SUPPORTING INFORMATION

for

Palladium(II)-Catalyzed Dehydrogenative Alkenylation of Cyclic Enaminones via the Fujiwara-Moritani Reaction

Yi-Yun Yu, Micah J. Niphakis, and Gunda I. Georg*

Department of Chemistry and Department Medicinal Chemistry and

the Institute for Therapeutics Discovery and Development, University of Minnesota

717 Delaware Street SE, Minneapolis, Minnesota 55414 (USA)

Table of Contents

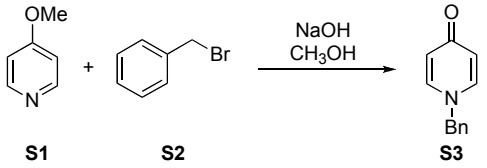
1. General experimental paragraph

Palladium(II) acetate, the alkenes, and all other chemicals and solvents were purchased and were directly used without further purification. Flash column chromatography was carried out on silica gel. TLC was conducted on 250 micron, F_{254} silica gel plates. ^{1}H NMR analyses were performed on a 400 MHz spectrometer and ^{13}C NMR spectra were recorded on a 100 MHz spectrometer with complete proton decoupling. NMR spectra were processed with the MestReNova program. Chemical shifts were reported as ppm relative to chloroform ($CHCl_3$: 7.26 ppm for ^{1}H, 77.0 ppm for ^{13}C). Data are reported as follows: chemical shift, multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, br = broad, m = multiplet), coupling constants (Hz) and integration. IR spectra of solids were obtained by dissolving the sample in CH_2Cl_2 and letting the solvent evaporate on a KBr plate. High-resolution mass spectrometry was performed by Dr. Subhashree Francis in the Institute for Therapeutics Discovery and Development, University of Minnesota-Twin Cities.

2. General Procedure for the dehydrogenative alkenylation reactions

2.1 Preparation of the starting material

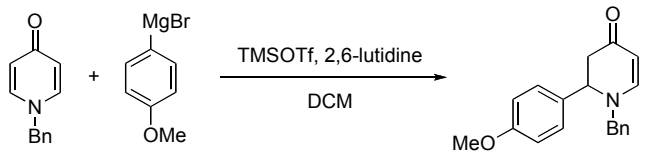
Step 1: Preparation of *N*-benzyl-4-pyridone (**S3**)



S1 **S2** **S3**

To a solution of 4-methoxypyridine (**S1**) (10.2 mL, 100 mmol) in THF (50 mL) was added benzyl bromide (**S2**) (11.9 mL, 100 mmol). After the reaction was stirred for 2 h, a 10% solution of NaOH in MeOH (125 mL) was added into the reaction vessel over 30 min at 0 °C. The reaction mixture was stirred for another 2 h at ambient temperature. The reaction mixture was then concentrated under reduced pressure. To the residue was added water (30 mL) and the product was extracted with CH_2Cl_2 (15 mL × 4). The combined organic layers were dried over Na_2SO_4, filtered, and concentrated under reduced pressure. The crude product was purified using flash column chromatography (5% MeOH in CH_2Cl_2) to yield 8.71 g (47%) of *N*-benzyl-4-pyridone (**S3**) as a yellowish solid (mp 115–119 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.38–7.40 (m, 3H), 7.31 (d, J = 8.0 Hz, 2H), 7.18 (d, J = 8.0 Hz, 2H), 6.38 (d, J = 8.0 Hz, 2H), 4.93 (s, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 178.6, 140.1, 134.7, 129.4, 129.0, 127.4, 118.8, 60.2; FTIR (Film, cm^{-1}) 3049, 2977, 1640, 1567, 1454, 1405, 1179, 851; HRMS (ESI+) *m/e* calculated for $[M+H]^+$ $C_{12}H_{12}NO$: 186.0919, found 186.0906.

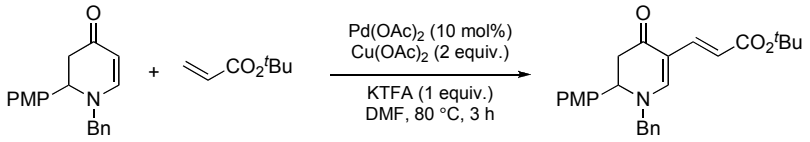
Step 2: Preparation of *N*-benzyl-2-(*para*-methoxyphenyl)-4-pyridone (**1**)



S3 **S4** **1**

The pyridone **S3** (5.0 g, 26.99 mmol) in dry CH_2Cl_2 (50 mL) was treated with TMSOTf (10.5 mL, 53.97 mmol) at room temperature under a N_2 atmosphere. After the reaction was stirred for 1 h, 2,6-lutidine (6.3 mL, 53.97 mmol) was added, followed by the slow addition of a 0.5 M solution of Grignard reagent **S4** in THF (81.0 mL, 40.49 mmol) through a syringe. The reaction was stirred for another 2 hours and then quenched with saturated NH_4Cl (15 mL). The mixture was extracted with CH_2Cl_2 (15 mL × 4). The combined organic layers were dried over Na_2SO_4, filtered, and concentrated under reduced pressure. Further purification was carried out by flash column chromatography (3:2 EtOAc/hexane) to produce 4.0 g (51%) of *N*-benzyl-2-(*para*-methoxyphenyl)-4-pyridone (**1**) as an orange oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.31–7.37 (m, 3H), 7.27 (d, *J* = 8.0 Hz, 1H), 7.16 (d, *J* = 8.0 Hz, 2H), 7.11 (d, *J* = 8.0 Hz, 2H), 6.87 (d, *J* = 8.0 Hz, 2H), 5.08 (d, *J* = 8.0 Hz, 1H), 4.45 (t, *J* = 8.0 Hz, 1H), 4.31 (d, *J* = 16.0 Hz, 1H), 4.11 (d, *J* = 16.0 Hz, 1H), 3.81 (s, 3H), 2.79 (dd, *J* = 16.0, 8.0 Hz, 1H), 2.68 (dd, *J* = 16.0, 8.0 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.6, 159.5, 154.3, 135.9, 130.5, 128.9, 128.4, 128.2, 127.7, 114.3, 98.5, 60.2, 57.0, 55.3, 43.7; FTIR (Film, cm^{-1}) 3031, 2931, 2837, 1637, 1590, 1512, 1251, 1174, 1032, 834; HRMS (ESI+) *m/e* calculated for $[M+H]^+$ $C_{19}H_{20}NO_2$: 294.1494, found 294.1476.

2.2 General procedure of the dehydrogenative alkenylation reaction



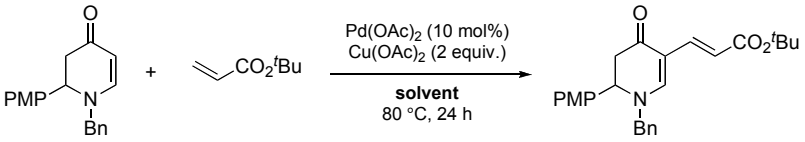
1 **2a** **3a**

N-Benzyl-2-(*para*-methoxyphenyl)-4-pyridone (**1**) (117 mg, 0.40 mmol) was mixed with $Pd(OAc)_2$ (9 mg, 0.04 mmol), $Cu(OAc)_2$ (145 mg, 0.80 mmol), KTFA (60 mg, 0.40 mmol). To the mixture was added *tert*-butyl acrylate (**2a**) (0.12 mL, 0.80 mmol), followed by DMF (2 mL). The reaction vessel was purged with N_2 and then sealed. After being stirred for 5 min, the reaction was heated at 80 °C for 3 h. The reaction was diluted with EtOAc (4 mL), neutralized with excess K_2CO_3 (1 g), and stirred for another 5 min, during which a small amount of gas evolution was observed. The mixture was filtered over Celite, and the filter cake was washed with EtOAc (25 mL). The filtrate was then concentrated under reduced pressure and purified by flash chromatography (1:1 EtOAc/hexane) on silica gel to provide 140 mg (81%) of **3a** as a light yellow solid (mp 64–68 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.52 (s, 1H), 7.42–7.34 (m, 3H), 7.09–7.15 (m, 5H), 6.86 (d, *J* = 8.8 Hz, 2H), 6.60 (d, *J* = 15.6 Hz, 1H), 4.50 (t, *J* = 6.8 Hz, 1H), 4.43 (d, *J* = 14.9 Hz, 1H), 4.25 (d, *J* = 14.9 Hz, 1H), 3.81 (s, 3H), 2.92 (dd, *J* = 16.3, 7.2 Hz, 1H), 2.71 (dd, *J* = 16.3, 6.4 Hz, 1H), 1.48 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 188.1, 168.7, 160.0, 156.6, 138.8, 135.0, 129.8, 129.4, 128.9, 128.3, 128.0, 114.7, 114.1, 106.5, 79.4, 60.1, 58.2, 55.5, 44.2, 28.5; FTIR (Film, cm^{-1}) 3066, 2972, 2854, 1690, 1656, 1595, 1511, 1428, 1316, 1254, 1145, 1111, 1032, 790; HRMS (ESI+) *m/e* calculated for $[M+H]^+$ $C_{26}H_{30}NO_4$: 420.2175, found 420.2191.

3. Detailed optimization data

3.1 Solvent effect

Table S1. Solvent effects on the hydrogenative alkenylation of **1** with *tert*-butyl acrylate.



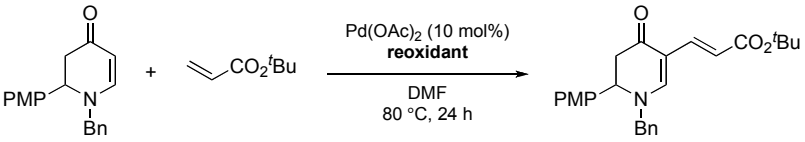
1 **2a** **3a**

Entry[a]	Solvent (2 mL)	Conversion (%)[b]	Yield (%)[c]
1	toluene	52	33
2	^{t}AmOH	100	67
3	^{t}BuOH	100	55
4	dioxane	100	64
5	DMSO	100	53
6	**DMF**	**97**	**78**
7	NMP	100	78
8	AcOH	92	8
9	DMA	100	70
10	CH_3CN	97	67
11	DMF/DMSO (20:1)	100	75
12	NMP/DMSO (20:1)	100	75
13	DMF/AcOH (4:1)	58	47
14	DMF/AcOH/DMSO (20:5:1)	60	51

[a] Reaction conditions: enaminone **1** (0.4 mmol), *tert*-butyl acrylate (2.0 equiv), $Pd(OAc)_2$ (10 mol%), $Cu(OAc)_2$ (2.0 equiv) without additives under N_2 at 80 °C, 24 h.
[b] ^{1}H NMR % conversion of the starting enaminone with Ph_3SiMe (1.0 equiv) as the internal standard.
[c] ^{1}H NMR % yield with Ph_3SiMe (1.0 equiv) as the internal standard.

3.2 Reoxidant screening

Table S2. Reoxidant effects on the hydrogenative alkenylation of **1** with *tert*-butyl acrylate.



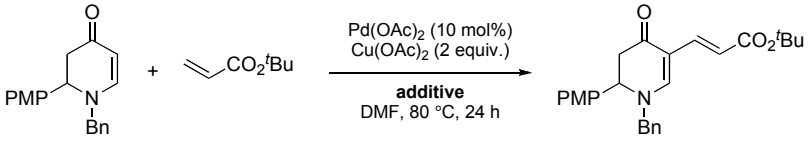
1 **2a** **3a**

Entry[a]	Reoxidant (equiv)	Conversion (%)[b]	Yield (%)[c]
1	$CuCl_2$ (2.0)	77	0
2	$Cu(OTf)_2$ (2.0)	72	0
3	$Cu(OAc)_2$ (1.0) + air	94	70
4	$Cu(OAc)_2$ (1.0) + O_2	98	60
5	AgOAc (2.0)	81	43
6	Ag_2O (1.0)	41	6
7	$PhCO_3{}^tBu$ (1.0)	98	59
8	Duroquinone (1.0)	23	5

[a] Reaction conditions: enaminone **1** (0.4 mmol), *tert*-butyl acrylate (2.0 equiv), $Pd(OAc)_2$ (10 mol%) without additives in DMF (2 mL) under N_2 at 80 °C, 24 h.
[b] ^{1}H NMR % conversion of the starting enaminone with Ph_3SiMe (1.0 equiv) as the internal standard.
[c] ^{1}H NMR % yield with Ph_3SiMe (1.0 equiv) as the internal standard.

3.3 Additive study

Table S3. Additive effects on the hydrogenative alkenylation of the **1** with *tert*-butyl acrylate.



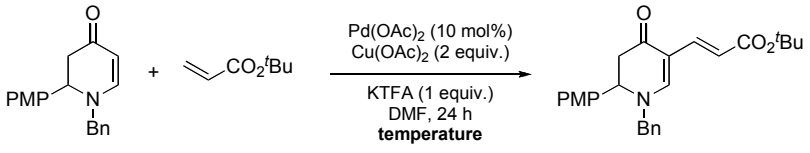
1 **2a** **3a**

Entry[a]	Additive (equiv)	Conversion (%)[b]	Yield (%)[c]
1	$LiBF_4$ (1.0)	100	80
2	LiCl (1.0)	100	76
3	$BiCl_3$ (1.0)	96	39
4	$MgCl_2$ (1.0)	86	51
5	NaOAc (1.0)	94	78
6	CsOAc (1.0)	92	77
7	KOAc (1.0)	95	82
8	Cs_2CO_3 (1.0)	94	79
9	K_2CO_3 (1.0)	82	68
10	K_3PO_4 (1.0)	90	75
11	**KTFA (1.0)**	**100**	**85 (81[d])**
12	TFA (1.0)	100	80
13	KTFA (0.5)	94	80
14	KTFA (2.0)	98	80

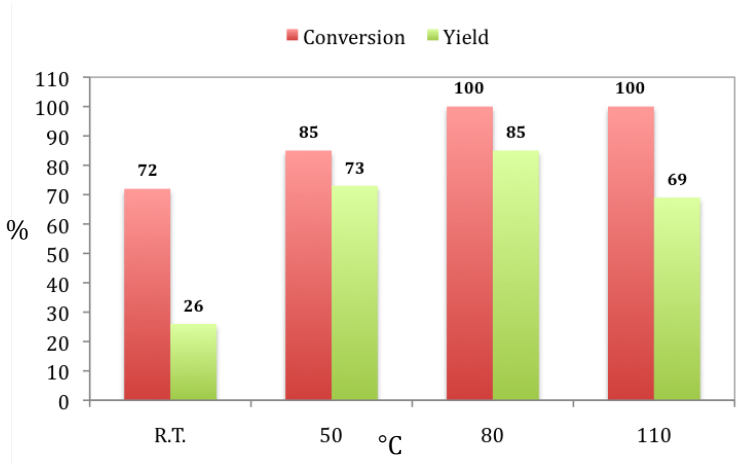
[a] Reaction conditions: enaminone **1** (0.4 mmol), *tert*-butyl acrylate (2.0 equiv), $Pd(OAc)_2$ (10 mol%), $Cu(OAc)_2$ (2.0 equiv) with additives in 2 mL of DMF under N_2 at 80 °C, 24 h.
[b] ^{1}H NMR % conversion of the starting enaminone with Ph_3SiMe (1.0 equiv) as the internal standard.
[c] ^{1}H NMR % yield with Ph_3SiMe (1.0 equiv) as the internal standard.
[d] Isolated yield.

3.4 Temperature effect

Figure S1. Temperature effects on the hydrogenative alkenylation of **1** with *tert*-butyl acrylate.[a]



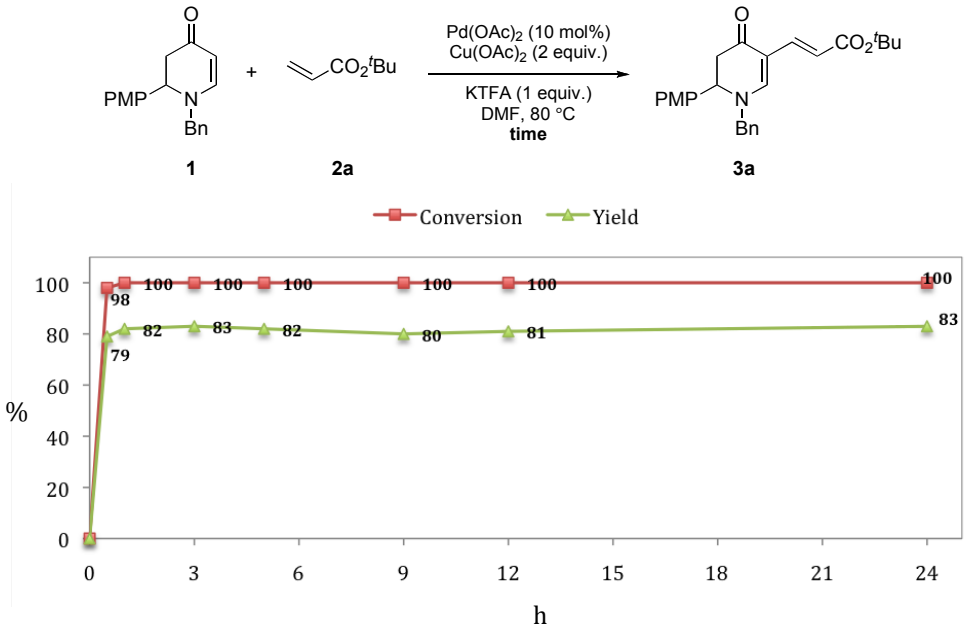
1 **2a** **3a**



[a] Reaction conditions: enaminone **1** (0.4 mmol), *tert*-butyl acrylate (2.0 equiv), $Pd(OAc)_2$ (10 mol%), $Cu(OAc)_2$ (2.0 equiv) with KTFA (1.0 equiv) in 2 mL of DMF under N_2, 24 h. ^1H NMR % conversion of the starting enaminone and ^1H NMR % yield with Ph_3SiMe (1.0 equiv) as the internal standard.

3.5 Reaction time

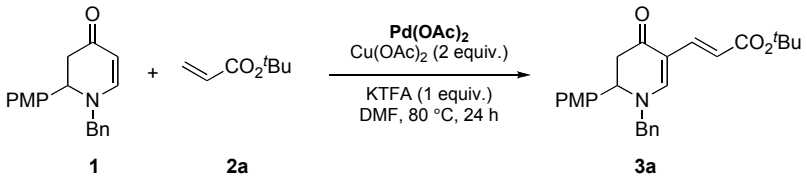
Figure S2. Effects of reaction time on the hydrogenative alkenylation of **1** with *tert*-butyl acrylate.[a]



[a] Reaction conditions: enaminone **1** (0.4 mmol), *tert*-butyl acrylate (2.0 equiv), $Pd(OAc)_2$ (10 mol%), $Cu(OAc)_2$ (2.0 equiv) with KTFA (1.0 equiv) in DMF (2 mL) under N_2 at 80 °C. NMR % conversion of the starting enaminone and ^1H NMR % yield with Ph_3SiMe (1.0 equiv) as the internal standard.

3.6 Stoichiometry

Table S4. Stoichiometry study on the hydrogenative alkenylation of **1** with *tert*-butyl acrylate.

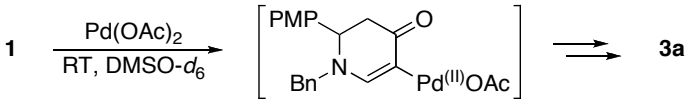


Entry[a]	Enaminone **1** (M)	Acrylate **2A** (equiv)	$Pd(OAc)_2$ (mol%)	Conversion (%)[b]	Yield (%) [c]
1	0.2	2	5	100	83
2	0.2	2	2.5	88	72
3	0.2	2	1	48	30
4	0.2	4	10	100	62
5	0.2	3	10	97	84
6	0.2	1.5	5	98	76
7	0.2	1.1	5	93	68
8	0.05	2	5	98	76
9	0.1	2	5	99	81
10	0.4	2	5	98	71
11[d] (Ref.)	**0.2**	**2**	**10**	**100**	**85 (81[e])**

[a] Reaction conditions: $Cu(OAc)_2$ (2.0 equiv) with KTFA (1.0 equiv) in DMF (2 mL) under N_2 at 80 °C, 24 h.

[b] ^{1}H NMR % conversion of the starting enaminone with Ph_3SiMe (1.0 equiv) as the internal standard.
[c] ^{1}H NMR % yield with Ph_3SiMe (1.0 equiv) as the internal standard.
[d] The best reaction condition after the previous screenings.
[e] Isolated yield.

4. Mechanistic study



^{1}H NMR experiments were conducted to monitor the electrophilic palladation process (Figures S3 and S4).

1) Pure enaminone **1** in DMSO-*d*6 is shown with the key protons color-marked.
2) With 50 mol% of $Pd(OAc)_2$ in the solution, palladation was observed only on the C5-position of enaminone **1** along with unreacted **1**.
3) With 100 mol% of $Pd(OAc)_2$, a complete conversion of enaminone **1** to the C5-palladated intermediate was seen.

Figure S3. Formation of the palladated enaminone intermediate monitored by ^{1}H NMR (in DMSO-*d*6).

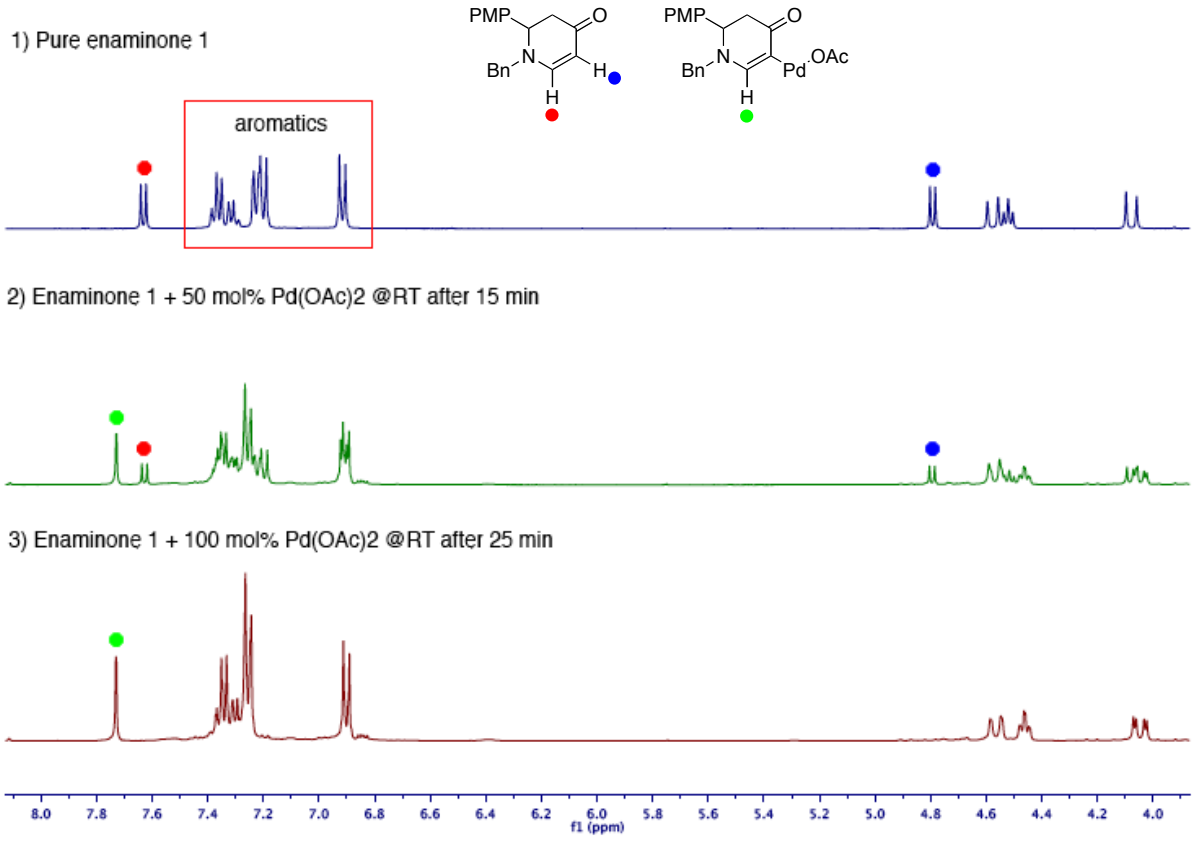
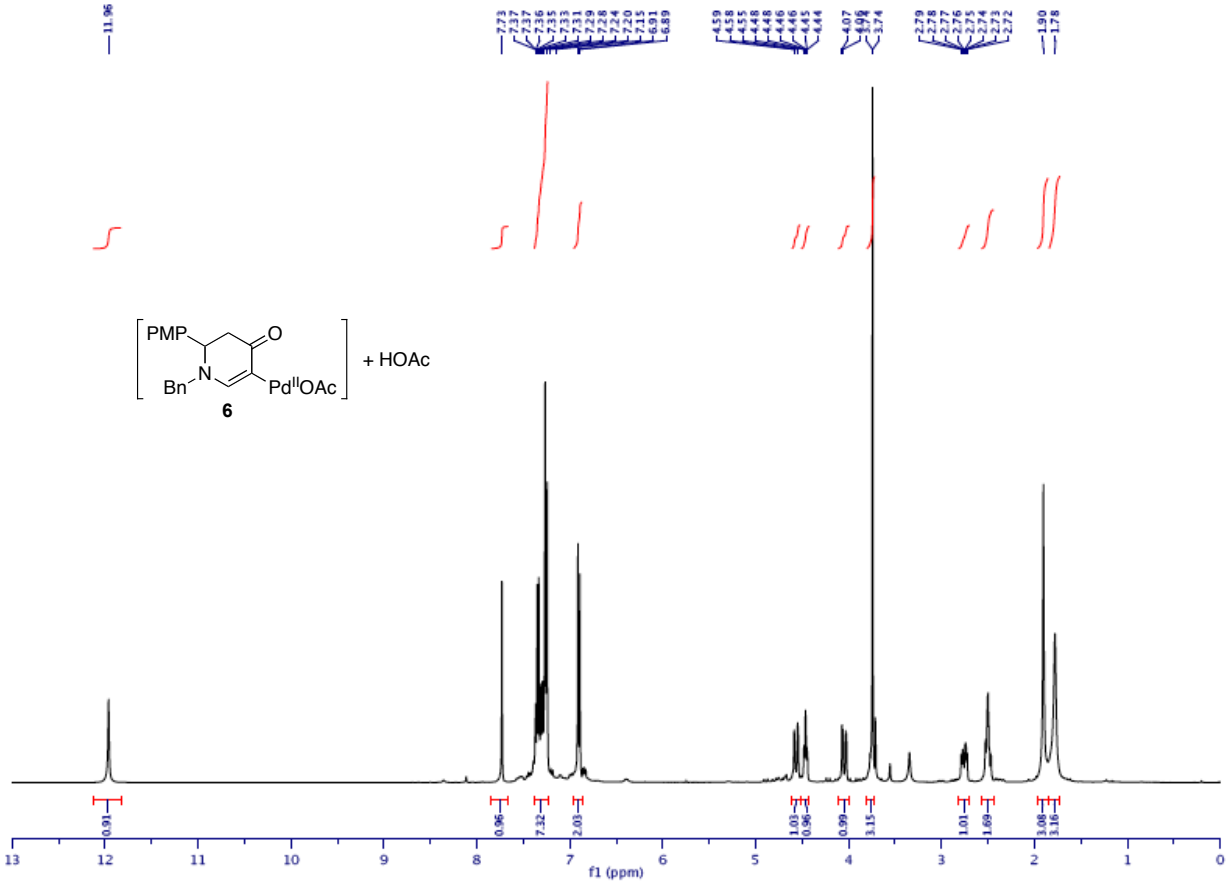
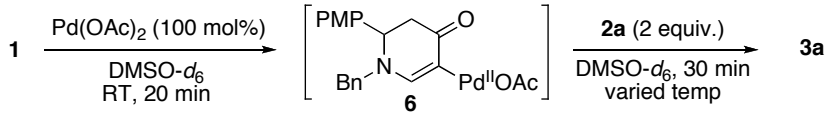


Figure S4. ^{1}H NMR spectrum of the reaction of **1** with 100 mol% of $Pd(OAc)_2$ (in DMSO-*d*6).



Intermediate **6** was further converted to product **3a** under the treatment of 2 equiv of arylate **2a** (Table S5). DMSO showed surprisingly stabilizing effect on **6**, which only afforded 7% of **3a** at the optimized temperature (80 ºC, entry 1). Raising the temperature did increase the yield presumably by breaking down the ligation of DMSO to activate the intermediate (entries 2–4). However, due to the thermal instability of **3a** seen in Figure S1, the conversion (20%) at 140 ºC is not optimal. Nevertheless, intermediate **6** we proposed has been proven to furnish the desired product.

Table S5. Conversion of product **3a** from intermediate **6**.



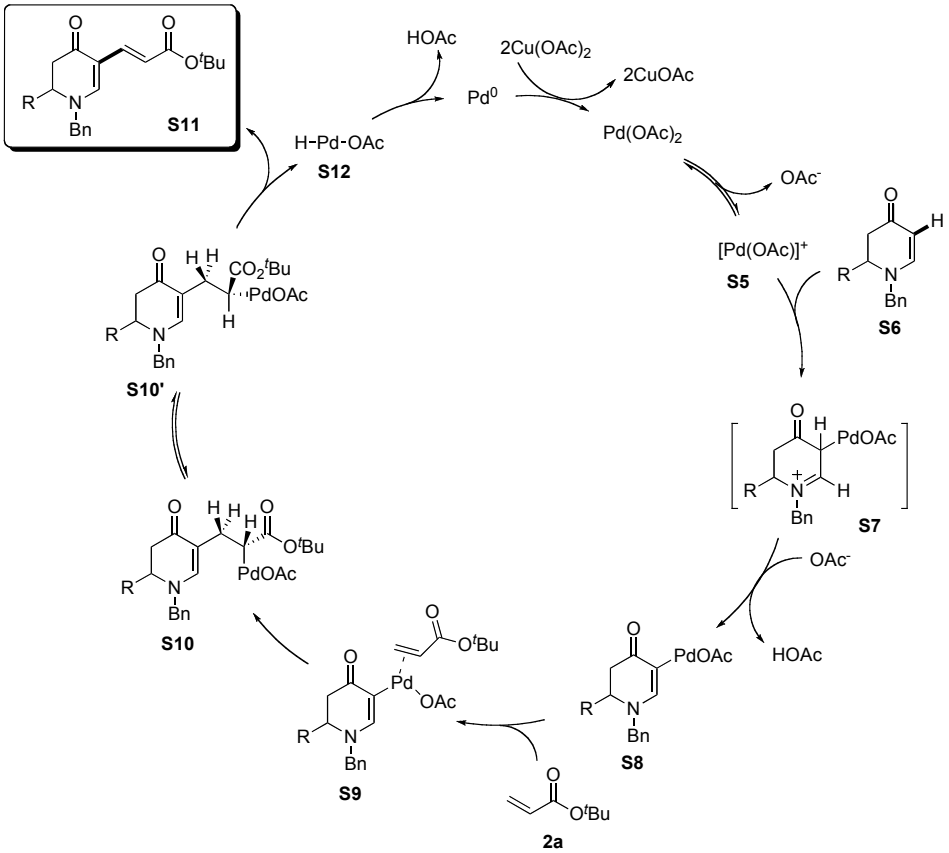
Entry[a]	Temp (ºC)	% Yield[b]
1	80	7%
2	100	10%
3	120	18%
4	140	20%

[a] Procedure: **1** (29.3 mg, 0.10 mmol) was mixed with 100 mol% of $Pd(OAc)_2$ in DMSO-d_6 (1.0 mL) at RT under N_2 for 20 min, followed by the addition of 2 equiv of **2a**. Reaction was further stirred at different temperatures for 30

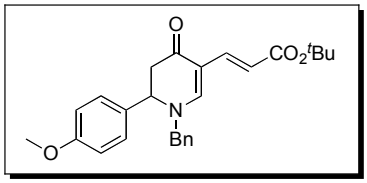
min. The reaction was then quenched with 0.5 g of K_2CO_3 and filtered. The filtrated was concentrated and subjected to ^{1}H NMR analysis.
[b] ^{1}H NMR % yield with Ph_3SiMe (1.0 equiv) as the internal standard.

