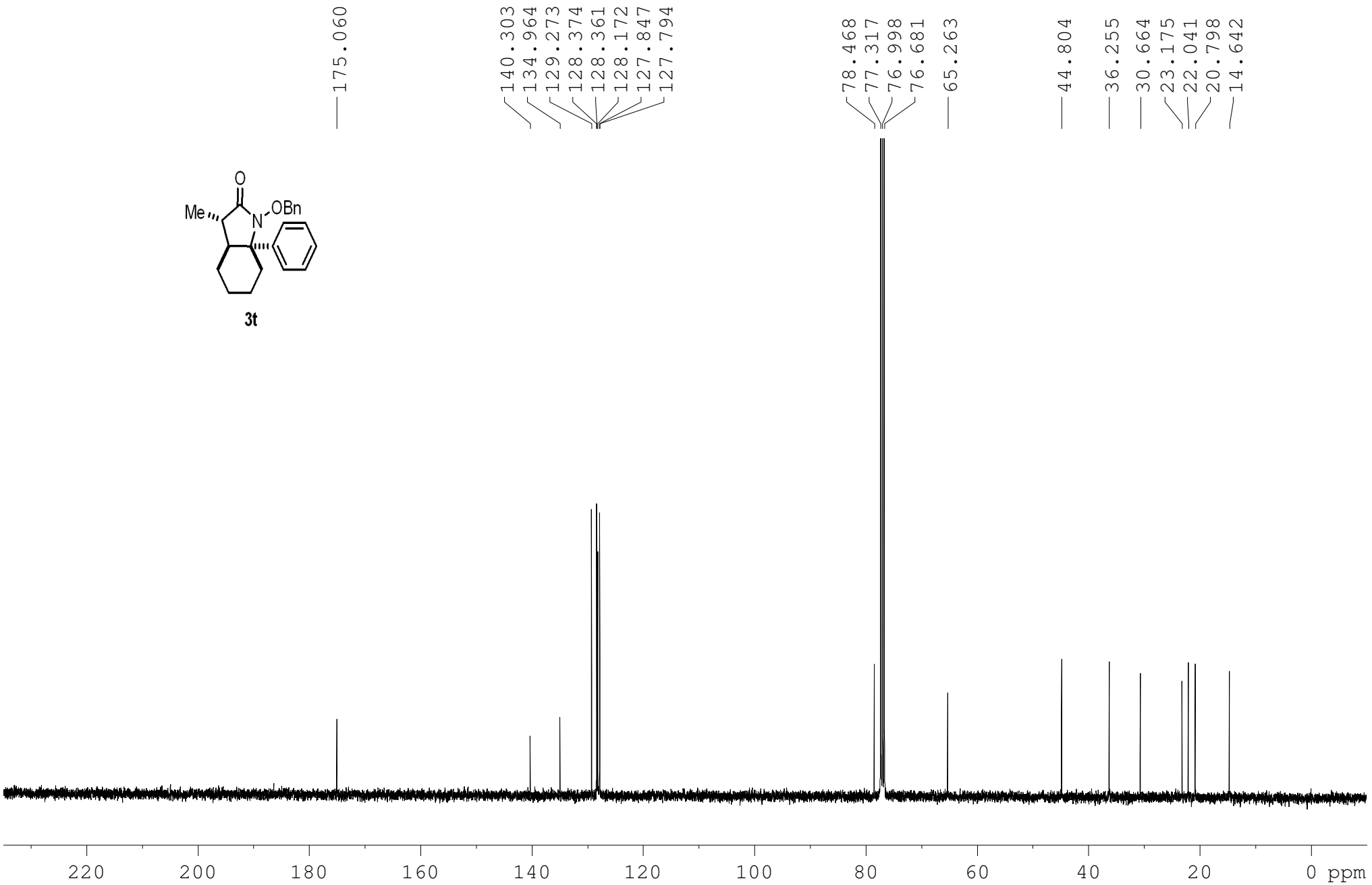
174.41
142.62
134.92
129.48
129.44
128.59
128.39
128.29
127.36
126.88
125.90
78.07
77.32
77.00
76.68
57.19
35.39
34.20
16.26

