Supplementary Information

Efficient iron-catalyzed direct acylation of amines with carboxylic acids and esters under oxygenated conditions

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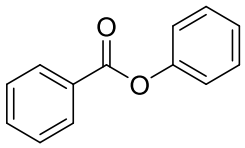
1. General Information

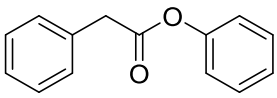
Unless otherwise stated, all reagents and solvents were purchased from commercial suppliers (Acros Organic, Alfa Aesar, Carlo Erbba, Sigma-Aldrich, VWR) and used without further purification. Thin Layer Chromatography (TLC) was carried out on SiO_2 (silica gel 60 F254, Merck), and the spots were located with UV light. Flash chromatography was carried out on SiO2 (silica gel 60, Merck, 230–400 mesh ASTM). Residual solvents were removed under reduced pressure employing Büchi Re-111, Heidolph VV60 and V4001 rotary evaporators. Melting points were determined using Gallenkamp apparatus in open capilliary tubes and are uncorrected. Monodimensional proton and carbon nuclear magnetic resonance spectra (^{1}H-NMR and ^{13}C-NMR) were acquired at 25 ^{o}C on a Bruker AC-300 spectrometer (300 MHz for ^{1}H and 75.4 MHz for ^{13}C). Chemical shifts (δ) are reported in ppm relative to residual solvent signals (unless indicated, $CDCl_3$, 7.26 ppm for ^{1}H-NMR and 77.00 ppm for ^{13}C-NMR) and coupling constants (*J*) are displayed in hertz (Hz). The following abbreviations are used to indicate the multiplicity in ^{1}H-NMR spectra: s, singlet; d, doublet; t, triplet; q, quartet; m, multiplet. ^{13}C-NMR spectra were acquired using a broad band decoupled mode, and DEPT experiments (Distortionless Enhancement by Polarizaton Transfer) were performed to determine the numbers of protons attached to each carbon atom. MS spectra were recorded on an Agilent 7890A gas chromatograph coupled to an Agilent 5975 mass spectrometer under electronic impact (EI) conditions. The obtained data is presented in mass units (m/z). HRMS were recorded on a Micromass GCT spectrometer using chemical ionization (CI) or on an Acquity UPLC coupled to a QTOF mass spectrometer (Waters) using electrospray ionization (ESI-MS).

2. Preparation of substrates. Synthesis of esters 1 from acyl chlorides

In a round bottom flask (100 mL) equipped with a magnetic bar, phenol (1.5 mmol) was dissolved in a solution of 10% aqueous sodium hydroxide (2 mL, 5 mmol) under argon. In another round bottom flask (25 mL) TBACl (0.15 mmol) was dissolved in dry DCM (0.5 mL) under argon. In another round bottom flask (50 mL), the acyl chloride (1.5 mmol) was dissolved in dry DCM (1.5 mL) under argon. After cooling the three solutions to 0 ºC, the TBACl and acyl chloride solutions were added via cannula to the phenol solution. The reaction mixture was kept under vigorous stirring at 0 ºC for 15 minutes and then poured over 50 mL of icy water. The layers were decanted, and the aqueous layer was extracted twice with 40 mL of Et_2O. The combined organic layers were washed with brine (1 x 20 mL), dried over anhydrous Na_2SO_4, and evaporated *in vacuo*. The following esters **1** were obtained by this procedure:

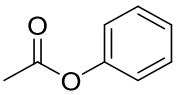


Phenyl benzoate (**1a**).[1] It was prepared following the above general procedure starting from phenol (175 µL, 1.5 mmol) and benzoyl chloride (175 µL, 1.5 mmol) to provide **1a** as a white powder (300 mg, >99%). ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 8.22 (d, *J*=7.4, 2H), 7.65 (t, *J*= 7.4, 1H), 7.55-7.42 (m, 4H), 7.31-7.22 (m, 3H);^{13}C-NMR ($CDCl_3$) δ_C (ppm): 165.2, 151.0, 133.6, 130.2, 129.5, 128.6, 125.9, 121.7; LRMS (m/z): 199.1 (MH^+).



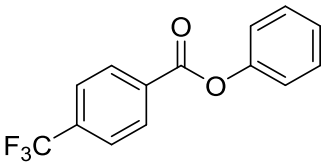
Phenyl 2-phenylacetate (**1c**).[2] It was prepared following the above general procedure starting from phenol (175 µL, 1.5 mmol) and 2-phenylacetyl chloride (198 µL, 1.5 mmol) to provide **1c** as a yellowish powder (2.67 g, 84%). ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.43-7.37 (m, 8H); 7.13-7.10 (m, 2H); 3.90 (s, 2H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 170.0; 150.8; 133.5; 129.4; 129.4; 128.8; 127.4; 125.9; 121.5; 41.5; LRMS (m/z): 213.1 (MH^+)

3. Preparation of substrates. Synthesis of phenyl acetate (1b).[3]

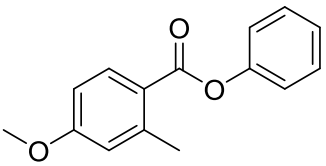


Phenol (1.96 g, 20.9 mmol) was added to a solution of acetic anhydride (3 mL, 30.8 mmol) in pyridine (1 mL) under argon. The mixture was stirred at room temperature until starting material was consumed (monitored by TLC). Upon completion, the reaction was poured onto water (100 mL), and stirred vigorously for further 30 min. The aqueous layer was extracted with EtOAc (3 × 50 mL) and the combined organic layers were washed with aqueous HCl 1M (50 mL), saturated aq. $NaHCO_3$ (50 mL), water (50 mL) and brine (50 mL), and dried over anhydrous Na_2SO_4. The solvent was evaporated under reduced pressure to provide phenyl acetate (**1b**) as a colorless oil (2.34 g, 82%).^{1}H-NMR ($CDCl_3$) δH (ppm): 7.42-7.37 (m, 2H), 7.26-7.14 (m, 3H), 2.24 (s, 3H). ^{13}C-NMR ($CDCl_3$) δH (ppm): 169.3, 150.9, 129.5, 125.8, 121.7, 20.9. LRMS (m/z): 137.1 (MH^+).

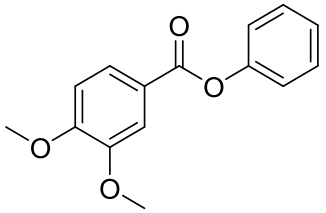
4. Preparation of substrates. Synthesis of esters from carboxylic acids. General procedure A.
The carboxylic acid (1.2 mmol) was dissolved in dry toluene (2.3 mL), and the solution was chilled to 0 ºC under argon. Thionyl chloride (2.4 mmol) was added dropwise. The mixture was stirred for 30 minutes at room temperature and then the reaction was refluxed for 3 hours. After removing the excess of thionyl chloride and toluene by distillation, the resulting acyl chloride was dissolved in DCM (1.2 mL) under argon. Simultaneously, a solution of TBACl (0.12 mmol) in dry DCM (0.4 mL), and a solution of phenol (1.2 mmol) in 10% aqueous sodium hydroxide (1.6 mL) were prepared under argon. The three solutions were chilled to 0 ºC, and the TBACl and acyl chloride solutions were added via cannula to the phenol solution. The reaction mixture was kept under vigorous stirring at 0 ºC for 15 minutes and then poured over 10 mL of icy water. The layers were decanted, and the aqueous layer was extracted was twice with 20 mL of Et_2O. The combined organic layers were washed with brine (1 x 10 mL), dried over anhydrous Na_2SO_4, and evaporated *in vacuo*. The following esters **1** were obtained by this procedure:



Phenyl-4-(trifluoromethyl)benzoate (**1h**).[4] It was prepared following the above general procedure from phenol (141 mg, 1.5 mmol) and 4-(trifluoromethyl)benzoic acid (285.2 mg, 1.5 mmol) to provide **1h** as a white powder (89 mg, 28%). ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 8.33 (dd, *J*=8.1, 0.7, 2H), 7.79 (dd, *J*= 8.2, 0.5, 2H), 7.49-7.44 (m, 2H), 7.34-7.22 (m, 3H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 164.0, 150.6, 135.0 (*J*= 32), 130.6, 129.6, 126.2, 125.6 (*J*= 3.7), 123.6 (*J*= 272), 121.5, 118.2; LRMS (m/z): 267.0 (MH^+).



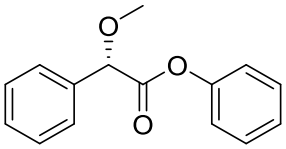
Phenyl 4-methoxy-2-methylbenzoate (**1i**). It was prepared following the above general procedure from phenol (141 mg, 1.5 mmol) and 2-methyl-4-methoxybenzoic acid (276.9 mg, 1.5 mmol) to provide **1i** as a white powder (267mg, 92%). Mp: 78-81ºC (Et_2O); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 8.25-8.22 (m, 1H), 7.47-7.45 (m, 2H), 7.27-7.24 (m, 3H), 6.85 (m, 2H), 3.88 (s, 3H), 2.73 (s, 3H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 165.3, 163.0, 151.1, 144.4, 133.7, 129.5, 125.7, 122.0, 117.3, 111.2, 55.4, 22.6; LRMS (m/z): 243.1 (MH^+); HRMS: Calculated for: $C_{15}H_{14}O_3$ 242.0943, found: 242.0950.



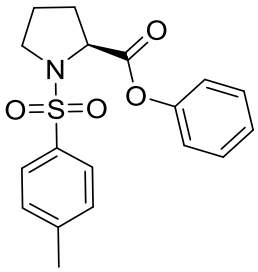
Phenyl 3,4-dimethoxybenzoate (**1j**).[5] It was prepared following the above general procedure starting from phenol (141 mg, 1.5 mmol) and 3,4-dimethoxybenzoic acid (273.3 mg, 1.5 mmol) to provide **1j** as a white powder (269mg, 87%). ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.86(dd, *J*=8.4; 2, 1H), 7.68 (d, *J*=2, 1H), 7.44-7.39 (m, 2H), 7.27-7.19 (m, 3H), 6.93 (d, *J*=8.4, 1H), 3.94 (s, 6H);^{13}C-NMR ($CDCl_3$) δ_C (ppm): 164.9, 153.6, 151.1, 148.8, 129.5, 125.8, 124.4, 121.9, 121.8, 112.4, 1104, 56.0; LRMS (m/z): 259.1 (MH^+).