We therefore suggest a detailed catalytic cycle of the dehydrogenative alkenylation reaction (Figure S5). The key steps are as follows: (1) The dissociation of $Pd(OAc)_2$ forms an electrophilic cationic species **S5**. (2) The electrophilic attack of $[Pd(OAc)]^+$ onto the C=C bond of cyclic enaminone **S6** followed by deprotonation generates an enaminonepalladium(II) intermediate **S8**. (3) The alkene **2a** inserts (migratory insertion) into the newly formed Pd-C bond in a *syn* fashion. (4) β-Hydride *syn*-elimination occurs in the suitable conformer **S10'** as a result of the rotation of the newly formed C–C single bond to furnish the final product **S11** together with palladium hydride **S12**. (5) The reductive elimination releases HOAc to form Pd(0), which is then reoxidized by $Cu(OAc)_2$ to regenerate the Pd(II) catalyst.

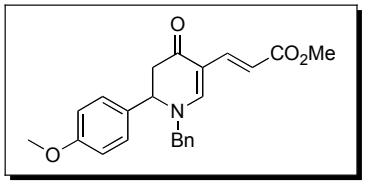
Figure S5. Detailed mechanism of the dehydrogenative alkenylation of the cyclic enaminone.



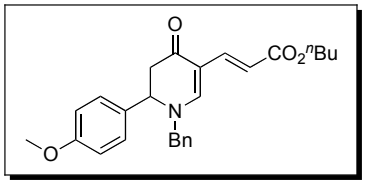
5. Characterization data for new compounds



(*E*)-1-Benzyl-5-(2-(*t*-butoxycarbonyl)vinyl)-2-(4-methoxyphenyl)-2,3-dihydropyridin-4(1*H*)-one (3a). 3a was prepared by the general procedure described above and 140 mg (81%) was isolated as a light yellow solid (mp 64–68 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.52 (s, 1H), 7.42–7.34 (m, 3H), 7.09–7.15 (m, 5H), 6.86 (d, *J* = 8.8 Hz, 2H), 6.60 (d, *J* = 15.6 Hz, 1H), 4.50 (t, *J* = 6.8 Hz, 1H), 4.43 (d, *J* = 14.9 Hz, 1H), 4.25 (d, *J* = 14.9 Hz, 1H), 3.81 (s, 3H), 2.92 (dd, *J* = 16.3, 7.2 Hz, 1H), 2.71 (dd, *J* = 16.3, 6.4 Hz, 1H), 1.48 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 188.1, 168.7, 160.0, 156.6, 138.8, 135.0, 129.8, 129.4, 128.9, 128.3, 128.0, 114.7, 114.1, 106.5, 79.4, 60.1, 58.2, 55.5, 44.2, 28.5; FTIR (Film, cm^{-1}) 3066, 2972, 2854, 1690, 1656, 1595, 1511, 1428, 1316, 1254, 1145, 1111, 1032, 790; HRMS (ESI+) *m/e* calculated for $[M+H]^+$ $C_{26}H_{30}NO_4$: 420.2175, found 420.2191.

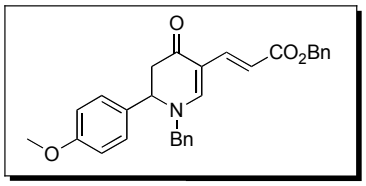


(*E*)-1-Benzyl-5-(2-(methoxycarbonyl)vinyl)-2-(4-methoxyphenyl)-2,3-dihydropyridin-4(1*H*)-one (3b). 3b was prepared by the general procedure described above and 61.6 mg (82%) was isolated as a yellowish solid (mp 50–55 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.56 (s, 1H), 7.46–7.33 (m, 3H), 7.21 (d, *J* = 15.6 Hz, 1H), 7.14 (dd, *J* = 7.2, 2.2 Hz, 2H), 7.11 (d, *J* = 8.7 Hz, 2H), 6.87 (d, *J* = 8.7 Hz, 2H), 6.70 (d, *J* = 15.6 Hz, 1H), 4.52 (t, *J* = 6.8 Hz, 1H), 4.44 (d, *J* = 14.9 Hz, 1H), 4.27 (d, *J* = 14.9 Hz, 1H), 3.81 (s, 3H), 3.73 (s, 3H), 2.93 (dd, *J* = 16.4, 7.3 Hz, 1H), 2.72 (dd, *J* = 16.4, 6.4 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 188.0, 169.7, 160.1, 157.0, 140.3, 134.8, 129.7, 129.39, 128.9, 128.3, 128.0, 114.8, 111.5, 106.4, 60.1, 58.2, 55.5, 51.3, 44.2; FTIR (film, cm^{-1}) 3055, 2987, 2951, 1696, 1657, 1595, 1513, 1438, 1392, 1317, 1165, 1092, 1034, 989, 896, 835; HRMS (ESI+) *m/e* calculated for $[M+H]^+$ $C_{23}H_{24}NO_4$: 378.1705, found 378.1711.

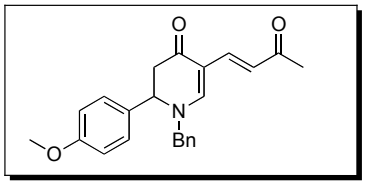


(*E*)-1-Benzyl-5-(2-(*n*-butoxycarbonyl)vinyl)-2-(4-methoxyphenyl)-2,3-dihydropyridin-4(1*H*)-one (3c). 3c was prepared by the general procedure described above and 72.7 mg (87%) was isolated as a yellowish solid (mp 102-104 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.56 (s, 1H), 7.42–7.34 (m, 3H), 7.20 (d, *J* = 15.6 Hz, 1H), 7.14 (dd, *J* = 7.2, 2.1 Hz, 2H), 7.11 (d, *J* = 8.7 Hz, 2H), 6.87 (d, *J* = 8.7 Hz, 2H), 6.69 (d, *J* = 15.6 Hz, 1H), 4.51 (t, *J* = 6.8 Hz, 1H),

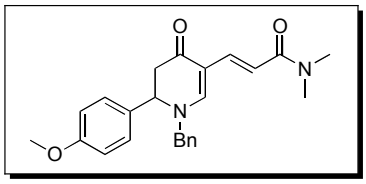
4.44 (d, *J* = 14.9 Hz, 1H), 4.26 (d, *J* = 14.9 Hz, 1H), 4.14 (t, *J* = 6.7 Hz, 2H), 3.81 (s, 3H), 2.93 (dd, *J* = 16.3, 7.3 Hz, 1H), 2.71 (dd, *J* = 16.4, 6.3 Hz, 1H), 1.69–1.60 (m, 2H), 1.41 (dq, *J* = 14.6, 7.4 Hz, 2H), 0.93 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 188.1, 169.4, 160.0, 156.9, 139.9, 134.9, 129.7, 129.4, 128.9, 128.3, 128.0, 114.8, 112.1, 106.5, 63.8, 60.1, 58.2, 55.5, 44.2, 31.1, 19.4, 13.9; FTIR (film, cm^{-1}) 3054, 2963, 2986, 1692, 1655, 1595, 1513, 1441, 1422, 1316, 1165, 1090, 1033, 989, 896, 834; HRMS (ESI+) *m/e* calculated for $[M+H]^+$ $C_{26}H_{30}NO_4$: 420.2175, found 420.2170.



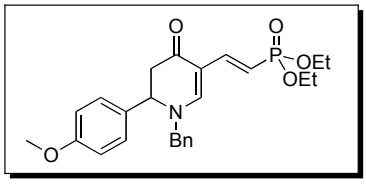
(*E*)-5-(2-(Benzoxycarbonyl)vinyl)-1-benzyl-2-(4-methoxyphenyl)-2,3-dihydropyridin-4(1*H*)-one (3d). 3d was prepared by the general procedure described above and 82.2 mg (91%) was isolated as a yellowish solid (mp 49-53 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.55 (s, 1H), 7.43–7.28 (m, 8H), 7.27–7.21 (m, 2H), 7.11 (d, *J* = 8.7 Hz, 2H), 6.87 (d, *J* = 8.7 Hz, 2H), 6.76 (d, *J* = 15.6 Hz, 1H), 5.20 (s, 2H), 4.51 (t, *J* = 6.8 Hz, 1H), 4.44 (d, *J* = 14.9 Hz, 1H), 4.26 (d, *J* = 14.9 Hz, 1H), 3.81 (s, 3H), 2.93 (dd, *J* = 16.4, 7.3 Hz, 1H), 2.71 (dd, *J* = 16.4, 6.3 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 188.0, 169.1, 160.0, 157.0, 140.6, 137.0, 134.8, 129.7, 129.4, 128.9, 128.6, 128.3, 128.2, 128.0, 128.0, 114.8, 111.6, 106.4, 65.7, 60.1, 58.3, 55.5, 44.2; FTIR (film, cm^{-1}) 3054, 2986, 1696, 1655, 1594, 1513, 1441, 1392, 1316, 1179, 1156, 1090, 1029, 989, 896; HRMS (ESI+) *m/e* calculated for $[M+H]^+$ $C_{29}H_{28}NO_4$: 454.2018, found 454.2007.



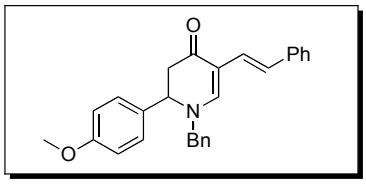
(*E*)-1-Benzyl-2-(4-methoxyphenyl)-5-(3-oxobut-1-enyl)-2,3-dihydropyridin-4(1*H*)-one (3e). 3e was prepared by the general procedure described above and 61.0 mg (85%) was isolated as a yellowish solid (mp 48-52 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.66 (s, 1H), 7.44–7.35 (m, 3H), 7.23 (d, *J* = 15.8 Hz, 1H), 7.15 (dd, *J* = 7.0, 2.3 Hz, 2H), 7.12 (d, *J* = 8.7 Hz, 2H), 6.89 (dd, *J* = 12.2, 9.6 Hz, 3H), 4.57–4.51 (m, 1H), 4.47 (d, *J* = 14.9 Hz, 1H), 4.29 (d, *J* = 14.9 Hz, 1H), 3.81 (s, 3H), 2.95 (dd, *J* = 16.4, 7.4 Hz, 1H), 2.72 (dd, *J* = 16.4, 6.0 Hz, 1H), 2.26 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.3, 188.0, 160.1, 156.7, 138.6, 134.7, 129.5, 129.4, 129.0, 128.2, 128.0, 120.5, 114.8, 106.1, 60.1, 58.5, 55.5, 44.0, 28.3; FTIR (film, cm^{-1}) 3054, 2987, 1655, 1593, 1579, 1513, 1422, 1356, 1179, 1033, 896; HRMS (ESI+) *m/e* calculated for $[M+H]^+$ $C_{23}H_{24}NO_3$: 362.1756, found 362.1754.



(*E*)-1-Benzyl-5-(2-(dimethylcarbamoyl)vinyl)-2-(4-methoxyphenyl)-2,3-dihydropyridin-4(1*H*)-one (3f). 3f was prepared by the general procedure described above and 73.9 mg (95%) was isolated as a yellow solid (mp 50-54 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.51 (s, 1H), 7.41 (d, *J* = 14.8 Hz, 1H), 7.38–7.32 (m, 3H), 7.20–7.09 (m, 4H), 7.07 (d, *J* = 14.8 Hz, 1H), 6.87 (d, *J* = 8.7 Hz, 2H), 4.49 (t, *J* = 7.0 Hz, 1H), 4.43 (d, *J* = 14.9 Hz, 1H), 4.23 (d, *J* = 14.9 Hz, 1H), 3.80 (s, 3H), 3.11 (s, 3H), 3.02 (s, 3H), 2.93 (dd, *J* = 16.3, 7.2 Hz, 1H), 2.71 (dd, *J* = 16.3, 6.7 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 188.6, 169.0, 160.0, 158.0, 138.0, 135.0, 129.9, 129.3, 128.8, 128.3, 128.0, 114.7, 112.4, 107.0, 60.0, 58.1, 55.5, 44.6, 29.4; FTIR (film, cm^{-1}) 3054, 2985, 1707, 1655, 1600, 1513, 1442, 1395, 1357, 1179, 1089, 1034, 988, 896; HRMS (ESI+) *m/e* calculated for $[M+H]^+$ $C_{24}H_{27}N_2O_3$: 391.2022, found 391.2013.

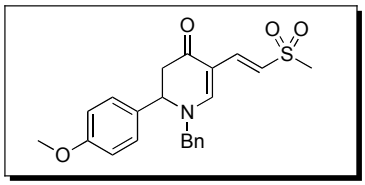


(*E*)-1-Benzyl-5-(2-(diethoxyphosphinyl)vinyl)-2-(4-methoxyphenyl)-2,3-dihydropyridin-4(1*H*)-one (3g). 3g was prepared by the general procedure described above and 61.7 mg (68%) was isolated as a yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.49 (s, 1H), 7.44–7.32 (m, 3H), 7.12 (dd, *J* = 9.2, 6.1 Hz, 4H), 7.01–6.84 (m, 3H), 6.54 (dd, *J* = 21.9, 17.1 Hz, 1H), 4.50 (t, *J* = 6.9 Hz, 1H), 4.41 (d, *J* = 14.9 Hz, 1H), 4.24 (d, *J* = 14.9 Hz, 1H), 4.16–3.97 (m, 4H), 3.81 (s, 3H), 2.91 (dd, *J* = 16.3, 7.3 Hz, 1H), 2.70 (dd, *J* = 16.4, 6.5 Hz, 1H), 1.32 (td, *J* = 7.1, 1.5 Hz, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 188.2, 160.0, 157.2, 144.6, 134.8, 129.7, 129.4, 128.9, 128.3, 128.1, 114.8, 106.9, 105.3, 61.5, 61.4, 60.1, 58.1, 55.5, 44.3, 16.6, 16.5; FTIR (film, cm^{-1}) 3054, 2987, 1654, 1600, 1422, 1393, 1030, 961, 896; HRMS (ESI+) *m/e* calculated for $[M+H]^+$ $C_{25}H_{31}NO_5P$: 456.1940, found 456.1940.

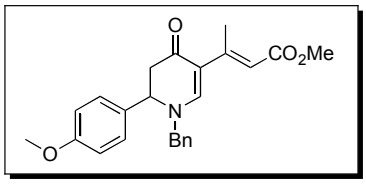


(*E*)-1-Benzyl-2-(4-methoxyphenyl)-5-styryl-2,3-dihydropyridin-4(1*H*)-one (3h). 3h was prepared by the general procedure described above and 52.4 mg (66%) was isolated as a yellow solid (mp 53-57 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.57 (s, 1H), 7.38 (dt, *J* = 13.9, 6.9 Hz, 5H), 7.29 (d, *J* = 7.5 Hz, 2H), 7.23–7.11 (m, 5H), 7.08 (d, *J* = 16.3 Hz, 1H), 6.87 (d, *J* = 8.7 Hz, 2H), 6.82 (d, *J* = 16.3 Hz, 1H), 4.55–4.39 (m, 2H), 4.23 (d, *J* = 15.1 Hz, 1H), 3.81 (s, 3H), 2.92 (dd, *J* = 16.3, 7.0 Hz, 1H), 2.75 (dd, *J* = 16.3, 7.5 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 188.5, 159.8,

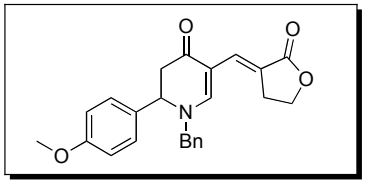
152.8, 139.1, 135.9, 130.3, 129.2, 128.6, 128.5, 128.5, 127.8, 126.3, 125.8, 123.2, 122.8, 114.6, 108.5, 60.0, 57.9, 55.5, 44.4; FTIR (film, cm^{-1}) 3054, 2987, 1646, 1592, 1513, 1422, 1179, 969; HRMS (ESI+) *m/e* calculated for $[M+H]^+$ $C_{27}H_{26}NO_2$: 396.1964, found 396.1970.



(*E*)-1-Benzyl-2-(4-methoxyphenyl)-5-(2-(methylsulfonyl)vinyl)-2,3-dihydropyridin-4(1*H*)-one (3i). 3i was prepared by the general procedure described above and 44.7 mg (56%) was isolated as a yellowish oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.62 (s, 1H), 7.46–7.33 (m, 4H), 7.20–7.12 (m, 2H), 7.10 (d, *J* = 8.8 Hz, 2H), 6.96 (d, *J* = 14.7 Hz, 1H), 6.87 (d, *J* = 8.8 Hz, 2H), 4.59–4.45 (m, 2H), 4.28 (d, *J* = 14.8 Hz, 1H), 3.79 (s, 3H), 3.01–2.90 (m, 4H), 2.69 (dd, *J* = 16.4, 5.6 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 187.9, 160.1, 158.4, 138.8, 134.4, 129.5, 129.2, 129.1, 128.2, 128.1, 119.7, 114.9, 104.2, 60.0, 58.4, 55.5, 44.0, 43.9; FTIR (film, cm^{-1}) 3055, 2987, 1656, 1602, 1513, 1442, 1301, 1176, 1124, 1033, 962, 909; HRMS (ESI+) *m/e* calculated for $[M+H]^+$ $C_{22}H_{24}NO_4S$: 398.1426, found 398.1430.

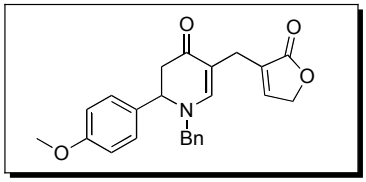


(*E*)-1-Benzyl-5-(2-(methoxycarbonyl)1-methyl-vinyl)-2-(4-methoxyphenyl)-2,3-dihydropyridin-4(1*H*)-one (3l). 3l was prepared by the general procedure described above and 32.8 mg (42%) was isolated as a waxy solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.59 (s, 1H), 7.44–7.29 (m, 3H), 7.18–7.05 (m, 4H), 6.86 (d, *J* = 8.8 Hz, 2H), 6.45 (d, *J* = 1.2 Hz, 1H), 4.44 (m, 2H), 4.23 (d, *J* = 15.1 Hz, 1H), 3.80 (s, 4H), 3.67 (s, 3H), 2.89 (dd, *J* = 16.0, 7.1 Hz, 1H), 2.69 (dd, *J* = 16.0, 7.0 Hz, 1H), 2.40 (d, *J* = 1.2 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 187.9, 168.5, 159.9, 153.5, 151.7, 135.5, 130.0, 129.3, 128.7, 128.3, 127.8 114.7, 113.3, 111.7, 59.8, 58.1, 55.5, 50.9, 44.8, 17.4; FTIR (film, cm^{-1}) 3055, 2987, 1648, 1579, 1513, 1422, 1170, 1034, 896; HRMS (ESI+) *m/e* calculated for $[M+H]^+$ $C_{24}H_{26}NO_4$: 392.1862, found 392.1865.

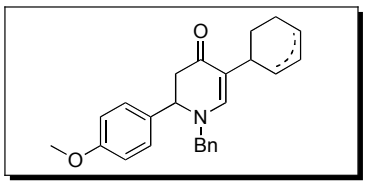


(*E*)-1-Benzyl-2-(4-methoxyphenyl)-5-((2-oxodihydrofuran-3(2*H*)-ylidene)methyl)-2,3-dihydropyridin-4(1*H*)-one (3ma). 3ma was prepared by the general procedure described above and 18.4 mg (24%) was isolated as a

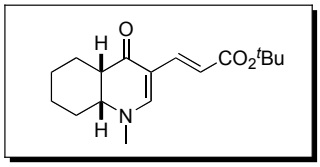
yellowish solid (mp 57-63 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.63 (s, 1H), 7.45–7.32 (m, 4H), 7.15 (m, 4H), 6.88 (d, *J* = 8.7 Hz, 2H), 4.58 (t, *J* = 6.8 Hz, 1H), 4.46 (d, *J* = 14.7 Hz, 1H), 4.34–4.24 (m, 3H), 3.80 (s, 3H), 2.93 (m, 3H), 2.73 (dd, *J* = 16.3, 6.4 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 187.5, 173.7, 160.0, 155.7, 134.7, 131.2, 129.6, 129.4, 128.9, 128.3, 128.2, 114.8, 114.3, 106.8, 65.2, 60.4, 58.3, 55.5, 43.7, 28.2; FTIR (film, cm^{-1}) 3055, 2987, 1738, 1658, 1594, 1581, 1513, 1422, 1194, 1033, 896; HRMS (ESI+) *m/e* calculated for $[M+H]^+$ $C_{24}H_{24}NO_4$: 390.1705, found 390.1693.



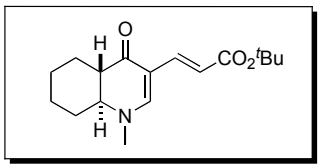
1-Benzyl-2-(4-methoxyphenyl)-5-((2-oxo-2,5-dihydrofuran-3-yl)methyl)-2,3-dihydropyridin-4(1*H*)-one (3mb). 3mb was prepared by the general procedure described above and 46.1 mg (59%) was isolated as a yellowish solid (mp 59-66 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.50 (s, 1H), 7.37–7.27 (m, 3H), 7.18 (s, 1H), 7.10 (ddd, *J* = 9.4, 4.9, 2.4 Hz, 4H), 6.89–6.81 (m, 2H), 4.71 (d, *J* = 1.5 Hz, 2H), 4.43–4.30 (m, 2H), 4.08 (d, *J* = 15.1 Hz, 1H), 3.79 (s, 3H), 3.16 (dd, *J* = 15.0, 1.2 Hz, 1H), 3.09 (dd, *J* = 15.0, 1.1 Hz, 1H), 2.73 (dd, *J* = 16.5, 6.7 Hz, 1H), 2.63 (dd, *J* = 16.5, 8.8 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 189.0, 174.8, 159.6, 154.5, 145.6, 136.0, 132.6 130.2, 128.9, 128.4, 128.2, 127.9, 114.4, 105.3, 70.2, 60.3, 57.3, 55.4, 44.1, 23.8; FTIR (film, cm^{-1}) 3054, 2987, 1753, 1602, 1512, 1422, 1195, 1063, 896; HRMS (ESI+) *m/e* calculated for $[M+H]^+$ $C_{24}H_{24}NO_4$: 390.1705, found 390.1706.



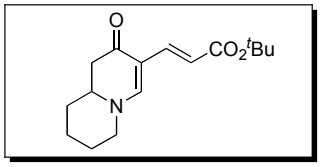
1-Benzyl-5-(2-cyclohexene)-2-(4-methoxyphenyl)-2,3-dihydropyridin-4(1*H*)-one (3na) and 1-benzyl-5-(3-cyclohexene)-2-(4-methoxyphenyl)-2,3-dihydropyridin-4(1*H*)-one (3nb). 3na and **3nb** were prepared by the general procedure described above and 36.3 mg (49%) were isolated as a yellowish oil. Two constitutional isomers were inseparable. The ratio was determined by ^{1}H NMR. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.34–7.05 (m, 16H), 6.87–6.84 (m, 4H), 5.92–5.76 (m, 2H), 5.58–5.50 (m, 2H), 4.41–4.22 (m, 4H), 4.14–4.02 (m, 2H), 3.81 (m, 6H), 3.51 (br, 2H), 2.77 (dd, *J* = 16.3, 6.7 Hz, 1H), 2.73–2.60 (m, 3H), 2.03–1.95 (m, 4H), 1.92–1.83 (m, 2H), 1.68–1.50 (m, 4H), 1.50–1.35 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 189.4, 189.1, 159.6, 159.6, 153.5, 153.2, 136.6, 136.5, 131.0, 130.0, 129.9, 129.1, 128.9, 128.9, 128.8, 128.7, 128.5, 128.0, 128.0, 127.7, 114.4, 114.3, 114.2, 113.8, 60.7, 60.2, 57.3, 57.0, 55.4, 44.7, 44.3, 31.6, 31.5, 30.0, 29.7, 25.3, 25.3, 20.1, 20.1; FTIR (film, cm^{-1}) 3016, 2927, 1636, 1598, 1512, 1443, 1380, 1360, 1298, 1178, 1032, 834; HRMS (ESI+) *m/e* calculated for $[M+H]^+$ $C_{25}H_{28}NO_2$: 374.2120, found 374.2125.



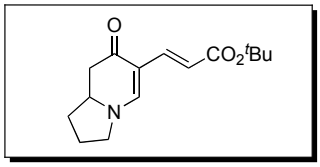
(*cis*)-3-(2-(*tert*-Butoxycarbonyl)vinyl)-1-methyl-4a,5,6,7,8,8a-hexahydroquinolin-4(1*H*)-one (5a). 5a was prepared by the general procedure described above and 45.1 mg (77%) was isolated as a yellowish solid (mp 182-184 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.27 (s, 1H), 7.09 (d, *J* = 15.6 Hz, 1H), 6.55 (d, *J* = 15.6 Hz, 1H), 3.20–3.05 (m, 4H), 2.44 (ddd, *J* = 11.1, 5.6, 2.7 Hz, 1H), 2.29–2.20 (m, 1H), 2.14 (ddd, *J* = 14.8, 11.4, 3.7 Hz, 1H), 1.94–1.79 (m, 2H), 1.50–1.36 (m, 10H), 1.35–1.04 (m, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 191.2, 168.7, 157.6, 139.2, 113.5, 106.2, 79.2, 61.3, 48.7, 40.1, 30.2, 28.5, 24.8, 24.7, 24.5; FTIR (film, cm^{-1}) 3155, 2939, 1794, 1687, 1653, 1597, 1478, 1384, 1329, 1254, 1156, 1144, 1095, 993; HRMS (ESI+) *m/e* calculated for $[M+H]^+$ $C_{17}H_{26}NO_3$: 292.1913, found 292.1920.



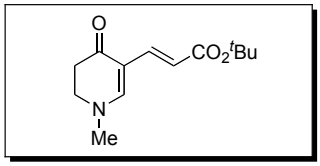
(*trans*)-3-(2-(*tert*-Butoxycarbonyl)vinyl)-1-methyl-4a,5,6,7,8,8a-hexahydroquinolin-4(1*H*)-one (5b). 5b was prepared by the general procedure described above and 43.5 mg (75%) was isolated as a yellowish solid (mp 146-148 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.15 (s, 1H), 7.09 (d, *J* = 15.6 Hz, 1H), 6.53 (d, *J* = 15.6 Hz, 1H), 3.44 (dd, *J* = 14.1, 6.2 Hz, 1H), 3.16 (s, 3H), 2.84–2.73 (m, 1H), 2.40 (d, *J* = 11.0 Hz, 1H), 1.78–1.65 (m, 3H), 1.46 (s, 9H), 1.43–1.21 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.5, 168.8, 155.5, 139.3, 112.6, 105.0, 79.1, 60.8, 45.0, 41.7, 28.5, 24.5, 24.0, 23.6, 22.2; FTIR (film, cm^{-1}) 3155, 2939, 1794, 1687, 1602, 1474, 1392, 1297, 1154, 1136, 1095, 987; HRMS (ESI+) *m/e* calculated for $[M+H]^+$ $C_{17}H_{26}NO_3$: 292.1913, found 292.1913.



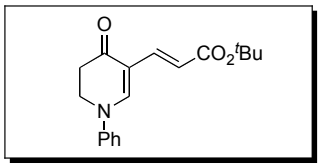
3-(2-(*tert*-Butoxycarbonyl)vinyl)-7,8,9,9a-tetrahydro-1*H*-quinolizin-2(6*H*)-one (5c). 5c was prepared by the general procedure described above and 47.1 mg (86%) was isolated as a yellowish solid (mp 122-124 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.16 (s, 1H), 7.05 (d, *J* = 15.6 Hz, 1H), 6.57 (d, *J* = 15.6 Hz, 1H), 3.57–3.49 (m, 1H), 3.49–3.39 (m, 1H), 3.18 (td, *J* = 12.9, 2.9 Hz, 1H), 2.62 (dd, *J* = 16.4, 5.8 Hz, 1H), 2.43 (dd, *J* = 16.4, 11.7 Hz, 1H), 1.94–1.86 (m, 1H), 1.86–1.76 (m, 2H), 1.68–1.42 (m, 12H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 189.3, 168.6, 157.0, 138.9, 114.1, 106.7, 79.3, 56.9, 54.0, 43.6, 31.8, 28.5, 25.9, 23.2; FTIR (film, cm^{-1}) 3155, 2981, 1793, 1688, 1654, 1593, 1450, 1392, 1321, 1246, 1155, 1107, 987; HRMS (ESI+) *m/e* calculated for $[M+H]^+$ $C_{26}H_{24}NO_3$: 278.1756, found 278.1759.



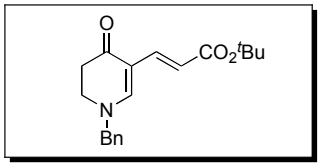
6-(2-(*tert*-Butoxycarbonyl)vinyl)-2,3,8,8a-tetrahydroindolizin-7(1*H*)-one (5d). 5d was prepared by the general procedure described above and 38.3 mg (73%) was isolated as a yellowish solid (mp 122-126 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.51 (s, 1H), 7.17 (d, *J* = 15.6 Hz, 1H), 6.44 (d, *J* = 15.6 Hz, 1H), 3.78 (ddd, *J* = 21.0, 10.5, 5.4 Hz, 1H), 3.72–3.54 (m, 2H), 2.57 (dd, *J* = 15.9, 4.7 Hz, 1H), 2.46–2.28 (m, 2H), 2.16 (dt, *J* = 14.0, 7.1 Hz, 1H), 1.98 (dddd, *J* = 19.2, 12.5, 9.9, 6.6 Hz, 1H), 1.72 (ddd, *J* = 22.7, 11.9, 7.1 Hz, 1H), 1.47 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 189.3, 168.7, 152.4, 139.1, 112.4, 105.8, 79.2, 58.0, 50.5, 42.1, 32.9, 28.5, 24.4; FTIR (film, cm^{-1}) 3155, 2981, 1794, 1687, 1652, 1590.0, 1476, 1430, 1369, 1319, 1249, 1150, 1097, 990; HRMS (ESI+) *m/e* calculated for $[M+H]^+$ $C_{15}H_{22}NO_3$: 264.1600, found 264.1602.



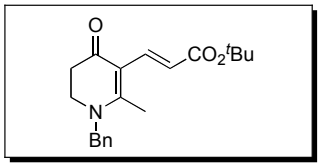
5-(2-(*tert*-Butoxycarbonyl)vinyl)-1-methyl-2,3-dihydropyridin-4(1*H*)-one (5e). 5e was prepared by the general procedure described above and 40.0 mg (84%) was isolated as a yellowish solid (mp 128-134 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.25 (s, 1H), 7.08 (d, *J* = 15.6 Hz, 1H), 6.51 (d, *J* = 15.6 Hz, 1H), 3.51 (t, *J* = 7.8 Hz, 2H), 3.18 (s, 3H), 2.62–2.53 (m, 2H), 1.47 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 188.4, 168.7, 157.2, 138.9, 113.4, 106.1, 79.3, 48.6, 44.0, 35.9, 28.5; FTIR (film, cm^{-1}) 3155, 2982, 1794, 1689, 1651, 1604, 1473, 1392, 1317, 1152, 1101, 986; HRMS (ESI+) *m/e* calculated for $[M+H]^+$ $C_{13}H_{20}NO_3$: 238.1443, found 238.1436.



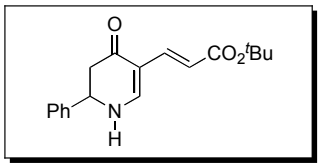
5-(2-(*tert*-Butoxycarbonyl)vinyl)-1-phenyl-2,3-dihydropyridin-4(1*H*)-one (5f). 5f was prepared by the general procedure described above and 45.1 mg (76%) was isolated as a yellowish solid (mp 150-152 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.69 (s, 1H), 7.43 (dd, *J* = 8.4, 7.6 Hz, 2H), 7.26–7.12 (m, 4H), 6.60 (d, *J* = 15.7 Hz, 1H), 4.10–4.02 (m, 2H), 2.78–2.70 (m, 2H), 1.49 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 189.3, 168.1, 152.0, 144.7, 138.4, 130.1, 125.9, 119.2, 115.9, 109.5, 79.6, 47.8, 36.5, 28.4; FTIR (film, cm^{-1}) 3155, 2982, 1794, 1691, 1578, 1493, 1390, 1316, 1281, 1153, 1110, 986; HRMS (ESI+) *m/e* calculated for $[M+Na]^+$ $C_{18}H_{21}NO_3Na$: 322.1419, found 322.1425.