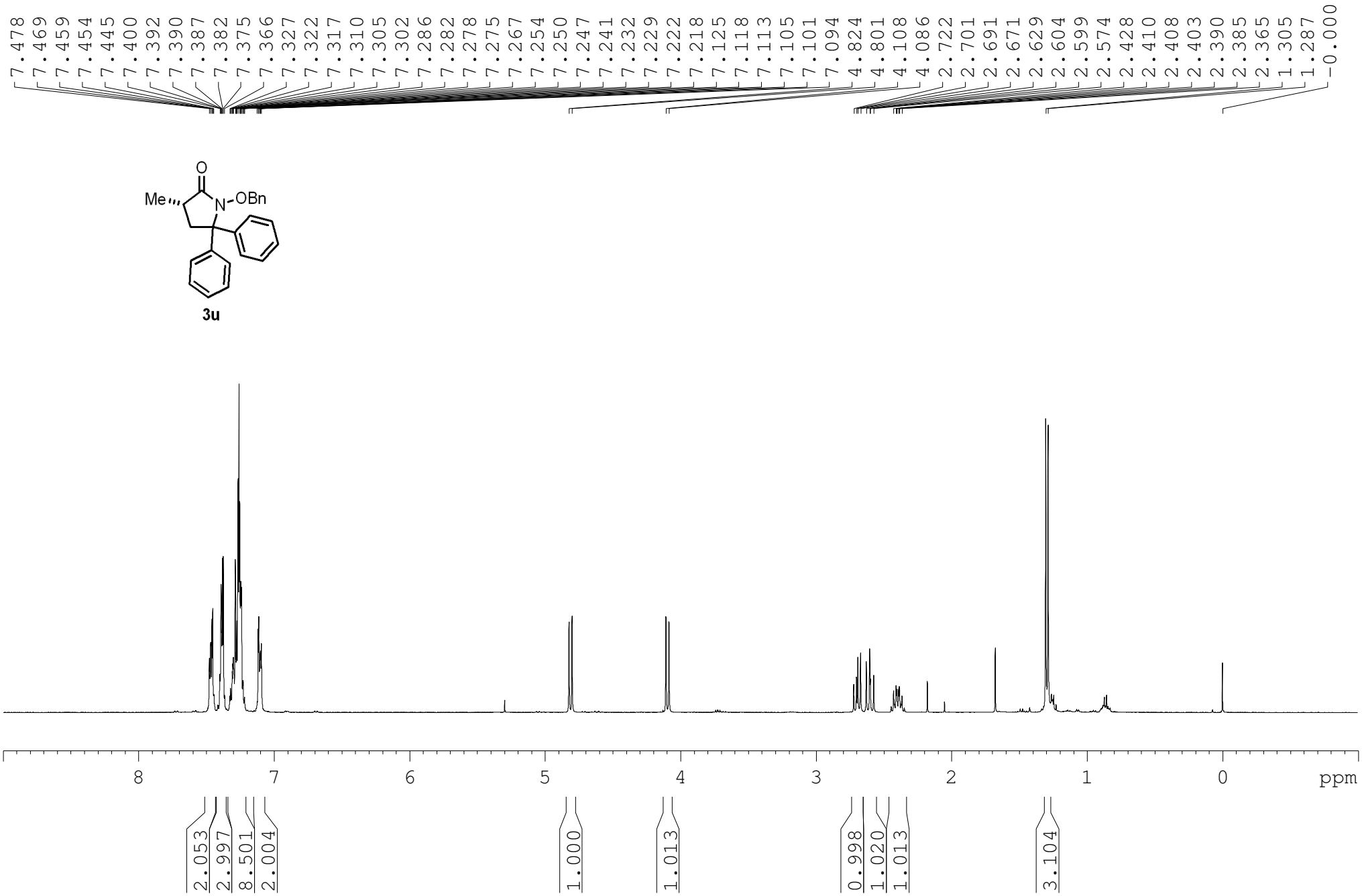


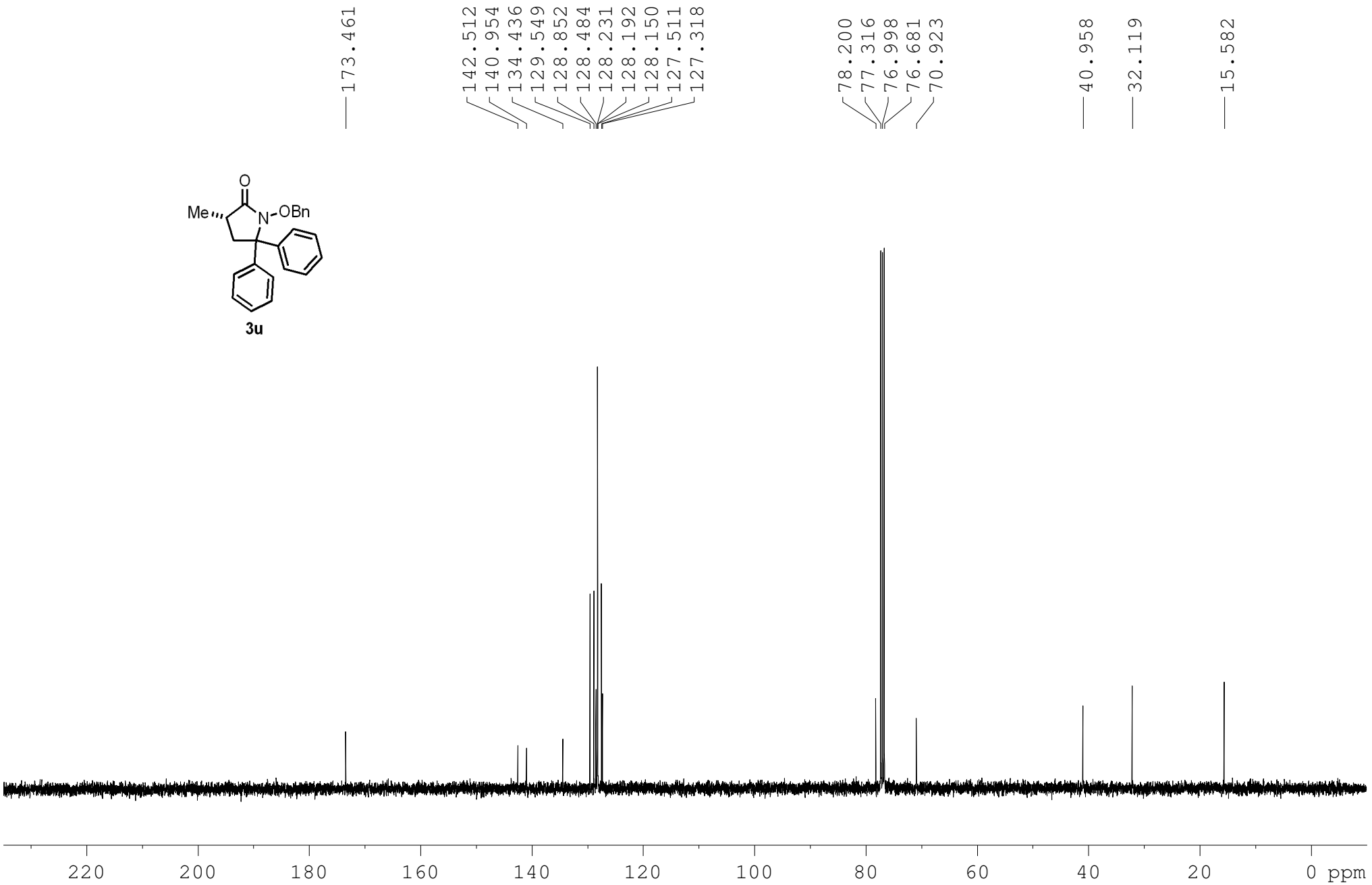

**3s**




7.647
7.643
7.625
7.447
7.443
7.430
7.410
7.381
7.368
7.363
7.345
7.263
7.256
7.245
7.240
7.232
7.227
7.216
7.074
7.069
7.057
7.050
4.910
4.887
4.273
4.250
2.775
2.739
2.479
2.462
2.454
2.437
2.374
2.349
1.712
1.688
1.679
1.672
1.636
1.633
1.613
1.603
1.581
1.577
1.569
1.560
1.546
1.537
1.509
1.429
1.420
1.391
1.384
1.369
1.345
1.314
1.296
1.279
-0.000
O
Me
N
OBn
3t
8
7
6
5
4
3
2
1
0
ppm
2.02
2.03
1.04
2.96
1.98
1.00
1.02
1.01
1.02
1.03
2.06
3.14
0.95
1.24
3.17

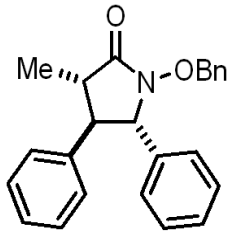

175.060
140.303
134.964
129.273
128.374
128.361
128.172
127.847
127.794
78.468
77.317
76.998
76.681
65.263
44.804
36.255
30.664
23.175
22.041
20.798
14.642
O
Me
N
OBn
3t
220
200
180
160
140
120
100
80
60
40
20
0 ppm