5. Preparation of substrates. Synthesis of esters from carboxylic acids. General procedure B. [6]

A mixture of the carboxylic acid (2.4 mmol), phenol (2.2 mmol) and 4-dimethylaminopyridine (0.12 mmol) was dissolved in dry DCM (4.6 mL) and chilled to 0 ºC under argon. A cooled (0 ºC) solution of dicyclohexylcarbodiimide (DCC, 2.4 mmol) in dry DCM (4.6 mL) was added dropwise, and the resulting mixture was stirred at 0 ºC for 30 minutes and at room temperature for further 16 hours. The mixture was then filtered and the filtrate was washed with a saturated aqueous solution of $NaHCO_3$ (1 x 50 mL), aqueous 1M HCl (1 x 50 mL) and brine (1 x 50 mL). The organic layer was dried over anhydrous Na_2SO_4, and evaporated *in vacuo* to give a residue which was purified by flash column chromatography using petroleum ether:ethyl acetate as eluent. The following esters **1** were obtained by this procedure:

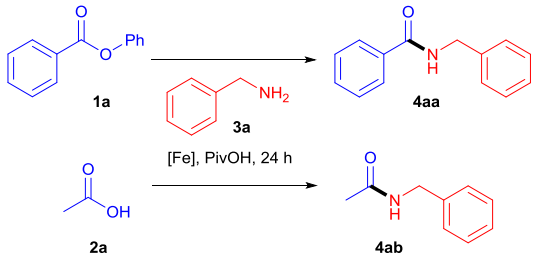


Phenyl (*S*)-2-methoxy-2-phenylacetate (**1f**). It was prepared following the above general procedure starting from phenol (205 mg, 2.2 mmol) and (*S*)-2-methoxy-2-phenylacetic acid (400 mg, 2.4 mmol) to provide **1f** as a white powder (336 mg, 58%). $[\alpha]_{20/D}$: +208.02º, c = 0.04 in $CHCl_3$; ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.63-7.60 (m, 2H), 7.47-7.34 (m, 5H), 7.26-7.20 (m, 1H), 7.06-7.02 (m, 2H), 5.06 (s, 1H), 3.55 (s, 3H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 169.2, 150.4, 135.8, 129.4, 129.1, 128.9, 127.4, 126.1, 121.2, 82.6, 57.5; LRMS (m/z): 243.1 (MH^+); HMRS: Calculated for: $C_{15}H_{15}O_3$ 243.10157, found: 243.10133.



Phenyl tosyl-L-prolinate (**1n**). It was prepared following the above general procedure starting from phenol (205 mg, 2.2 mmol) and tosyl *L*-proline (646.4 mg, 2.4 mmol) a to provide **1n** as a white powder (273 mg, 33%). Mp (DCM): 86-88ºC; $[\alpha]_{20/D}$: -45.8º, c = 0.015 in $CHCl_3$; ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.81 (d, *J*= 8.2, 2H), 7.41-7.09 (m, 7H), 4.48 (t, *J* = 6.4, 1H), 3.56-3.52 (m, 1H), 3.41-3.36 (m, 1H), 2.42 (s, 3H), 2.21-2.02 (m, 3H), 1.88-1.82 (m, 1H). ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 170.8, 150.6, 143.7, 135.2, 129.8, 129.4, 127.8, 126.0, 121.3, 60.5, 48.5, 31.1, 24.8, 21.5; LRMS (m/z): 346.1; HRMS: Calculated for: $C_{18}H_{20}NO_3S$ 346.1106, found: 346.1108.

6. Table S1. Optimization of reaction conditions for the aminolysis of ester **1a** and carboxylic acid **2a**.

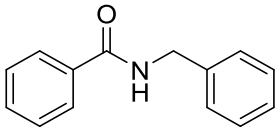


Entry	**1a/2a**	Conditions[a]	Product (%)[b]
1	**2a**	$FeCl_3$, PhMe, Ar, 100 °C, 12h	-[c]
2	**2a**	$FeCl_3$, $BF_3{\cdot}OEt_2$, PhMe, Ar, 100 °C, 24h	-[c]
3	**2a**	$FeCl_3$, $AlCl_3$, PhMe, Ar, 100 °C, 24h	-[c]
4	**2a**	$FeCl_3$, TFA, PhMe, Ar, 100 °C, 24h	**4ab** (5)
5	**2a**	$FeCl_3$, *p*-TsOH, PhMe, Ar, 100 °C, 24h	-[c]
6	**2a**	$FeCl_3$, PivOH, PhMe, Ar, 100 °C, 24h	**4ab** (8)
7	**2a**	$FeBr_2$, PhMe, Ar, 100 °C, 24h	-[c]
8	**2a**	$FeBr_2$, PivOH, PhMe, Ar, 100 °C, 24h	**4ab** (7)
9	**2a**	FeI_2, PivOH, PhMe, Ar, 100 °C, 24h	-[c]
10	**2a**	$Fe_2(SO_4)_3{\cdot}xH_2O$, PivOH, PhMe, Ar, 100 °C, 24h	-[c]
11	**2a**	$Fe_2(ClO_4)_2{\cdot}xH_2O$, PivOH, PhMe, Ar, 100 °C, 24h	-[c]
12	**2a**	$Fe_2(ClO_4)_3{\cdot}xH_2O$, PivOH, PhMe, Ar, 100 °C, 24h	-[c]
13	**2a**	$Fe(OAc)_2$, PivOH, PhMe, Ar, 100 °C, 24h	-[c]
14	**2a**	FeO, PivOH, PhMe, Ar, 100 °C, 24h	-[c]
15	**2a**	$Fe(acac)_3$, PivOH, PhMe, Ar, 100 °C, 24h	**4ab** (8)
16	**2a**	$FeBr_2$, PivOH, dioxane, Ar, 100 °C, 24h	**4ab** (11)
17	**2a**	$Fe(acac)_3$, PivOH, DMF, Ar, 100 °C, 24h	**4ab** (7)
18	**2a**	$FeCl_3$, PivOH, DMSO, Ar, 100 °C, 24h	**4ab** (10)
19	**2a**	$FeBr_2$, PivOH, H_2O, Ar, 100 °C, 24h	-[c]
20	**2a**	$Fe(acac)_3$, PivOH, EtOH, Ar, 100 °C, 24h	**4ab** (7)
21	**2a**	$FeCl_3$, PivOH, PEG-400, Ar, 100 °C, 24h	-[c]
24	**2a**	$Fe(acac)_3$, PivOH, DMA, Ar, 100 °C, 24h	**4ab** (9)
25	**2a**	$Fe(acac)_3$, PivOH, DEC, Ar, 100 °C, 24h	**4ab** (15)
26	**2a**	$Fe(acac)_3$, PivOH, DEC, Air, 100 °C, 24h	**4ab** (83)
27	**2a**	$Fe(acac)_3$, PivOH, DEC, O_2, 100 °C, 24h	**4ab** (91)
28	**2a**	$Fe(acac)_2$, PivOH, DEC, O_2, 100 °C, 24h	**4ab** (89)
29	**2a**	$FeCl_3$, PivOH, DEC, O_2, 100 °C, 24h	**4ab** (59)
30	**2a**	$Fe(acac)_3$, PivOH, DEC, O_2, 100 °C, 12h	**4ab** (79)
31	**1a**	$Fe(acac)_3$, PivOH, DEC, O_2, 100 °C, 24h	**4aa** (90)
32[d]	**1a**	$Fe(acac)_3$, PivOH, DEC, O_2, 100 °C, 24h	**4aa** (79)
33[e]	**1a**	$Fe(acac)_3$, PivOH, DEC, O_2, 100 °C, 24h	**4aa** (59)
34	**1a**	$Fe(acac)_3$, PivOH, DEC, Air, 100 °C, 24h	**4aa** (90)
35	**1a**	$Fe(acac)_3$, PivOH, DEC, Ar, 100 °C, 24h	**4aa** (50)
36	**1a**	$Fe(acac)_3$, PivOH, DEC, O_2, 80 °C, 24h	**4aa** (90)
37	**1a**	$Fe(acac)_3$, PivOH, DEC, O_2, 60 °C, 24h	**4aa** (84)
38[f]	**1a**	$Fe(acac)_3$, PivOH, DEC, O_2, 80 °C, 24h	**4aa** (36)
39	**2a**	$Fe(acac)_3$, PivOH, DEC, O_2, 80 °C, 24h	**4ab** (39)
40[g]	**2a**	$Fe(acac)_3$, PivOH, DEC, O_2, 100 °C, 24h	**4ab** (98)
41[h]	**1a**	$Fe(acac)_3$, PivOH, DEC, O_2, 80 °C, 24h	**4aa** (92)
42[g,h]	**2a**	$Fe(acac)_3$, PivOH, DEC, O_2, 100 °C, 24h	**4ab** (57)
43[i]	**1a**	$Fe(acac)_3$, DEC, O_2, 80 °C, 24h	**4aa** (52)
44[g,i]	**2a**	$Fe(acac)_3$, DEC, O_2, 100 °C, 24h	**4ab** (12)
45	**1a**	PivOH, DEC, O_2, 80 °C, 24h	**4aa** (15)
46[g]	**2a**	PivOH, DEC, O_2, 100 °C, 24h	**4ab** (9)
47[g,j]	**2a**	$Fe(acac)_3$, PivOH, DEC, O_2, 100 °C, 24h	**4aa** (33)
48[h,j]	**1a**	$Fe(acac)_3$, PivOH, DEC, O_2, 80 °C, 24h	**4ab** (25)
49[g,k]	**2a**	$Fe(acac)_3$, PivOH, DEC, O_2, 100 °C, 24h	**4aa** (45)
50[h,k]	**1a**	$Fe(acac)_3$, PivOH, DEC, O_2, 80 °C, 24h	**4ab** (70)

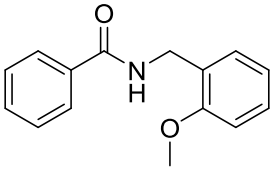
[a] Reaction conditions: **1a** or **2a** (0.54 mmol), amine **3a** (1.5 equiv.), addit. (1 equiv.), [Fe] (0.01 mol%), solvent (1 mL/mmol of **1a**/**2a**), Ar, Air or O_2 (1 atm), T, 24h. [b] Isolated yield. [c] Only traces of target amide were detected. [d] [M] (10^{-3} mol%). [e] [M] (10^{-4} mol%). [f] Amine **3a** (1.0 equiv.). [g] Amine **3a** (2.0 equiv.). [h] 0.5 equiv. of PivOH were added. [i] No PivOH was added. [j] DEC (2 mL/mmol of **1a**/**2a**). [k] DEC (0,5 mL/mmol of **1a**/**2a**).