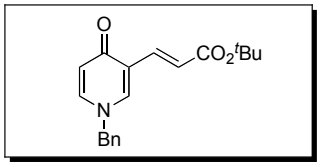
1-Benzyl-5-(2-(*tert*-butoxycarbonyl)vinyl)-2,3-dihydropyridin-4(1*H*)-one (5g). 5g was prepared by the general procedure described above and 43.1 mg (92%) was isolated as a yellowish oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.47–7.35 (m, 4H), 7.26–7.23 (m, 2H), 7.11 (d, *J* = 15.6 Hz, 1H), 6.56 (d, *J* = 15.6 Hz, 1H), 4.48 (s, 2H), 3.45 (t, 2H), 2.54 (t, 2H), 1.48 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 188.7, 168.6, 156.5, 139.0, 134.6, 129.4, 129.0, 127.9, 114.0, 106.5, 79.4, 60.8, 46.5, 36.1, 28.5; FTIR (film, cm^{-1}) 3055, 2987, 1689, 1597, 1422, 1150, 896; HRMS (ESI+) *m/e* calculated for $[M+H]^+$ $C_{19}H_{24}NO_3$: 314.1756, found 314.1742.



1-Benzyl-5-(2-(*tert*-butoxycarbonyl)vinyl)-6-methyl-2,3-dihydropyridin-4(1*H*)-one (5h). 5h was prepared by the general procedure described above and 14.2 mg (22%) was isolated as a yellowish solid (mp 118-120 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.50 (d, *J* = 15.4 Hz, 1H), 7.37 (m, 3H), 7.18 (d, *J* = 7.0 Hz, 2H), 6.84 (d, *J* = 15.4 Hz, 1H), 4.69 (s, 2H), 3.64–3.53 (m, 2H), 2.59–2.49 (m, 2H), 2.33 (s, 3H), 1.49 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 189.2, 169.4, 164.6, 137.6, 135.7, 129.5, 128.4, 126.3, 116.1, 107.3, 79.3, 56.4, 49.3, 36.5, 28.5, 17.4; FTIR (film, cm^{-1}) 3155, 2981, 1794, 1686, 1642, 1590, 1535, 1471, 1388, 1305, 1154, 1096, 985; HRMS (ESI+) *m/e* calculated for $[M+H]^+$ $C_{20}H_{26}NO_3$: 328.1913, found 328.1923.

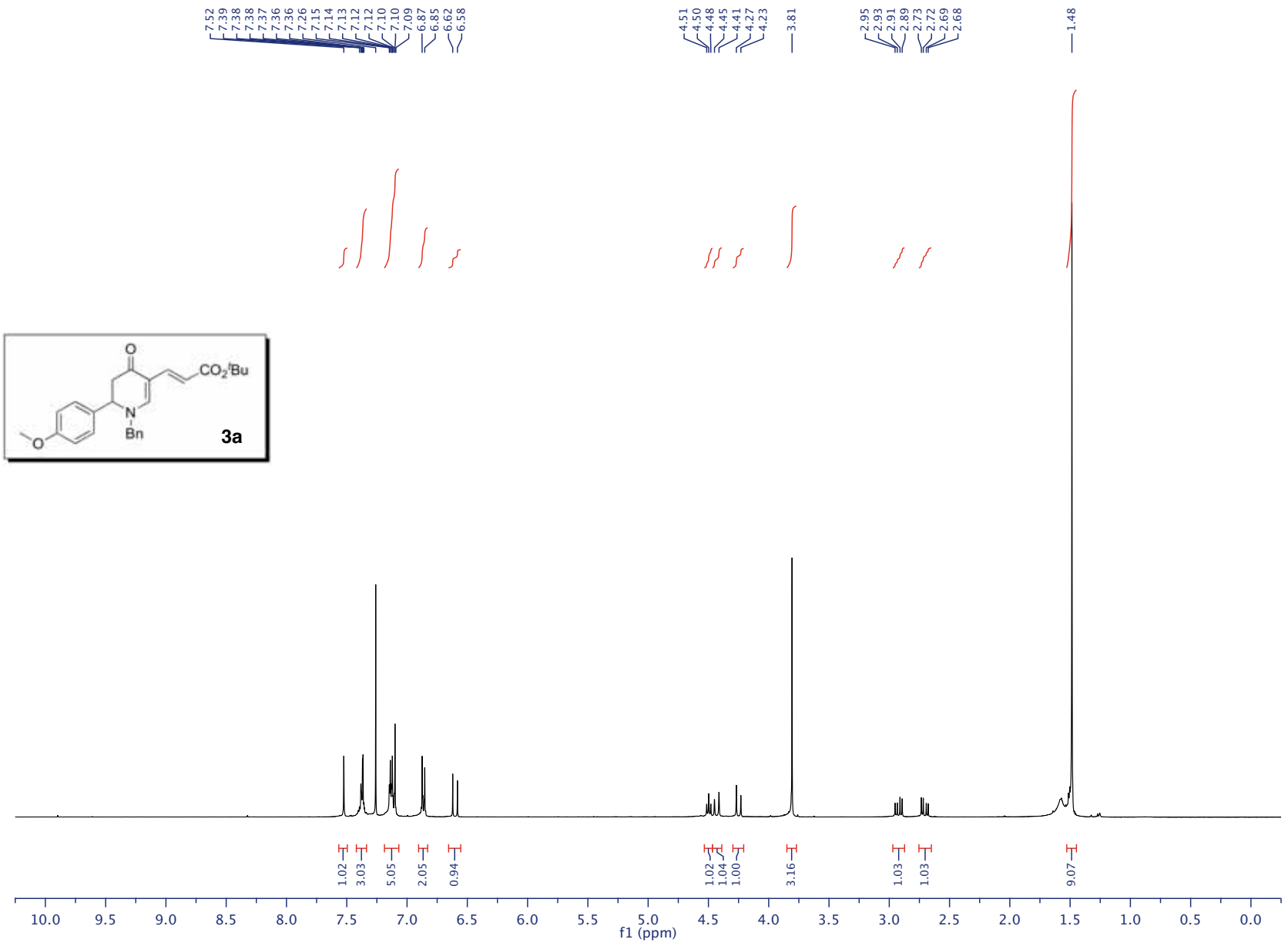


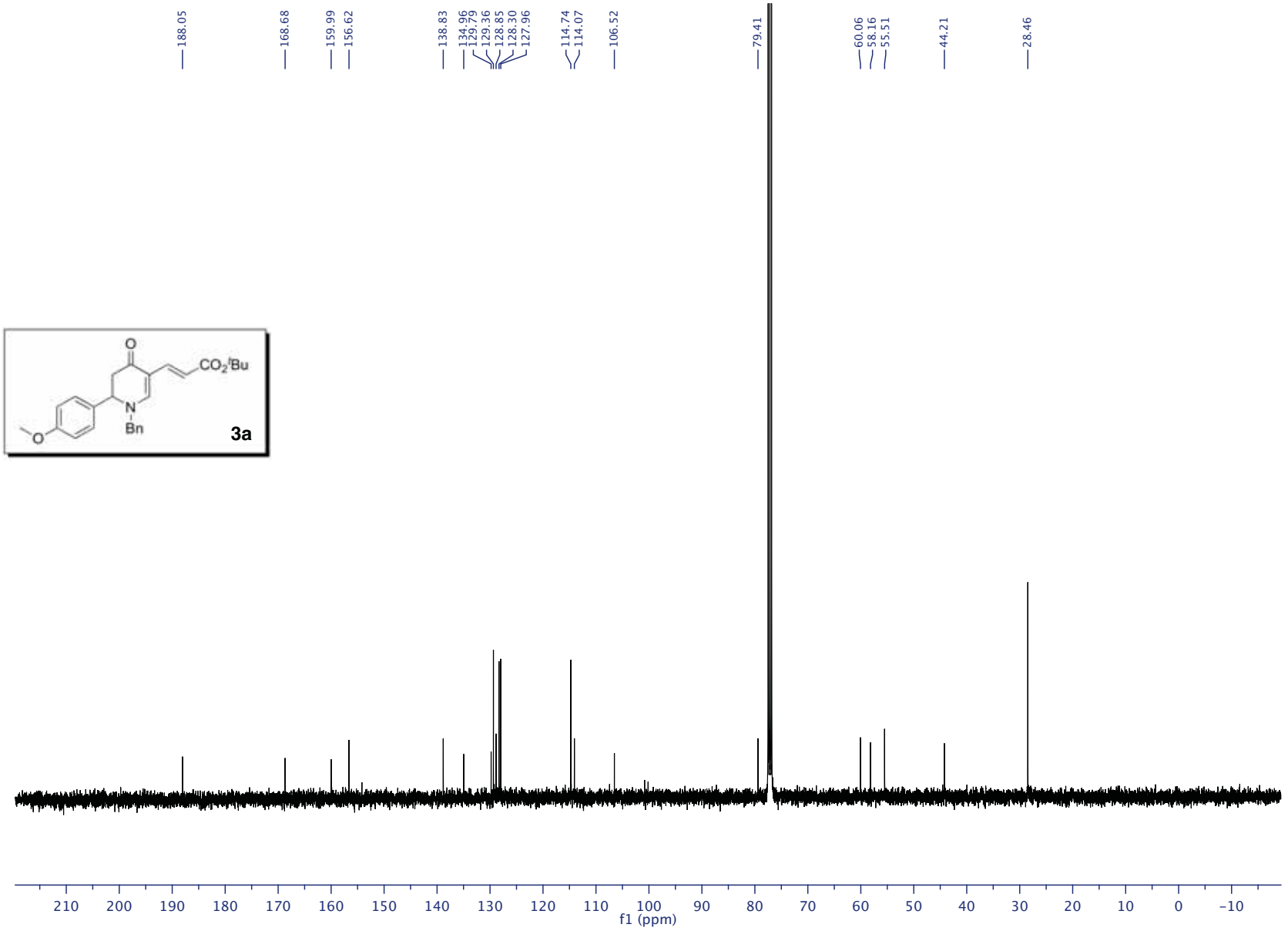
2-Phenyl-5-(2-(*tert*-butoxycarbonyl)vinyl)-2,3-dihydropyridin-4(1*H*)-one (5i). 5i was prepared by the general procedure described above and 3.5 mg (6%) was isolated as a yellowish solid (mp 193-196 °C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.52 (d, *J* = 6.9 Hz, 1H), 7.46–7.34 (m, 5H), 7.14 (d, *J* = 15.7 Hz, 1H), 6.62 (d, *J* = 15.7 Hz, 1H), 5.52 (dd, *J* = 21.3, 9.5 Hz, 1H), 4.83 (dd, *J* = 13.7, 5.1 Hz, 1H), 2.85 (dd, *J* = 16.2, 13.8 Hz, 1H), 2.69 (dd, *J* = 16.2, 5.0 Hz, 1H), 1.49 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 189.4, 153.4, 138.5, 129.5, 129.1, 126.6, 118.8, 115.3, 110.3, 107.8, 79.6, 58.2, 44.9, 28.5; FTIR (film, cm^{-1}) 3426, 3155, 2983, 1794, 1710, 1595, 1471, 1382, 1224, 1152, 1095, 988; HRMS (ESI+) *m/e* calculated for $[M+H]^+$ $C_{18}H_{22}NO_3$: 300.1600, found 300.1593.

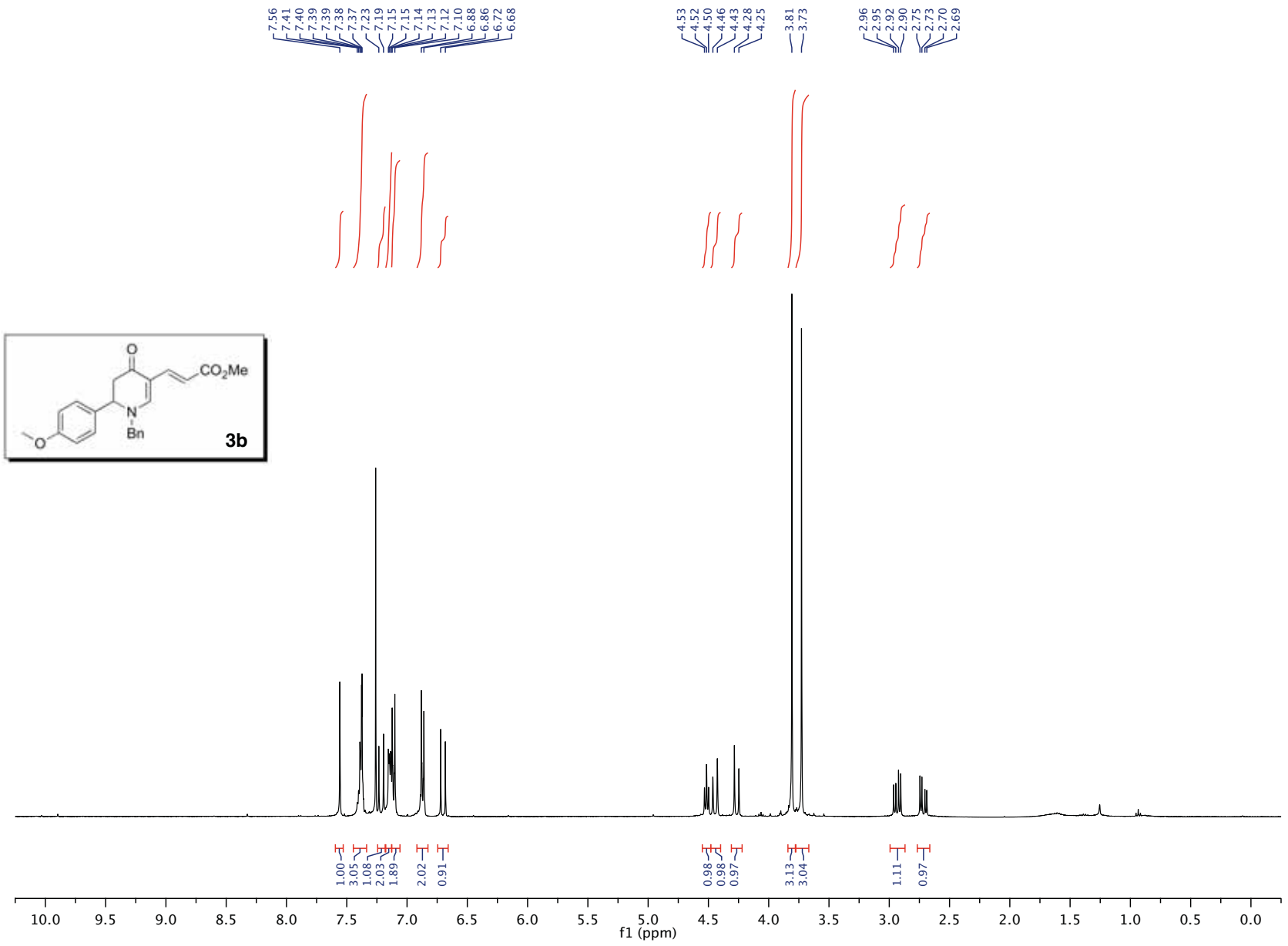


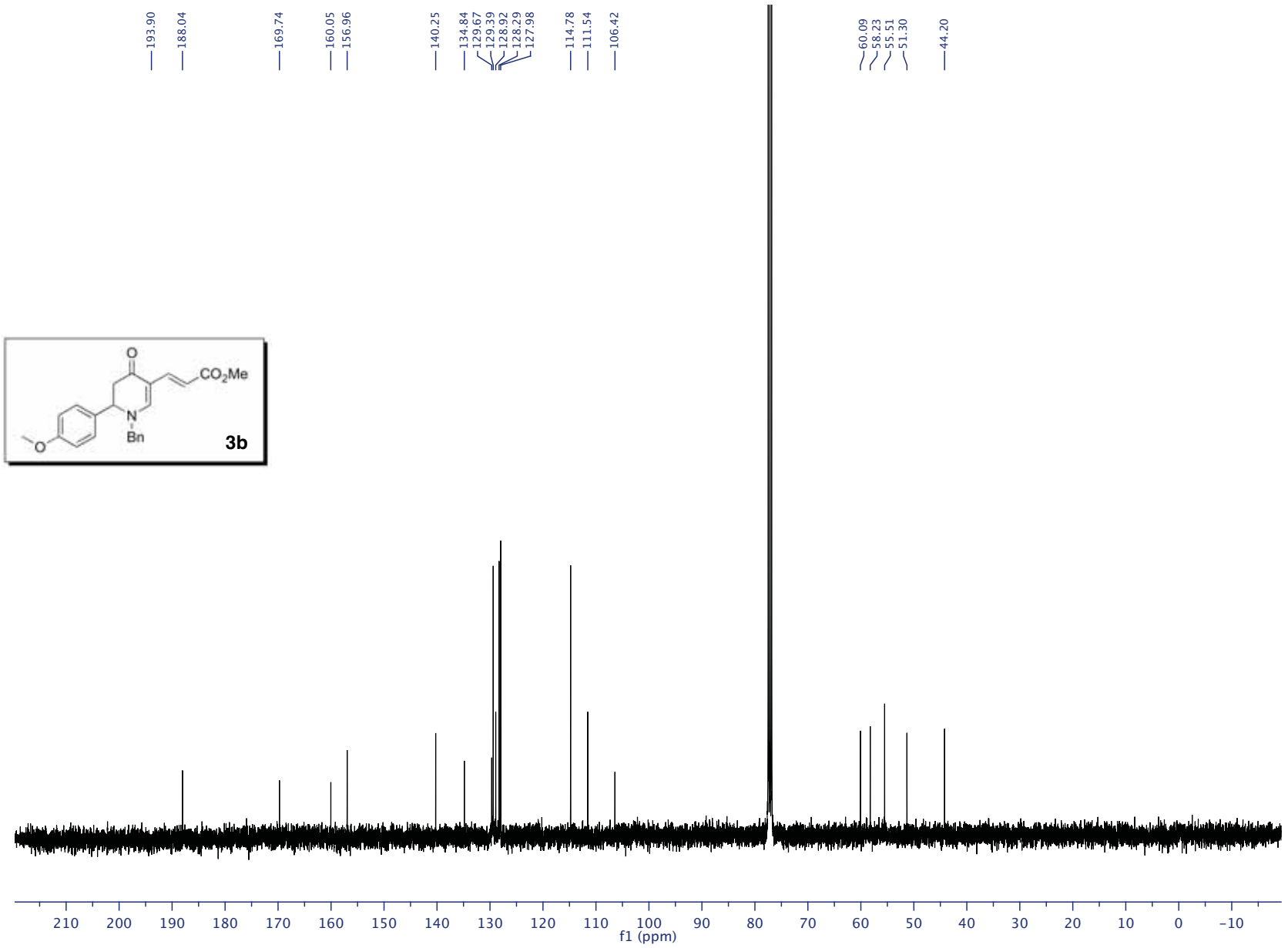
1-Benzyl-3-(2-(*tert*-butoxycarbonyl)vinyl)pyridin-4(1*H*)-one (5k). 5k was prepared by the general procedure described above and 4.4 mg (7%) was isolated as a waxy solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.50 (d, J = 2.4 Hz, 1H), 7.45–7.37 (m, 3H), 7.33–7.23 (m, 2H), 7.22–7.14 (m, 3H), 6.45 (d, J = 7.5 Hz, 1H), 4.97 (s, 2H), 1.49 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 177.2, 167.5, 141.8, 138.4, 137.2, 134.4, 129.7, 129.4, 127.6, 124.2, 122.8, 120.2, 80.3, 60.7, 28.3; FTIR (film, cm^{-1}) 3155, 2982, 1794, 1697, 1643, 1578, 1482, 1382, 1317, 1163, 1096, 987; HRMS (ESI+) *m/e* calculated for $[M+H]^+$ $C_{19}H_{22}NO_3$: 312.1600, found 312.1588.

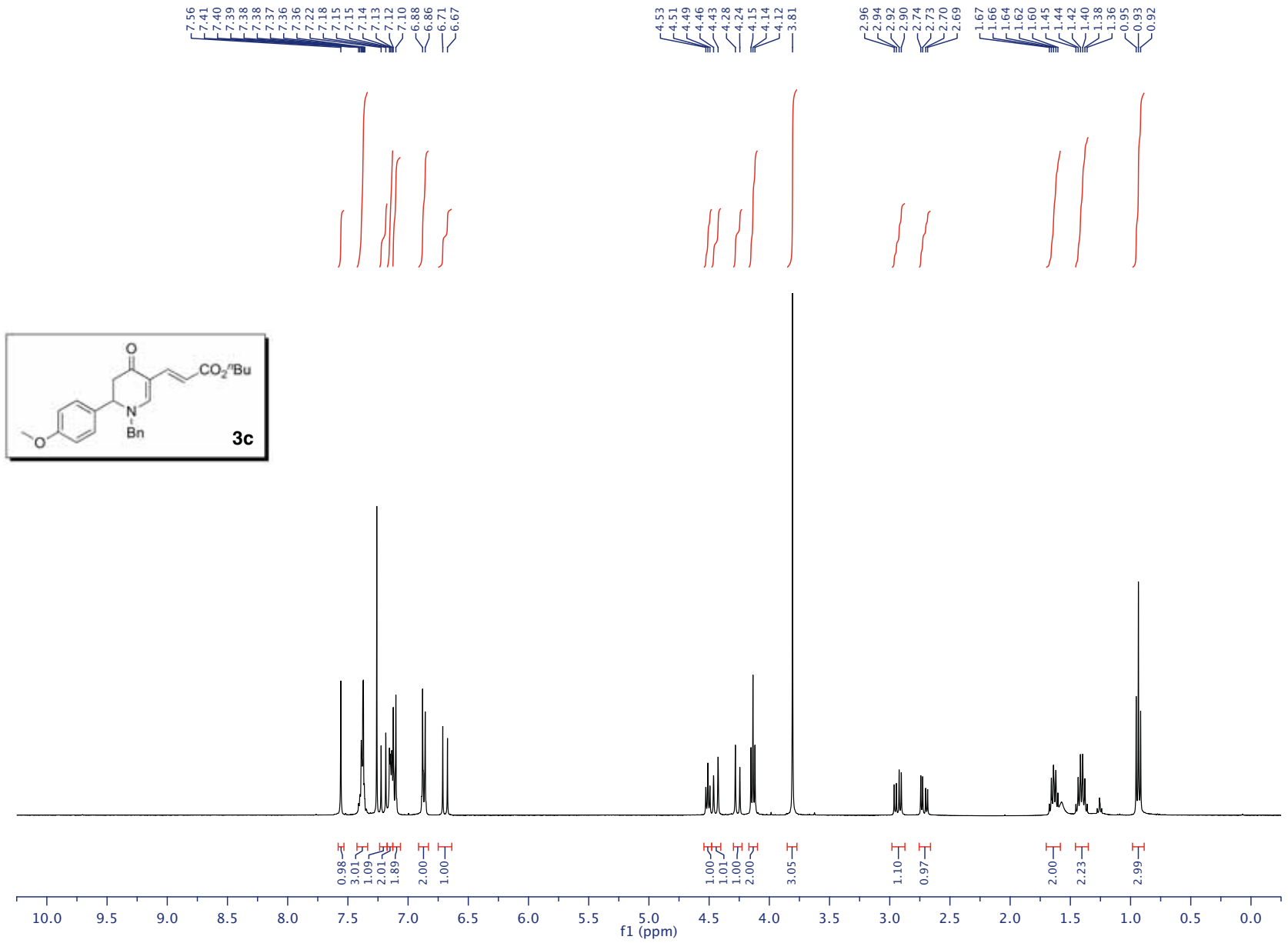
6. ^{1}H and ^{13}C spectra for new compounds

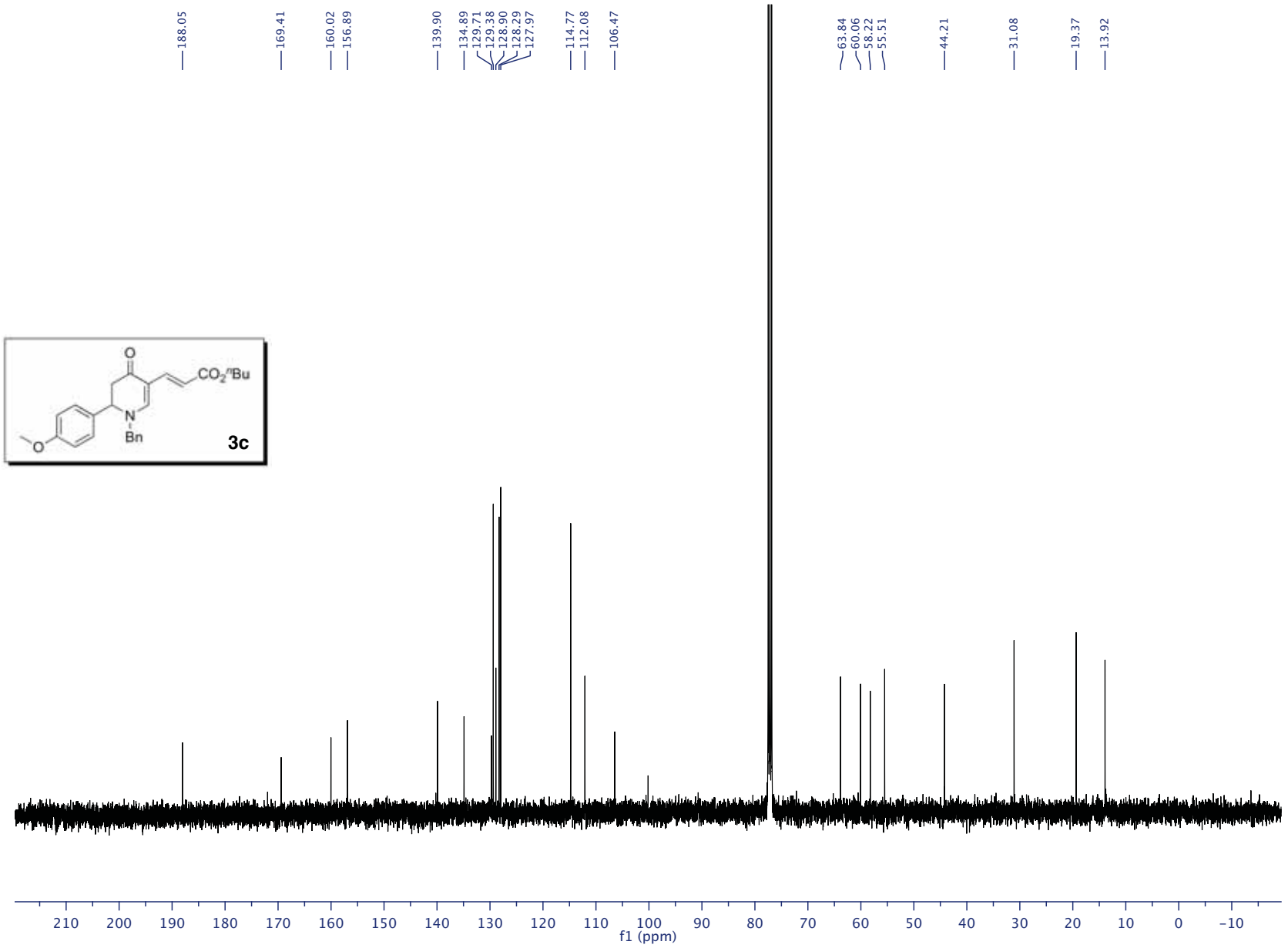

7.52
7.39
7.38
7.38
7.37
7.36
7.36
7.26
7.15
7.14
7.13
7.12
7.12
7.10
7.10
7.09
6.87
6.85
6.62
6.58
4.51
4.50
4.48
4.45
4.41
4.27
4.23
3.81
2.95
2.93
2.91
2.89
2.73
2.72
2.69
2.68
1.48
O
CO2tBu
N
Bn
O
3a
1.02
3.03
5.05
2.05
0.94
1.02
1.04
1.00
3.16
1.03
1.03
9.07
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
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)

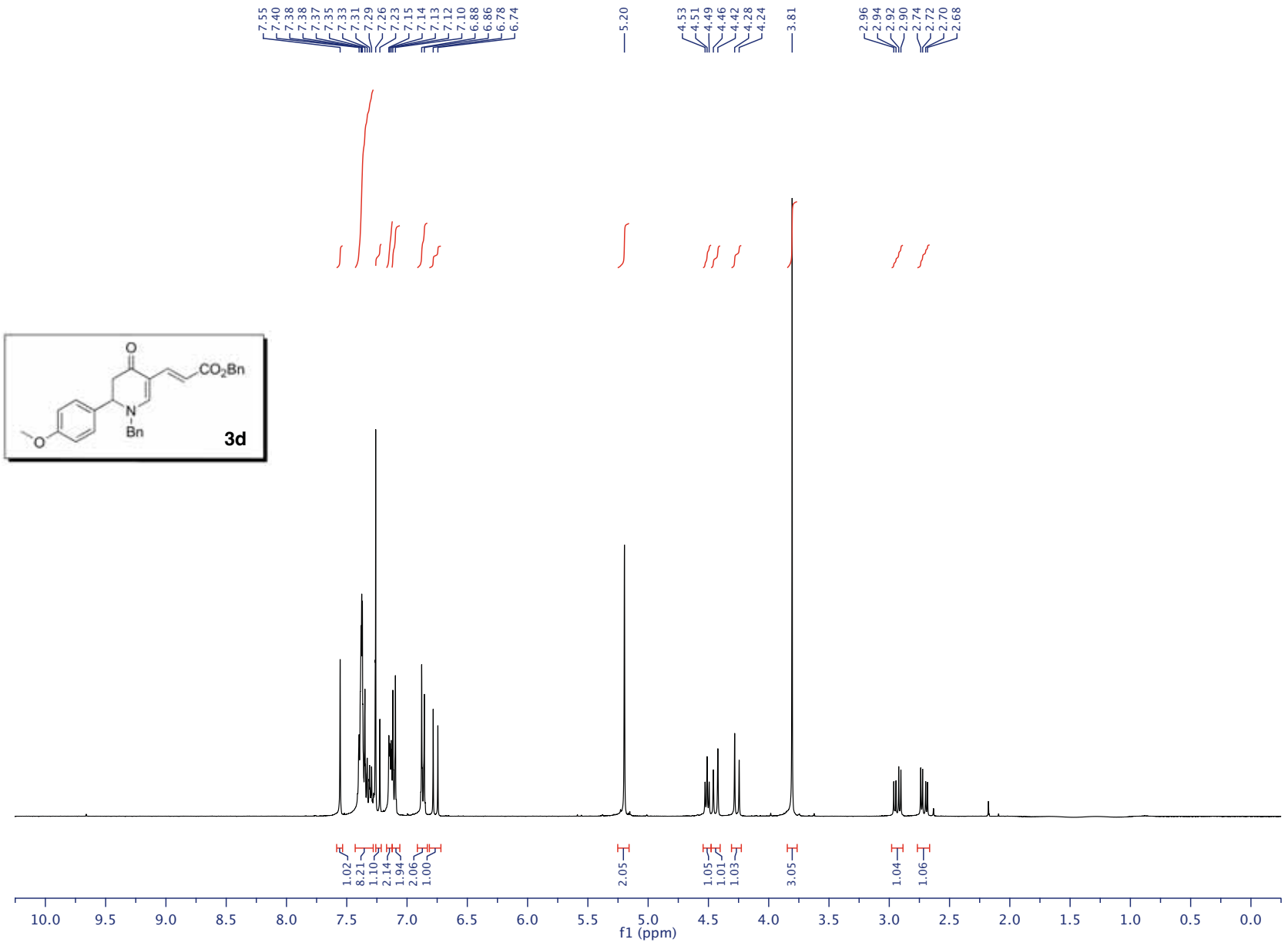

188.05
168.68
159.99
156.62
138.83
134.96
129.79
129.36
128.85
128.30
127.96
114.74
114.07
106.52
79.41
60.06
58.16
55.51
44.21
28.46
O
CO2tBu
N
Bn
O
3a
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