7.478
7.469
7.459
7.454
7.445
7.400
7.392
7.390
7.387
7.382
7.375
7.366
7.327
7.322
7.317
7.310
7.305
7.302
7.286
7.282
7.278
7.275
7.267
7.254
7.250
7.247
7.241
7.232
7.229
7.222
7.218
7.125
7.118
7.113
7.105
7.101
7.094
4.824
4.801
4.108
4.086
2.722
2.701
2.691
2.671
2.629
2.604
2.599
2.574
2.428
2.410
2.408
2.403
2.390
2.385
2.365
1.305
1.287
0.000
O
Me
N
OBn
3u
8
7
6
5
4
3
2
1
0
ppm
2.053
2.997
8.501
2.004
1.000
1.013
0.998
1.020
1.013
3.104

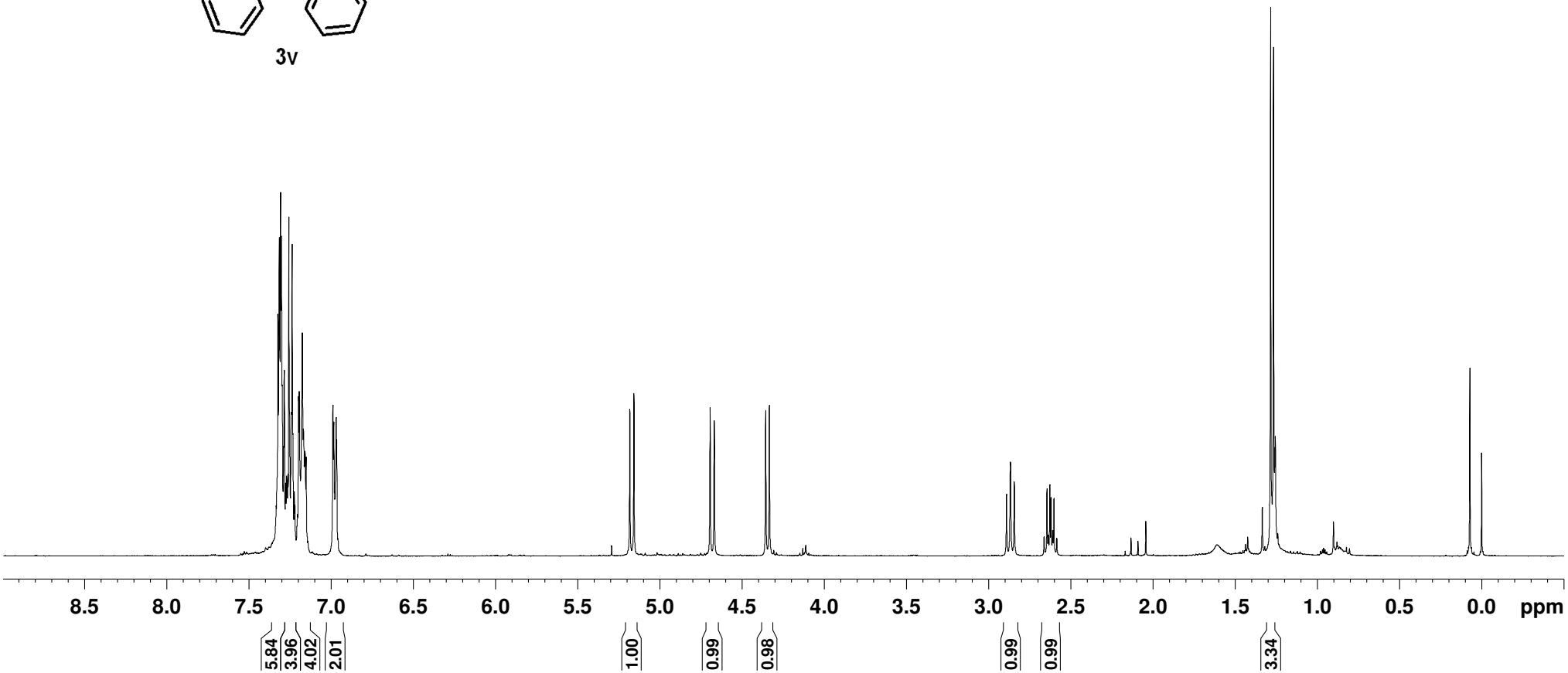

173.461
142.512
140.954
134.436
129.549
128.852
128.484
128.231
128.192
128.150
127.511
127.318
78.200
77.316
76.998
76.681
70.923
40.958
32.119
15.582
O
Me
N
OBn
3u
220
200
180
160
140
120
100
80
60
40
20
0 ppm

zhang180503-2-2

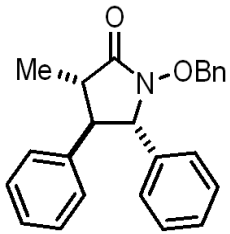
7.334
7.323
7.315
7.311
7.307
7.303
7.298
7.289
7.285
7.276
7.271
7.262
7.257
7.241
7.237
7.232
7.224
7.220
7.204
7.198
7.194
7.178
7.175
7.168
7.162
7.158
7.151
6.989
6.983
6.979
6.969
6.965
5.182
5.157
4.694
4.668
4.356
4.333
2.890
2.866
2.843
2.662
2.644
2.637
2.627
2.619
2.610
2.602
2.584
1.284
1.266
0.000




