*Supporting Information for*

# Rh-catalyzed Aminative Dearomatization of 2-Naphthols

Ji-Cheng Yi[a,b], Hang-Fei Tu[a], Shu-Li You*[a,b]

[a]State Key Laboratory of Organometallic Chemistry, Shanghai Institute of Organic Chemistry, Center for Excellence in Molecular Synthesis, University of Chinese Academy of Sciences, Chinese Academy of Sciences 345 Lingling Lu, Shanghai 200032, China

[b]School of Physical Science and Technology, ShanghaiTech University, 100 Haike Road, Shanghai 201210, China

E-mail: slyou@sioc.ac.cn

Homepage: http://shuliyou.sioc.ac.cn

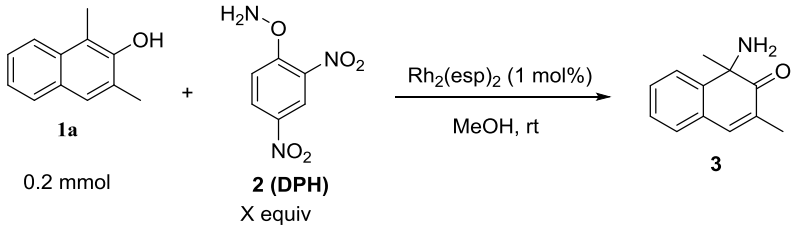
## Table of Contents

**General methods**. Unless stated otherwise, all reactions were carried out in flame-dried glassware under a dry argon atmosphere. All solvents were purified and dried according to standard methods prior to use.

$^{1}H$ and $^{13}C$ NMR spectra were recorded on a Varian instrument (400 MHz and 100 MHz, respectively), an Agilent instrument (400, 600 MHz and 100, 150 MHz, respectively) or a Bruker instrument (400 MHz and 100 MHz, respectively) and internally referenced to tetramethylsilane signal or residual protio solvent signals. $^{19}F$ NMR spectra were recorded on a Varian instrument, Agilent instrument (376 MHz) or a Bruker instrument (376 MHz) and internally referenced to $CFCl_3$. Data for $^{1}H$ NMR are recorded as follows: chemical shift (δ, ppm), multiplicity (s = singlet, d = doublet, t = triplet, m = multiplet or unresolved, brs = broad singlet, coupling constant (s) in Hz, integration). Data for $^{13}C$ NMR and $^{19}F$ NMR are reported in terms of chemical shift (δ, ppm).

## Optimization of reaction conditions

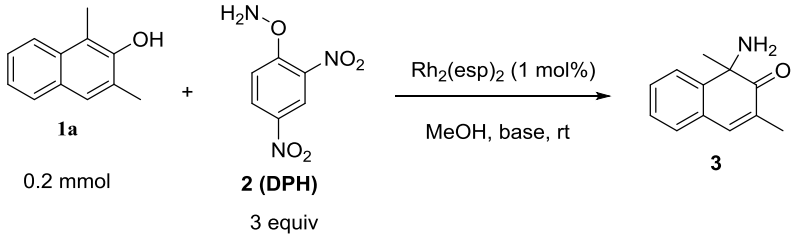
### Table S1 DPH equivalent screening




| entry[a] | X | **1a** (%)[b] | **3** (%)[b] |
|---|---|---|---|
| 1 | 1.5 | 31 | 49 |
| 2[c] | 1.5 | 28 | 51 |
| 3 | 2.0 | 16 | 49 |
| **4** | **3.0** | **7** | **57** |
| 5[c] | 3.0 | 5 | 56 |
| 6 | 5.0 | 2 | 55 |

[a] Reaction conditions: **1a** (0.2 mmol), **2** (0.2X mmol), $Rh_2(esp)_2$ (1 mol%) in MeOH (2.0 mL) at rt, [b] Determined by $^1H$ NMR using $CH_2Br_2$ (0.2 mmol) as an internal standard. [c] 2 mol% of $Rh_2(esp)_2$ was used.

### Table S2 Base screening

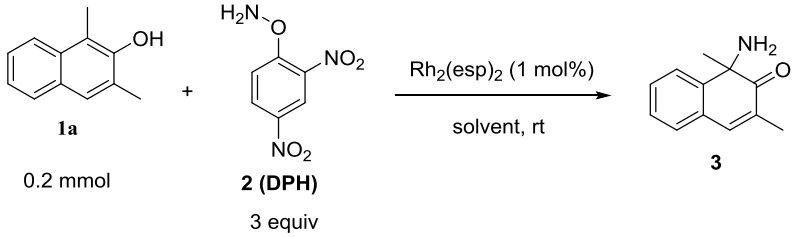



| entry[a] | base | **1a** (%)[b] | **3** (%)[b] |
|---|---|---|---|
| 1 | $K_2CO_3$ | 28 | 46 |
| 2 | $K_3PO_4$ | 27 | 40 |

| | | | |
|---|---|---|---|
| 3 | $Cs_2CO_3$ | 24 | 37 |
| 4 | $^{t}$BuOK | | 0 |
| 5 | KOAc | 15 | 0 |
| 6 | $Et_3N$ | 48 | 0 |
| 7 | DBU | 47 | 0 |
| 8 | DABCO | 71 | 0 |
| **9** | **-** | **7** | **57** |

[a] Reaction conditions: **1a** (0.2 mmol), **2** (0.6 mmol), base (0.3 mmol), $Rh_2(esp)_2$ (1 mol%) in MeOH (2.0 mL) at rt, [b] Determined by $^{1}$H NMR using $CH_2Br_2$ (0.2 mmol) as an internal standard.

**Table S3 Solvent screening**

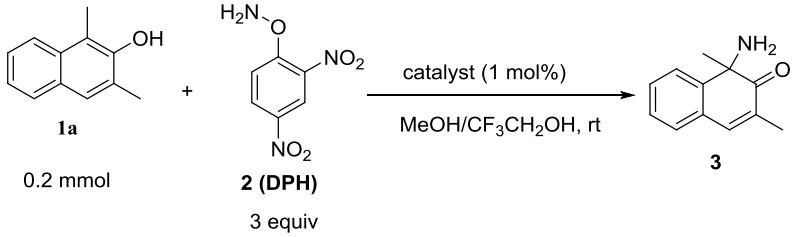



| entry[a] | solvent | **1a** (%)[b] | **3** (%)[b] |
|---|---|---|---|
| **1** | **MeOH** | **7** | **57** |
| 2 | $CH_3CH_2OH$ | 10 | 50 |
| 3 | $^{i}$PrOH | 17 | 49 |
| 4 | $^{t}$BuOH | 27 | 37 |
| 5 | $^{t}$Amyl-OH | 43 | 26 |
| 6 | $CF_3CH_2OH$ | 3 | 49 |
| 7 | HFIP | 47 | - |
| 8 | EtOAc | 33 | 31 |
| 9 | $CH_3CN$ | 28 | 42 |

| | | | |
|---|---|---|---|
| 10 | toluene | 43 | - |
| 11 | dioxane | 30 | - |
| 12 | DMSO | - | - |
| 13 | $Et_3N$ | - | - |
| 14 | MeOH (1 mL) | 5 | 38 |
| 15 | MeOH (4 mL) | 9 | 55 |
| 16 | MeOH/EtOAc | 11 | 55 |
| **17** | **MeOH/$CF_3CH_2OH$**[d] | **2** | **61 (59**[c]**)** |
| 19 | $CH_3CN/CF_3CH_2OH$ | - | 50[c] |
| 20 | $^{t}$Amyl-OH/$CF_3CH_2OH$ | - | 40[c] |
| 21 | $^{i}$PrOH/$CF_3CH_2OH$ | - | 49[c] |
| 22 | MeOH (1.6 mL)/$CF_3CH_2OH$ (0.4 mL) | - | 53[c] |
| 23 | MeOH (0.4 mL)/$CF_3CH_2OH$ (1.6 mL) | - | 48[c] |

[a] Reaction conditions: **1a** (0.2 mmol), **2** (0.6 mmol), $Rh_2(esp)_2$ (1 mol%) in MeOH (2.0 mL) at rt, [b] Determined by $^1$H NMR using $CH_2Br_2$ (0.2 mmol) as an internal standard. [c] Isolated yields. [d] $CF_3CH_2OH$ (1.0 mL) and MeOH (1.0 mL) were used as co-solvent.

**Table S4 catalyst screening**



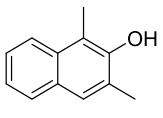

| entry[a] | catalyst | **1a** (%)[b] | **3** (%)[b] |
|---|---|---|---|
| **1** | **$Rh_2(esp)_2$** | **2** | **61** |
| 2 | $Rh_2(OAc)_4$ | 53 | 11 |

| | | | |
|---|---|---|---|
| 3 | $Rh_2(TFA)_4$ | 97 | - |
| 4 | $[Rh(COD)Cl]_2$ | 97 | trace |
| 5 | $RhCl_3$ | 100 | - |
| 6 | $[Ir(COD)Cl]_2$ | 90 | trace |
| 7 | $Pd(OAc)_2$ | 100 | - |
| 8 | CuBr | 67 | trace |
| 9 | CuI | decomposed | - |
| 10 | $Cu(OTf)_2$ | 78 | trace |
| 11 | $Sc(OTf)_3$ | 100 | - |
| 12 | $Zn(OTf)_2$ | 100 | - |
| 13 | JohnPhosAuCl | 100 | - |

[a] Reaction conditions: **1a** (0.2 mmol), **2** (0.6 mmol), catalyst (1 mol%) in $CF_3CH_2OH$ (1.0 mL) and MeOH (1.0 mL) at rt, [b] Determined by $^1H$ NMR using $CH_2Br_2$ (0.2 mmol) as an internal standard.

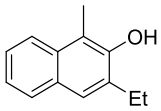
**General procedure for the synthesis of substrates**

The synthesis of substituted naphthols was accomplished following the reported procedures.[1, 3-7]



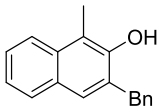

**1a**

**1a**,[1] $^1H$ NMR (400 MHz, $CDCl_3$) δ 7.86 (d, *J* = 8.4 Hz, 1H), 7.69 (d, *J* = 8.4 Hz, 1H), 7.48 (s, 1H), 7.45-7.40 (m, 1H), 7.33-7.29 (m, 1H), 4.88 (s, 1H), 2.52 (s, 3H), 2.42 (s, 3H).



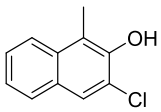

**1b**

**1b**,[2] $^1$H NMR (400 MHz, $CDCl_3$) δ 7.86 (d, *J* = 8.4 Hz, 1H), 7.73 (d, *J* = 8.4 Hz, 1H), 7.49 (s, 1H), 7.45-7.41 (m, 1H), 7.33-7.30 (m, 1H), 4.92 (s, 1H), 2.80 (q, *J* = 7.6 Hz, 2H), 2.53 (s, 3H), 1.35 (t, *J* = 7.6 Hz, 3H).



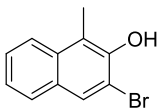

**1c**

**1c**,[3] $^1$H NMR (400 MHz, $CDCl_3$) δ 7.88 (d, *J* = 8.8 Hz, 1H), 7.73 (d, *J* = 8.0 Hz, 1H), 7.51 (s, 1H), 7.45 (t, *J* = 7.6 Hz, 1H), 7.35-7.22 (m, 6H), 4.83 (s, 1H), 4.17 (s, 2H), 2.50 (s, 3H).



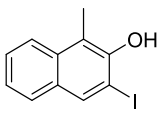

**1d**

**1d**,[1] $^1$H NMR (400 MHz, $CDCl_3$) δ 7.87 (d, *J* = 8.4 Hz, 1H), 7.72 (s, 1H), 7.66 (d, *J* = 8.0 Hz, 1H), 7.49-7.45 (m, 1H), 7.37-7.33 (m, 1H), 5.77 (s, 1H), 2.58 (s, 3H).



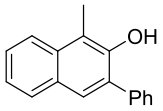

**1e**

**1e**,[1] $^1$H NMR (400 MHz, $CDCl_3$) δ 7.90-7.88 (m, 2H), 7.69-7.66 (m, 1H), 7.51-7.47 (m, 1H), 7.36-7.32 (m, 1H), 5.70 (s, 1H), 2.61 (s, 3H).



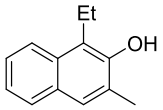

**1f**

**1f**,[1] $^1$H NMR (400 MHz, $CDCl_3$) δ 8.14 (s, 1H), 7.89 (d, *J* = 8.4 Hz, 1H), 7.65 (d, *J* = 8.0 Hz, 1H), 7.51-7.48 (m, 1H), 7.35-7.31 (m, 1H), 5.39 (s, 1H), 2.62 (s, 3H).



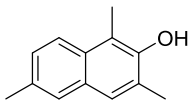

**1g**

**1g**,[1] $^1$H NMR (400 MHz, $CDCl_3$) δ 7.95 (d, *J* = 8.4 Hz, 1H), 7.78 (d, *J* = 8.4 Hz, 1H), 7.60 (s, 1H), 7.54-7.44 (m, 6H), 7.37-7.34 (m, 1H), 5.33 (s, 1H), 2.61 (s, 3H).



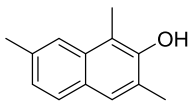

**1h**

**1h**,[1] $^1$H NMR (400 MHz, $CDCl_3$) δ 7.88 (d, *J* = 8.8 Hz, 1H), 7.70 (d, *J* = 8.4 Hz, 1H), 7.49 (s, 1H), 7.44-7.40 (m, 1H), 7.32-7.28 (m, 1H), 4.90 (s, 1H), 3.06 (q, *J* = 7.6 Hz, 2H), 2.43 (s, 3H), 1.28 (t, *J* = 7.6 Hz, 3H).



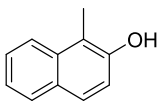

**1i**

**1i**,[4] $^1$H NMR (400 MHz, $CDCl_3$) δ 7.76 (d, *J* = 8.4 Hz, 1H), 7.46 (s, 1H), 7.40 (s, 1H), 7.27 (d, *J* = 8.0 Hz, 1H), 4.80 (s, 1H), 2.51 (s, 3H), 2.46 (s, 3H), 2.42 (s, 3H).



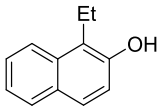

**1j**

**1j**,[5] $^1$H NMR (400 MHz, $CDCl_3$) δ 7.62 (s, 1H), 7.59 (d, *J* = 8.4 Hz, 1H), 7.44 (s, 1H), 7.15 (d, *J* = 8.0 Hz, 1H), 4.85 (s, 1H), 2.51 (s, 6H), 2.41 (s, 3H).



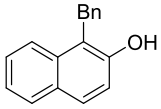

**1k**

**1k**,[1] $^1$H NMR (400 MHz, $CDCl_3$) δ 7.91 (d, *J* = 8.4 Hz, 1H), 7.77 (d, *J* = 8.0 Hz, 1H), 7.62 (d, *J* = 8.8 Hz, 1H), 7.51-7.47 (m, 1H), 7.36-7.32 (m, 1H), 7.06 (d, *J* = 8.8 Hz, 1H), 4.84 (s, 1H), 2.54 (s, 3H).



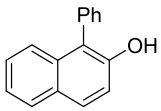

**1l**

**1l**,[3] $^1$H NMR (400 MHz, $CDCl_3$) δ 7.94 (d, *J* = 8.4 Hz, 1H), 7.77 (d, *J* = 8.0 Hz, 1H), 7.62 (d, *J* = 8.8 Hz, 1H), 7.50-7.47 (m, 1H), 7.35-7.31 (m, 1H), 7.06 (d, *J* = 8.8 Hz, 1H), 4.86 (s, 1H), 3.07 (q, *J* = 7.6 Hz, 2H), 1.29 (t, *J* = 7.6 Hz, 3H).



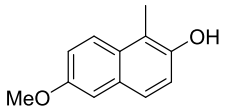

**1m**

**1m**,[6] $^1$H NMR (400 MHz, $CDCl_3$) δ 7.91 (d, *J* = 8.4 Hz, 1H), 7.79 (d, *J* = 8.0 Hz, 1H), 7.71 (d, *J* = 8.8 Hz, 1H), 7.45-7.41 (m, 1H), 7.34-7.31 (m, 1H), 7.26-7.14 (m, 5H), 7.11 (d, *J* = 8.8 Hz, 1H), 4.90 (s, 1H), 4.45 (s, 2H).



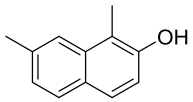

**1n**

**1n**,[7] $^1$H NMR (400 MHz, $CDCl_3$) δ 7.82-7.79 (m, 2H), 7.60-7.57 (m, 2H), 7.52-7.48 (m, 1H), 7.43-7.39 (m, 3H), 7.35-7.30 (m, 2H), 7.27-7.25 (m, 1H), 5.13 (s, 1H).



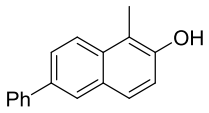

**1o**

**1o**,[8] $^1$H NMR (400 MHz, $CDCl_3$) δ 7.82 (d, *J* = 9.2 Hz, 1H), 7.51 (d, *J* = 8.4 Hz, 1H), 7.17 (dd, *J* = 9.2, 2.4 Hz, 1H), 7.09 (d, *J* = 2.4 Hz, 1H), 7.04 (d, *J* = 8.4 Hz, 1H), 4.74 (s, 1H), 3.90 (s, 3H), 2.51 (s, 3H).




**1p**

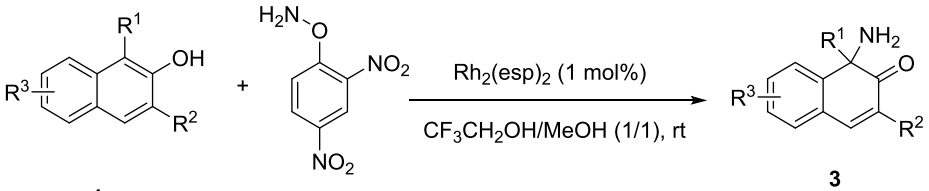
**1p**,[8] $^1$H NMR (400 MHz, $CDCl_3$) δ 7.67-7.65 (m, 2H), 7.57 (d, *J* = 8.4 Hz, 1H), 7.18 (d, *J* = 8.4 Hz, 1H), 6.99 (d, *J* = 8.8 Hz, 1H), 4.81 (s, 1H), 2.53 (s, 3H), 2.51 (s, 3H).




**1q**

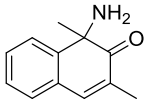
**1q**,[9] $^1$H NMR (400 MHz, DMSO-$d_6$) δ 9.56 (s, 1H), 8.08 (s, 1H), 7.94 (d, *J* = 8.4 Hz, 1H), 7.79-7.77 (m, 3H), 7.71 (d, *J* = 8.8 Hz, 1H), 7.51-7.47 (m, 2H), 7.38-7.34 (m, 1H), 7.19 (d, *J* = 8.8 Hz, 1H), 2.44 (s, 3H).

**General procedure for the aminative dearomatization of naphthols**



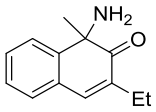

**1** **2 (DPH)** **3**

Naphthol derivative **1** (0.2 mmol, 1.0 equiv) was added to an oven-dried Schlenk tube, $CF_3CH_2OH$ (1 mL) and MeOH (1 mL) were added under argon at room temperature. To this solution were added $Rh_2(esp)_2$ (1.5 mg, 0.002 mmol, 0.01 equiv) and DPH **2** (120 mg, 0.6 mmol, 3.0 equiv). After the reaction was complete (monitored by TLC), the reaction was quenched by saturated aqueous solution of $NaHCO_3$ (5 mL). The aqueous phase was extracted with ethyl acetate (3 × 10 mL). The combined organic layers were washed with brine, dried over $Na_2SO_4$, filtered, and concentrated in vacuo. The crude product was then purified by silica gel column chromatography [PE/EtOAc = 5/1, then PE/EtOAc = 5/1 (1% $Et_3N$)] to afford the desired product **3**.



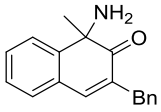

**3a**

**3a**: Yellow oil, 22.1 mg, 59% yield, $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.80 (d, *J* = 8.0 Hz, 1H), 7.38-7.34 (m, 1H), 7.30-7.27 (m, 1H), 7.24-7.23 (m, 2H), 2.04 (brs, 2H), 2.02 (s, 3H), 1.39 (s, 3H); $^{13}$C NMR (100 MHz, $CDCl_3$) δ 206.6, 145.4, 141.3, 131.1, 129.3, 129.2, 128.5, 127.5, 126.0, 60.9, 32.7, 15.8; IR (thin film): $\nu_{max}$ ($cm^{-1}$) = 3359, 3294; 3044, 2968, 2923, 1653, 1438, 1361, 1262, 1091, 1026, 894, 819, 745; HRMS (ESI) calcd for $C_{12}H_{14}NO$ ($[M+H]^+$): 188.1070. Found: 188.1064.



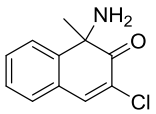

**3b**

**3b**: Yellow oil, 24.6 mg, 61% yield, $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.80 (d, *J* = 7.6 Hz, 1H), 7.38-7.34 (m, 1H), 7.31-7.25 (m, 2H), 7.17 (s, 1H), 2.54-2.34 (m, 2H), 1.96 (brs, 2H), 1.39 (s, 3H), 1.16 (t, *J* = 7.6 Hz, 3H); $^{13}$C NMR (100 MHz, $CDCl_3$) δ 206.2, 145.2, 139.5, 136.7, 129.3, 128.6, 127.5, 125.9, 61.2, 32.5, 22.4, 12.6; IR (thin film): $\nu_{max}$ ($cm^{-1}$) = 3358, 3296, 3048, 2959, 1659, 1448, 1378, 1255, 1084, 1019, 936, 881, 817, 758; HRMS (ESI) calcd for $C_{13}H_{16}NO$ ($[M+H]^+$): 202.1226. Found: 202.1221.



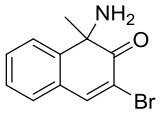

**3c**

**3c**: Yellow oil, 30.9 mg, 59% yield, $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.80 (d, *J* = 7.6 Hz, 1H), 7.38-7.18 (m, 8H), 7.06 (s, 1H), 3.79 (AB, $J_{AB}$ = 16.0 Hz, 1H), 3.68 (BA, $J_{BA}$ = 16.0 Hz, 1H), 2.04 (brs, 2H), 1.35 (s, 3H); $^{13}$C NMR (400 MHz, $CDCl_3$) δ 205.8, 145.4, 141.5, 138.9, 134.6, 129.6, 129.10, 129.08, 129.0, 128.5, 127.5, 126.4, 126.0, 61.4, 35.5, 32.6; IR (thin film): $\nu_{max}$ ($cm^{-1}$) = 3357, 3297, 3039, 2915, 1656, 1500, 1439, 1374, 1321, 1258, 1086, 970, 894, 816, 750, 710; HRMS (ESI) calcd for $C_{18}H_{18}NO$ ($[M+H]^+$): 264.1383. Found: 264.1376.



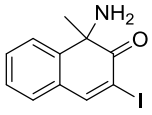

**3d**

**3d**: Yellow oil, 20.9 mg, 50% yield, $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.86 (d, *J* = 8.0 Hz, 1H), 7.64 (s, 1H), 7.47-7.43 (m, 1H), 7.36-7.32 (m, 1H), 7.29-7.27 (m, 1H), 1.99 (brs, 2H), 1.45 (s, 3H); $^{13}$C NMR (100 MHz, $CDCl_3$) δ 199.5, 145.0, 142.5, 130.7, 129.1, 128.4, 128.06, 128.02, 126.6, 63.2, 32.8; IR (thin film): $\nu_{max}$ ($cm^{-1}$) = 3359, 3298, 2920, 1675, 1598, 1496, 1444, 1341, 1221, 1146, 1083, 1023, 933, 839, 754; HRMS (ESI) calcd for $C_{11}H_{11}ClNO$ ($[M+H]^+$): 208.0524. Found: 208.0519.



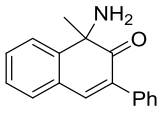

**3e**

**3e**: Yellow solid, 25.7 mg, 51% yield, m.p. 60-62 $^{o}$C. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.89 (s, 1H), 7.87 (d, *J* = 8.0 Hz, 1H), 7.48-7.44 (m, 1H), 7.35-7.31 (m, 1H), 7.30-7.28 (m, 1H), 1.99 (brs, 2H), 1.44 (s, 3H); $^{13}$C NMR (100 MHz, $CDCl_3$) δ 199.6, 146.7, 145.4, 130.9, 129.1, 128.8, 128.0, 126.6, 120.0, 63.1, 32.8; IR (thin film): $\nu_{max}$ ($cm^{-1}$) = 3363, 2983, 2921, 2311, 2097, 1857, 1660, 1586, 1439, 1333, 1217, 1150, 1076, 915, 824, 767; HRMS (ESI) calcd for $C_{11}H_{11}BrNO$ ($[M+H]^+$): 252.0019. Found: 252.0012.



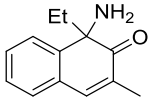

**3f**

**3f**: Yellow solid, 27.0 mg, 45% yield, m.p. 96-98 $^{o}$C. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 8.18 (s, 1H), 7.88 (d, *J* = 8.0 Hz, 1H), 7.48-7.44 (m, 1H), 7.34-7.30 (m, 1H), 7.26-7.25 (m, 1H), 2.12 (brs, 2H), 1.43 (s, 3H); $^{13}$C NMR (100 MHz, $CDCl_3$) δ 200.8, 154.1, 145.9, 131.0, 130.1, 128.9, 127.9, 126.5, 98.8, 62.1, 32.9; IR (thin film): $\nu_{max}$ ($cm^{-1}$) = 3358, 3298, 2978, 2918, 2956, 2307, 2104, 1654, 1577, 1438, 1334, 1211, 1146, 1072, 1022, 892, 825, 758; HRMS (ESI) calcd for $C_{11}H_{11}INO$ ($[M+H]^+$): 299.9880. Found: 299.9871.



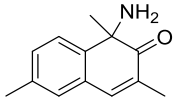

**3g**

**3g**: Yellow solid, 30.6 mg, 61% yield, m.p. 138-140 $^{o}$C. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.88 (d, *J* = 8.0 Hz, 1H), 7.54-7.51 (m, 3H), 7.44-7.31 (m, 6H), 2.18 (brs, 2H), 1.52 (s, 3H); $^{13}$C NMR (100 MHz, $CDCl_3$) δ 205.2, 145.6, 141.4, 135.5, 134.2, 130.1, 129.6, 129.2, 128.35, 128.32, 128.2, 127.7, 126.0, 62.3, 32.3; IR (thin film): $\nu_{max}$ ($cm^{-1}$) = 3371, 3310, 3044, 2921, 2861, 2308, 2105, 1658, 1458, 1358, 1292, 1205, 1066, 925, 828, 745, 709; HRMS (ESI) calcd for $C_{17}H_{16}NO$ ($[M+H]^+$): 250.1226. Found: 250.1220.



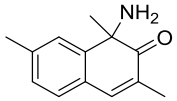

**3h**

**3h**: Yellow oil, 21.4 mg, 53% yield. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.72 (d, *J* = 8.0 Hz, 1H), 7.38-7.34 (m, 1H), 7.30-7.28 (m, 1H), 7.23-7.21 (m, 2H), 2.14 (brs, 2H), 2.0 (s, 3H), 1.86-1.69 (m, 2H), 0.65 (t, *J* = 7.6 Hz, 3H); $^{13}$C NMR (100 MHz, $CDCl_3$) δ 206.3, 143.9, 141.5, 132.0, 130.2, 129.0, 128.3, 127.5, 126.5, 64.2, 39.1, 15.6, 8.2; IR (thin film): $\nu_{max}$ ($cm^{-1}$) = 3356, 3043, 2928, 2866, 1652, 1442, 1368, 1322, 1260, 1029, 922, 816, 753; HRMS (ESI) calcd for $C_{13}H_{16}NO$ ($[M+H]^+$): 202.1226. Found: 202.1221.



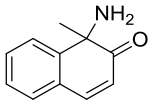

**3i**

**3i**: Yellow oil, 22.2 mg, 55% yield. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.68 (d, *J* = 8.0 Hz, 1H), 7.19-7.17 (m, 2H), 7.05 (s, 1H), 2.36 (s, 3H), 2.01 (d, *J* = 1.2 Hz, 3H), 1.81 (brs, 2H), 1.37 (s, 3H); $^{13}$C NMR (100 MHz, $CDCl_3$) δ 206.8, 142.5, 141.5, 137.2, 131.1, 130.1, 129.2, 129.1, 126.0, 60.7, 32.7, 21.0, 15.9; IR (thin film): $\nu_{max}$ ($cm^{-1}$) = 3572, 3355, 3290, 2921, 2868, 1651, 1502, 1440, 1368, 1269, 1100, 1026, 900, 818, 761; HRMS (ESI) calcd for $C_{13}H_{16}NO$ ($[M+H]^+$): 202.1226. Found: 202.1221.



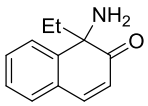
**3j**

**3j**: Yellow oil, 21.2 mg, 53% yield. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.62 (s, 1H), 7.20 (s, 1H), 7.14-7.07 (m, 2H), 2.38 (s, 3H), 2.02-2.00 (m, 5H), 1.38 (s, 3H); $^{13}$C NMR (100 MHz, $CDCl_3$) δ 206.5, 145.3, 141.4, 139.7, 130.0, 128.5, 128.2, 126.8, 126.6, 60.9, 32.8, 21.6, 15.7; IR (thin film): $\nu_{max}$ ($cm^{-1}$) = 3358, 3292, 2964, 2920, 2867, 1650, 1514, 1439, 1370, 1258, 1179, 1096, 1026, 964, 892, 810, 722; HRMS (ESI) calcd for $C_{13}H_{16}NO$ ($[M+H]^+$): 202.1226. Found: 202.1221.



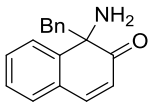
**3k**

**3k**: Yellow oil, 33.3 mg, 64% yield. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.87 (d, *J* = 7.6 Hz, 1H), 7.46-7.42 (m, 2H), 7.32-7.31 (m, 2H), 6.20 (d, *J* = 10.0 Hz, 1H), 2.02 (brs, 2H), 1.42 (s, 3H); $^{13}$C NMR (100 MHz, $CDCl_3$) δ 206.3, 146.2, 145.1, 130.5, 129.4, 128.9, 127.6, 126.3, 123.5, 61.3, 32.6; IR (thin film): $\nu_{max}$ ($cm^{-1}$) = 3356, 3294, 3048, 2971, 1660, 1448, 1225, 1146, 1077, 1023, 897, 815, 755, 679; HRMS (ESI) calcd for $C_{11}H_{12}NO$ ($[M+H]^+$): 174.0913. Found: 174.0909.



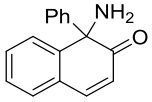
**3l**

**3l**: Yellow oil, 24.2 mg, 65% yield. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.78 (d, *J* = 7.6 Hz, 1H), 7.45-7.40 (m, 2H), 7.34-7.30 (m, 2H), 6.19 (d, *J* = 10.0 Hz, 1H), 1.88-1.71 (m, 5H), 0.69 (t, *J* = 7.2 Hz, 3H); $^{13}$C NMR (100 MHz, $CDCl_3$) δ 206.3, 145.2, 145.1, 130.2, 129.8, 129.2, 127.5, 126.8, 124.4, 64.4, 38.9, 8.2; IR (thin film): $\nu_{max}$ ($cm^{-1}$) = 3356, 3295, 3049, 2957, 1658, 1451, 1373, 1221, 1082, 950, 824, 755, 680; HRMS (ESI) calcd for $C_{12}H_{14}NO$ ($[M+H]^+$): 188.1070. Found: 188.1065.



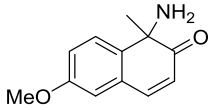
**3m**

**3m**: Yellow oil, 28.9 mg, 58% yield. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.67 (d, *J* = 7.2 Hz, 1H), 7.42-7.38 (m, 1H), 7.33-7.29 (m, 1H), 7.22-7.07 (m, 5H), 6.68-6.61 (m, 2H), 6.03 (d, *J* = 10.0 Hz, 1H), 3.02 (AB, $J_{AB}$ = 12.8 Hz, 1H), 2.96 (BA, $J_{BA}$ = 12.8 Hz, 1H), 1.96 (brs, 2H); $^{13}$C NMR (100 MHz, $CDCl_3$) δ 205.5, 144.9, 144.2, 134.5, 130.1, 130.04, 130.01, 129.2, 127.8, 127.6, 127.2, 126.8, 124.3, 65.3, 52.4; IR (thin film): $\nu_{max}$ ($cm^{-1}$) = 3356, 3291, 3039, 2922, 2848, 1658, 1445, 1225, 1076, 1012, 820, 752, 690; HRMS (ESI) calcd for $C_{17}H_{16}NO$ ($[M+H]^+$): 250.1226. Found: 250.1221.