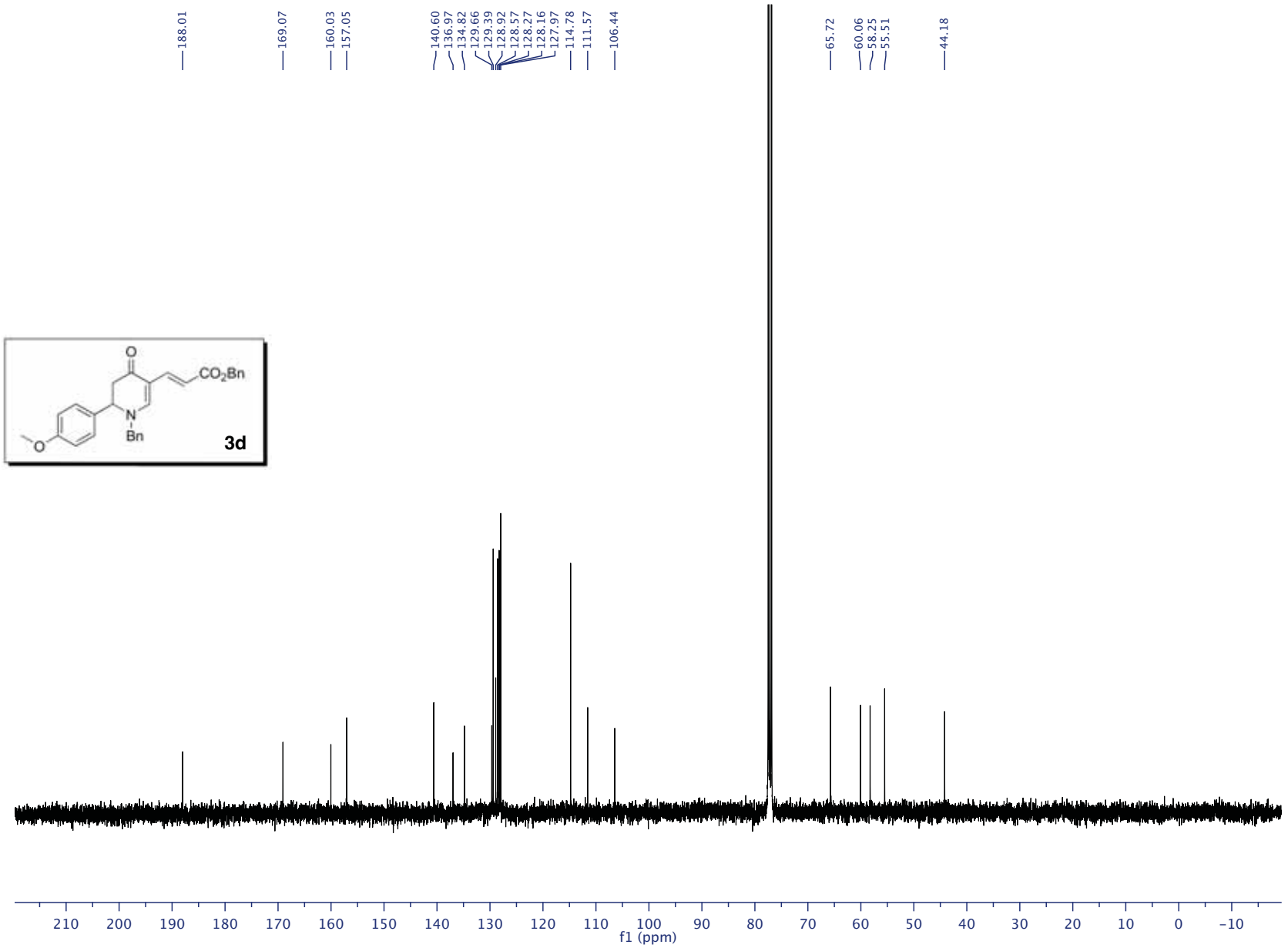

7.56
7.41
7.40
7.39
7.39
7.38
7.37
7.23
7.19
7.15
7.15
7.14
7.13
7.12
7.10
6.88
6.86
6.72
6.68
4.53
4.52
4.50
4.46
4.43
4.28
4.25
3.81
3.73
2.96
2.95
2.92
2.90
2.75
2.73
2.70
2.69
O
CO2Me
N
Bn
O
3b
1.00
3.05
1.08
2.03
1.89
2.02
0.91
0.98
0.98
0.97
3.13
3.04
1.11
0.97
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
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)

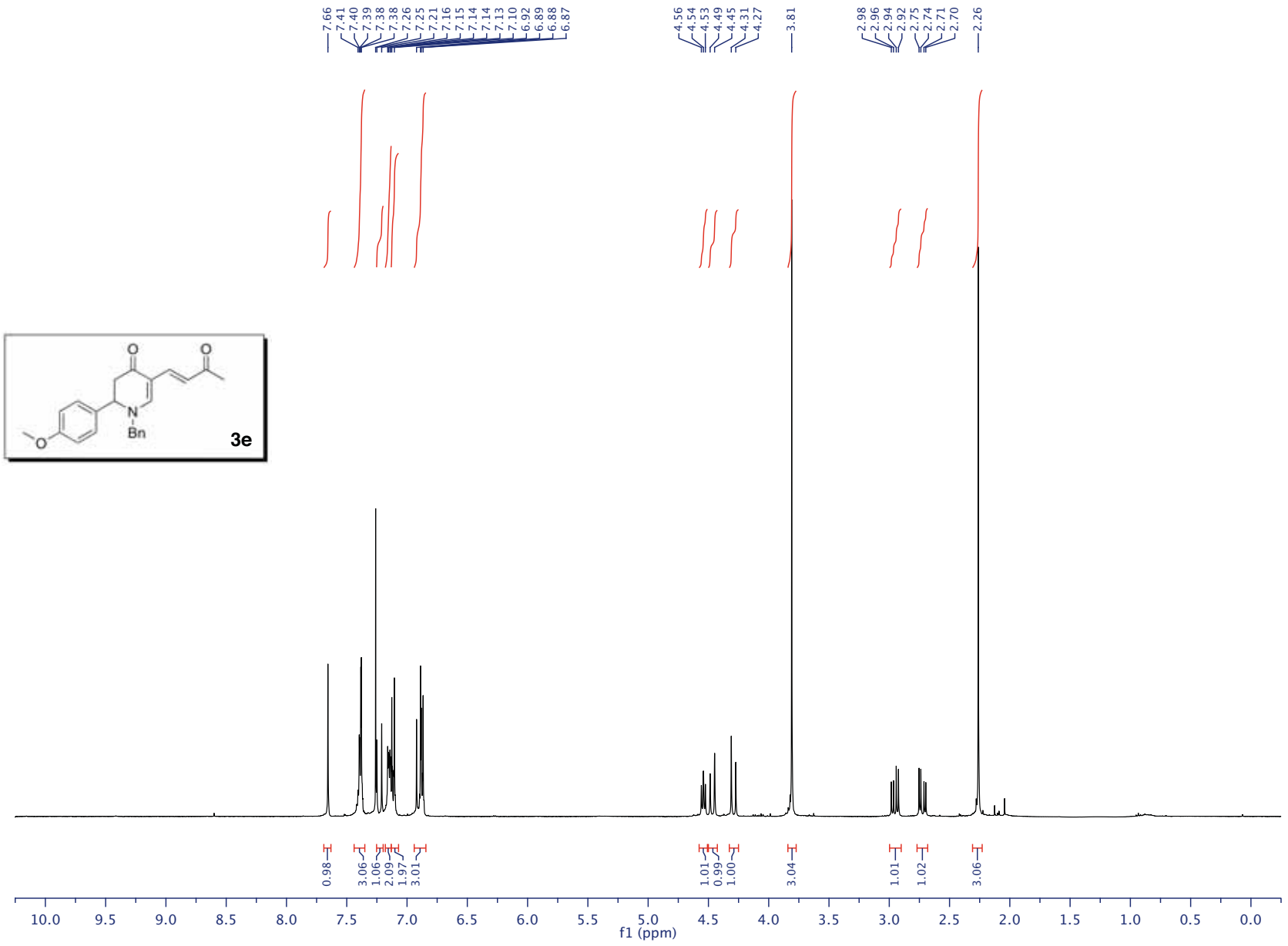

193.90
188.04
169.74
160.05
156.96
140.25
134.84
129.67
129.39
128.92
128.29
127.98
114.78
111.54
106.42
60.09
58.23
55.51
51.30
44.20
O
CO2Me
N
Bn
O
3b
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

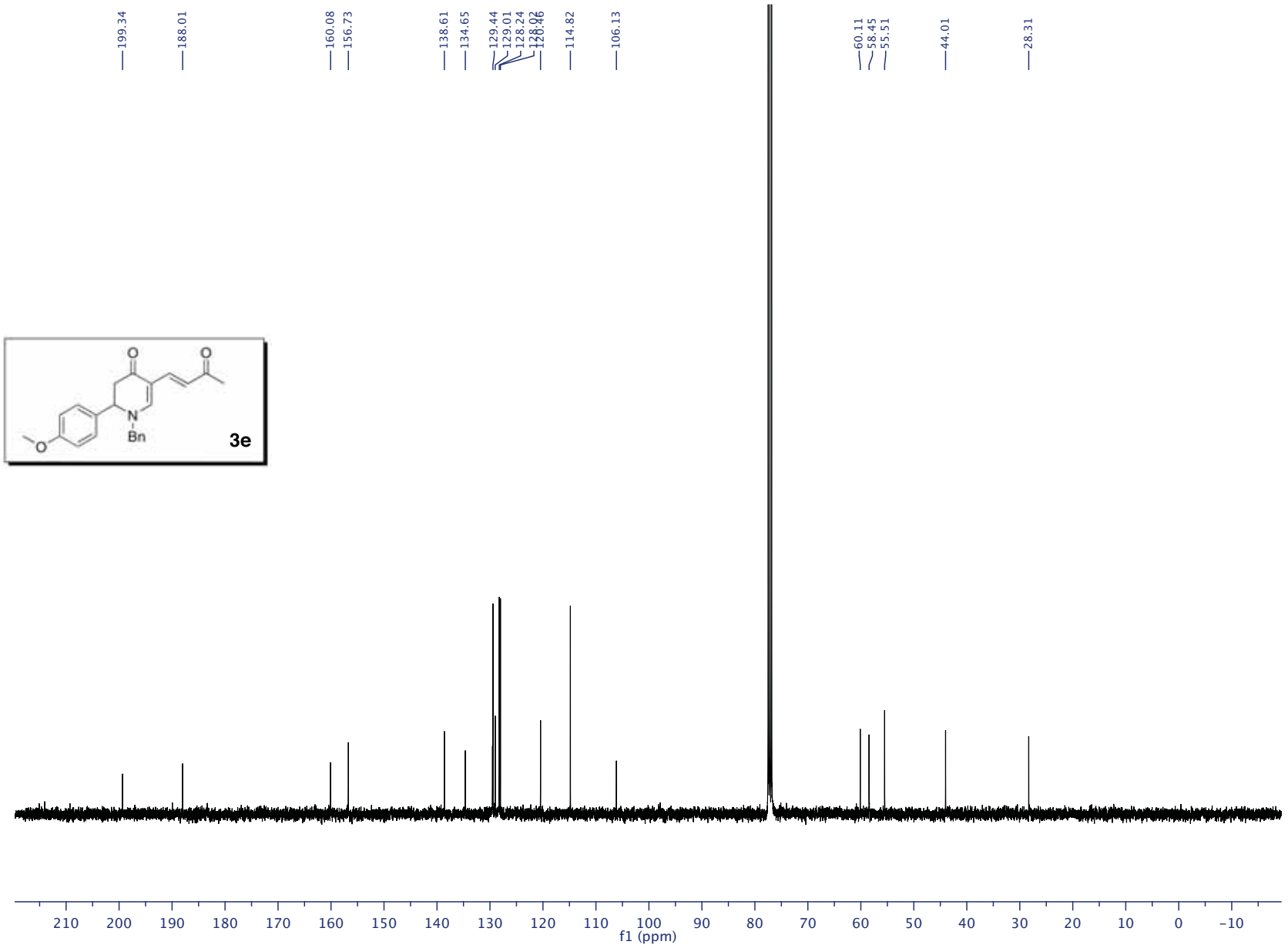

7.56
7.41
7.40
7.39
7.38
7.38
7.37
7.36
7.36
7.22
7.18
7.15
7.15
7.14
7.13
7.12
7.10
6.88
6.86
6.71
6.67
4.53
4.51
4.49
4.46
4.43
4.28
4.24
4.15
4.14
4.12
3.81
2.96
2.94
2.92
2.90
2.74
2.73
2.70
2.69
1.67
1.66
1.64
1.62
1.60
1.45
1.44
1.42
1.40
1.38
1.36
0.95
0.93
0.92
O
CO2nBu
N
Bn
O
3c
0.98
3.01
1.09
2.01
1.89
2.00
1.00
1.00
1.01
1.00
2.00
3.05
1.10
0.97
2.00
2.23
2.99
10.0
9.5
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)

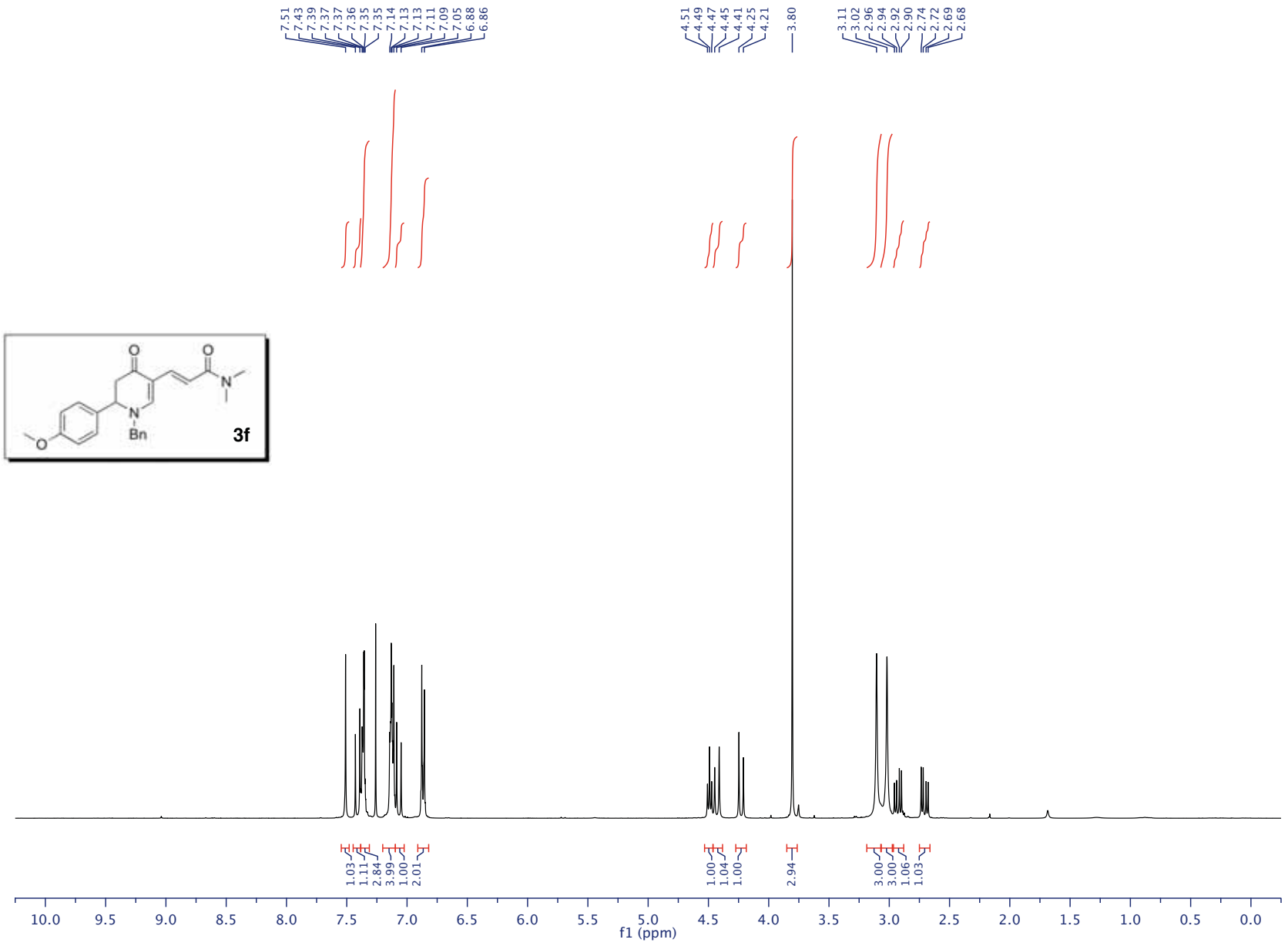

188.05
169.41
160.02
156.89
139.90
134.89
129.71
129.38
128.90
128.29
127.97
114.77
112.08
106.47
63.84
60.06
58.22
55.51
44.21
31.08
19.37
13.92
O
CO2nBu
N
Bn
O
3c
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

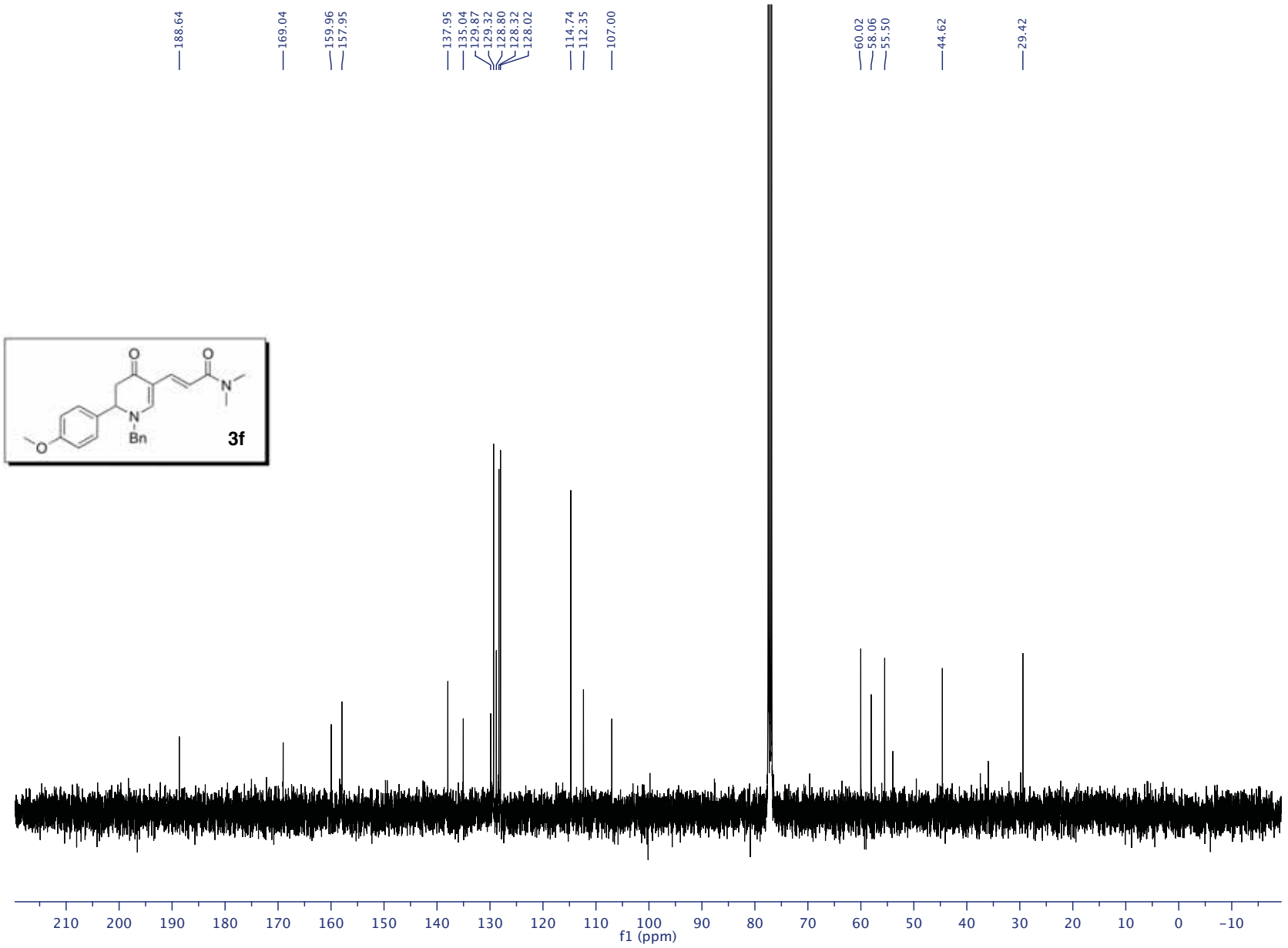

7.55
7.40
7.38
7.38
7.37
7.35
7.33
7.31
7.29
7.26
7.23
7.15
7.14
7.13
7.12
7.10
6.88
6.86
6.78
6.74
5.20
4.53
4.51
4.49
4.46
4.42
4.28
4.24
3.81
2.96
2.94
2.92
2.90
2.74
2.72
2.70
2.68
O
CO2Bn
N
Bn
O
3d
1.02
8.21
1.10
2.14
1.94
2.06
1.00
2.05
1.05
1.01
1.03
3.05
1.04
1.06
10.0
9.5
9.0
8.5
8.0
7.5
7.0
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)

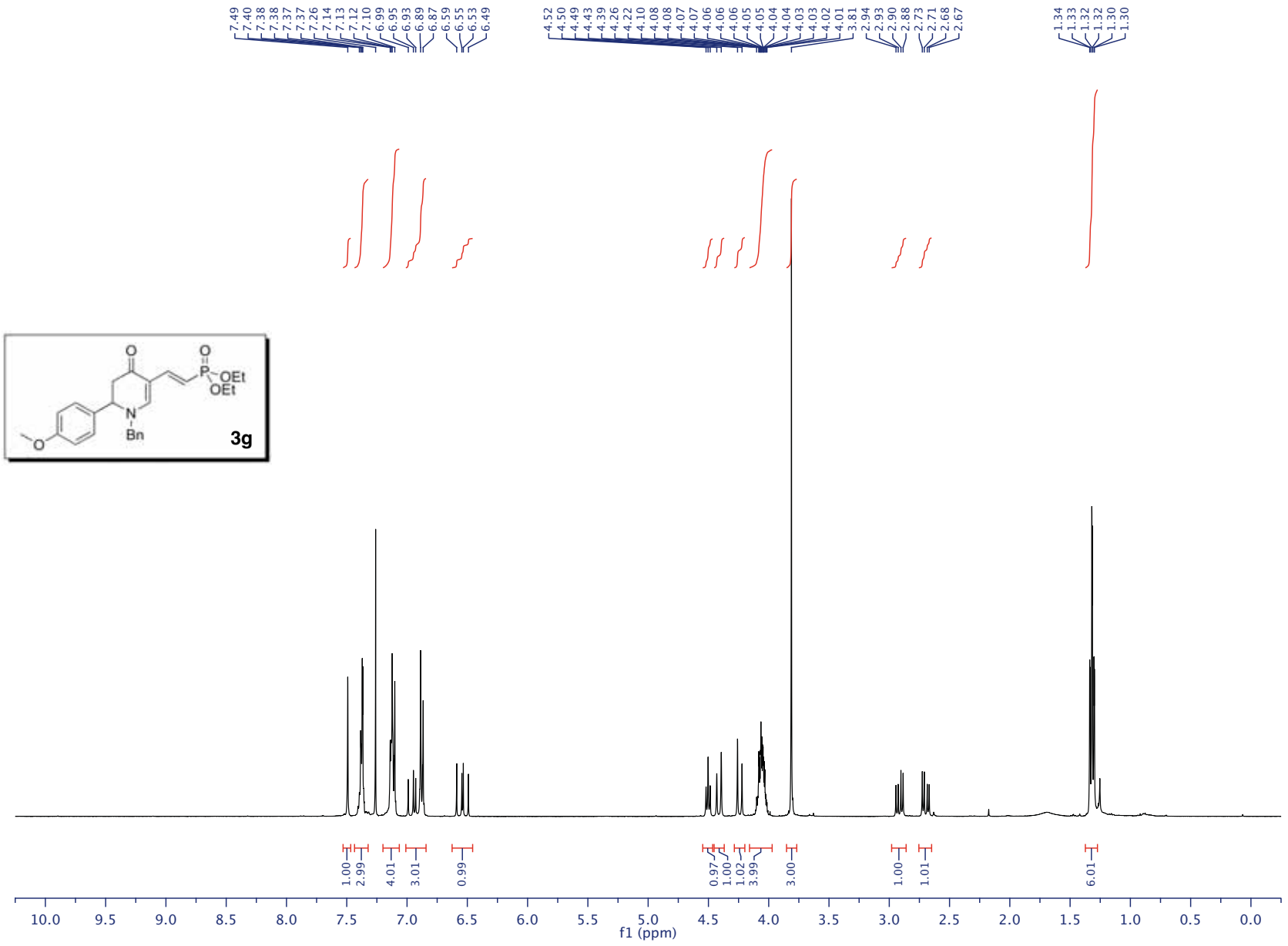

188.01
169.07
160.03
157.05
140.60
136.97
134.82
129.66
129.39
128.92
128.57
128.27
128.16
127.97
114.78
111.57
106.44
65.72
60.06
58.25
55.51
44.18
O
CO2Bn
N
Bn
O
3d
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

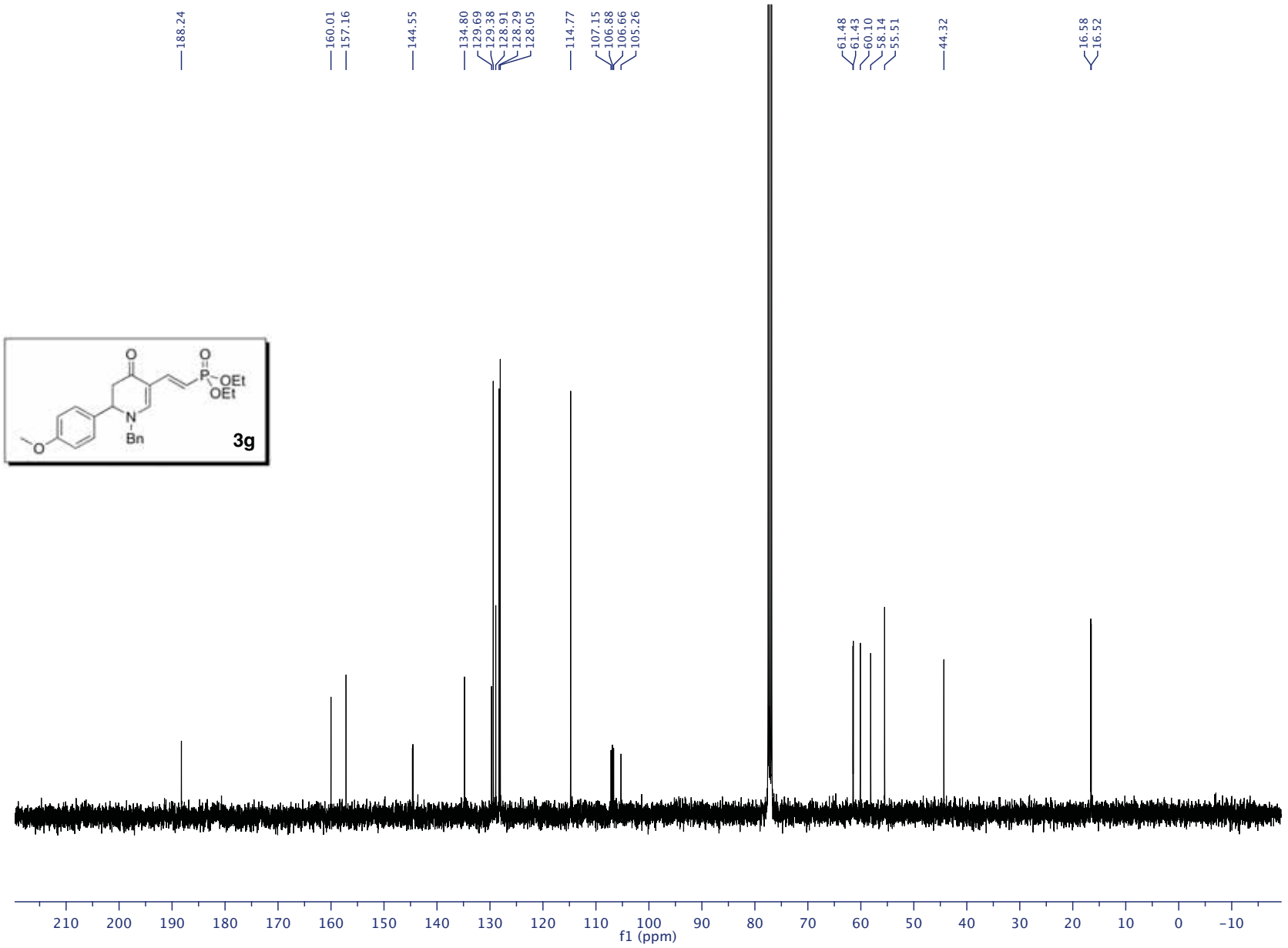

7.66
7.41
7.40
7.39
7.38
7.38
7.26
7.25
7.21
7.16
7.15
7.14
7.14
7.13
7.10
6.92
6.89
6.88
6.87
4.56
4.54
4.53
4.49
4.45
4.31
4.27
3.81
2.98
2.96
2.94
2.92
2.75
2.74
2.71
2.70
2.26
O
O
N
Bn
O
3e
0.98
3.06
1.06
2.09
1.97
3.01
1.01
0.99
1.00
3.04
1.01
1.02
3.06
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

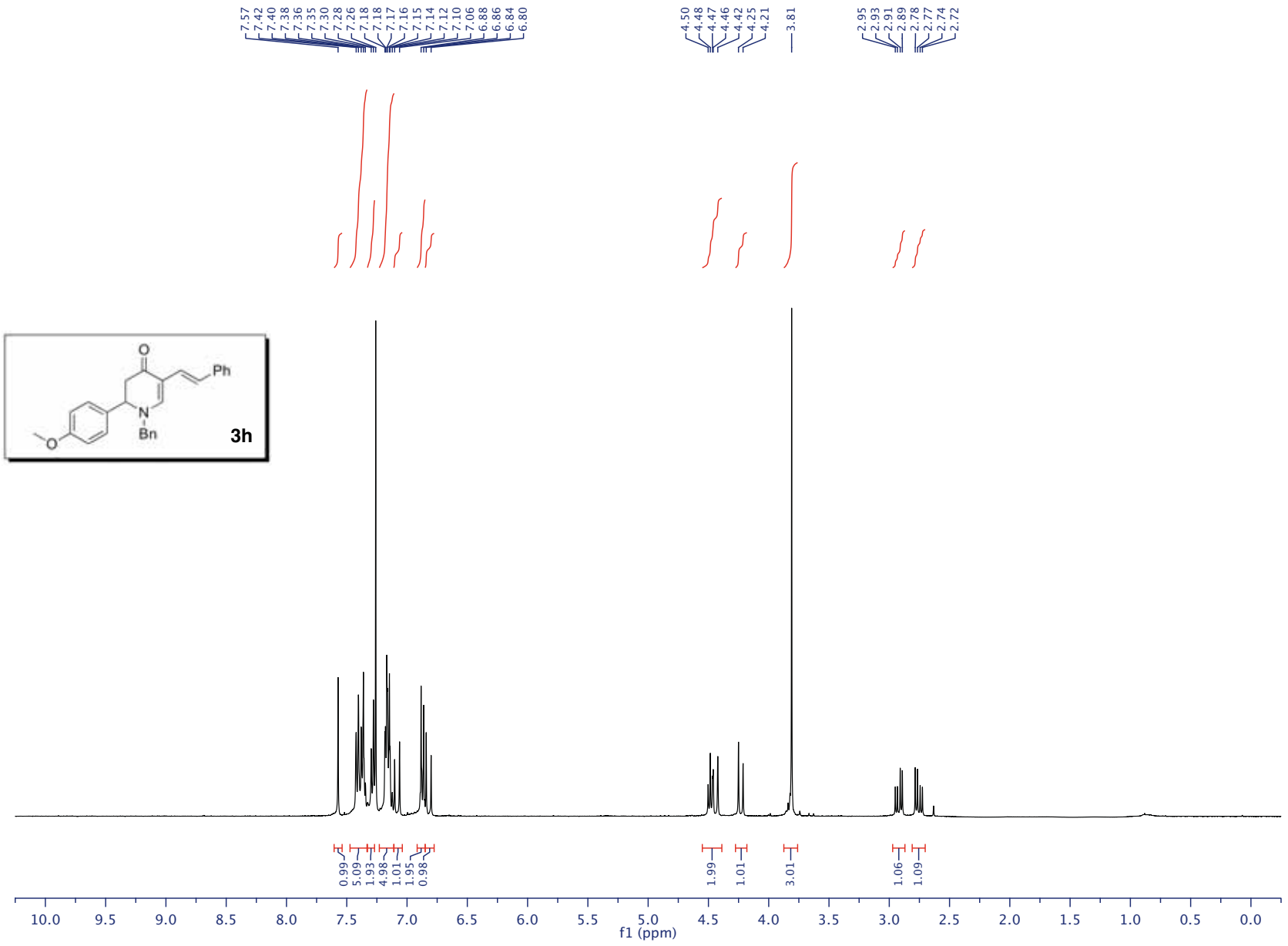

199.34
188.01
160.08
156.73
138.61
134.65
129.44
129.01
128.24
114.82
106.13
60.11
58.45
55.51
44.01
28.31
O
O
N
Bn
O
3e
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