3v



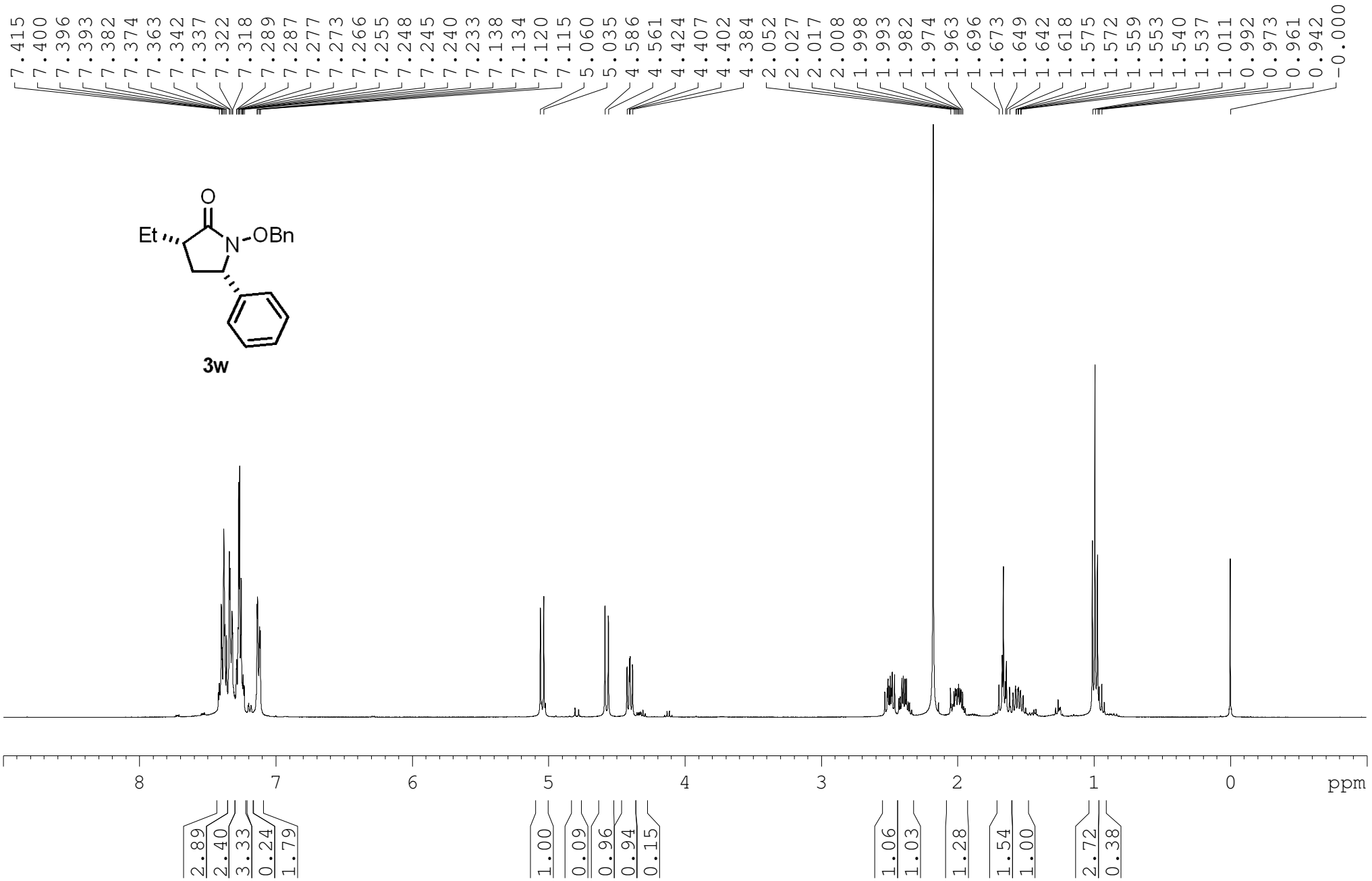
zhang180503-2-2

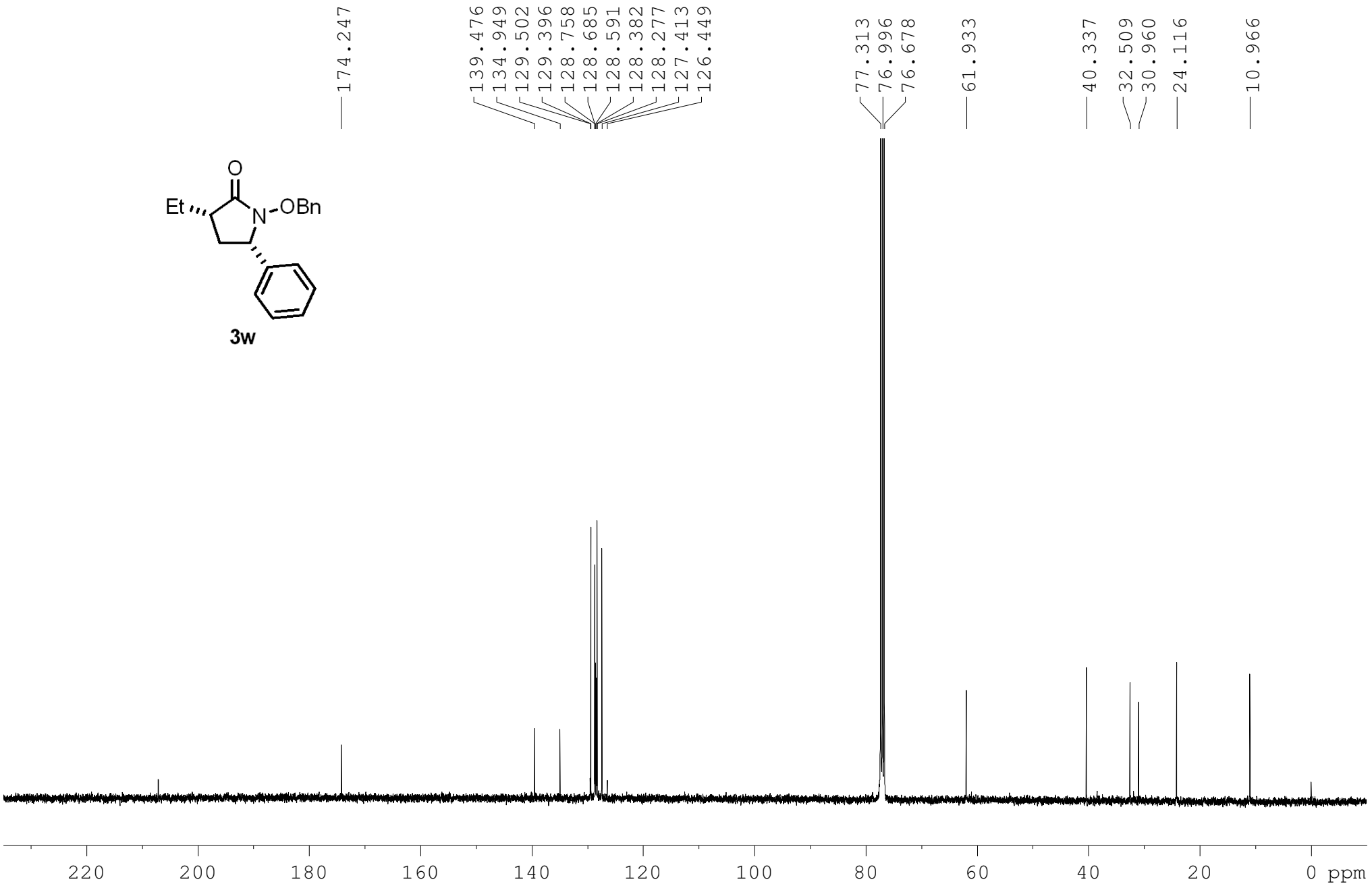


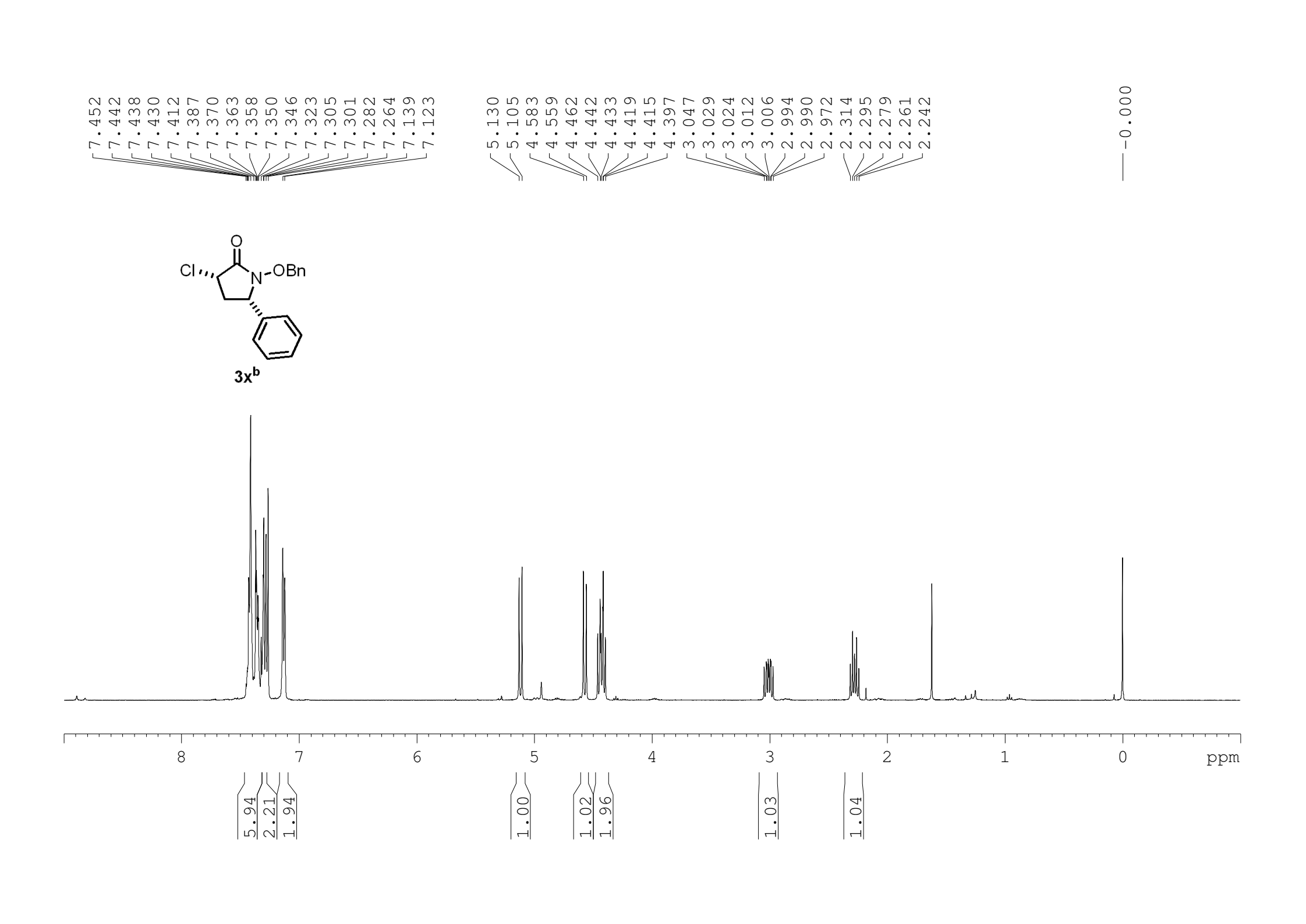

3v