7. General procedure for the iron-catalyzed acylation of amines with esters under oxygenated conditions

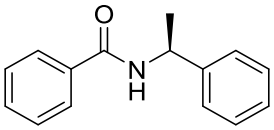
A screw-capped tube equipped with a magnetic stirrer bar was charged with the ester **1** (0.54 mmol), amine **3** (0.81 mmol), pivalic acid (0.27 mmol), $Fe(acac)_3$ (20 µL of a 2.7 x 10^{-3} M solution in DEC, 5.4 x 10^{-5} mmol) and DEC (0.54 mL). The system was purged with molecular oxygen, and an oxygen-filled balloon (1-1.2 atm) was connected. The mixture was heated at 80 ºC under stirring for 48 h. The reaction outcome was monitored by ^{1}H-NMR. Upon completion, the mixture was cooled down to room temperature, and extracted with aqueous 1M HCl (1 x 10 mL) and water (1 x 10 mL). The aqueous layer was extracted with EtOAc (3 x 10 mL). The combined organic layers were washed with brine (10 mL), dried over anhydrous Na_2SO_4 and the solvent was evaporated *in vacuo* to provide a residue which was purified by flash column chromatography using petroleum ether:ethyl acetate as eluent. Caution: Care should be taken when heating vessels containing flammable solvents in the presence of high concentrations of dioxygen, as the combination of organic solvent and oxygen gas can represent a significant safety hazard. Please operate away from any potential ignition source. The following amides **4** were obtained by this procedure:



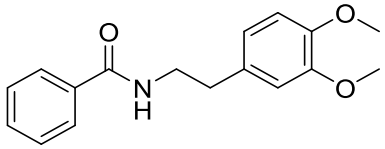
***N*-Benzylbenzamide** (**4aa**).[7] It was prepared following the above general procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and benzylamine (83 µL, 0.81 mmol) to provide **4aa** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a white powder (105 mg, 92%). Rf: 0.28 (petroleum ether: EtOAc, 7:3); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.82-7.69 (m, 2H), 7.49-7.25 (m, 8H), 6.76 (bs, 1H), 4.61 (d, *J*=6, 2H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 167.5, 138.3, 134.4, 131.5, 128.7, 128.6, 127.9, 127.6, 127.1, 44.1; LRMS (m/z): 212.1 (MH^+)



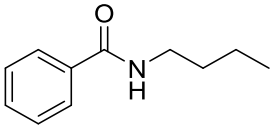
***N*-(2-Methoxybenzyl)benzamide** (**4ac**).[8] It was prepared following the above procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and 2-methoxybenzylamine (106 µL, 0.81 mmol) to provide **4ac** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a brownish powder (94 mg, 72%). Rf: 0.23 (petroleum ether:EtOAc 7:3); Mixture of rotamers. Major isomer A: ^{1}H-NMR ($CDCl_3$) δ_H: 7.78-7.75 (m, 2H), 7.50-7.23 (m, 5H), 6.96-6.88 (m, 2H), 6.74 (bs, 1H), 4.64 (d, *J*= 5.8, 2H), 3.88 (s, 3H); ^{13}C-NMR ($CDCl_3$) δ_C: 167.2, 157.6, 134.8, 131.3, 129.9, 128.9, 128.5, 126.9, 120.8, 110.4, 55.5, 39.9, 27.6; LRMS (m/z): 242.1 (MH^+). Minor isomer B: ^{1}H-NMR ($CDCl_3$) δ_H: 7.78-7.75 (m, 2H), 7.50-7.23 (m, 5H), 6.96-6.88 (m, 2H), 6.74 (bs, 1H), 4.43 (d, J= 5.8, 2H), 3.85 (s, 3H); ^{13}C-NMR ($CDCl_3$) δ_C: 167.2, 157.6, 134.8, 131.3, 129.6, 128.7, 128.5, 126.2, 120.7, 110.3, 55.3, 39.6, 27.2; LRMS (m/z): 242.1 (MH^+).



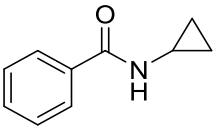
(S)-*N*-(1-Phenethyl)benzamide (**4ad**).[9] It was prepared following the above procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and (*S*)-1-phenylethan-1-amine (104 µL, 0.81 mmol) to provide **4ad** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a white powder (104 mg, 86%). Rf: 0.35 (petroleum ether:EtOAc 7:3); $[\alpha]_{20/D}$: -15.82º, c = 0.4 in $CHCl_3$; ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.78 (d, *J*= 9, 2H), 7.47-7.26 (m, 8H), 6.81 (bs, 1H), 5.32 (q, *J*= 9, 1H), 1.58 (d, *J*= 9, 3H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 166.8, 143.3, 134.6, 131.4, 128.7, 127.1, 127.0, 126.3, 49.3, 21.8; LRMS (m/z): 226.1 (MH^+).



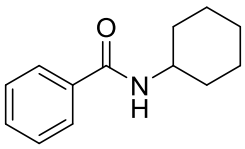
***N*-(3,4-Dimethoxyphenethyl)benzamide** (**4ae**).[10] It was prepared following the above procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and 2-(3,4-dimethoxyphenyl)ethan-1-amine(137 µL, 0.81 mmol) to provide **4ae** after purification by flash chromatography (petroleum ether:EtOAc 5:5) as a yellowish powder (115 mg, 75%). Rf: 0.29 (petroleum ether:EtOAc 5:5); Mixture of rotamers. Major isomer A: ^{1}H-NMR ($CDCl_3$) δ_H: 7.69 (d, *J*= 8, 2H), 7.51-7.36 (m, 3H), 6.82-6.70 (m, 3H), 6.29 (bs, 1H), 3.85 (s, 6H), 2.86 (2H, t, *J* = 7), 3.67 (2H, q, *J* = 6.4), 3.85 (6H, s), 6.29 (1H, s), 6.70-6.82 (3H, m), 7.36-7.51 (3H, m), 7.69 (2H, d, *J* = 8). ^{13}C-NMR ($CDCl_3$) δ_C: 27.6, 35.12, 41.3, 55.9, 111.4, 111.9, 120.7, 126.8, 128.5, 131,4, 134.6, 149.1, 167.5. LRMS (m/z): 286.1 (MH^+). Minor isomer B: ^{1}H-NMR ($CDCl_3$) δ_H: 2.74 (2H, t, J = 7), 3.44 (2H, q, J = 6.4), 3.82 (6H, s), 6.29 (1H, s), 6.70-6.82 (3H, m), 7.36-7.51 (3H, m), 7.69 (2H, d, J = 8). ^{13}C-NMR ($CDCl_3$) δ_C: 27.6, 35.3, 40.7, 55.9, 111.3, 111.9, 120.7, 126.8, 128.5, 131.4, 134.6, 147.7. LRMS (m/z): 286.1 (MH^+)



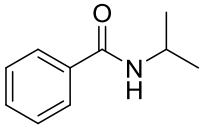
***N*-Butylbenzamide** (**4af**).[11] It was prepared following the above procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and butylamine (80 µL, 0.81 mmol) to provide **4af** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a yellowish oil (74 mg, 89%). Rf: 0.33 (petroleum ether:EtOAc 7:3); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.79-7.76 (m, 2H), 7.45-7.37 (m, 3H), 6.69 (bs, 1H), 3.41 (dd, *J*= 6, 8, 2H), 1.62-1.52 (m, 2H) 1.43-1.31 (m, 2H) 0.93 (t, *J*= 6, 3H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 167.6, 134.8, 131.1, 128.4, 126.9, 39.8, 31.7, 20.1, 13.8; LRMS (m/z): 178.1 (MH^+).



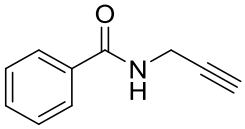
***N*-Cyclopropylbenzamide** (**4ag**).[12] It was prepared following the above procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and butylamine (56 µL, 0.81 mmol) to provide **4ag** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a white powder (81 mg, 93%). Rf: 0.19 (petroleum ether:EtOAc 7:3); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.75-7.72 (m, 2H), 7.41-7.29 (m, 3H), 6.3 (bs, 1H), 2.86-2.80 (m, 1H) 0.79-0.73 (m, 2H) 0.62-0.58 (m, 2H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 169.1, 134.4, 131.4, 128.4, 126.9, 23.2, 6.6; LRMS (m/z): 162.1 (MH^+)



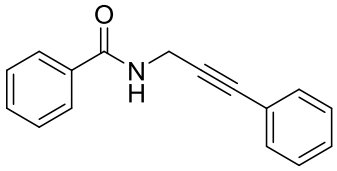
***N*-Cyclohexylbenzamide** (**4ah**).[13] It was prepared following the above procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and cyclohexylamine (93 µL, 0.81 mmol) to provide **4ah** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a white powder (95 mg, 87%). Rf: 0.35 (petroleum ether:EtOAc 7:3); Mixture of rotamers. Major isomer A: ^{1}H-NMR ($CDCl_3$) δ_H: 7.75 (d, J = 7, 2H), 7.51-7.39 (m, 3H), 6.01 (bs, 1H), 4.04-3.92 (m, 1H), 2.01 (d, *J*= 3.5, 2H), 1.75 (dt, *J*= 13.7, 3.9, 2H), 1.30-1.17 (m, 2H); ^{13}C-NMR ($CDCl_3$) δ_C: 166.7, 135.1, 131.2, 128.5, 126.8, 48.7, 27.6, 25.6, 24.9; LRMS (m/z): 204.1 (MH+). Minor isomer B: ^{1}H-NMR ($CDCl_3$) δ_H: 7.75 (d, J = 7, 2H), 7.51-7.39 (m, 3H), 6.01 (bs, 1H), 4.04-3.92 (m, 1H), 2.05 (d, *J*= 3, 2H), 1.65 (dt, *J*= 13, 4, 2H), 1.50-1.37 (m, 2H); ^{13}C-NMR ($CDCl_3$) δ_C: 166.7, 135.1, 131.2, 128.5, 126.8, 48.7, 27.6, 25.6, 24.9; LRMS (m/z): 204.1 (MH+).



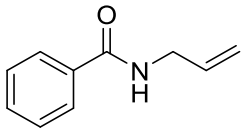
***N*-Isopropylbenzamide** (**4ai**).[14] It was prepared following the above procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and 2-isopropylamine (69 µL, 0.81 mmol) to provide **4ai** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a white powder (58 mg, 66%). Rf: 0.30 (petroleum ether:EtOAc 7:3); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.74 (d, *J*= 6, 2H), 7.45-7.32 (m, 3H), 6.34 (bs, 1H), 4.25 (m (7), *J*= 6, 1H), 1.21 (d, *J*= 6, 6H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 166.8, 134.9, 131.2, 128.4, 126.9, 41.9, 22.7; LRMS (m/z): 164.1 (MH^+).