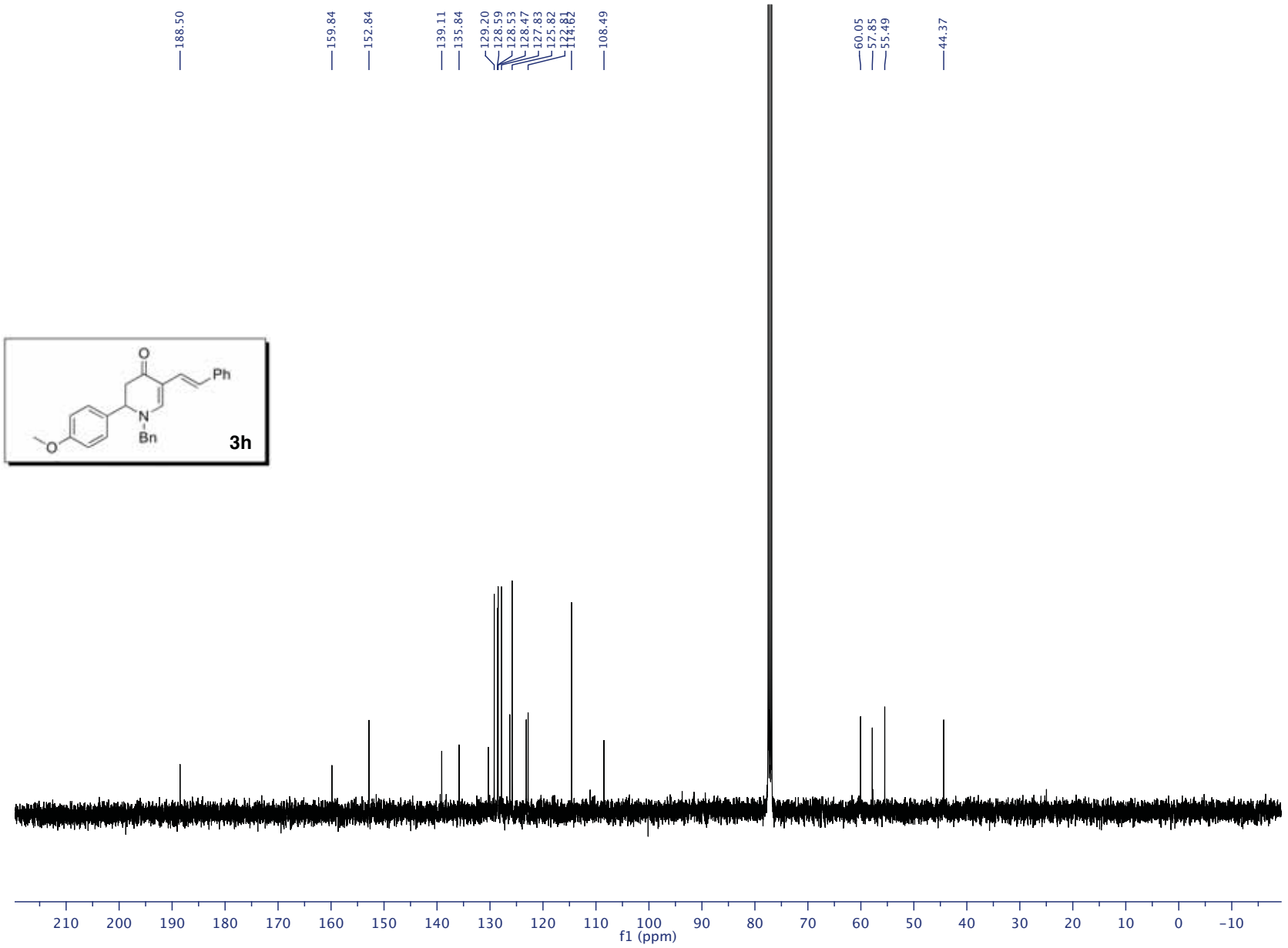

7.51
7.43
7.39
7.37
7.37
7.36
7.35
7.35
7.14
7.13
7.13
7.11
7.09
7.05
6.88
6.86
4.51
4.49
4.47
4.45
4.41
4.25
4.21
3.80
3.11
3.02
2.96
2.94
2.92
2.90
2.74
2.72
2.69
2.68
O
O
N
N
Bn
O
3f
1.03
1.11
2.84
3.99
1.00
2.01
1.00
1.04
1.00
2.94
3.00
3.00
1.06
1.03
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

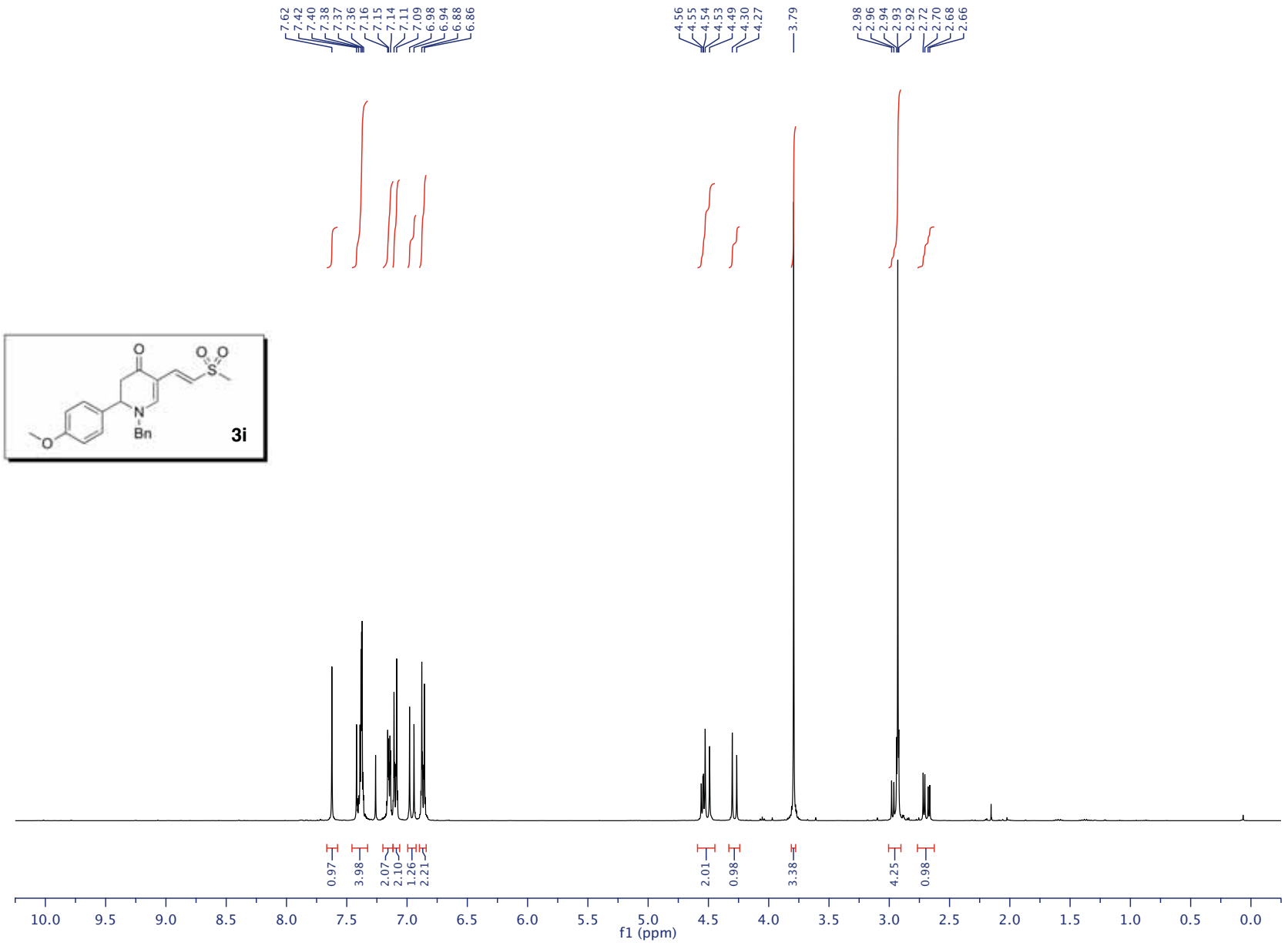

188.64
169.04
159.96
157.95
137.95
135.04
129.87
129.32
128.80
128.32
128.02
114.74
112.35
107.00
60.02
58.06
55.50
44.62
29.42
O
O
N
N
Bn
O
3f
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

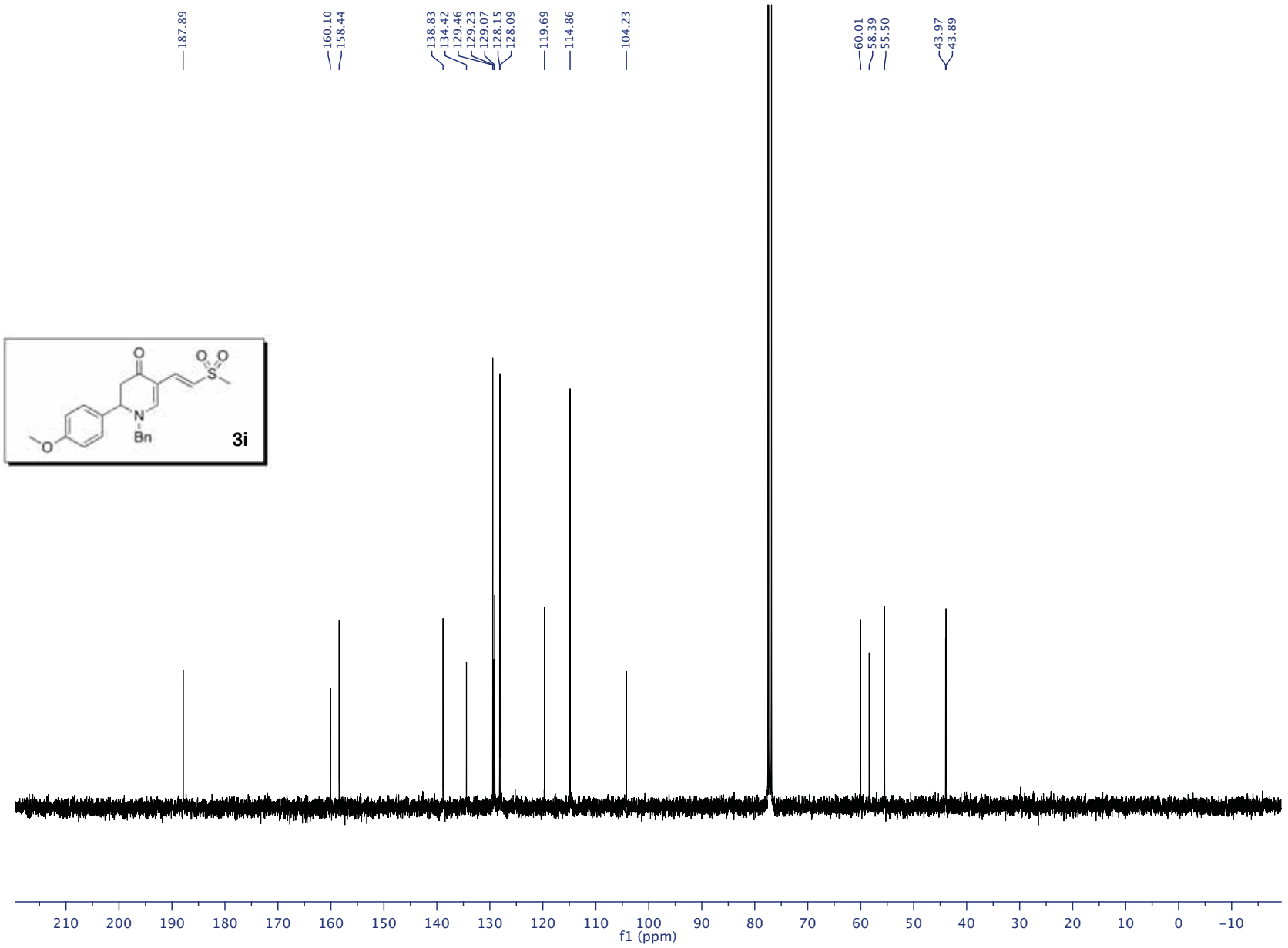

7.49
7.40
7.38
7.38
7.37
7.37
7.26
7.14
7.13
7.12
7.10
6.99
6.95
6.93
6.89
6.87
6.59
6.55
6.53
6.49
4.52
4.50
4.49
4.43
4.39
4.26
4.22
4.10
4.08
4.08
4.07
4.07
4.06
4.06
4.06
4.05
4.05
4.04
4.04
4.03
4.03
4.02
4.01
3.81
2.94
2.93
2.90
2.88
2.73
2.71
2.68
2.67
1.34
1.33
1.32
1.32
1.30
1.30
O
O
P
OEt
OEt
N
Bn
O
3g
1.00
2.99
4.01
3.01
0.99
0.97
1.00
1.02
3.99
3.00
1.00
1.01
6.01
10.0
9.5
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)

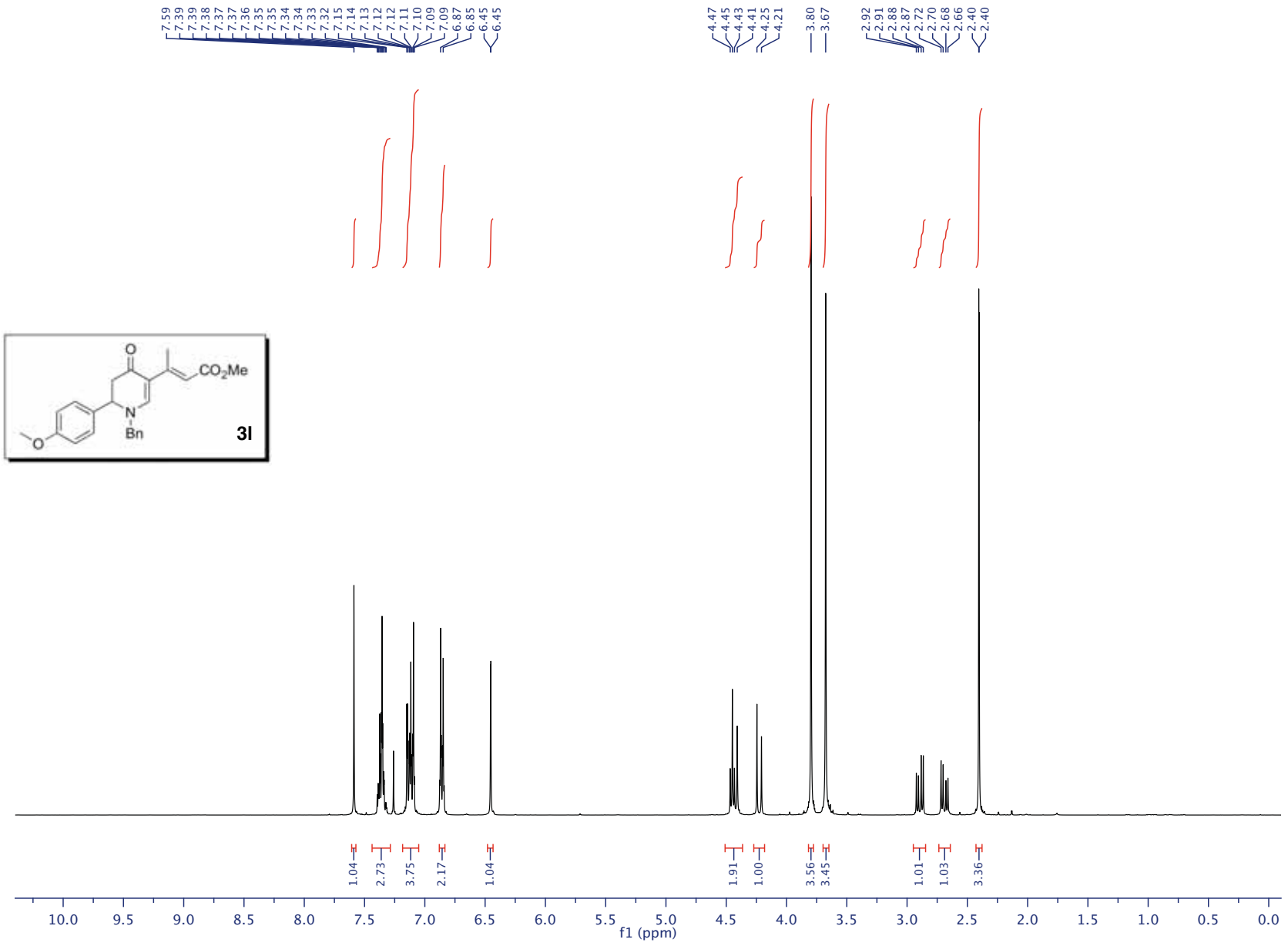

188.24
160.01
157.16
144.55
134.80
129.69
129.38
128.91
128.29
128.05
114.77
107.15
106.88
106.66
105.26
61.48
61.43
60.10
58.14
55.51
44.32
16.58
16.52
O
O
P
OEt
OEt
N
Bn
O
3g
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

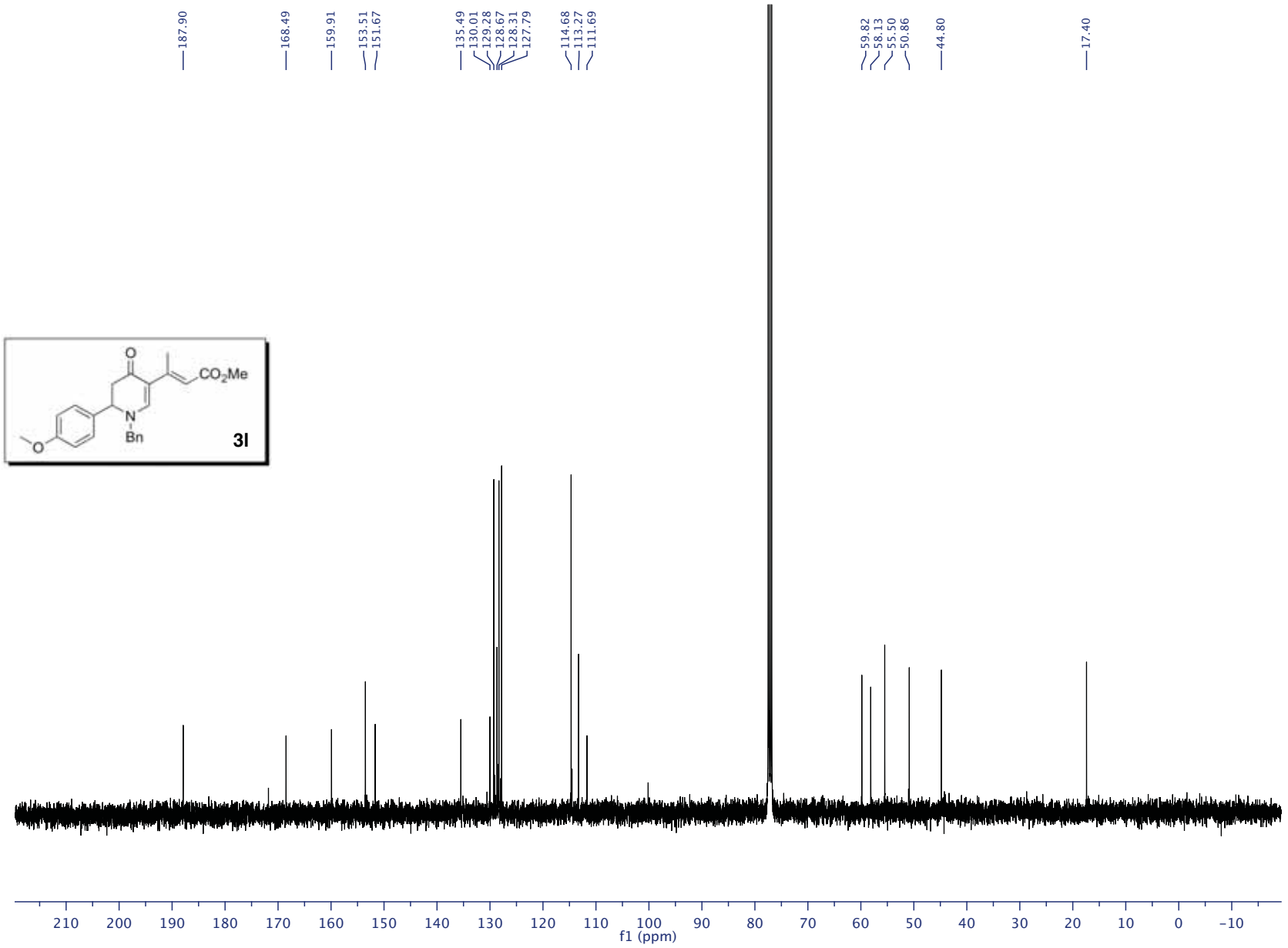

7.57
7.42
7.40
7.38
7.36
7.35
7.30
7.28
7.26
7.18
7.17
7.16
7.15
7.14
7.12
7.10
7.06
6.88
6.86
6.84
6.80
4.50
4.48
4.47
4.46
4.42
4.25
4.21
3.81
2.95
2.93
2.91
2.89
2.78
2.77
2.74
2.72
O
Ph
N
Bn
O
3h
0.99
5.09
1.93
4.98
1.01
1.95
0.98
1.99
1.01
3.01
1.06
1.09
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

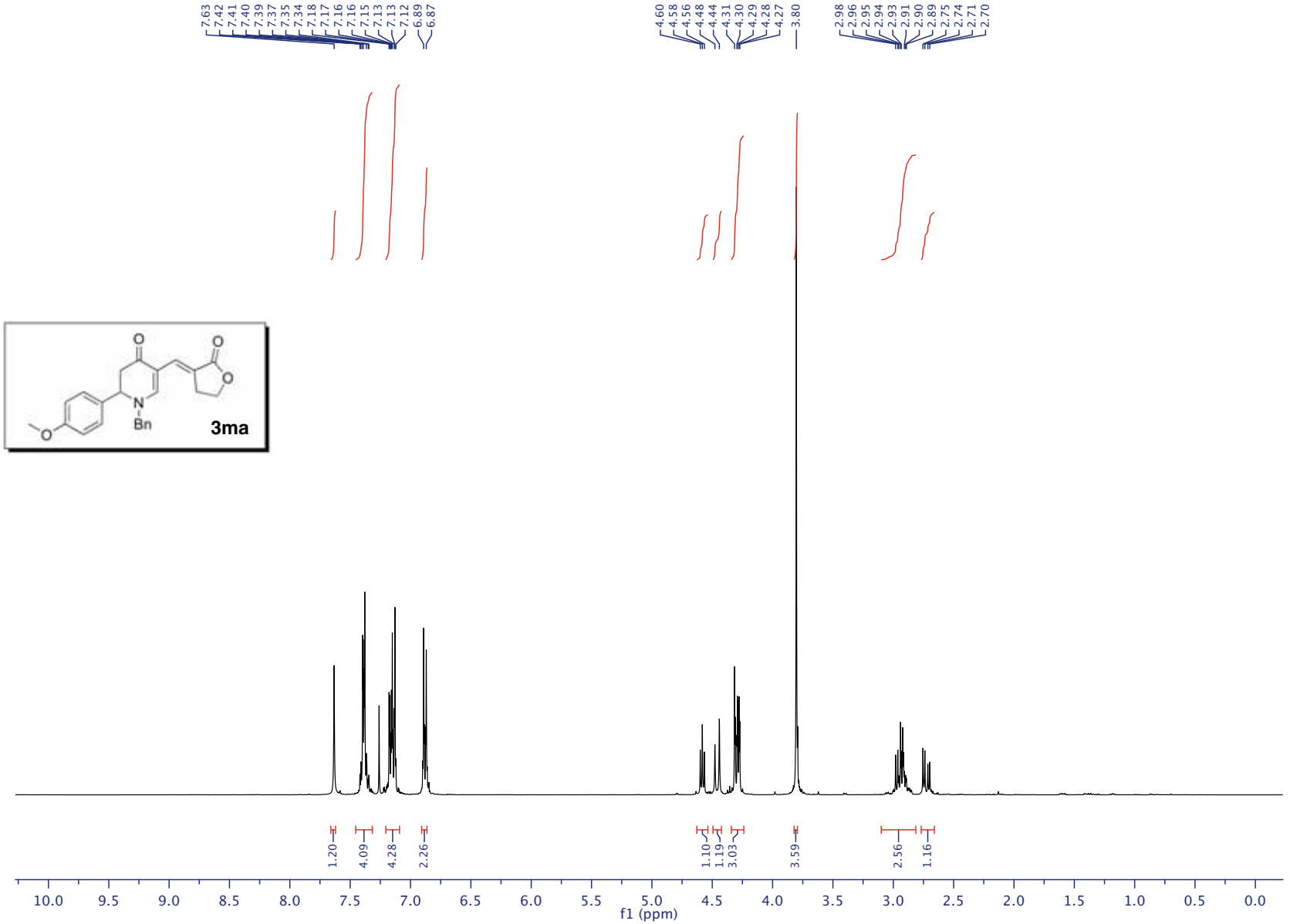

188.50
159.84
152.84
139.11
135.84
129.20
128.59
128.53
128.47
127.83
125.82
122.81
114.62
108.49
60.05
57.85
55.49
44.37
O
Ph
N
Bn
O
3h
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

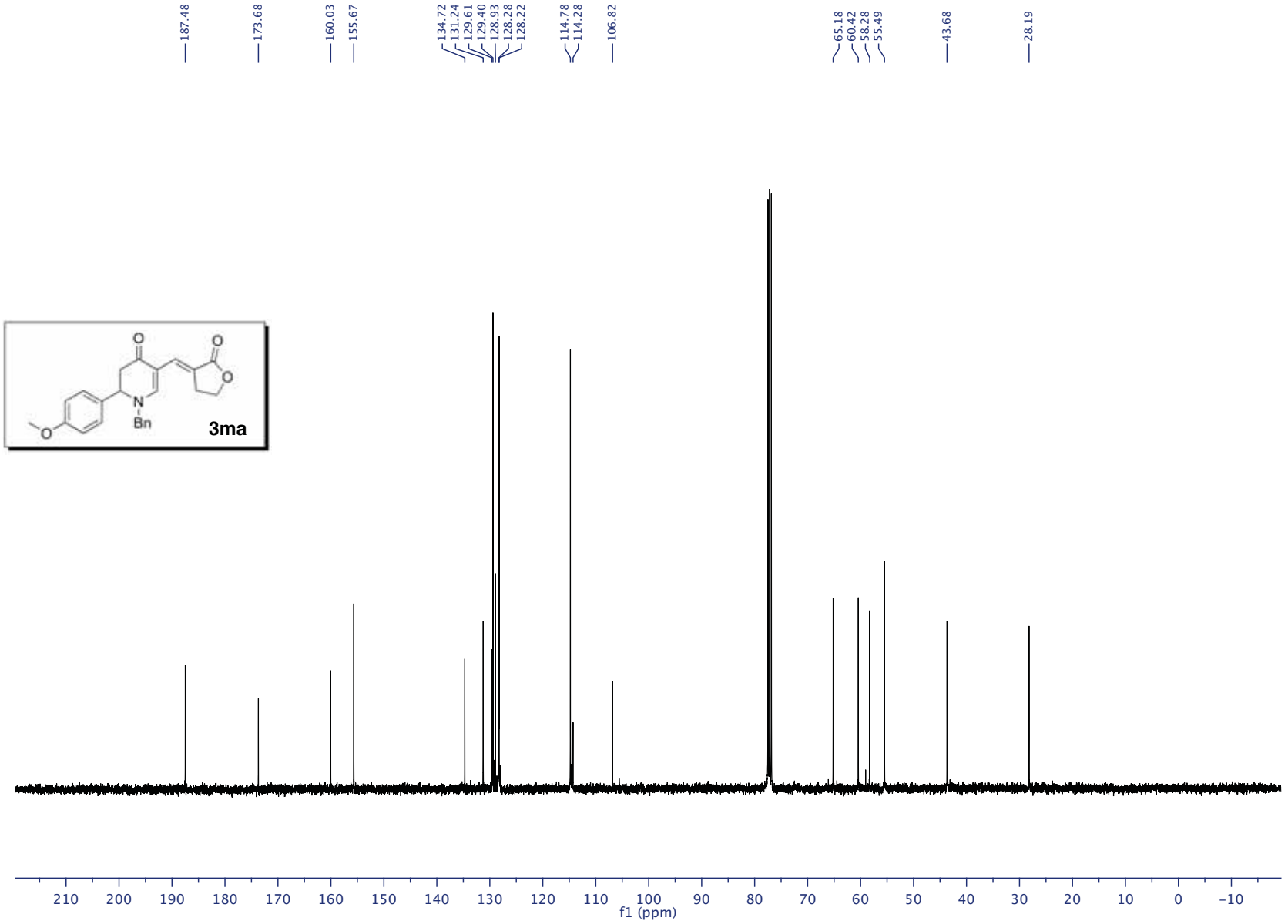

7.62
7.42
7.40
7.38
7.37
7.36
7.16
7.15
7.14
7.11
7.09
6.98
6.94
6.88
6.86
4.56
4.55
4.54
4.53
4.49
4.30
4.27
3.79
2.98
2.96
2.94
2.93
2.92
2.72
2.70
2.68
2.66
O
O
O
S
N
Bn
O
3i
0.97
3.98
2.07
2.10
1.26
2.21
2.01
0.98
3.38
4.25
0.98
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

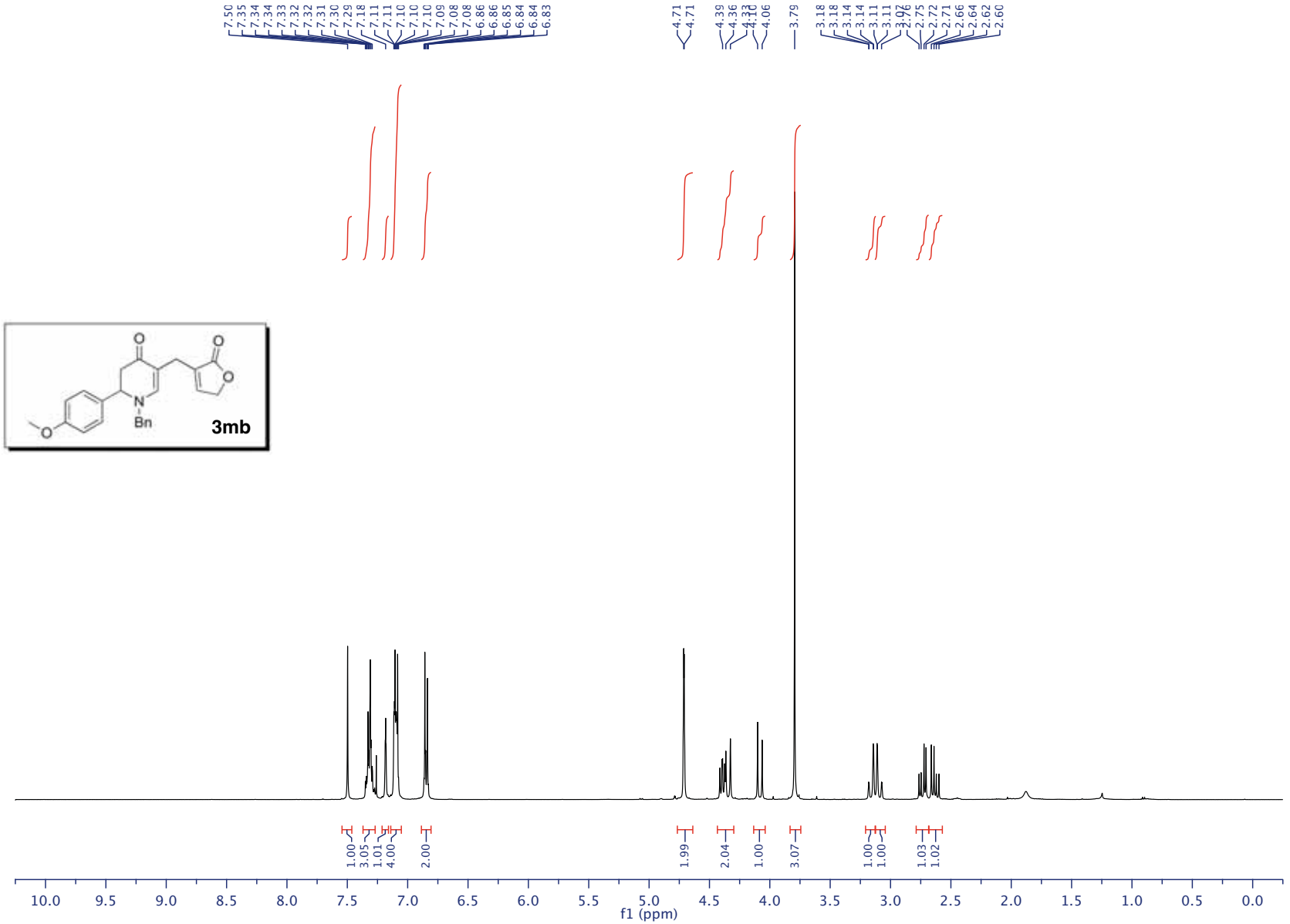

187.89
160.10
158.44
138.83
134.42
129.46
129.23
129.07
128.15
128.09
119.69
114.86
104.23
60.01
58.39
55.50
43.97
43.89
3i
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