**3n**

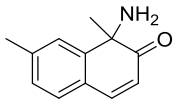
**3n**: Yellow solid, 21 mg, 45% yield, m.p. 70-72 $^{o}$C. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.64 (d, *J* = 7.6 Hz, 1H), 7.44-7.35 (m, 4H), 7.24-7.20 (m, 5H), 6.16 (d, *J* = 10.0 Hz, 1H), 2.42 (brs, 2H); $^{13}$C NMR (100 MHz, $CDCl_3$) δ 203.2, 145.2, 144.8, 142.8, 130.6, 129.8, 129.3, 128.6, 128.5, 128.1, 127.7, 125.8, 124.1, 66.1; IR (thin film): $\nu_{max}$ ($cm^{-1}$) = 3356, 3294, 3052, 2916, 2306, 2107, 1651, 1594, 1478, 1394, 1231, 1106, 1026, 972, 854, 826, 751, 684; HRMS (ESI) calcd for $C_{16}H_{14}NO$ ($[M+H]^+$): 236.1070. Found: 236.1064.



**3o**

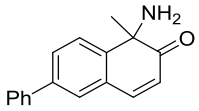
**3o**: Yellow oil, 20.0 mg, 49% yield. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.77 (d, *J* = 8.8 Hz, 1H), 7.37 (d, *J* = 9.6 Hz, 1H), 6.97 (dd, *J* = 8.8, 2.8 Hz, 1H), 6.83 (d, *J* = 2.4 Hz, 1H), 6.20 (d, *J* = 9.6 Hz, 1H), 3.84 (s, 3H), 2.14 (brs, 2H), 1.40 (s, 3H); $^{13}$C NMR (100 MHz, $CDCl_3$) δ 206.3, 158.9, 144.9, 138.0, 129.9, 127.6, 124.0, 115.8, 114.5, 60.7, 55.4, 32.3; IR (thin film): $\nu_{max}$ ($cm^{-1}$) = 2935, 2845, 2101, 1658, 1584, 1478, 1447,

1371, 1256, 1145, 1091, 1025, 808, 703; HRMS (ESI) calcd for $C_{12}H_{11}O_2$ ($[M-NH_2]^+$): 187.0754. Found: 187.0755.



**3p**

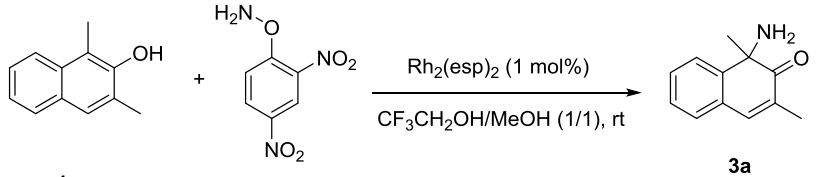
**3p**: Yellow oil, 17.5 mg, 47% yield. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.69 (s, 1H), 7.41 (d, *J* = 10.0 Hz, 1H), 7.21 (d, *J* = 7.6 Hz, 1H), 7.12 (d, *J* = 7.6 Hz, 1H), 6.14 (d, *J* = 9.6 Hz, 1H), 2.41 (s, 3H), 1.96 (brs, 2H), 1.41 (s, 3H); $^{13}$C NMR (100 MHz, $CDCl_3$) δ 206.4, 146.2, 145.1, 141.1, 129.4, 128.2, 127.1, 126.3, 122.5, 61.2, 32.7, 21.6; IR (thin film): $\nu_{max}$ ($cm^{-1}$) = 3351, 3290, 3036, 2919, 2683, 2108, 1659, 1604, 1446, 1379, 1301, 1234, 1164, 1084, 1029, 896, 827, 679; HRMS (ESI) calcd for $C_{12}H_{14}NO$ ($[M+H]^+$): 188.1070. Found: 188.1066.



**3q**

**3q**: Yellow oil, 20.3 mg, 41% yield. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.94 (d, *J* = 8.0 Hz, 1H), 7.65 (dd, *J* = 8.0, 2.0 Hz, 1H), 7.61-7.58 (m, 2H), 7.52-7.44 (m, 4H), 7.40-7.38 (m, 1H), 6.24 (d, *J* = 10.0 Hz, 1H), 2.18 (brs, 2H), 1.47 (s, 3H); $^{13}$C NMR (100 MHz, $CDCl_3$) δ 206.1, 145.1, 145.0, 140.8, 139.9, 129.4, 129.2, 129.0, 128.1, 127.8, 127.0, 126.9, 124.0, 61.2, 32.5; IR (thin film): $\nu_{max}$ ($cm^{-1}$) = 3363, 3300, 3044, 2918, 2859, 2312, 2103, 1652, 1465, 1364, 1296, 1239, 1176, 1077, 1025, 893, 821, 753, 690; HRMS (ESI) calcd for $C_{17}H_{16}NO$ ($[M+H]^+$): 250.1226. Found: 250.1219.

## Gram-scale reaction




**1a**
1.04 g

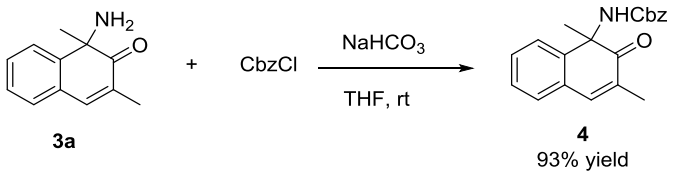
**2 (DPH)**

**3a**
670 mg
60% yield

According to the general procedure, a gram-scale reaction was carried out. The

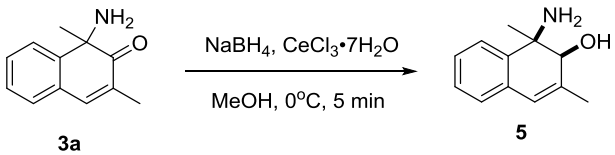
aminative dearomatization of **1a** in 6.0 mmol scale gave the desired product **3a** in 60% yield (670 mg).

**Transformations of product 3a.**




**3a** **4** 93% yield

A flame-dried Schlenk tube was cooled down to room temperature under argon. To this tube were added **3a** (56.2 mg, 0.30 mmol) and THF (2.0 mL). Then the reaction mixture was cooled to 0 ℃, then $NaHCO_3$ (28 mg, 0.33 mmol) and CbzCl (47 μL, 0.33 mmol) were added. The resulting mixture was stirred at room temperature. After the reaction was complete (monitored by TLC), the reaction mixture was quenched with $H_2O$ and the aqueous phase was extracted with ethyl acetate (3 × 5 mL). The combined organic layers were washed with brine, dried over $Na_2SO_4$, filtered, and concentrated in vacuo. The crude product was then purified by silica gel column chromatography (PE/EtOAc = 5/1) to afford the desired product **4** as a yellow solid.[10] 90 mg, 93% yield. Two rotamers were observed by NMR. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.47 (d, J = 7.6 Hz, 1H), 7.38-6.99 (m, 9H), 6.01 (s, 1H), 4.98 and 4.66 (s, 2H), 2.03 (s, 3H), 1.39 (s, 3H).



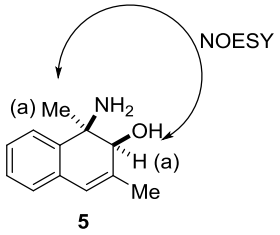

**3a**
0.3 mmol

**5**
83% yield
d.r.>20:1

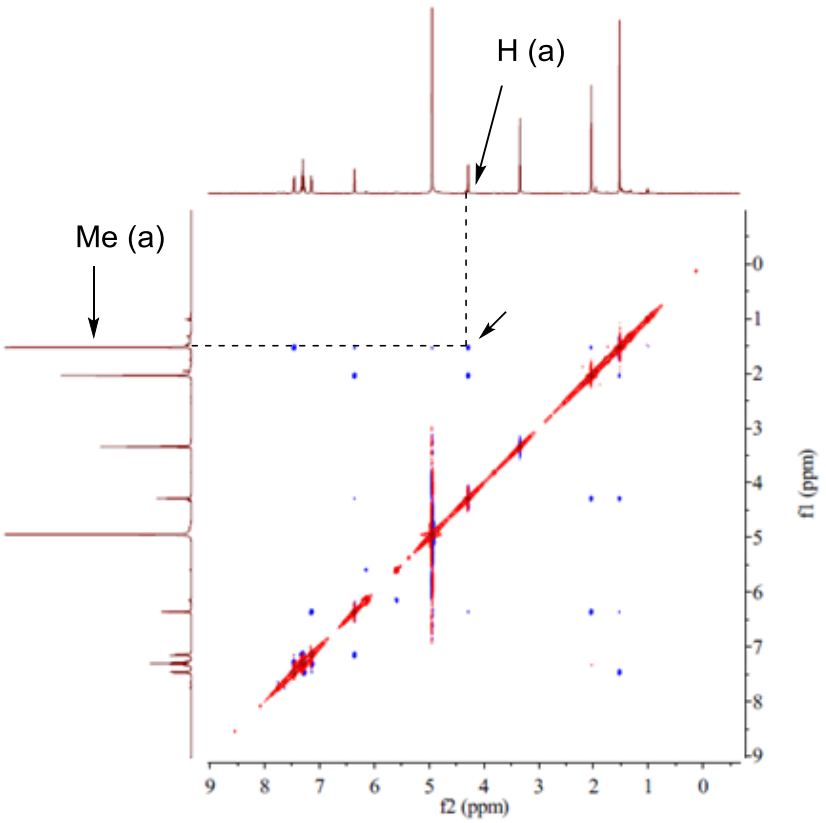
A flame-dried Schlenk tube was cooled down to room temperature under argon. To this tube were added **3a** (56.2 mg, 0.30 mmol), $CeCl_3 \cdot 7H_2O$ (157 mg, 0.42 mmol), and MeOH (3.0 mL). Then the reaction mixture was cooled to 0 ℃, and $NaBH_4$ (23 mg, 0.60 mmol) was added. After completion (5 mins), the reaction was quenched by adding saturated $NH_4Cl$ solution (3.0 mL). The mixture was diluted with $H_2O$ (2.0 mL) and extracted with ethyl acetate (5 mL x 3). The combined ethyl acetate extracts were

washed with brine, dried over anhydrous $Na_2SO_4$ and filtrated. After the solvent was removed under reduced pressure, the crude product was purified by silica gel column chromatography [PE/EtOAc =1:1 – DCM/MeOH = 5:1 (1% $Et_3N$)] to afford **5** as a grey sticky. 47 mg, 83% yield. $^1$H NMR (400 MHz, $CD_3OD$) δ 7.47 (d, *J* = 6.8 Hz, 1H), 7.33-7.27 (m, 2H), 7.14 (d, *J* = 6.8 Hz, 1H), 6.36 (s, 1H), 4.28 (s, 1H), 2.04 (s, 3H), 1.53 (s, 3H); $^{13}$C NMR (100 MHz, $CD_3OD$) δ 138.3, 135.8, 132.4, 128.4, 127.1, 126.4, 123.3, 123.0, 74.2, 58.7, 18.6, 18.2; IR (thin film): $\nu_{max}$ ($cm^{-1}$) = 3341, 2905, 1596, 1490, 1439, 1376, 1283, 1244, 1129, 1081, 995, 945, 872, 835, 756, 647, 588, 548, 494, 424; HRMS (ESI) calcd for $C_{12}H_{16}NO$ ($[M+H]^+$): 190.1226. Found: 190.1227.

The relative configuration of **5** is assigned via NOESY spectra.




**5**



**Reference:**


[1] T. Oguma and T. Katsuki, *J. Am. Chem. Soc.*, 2012, **134**, 20017.

[2] E. Ghera and Y. Ben-David, *J. Org. Chem.*, 1985, **50**, 3355.

[3] J. Nan, J. Liu, H. Zheng, Z. Zuo, L. Hou, H. Hu, Y. Wang and X. Luan, *Angew. Chem. Int. Ed.*, 2015, **54**, 2356.

[4] R.-Q. Xu, P. Yang, H.-F. Tu, S.-G. Wang and S.-L. You, *Angew. Chem. Int. Ed.*, 2016, **55**, 15137.

[5] S.-G. Wang, X.-J. Liu, Q.-C. Zhao, C. Zheng, S.-B. Wang and S.-L. You, *Angew. Chem. Int. Ed.*, 2015, **54**, 14929.

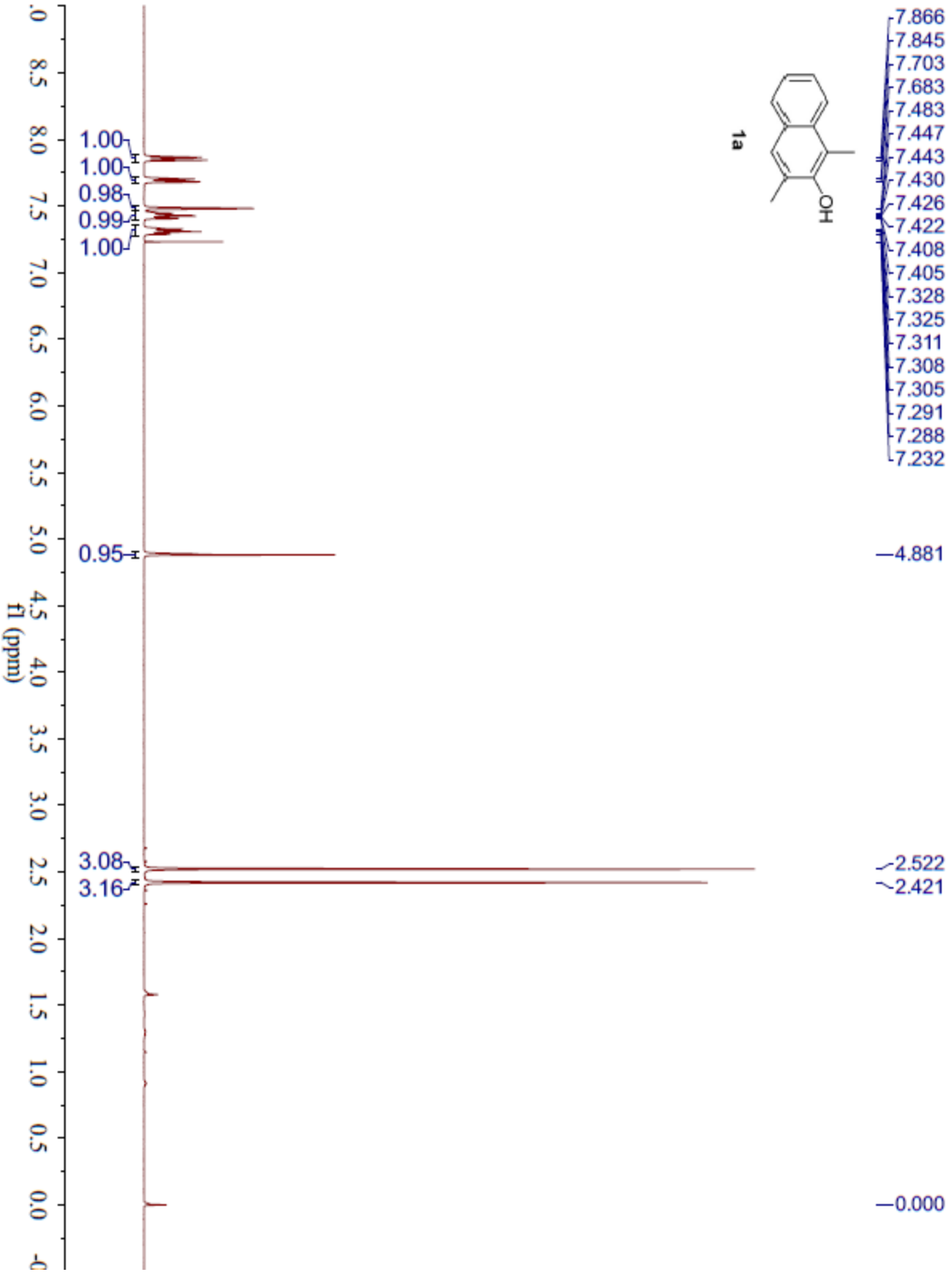
[6] N. K. Paul, L. Dietrich and A. Jha, *Synth. Commun.*, 2007, **37**, 877.

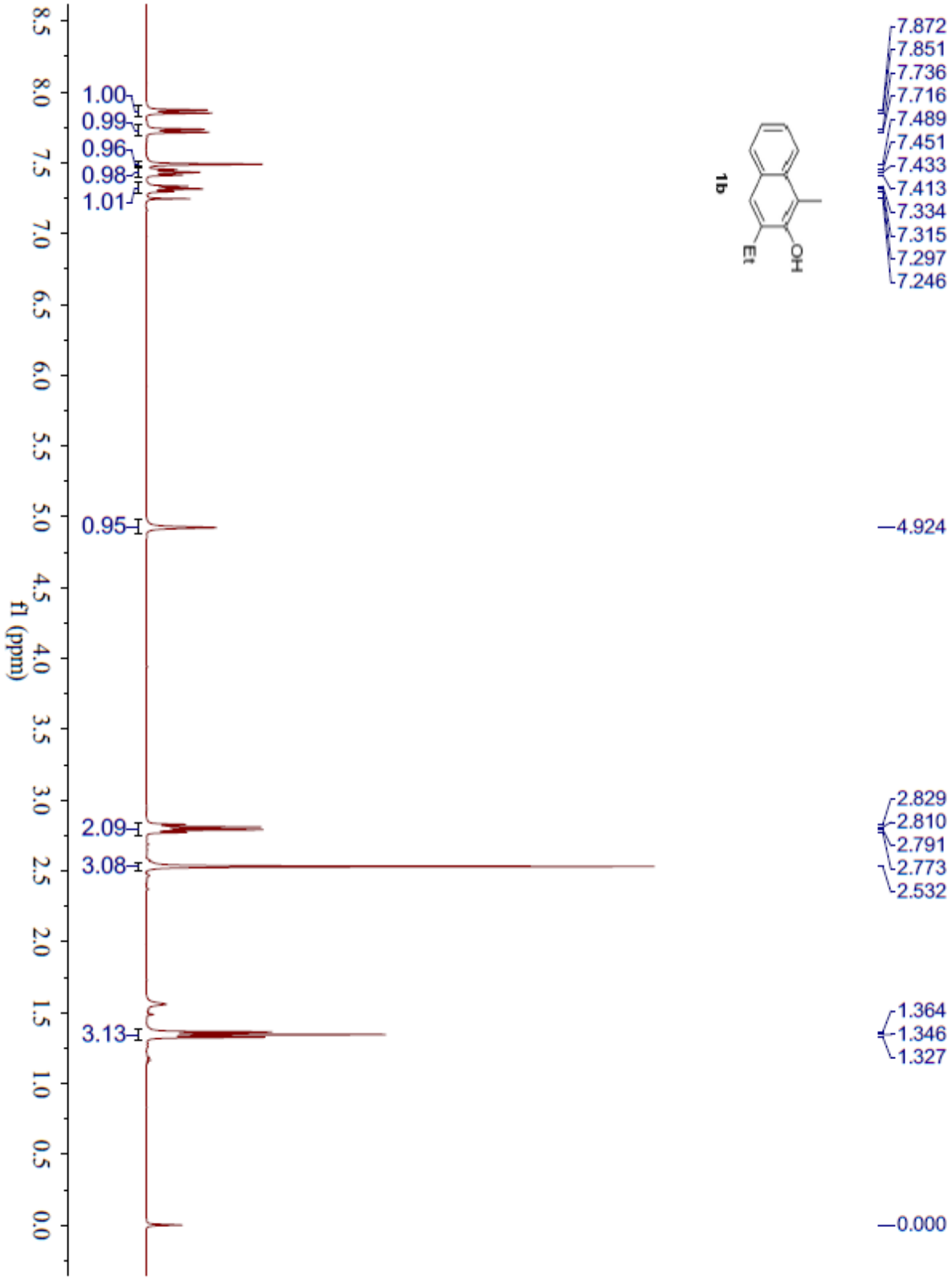
[7] T. Truong and O. Daugulis, *Chem. Sci.*, 2013, **4**, 531.

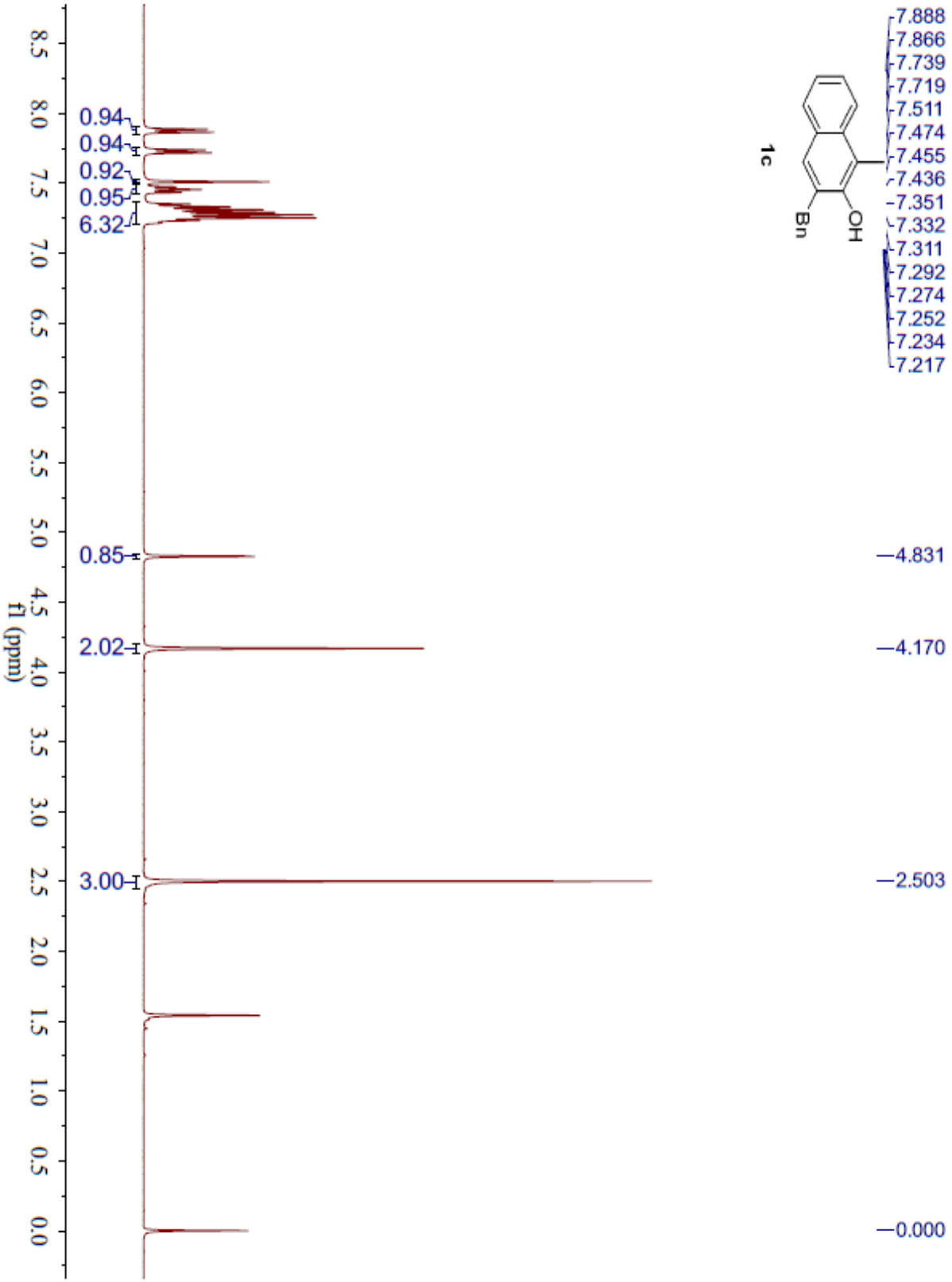
[8] K. Krohn and G. Zimmermann, *J. Org. Chem*., 1998, **63**, 4140.

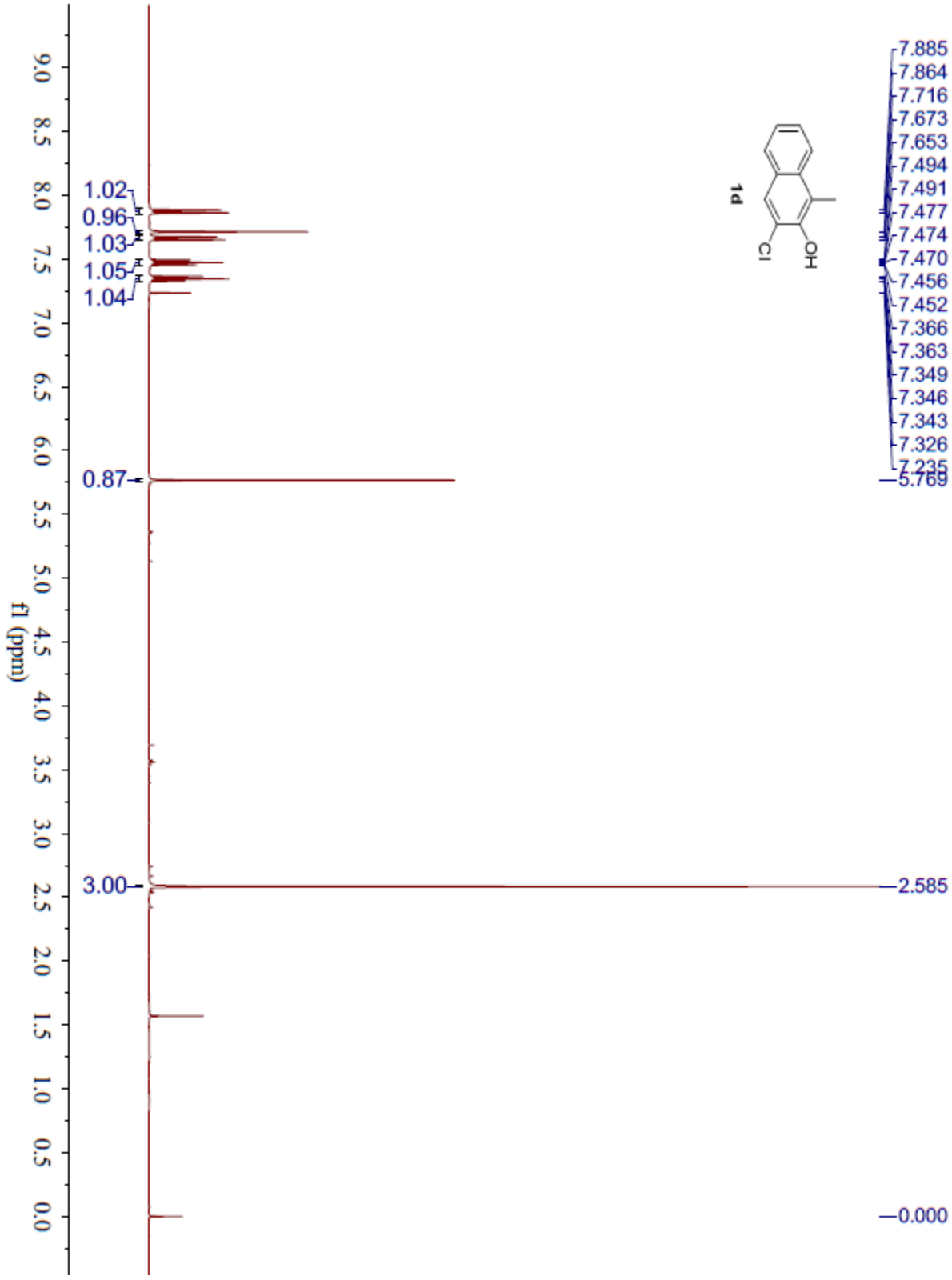
[9] S. Kim and S. H. Hong, *Adv. Synth. Catal.*, 2017, **359**, 798.

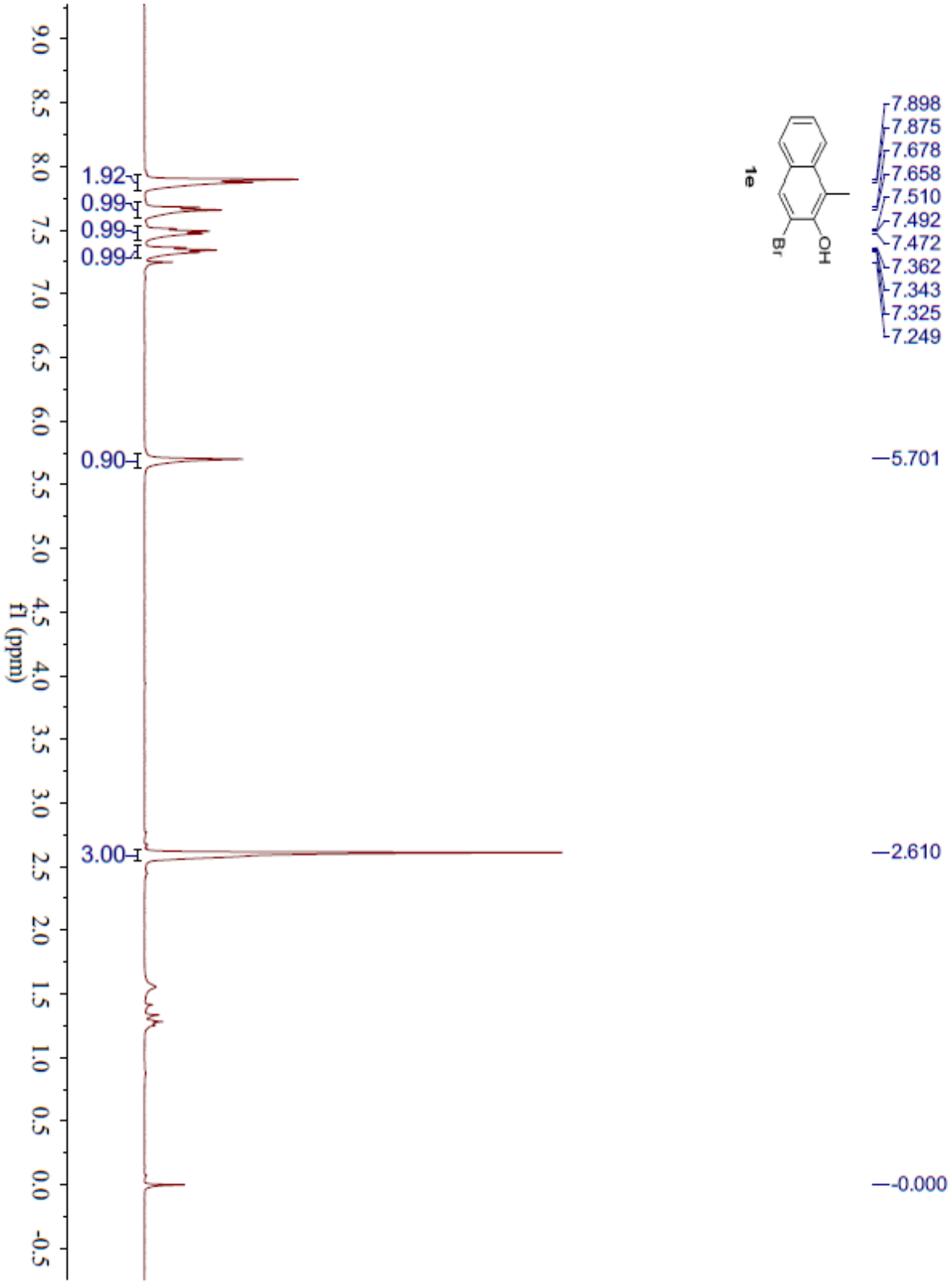
[10] Y.-Z. Cheng, K. Zhou, M. Zhu, L.-A.-C. Li, X. Zhang and S.-L. You, *Chem. Eur. J.*, 2018, **24**, 12519.