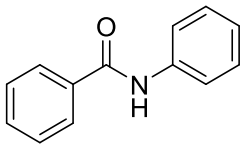
***N*-(Prop-2-yn-1-yl)benzamide** (**4aj**).[15] It was prepared following the above procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and prop-2-yn-1-amine (52 µL, 0.81 mmol)to provide **4aj** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a yellowish powder (67 mg, 78%). Rf: 0.20 (petroleum ether:EtOAc 7:3); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.79 (d, *J*= 6, 2H), 7.52-7.38 (m, 3H), 6.67 (bs, 1H), 4.23 (q, *J*= 3, 2H), 2.26 (t, *J*= 3, 1H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 167.3, 133.7, 131.8, 128.6, 127.1, 79.6, 71.7, 29.7; LRMS (m/z): 159.0 (MH^+)



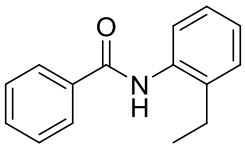
***N*-(3-phenylprop-2-yn-1-yl)benzamide (4ak).**[16] It was prepared following the above procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and 3-phenylprop-2-yn-1-amine (106 mg, 0.81 mmol)to provide **4ak** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a white powder (120 mg, 94%). Rf: 0.43 (petroleum ether:EtOAc 7:3); ^{1}H-RMN ($CDCl_3$) δ_H (ppm): 7.75-7.72 (m, 2H), 7.44-7.38 (m, 1H), 7.35-7.29 (m, 4H), 7.23-7.19 (m, 3H), 6.59 (bs, 1H, NH), 4.39 (d, 2H, *J*= 5.1); ^{13}C-RMN ($CDCl_3$) δ_C (ppm): 167.2, 133.9, 131.8, 131.7, 128.6, 128.5, 128.3, 127.1, 122.6, 84.8, 83.6, 30.6; LRMS (m/z): 235.2 (M^+).



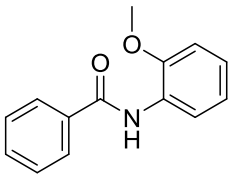
***N*-Allylbenzamide** (**4al**).[15] It was prepared following the above procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and allylamine (61 µL, 0.81 mmol) to provide **4al** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a yellowish oil (74 mg, 85%). Rf: 0.22 (petroleum ether:EtOAc 7:3); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.79 (d, *J*= 9, 2H), 7.45-7.33 (m, 3H), 6.86 (bs, 1H), 5.92-5.83 (m, 1H), 5.23-5.10 (m, 2H), 4.03-4.02 (m, 2H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 167.6, 134.2, 134.2, 131.4, 128.4, 127.1, 116.3, 42.4; LRMS (m/z): 162.1 (MH^+).



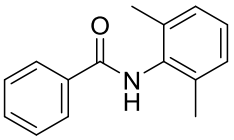
***N*-Phenylbenzamide** (**4am**).[17] It was prepared following the above procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and aniline (74 µL, 0.81 mmol) to provide **4am** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a brownish powder (94 mg, 88%). Rf: 0.42 (petroleum ether: EtOAc, 7:3); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.93 (bs, 1H), 7.87 (d, *J*= 9, 2H), 7.65 (d, *J*= 9, 2H), 7.57-7.45 (m, 3H), 7.37 (t, *J*= 9, 2H), 7.15 (t, *J*= 9, 1H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 165.9, 138.0, 134.0, 131.9, 129.2, 128.8, 127.1, 124.6, 120.3; LRMS (m/z): 198.1 (MH^+).



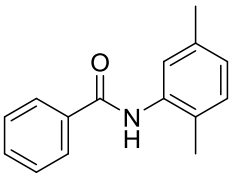
***N*-(2-Ethylphenyl)benzamide** (**4an**).[18] It was prepared following the above procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and 2-ethylaniline (101 µL, 0.81 mmol) to provide **4an** after purification by flash chromatography (DCM 100%) as a white powder (61 mg, 50%). Rf: 0.78 (DCM 100%); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 8.20 (bs, 1H), 7.84 (d, *J*= 6, 2H), 7.57-7.41 (m, 5H) 7.17 (d, *J*= 9, 2H), 2.64 (q, *J*= 9, 2H), 1.24 (t, *J*= 9, 3H). ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 165.9, 135.7, 135.1, 135.0, 131.8, 128.8, 128.6, 127.9, 126.7, 125.8, 124.1, 24.8, 14.0; LRMS (m/z): 226.1 (MH^+).



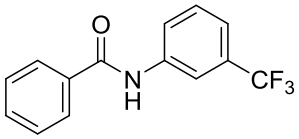
***N*-(2-Methoxyphenyl)benzamide** (**4ao**).[19] It was prepared following the above procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and 2-methoxyaniline (91 µL, 0.81 mmol) to provide **4ao** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a white powder (60 mg, 49%). Rf: 0.37 (petroleum ether:EtOAc 7:3); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 8.56-8.53 (m, 2H), 7.90 (d, *J*= 9, 2H), 7.56-7.48 (m, 3H), 7.12-7.01 (m, 2H), 6.93 (d, *J*= 6, 1H), 3.94 (s, 3H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 165.3, 148.2, 135.3, 131.7, 128.8, 127.8, 127.1, 123.9, 121.2, 119.9, 109.9, 55.8; LRMS (m/z): 228.1 (MH^+).



***N*-(2,6-Dimethylphenyl)benzamide** (**4ap**).[56] It was prepared following the above procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and 3-trifluoromethylaniline (99 µL, 0.81 mmol) to provide **4ap** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a white powder (9 mg, 7%). Rf: 0.50 (petroleum ether:EtOAc 7:3); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 8.04 (bs, 1H), 7.89-7.86 (m, 2H), 7.57-7.51 (m, 1H), 7.44-7.38 (m, 2H), 7.18-7.08 (m, 3H), 2.22 (s, 6H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 166.1, 135.7, 134.4, 134.2, 131.6, 128.6, 128.2, 127.4, 127.3, 18.4; LRMS (m/z): 225.1 (M^+).

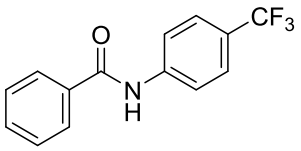


***N*-(2,6-Dimethylphenyl)benzamide** (**4aq**).[57] It was prepared following the above procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and 3-trifluoromethylaniline (100 µL, 0.81 mmol) to provide **4aq** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a brownish powder (69 mg, 57%). Rf: 0.74 (petroleum ether:EtOAc 7:3); H-NMR ($CDCl_3$) δ_H (ppm): 7.92-7.89 (m, 2H), 7.82-7.81 (m, 1H), 7.68 (bs, 1H), 7.62-7.49 (m, 3H), 7.13 (d, *J* = 7.7, 1H), 6.98-6.94 (m, 1H), 2.37 (s, 3H), 2.31 (s, 3H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 165.6, 136.7, 135.6, 135.1, 131.8, 130.3, 128.8, 127.0, 126.1, 126.0, 123.7, 21.2, 17.4; LRMS (m/z): 225.1 (M^+).

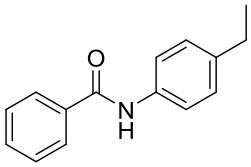


***N*-(3-(Trifluoromethyl)phenyl)benzamide** (**4ar**).[58] It was prepared following the above procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and 3-trifluoromethylaniline (101 µL, 0.81 mmol) to provide **4ar** after purification by flash chromatography (petroleum ether:EtOAc 8:2) as a yellowish powder (76 mg, 53%). Rf: 0.80 (petroleum ether:EtOAc 7:3); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 8.23 (bs, 1H), 7.96 (t, *J*= 1.8, 1H), 7.90-7.85 (m, 3H), 7.60-7.54 (m, 1H) 7.50-7.39 (m, 4H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 166.1, 138.5, 134.3, 132.2, 131.4 (*J* = 32.5), 129.6,

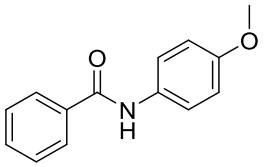
128.8 127.1, 123.8 (*J* = 272.5), 123.4 (*J* = 1.5), 121.1 (*J* = 3.9), 117.1 (*J* = 3.9); LRMS (m/z): 265.0 (M^+).



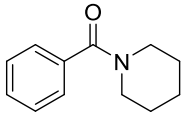
***N*-(4-(Trifluoromethyl)phenyl)benzamide** (**4as**).[58] It was prepared following the above procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and 4-trifluoromethylaniline (101 µL, 0.81 mmol) to provide **4as** after purification by flash chromatography (petroleum ether:EtOAc 6:4) as a yellowish powder (72 mg, 50%). Rf: 0.23 (petroleum ether:EtOAc 7:3); ^{1}H-NMR (CH_3OD) δ_H (ppm): 7.99-7.94 (m, 4H), 7.71-7.66 (m, 2H), 7.66-7.60 (m, 1H) 7.58-7.52 (m, 2H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 167.6, 142.2, 134.6, 131.8, 128.3, 127.3, 128.8 127.1, 125.6 (*J* = 3.8), 124.3 (*J* = 265.1), 120.3; LRMS (m/z): 265.0 (M^+).



***N*-(4-Ethylphenyl)benzamide** (**4at**).[20] It was prepared following the above procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and 4-ethylaniline (101 µL, 0.81 mmol) to provide **4at** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a white powder (117 mg, 96%). Rf: 0.49 (petroleum ether: EtOAc, 7:3); ^{1}H-NMR (CDCl3) δ_H (ppm): 7.89-7.86 (m, 4H), 7.56-7.45 (m, 3H), 7.28-7.14 (m, 3H), 2.68 (q, *J*= 9, 2H) 1.27 (t, *J*= 9, 3H); ^{13}C-NMR (CDCl3) δ_C (ppm): 165.7, 140.7, 135.5, 135.1, 131.7, 128.7, 128.4, 127.0, 120.4, 28.3, 15.7; LRMS (m/z): 226.1 (MH^+)

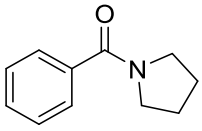


***N*-(4-Methoxyphenyl)benzamide** (**4au**).[21] It was prepared following the above procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and 4-methoxyaniline (93 µL, 0.81 mmol) to provide **4au** after purification by flash chromatography (petroleum ether:EtOAc 3:7) as a brown powder (120 mg, 98%). Rf: 0.27 (petroleum ether: EtOAc, 3:7); ^{1}H-RMN ($CDCl_3$) δ_H (ppm): 7.80-7.77 (m, 3H, H_{arom} + NH), 7.49-7.36 (m, 5H, H_{arom}), 6.85-6.80 (m, 2H, H_{arom}), 3.73 (s, 3H, OCH_3). ^{13}C-RMN ($CDCl_3$) δ_C (ppm): 165.7 (CO), 156.6 (C_{arom}-O), 135.0 (C_{arom}), 131.7 (C_{arom}-H), 131.0 (C_{arom}), 128.8 (C_{arom}-H), 127.0 (C_{arom}-H), 122.2 (C_{arom}-H), 114.2 (C_{arom}-H), 55.5 (OCH_3). LRMS (m/z): 227.1 (M^+).