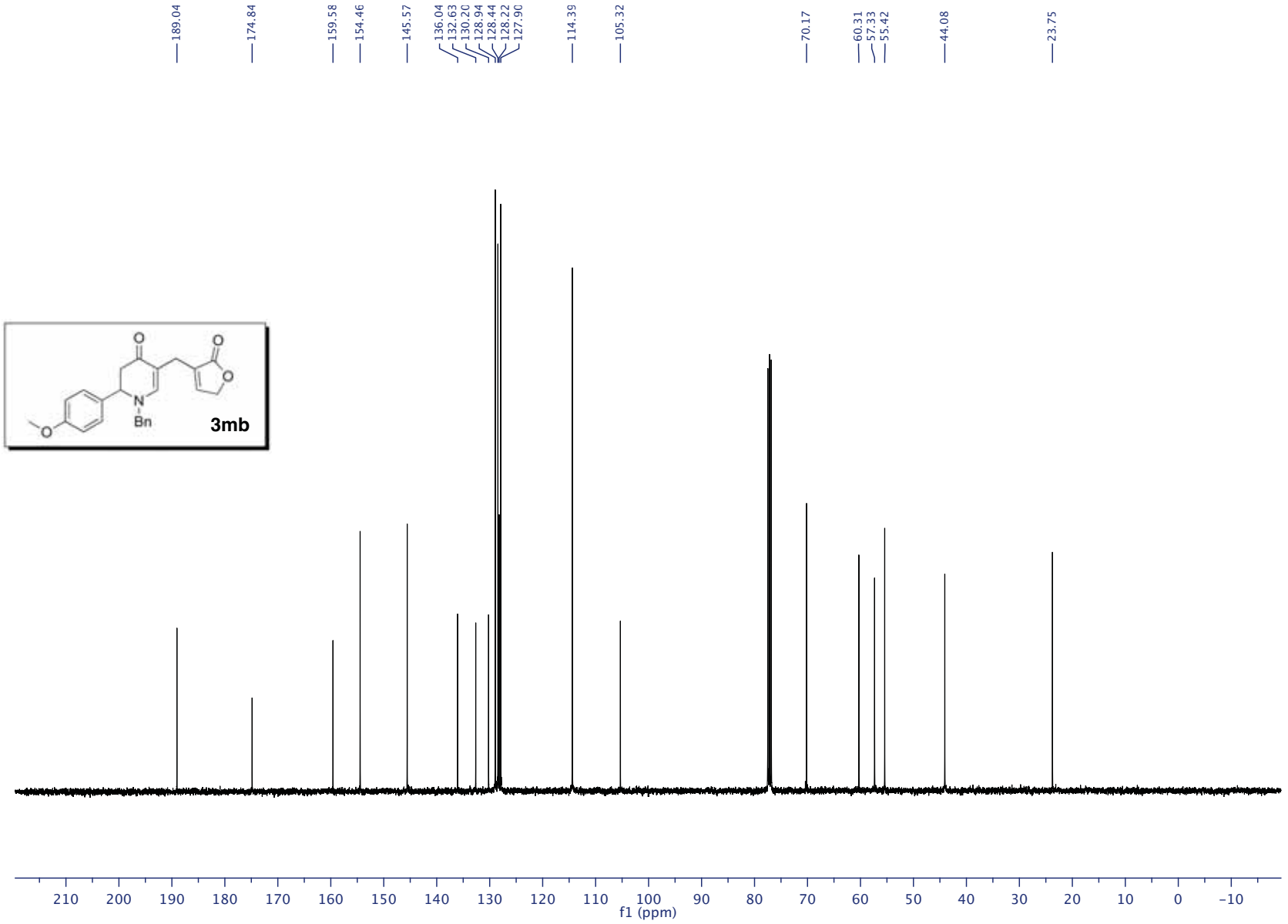

7.59
7.39
7.39
7.38
7.37
7.37
7.36
7.35
7.35
7.34
7.34
7.33
7.32
7.15
7.14
7.13
7.12
7.12
7.11
7.10
7.09
7.09
6.87
6.85
6.45
6.45
4.47
4.45
4.43
4.41
4.25
4.21
3.80
3.67
2.92
2.91
2.88
2.87
2.72
2.70
2.68
2.66
2.40
2.40
O
CO2Me
N
Bn
O
3l
1.04
2.73
3.75
2.17
1.04
1.91
1.00
3.56
3.45
1.01
1.03
3.36
10.0
9.5
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)

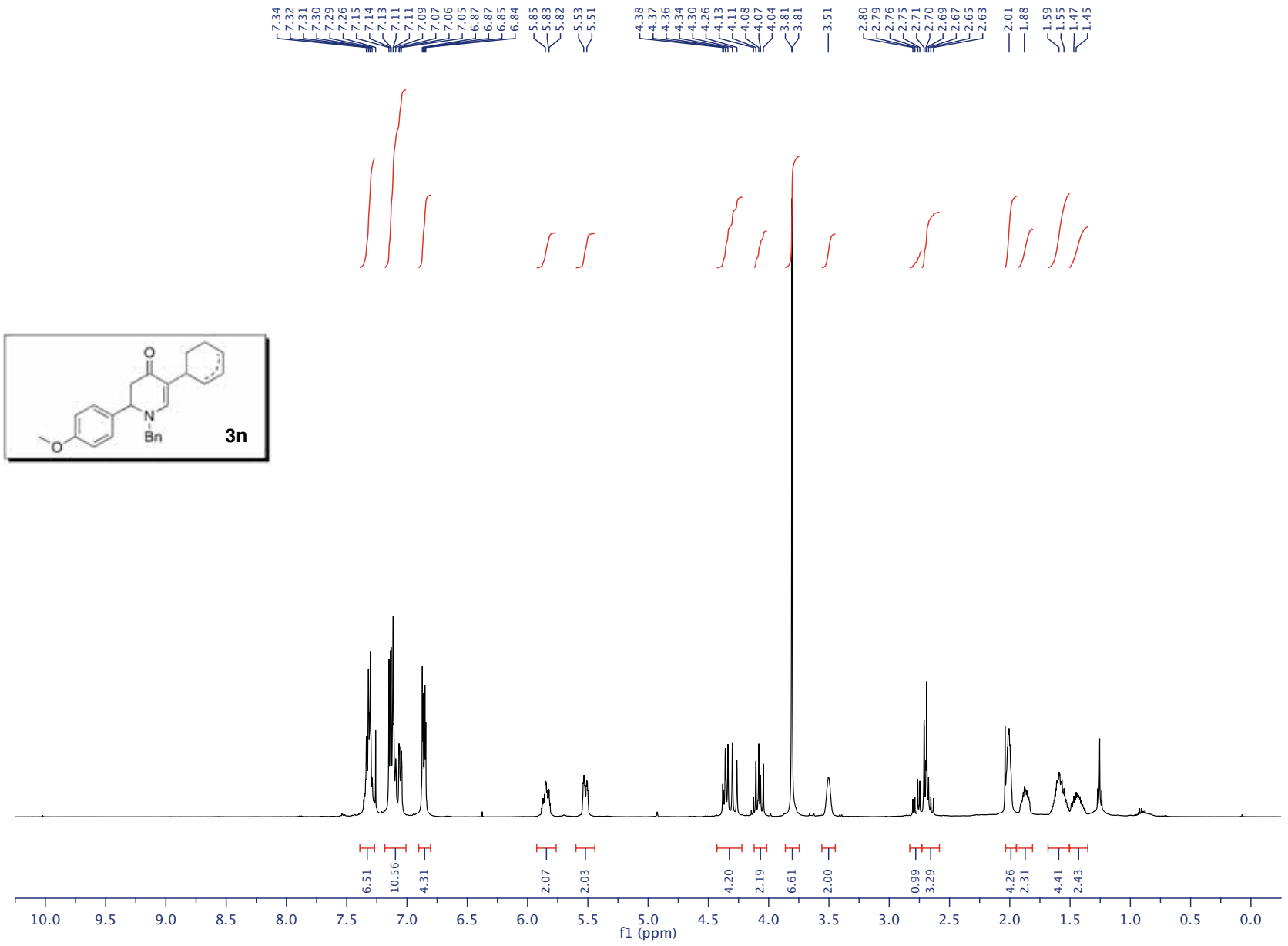

187.90
168.49
159.91
153.51
151.67
135.49
130.01
129.28
128.67
128.31
127.79
114.68
113.27
111.69
59.82
58.13
55.50
50.86
44.80
17.40
O
CO2Me
N
Bn
O
3l
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

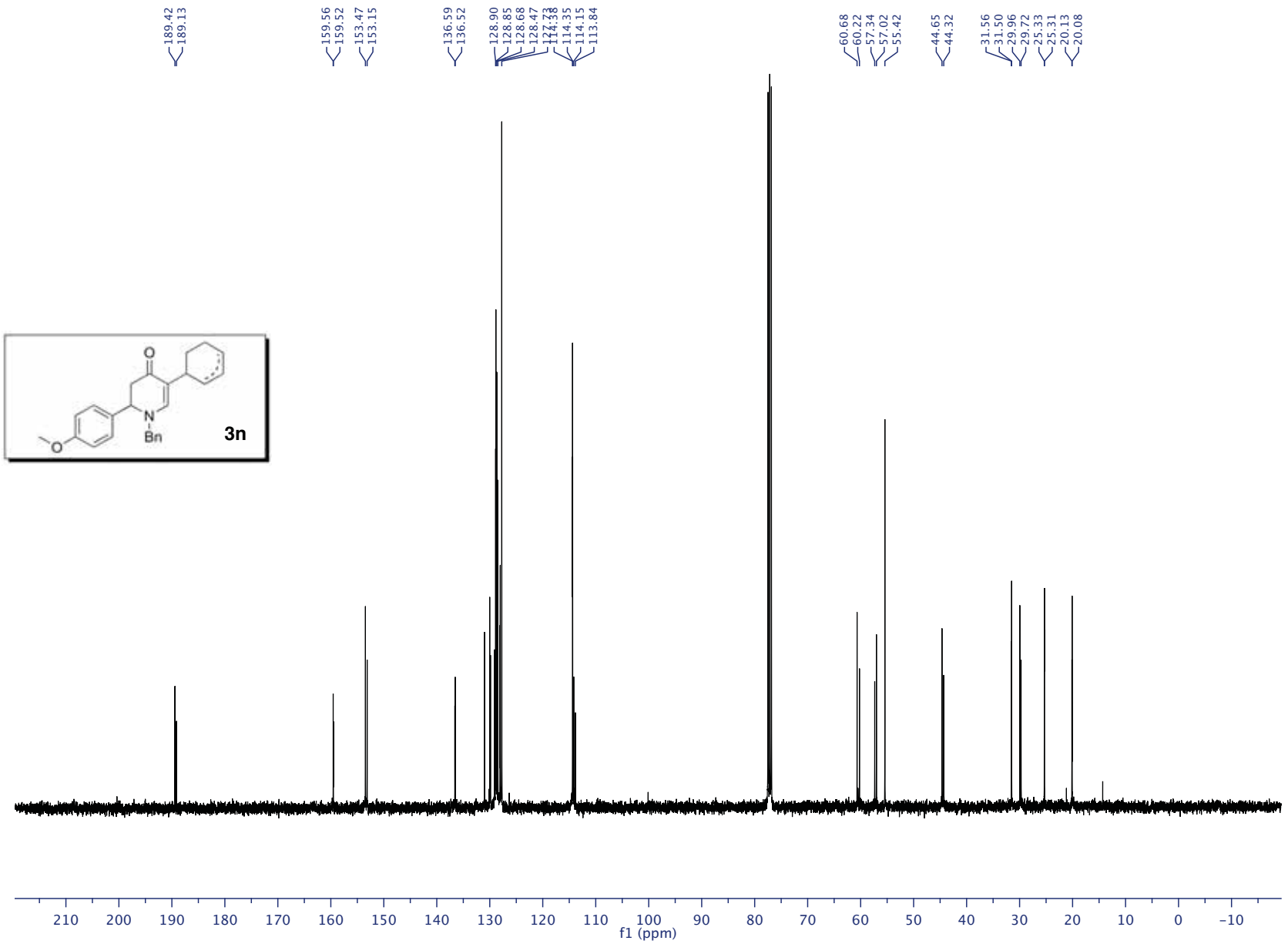

7.63
7.42
7.41
7.40
7.39
7.37
7.35
7.34
7.18
7.17
7.16
7.16
7.15
7.13
7.13
7.12
6.89
6.87
4.60
4.58
4.56
4.48
4.44
4.31
4.30
4.29
4.28
4.27
3.80
2.98
2.96
2.95
2.94
2.93
2.91
2.90
2.89
2.75
2.74
2.71
2.70
O
O
O
N
Bn
O
3ma
1.20
4.09
4.28
2.26
1.10
1.19
3.03
3.59
2.56
1.16
10.0
9.5
9.0
8.5
8.0
7.5
7.0
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)

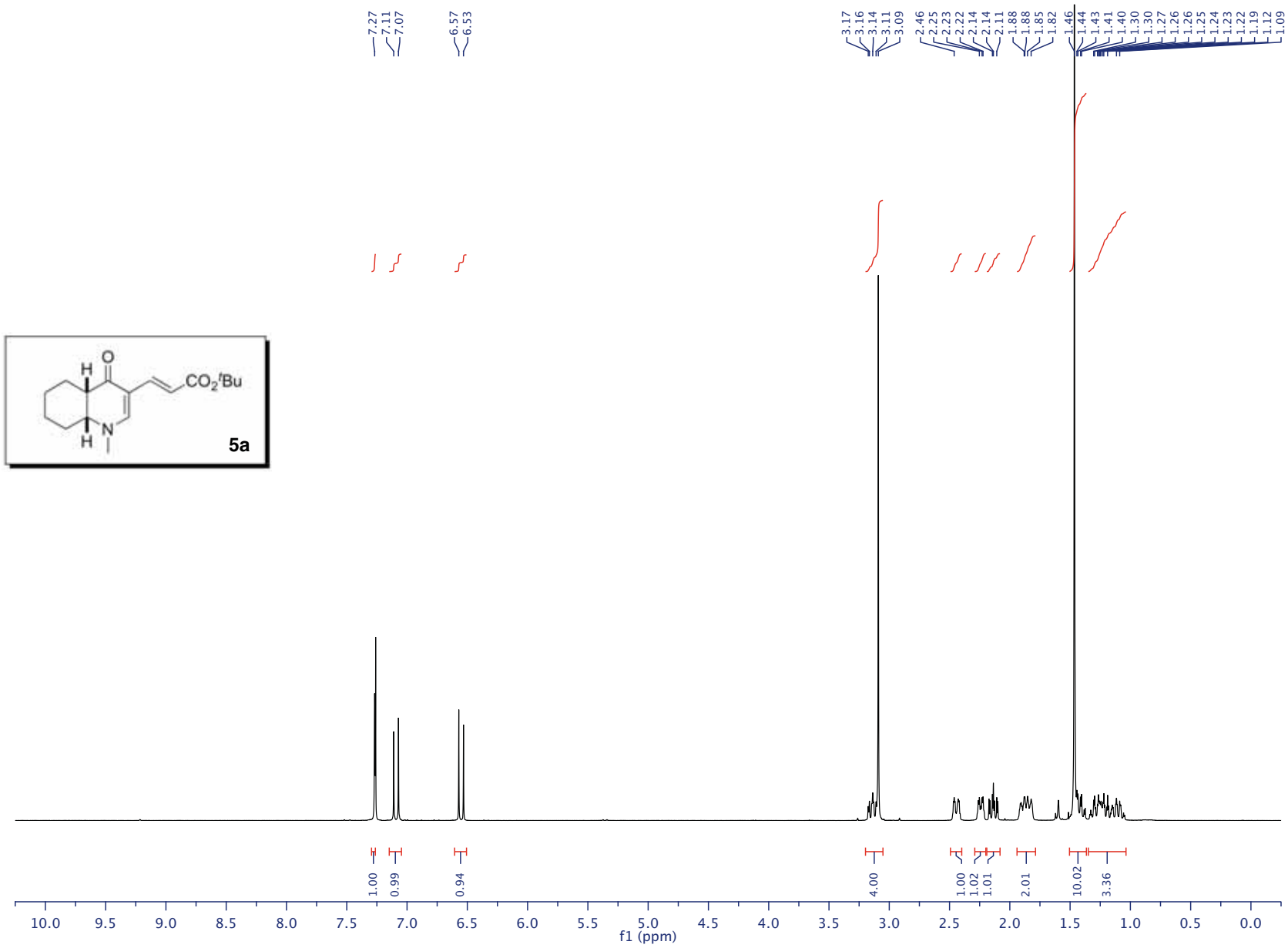

187.48
173.68
160.03
155.67
134.72
131.24
129.61
129.40
128.93
128.28
128.22
114.78
114.28
106.82
65.18
60.42
58.28
55.49
43.68
28.19
3ma
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

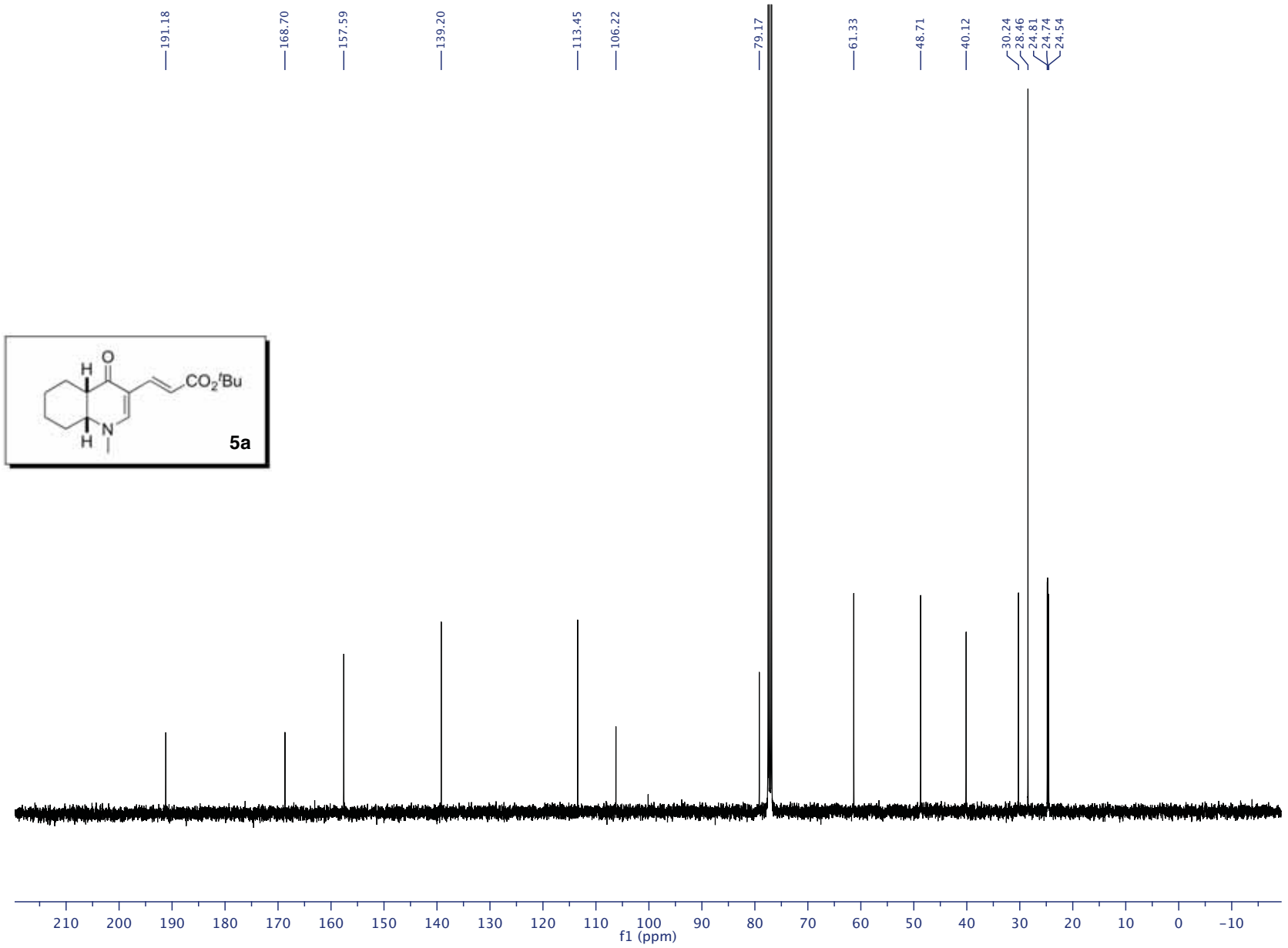

7.50
7.35
7.34
7.34
7.33
7.32
7.32
7.31
7.30
7.29
7.18
7.11
7.11
7.10
7.10
7.10
7.09
7.08
7.08
6.86
6.86
6.85
6.84
6.84
6.83
4.71
4.71
4.39
4.36
4.33
4.10
4.06
3.79
3.18
3.18
3.14
3.14
3.11
3.11
3.07
2.76
2.75
2.72
2.71
2.66
2.64
2.62
2.60
O
O
O
N
Bn
O
3mb
1.00
3.05
1.01
4.00
2.00
1.99
2.04
1.00
3.07
1.00
1.00
1.03
1.02
10.0
9.5
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)

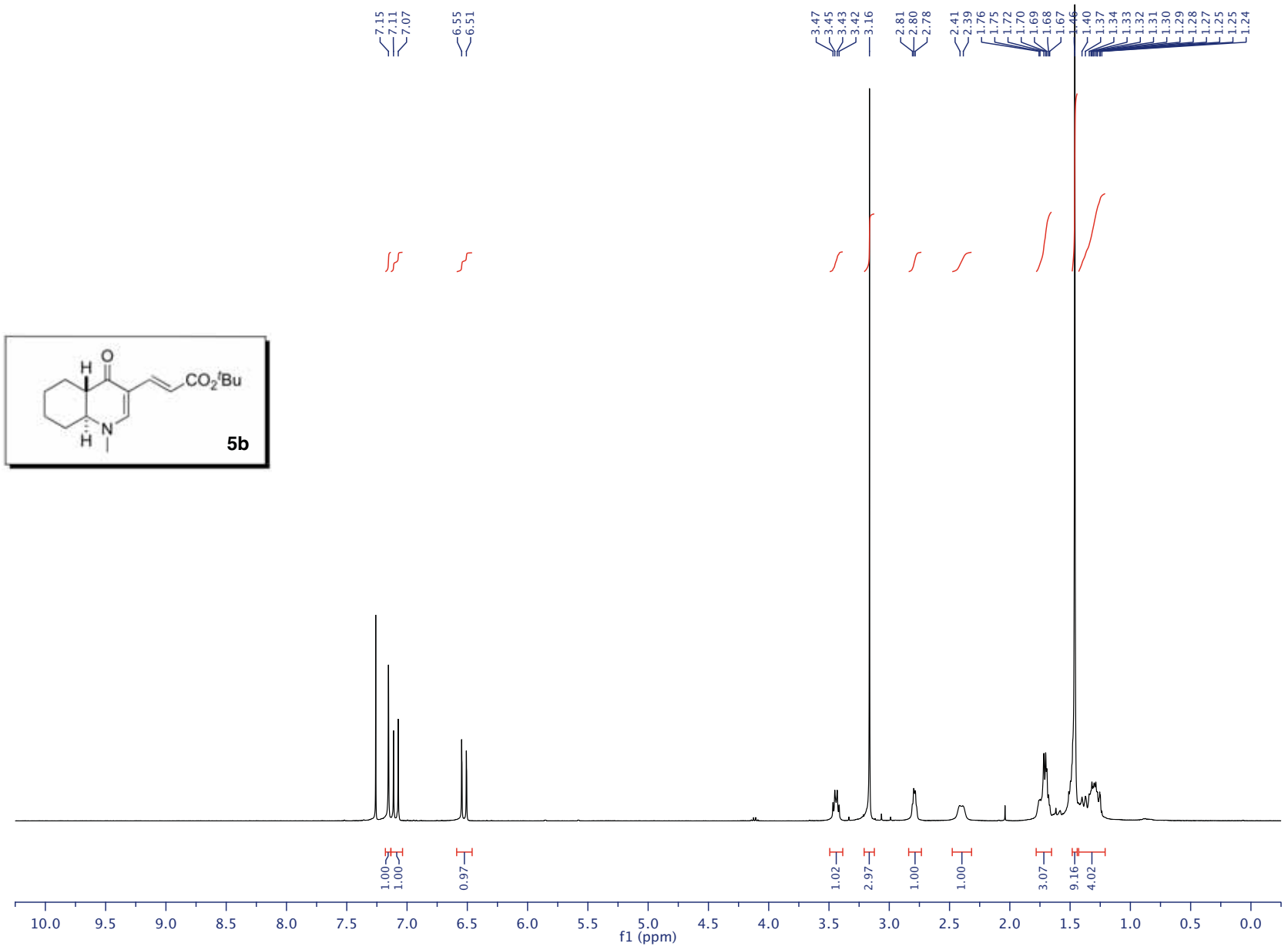

189.04
174.84
159.58
154.46
145.57
136.04
132.63
130.20
128.94
128.44
128.22
127.90
114.39
105.32
70.17
60.31
57.33
55.42
44.08
23.75
3mb
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

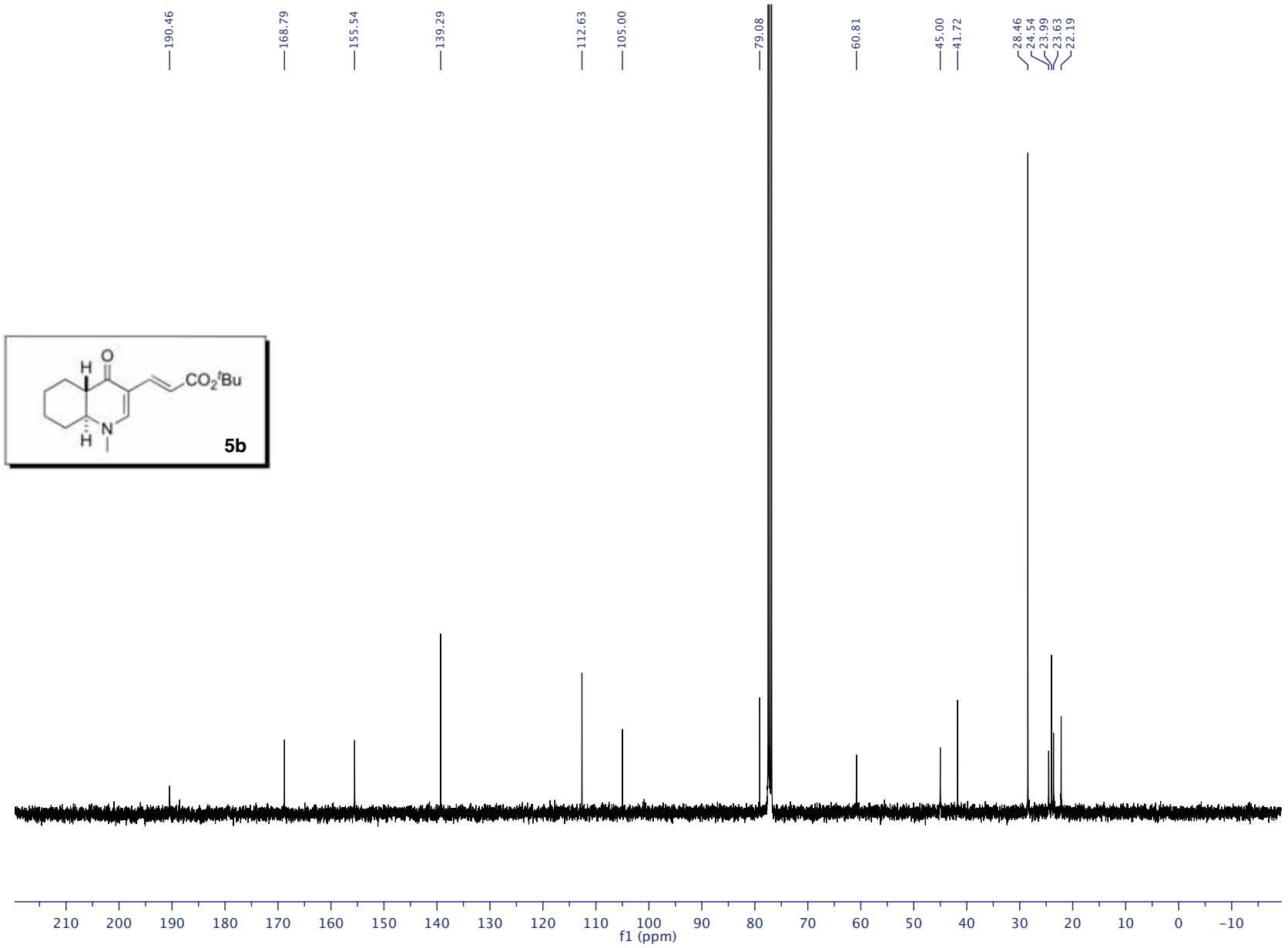

7.34
7.32
7.31
7.30
7.29
7.26
7.15
7.14
7.13
7.11
7.11
7.09
7.07
7.06
7.05
6.87
6.87
6.85
6.84
5.85
5.83
5.82
5.53
5.51
4.38
4.37
4.36
4.34
4.30
4.26
4.13
4.11
4.08
4.07
4.04
3.81
3.81
3.51
2.80
2.79
2.76
2.75
2.71
2.70
2.69
2.67
2.65
2.63
2.01
1.88
1.59
1.55
1.47
1.45
O
N
Bn
O
3n
6.51
10.56
4.31
2.07
2.03
4.20
2.19
6.61
2.00
0.99
3.29
4.26
2.31
4.41
2.43
10.0
9.5
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)

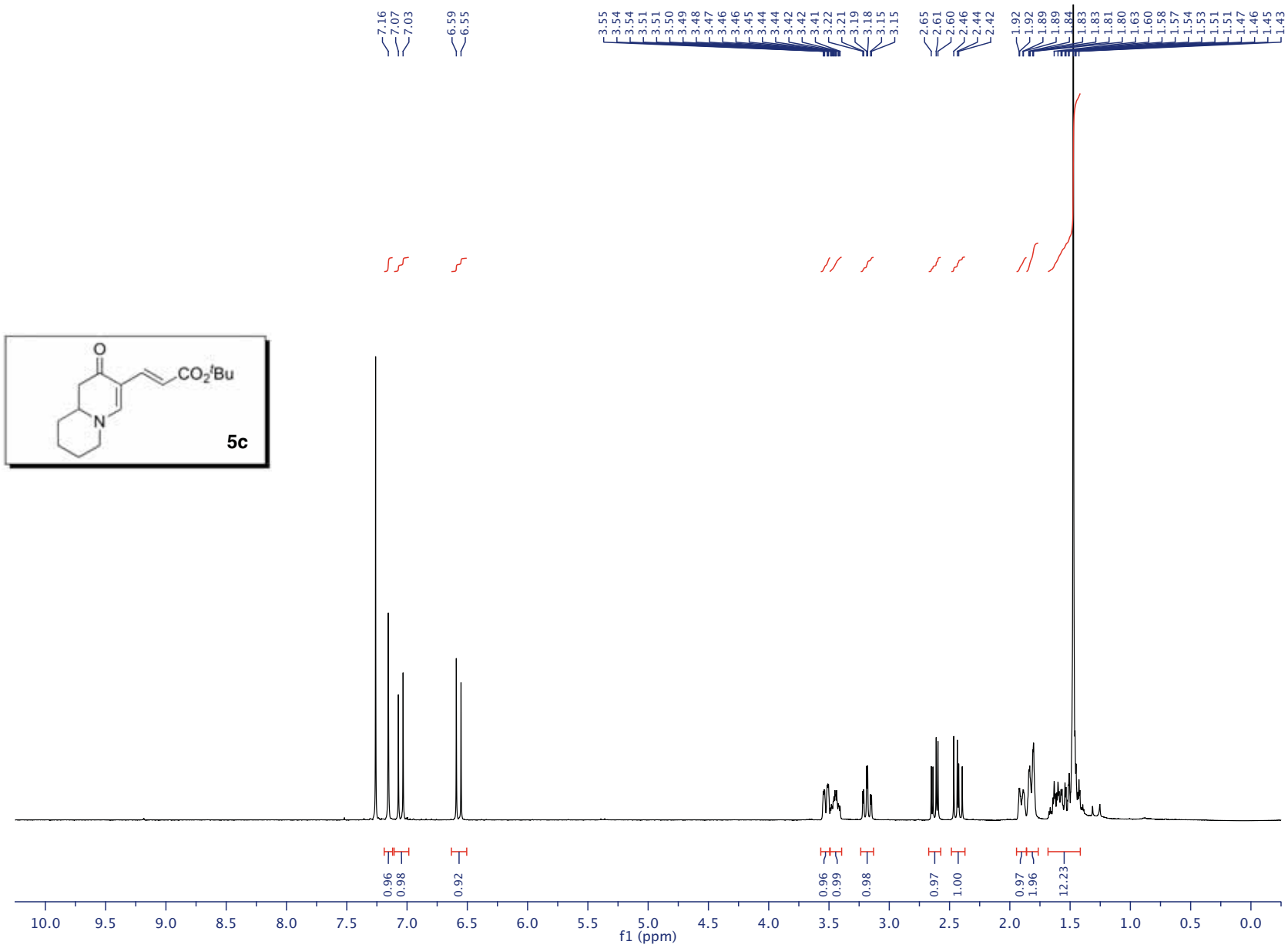

189.42
189.13
159.56
159.52
153.47
153.15
136.59
136.52
128.90
128.85
128.68
128.47
114.35
114.15
113.84
60.68
60.22
57.34
57.02
55.42
44.65
44.32
31.56
31.50
29.96
29.72
25.33
25.31
20.13
20.08
O
N
Bn
O
3n
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