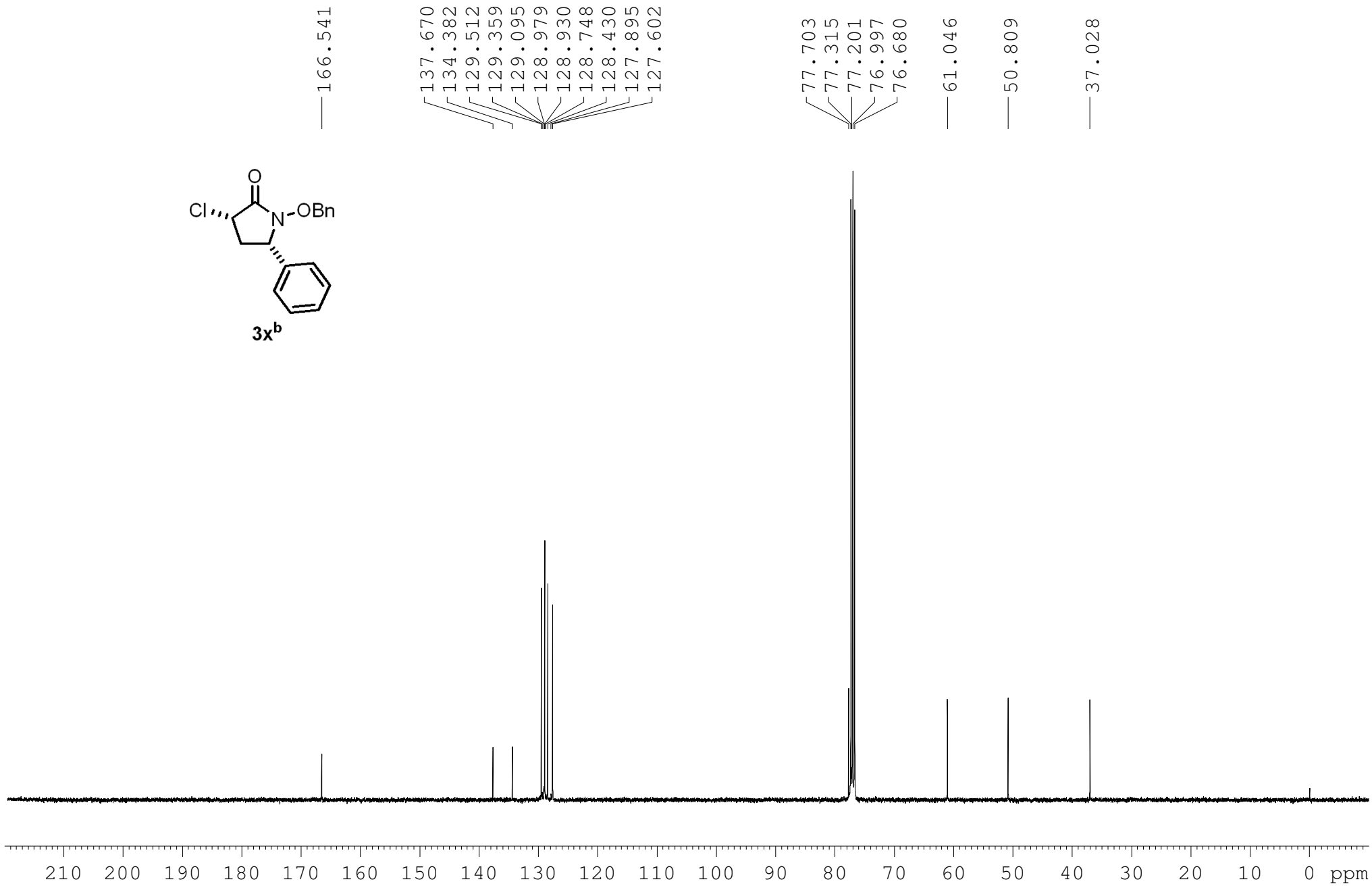
173.26
138.26
137.64
135.05
129.59
128.74
128.58
128.42
128.38
127.79
127.54
127.47
77.32
77.00
76.68
69.36
54.93
42.21
14.71