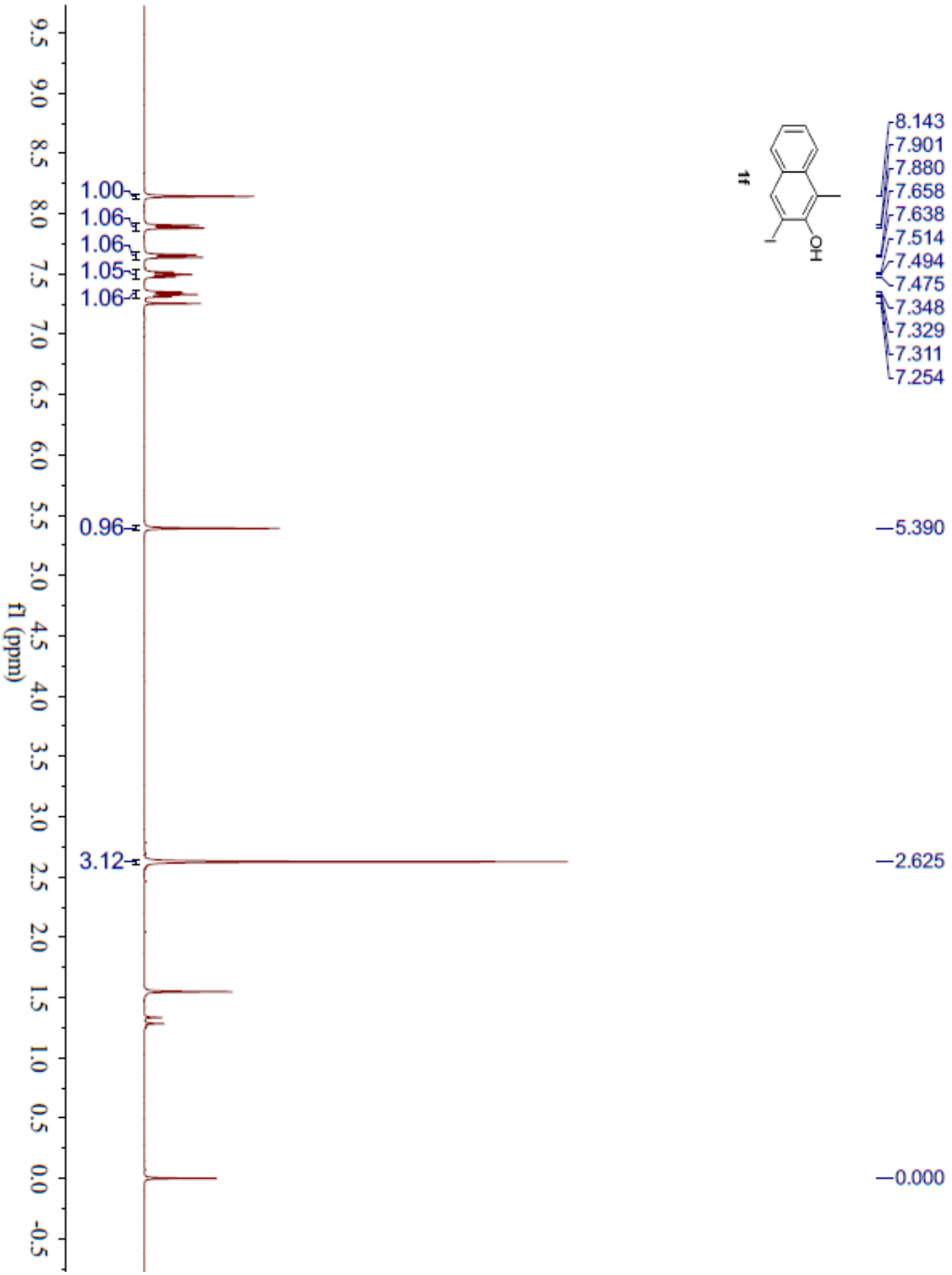

1a
OH
7.866
7.845
7.703
7.683
7.483
7.447
7.443
7.430
7.426
7.422
7.408
7.405
7.328
7.325
7.311
7.308
7.305
7.291
7.288
7.232
4.881
2.522
2.421
0.000
1.00
1.00
0.98
0.99
1.00
0.95
3.08
3.16
f1 (ppm)

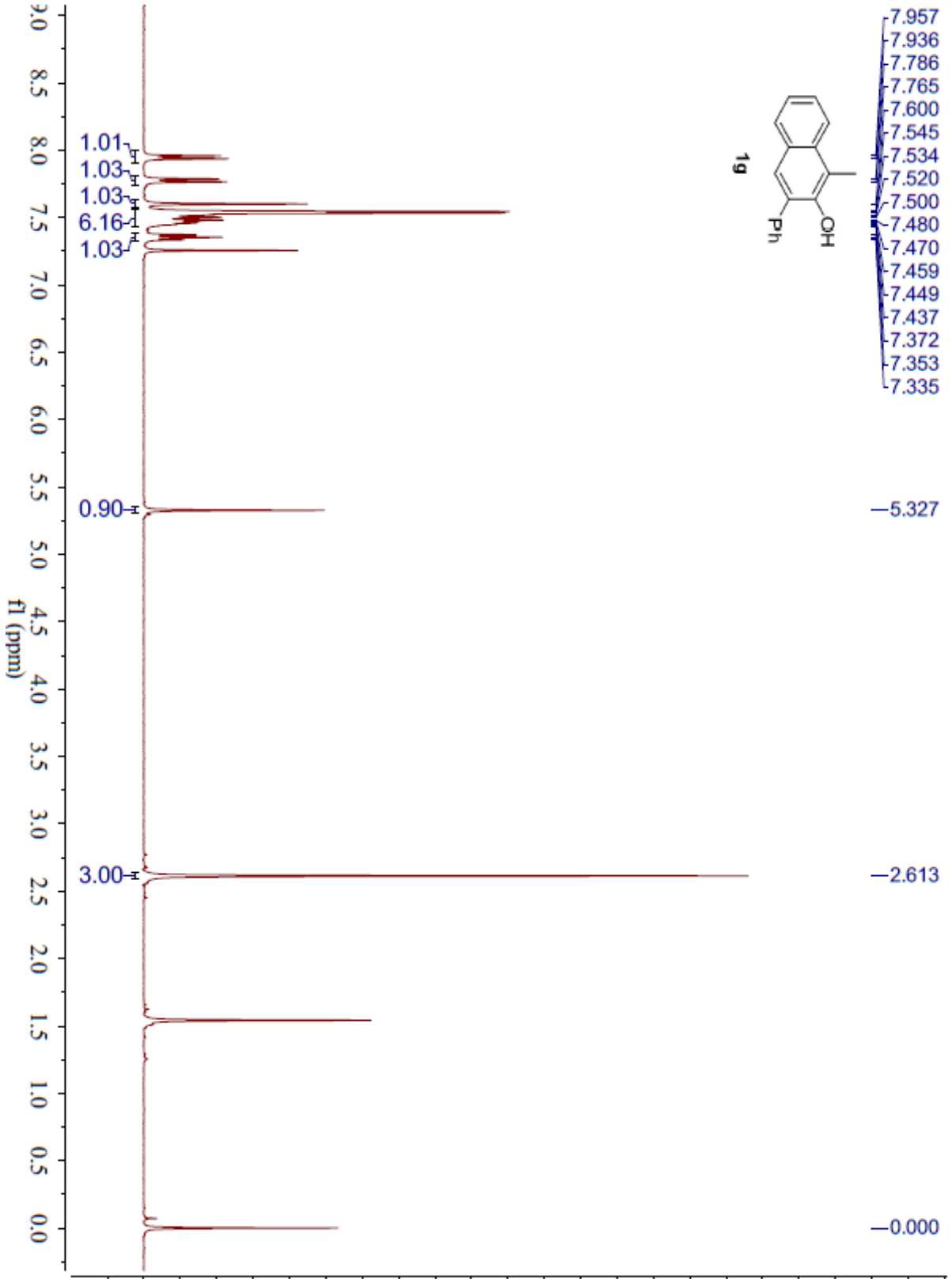

1b
Et
OH
7.872
7.851
7.736
7.716
7.489
7.451
7.433
7.413
7.334
7.315
7.297
7.246
4.924
2.829
2.810
2.791
2.773
2.532
1.364
1.346
1.327
0.000
1.00
0.99
0.96
0.98
1.01
0.95
2.09
3.08
3.13
f1 (ppm)

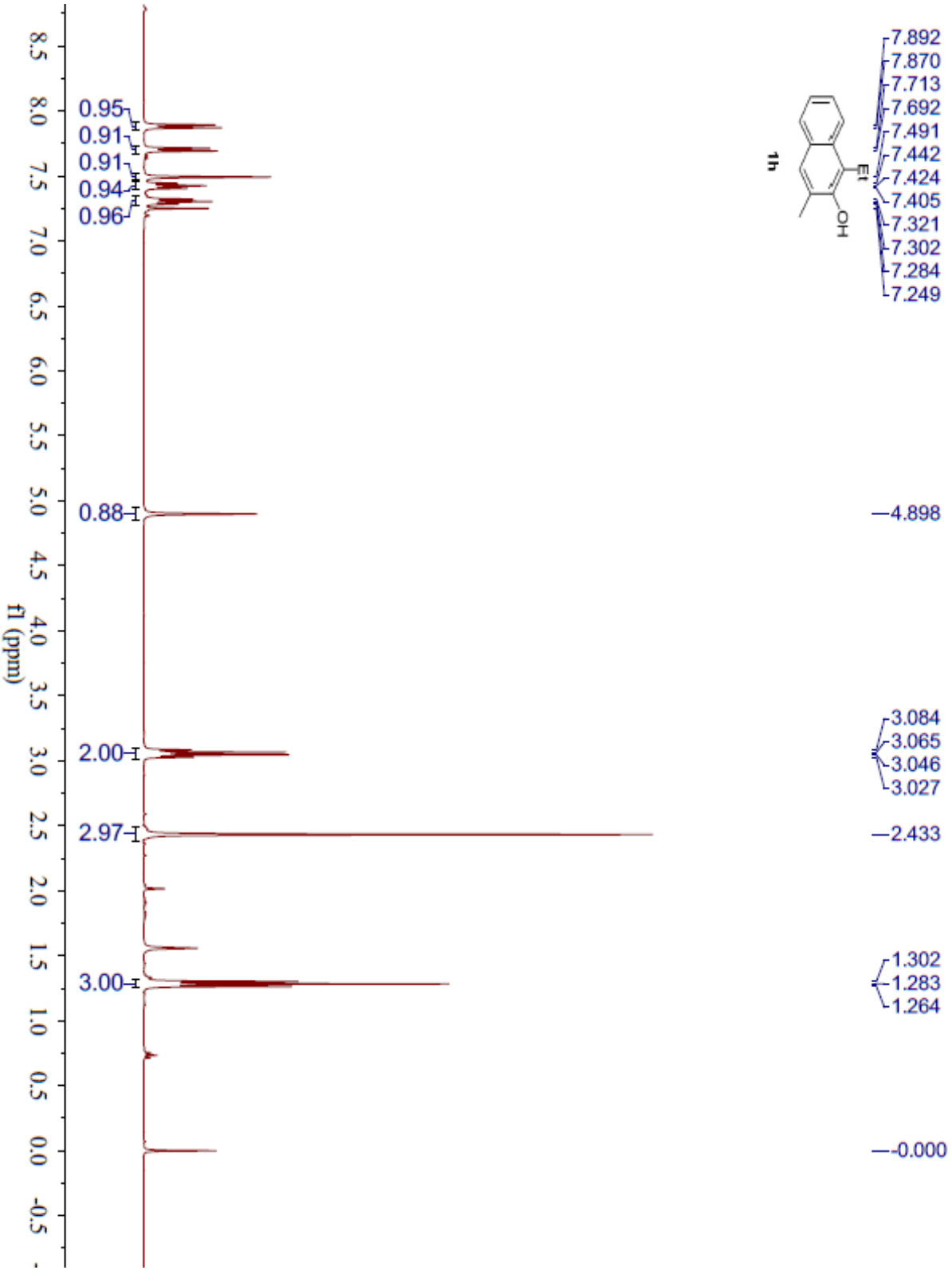

1c
OH
Bn
7.888
7.866
7.739
7.719
7.511
7.474
7.455
7.436
7.351
7.332
7.311
7.292
7.274
7.252
7.234
7.217
0.94
0.94
0.92
0.95
6.32
0.85
2.02
3.00
4.831
4.170
2.503
0.000
f1 (ppm)

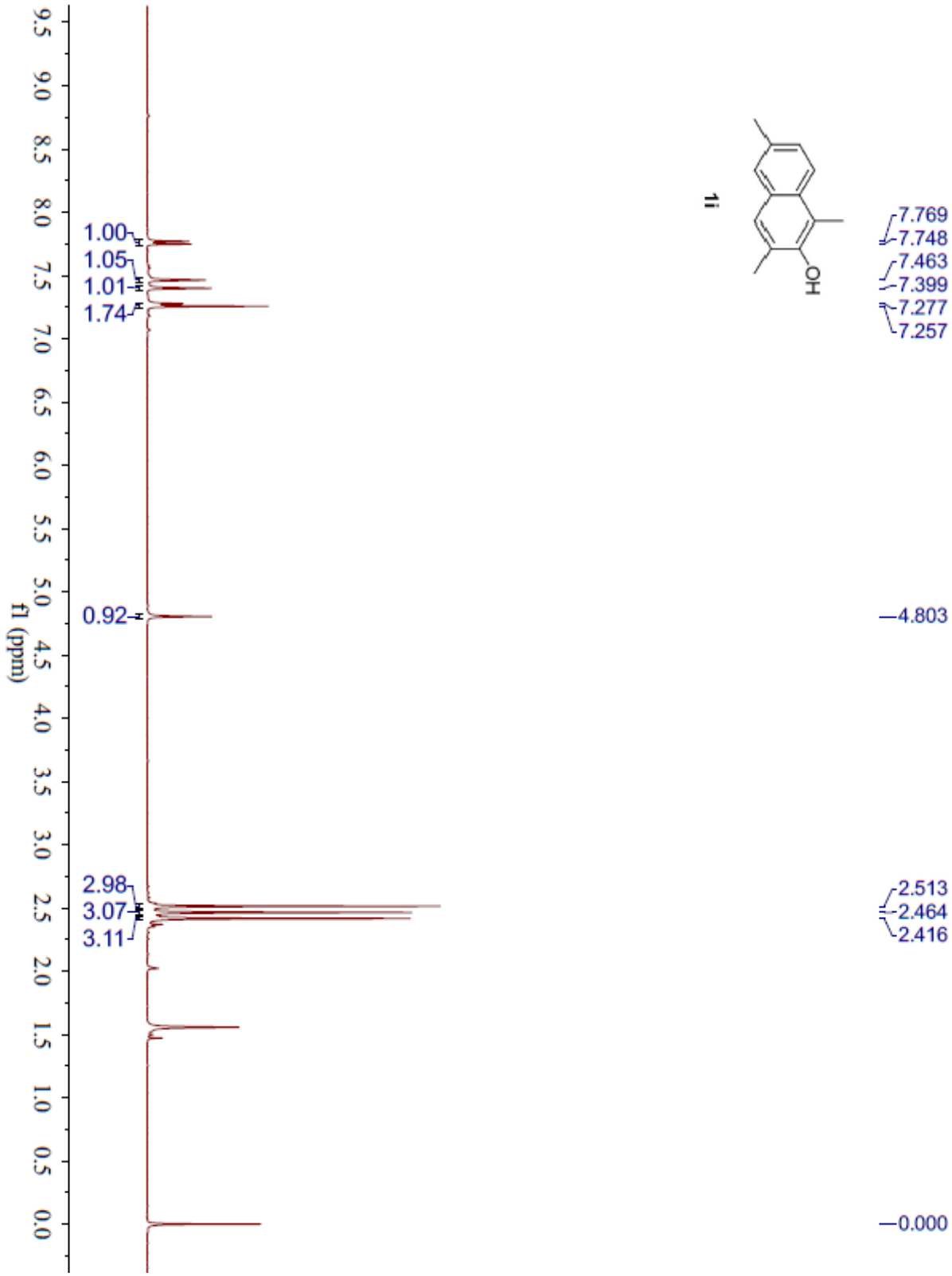

1d
Cl
OH
7.885
7.864
7.716
7.673
7.653
7.494
7.491
7.477
7.474
7.470
7.456
7.452
7.366
7.363
7.349
7.346
7.343
7.326
7.235
5.769
2.585
0.000
1.02
0.96
1.03
1.05
1.04
0.87
3.00
f1 (ppm)

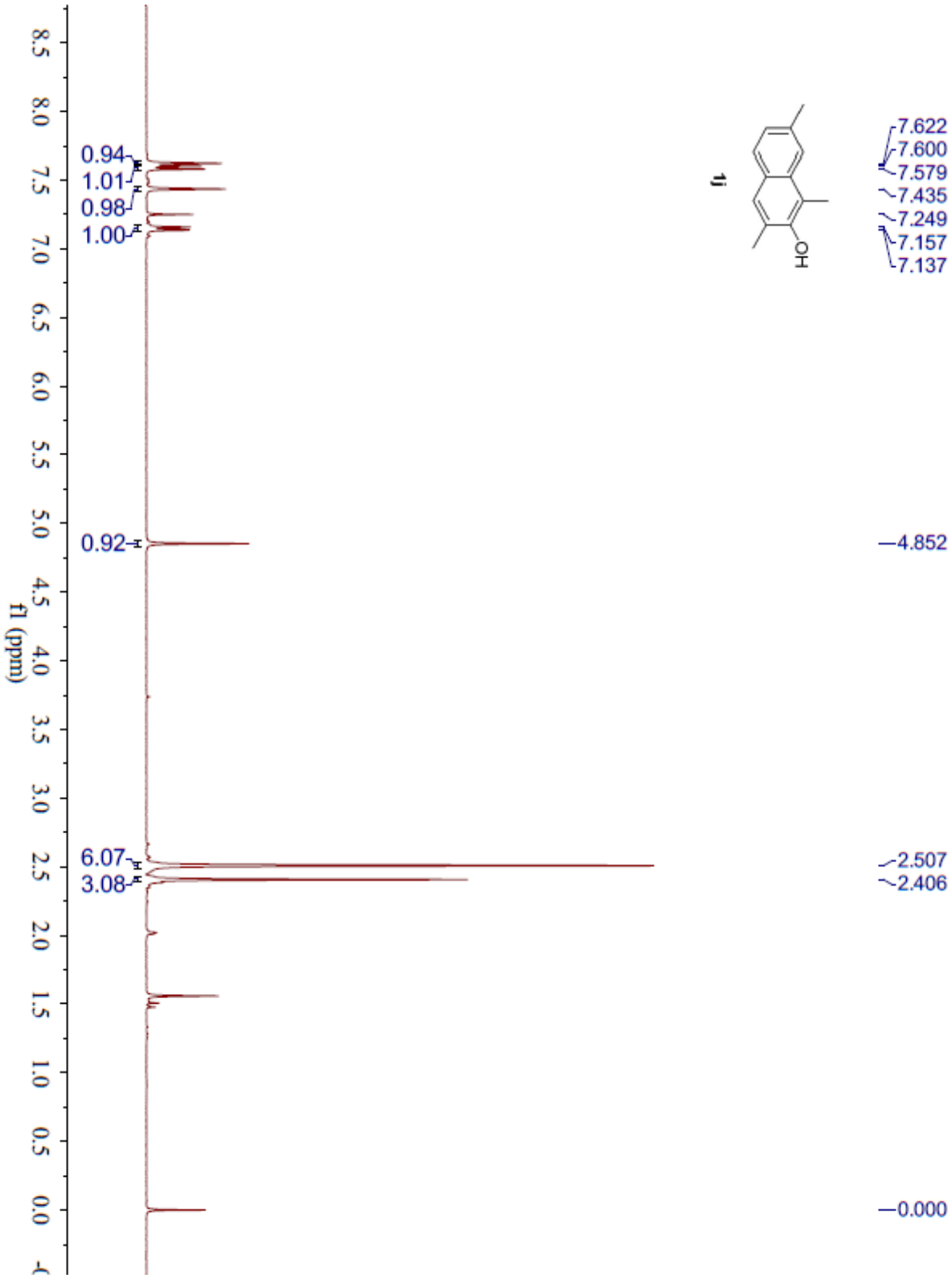

1e
Br
OH
7.898
7.875
7.678
7.658
7.510
7.492
7.472
7.362
7.343
7.325
7.249
5.701
2.610
0.000
1.92
0.99
0.99
0.99
0.90
3.00
f1 (ppm)

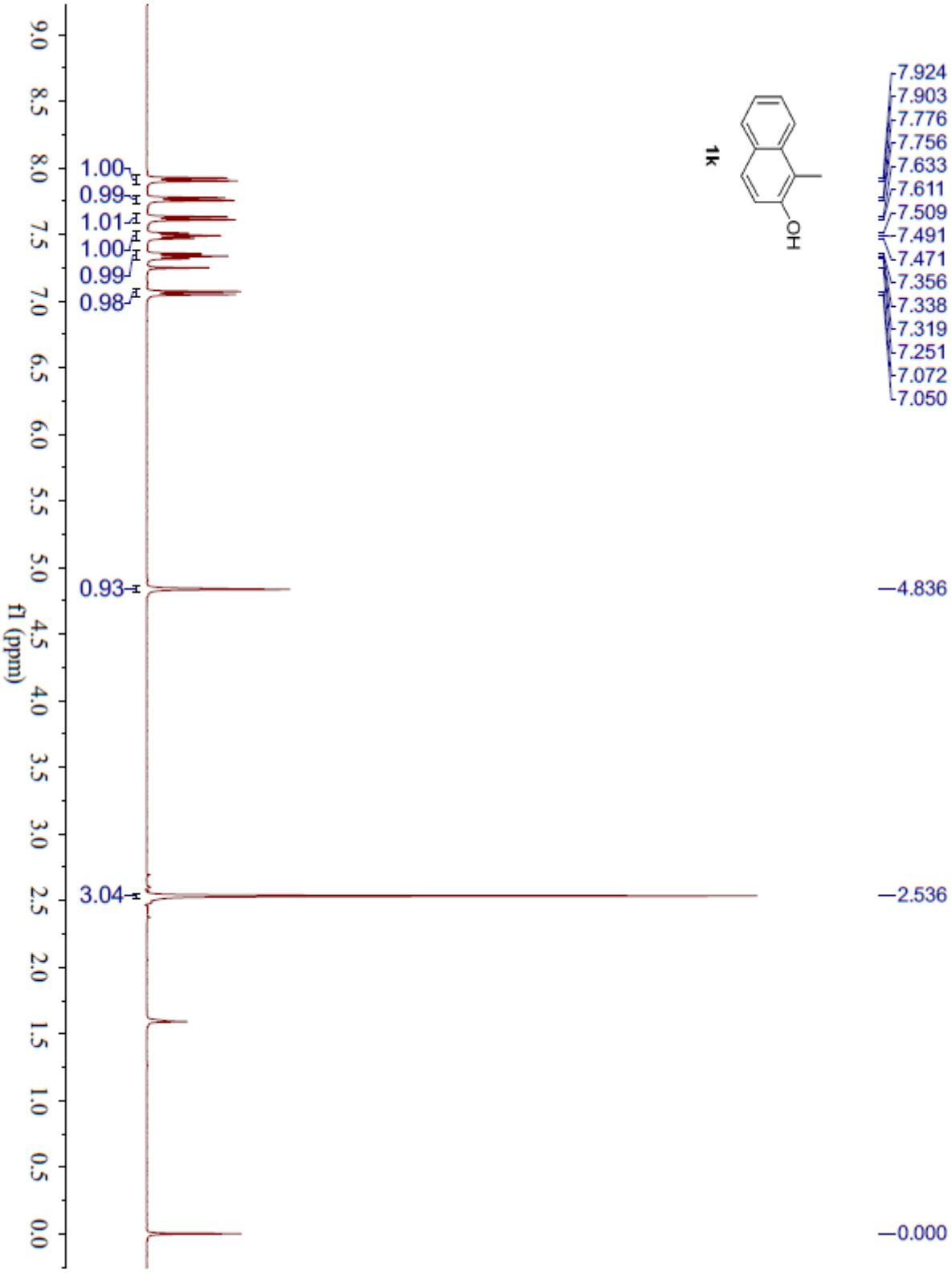

1f
OH
I
8.143
7.901
7.880
7.658
7.638
7.514
7.494
7.475
7.348
7.329
7.311
7.254
1.00
1.06
1.06
1.05
1.06
0.96
3.12
5.390
2.625
0.000
f1 (ppm)

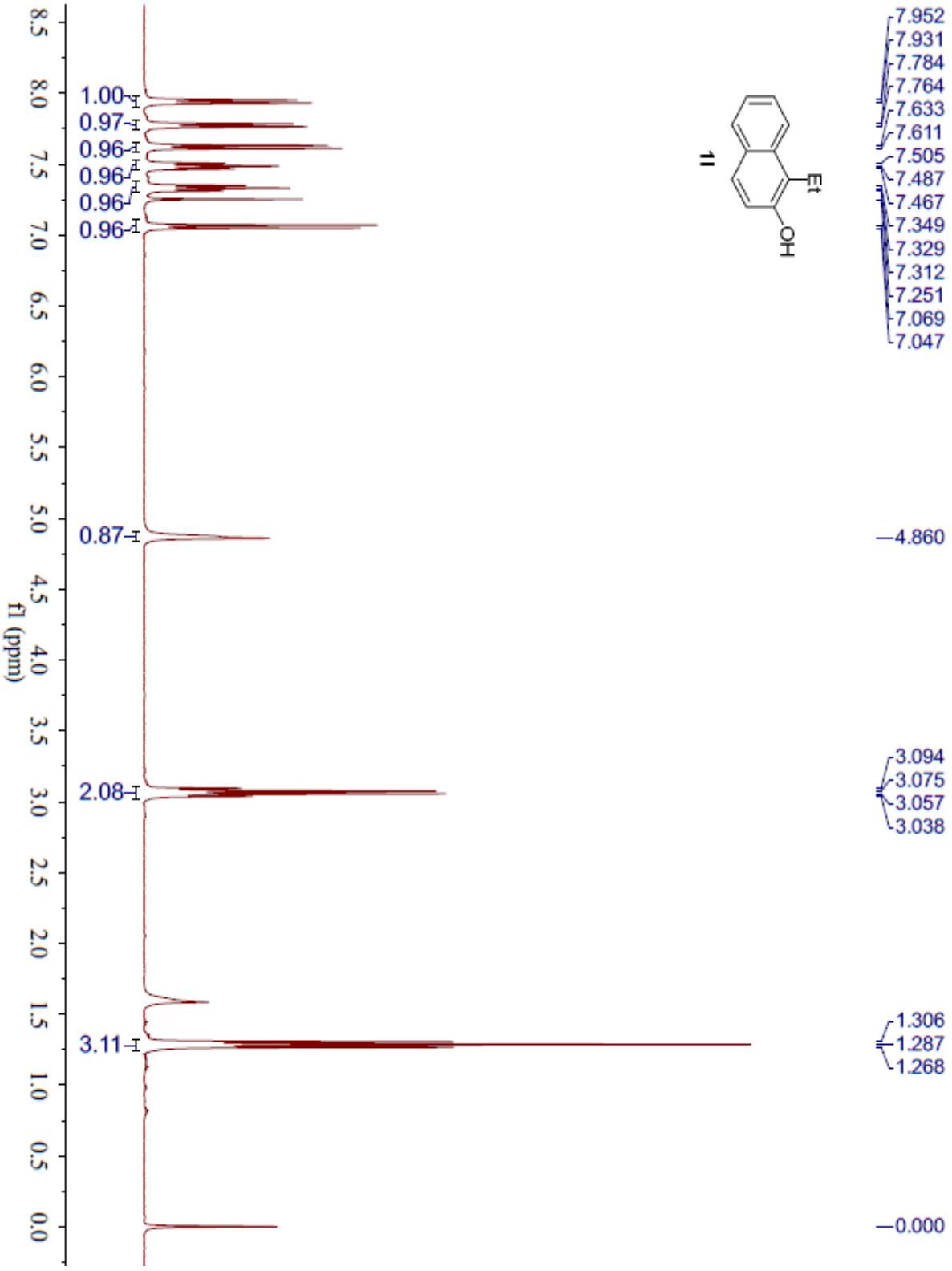

1.01
1.03
1.03
6.16
1.03
0.90
3.00
7.957
7.936
7.786
7.765
7.600
7.545
7.534
7.520
7.500
7.480
7.470
7.459
7.449
7.437
7.372
7.353
7.335
5.327
2.613
0.000
1g
OH
Ph
f1 (ppm)

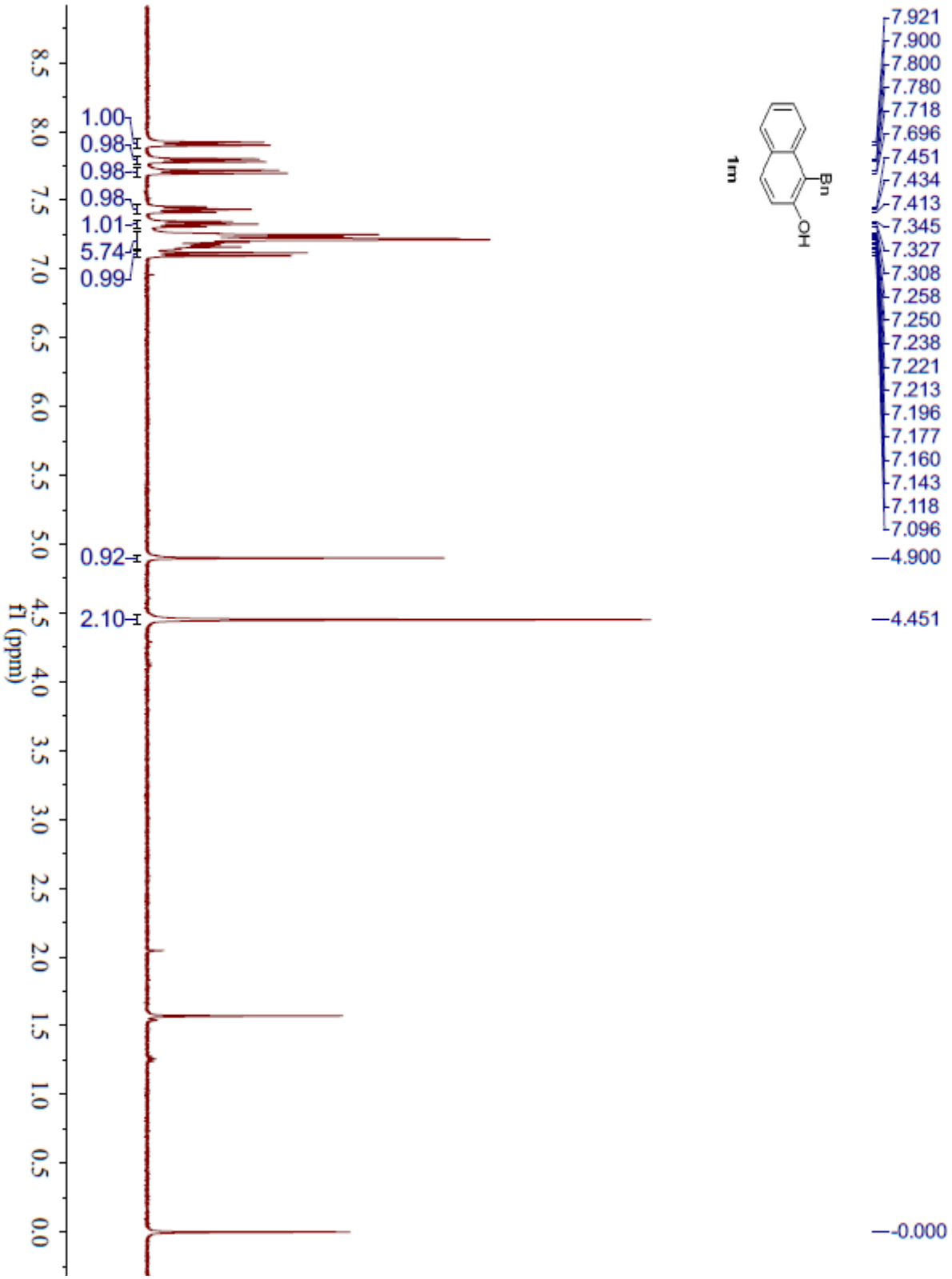

0.95
0.91
0.91
0.94
0.96
0.88
2.00
2.97
3.00
f1 (ppm)
1h
Et
OH
7.892
7.870
7.713
7.692
7.491
7.442
7.424
7.405
7.321
7.302
7.284
7.249
4.898
3.084
3.065
3.046
3.027
2.433
1.302
1.283
1.264
0.000