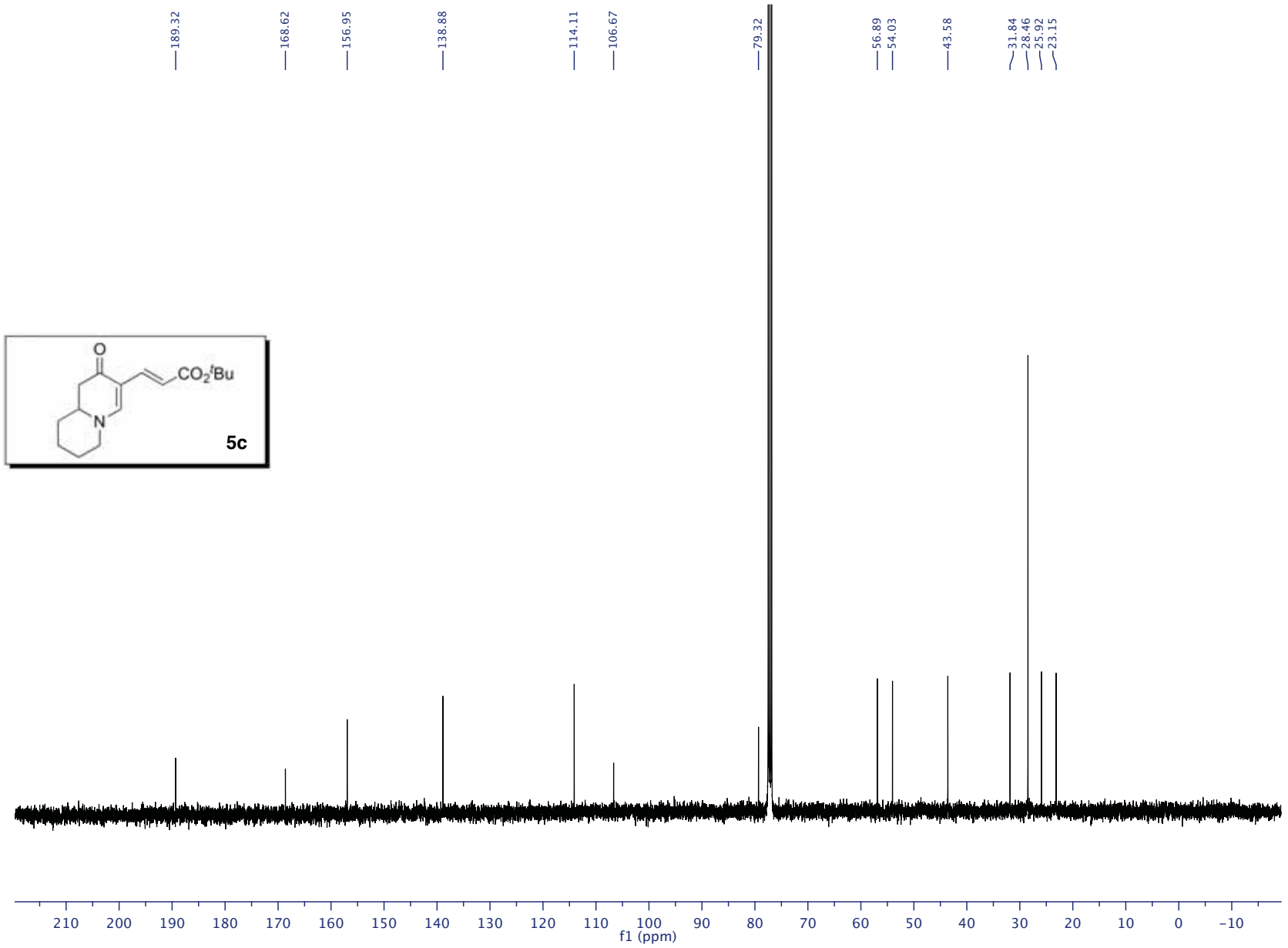

7.27
7.11
7.07
6.57
6.53
3.17
3.16
3.14
3.11
3.09
2.46
2.25
2.23
2.22
2.14
2.14
2.11
1.88
1.85
1.82
1.46
1.44
1.43
1.41
1.40
1.30
1.30
1.27
1.26
1.26
1.25
1.24
1.23
1.22
1.19
1.12
1.09
H
O
CO2tBu
H
N
5a
1.00
0.99
0.94
4.00
1.00
1.02
1.01
2.01
10.02
3.36
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

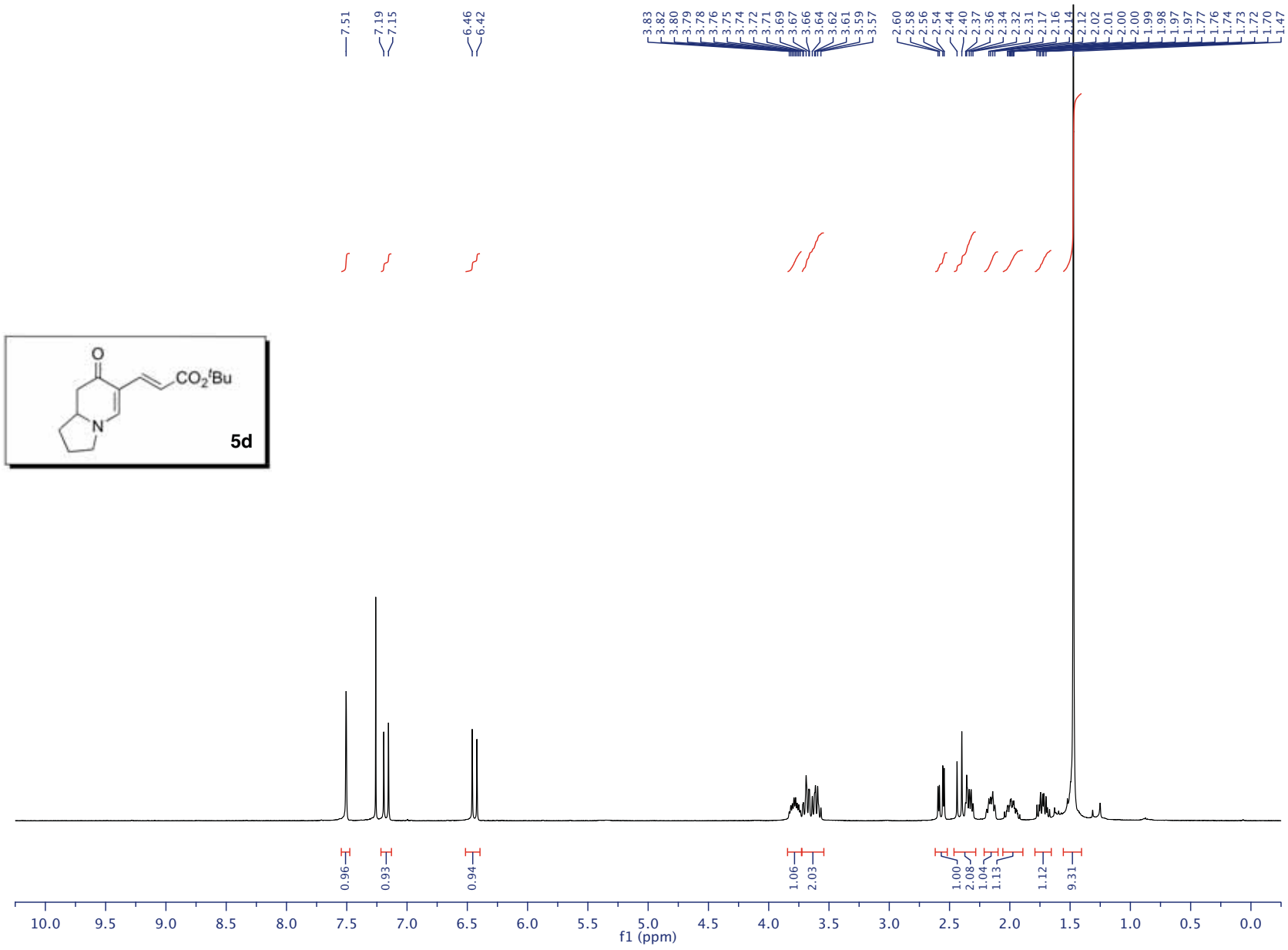

191.18
168.70
157.59
139.20
113.45
106.22
79.17
61.33
48.71
40.12
30.24
28.46
24.81
24.74
24.54
O
H
CO2tBu
N
H
5a
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

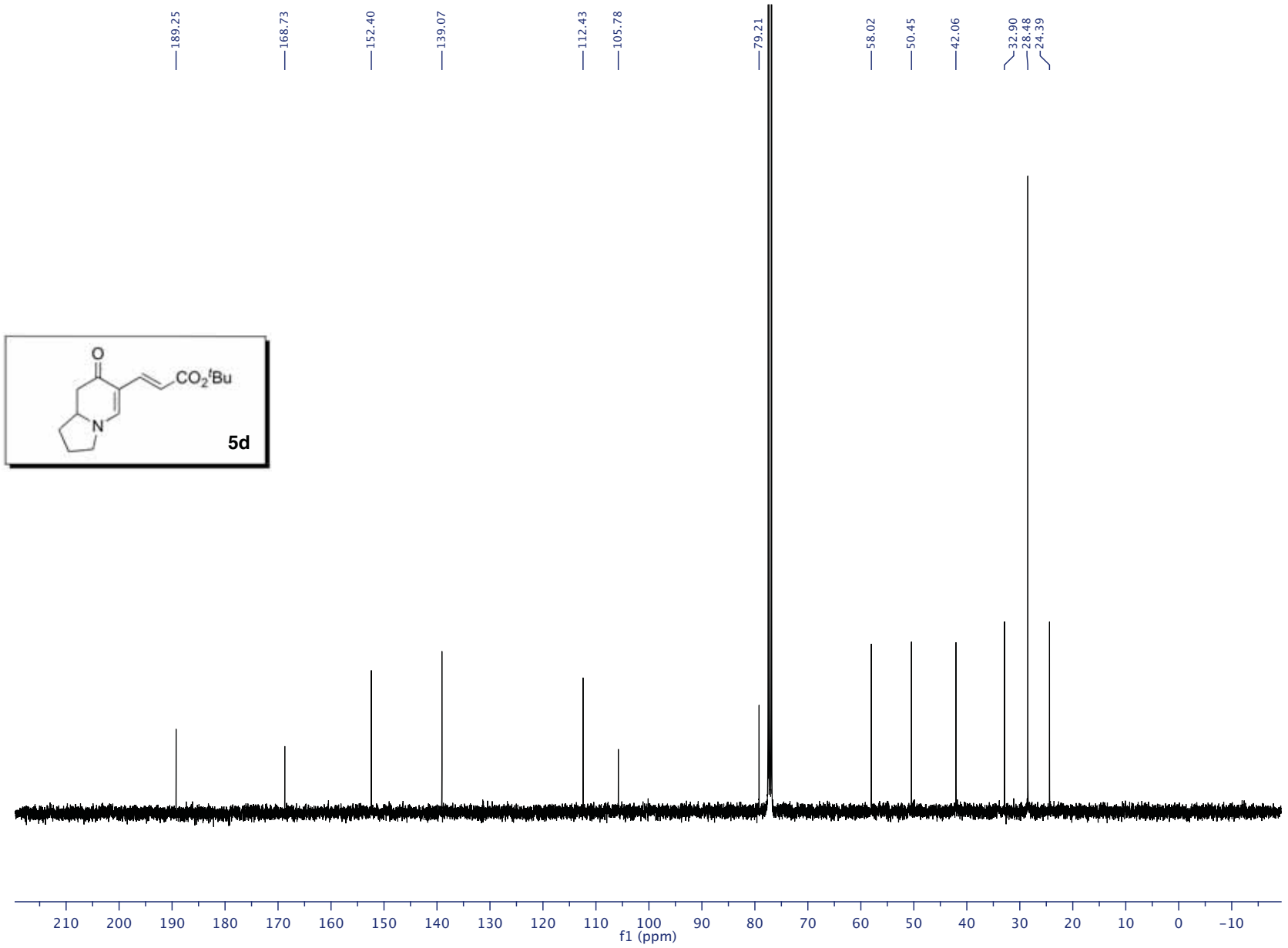

7.15
7.11
7.07
6.55
6.51
3.47
3.45
3.43
3.42
3.16
2.81
2.80
2.78
2.41
2.39
1.76
1.75
1.72
1.70
1.69
1.68
1.67
1.46
1.40
1.37
1.34
1.33
1.32
1.31
1.30
1.29
1.28
1.27
1.25
1.25
1.24
H
O
CO2tBu
H
N
5b
1.00
1.00
0.97
1.02
2.97
1.00
1.00
3.07
9.16
4.02
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

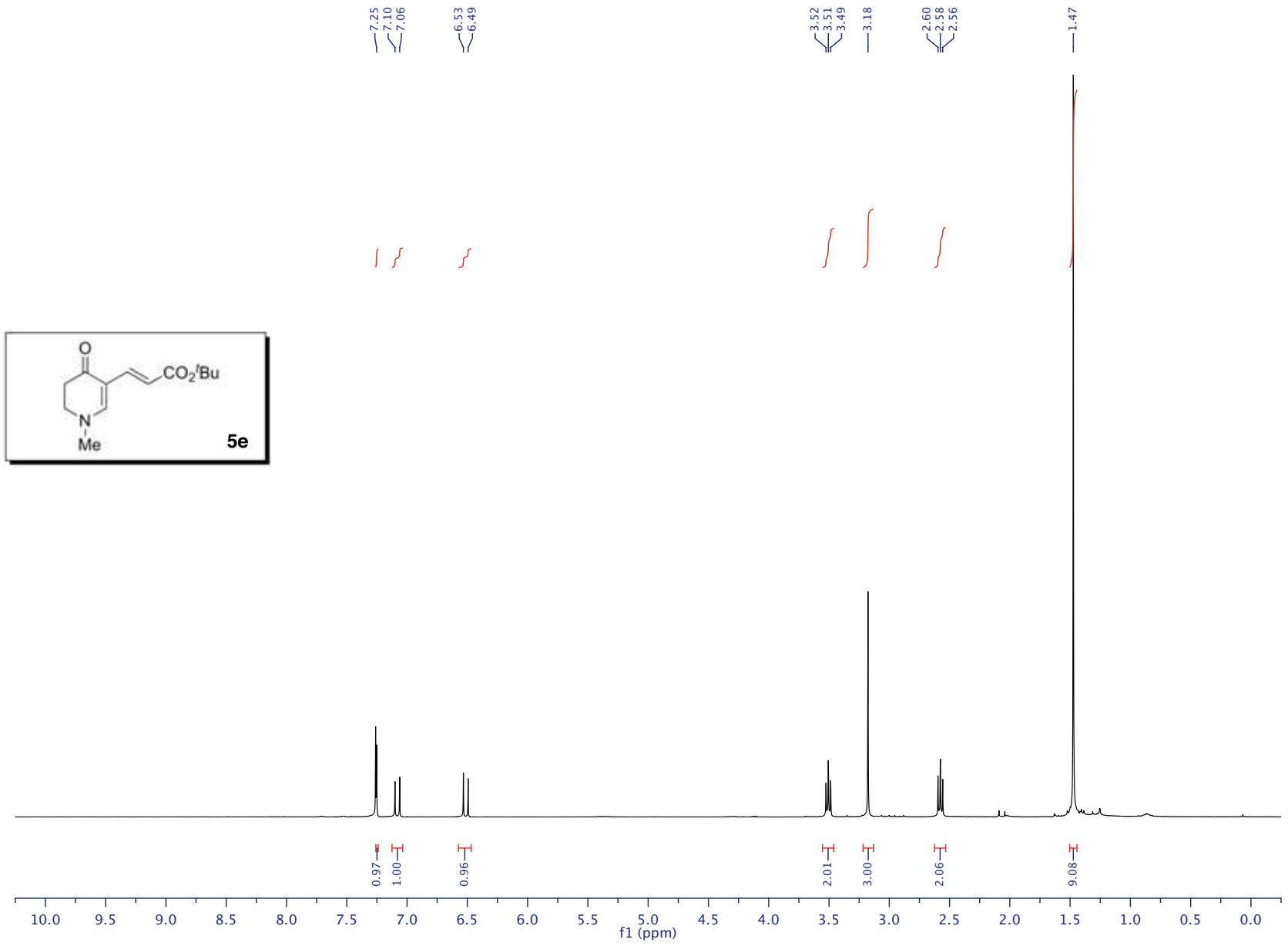

190.46
168.79
155.54
139.29
112.63
105.00
79.08
60.81
45.00
41.72
28.46
24.54
23.99
23.63
22.19
H
O
CO2tBu
H
N
5b
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)

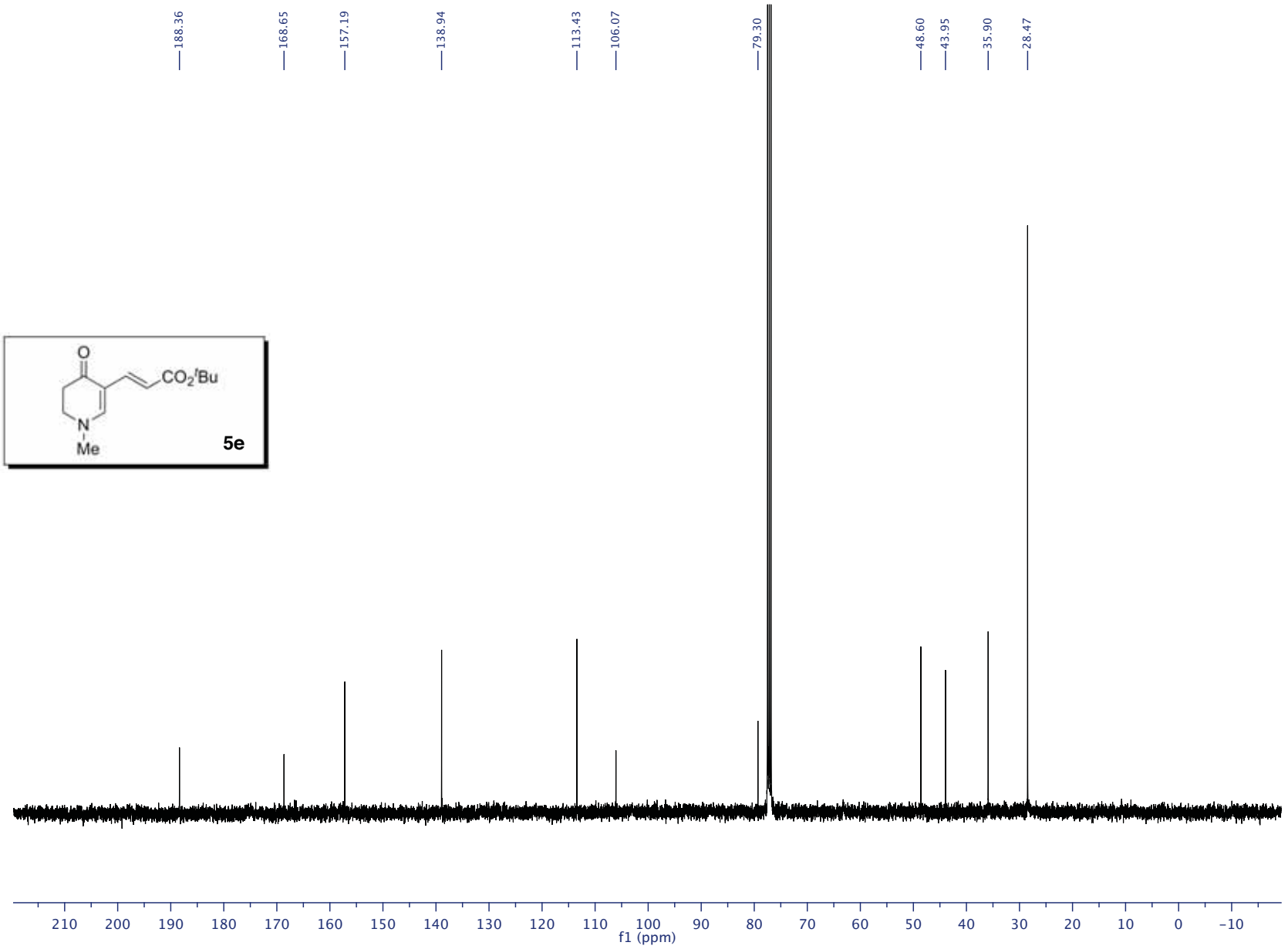

7.16
7.07
7.03
6.59
6.55
3.55
3.54
3.54
3.51
3.51
3.50
3.49
3.48
3.47
3.46
3.46
3.45
3.44
3.44
3.42
3.42
3.41
3.22
3.21
3.19
3.18
3.15
3.15
2.65
2.61
2.60
2.46
2.44
2.42
1.92
1.92
1.89
1.89
1.84
1.83
1.83
1.81
1.80
1.63
1.60
1.58
1.57
1.54
1.53
1.51
1.51
1.47
1.46
1.45
1.43
O
CO2tBu
N
5c
0.96
0.98
0.92
0.96
0.99
0.98
0.97
1.00
0.97
1.96
12.23
10.0
9.5
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)

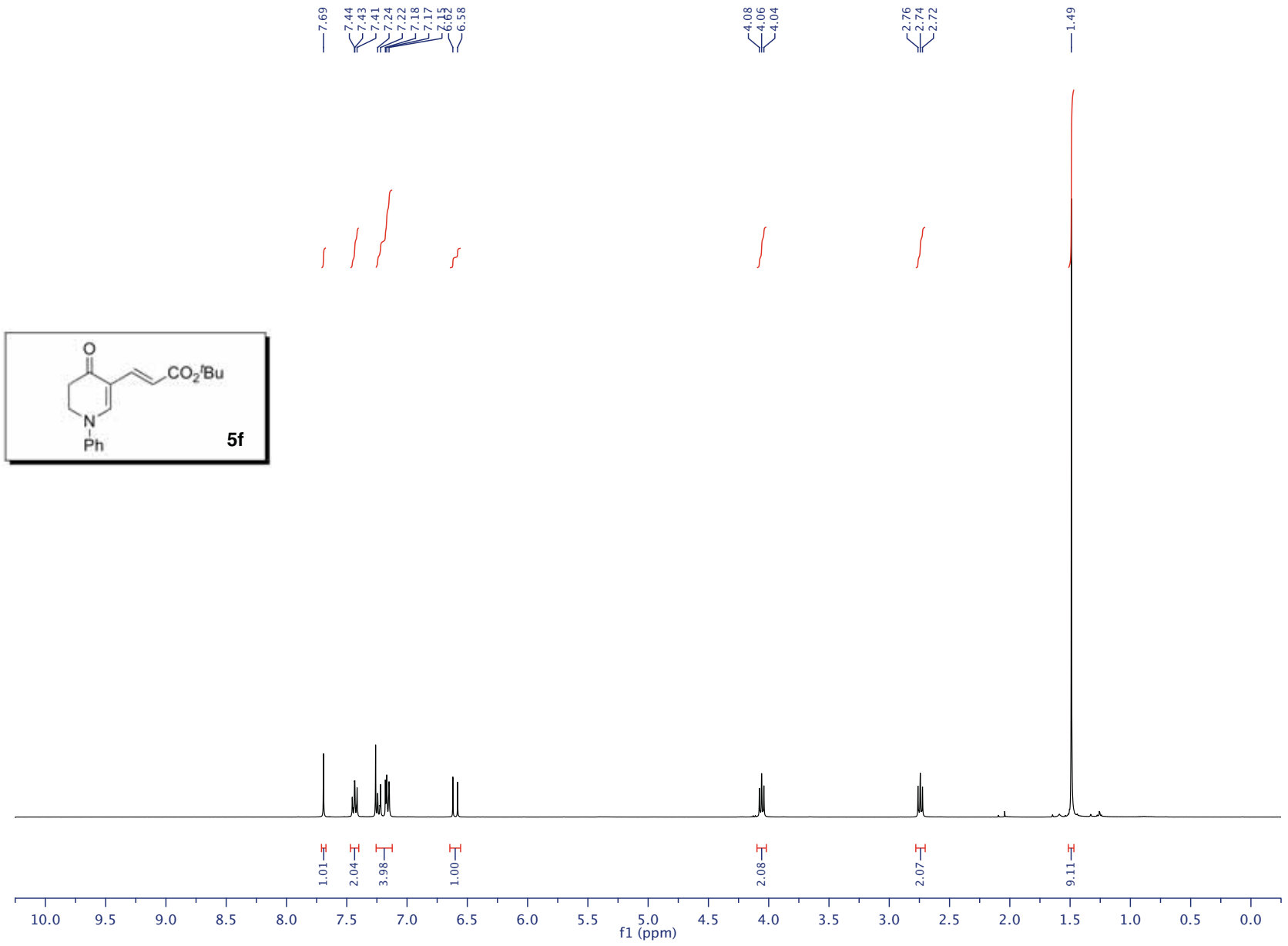

189.32
168.62
156.95
138.88
114.11
106.67
79.32
56.89
54.03
43.58
31.84
28.46
25.92
23.15
O
CO2tBu
N
5c
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

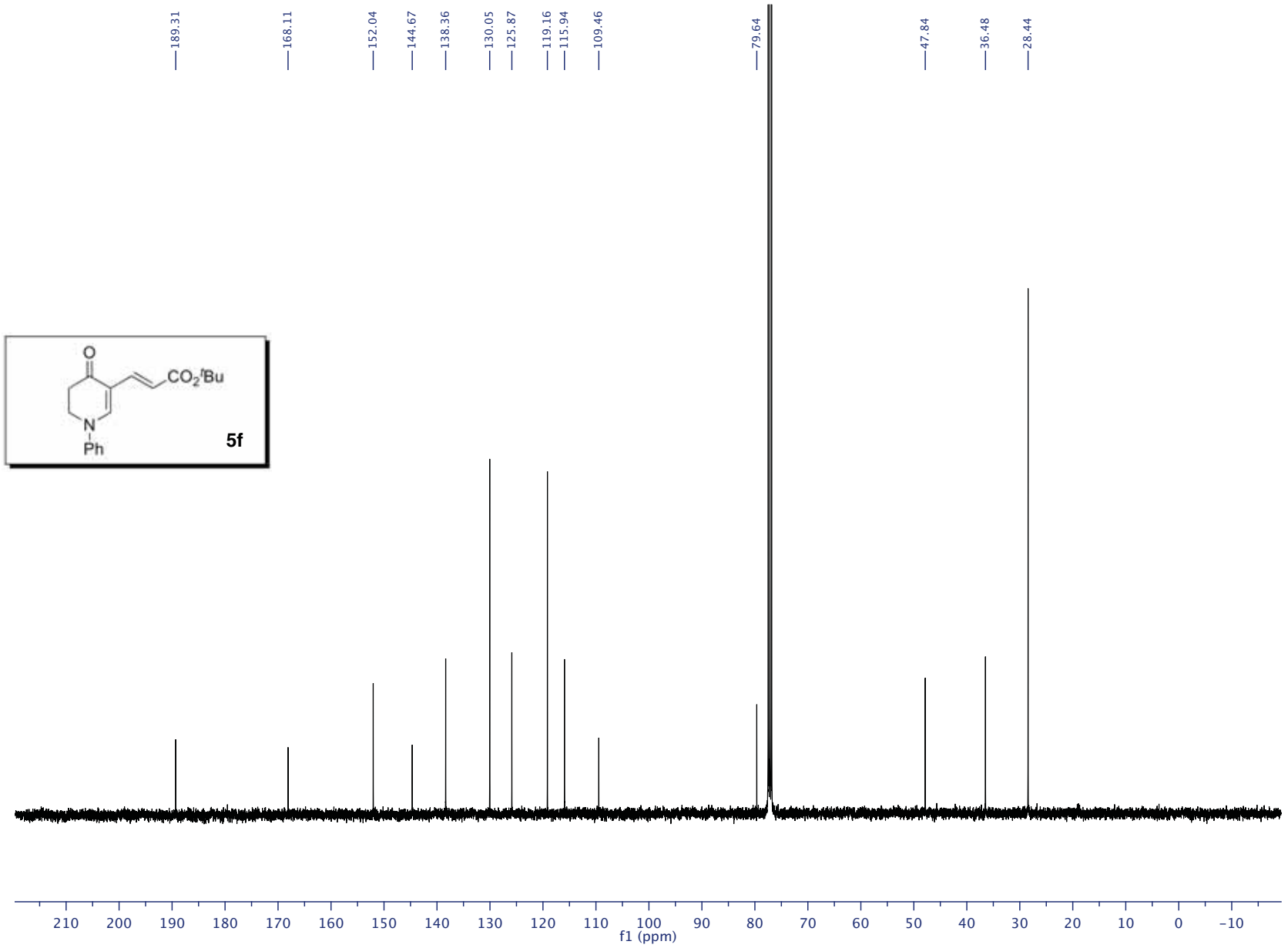

7.51
7.19
7.15
6.46
6.42
3.83
3.82
3.80
3.79
3.78
3.76
3.75
3.74
3.72
3.71
3.69
3.67
3.66
3.64
3.62
3.61
3.59
3.57
2.60
2.58
2.56
2.54
2.44
2.40
2.37
2.36
2.34
2.32
2.31
2.17
2.16
2.14
2.12
2.02
2.01
2.00
2.00
1.99
1.98
1.97
1.97
1.77
1.76
1.74
1.73
1.72
1.70
1.47
O
CO2tBu
N
5d
0.96
0.93
0.94
1.06
2.03
1.00
2.08
1.04
1.13
1.12
9.31
10.0
9.5
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)