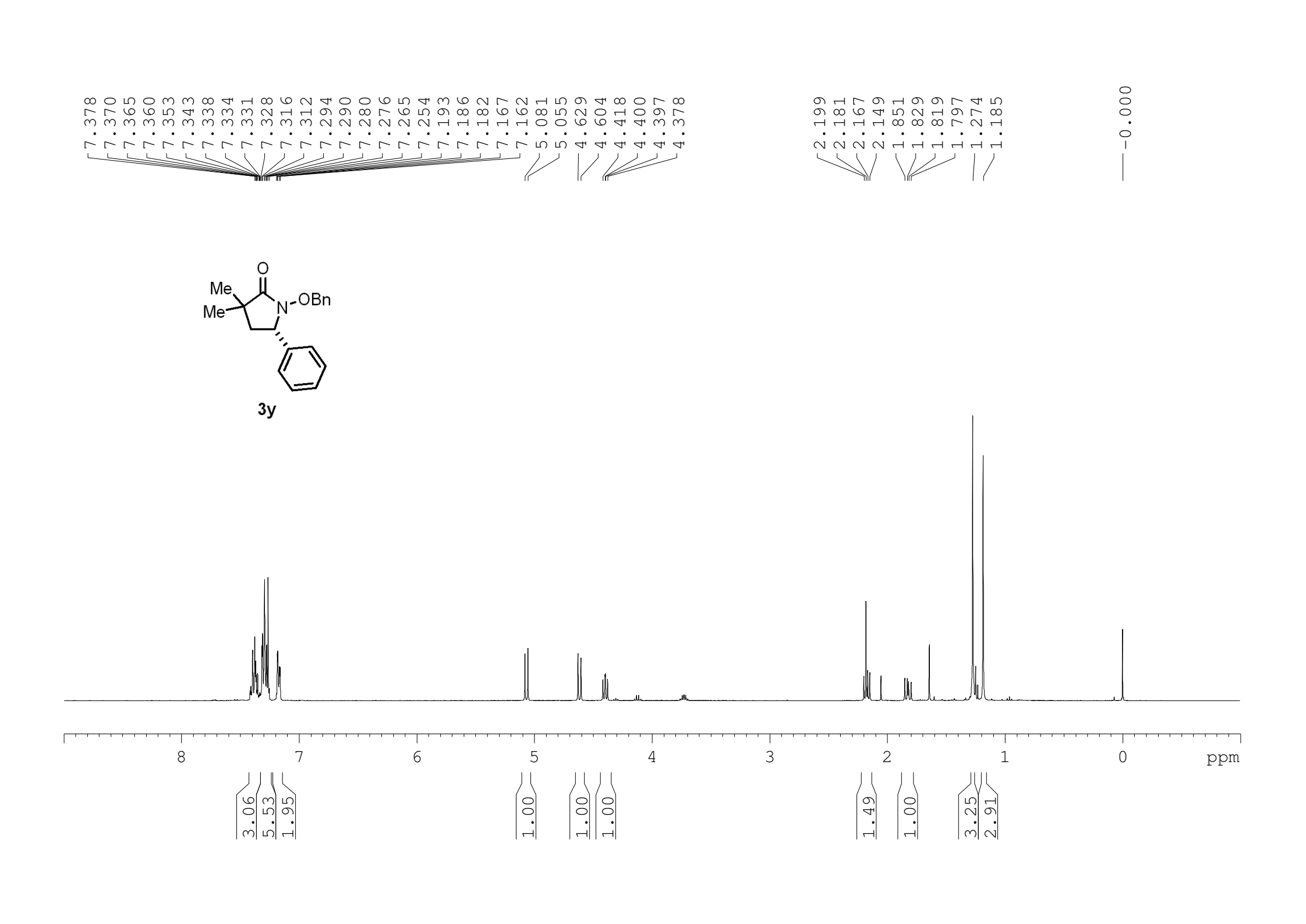
230 220 210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 ppm