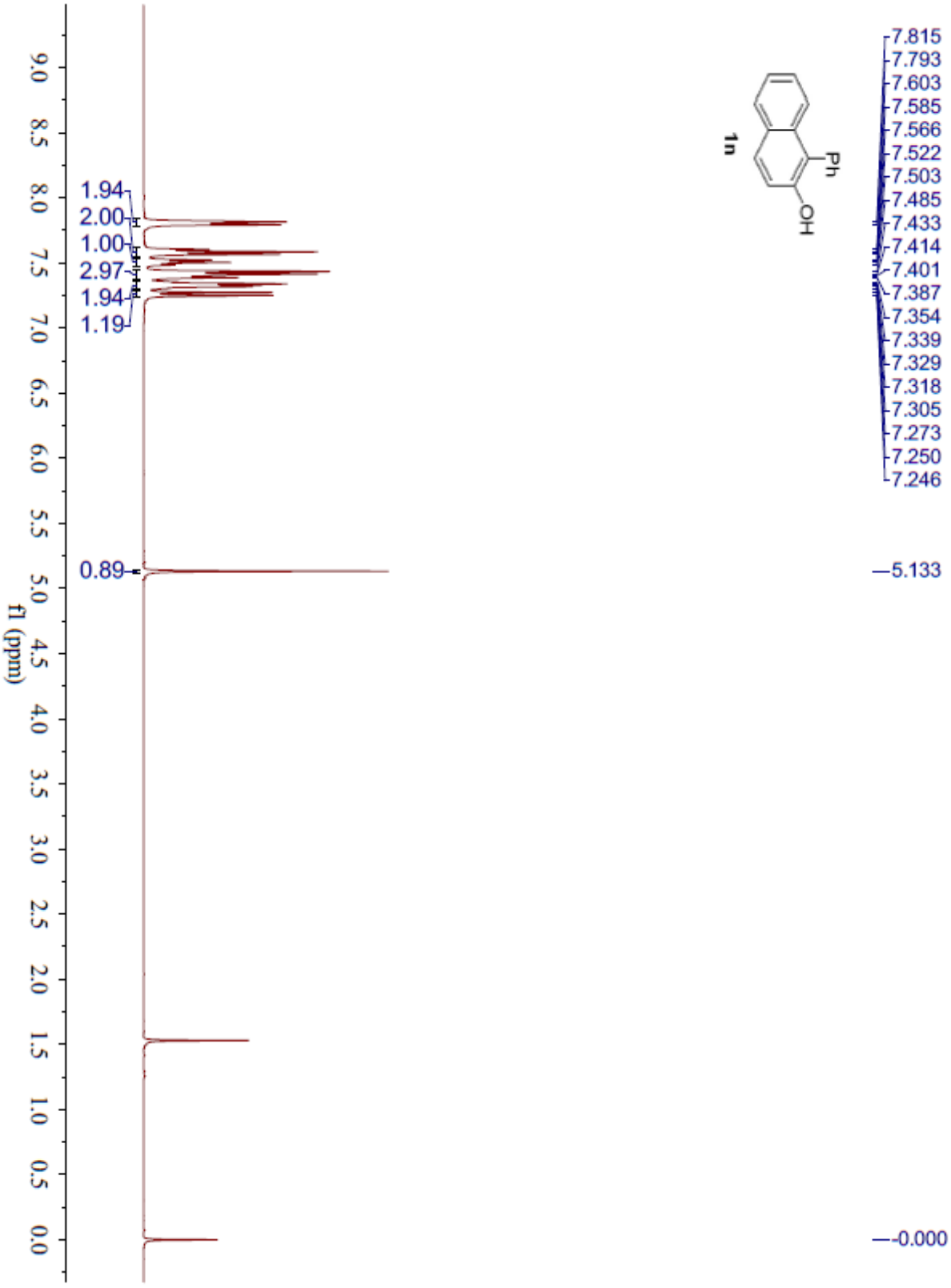

1i
OH
7.769
7.748
7.463
7.399
7.277
7.257
4.803
2.513
2.464
2.416
0.000
1.00
1.05
1.01
1.74
0.92
2.98
3.07
3.11
f1 (ppm)

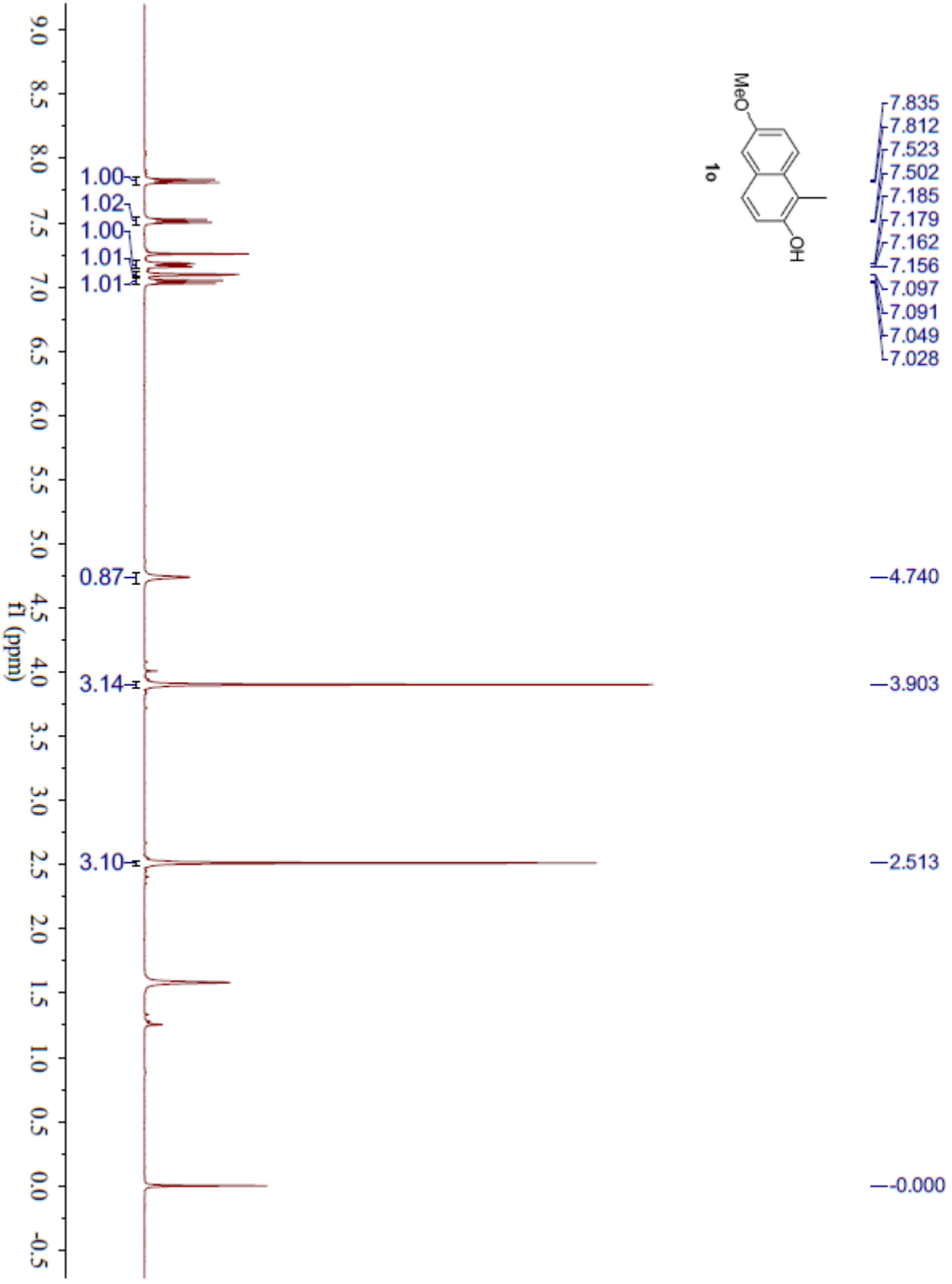

1j
OH
7.622
7.600
7.579
7.435
7.249
7.157
7.137
4.852
2.507
2.406
0.000
0.94
1.01
0.98
1.00
0.92
6.07
3.08
f1 (ppm)

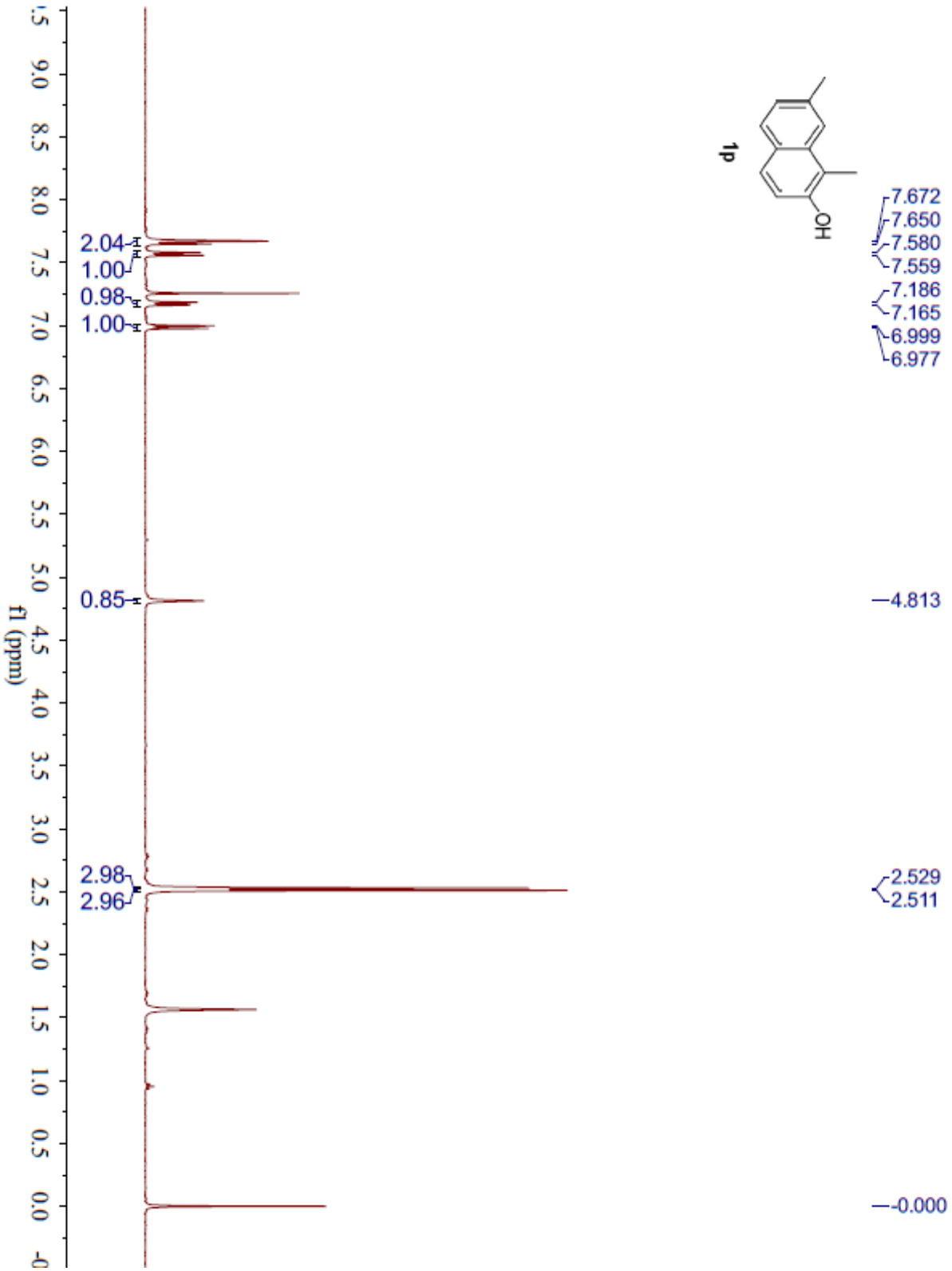

1k
OH
7.924
7.903
7.776
7.756
7.633
7.611
7.509
7.491
7.471
7.356
7.338
7.319
7.251
7.072
7.050
1.00
0.99
1.01
1.00
0.99
0.98
0.93
3.04
4.836
2.536
0.000
f1 (ppm)

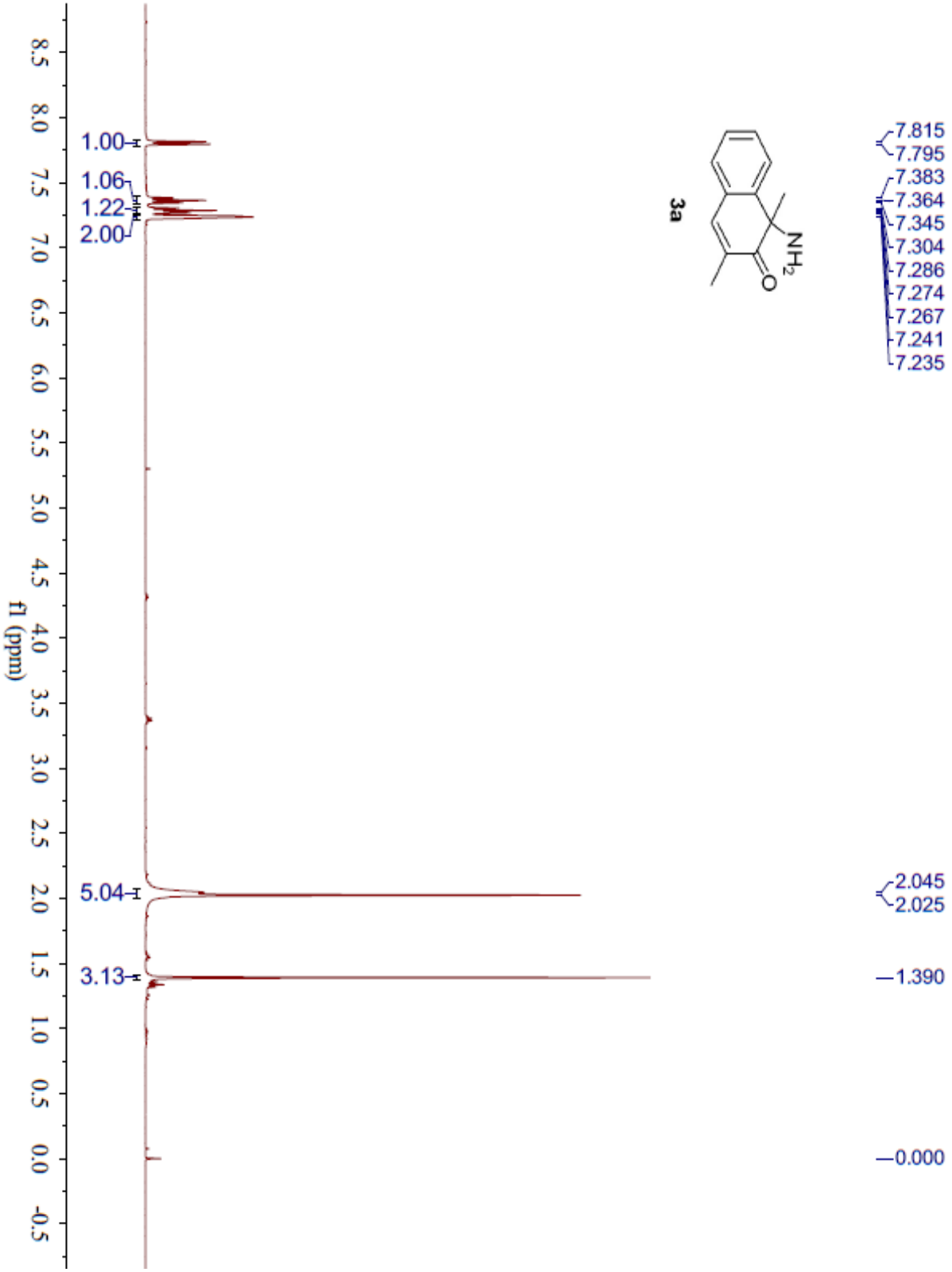

1l
Et
OH
7.952
7.931
7.784
7.764
7.633
7.611
7.505
7.487
7.467
7.349
7.329
7.312
7.251
7.069
7.047
4.860
3.094
3.075
3.057
3.038
1.306
1.287
1.268
0.000
1.00
0.97
0.96
0.96
0.96
0.96
0.87
2.08
3.11
f1 (ppm)

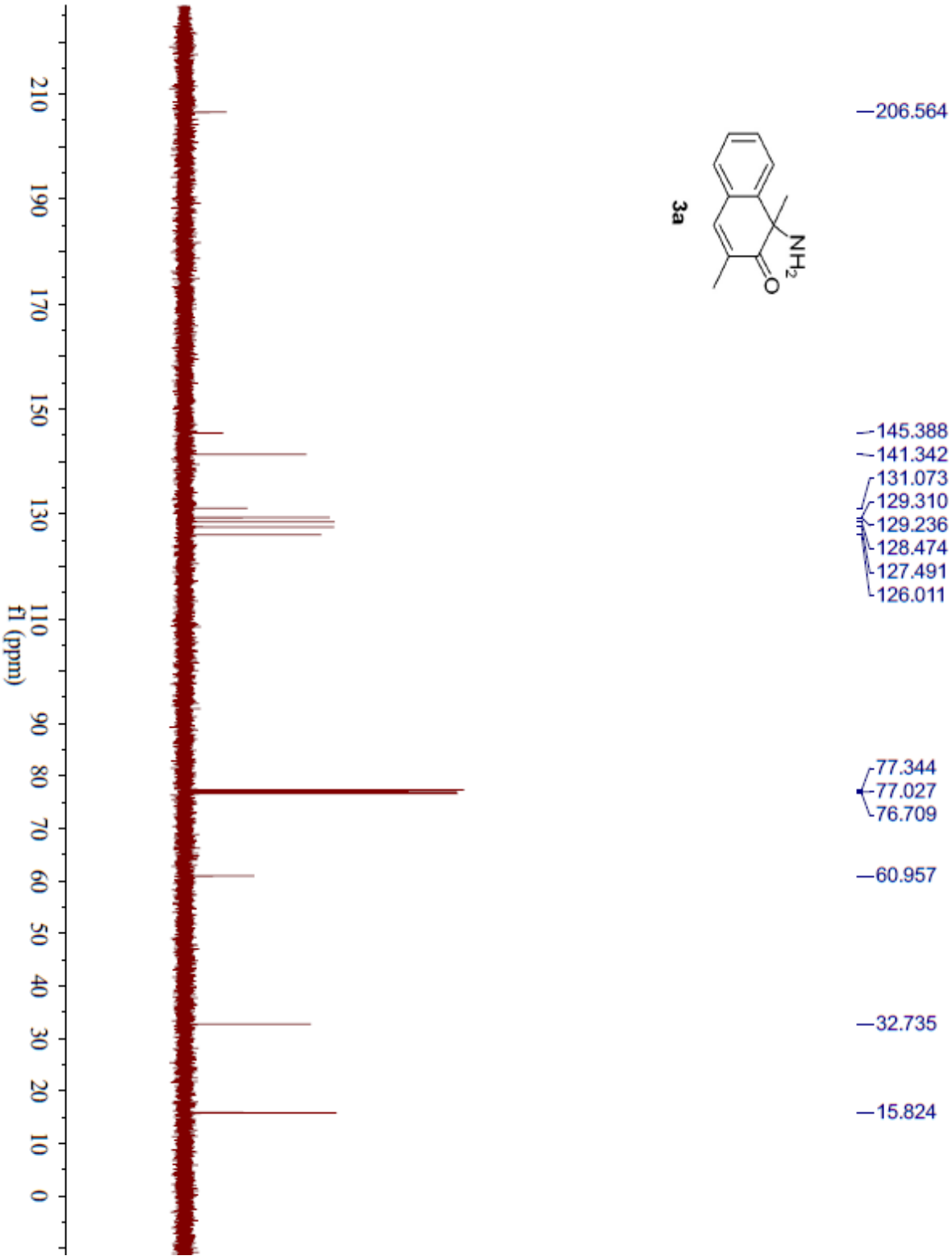

1.00
0.98
0.98
0.98
1.01
5.74
0.99
0.92
2.10
fl (ppm)
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
1m
Bn
OH
7.921
7.900
7.800
7.780
7.718
7.696
7.451
7.434
7.413
7.345
7.327
7.308
7.258
7.250
7.238
7.221
7.213
7.196
7.177
7.160
7.143
7.118
7.096
4.900
4.451
0.000

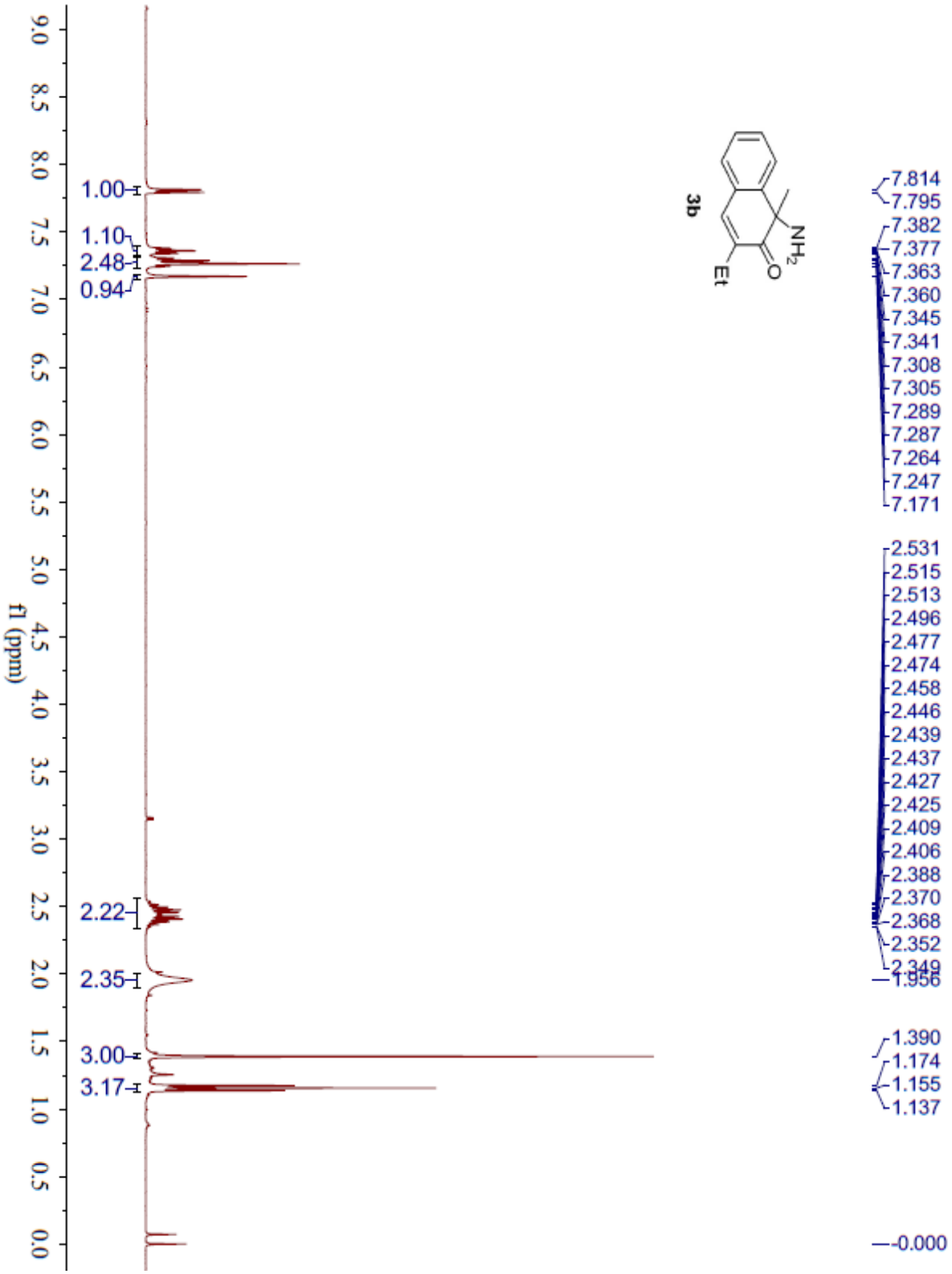

1n
Ph
OH
7.815
7.793
7.603
7.585
7.566
7.522
7.503
7.485
7.433
7.414
7.401
7.387
7.354
7.339
7.329
7.318
7.305
7.273
7.250
7.246
5.133
-0.000
1.94
2.00
1.00
2.97
1.94
1.19
0.89
f1 (ppm)

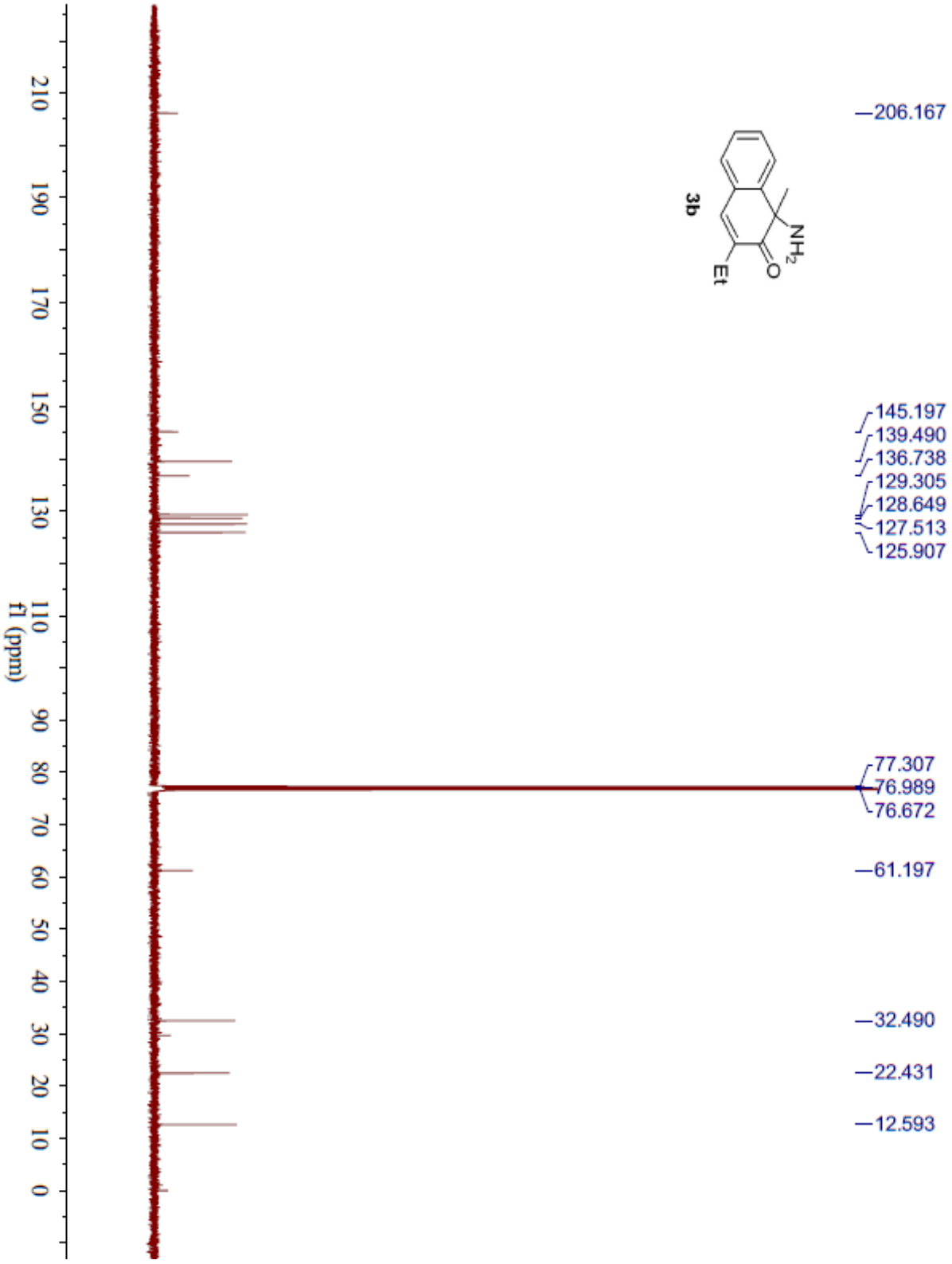

MeO
OH
1o
7.835
7.812
7.523
7.502
7.185
7.179
7.162
7.156
7.097
7.091
7.049
7.028
1.00
1.02
1.00
1.01
1.01
0.87
3.14
3.10
—4.740
—3.903
—2.513
—-0.000
f1 (ppm)

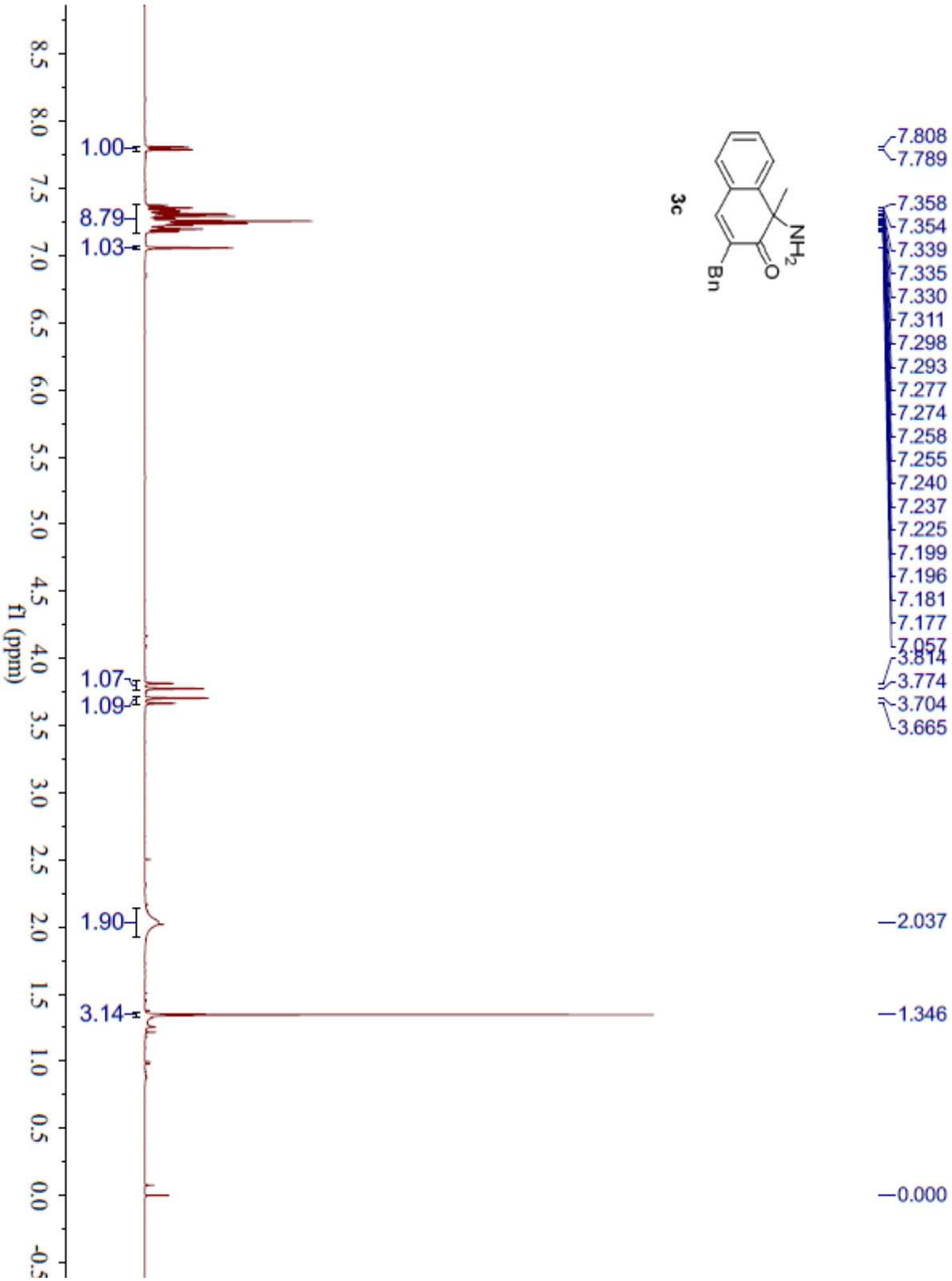

1p
OH
7.672
7.650
7.580
7.559
7.186
7.165
6.999
6.977
4.813
2.529
2.511
-0.000
2.04
1.00
0.98
1.00
0.85
2.98
2.96
f1 (ppm)