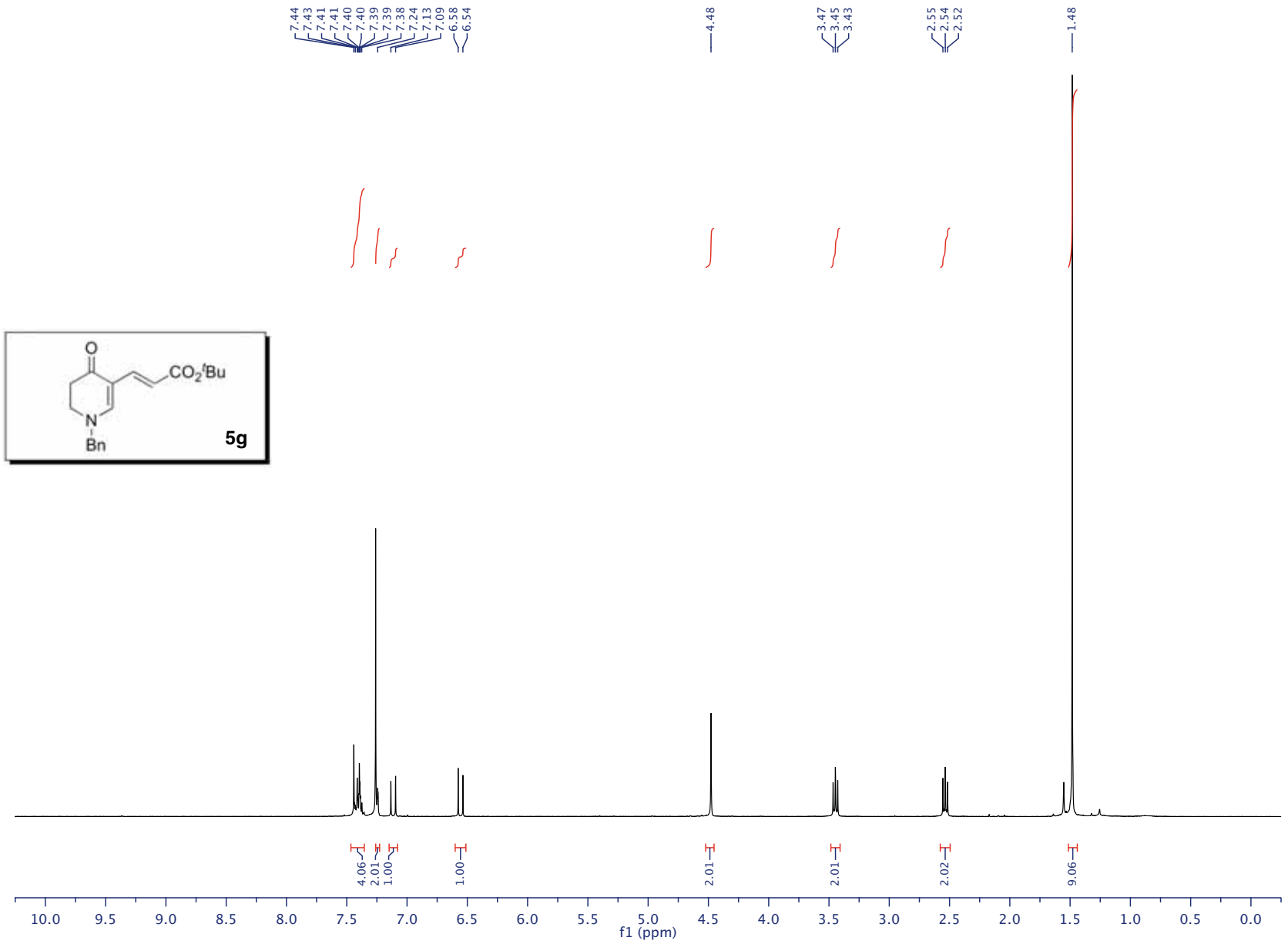

189.25
168.73
152.40
139.07
112.43
105.78
79.21
58.02
50.45
42.06
32.90
28.48
24.39
O
CO2tBu
N
5d
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

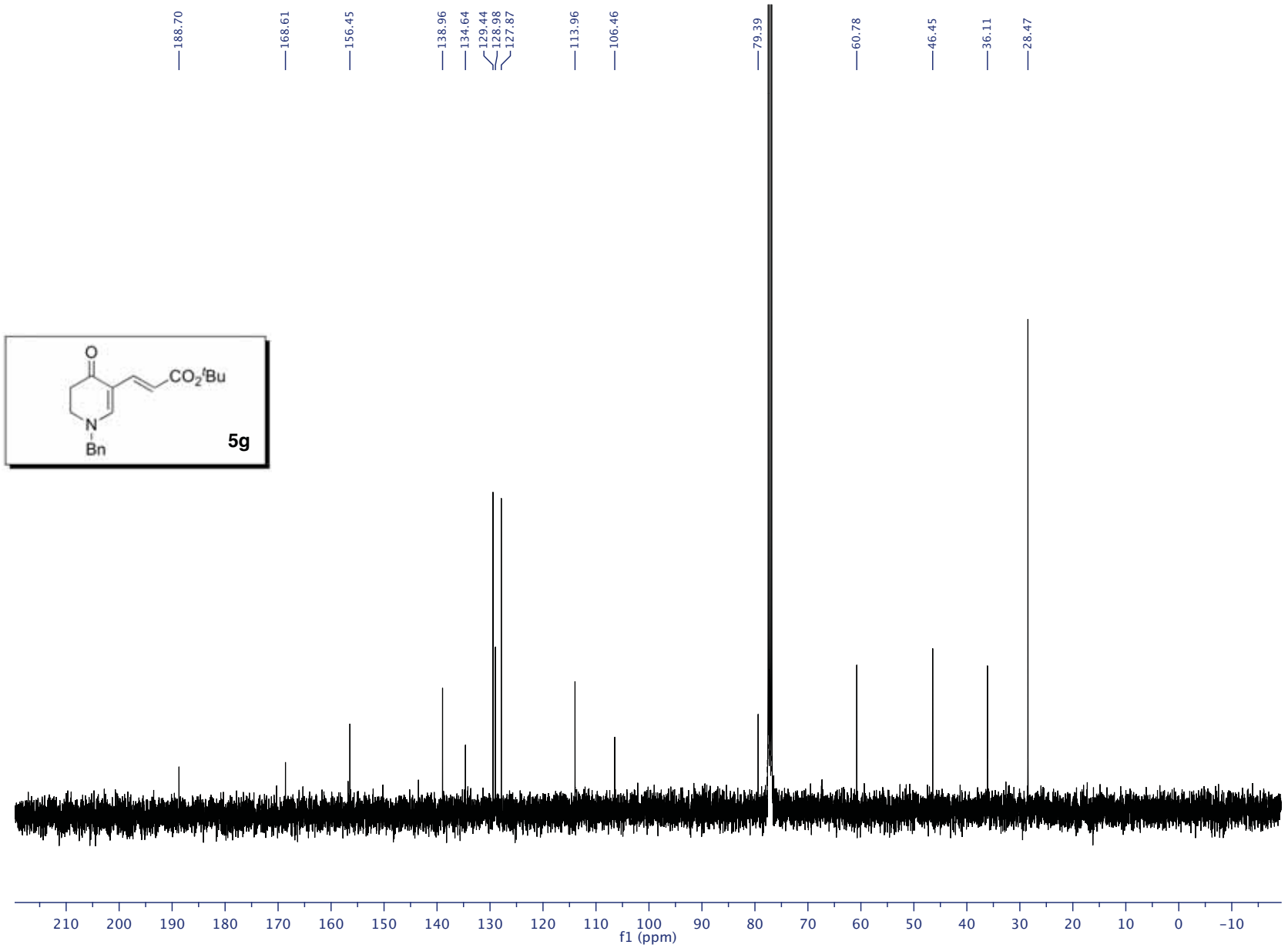

7.25
7.10
7.06
6.53
6.49
3.52
3.51
3.49
3.18
2.60
2.58
2.56
1.47
O
CO2tBu
N
Me
5e
0.97
1.00
0.96
2.01
3.00
2.06
9.08
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

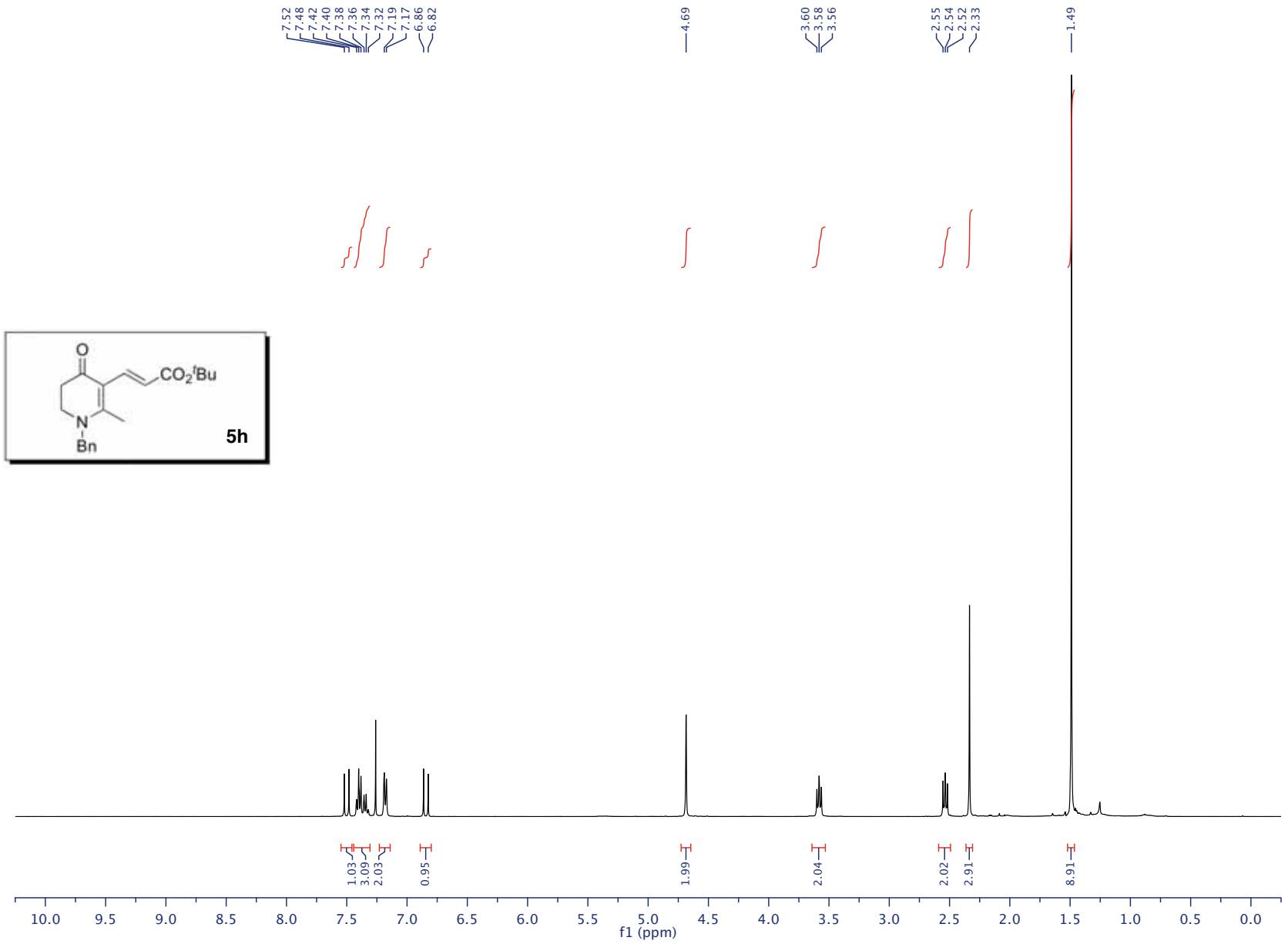

188.36
168.65
157.19
138.94
113.43
106.07
79.30
48.60
43.95
35.90
28.47
O
CO2tBu
N
Me
5e
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

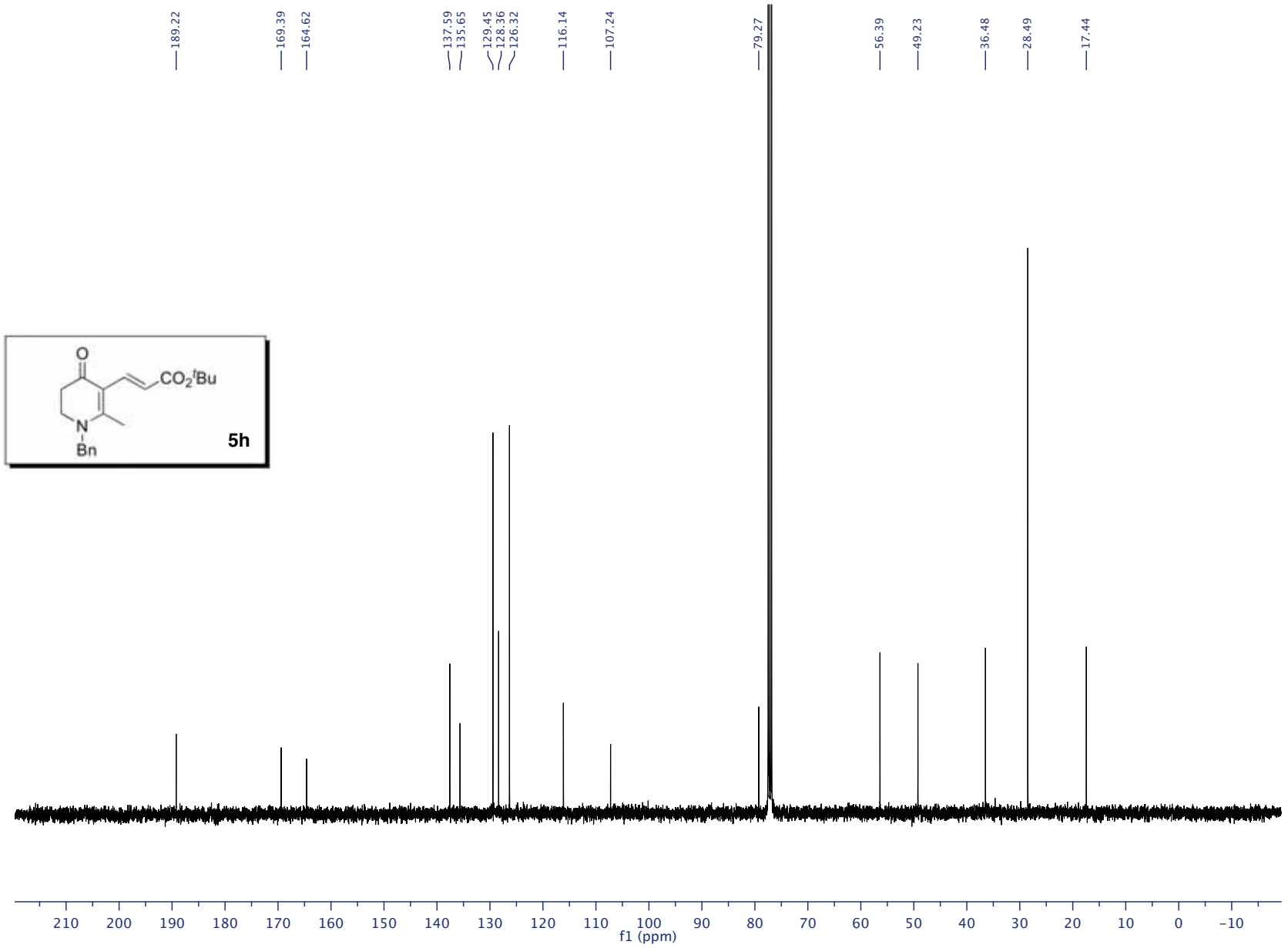

7.69
7.44
7.43
7.41
7.24
7.22
7.18
7.17
7.15
7.12
6.62
6.58
4.08
4.06
4.04
2.76
2.74
2.72
1.49
O
CO2tBu
N
Ph
5f
1.01
2.04
3.98
1.00
2.08
2.07
9.11
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

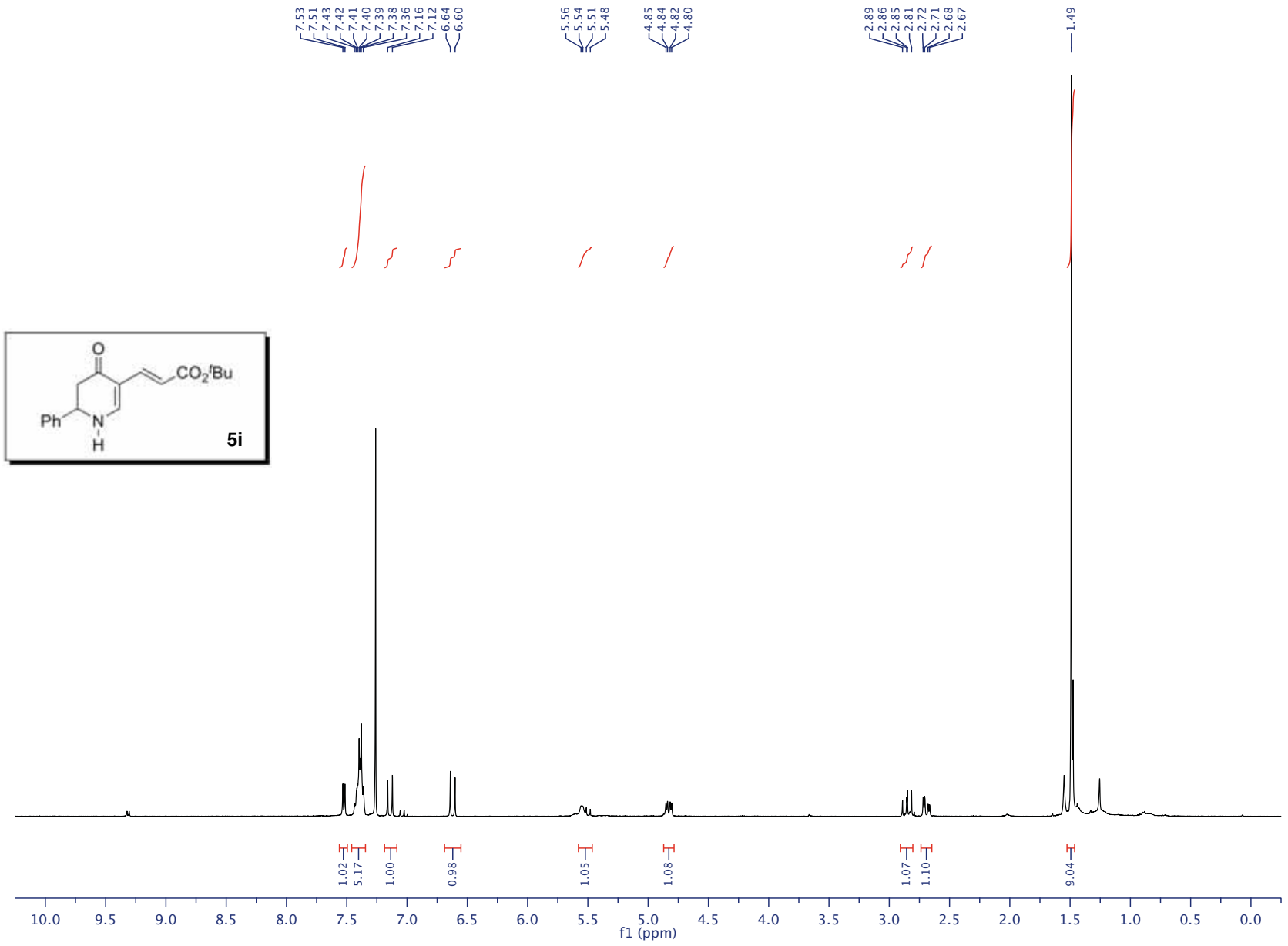

189.31
168.11
152.04
144.67
138.36
130.05
125.87
119.16
115.94
109.46
79.64
47.84
36.48
28.44
O
CO2tBu
N
Ph
5f
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

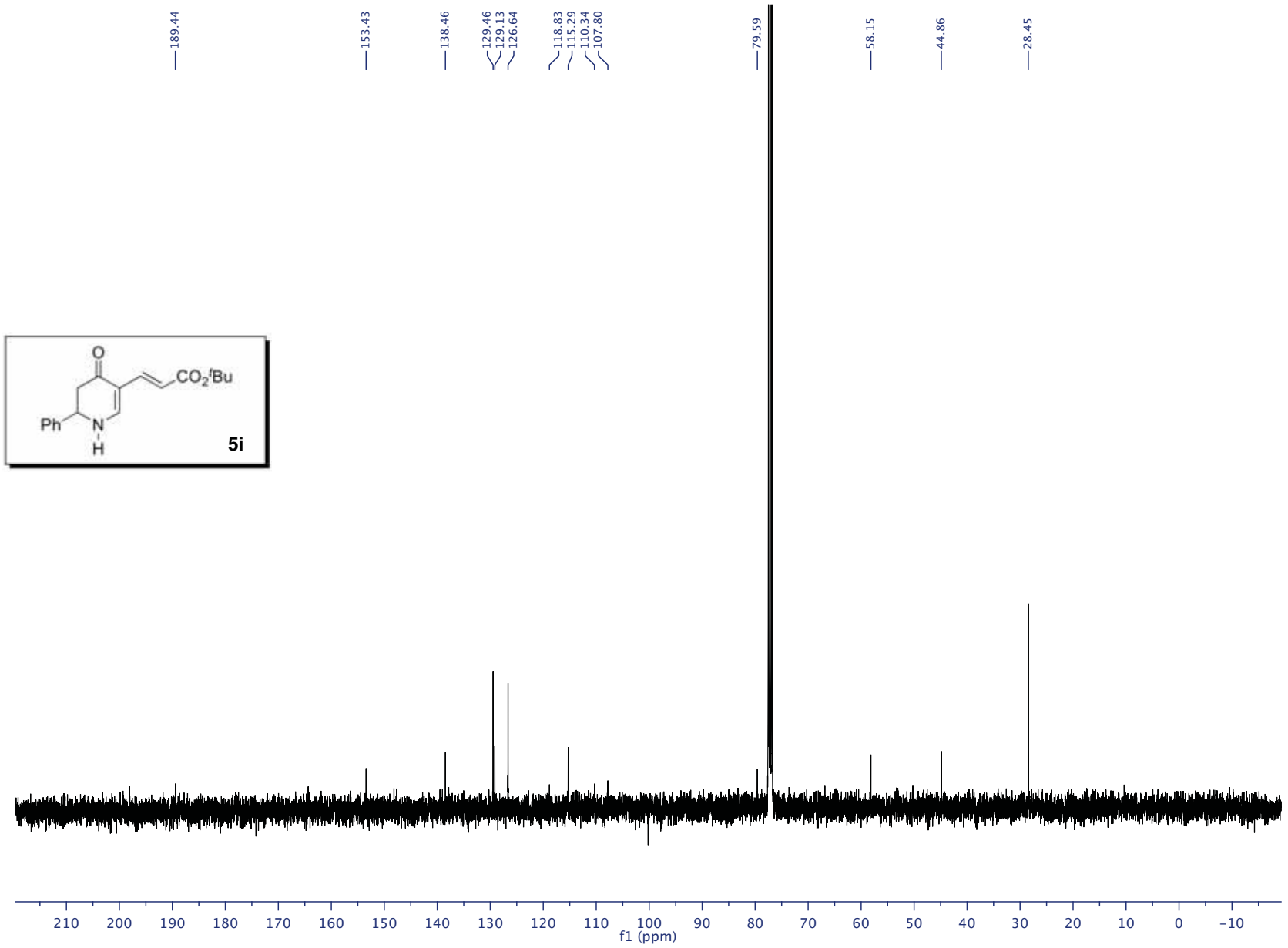

7.44
7.43
7.41
7.41
7.40
7.40
7.39
7.39
7.38
7.24
7.13
7.09
6.58
6.54
4.48
3.47
3.45
3.43
2.55
2.54
2.52
1.48
O
CO2tBu
N
Bn
5g
4.06
2.01
1.00
1.00
2.01
2.01
2.02
9.06
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

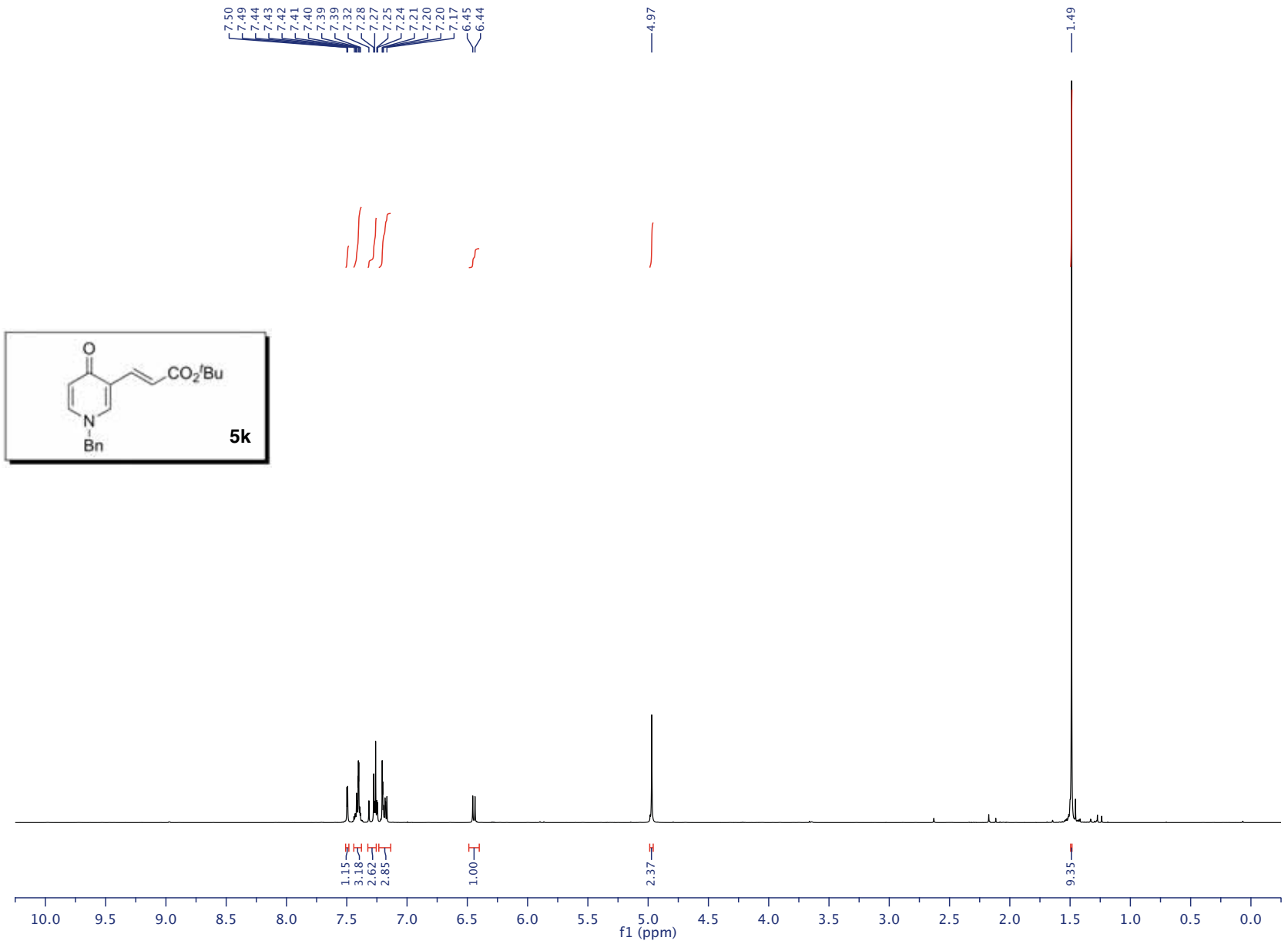

188.70
168.61
156.45
138.96
134.64
129.44
128.98
127.87
113.96
106.46
79.39
60.78
46.45
36.11
28.47
O
CO2tBu
N
Bn
5g
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

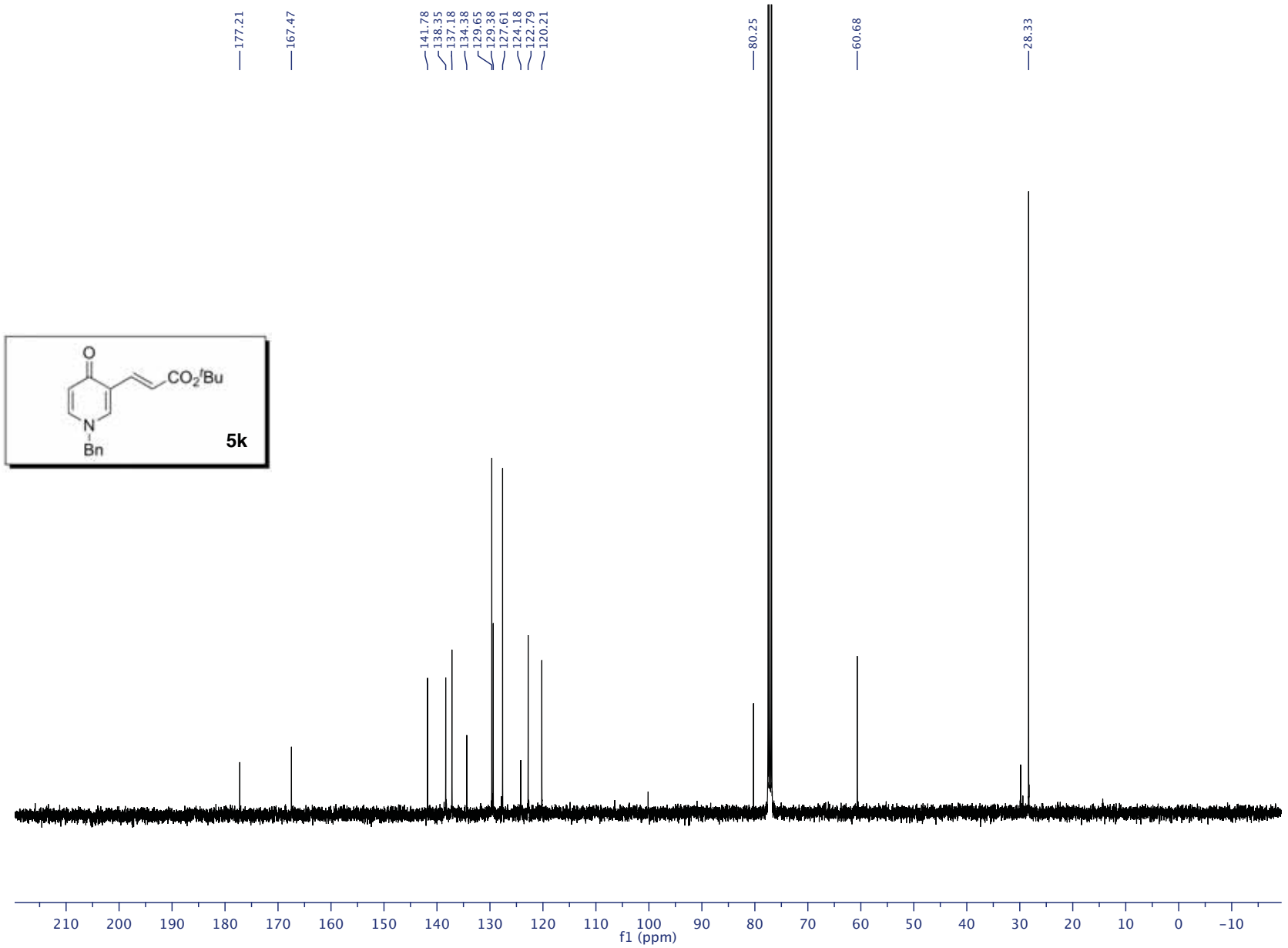

7.52
7.48
7.42
7.40
7.38
7.36
7.34
7.32
7.19
7.17
6.86
6.82
4.69
3.60
3.58
3.56
2.55
2.54
2.52
2.33
1.49
O
CO2tBu
N
Bn
5h
1.03
3.09
2.03
0.95
1.99
2.04
2.02
2.91
8.91
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


189.22
169.39
164.62
137.59
135.65
129.45
128.36
126.32
116.14
107.24
79.27
56.39
49.23
36.48
28.49
17.44
O
CO2tBu
N
Bn
5h
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)


7.53
7.51
7.43
7.42
7.41
7.40
7.39
7.38
7.36
7.16
7.12
6.64
6.60
5.56
5.54
5.51
5.48
4.85
4.84
4.82
4.80
2.89
2.86
2.85
2.81
2.72
2.71
2.68
2.67
1.49
O
CO2tBu
Ph
N
H
5i
1.02
5.17
1.00
0.98
1.05
1.08
1.07
1.10
9.04
10.0
9.5
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)


189.44
153.43
138.46
129.46
129.13
126.64
118.83
115.29
110.34
107.80
79.59
58.15
44.86
28.45
O
CO2tBu
Ph
N
H
5i
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


7.50
7.49
7.44
7.43
7.42
7.41
7.40
7.39
7.39
7.32
7.28
7.27
7.25
7.24
7.21
7.20
7.20
7.17
6.45
6.44
4.97
1.49
O
CO2tBu
N
Bn
5k
1.15
3.18
2.62
2.85
1.00
2.37
9.35
10.0
9.5
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)


177.21
167.47
141.78
138.35
137.18
134.38
129.65
129.38
127.61
124.18
122.79
120.21
80.25
60.68
28.33
O
CO2tBu
N
Bn
5k
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)