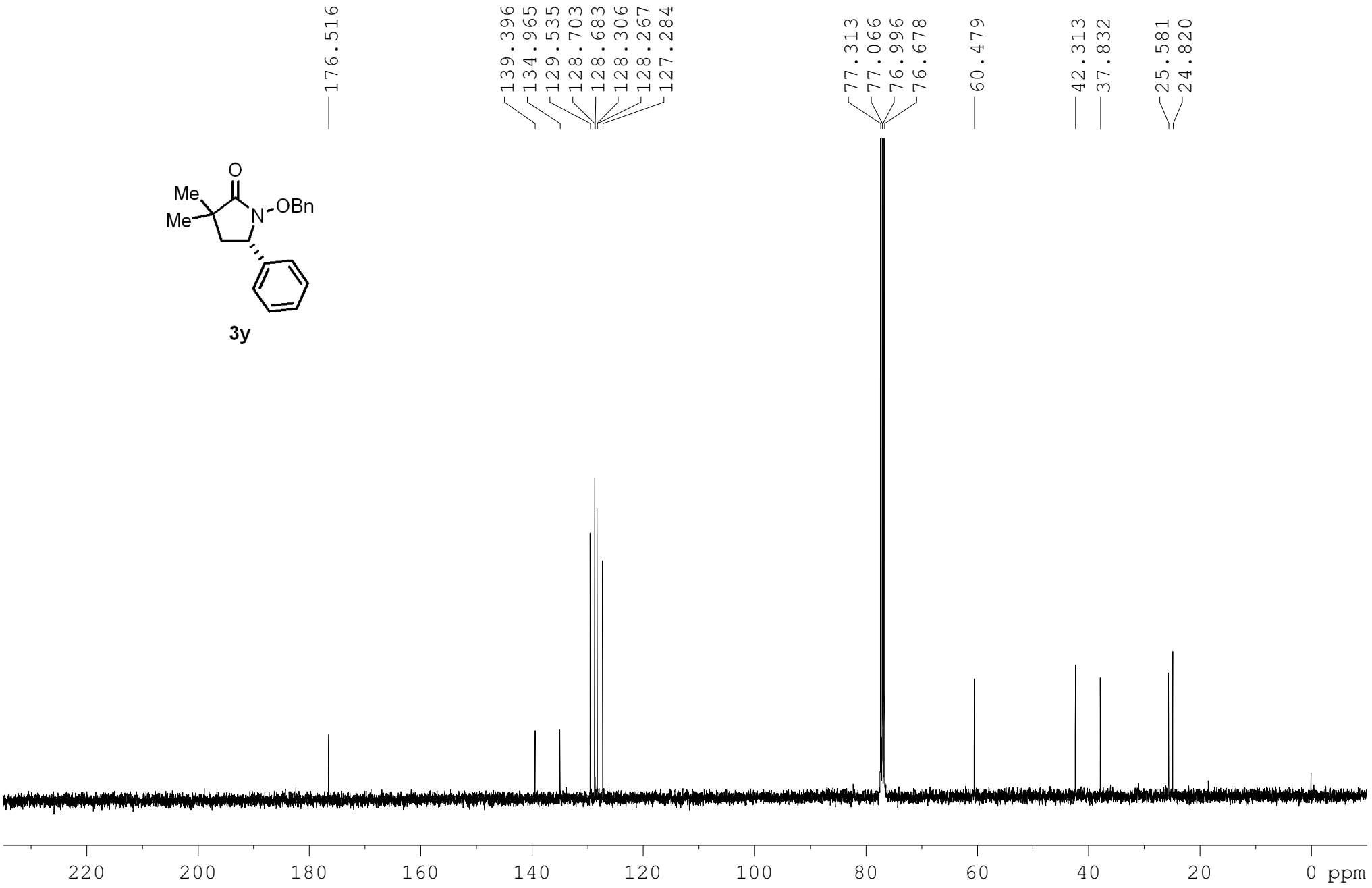

7.415
7.400
7.396
7.393
7.382
7.374
7.363
7.342
7.337
7.322
7.318
7.289
7.287
7.277
7.273
7.266
7.255
7.248
7.245
7.240
7.233
7.138
7.134
7.120
7.115
5.060
5.035
4.586
4.561
4.424
4.407
4.402
4.384
2.052
2.027
2.017
2.008
1.998
1.993
1.982
1.974
1.963
1.696
1.673
1.649
1.642
1.618
1.575
1.572
1.559
1.553
1.540
1.537
1.011
0.992
0.973
0.961
0.942
-0.000
O
Et
N
OBn
3w
8
7
6
5
4
3
2
1
0
ppm
2.89
2.40
3.33
0.24
1.79
1.00
0.09
0.96
0.94
0.15
1.06
1.03
1.28
1.54
1.00
2.72
0.38


174.247
139.476
134.949
129.502
129.396
128.758
128.685
128.591
128.382
128.277
127.413
126.449
77.313
76.996
76.678
61.933
40.337
32.509
30.960
24.116
10.966
O
Et
N
OBn
3w
220
200
180
160
140
120
100
80
60
40
20
0 ppm


7.452
7.442
7.438
7.430
7.412
7.387
7.370
7.363
7.358
7.350
7.346
7.323
7.305
7.301
7.282
7.264
7.139
7.123
5.130
5.105
4.583
4.559
4.462
4.442
4.433
4.419
4.415
4.397
3.047
3.029
3.024
3.012
3.006
2.994
2.990
2.972
2.314
2.295
2.279
2.261
2.242
0.000
Cl
O
N
OBn
3x^b
8
7
6
5
4
3
2
1
0
ppm
5.94
2.21
1.94
1.00
1.02
1.96
1.03
1.04


166.541
137.670
134.382
129.512
129.359
129.095
128.979
128.930
128.748
128.430
127.895
127.602
77.703
77.315
77.201
76.997
76.680
61.046
50.809
37.028
O
Cl
N
OBn
3x^b
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 ppm