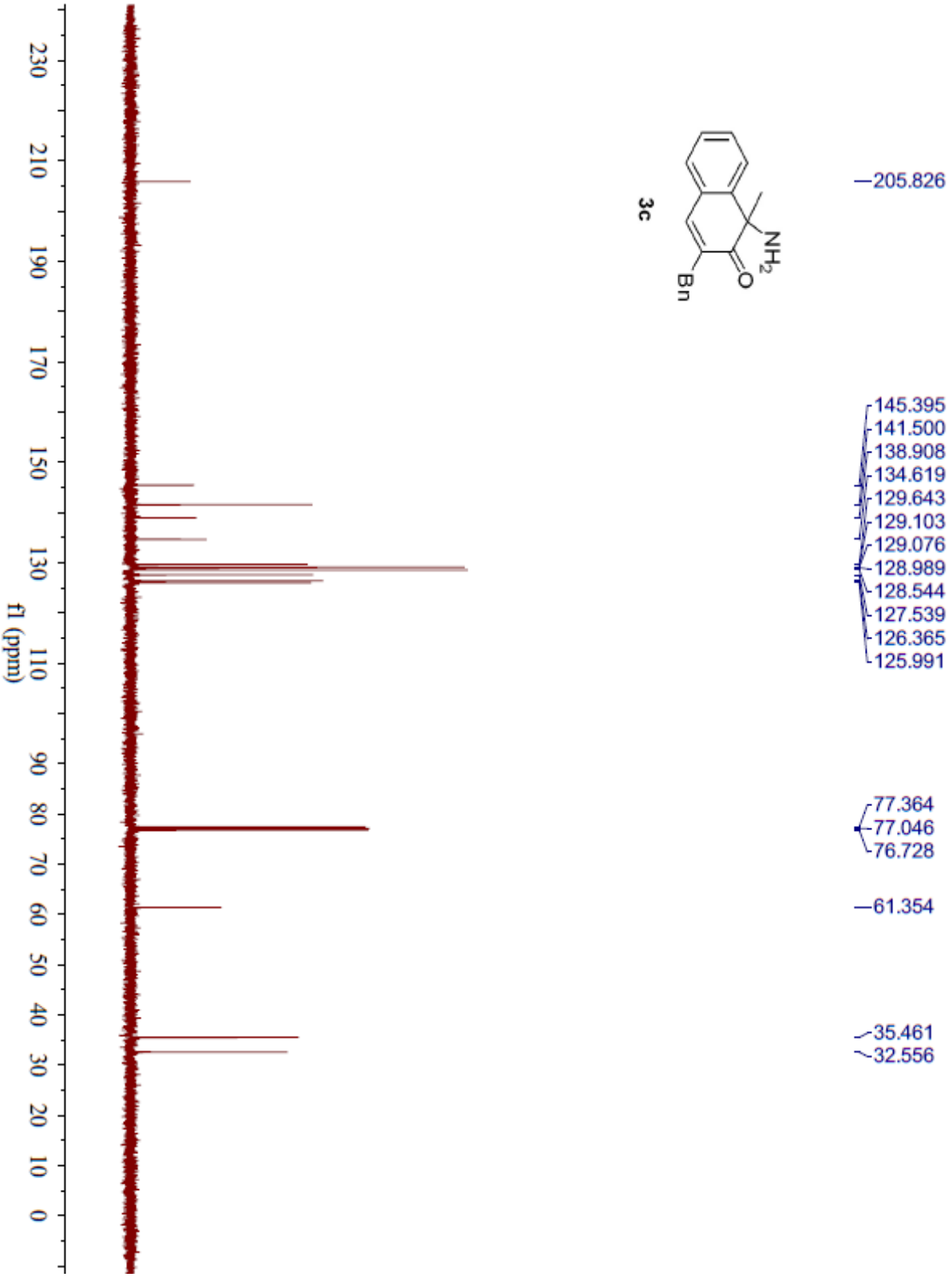

8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)
1.00
1.06
1.22
2.00
5.04
3.13
3a
NH2
O
7.815
7.795
7.383
7.364
7.345
7.304
7.286
7.274
7.267
7.241
7.235
2.045
2.025
1.390
0.000

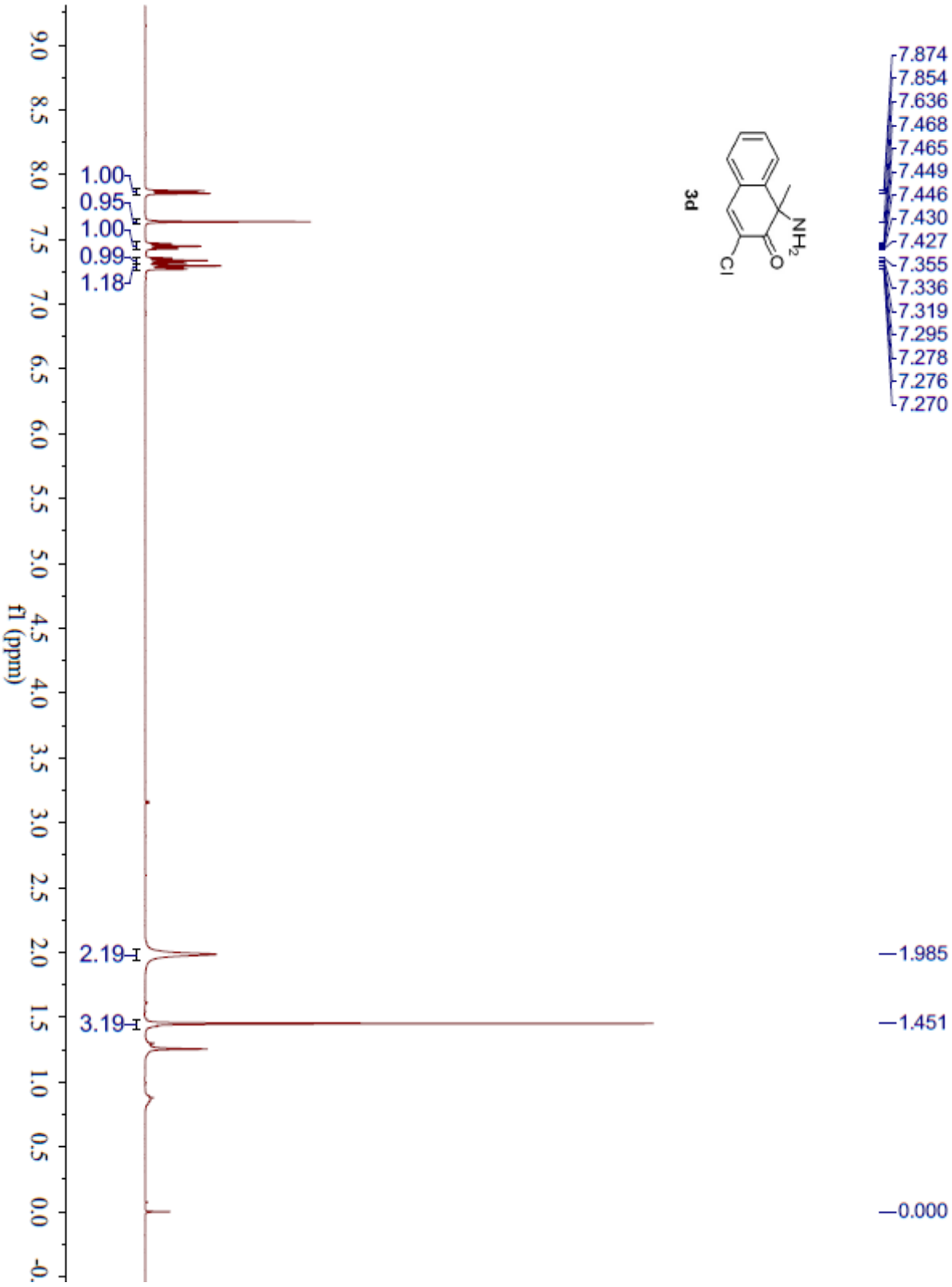

3a
NH2
O
206.564
145.388
141.342
131.073
129.310
129.236
128.474
127.491
126.011
77.344
77.027
76.709
60.957
32.735
15.824
f1 (ppm)
210
190
170
150
130
110
90
80
70
60
50
40
30
20
10
0

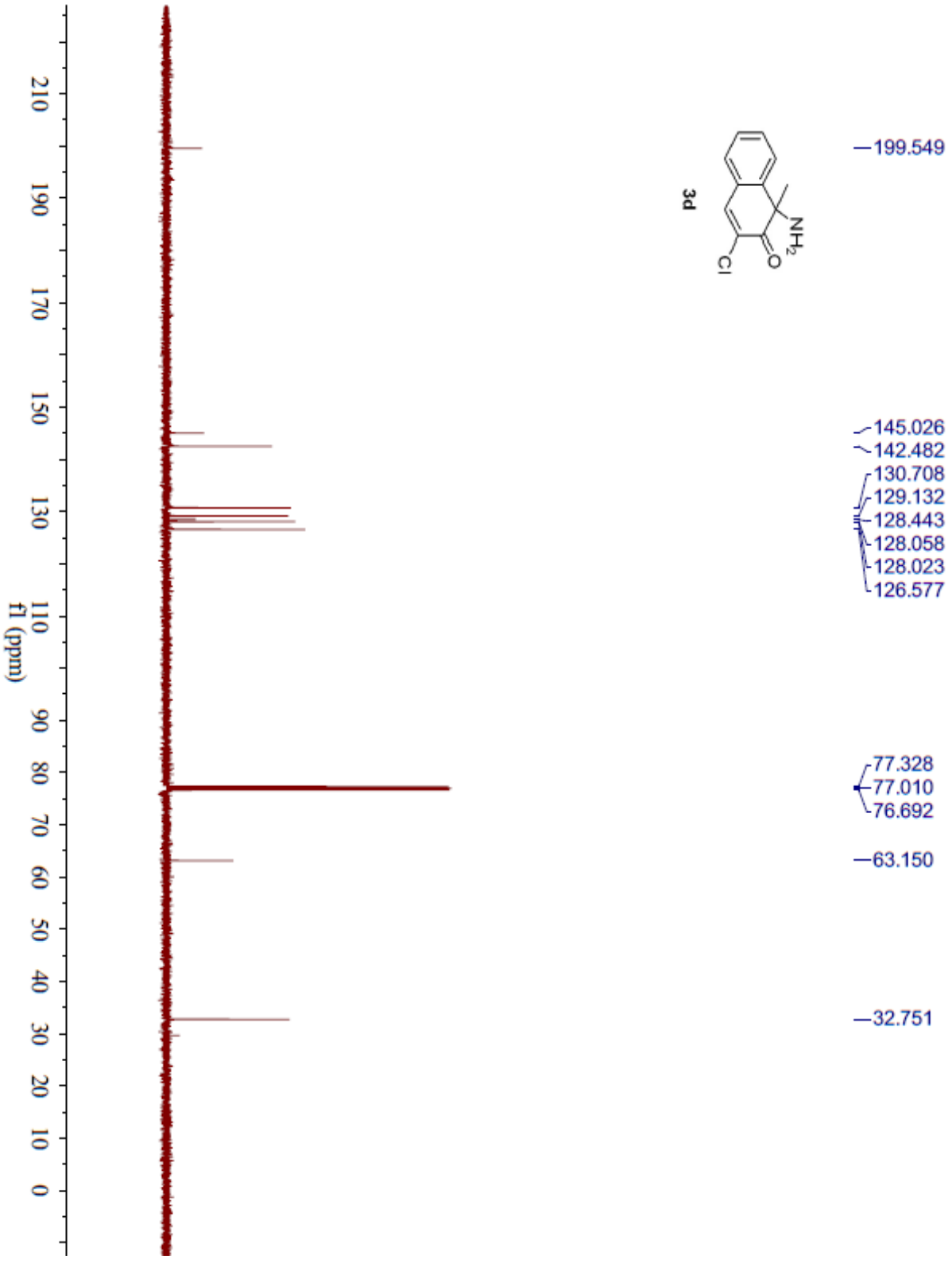

3b
NH2
O
Et
1.00
1.10
2.48
0.94
2.22
2.35
3.00
3.17
f1 (ppm)
7.814
7.795
7.382
7.377
7.363
7.360
7.345
7.341
7.308
7.305
7.289
7.287
7.264
7.247
7.171
2.531
2.515
2.513
2.496
2.477
2.474
2.458
2.446
2.439
2.437
2.427
2.425
2.409
2.406
2.388
2.370
2.368
2.352
2.349
1.956
1.390
1.174
1.155
1.137
0.000

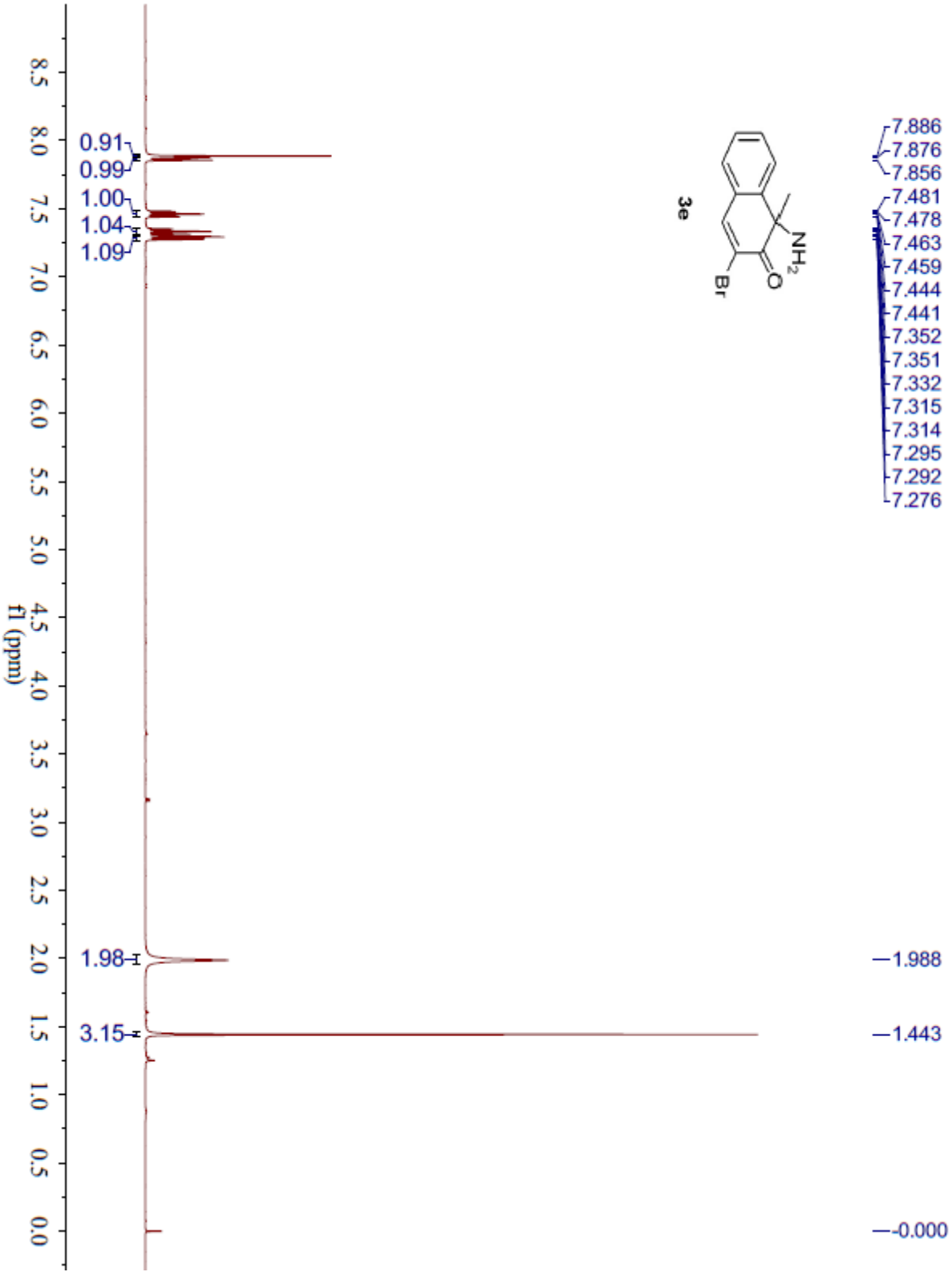

3b
NH2
O
Et
206.167
145.197
139.490
136.738
129.305
128.649
127.513
125.907
77.307
76.989
76.672
61.197
32.490
22.431
12.593
f1 (ppm)
210
190
170
150
130
110
90
80
70
60
50
40
30
20
10
0

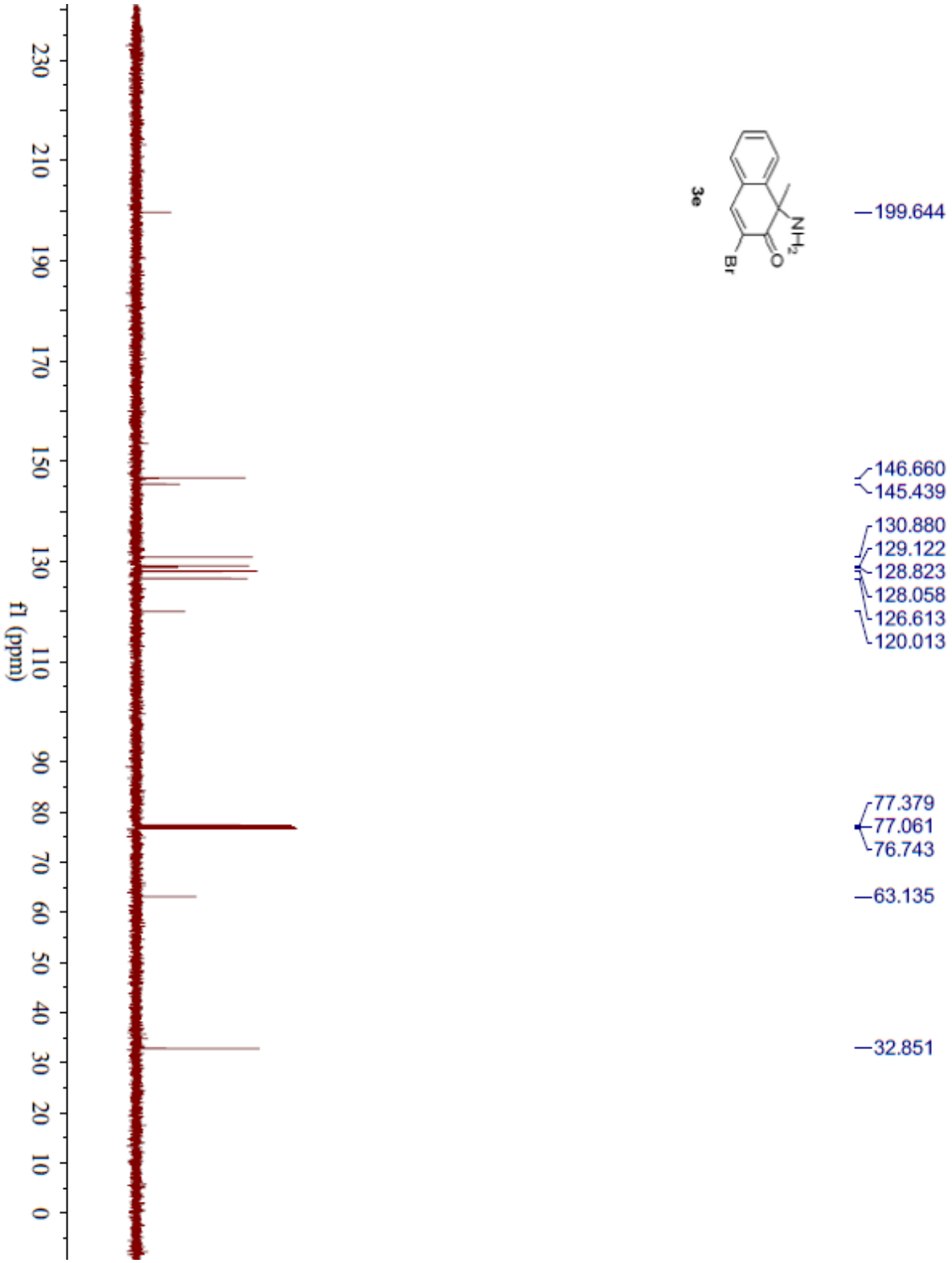

8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)
1.00
8.79
1.03
1.07
1.09
1.90
3.14
3c
NH2
O
Bn
7.808
7.789
7.358
7.354
7.339
7.335
7.330
7.311
7.298
7.293
7.277
7.274
7.258
7.255
7.240
7.237
7.225
7.199
7.196
7.181
7.177
7.057
3.814
3.774
3.704
3.665
2.037
1.346
0.000

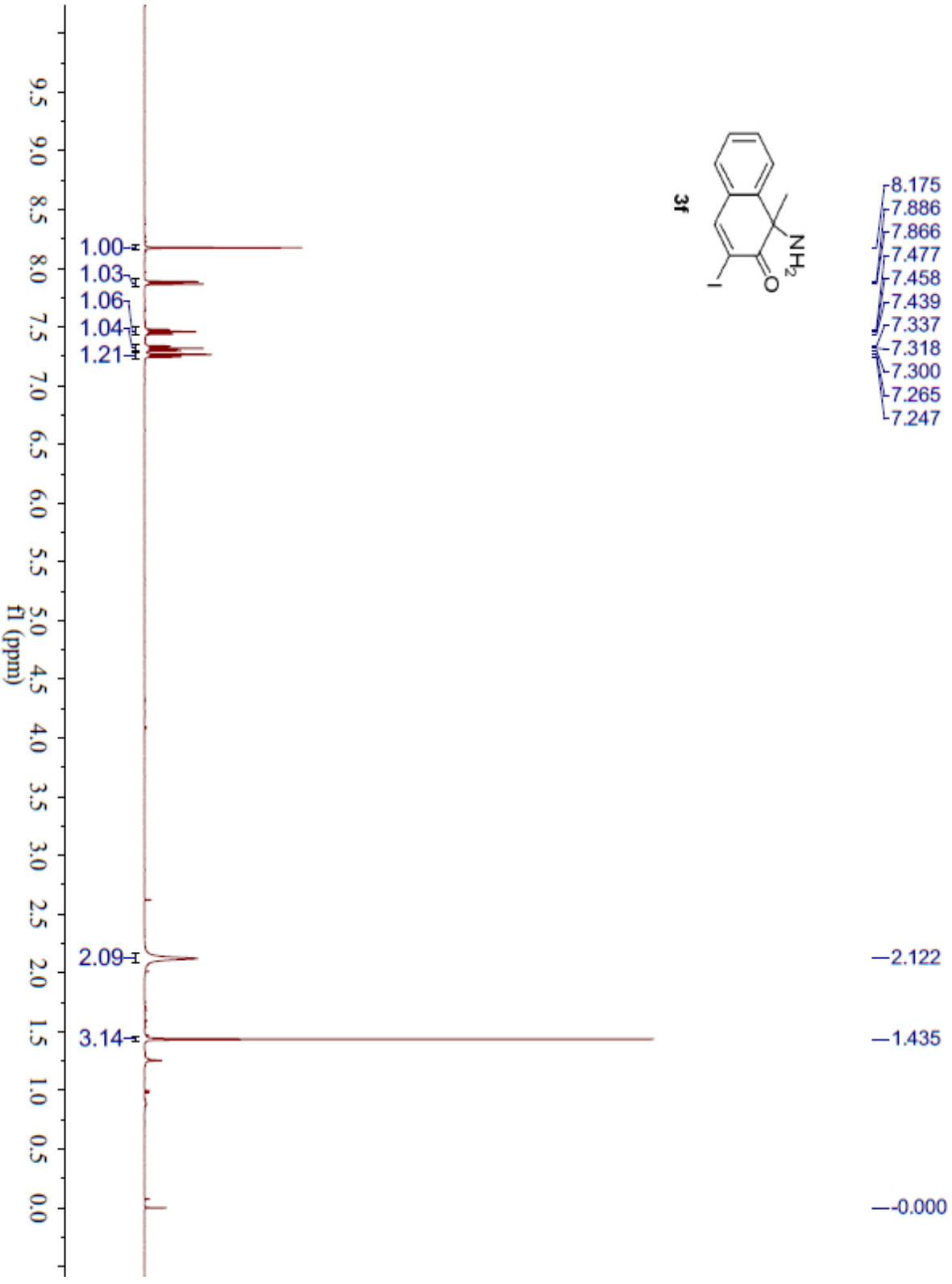

3c
NH2
O
Bn
205.826
145.395
141.500
138.908
134.619
129.643
129.103
129.076
128.989
128.544
127.539
126.365
125.991
77.364
77.046
76.728
61.354
35.461
32.556
f1 (ppm)

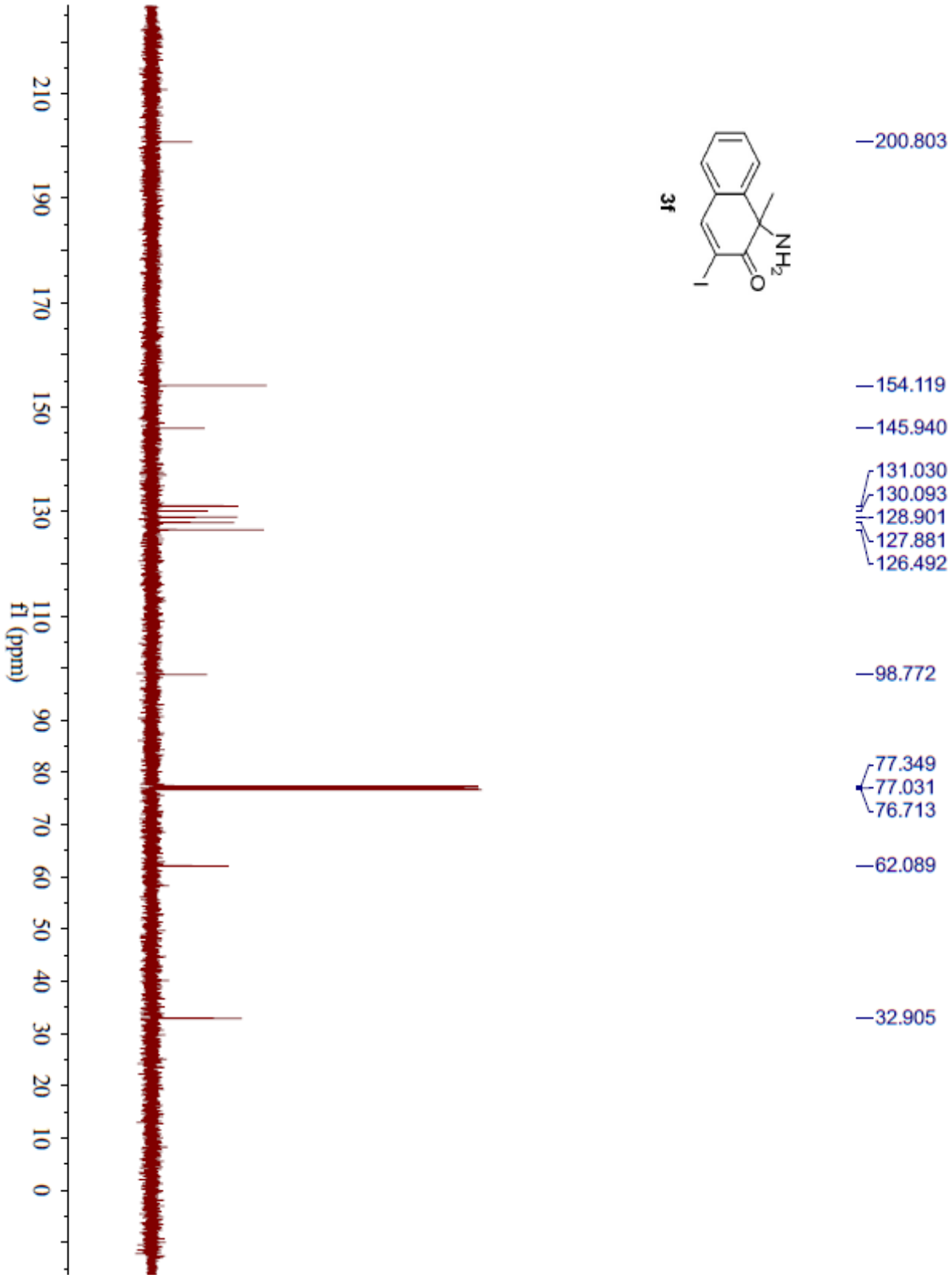

9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.
f1 (ppm)
1.00
0.95
1.00
0.99
1.18
2.19
3.19
3d
NH2
O
Cl
7.874
7.854
7.636
7.468
7.465
7.449
7.446
7.430
7.427
7.355
7.336
7.319
7.295
7.278
7.276
7.270
1.985
1.451
0.000

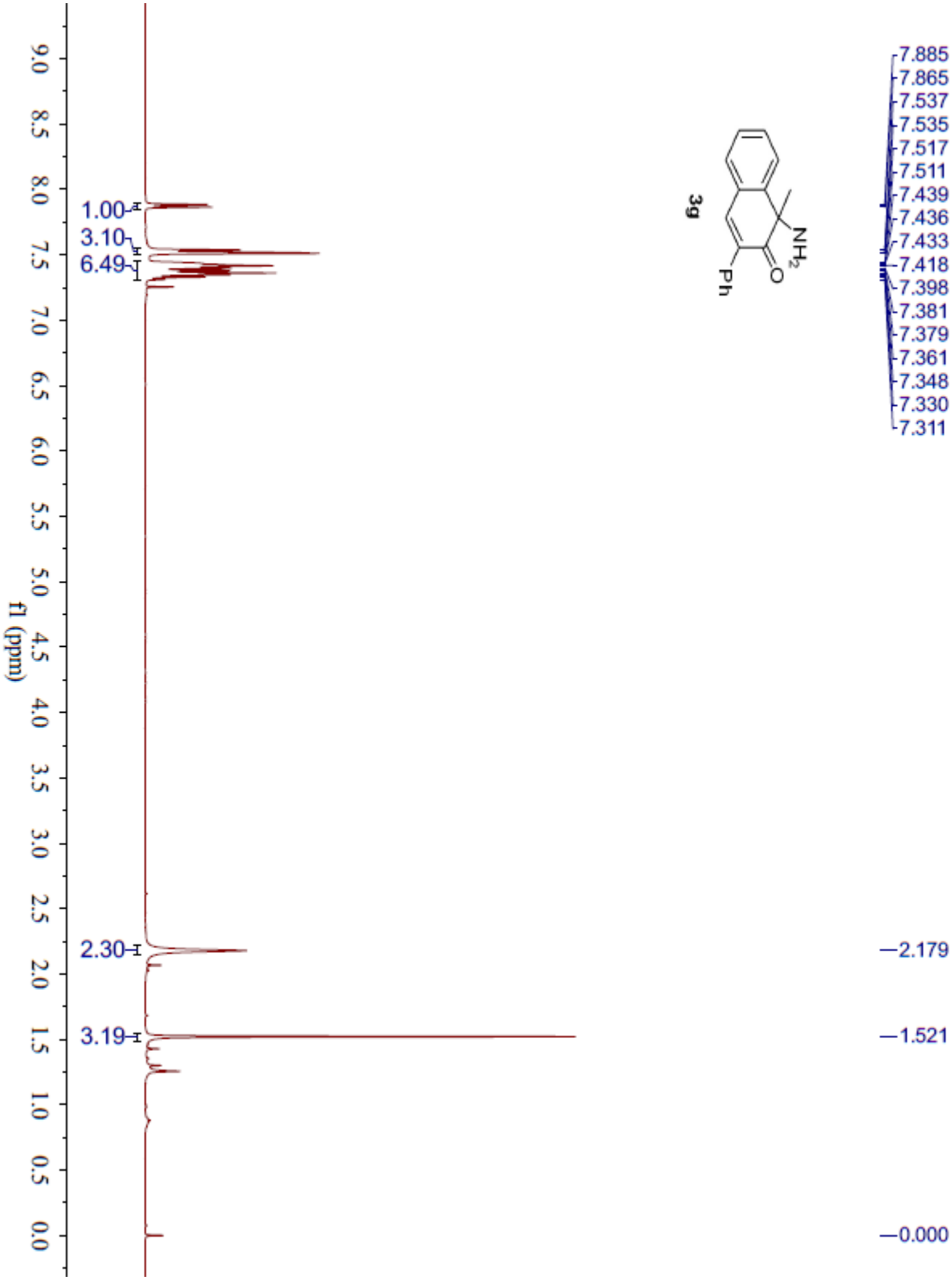

3d
NH2
O
Cl
199.549
145.026
142.482
130.708
129.132
128.443
128.058
128.023
126.577
77.328
77.010
76.692
63.150
32.751
f1 (ppm)
210
190
170
150
130
110
90
80
70
60
50
40
30
20
10
0