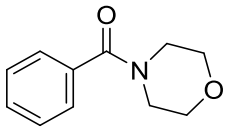


Phenyl(piperidin-1-yl)methanone (**4av**).[22] It was prepared following the above procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and piperidina (80 µL, 0.81 mmol) to provide **4av** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a yellowish oil

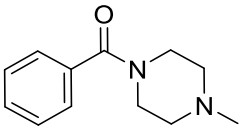
(96 mg, 94%). Rf: 0.30 (petroleum ether:EtOAc 7:3); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.32-7.31 (m, 5H), 3.63 (bs, 2H), 3.27 (s, 2H), 1.59 (s, 4H), 1.45 (s, 2H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 170.2, 136.4, 129.3, 128.3, 126.7, 48.7, 43.0, 26.4, 25.7, 24.5; LRMS (m/z): 189.1 (MH^+)



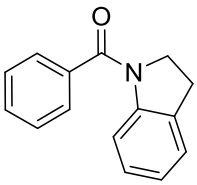
Phenyl(pyrrolidin-1-yl)methanone (**4ax**).[31] It was prepared following the above procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and pyrrolidine (68 µL, 0.81 mmol) to provide **4ax** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a yellowish powder (72 mg, 76%). Rf: 0.32 (petroleum ether:EtOAc 7:3); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.47-7.40 (m, 2H), 7.36-7.28 (m, 3H), 3.57 (t, *J*= 7.5, 2H), 3.34 (t, *J*= 7.5, 2H), 1.92-1.75 (m, 4H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 169.6, 137.2, 129.7, 128.2, 127.0, 49.5, 46.1, 26.3, 24.4; LRMS (m/z); 176.1 (MH^+)



Morpholino(phenyl)methanone (**4ay**).[23] It was prepared following the above procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and morpholine (71 µL, 0.81 mmol) to provide **4ay** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a yellowish powder (58 mg, 56%). Rf: 0.43 (petroleum ether:EtOAc 7:3); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.38 (m, 5H), 3.67-3.45 (m, 8H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 170.4, 135.3, 129.9, 128.5, 127.1, 66.9; LRMS (m/z): 192.1 (MH^+).

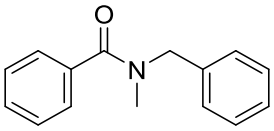


(4-Methylpiperazin-1-yl)(phenyl)methanone (**4az**).[24] It was prepared following the above procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and *N*-methylpiperazine (90 µL, 0.81 mmol) to provide **4az** after purification by flash chromatography (EtOAc:MeOH 9:1) as a yellowish powder (94 mg, 86%). Rf: 0.29 (EtOAc:MeOH 9:1); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.38 (s, 5H), 3.78 (s, 2H), 3.43 (s, 2H), 2.46 (s, 2H), 2.35 (s, 2H), 2.30 (s, 3H); ^{13}C-NMR (DMSO) δ_C (ppm): 169.4, 136.5, 129.9, 128.9, 127.3, 63.7, 46.1, 14.6; LRMS (m/z): 205.1 (MH_+).

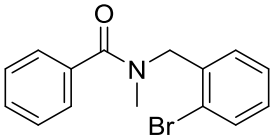


Indolin-1-yl(phenyl)methanone (**4ba**).[25] It was prepared following the above procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and indoline (91 µL, 0.81 mmol) to provide **4ba** after purification by flash chromatography (petroleum ether:DCM 1:9) as a yellowish powder (64 mg, 53%). Rf: 0.30 (petroleum ether:DCM 1:9); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.57 (d, *J*= 5.9, 2H), 7.47 (t, *J*= 7.2, 2H), 7.25-7.16 (m, 4H), 7.07 (bs, 1H), 6.990-6.84 (m, 2H), 4.11 (bs, 2H), 3.12 (t, *J*= 8.2, 2H);

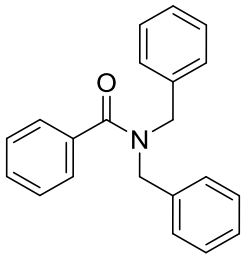
^{13}C-NMR (DMSO) δ_C (ppm): 169.0, 142.6, 137.0, 130.3, 129.4, 128.6, 127.3, 127.1, 124.9, 123.9, 50.9 (HSQC), 28.7 (HSQC); LRMS (m/z): 224.1 (MH^+).



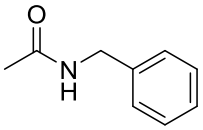
***N*-Benzyl-*N*-methylbenzamide** (**4bb**).[23] It was prepared following the above procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and *N*-methylbenzylamine (104 µL, 0.81 mmol) to provide **4bb** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a yellowish powder (109 mg, 89%). Rf: 0.25 (petroleum ether:EtOAc 7:3); Mixture of rotamers: Isomer A: ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.48-7.18 (m, 10H), 4.76 (s, 2H), 3.03 (s, 3H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 172.3, 136.2, 129.7, 128.8, 128.5, 128.2, 128.0, 126.9, 55.2, 37.0; LRMS (m/z): 226.1 (MH^+). Isomer B: ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.48-7.18 (m, 10H), 4.51 (s, 2H), 2.86 (s, 3H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 171.6, 136.2, 129.7, 128.8, 128.5, 128.2, 128.0, 126.9, 50.8, 33.2; LRMS (m/z): 226.1 (MH^+).



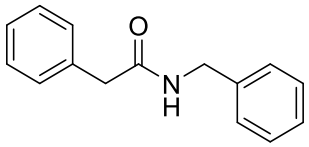
***N*-(2-Bromobenzyl)-N-methylbenzamide** (**4bc**).[26] It was prepared following the above procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and 1-(2-bromophenyl)-*N*-methylmethanamine (120 µL, 0.81 mmol) to provide **4bc** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a colorless oil (129 mg, 79%). Rf: 0.258 (petroleum ether:EtOAc 7:3); Mixture of rotamers: Major isomer A: ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.56-7.26 (m, 8H), 7.19-7.13 (m, 1H), 4.88 (s, 2H), 3.09 (s, 3H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 172.6, 135.8,129.8, 129.1, 128.5, 127.9, 127.1, 126.7, 55.6, 37.4; LRMS (m/z): 303.1 (MH^+). Minor isomer B: ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.56-7.26 (m, 8H), 7.19-7.13 (m, 1H), 4.56 (s, 2H), 2.90 (s, 3H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 171.8, 133.1, 129.3, 129.1, 128.5, 127.9, 127.1, 126.7, 50.8, 33.7; LRMS (m/z): 303.1 (MH^+).



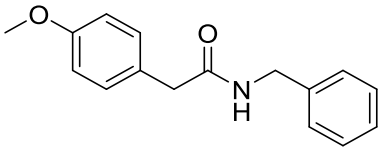
***N,N*-Dibenzylbenzamide** (**4bd**).[27] It was prepared following the above procedure starting from phenyl benzoate (107 mg, 0.54 mmol) and *N,N*-dibenzylamine (155 µL, 0.81 mmol) to provide **4bd** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a white powder (92 mg, 57%). Rf: 0.38 (petroleum ether:EtOAc 7:3); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.53-7.48 (m, 2H), 7.43-7.31 (m, 1H), 7.17 (m, 2H), 4.73 (s, 2H), 4.42 (s, 2H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 172.3, 136.5, 129.7, 128.8, 128.6, 128.4, 127.6, 127.1, 126.7, 51.5, 46.9; LRMS: (m/z): 302.1 (MH^+).



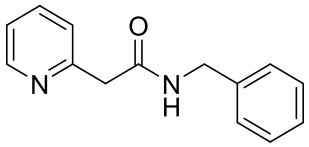
***N*-Benzylacetamide** (**4ab**).[28] It was prepared following the above procedure starting from phenyl acetate (479 μL, 3.78 mmol) and benzylamine (55 μL, 0.54 mmol) to provide **4ab** after purification by flash chromatography (petroleum ether:EtOAc 3:7) as a white powder (88 mg, 99%). **4ab** (78 mg, 97%) was also prepared from methyl acetate (300 mL, 3.78 mmol) and benzylamine (55 μL, 0.54 mmol). **4ab** (53 mg, 68%) was also prepared from ethyl acetate (369 μL, 3.78 mmol) and benzylamine (55 μL, 0.54 mmol). **4ab** (54mg, 68%) was also prepared from trimethylsilyl acetate (569 μL, 3.78 mmol) and benzylamine (55 μL, 0.54 mmol). Rf: 0.34 (petroleum ether:EtOAc 3:7); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.35-7.25 (m, 5H), 5.97 (bs, 1H), 4.41 (d, *J*= 5.7, 2H), 2.00 (s, 3H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 170.2, 138.2, 128.7, 127.8, 127.5, 43.7, 23.6; LRMS (m/z): 150.1 (MH^+).



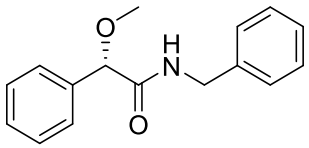
***N*-Benzyl-2-phenylacetamide** (**4be**).[29] It was prepared following the above procedure starting from phenyl 2-phenylacetate (115 mg, 0.54 mmol) and benzylamine (83 μL, 0.81 mmol) to provide **4be** after purification by flash chromatography (petroleum ether:EtOAc 5:5) as a white powder (66 mg, 54%). **4be** (88 mg, 72%) was also prepared from methyl 2-phenylacetate (58 mL, 0.54 mmol) and benzylamine (83 mL, 0.81 mmol). Rf: 0.43 (petroleum ether:EtOAc 5:5); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.34-7.17 (m, 10H), 6.12 (bs, 1H), 4.38 (d, *J*= 6, 2H), 3.58 (s, 2H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 171.0, 138.3, 135.0, 129.4, 129.0, 128.6, 127.5, 127.4, 127.3, 43.7, 43.6; LRMS (m/z): 226.1 (MH^+).