7.378
7.370
7.365
7.360
7.353
7.343
7.338
7.334
7.331
7.328
7.316
7.312
7.294
7.290
7.280
7.276
7.265
7.254
7.193
7.186
7.182
7.167
7.162
5.081
5.055
4.629
4.604
4.418
4.400
4.397
4.378
2.199
2.181
2.167
2.149
1.851
1.829
1.819
1.797
1.274
1.185
0.000
O
Me
Me
N
OBn
3y
8
7
6
5
4
3
2
1
0
ppm
3.06
5.53
1.95
1.00
1.00
1.00
1.49
1.00
3.25
2.91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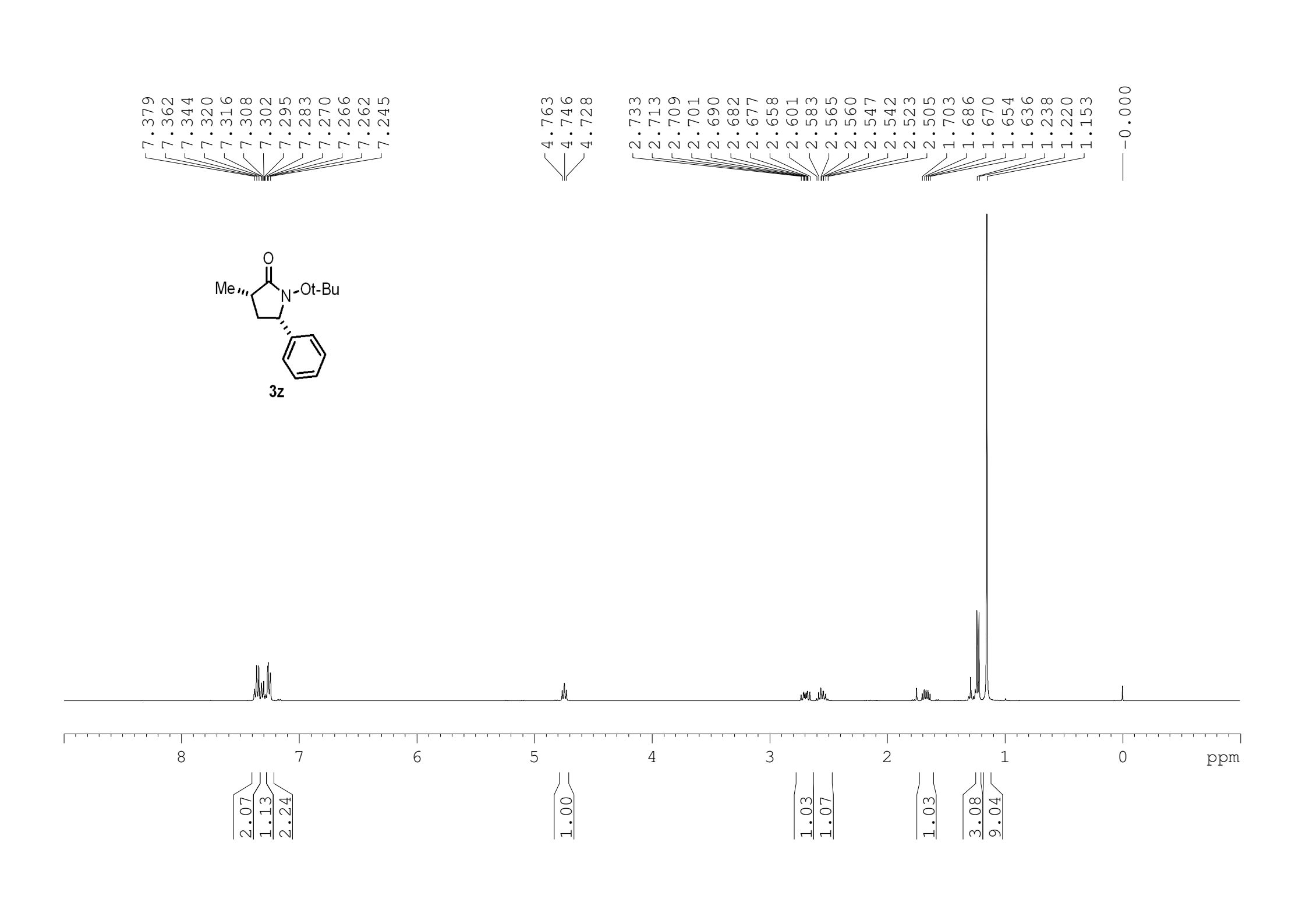
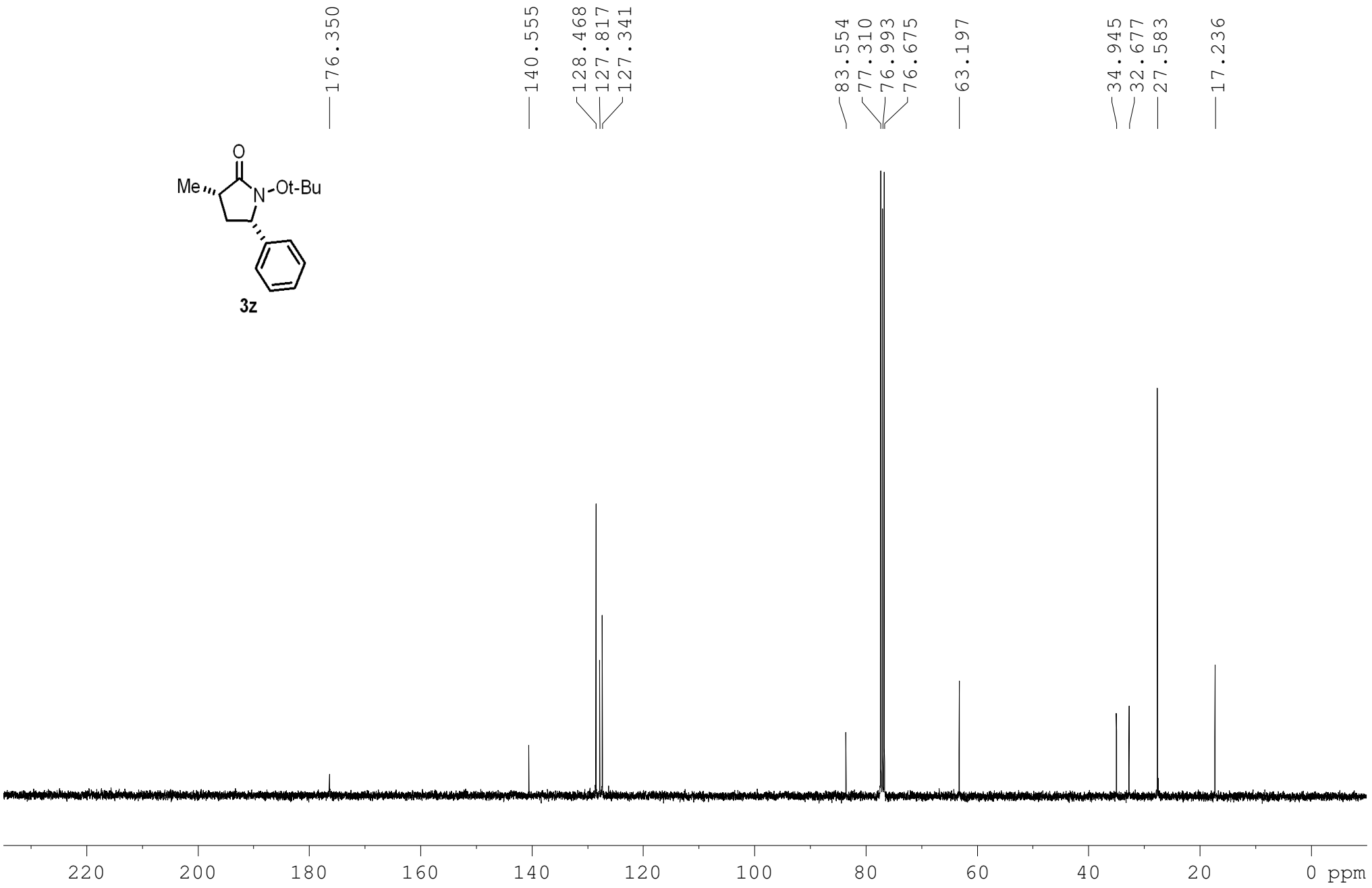
139.396
134.965
129.535
128.703
128.683
128.306
128.267
127.284
77.313
77.066
76.996
76.678
60.479
42.313
37.832
25.581
24.820
O
Me
Me
N
OBn
3y
220
200
180
160
140
120
100
80
60
40
20
0 ppm


7.379
7.362
7.344
7.320
7.316
7.308
7.302
7.295
7.283
7.270
7.266
7.262
7.245
4.763
4.746
4.728
2.733
2.713
2.709
2.701
2.690
2.682
2.677
2.658
2.601
2.583
2.565
2.560
2.547
2.542
2.523
2.505
1.703
1.686
1.670
1.654
1.636
1.238
1.220
1.153
-0.000
O
Me
N
Ot-Bu
3z
8
7
6
5
4
3
2
1
0
ppm
2.07
1.13
2.24
1.00
1.03
1.07
1.03
3.08
9.04


176.350
140.555
128.468
127.817
127.341
83.554
77.310
76.993
76.675
63.197
34.945
32.677
27.583
17.236
O
Me
N
Ot-Bu
3z
220
200
180
160
140
120
100
80
60
40
20
0 ppm