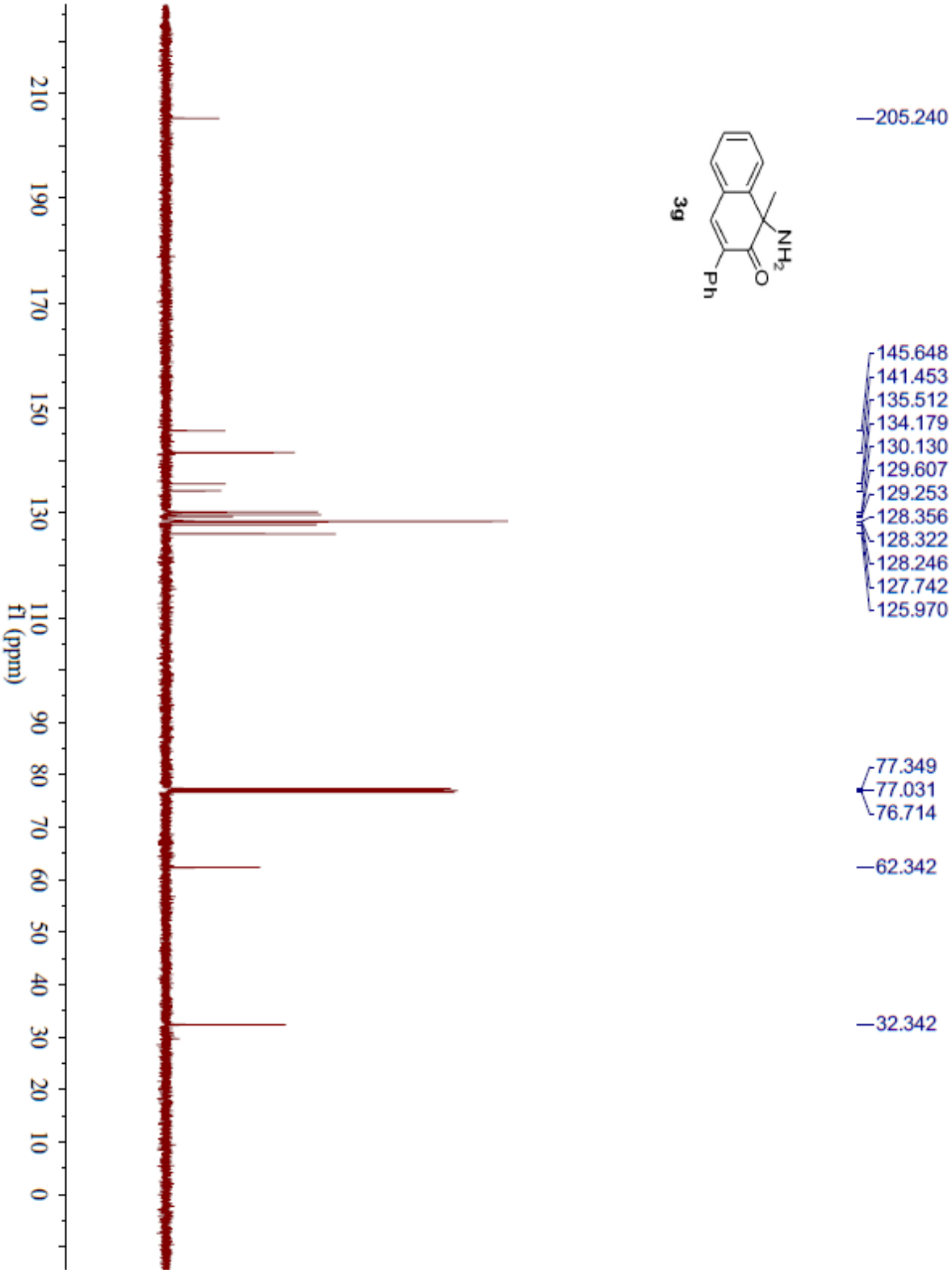

8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)
0.91
0.99
1.00
1.04
1.09
1.98
3.15
3e
NH2
O
Br
7.886
7.876
7.856
7.481
7.478
7.463
7.459
7.444
7.441
7.352
7.351
7.332
7.315
7.314
7.295
7.292
7.276
1.988
1.443
0.000

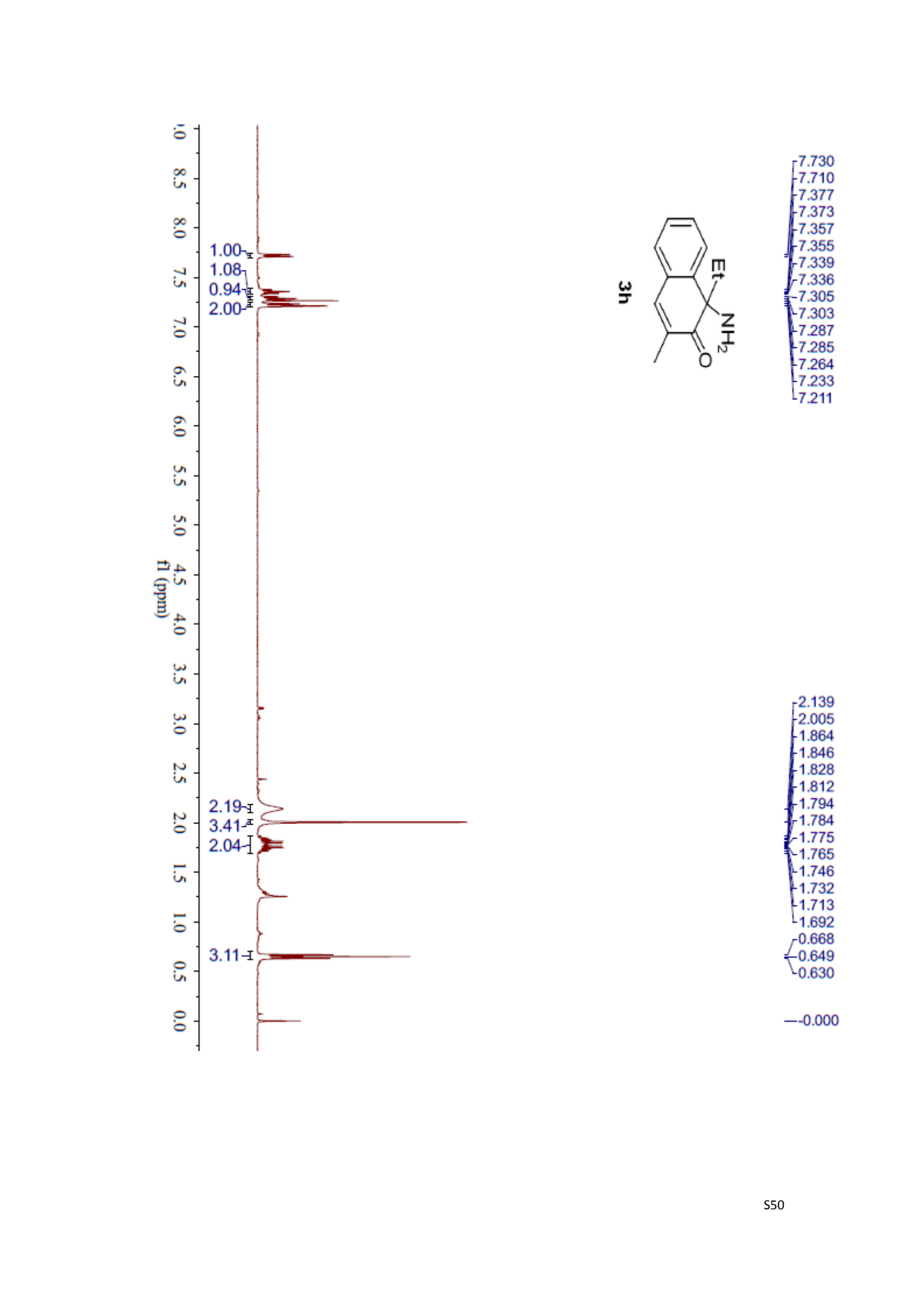

3e
NH2
O
Br
199.644
146.660
145.439
130.880
129.122
128.823
128.058
126.613
120.013
77.379
77.061
76.743
63.135
32.851
f1 (ppm)
230
210
190
170
150
130
110
90
80
70
60
50
40
30
20
10
0

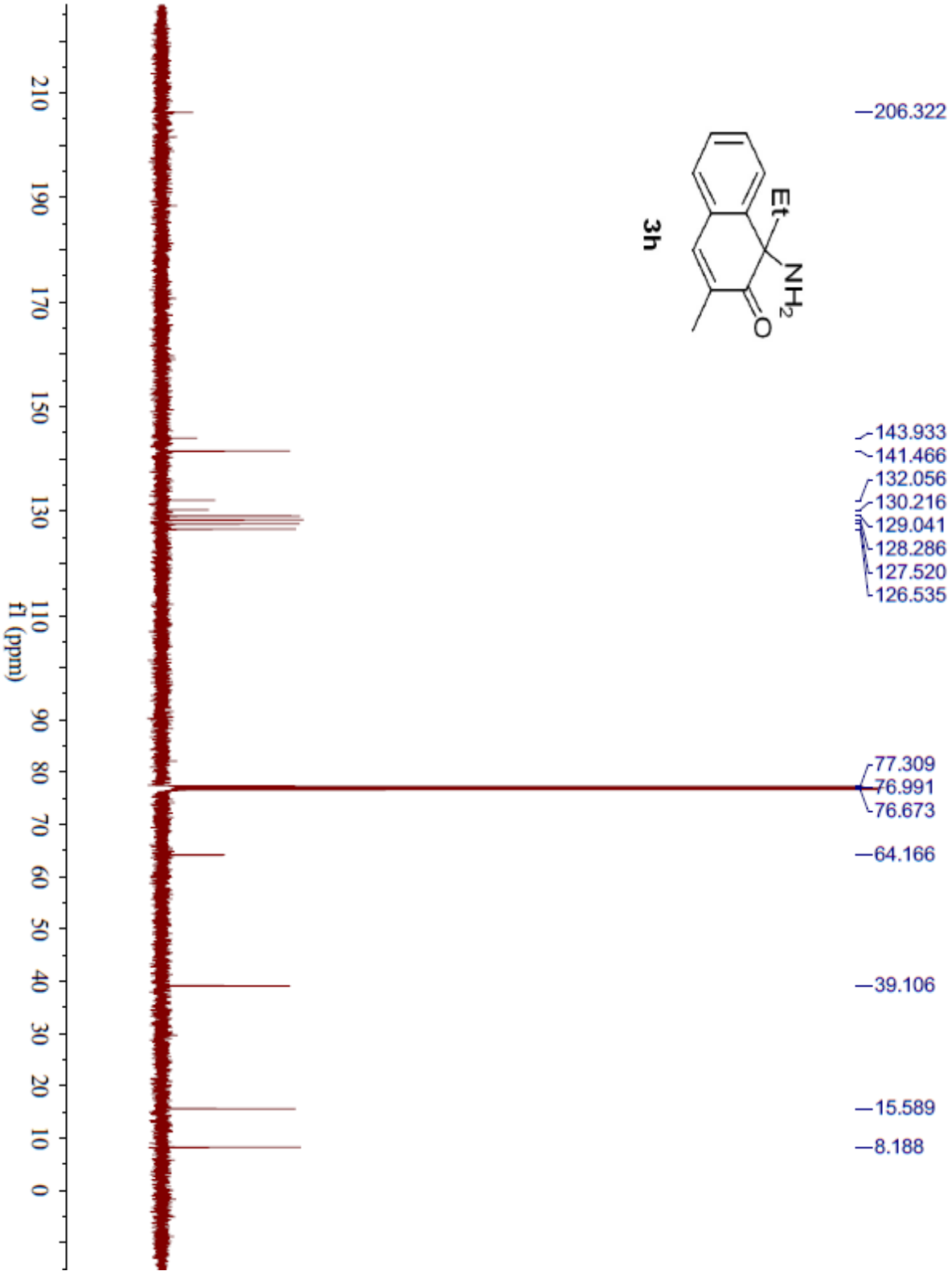

3f
NH2
O
I
8.175
7.886
7.866
7.477
7.458
7.439
7.337
7.318
7.300
7.265
7.247
1.00
1.03
1.06
1.04
1.21
2.09
3.14
2.122
1.435
-0.000
f1 (ppm)

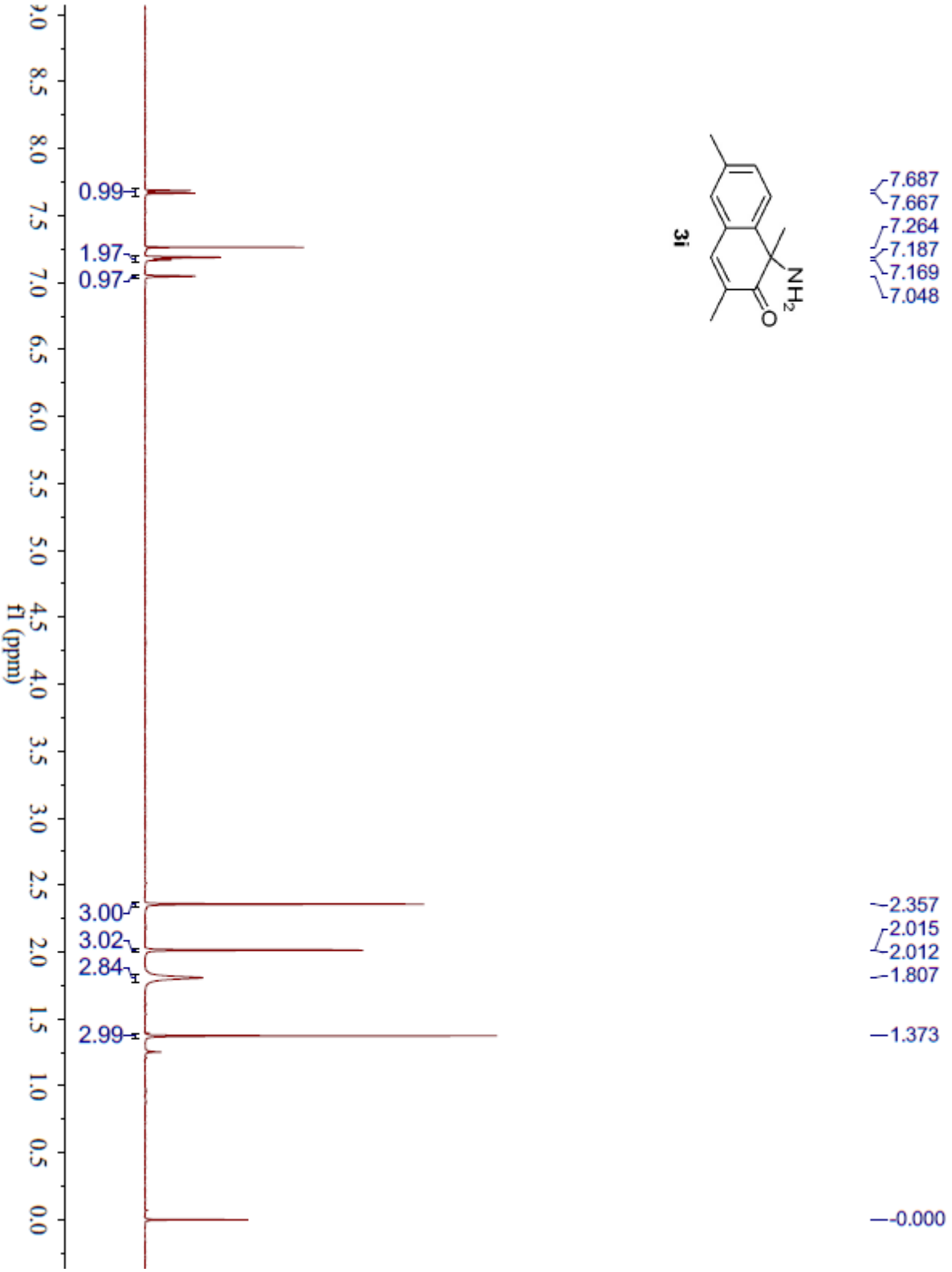

3f
NH2
O
I
200.803
154.119
145.940
131.030
130.093
128.901
127.881
126.492
98.772
77.349
77.031
76.713
62.089
32.905
f1 (ppm)
210
190
170
150
130
110
90
80
70
60
50
40
30
20
10
0

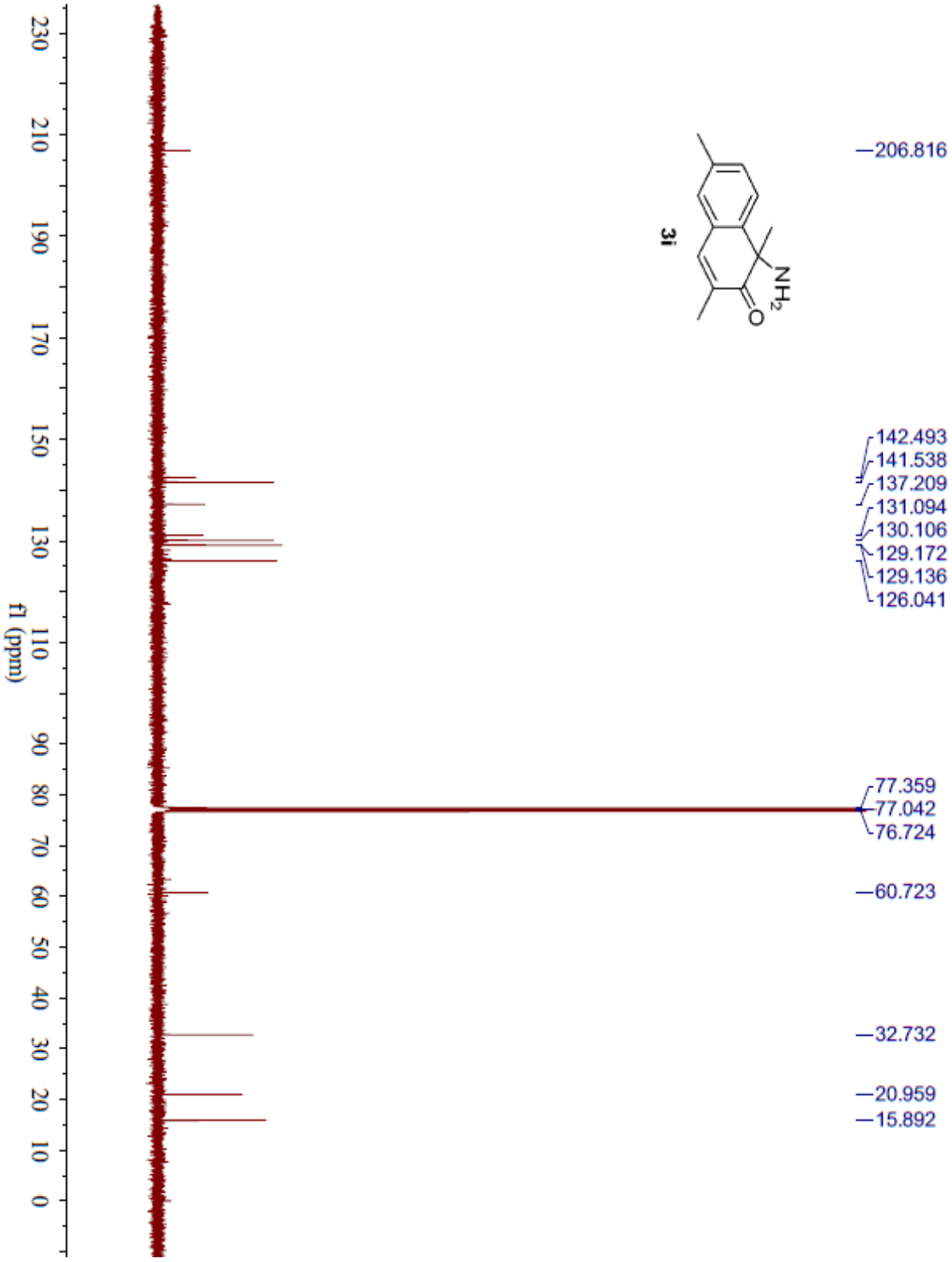

9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)
1.00
3.10
6.49
2.30
3.19
3g
NH2
O
Ph
7.885
7.865
7.537
7.535
7.517
7.511
7.439
7.436
7.433
7.418
7.398
7.381
7.379
7.361
7.348
7.330
7.311
2.179
1.521
0.000

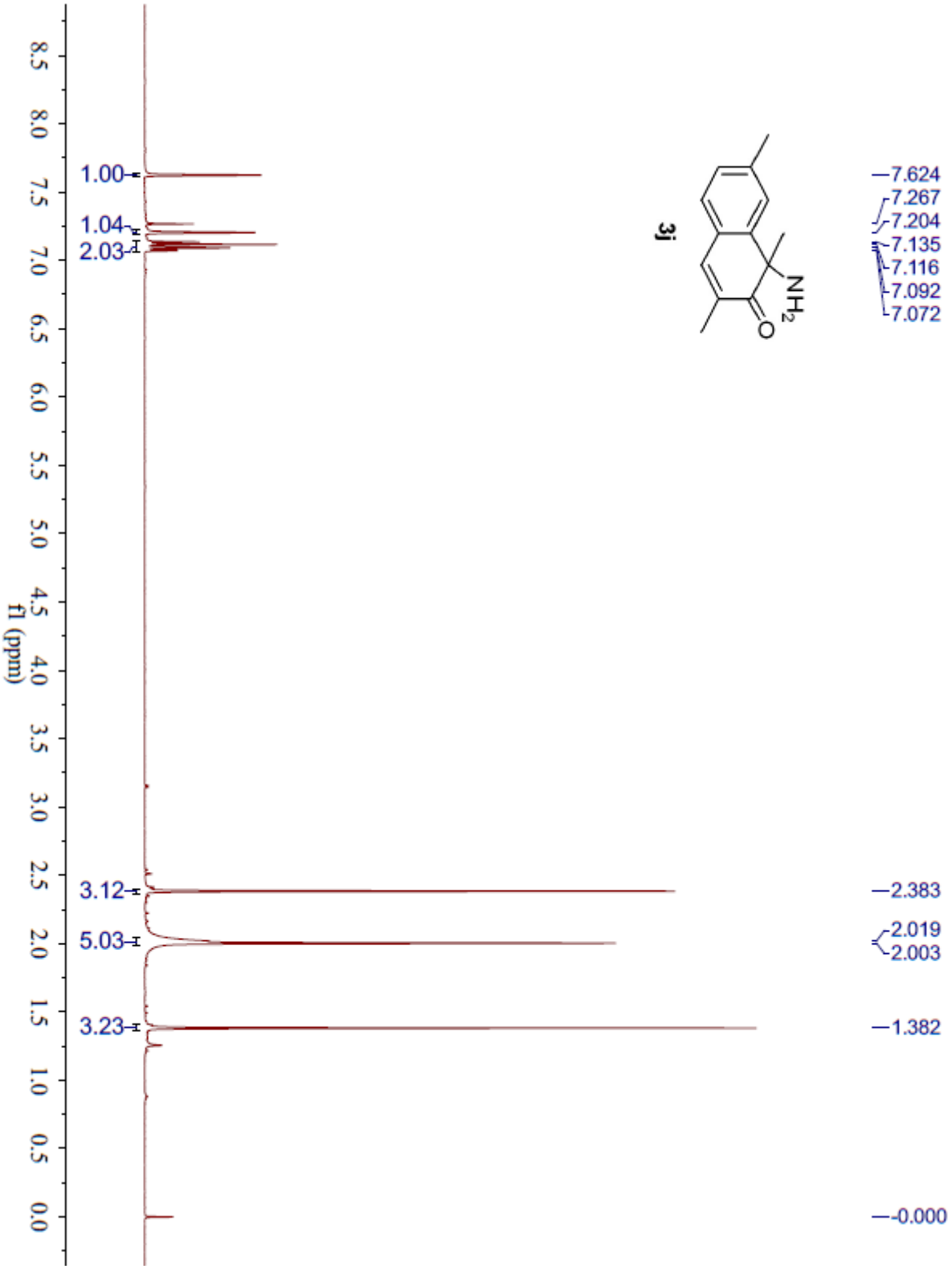

3g
NH2
O
Ph
205.240
145.648
141.453
135.512
134.179
130.130
129.607
129.253
128.356
128.322
128.246
127.742
125.970
77.349
77.031
76.714
62.342
32.342
f1 (ppm)
210
190
170
150
130
110
90
80
70
60
50
40
30
20
10
0

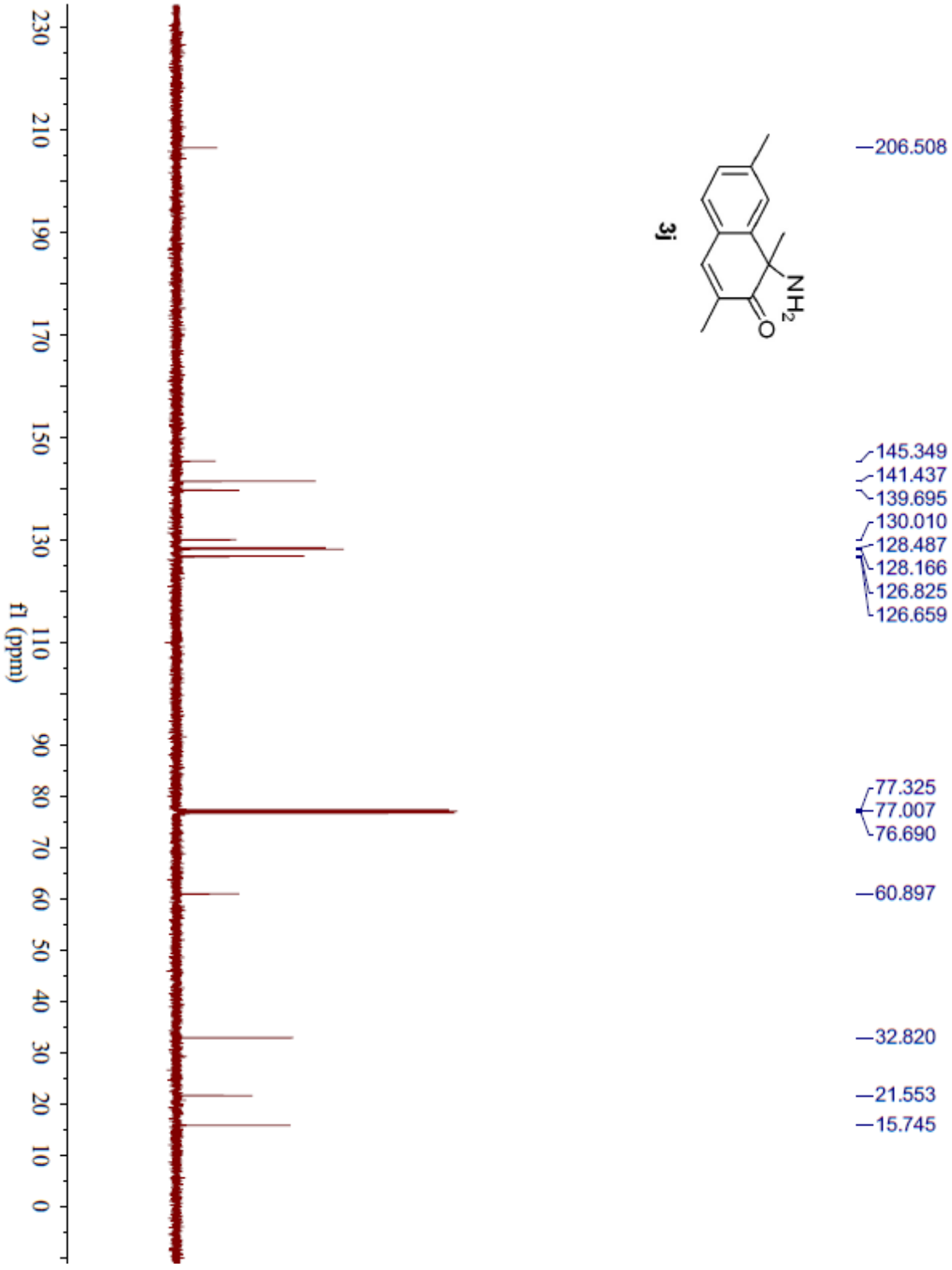

3h
Et
NH2
O
7.730
7.710
7.377
7.373
7.357
7.355
7.339
7.336
7.305
7.303
7.287
7.285
7.264
7.233
7.211
2.139
2.005
1.864
1.846
1.828
1.812
1.794
1.784
1.775
1.765
1.746
1.732
1.713
1.692
0.668
0.649
0.630
0.000
1.00
1.08
0.94
2.00
2.19
3.41
2.04
3.11
f1 (ppm)

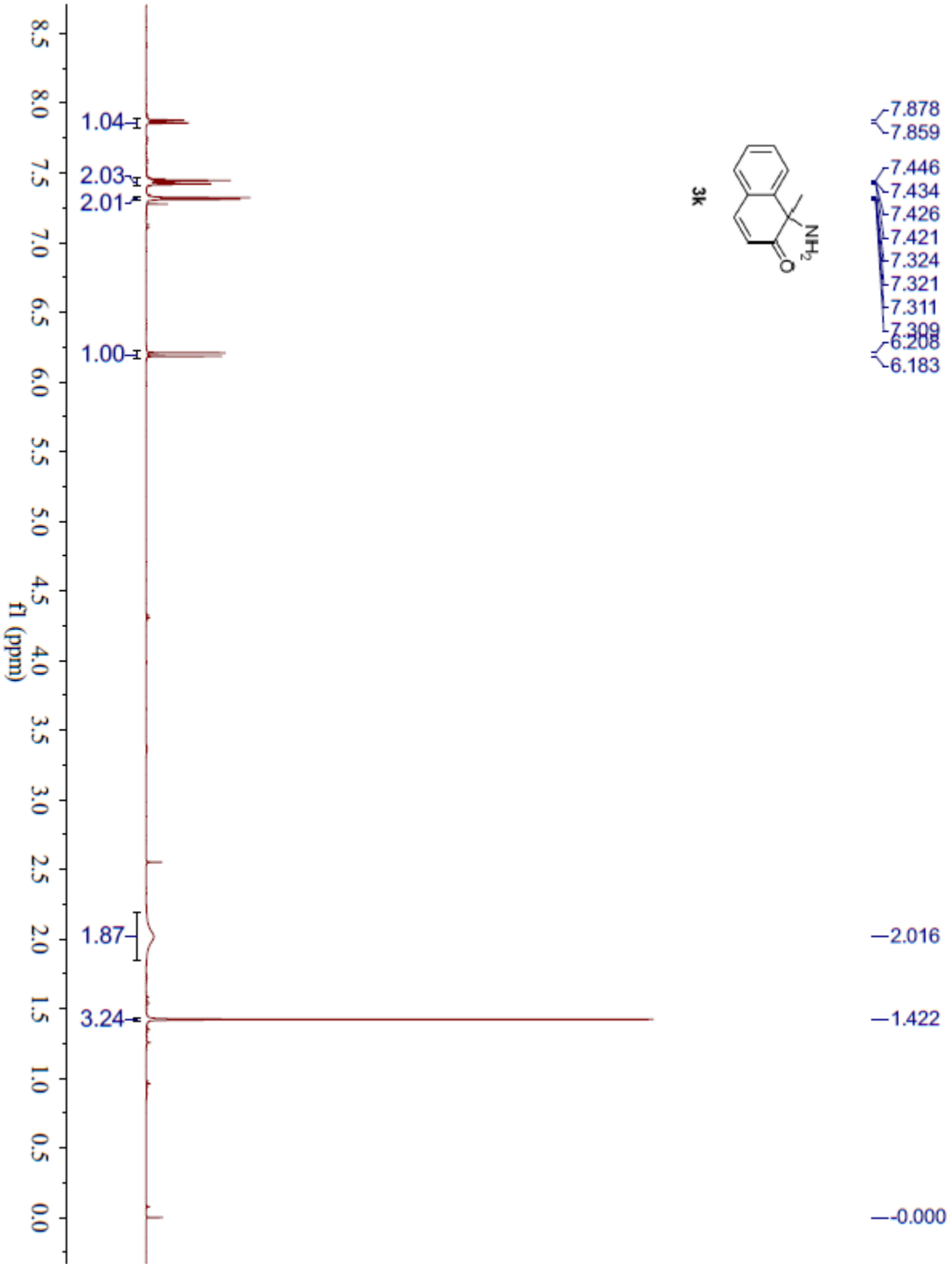

3h
Et
NH2
O
206.322
143.933
141.466
132.056
130.216
129.041
128.286
127.520
126.535
77.309
76.991
76.673
64.166
39.106
15.589
8.188
f1 (ppm)
210
190
170
150
130
110
90
80
70
60
50
40
30
20
10
0