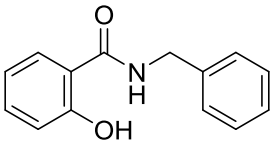
***N*-Benzyl-2-(4-methoxyphenyl)acetamide** (**4bf**).[30] It was prepared following the above procedure starting from methyl 2-(4-methoxyphenyl)acetate (86 μL, 0.54 mmol) and benzylamine (83 μL, 0.81 mmol) to provide **4bf** after purification by flash chromatography (petroleum ether:EtOAc 5:5) as a white powder (90 mg, 66%). Rf: 0.33 (petroleum ether:EtOAc 5:5); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.33-7.22 (m, 3H), 7.19-7.17 (m, 4H), 6.90-6.85 (m, 2H), 5.75 (s, 1H), 4.40 (d, *J*=5.8, 2H), 3.79 (s, 3H), 3.56 (s, 2H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 171.3, 158.9, 138.2, 130.5, 128.6, 127.5, 127.4, 126.7, 114.5, 55.3, 43.5, 42.9; LRMS (m/z): 256.1 (MH^+).



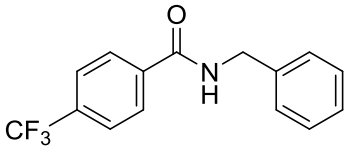
***N*-Benzyl-2-(pyridin-2-yl)acetamide** (**4bg**).[31] It was prepared following the above procedure starting from methyl 2-(pyridin-2-yl)acetate (73µL, 0.54 mmol) and benzylamine (83 µL, 0.81 mmol) to provide **4bg** after purification by flash chromatography (petroleum ether:EtOAc 3:7) as an orange powder (86 mg, 70%). However, a slight modification of the work-up procedure was required. Instead of adding the aqueous 1M HCl (10 mL) solution, an aqueous solution of NaOH (1M) was added. Rf: 0.21 (petroleum ether:EtOAc 3:7); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 8.47 (s, 1H), 7.81 (s, 1H), 7.63 (t, *J*= 6.7, 1H), 7.27-7.15 (m, 7H), 4.43 (d, *J*= 4.6, 2H), 3.76 (s, 3H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 169.3, 155.6, 149.0, 138.4, 137.2, 128.5, 127.5, 127.2, 124.1, 122.1, 45.2, 43.4; LRMS (m/z): 227.1 (MH^+).



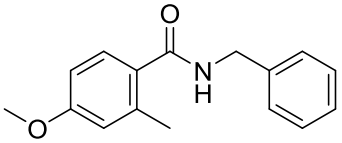
(S)-*N*-Benzyl-2-methoxy-2-phenylacetamide (**4bh**).[32] It was prepared following the above procedure starting from phenyl (*S*)-2-methoxy-2-phenylacetate (65 mg, 0.27 mmol) and benzylamine (41 µL, 0.40 mmol) to provide **4bh** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a yellowish powder (114 mg, 83%). Rf: 0.20 (petroleum ether:EtOAc 7:3); $[\alpha]_{20/D}$ +18.16º, c = 0.006 in $CHCl_3$; Mp: 84-86 ºC (EtOAc); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.44-7.26 (m, 10H), 7.09 (bs, 1H), 4.69 (t, *J*= 5.5, 2H), 3.35 (s, 3H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 170.5, 138.2, 137.1, 128.7, 128.6, 128.5, 127.8, 127.5, 127.1, 83.8, 57.2, 43.1; LRMS (m/z): 256.1 (MH^+); HRMS: Calculated for: $C_{16}H_{18}NO_2$ 256.1332, found: 256.1331.



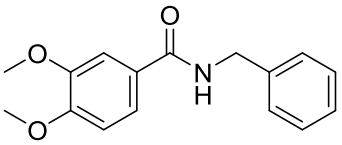
***N*-Benzyl-2-hydroxybenzamide** (**4bi**).[33] It was prepared following the above procedure starting from phenyl 2-hydroxybenzoate (116 mg, 0.54 mmol) and benzylamine (83 µL, 0.81 mmol) to provide **4bi** after purification by flash chromatography (petroleum ether:EtOAc 5:5) as a white powder (81 mg, 66%). Rf: 0.50 (petroleum ether:EtOAc 5:5); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.42-7.34 (m, 7H), 7.00 (d, *J*= 9, 1H), 6.83 (t, *J*= 6, 1H), 6.60 (bs, 1H), 4.64 (d, J=6, 2H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 169.8, 161.6, 137.4, 134.4, 128.9, 127.9, 125.3, 118.7, 114.1, 43.7; LRMS (m/z): 228.1 (MH^+).



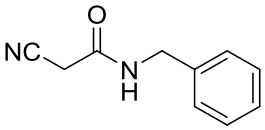
***N*-Benzyl-4-(trifluoromethyl)benzamide** (**4bj**).[34] It was prepared following the above procedure starting from phenyl 4-(trifluoromethyl)benzoate (144 mg, 0.54 mmol) and benzylamine (83 µL, 0.81 mmol) to provide **4bj** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a yellowish powder (62 mg, 55%). Rf: 0.42 (petroleum ether:EtOAc 7:3); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.91-7.84 (m, 2H), 7.71-7.68 (m, 2H), 7.36 (s, 5H), 6.44 (s, 1H), 4.66 (d, *J*= 5.2, 2H). ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 166.1, 137.7, 133.3 (*J*= 32), 128.9, 128.7, 128.5, 128.0, 127.8, 127.8, 127.5, 125.6 (*J*= 3.7), 123.6 (*J*=272), 44.3. LRMS (m/z): 280.1 (MH^+).



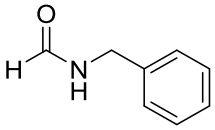
***N*-Benzyl-4-methoxy-2-methylbenzamide** (**4bk**). It was prepared following the above procedure starting from phenyl 4-methoxy-2-methylbenzoate (131 mg, 0.54 mmol) and benzylamine (83 μL, 0.81 mmol) to provide **4bk** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a white powder (115 mg, 83%). Rf: 0.18 (petroleum ether:EtOAc 7:3); Mp: 133-135^{o}C (EtOAc); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.35-7.26 (m, 6H), 6.73-6.66 (m, 2H), 6.12 (bs, 1H), 4.59 (d, *J*= 5.7, 2H), 3.79 (s, 3H), 2.46 (s, 3H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 169.6, 160.7, 138.7, 138.4, 128.7, 128.5, 127.8 , 127.5, 116.5, 110.8, 55.23, 43.9, 20.4; LRMS (m/z): 256.1 (MH^+); HRMS: [M^+] calc. for $C_{16}H_{17}NO_2$: 255.13; found 255.1259.



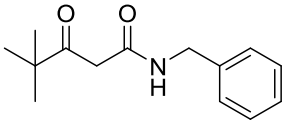
***N*-Benzyl-3,4-dimethoxybenzamide** (**4bl**).[35] It was prepared following the above procedure starting from phenyl 3,4-dimethoxybenzoate (134 mg, 0.54 mmol) and benzylamine (83 μL, 0.81 mmol) to provide **4bl** after purification by flash chromatography (petroleum ether:EtOAc 3:7) as a white powder (91 mg, 62%). Rf: 0.50 (petroleum ether:EtOAc 3:7); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.44-7.28 (m, 7H), 6.89-6.76 (m, 2H), 4.56 (d, *J*= 5.8, 2H), 3.85 (s, 3H), 3.82 (s, 3H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 167.1, 151.7, 148.9, 138.5, 128.6, 127.8, 127.4, 126.9, 119.6, 110.6, 110.3, 55.9, 55.9, 44.0; LRMS (m/z): 272.1 (MH^+).



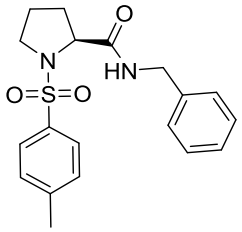
***N*-Benzyl-2-cyanoacetamide** (**4bm**).[36] It was prepared following the above procedure starting from ethyl 2-cyanoacetate (58 μL, 0.54 mmol) and benzylamine (83 μL, 0.81 mmol) to provide **4bm** after purification by flash chromatography (petroleum ether:EtOAc 5:5) as a white powder (52 mg, 54%). Rf: 0.35 (petroleum ether:EtOAc 5:5); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.36-7.29 (m, 5H), 6.50 (bs, 1H), 4.46 (d, *J*= 6, 2H), 3.38 (s, 2H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 160.8, 136.8, 128.9, 128.1, 128.0, 114.7, 44.4, 25.8; LRMS (m/z): 175.1 (MH^+)



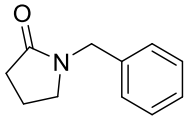
***N*-Benzylformamide** (**4bn**).[37] It was prepared following the above procedure starting from butyl formate (62 μL, 0.54 mmol) and benzylamine (83 μL, 0.81 mmol) to provide **4bn** after purification by flash chromatography (petroleum ether:EtOAc 3:7) as a white powder (42 mg, 57%). Rf: 0.29 (petroleum ether:EtOAc 3:7); Mixture of rotamers. Major isomer A: ^{1}H-NMR ($CDCl_3$) δ_H: 8.24 (s, 1H), 7.37-7.23 (m, 5H), 6.01 (bs, 1H), 4.47 (d, *J* = 6, 2H); ^{13}C-NMR ($CDCl_3$) δ_C: 161.1, 137.6, 128.8, 127.7, 127.6, 42.1;. LRMS (m/z): 136.1 (MH^+). Minor isomer B: ^{1}H-NMR ($CDCl_3$) δ_H: 8.16 (d, *J*= 11.8, 1H), 7.37-7.23 (m, 5H), 6.01 (bs, 1H), 4.40 (d, *J*= 6, 2H); ^{13}C-NMR ($CDCl_3$) δ_C: 164.6, 137.5, 128.9, 127.9, 126.9, 45.5; LRMS (m/z): 136.1 (MH^+)



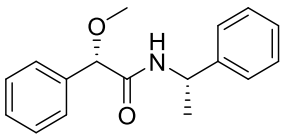
***N*-Benzyl-4,4-dimethyl-3-oxopentanamide** (**4bo**). It was prepared following the above procedure starting from ethyl 4,4-dimethyl-3-oxopentanoate (673 μL, 3.78 mmol) and benzylamine (59 μL, 0.54 mmol) to provide **4bo** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a yellowish powder (123 mg, 98%). Rf: 0.30 (petroleum ether:EtOAc 7:3); Mp: 65-68^{o}C (EtOAc); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.53 (s, 1H), 7.27-7.21 (m, 5H), 4.38 (d, *J*= 5.7, 2H), 3.44 (s, 2H), 1.10 (s, 9H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 211.2, 166.3, 138.1, 128.6, 127.6, 127.1, 43.6, 43.4, 25.9. LRMS (m/z): 234.1 (MH^+). HRMS: Calculated for: $C_{16}H_{17}NO_2$ 255.1259, found: 255.1257.