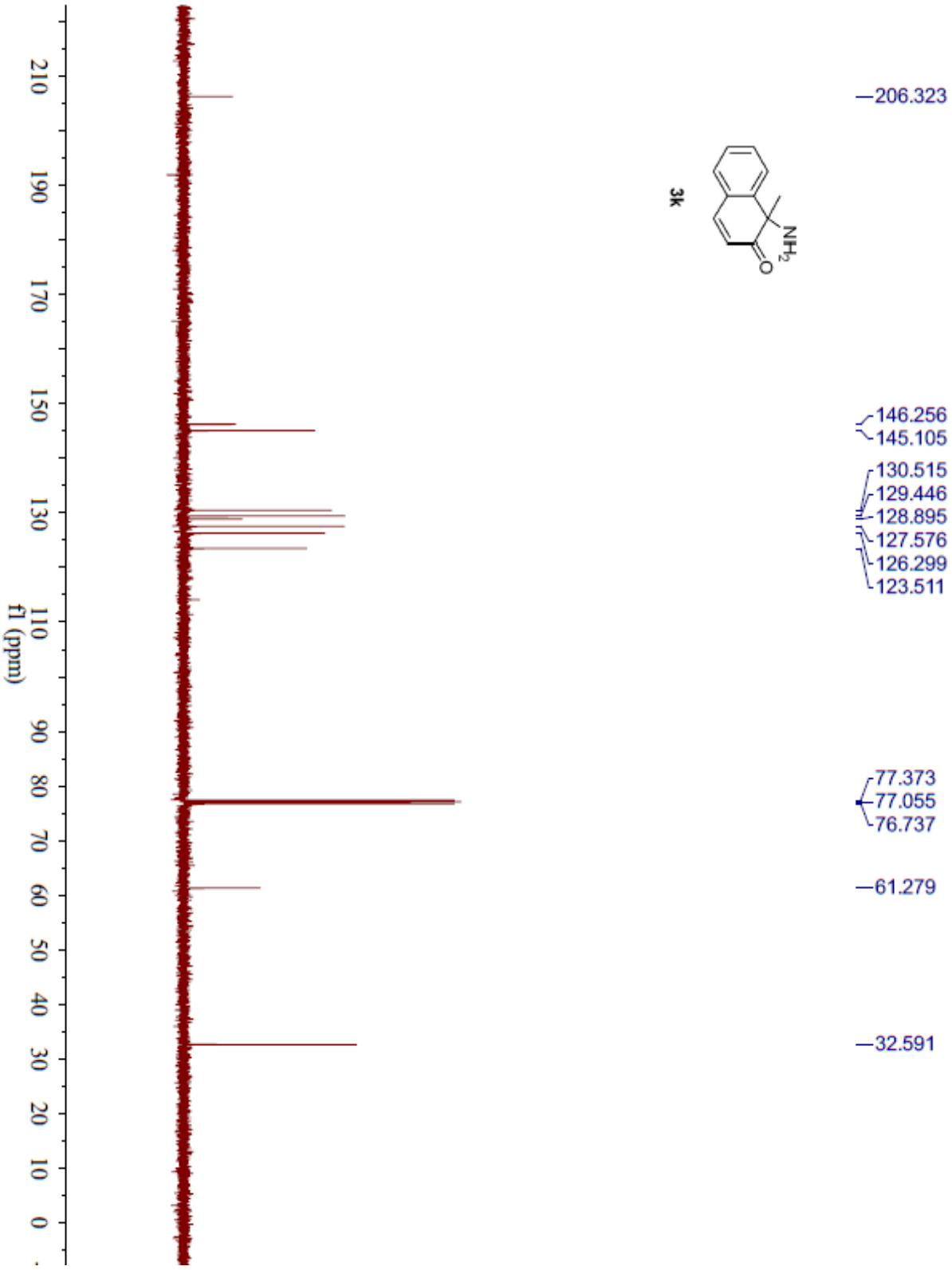

3i
NH2
O
0.99
1.97
0.97
3.00
3.02
2.84
2.99
7.687
7.667
7.264
7.187
7.169
7.048
2.357
2.015
2.012
1.807
1.373
0.000
f1 (ppm)

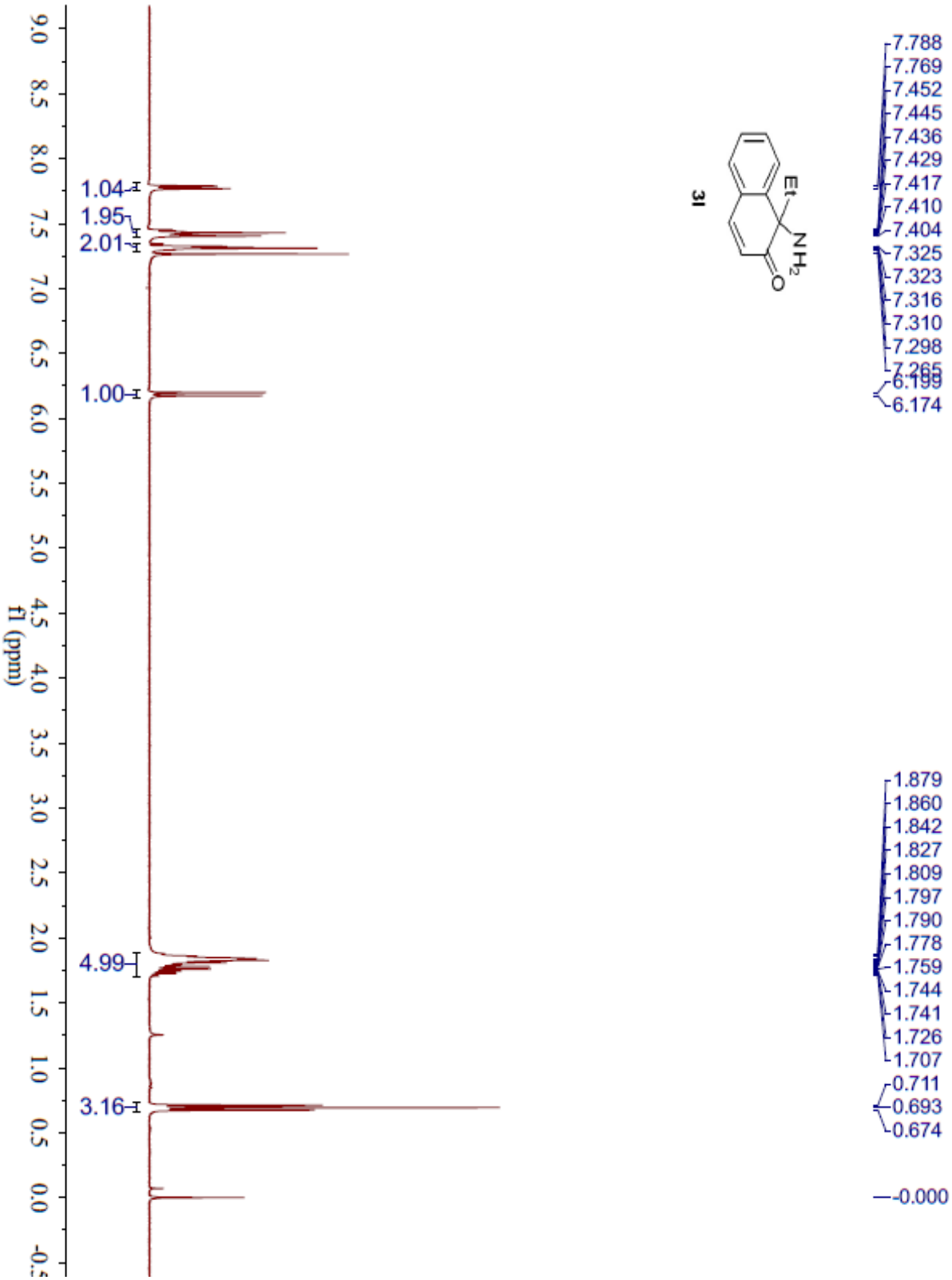

3i
NH2
O
206.816
142.493
141.538
137.209
131.094
130.106
129.172
129.136
126.041
77.359
77.042
76.724
60.723
32.732
20.959
15.892
f1 (ppm)
230
210
190
170
150
130
110
90
80
70
60
50
40
30
20
10
0

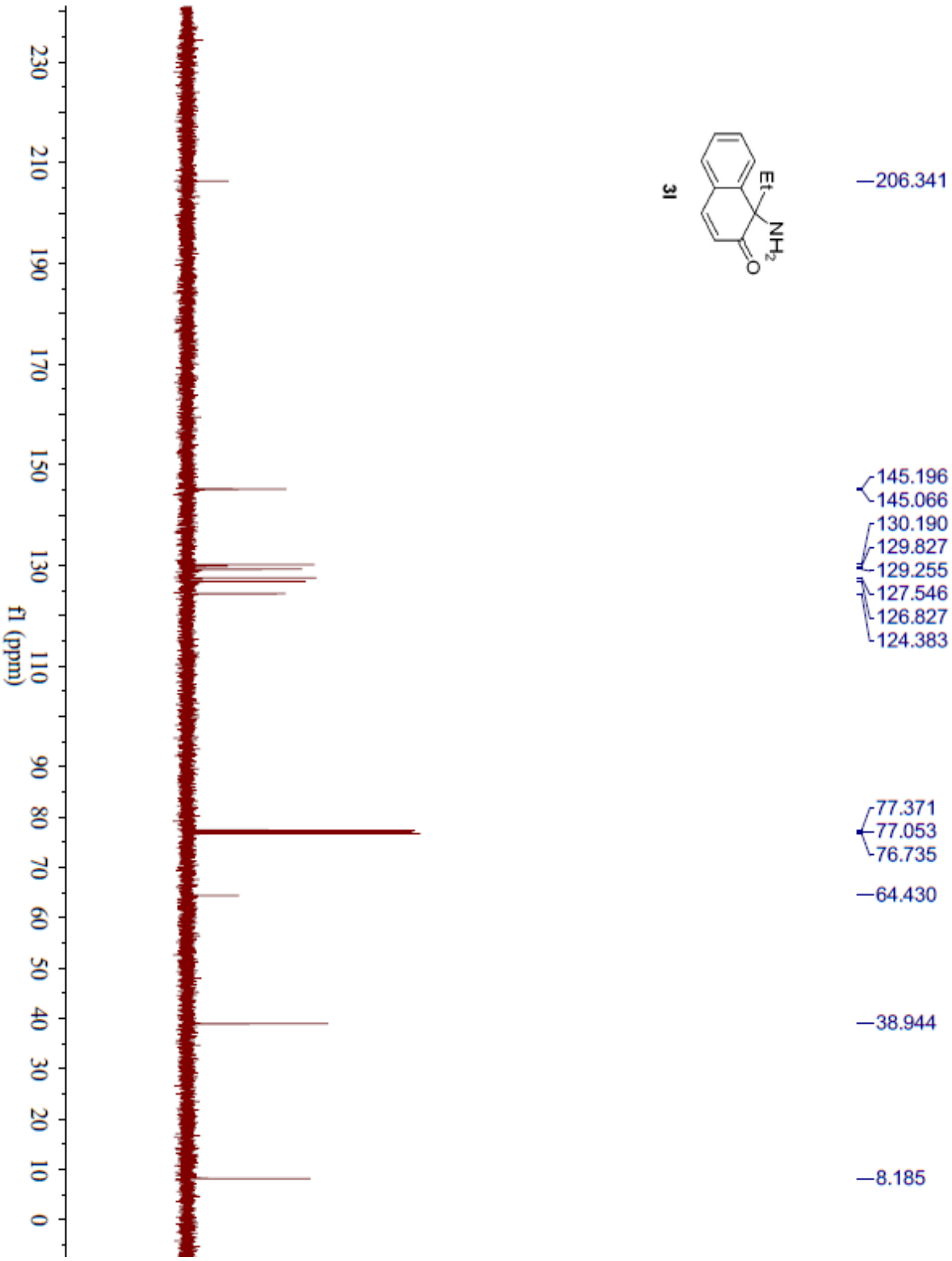

3j
NH2
O
1.00
1.04
2.03
3.12
5.03
3.23
7.624
7.267
7.204
7.135
7.116
7.092
7.072
2.383
2.019
2.003
1.382
-0.000
f1 (ppm)

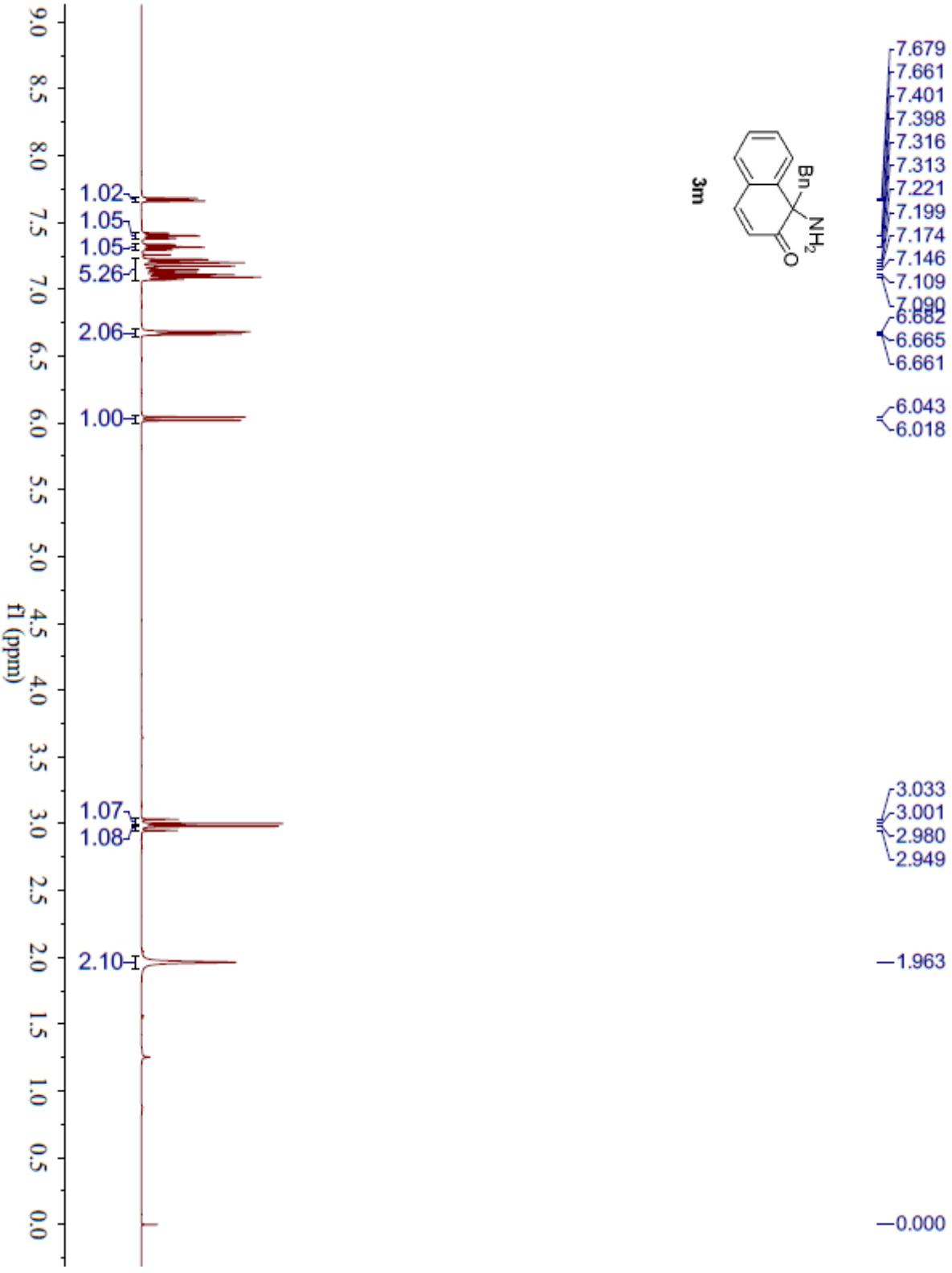

3j
NH2
O
206.508
145.349
141.437
139.695
130.010
128.487
128.166
126.825
126.659
77.325
77.007
76.690
60.897
32.820
21.553
15.745
f1 (ppm)
230
210
190
170
150
130
110
90
80
70
60
50
40
30
20
10
0

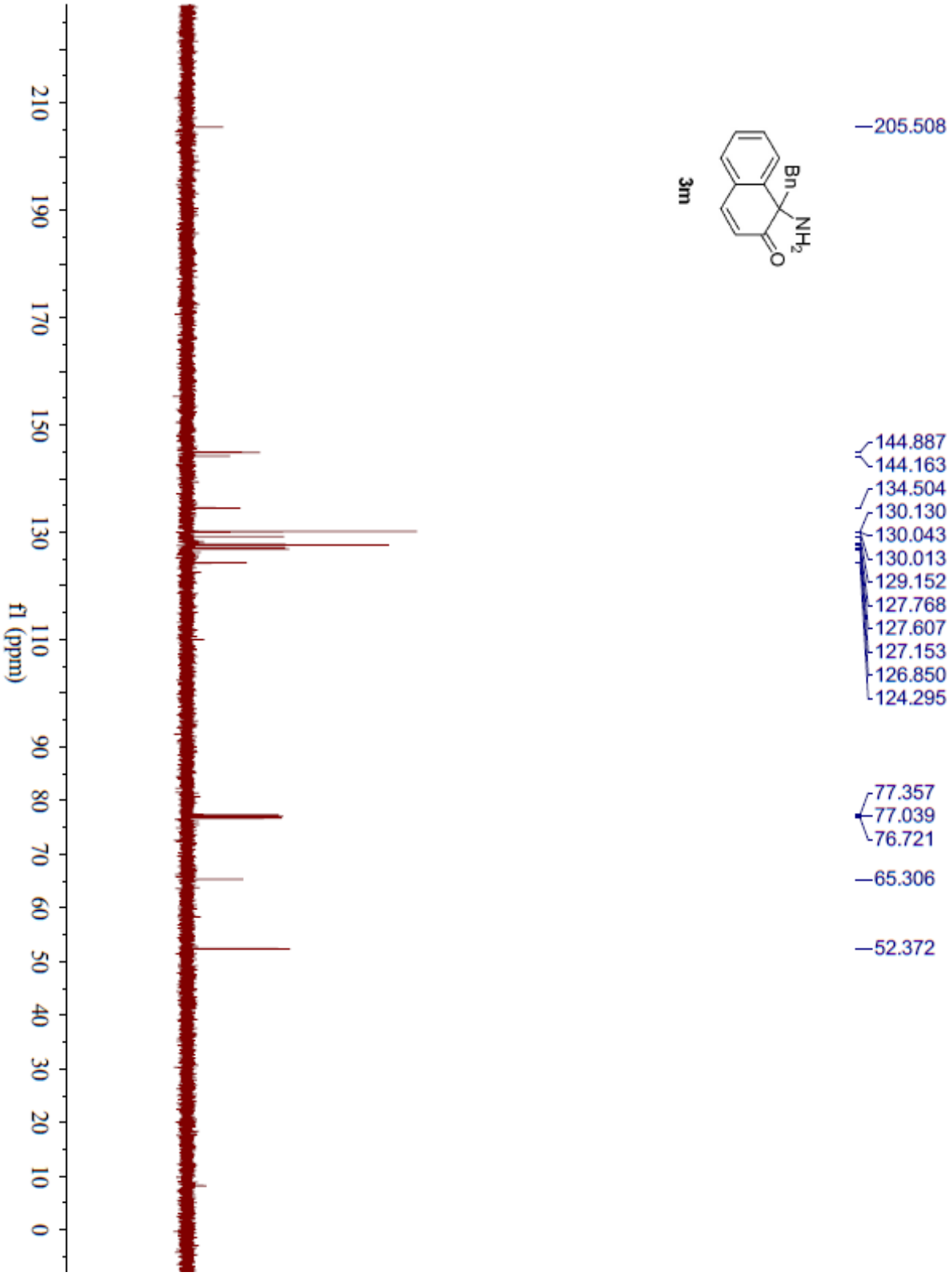

8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)
1.04
2.03
2.01
1.00
1.87
3.24
3k
NH2
O
7.878
7.859
7.446
7.434
7.426
7.421
7.324
7.321
7.311
7.309
6.208
6.183
2.016
1.422
0.000

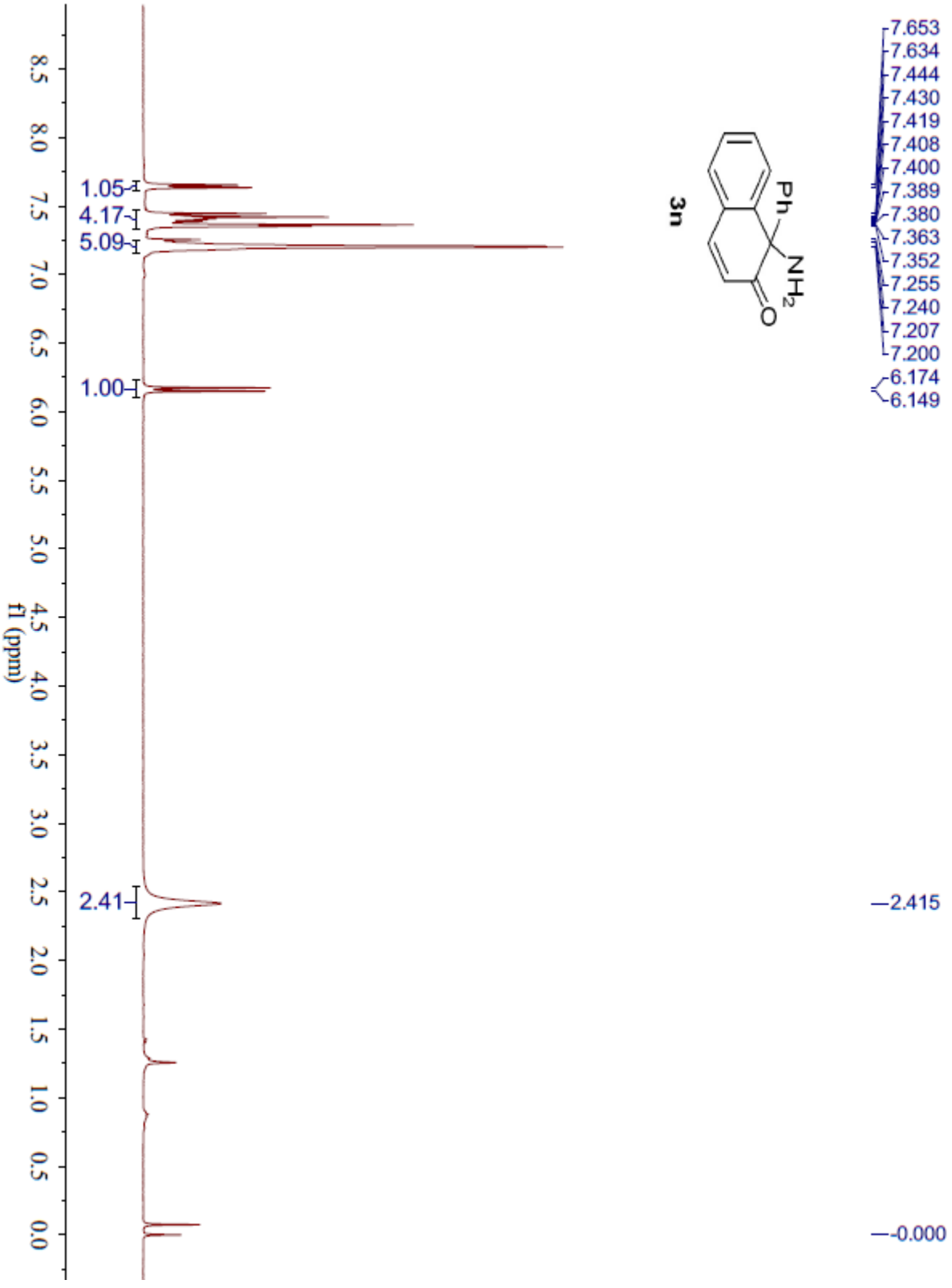

206.323
146.256
145.105
130.515
129.446
128.895
127.576
126.299
123.511
77.373
77.055
76.737
61.279
32.591
3k
NH2
O
f1 (ppm)
210
190
170
150
130
110
90
80
70
60
50
40
30
20
10
0

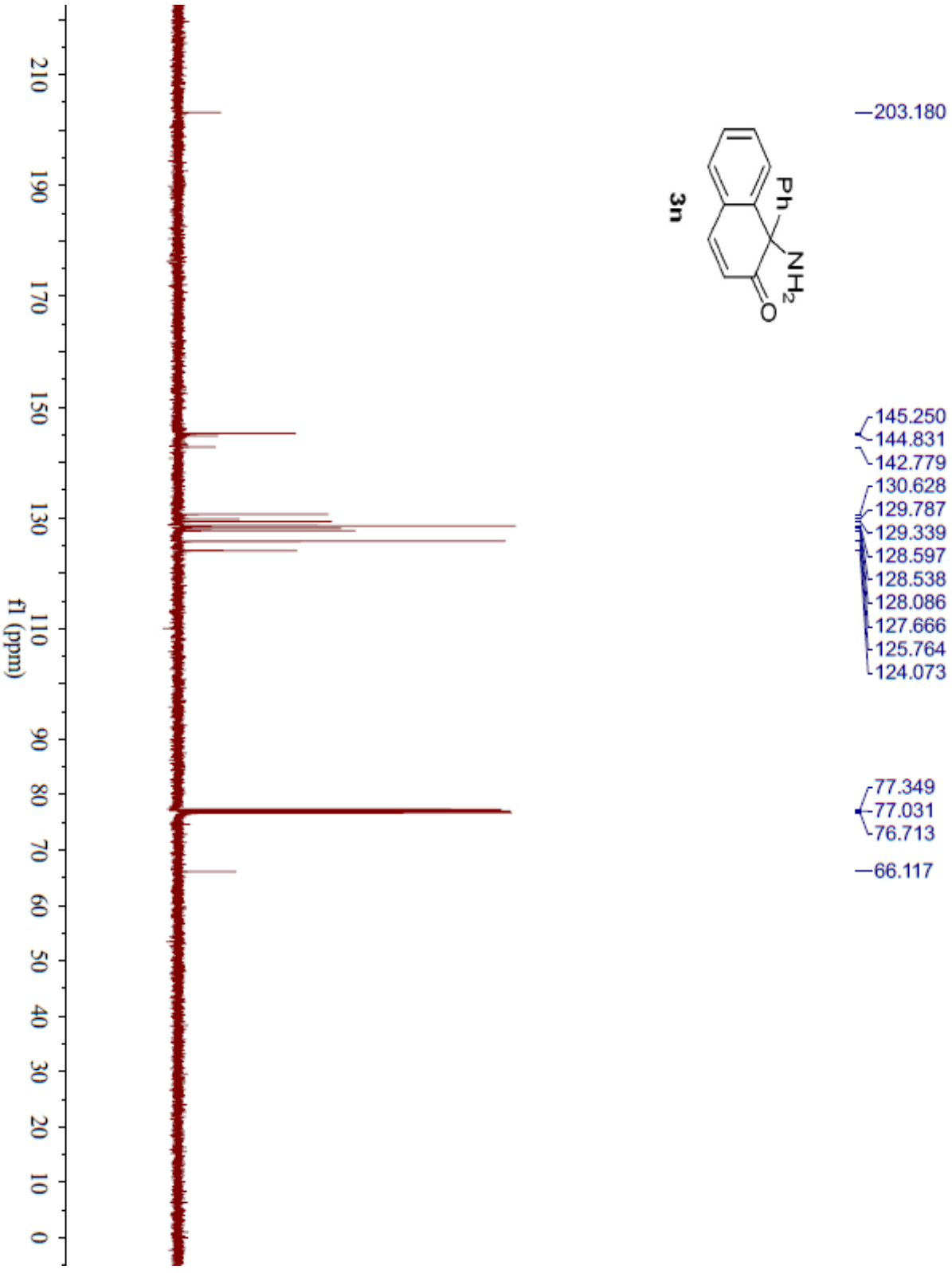

3l
Et
NH2
O
7.788
7.769
7.452
7.445
7.436
7.429
7.417
7.410
7.404
7.325
7.323
7.316
7.310
7.298
7.265
6.199
6.174
1.879
1.860
1.842
1.827
1.809
1.797
1.790
1.778
1.759
1.744
1.741
1.726
1.707
0.711
0.693
0.674
-0.000
1.04
1.95
2.01
1.00
4.99
3.16
f1 (ppm)

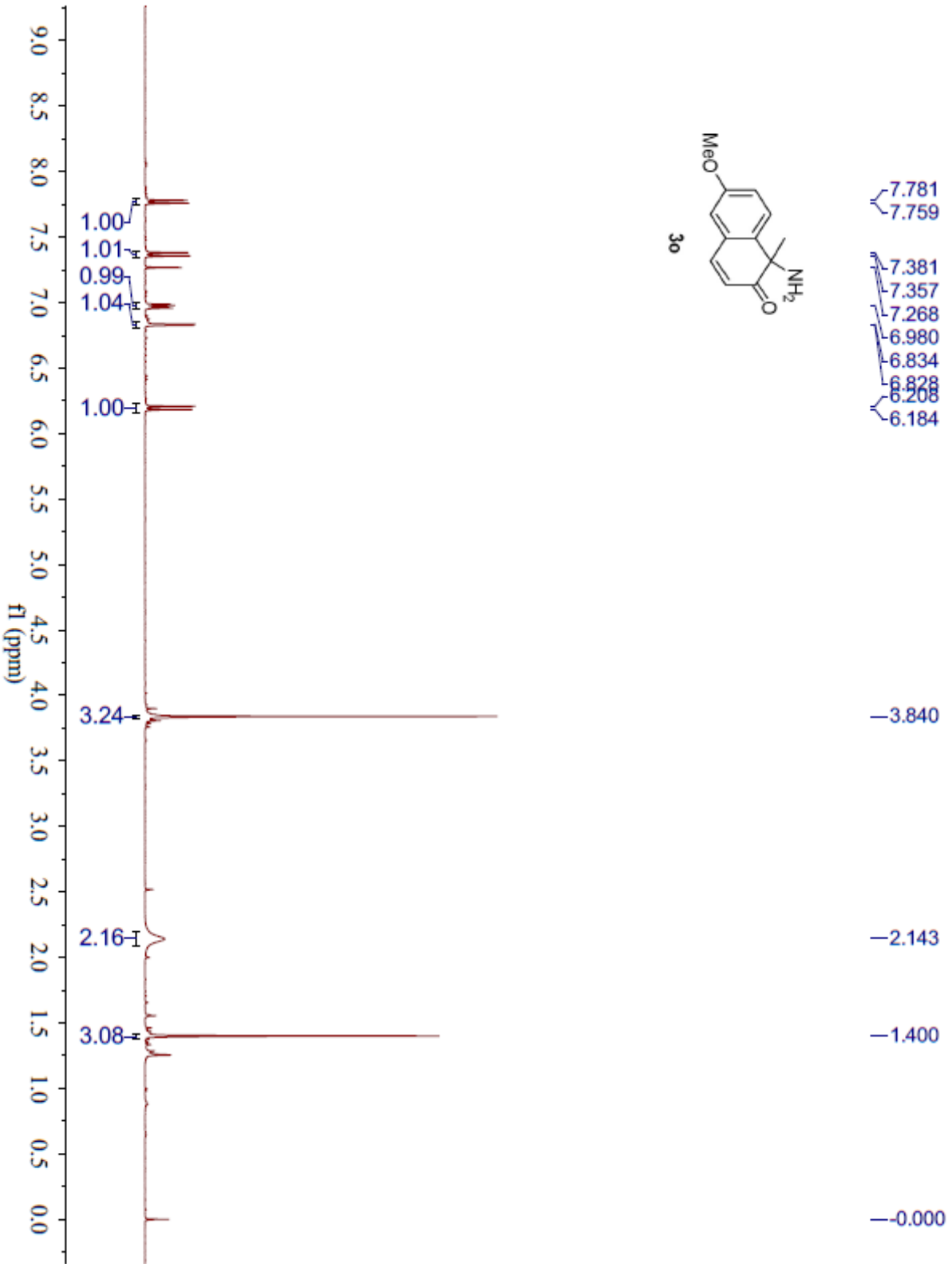

3l
Et
NH2
O
206.341
145.196
145.066
130.190
129.827
129.255
127.546
126.827
124.383
77.371
77.053
76.735
64.430
38.944
8.185
f1 (ppm)
230
210
190
170
150
130
110
90
80
70
60
50
40
30
20
10
0

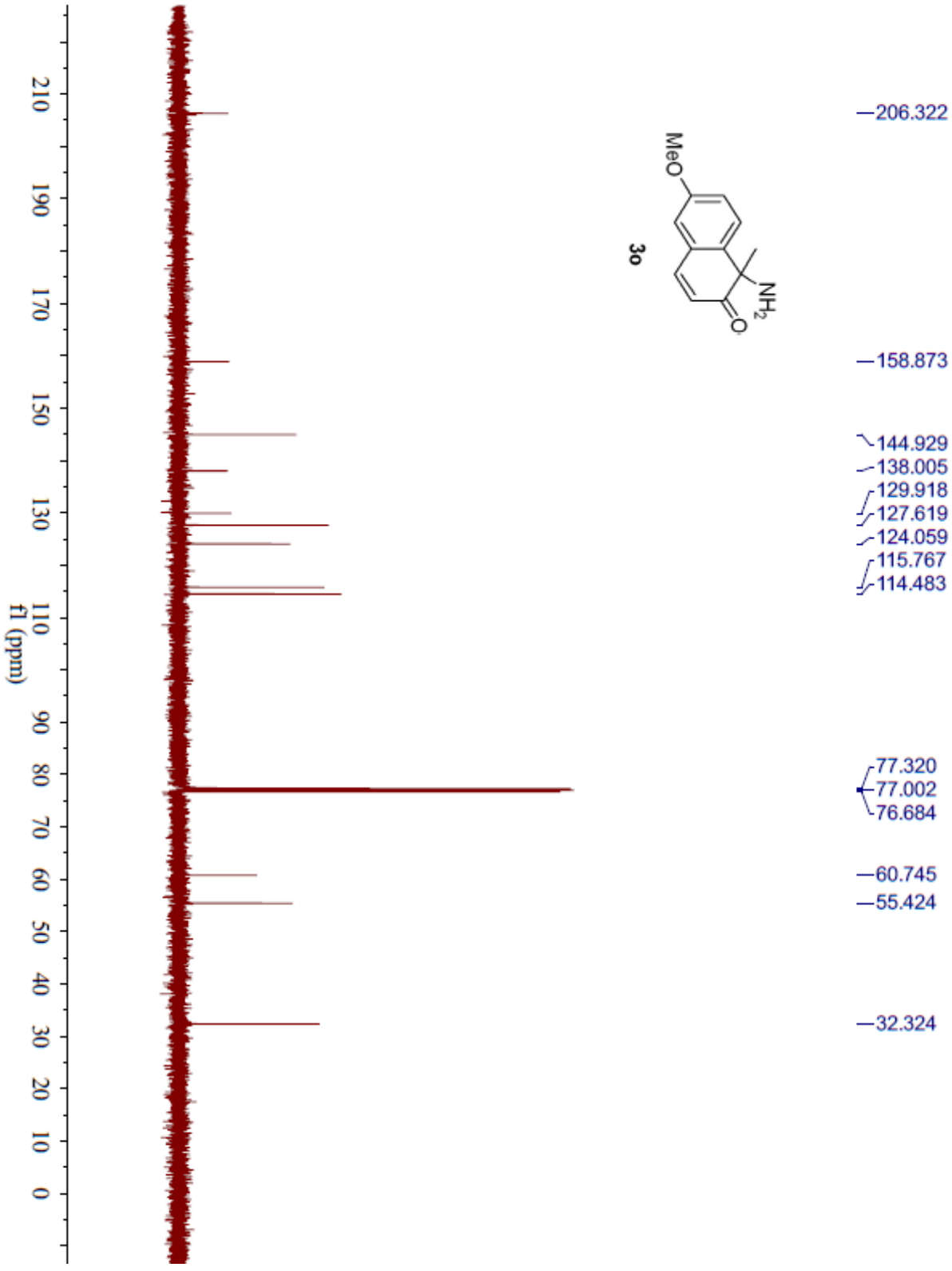

3m
Bn
NH2
O
7.679
7.661
7.401
7.398
7.316
7.313
7.221
7.199
7.174
7.146
7.109
7.090
6.682
6.665
6.661
6.043
6.018
3.033
3.001
2.980
2.949
1.963
0.000
1.02
1.05
1.05
5.26
2.06
1.00
1.07
1.08
2.10
f1 (ppm)

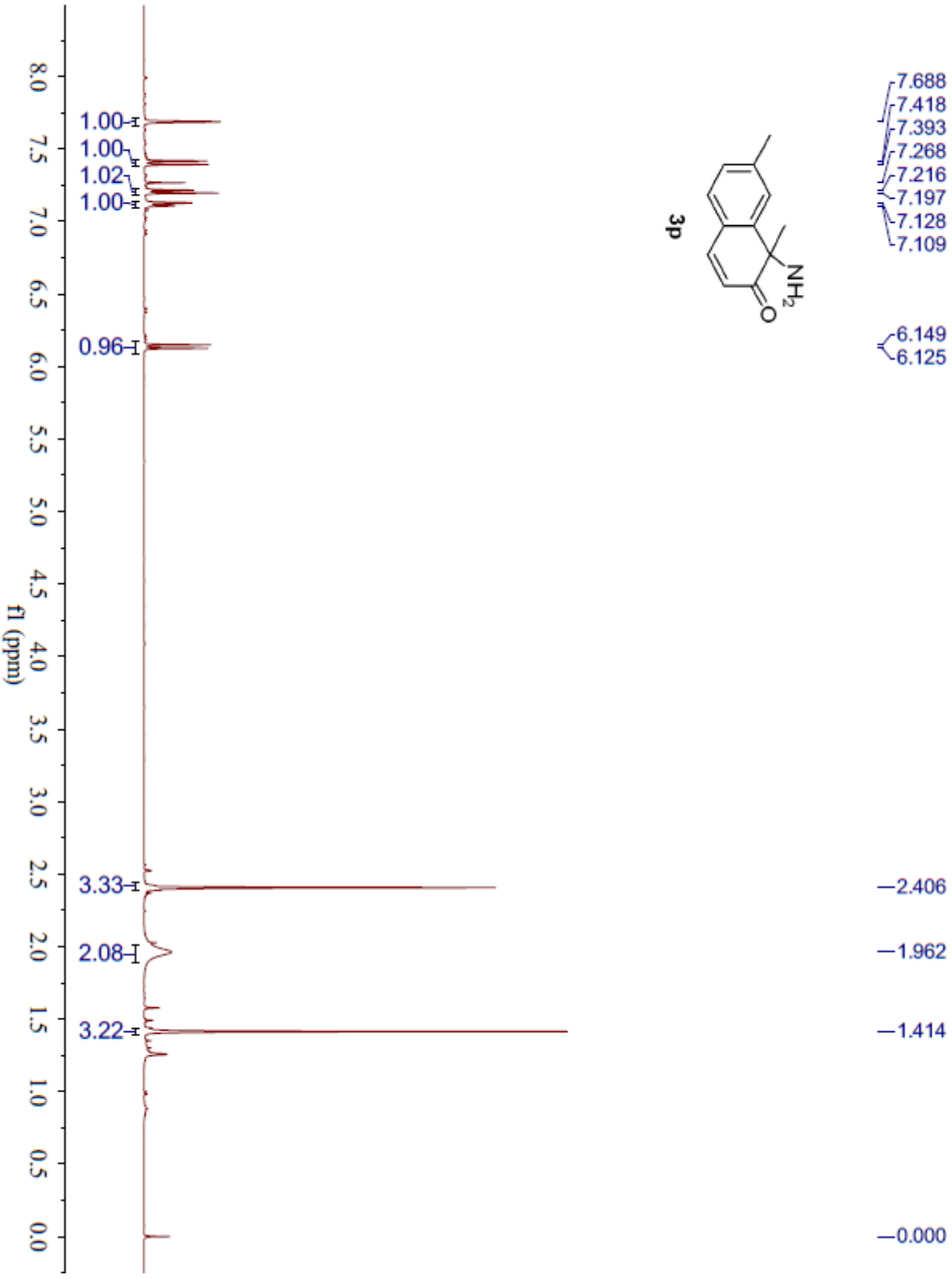

3m
Bn
NH2
O
205.508
144.887
144.163
134.504
130.130
130.043
130.013
129.152
127.768
127.607
127.153
126.850
124.295
77.357
77.039
76.721
65.306
52.372
f1 (ppm)
210
190
170
150
130
110
90
80
70
60
50
40
30
20
10
0

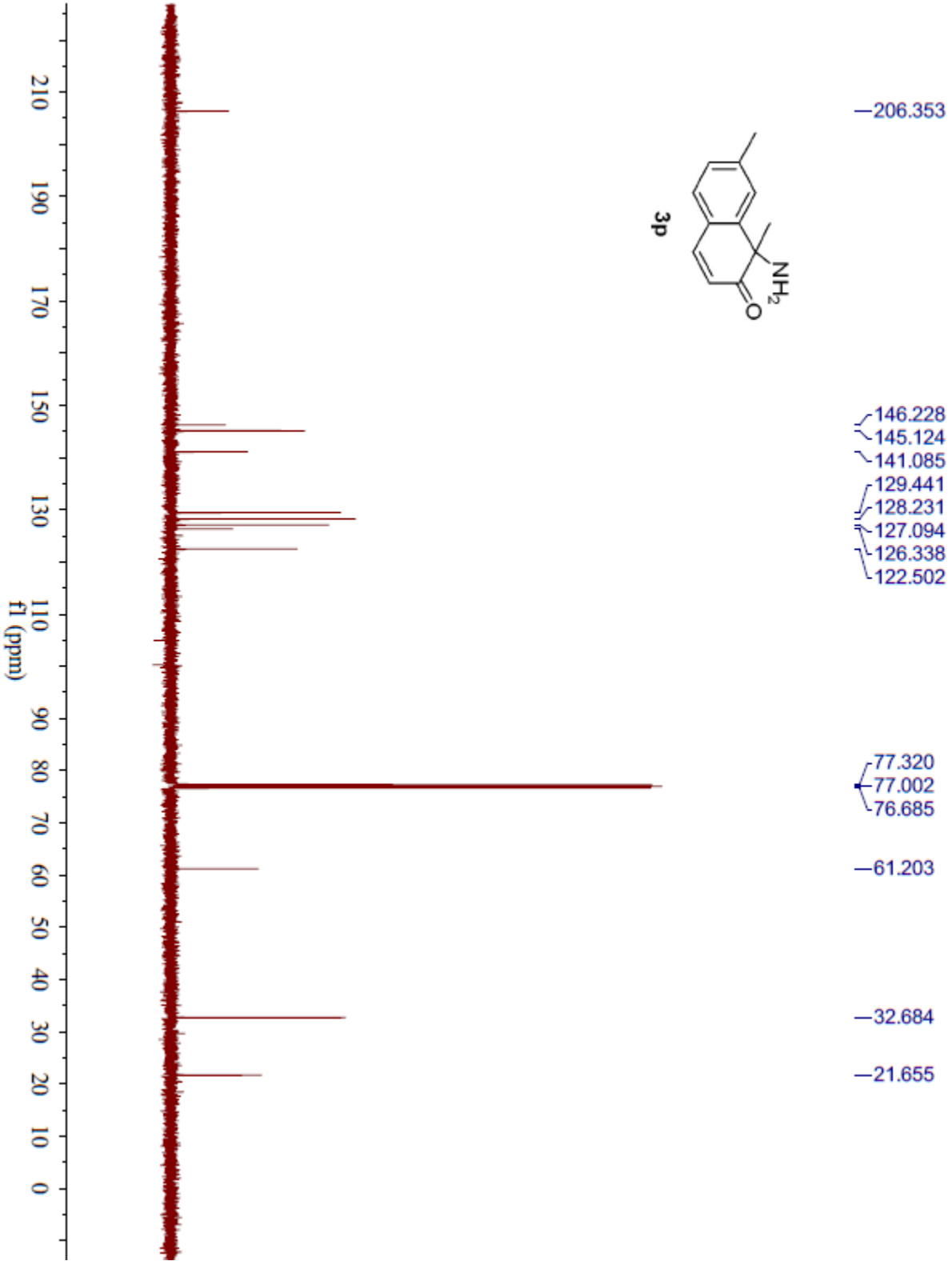

3n
Ph
NH2
O
7.653
7.634
7.444
7.430
7.419
7.408
7.400
7.389
7.380
7.363
7.352
7.255
7.240
7.207
7.200
6.174
6.149
1.05
4.17
5.09
1.00
2.41
2.415
-0.000
f1 (ppm)

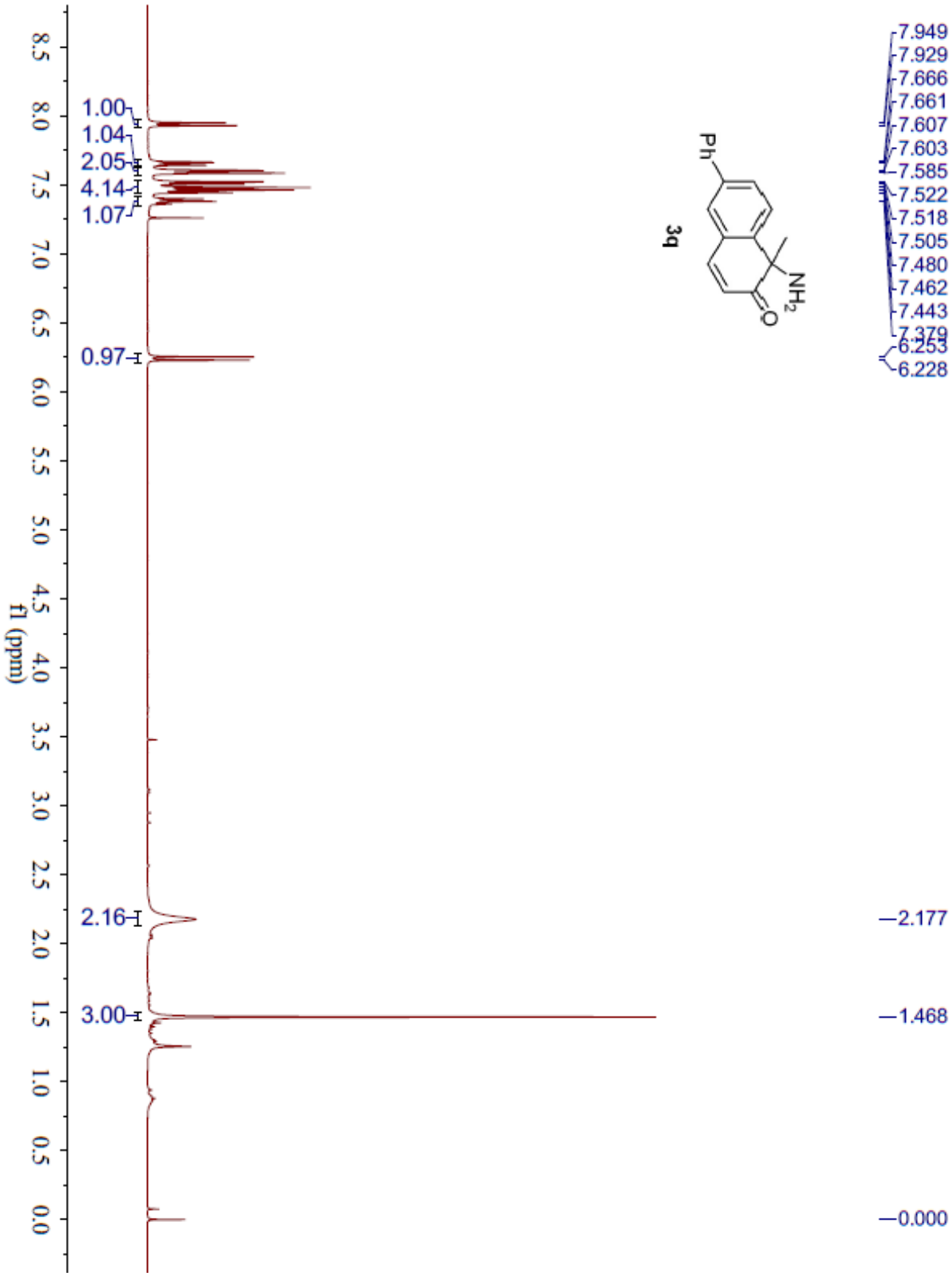

3n
Ph
NH2
O
203.180
145.250
144.831
142.779
130.628
129.787
129.339
128.597
128.538
128.086
127.666
125.764
124.073
77.349
77.031
76.713
66.117
f1 (ppm)
210
190
170
150
130
110
90
80
70
60
50
40
30
20
10
0

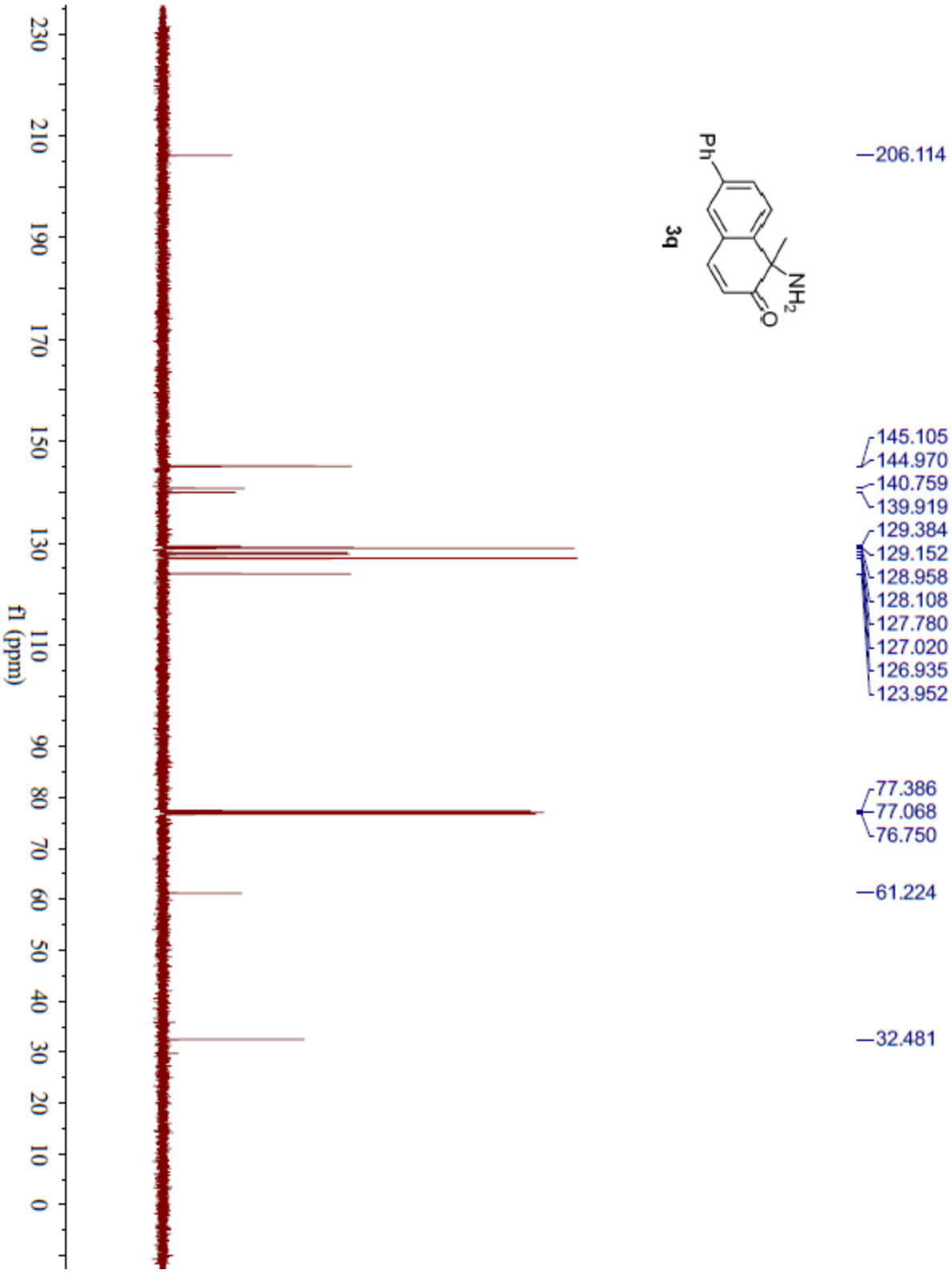

9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)
1.00
1.01
0.99
1.04
1.00
3.24
2.16
3.08
MeO
NH2
O
3o
7.781
7.759
7.381
7.357
7.268
6.980
6.834
6.828
6.208
6.184
3.840
2.143
1.400
0.000

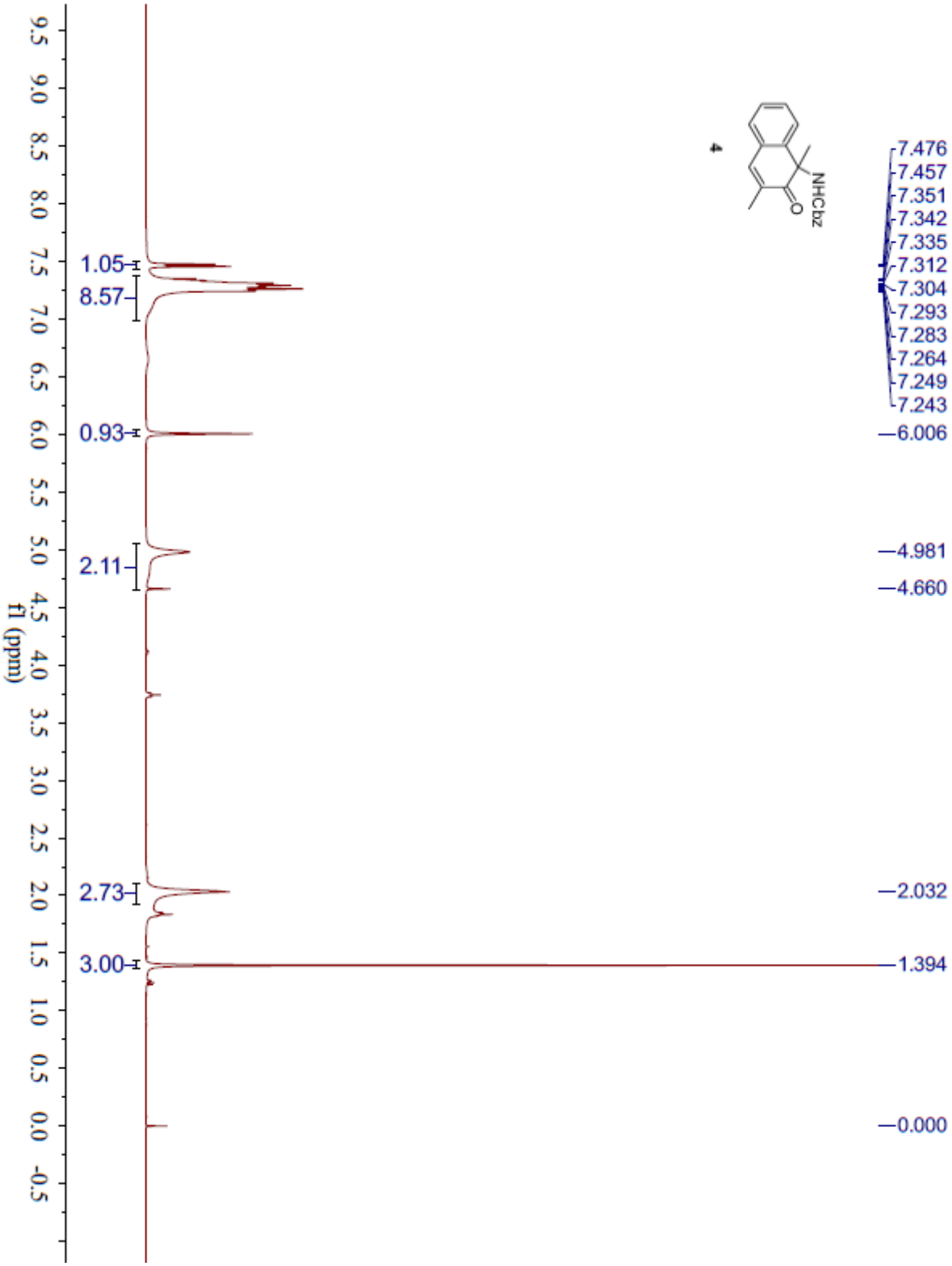

206.322
158.873
144.929
138.005
129.918
127.619
124.059
115.767
114.483
77.320
77.002
76.684
60.745
55.424
32.324
MeO
NH2
O
3o
f1 (ppm)
210
190
170
150
130
110
90
80
70
60
50
40
30
20
10
0

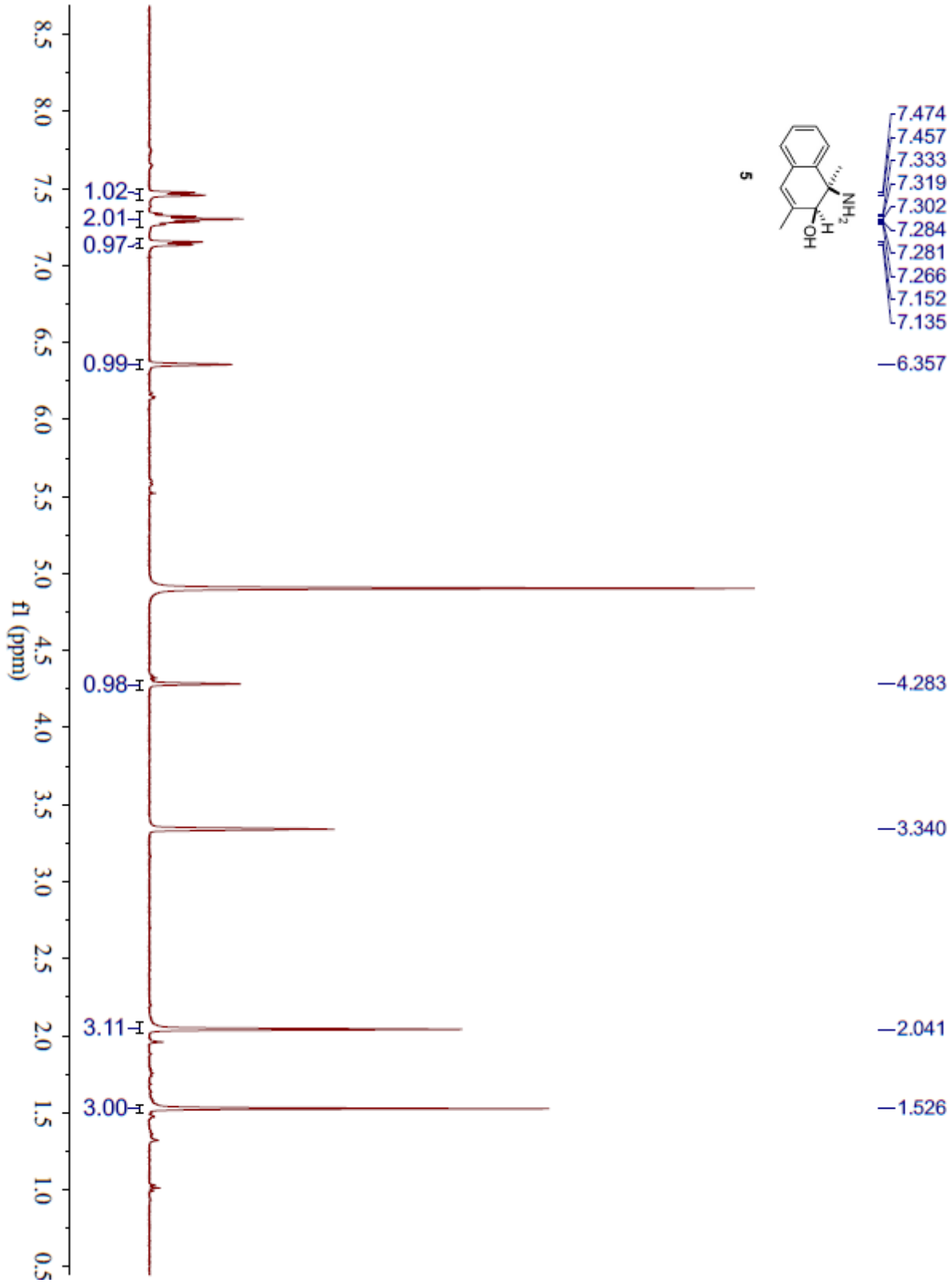

3p
NH2
O
7.688
7.418
7.393
7.268
7.216
7.197
7.128
7.109
6.149
6.125
2.406
1.962
1.414
0.000
1.00
1.00
1.02
1.00
0.96
3.33
2.08
3.22
f1 (ppm)

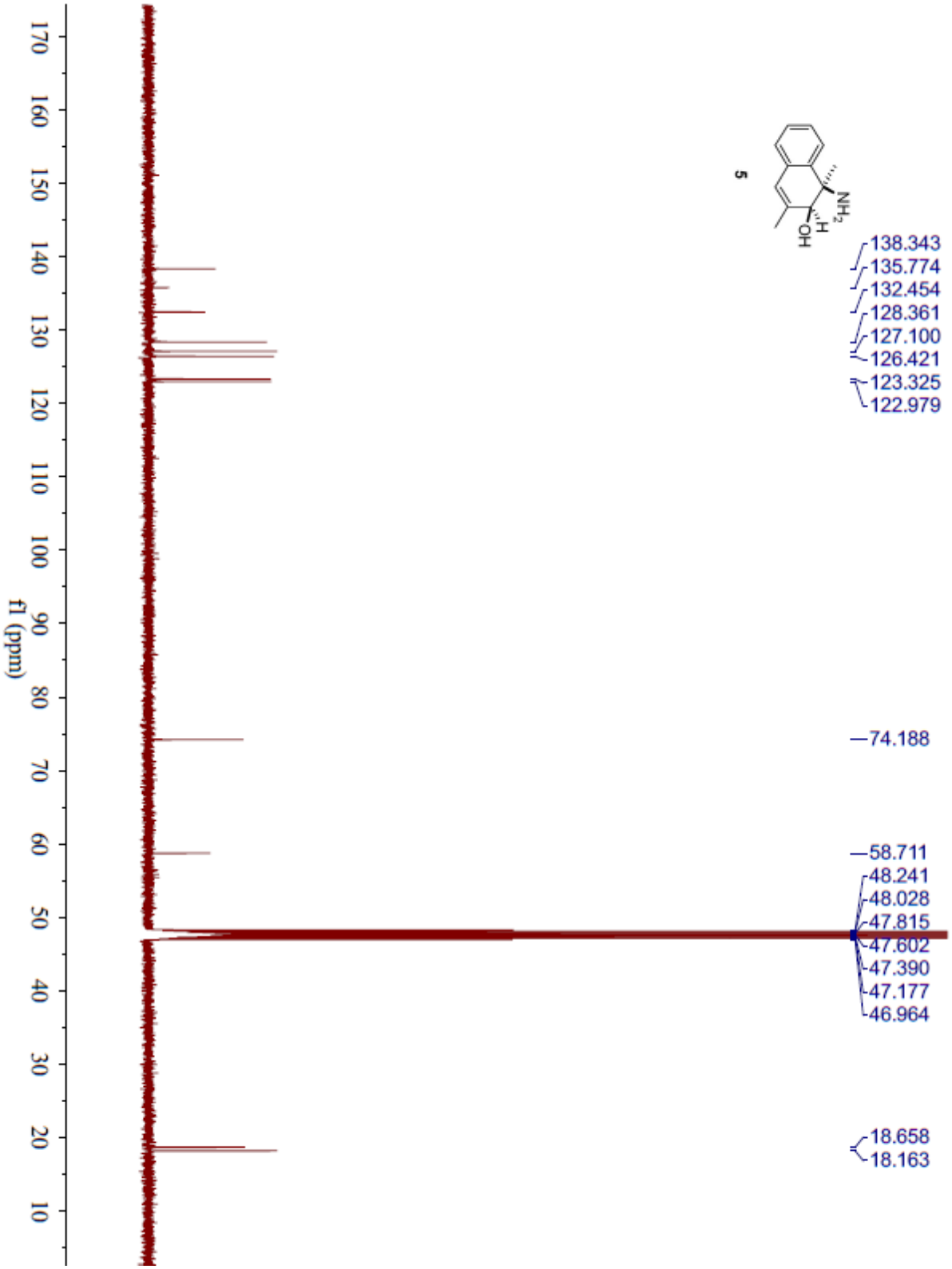

3p
NH2
O
206.353
146.228
145.124
141.085
129.441
128.231
127.094
126.338
122.502
77.320
77.002
76.685
61.203
32.684
21.655
f1 (ppm)
210
190
170
150
130
110
90
80
70
60
50
40
30
20
10
0


7.949
7.929
7.666
7.661
7.607
7.603
7.585
7.522
7.518
7.505
7.480
7.462
7.443
7.379
6.253
6.228
Ph
NH2
O
3q
1.00
1.04
2.05
4.14
1.07
0.97
2.16
3.00
—2.177
—1.468
—0.000
f1 (ppm)


Ph
NH2
O
3q
206.114
145.105
144.970
140.759
139.919
129.384
129.152
128.958
128.108
127.780
127.020
126.935
123.952
77.386
77.068
76.750
61.224
32.481
f1 (ppm)


4
NHCbz
O
7.476
7.457
7.351
7.342
7.335
7.312
7.304
7.293
7.283
7.264
7.249
7.243
6.006
4.981
4.660
2.032
1.394
0.000
1.05
8.57
0.93
2.11
2.73
3.00
f1 (ppm)


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
f1 (ppm)
1.02
2.01
0.97
0.99
0.98
3.11
3.00
5
NH2
H
OH
7.474
7.457
7.333
7.319
7.302
7.284
7.281
7.266
7.152
7.135
6.357
4.283
3.340
2.041
1.526


5
NH2
H
OH
138.343
135.774
132.454
128.361
127.100
126.421
123.325
122.979
74.188
58.711
48.241
48.028
47.815
47.602
47.390
47.177
46.964
18.658
18.163
f1 (ppm)