(S)-*N*-Benzyl-1-tosylpyrrolidine-2-carboxamide (**4bp**). It was prepared following the above procedure starting from phenyl tosyl-*L*-prolinate (215 mg, 0.62 mmol) and benzylamine (95 μL, 0.93 mmol) to provide **4bp** after purification by flash chromatography (petroleum ether:EtOAc 5:5) as a yellowish powder (173 mg, 78%). Rf: 0.36 (petroleum ether:EtOAc 5:5); $[\alpha]_{20/D}$ -113.8^{o}, c = 0.025 in $CHCl_3$; Mp: 116-119^{o}C (EtOAc); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.72 (d, *J*= 8.2, 2H), 7.37-7.15 (m, 8H), 4.50 (dd, *J* = 5.9, 2.2, 2H), 4.14 (dd, *J*= 8.6, 2.8, 1H), 3.53 (m, 1H), 3.17 (m, 1H), 2.44 (s, 3H), 2.22-2.18 (m, 1H), 1.77-1.52 (m, 3H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 171.2, 144.5, 138.0, 132.7, 130.0, 128.7, 127.9, 127.5, 127.4, 62.7, 50.0, 43.6, 30.2, 24.4, 21.6; HRMS: Calculated for: $C_{19}H_{23}N_2O_3S$ 359.1424, found: 359.1424.



1-Benzylpyrrolidin-2-one (**4bq**).[23] It was prepared following the above procedure starting from ethyl 4-bromobutyrate (78 μL, 0.54 mmol) and benzylamine (83 μL, 0.81 mmol) to provide **4bq** after purification by flash chromatography (petroleum ether:EtOAc 3:7) as a yellowish oil (37 mg, 39%). Rf: 0.16 (petroleum ether:EtOAc 3:7); ^{1}H-RMN ($CDCl_3$) δ_H (ppm): 7.35-7.22 (m, 5H), 4.45 (s, 2H), 3.26 (t, *J*= 9, 2H), 2.44 (t, *J*= 9, 2H), 2.01 (q, *J*= 9, 2H); ^{13}C-RMN ($CDCl_3$) δ_C (ppm): 174.9, 136.6, 128.7, 128.1, 127.5, 46.6, 30.9, 17.7.LRMS (m/z): 176.1 (MH^+).



(*S*)-2-Methoxy-2-phenyl-*N*-((*S*)-1-phenylethyl)acetamide (**4br**).[38] It was prepared following the above procedure starting from phenyl (*S*)-2-methoxy-2-phenylacetate (156 mg, 0.64 mmol) and (*S*)-1-phenylethan-1-amine (123 μL, 0.96 mmol) to provide **4br** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a yellowish powder (155 mg, 90%). Rf: 0.27 (petroleum ether:EtOAc 7:3); [α]20/D -4.74^{o}, c = 0.005 in $CHCl_3$; Mp:109-111 ^{o}C (EtOAc); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.46-7.29 (m, 10H), 7.05 (bs, 1H), 5.21-5.11 (m, 1H), 4.64 (s, 1H), 3.36 (s, 3H), 1.52 (d, *J*= 6.9, 3H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 169.6, 143.1, 137.1, 128.7, 128.6, 128.4, 127.4, 127.0, 126.3, 83.7, 57.2, 48.3, 21.7; LRMS (m/z): 270.1 (MH^+).

8. General procedure for the iron-catalyzed acylation of amines with carboxylic acids under oxygenated conditions

A screw-capped tube equipped with a magnetic stirrer bar was charged with the carboxylic acid **2** (0.54 mmol), amine **3** (1.08 mmol), pivalic acid (0.54 mmol), $Fe(acac)_3$ (20 μL of a 2.7 x 10^{-3} M solution in DEC, 5.4 x 10^{-5} mmol) and DEC (0.54 mL). The system was purged with molecular oxygen, and an oxygen-filled balloon (1-1.2 atm) was connected. The mixture was heated at 100 ^{o}C under stirring for 48 h. The reaction outcome was monitored by ^{1}H-NMR. Upon completion, the mixture was cooled down to room temperature, and extracted with saturated aqueous $NaHCO_3$ (1 x 10 mL) and water (1 x 10 mL). The aqueous layer was extracted with EtOAc (3 x 10 mL). The combined organic layers were washed with brine (10 mL), dried over anhydrous Na_2SO_4 and the solvent was evaporated *in vacuo* to provide a residue which was purified by flash column chromatography using petroleum ether:ethyl acetate as eluent. Caution: Care should be taken when heating vessels containing flammable solvents in the presence of high concentrations of dioxygen, as the combination of organic solvent and oxygen gas can represent a significant safety hazard. Please operate away from any potential ignition source. The following amides **4** were obtained by this procedure:

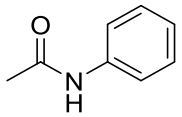
***N*-Benzylbenzamide** (**4aa**).[7] It was prepared following the above procedure starting from benzoic acid (66 mg, 0.54 mmol) and benzylamine (118 μL, 1.08 mmol) to provide **4aa** (83 mg, 78%).

***N*-Butylbenzamide** (**4af**).[11] It was prepared following the above procedure starting from benzoic acid (66 mg, 0.54 mmol) and *N*-butylamine (107 μL, 1.08 mmol) to provide **4af** (70 mg, 74%).

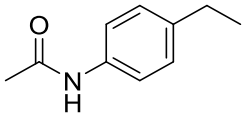
***N*-Cyclopropylbenzamide** (**4ag**).[12] It was prepared following the above procedure starting from benzoic acid (66 mg, 0.54 mmol) and *N*-cyclopropylamine (75 μL, 1.08 mmol) to provide **4ag** (59 mg, 68%).

***N*-Phenylbenzamide** (**4am**).[17] It was prepared following the above procedure starting from benzoic acid (66 mg, 0.54 mmol) and aniline (91 μL, 1.08 mmol) to provide **4am** (85 mg, 75%).

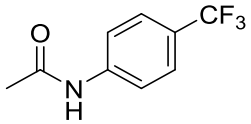
***N*-Benzylacetamide** (**4ab**).[28] It was prepared following the above procedure starting from acetic acid (31 μL, 0.54 mmol) and benzylamine (118 μL, 1.08 mmol) to provide **4ab** (79 mg, 98%).



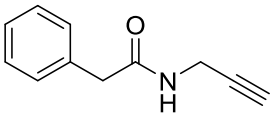
***N*-Phenylacetamide** (**4bs**).[37] It was prepared following the above procedure starting from acetic acid (31 μL, 0.54 mmol) and aniline (91 μL, 1.08 mmol) to provide **4bs** after purification by flash chromatography (petroleum ether:EtOAc 5:5) as a yellowish powder (62 mg, 85%). Rf: 0.24 (petroleum ether:EtOAc 5:5); ^{1}H-NMR ($CDCl3$) δ_H (ppm):2.14 (3H, s), 7.08 (1H, t, *J* = 7.5), 7.28 (2H, t, *J* = 7.5), 7.51 (2H, d, *J* = 6). ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 24.4, 120.1, 124.3, 128.9, 138.1, 168.9. LRMS (m/z): 136.1(MH^+).



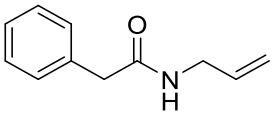
***N*-(4-Ethylphenyl)acetamide** (**4bt**).[39] It was prepared following the above procedure starting from acetic acid (31 μL, 0.54 mmol) and 4-ethylaniline (134 μL, 1.08mmol) to provide **4bt** after purification by flash chromatography (petroleum ether:EtOAc 6:4) as a white powder (81 mg, 92%). Rf: 0.30 (petroleum ether:EtOAc 6:4); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.36 (d, *J*= 8.1, 2H), 7.10 (d, *J*= 8, 2H), 2.57 (q, *J*= 7.6, 1H), 2.12 (s, 3H), 1.18 (t, *J*= 7.6, 3H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 168.4, 140.4, 135.5, 128.3, 120.2, 28.3, 24.5, 15.6; LRMS (m/z): 164.1 (MH^+).



***N*-(4-(Trifluoromethyl)phenyl)acetamide** (**4bu**).[59] It was prepared following the above procedure starting from acetic acid (107 mg, 0.54 mmol) and 3-trifluoromethylaniline (135 μL, 1.08 mmol) to provide **4bu** after purification by flash chromatography (petroleum ether:DCM 5:5) as a white powder (22 mg, 20%). Rf: 0.46 (petroleum ether:DCM 7:3); ^{1}H-NMR (CH_3OD) δ_H (ppm): 7.78-7.75 (m, 2H), 7.63-7.59 (m, 2H), 2.17 (s, 3H); ^{13}C-NMR (CH_3OD) δ_C (ppm): 170.6, 142.1, 125.6 (*J* = 3.7), 125.1 (*J* = 32.5), 124.3 (*J* = 269.5), 119.2, 22.5. LRMS (m/z): 204.1 (MH^+).

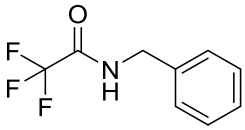


2-Phenyl-*N*-(prop-2-yn-1-yl)acetamide (**4bv**).[40] It was prepared following the above procedure starting from but-3-ynoic acid (45.4 mg, 0.54 mmol) and prop-2-yn-1-amine (69 μL, 1.08 mmol) to provide **4bv** after purification by flash chromatography (petroleum ether:EtOAc 4:6) as a brownish powder (62 mg, 66%). Rf: 0.41 (petroleum ether:EtOAc 4:6); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.39-7.25 (m, 5H), 5.61 (bs, 1H), 4.02 (s, 2H), 3.60 (s, 2H), 2.18 (s, 1H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 170.6, 134.4, 129.5, 129.1, 127.5, 79.3, 71.6, 43.5, 29.3; LRMS (m/z): 174.1 (MH^+).

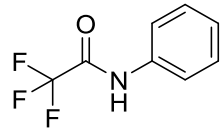


***N*-Allyl-2-phenylacetamide** (**4bx**).[41] It was prepared following the above procedure starting from but-3-ynoic acid (45.4 mg, 0.54 mmol) and allylamine (81 μL, 1.08 mmol) to provide **4bx** after purification by flash chromatography (EtOAc 100%) as an orange powder (70 mg, 81%). Rf: 0.54 (EtOAc 100%); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.39-7.35 (m, 5H), 5.83-5.70 (m, 1H), 5.08 (q, *J*= 1.5, 1H), 5.03 (dq, *J*= 8.8, 1.5, 1H), 3.84 (tt, *J*= 5.7, 1.6, 2H), 3.60 (s, 2H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 170.8, 134.8, 134.0, 129.5, 129.1, 127.4, 116.1, 43.8, 41.9; LRMS (m/z): 162.1 (MH^+).

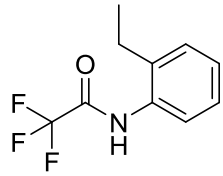
(S)-*N*-Benzyl-2-methoxy-2-phenylacetamide (**4bh**).[32] It was prepared following the above procedure starting from (*S*)-2-methoxy-2-phenylacetic acid (90 mg, 0.54 mmol) and benzylamine (118 μL, 1.08 mmol) to provide **4bh** (91 mg, 66%).



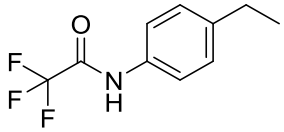
***N*-Benzyl-2,2,2-trifluoroacetamide** (**4by**).[42] It was prepared following the above procedure starting from trifluoroacetic acid (TFA, 41 μL, 0.54 mmol) and benzylamine (118 μL, 1.08 mmol) to provide **4by** after purification by flash chromatography (petroleum ether:EtOAc 9:1) as an orange powder (64 mg, 59%). Rf: 0.27 (petroleum ether:EtOAc 9:1); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.40-7.27 (m, 5H), 6.96 (bs, 1H), 4.49 (d, *J*= 6, 2H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 157.3 (*J*= 37), 135.9, 129.0, 128.3, 127.9, 115.9 (*J*= 288), 43.9; LRMS (m/z): 204 (MH^+).



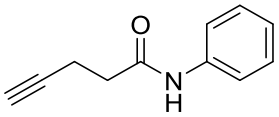
2,2,2-Trifluoro-*N*-phenylacetamide (**4bz**).[43] It was prepared following the above procedure starting from TFA (41 μL, 0.54 mmol) and aniline (91 μL, 1.08 mmol) to provide **4bz** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a yellowish powder (55 mg, 54%). Rf: 0.34 (petroleum ether:EtOAc 7:3); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 8.10 (bs, 1H), 7.57 (d, *J*= 7.9, 2H), 7.38 (t, *J*= 7.9, 2H), 7.25 (d, *J*= 7.9, 1H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 154.9 (*J*= 37), 135.1, 129.3, 126.4, 120.6, 115.4 (*J*= 288); LRMS (m/z): 190.0 (MH^+).



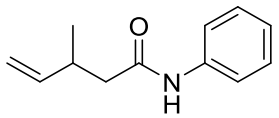
***N*-(2-Ethylphenyl)-2,2,2-trifluoroacetamide** (**4ca**).[44] It was prepared following the above procedure starting from TFA (41 μL, 0.54 mmol) and 2-ethylaniline (134 μL, 1.08 mmol) to provide **4ca** after purification by flash chromatography (petroleum ether:EtOAc 9:1) as a bronwish powder (74 mg, 63%). Rf: 0.31 (petroleum ether:EtOAc 9:1); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.77-7.73 (m, 1H), 7.28-7.26 (m, 3H), 2.62 (q, *J*= 7.6, 2H), 1.26 (t, *J*= 7.6, 3H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 155.5 (*J*= 37), 135.8, 132.1, 129, 127.4, 127, 123.8, 115.9 (*J*= 288), 24.1, 13.8; LRMS (m/z): 218.1 (MH^+).



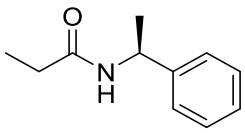
***N*-(4-Ethylphenyl)-2,2,2-trifluoroacetamide** (**4cb**).[45] It was prepared following the above procedure starting from TFA (41 μL, 0.54 mmol) and 4-ethylaniline (134 μL, 1.08 mmol) to provide **4cb** after purification by flash chromatography (petroleum ether:EtOAc 9:1) as a brownish powder (63 mg, 54%). Rf: 0.29 (petroleum ether:EtOAc 9:1); (63 mg, 54%); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.96 (bs, 1H), 7.46 (d, *J*= 8.4, 2H), 7.21 (d, *J*= 8.3, 2H), 2.65 (q, *J*= 7.6, 2H), 1.23 (t, *J*= 7.6, 3H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 154.7 (*J*= 37), 142.6, 132.7, 128.7, 120.6, 115.7 (*J*= 288), 28.4, 15.5; LRMS (m/z): 218.1 (MH^+).



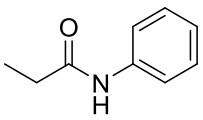
***N*-Phenylpent-4-ynamide** (**4cc**).[46] It was prepared following the above procedure starting from 4-pentynoic acid (53 mg, 0.54 mmol) and aniline (91 μL, 1.08 mmol) to provide **4cc** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a brownish powder (64 mg, 68%). Rf: 0.31 (petroleum ether:EtOAc 7:3); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.51 (d, *J*= 8.1, 2H), 7.32 (t, *J*= 7.9, 2H), 7.18-7.05 (m, 1H), 2.65 (m, 4H), 2.06 (t, *J*= 2.6, 1H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 169.3, 137.7, 129.1, 129, 124.5, 119.9, 82.8, 69.8, 36.3, 14.8; LRMS (m/z): 174.1 (MH^+).



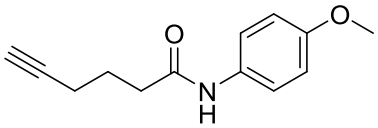
3-Methyl-N-phenylpent-4-enamide (**4cd**).[47] It was prepared following the above procedure starting from 3-methyl-4-pentynoic acid (62 mg, 0.54 mmol) and aniline (91 μL, 1.08 mmol) to provide **4cd** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a brownish powder (45 mg, 44%). Rf: 0.37 (petroleum ether:EtOAc 7:3); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.49 (d, *J*= 8, 2H), 7.32 (t, *J*= 8, 2H), 7.18 (bs, 1H), 7.10 (t, *J*= 7.4, 1H), 5.85 (ddd, *J*= 17.3, 10.4, 7, 1H), 5.19-4.96 (m, 2H), 2.80 (p, *J*= 6.9, 1H), 2.36 (qd, *J*= 14.3, 7.2, 2H), 1.13 (d, *J*= 6.8, 3H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 169.9, 142.7, 137.8, 129.0, 124.3, 119.9, 113.9, 44.8, 34.8, 19.7; LRMS (m/z): 190.1 (MH^+).



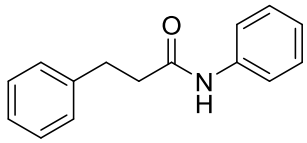
(S)-*N*-(1-Phenylethyl)propionamide (**4ce**).[48] It was prepared following the above procedure starting from propanoic acid (40 μL, 0.54 mmol) and (S)-1-phenylethan-1-amine (139 μL, 1.08 mmol) to provide **4ce** after purification by flash chromatography (petroleum ether:EtOAc 5:5) as a white powder (90 mg, 94%). Rf: 0.43 (petroleum ether:EtOAc 5:5); [α]20/D -17^{o}, c = 1.26 in $CHCl_3$; ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.37-7.23 (m, 5H), 5.74 (bs, 1H), 5.14 (p, *J*= 7.1, 1H), 2.20 (q, *J*= 7.6, 1H), 1.48 (d, *J*= 6.9, 1H), 1.15 (t, *J*= 7.6, 2H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 172.8, 143.3, 128.7, 127.3, 126.2, 48.6, 29.8, 21.7, 9.8; LRMS (m/z): 178.1 (MH^+).



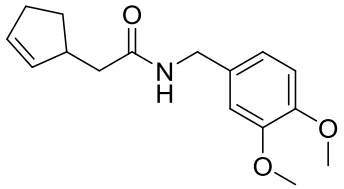
***N*-Phenylpropionamide** (**4cf**).[49] It was prepared following the above procedure starting from propanoic acid (40 μL, 0.54 mmol) and aniline (91 μL, 1.08 mmol) to provide **4cf** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a greyish powder (64 mg, 79%). Rf: 0.22 (petroleum ether:EtOAc 7:3); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.51 (d, *J*= 8, 2H), 7.32 (t, *J*= 8, 2H), 7.18 (bs, 1H), 7.10 (t, *J*= 7.5, 1H), 2.39 (q, *J*= 7.6, 2H), 1.25 (t, *J*= 7.5, 3H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 171.9, 137.9, 129.1, 124.2, 119.73, 30.8, 9.7; LRMS (m/z): 150.1 (MH^+).



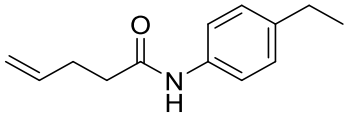
***N*-(4-Methoxyphenyl)hex-5-ynamide** (**4cg**).[50] It was prepared following the above procedure starting from 5-hexynoic acid (60 mg, 0.54 mmol), 4-methoxyaniline (133 mg, 1.08 mmol) and pivalic acid (198 mg, 1.94 mmol) to provide **4cg** after purification by flash chromatography (petroleum ether:EtOAc 6:4) as an blackish powder (61 mg, 52%). Rf: 0.23 (petroleum ether:EtOAc 6:4); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.40 (d, *J*= 8.9, 2H), 7.22 (bs, 1H), 6.84 (d, *J*= 9, 2H), 3.79 (s, 3H), 2.48 (t, *J*= 7.3, 2H), 2.32 (td, *J*= 6.8, 2.7, 2H), 2.01 (t, *J*= 2.6, 1H), 1.94 (t, *J*= 7, 2H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 170.4, 156.4, 130.9, 121.8, 114.1, 83.5, 69.4, 55.5, 35.8, 24.0, 17.8; LRMS (m/z): 218.1 (MH^+).



***N*-3-Diphenylpropanamide** (**4ch**).[51] It was prepared following the above procedure starting from hydrocinnamic acid (81 mg, 0.54 mmol), aniline (91 µL, 1.08 mmol) and pivalic acid (198 mg, 1.94 mmol) to provide **4ch** after purification by flash chromatography (petroleum ether:EtOAc 8:2) as a greyish powder (79 mg, 65%). Rf: 0.34 (petroleum ether:EtOAc 8:2); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.43 (d, *J*= 7.7, 2H), 7.33-7.20 (m, 6H+$CDCl_3$), 7.12-7.06 (m, 2H), 3.05 (t, *J*= 7.5, 2H), 2.66 (t, *J*= 7.5, 2H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 170.4, 140.6, 137.7, 128.9, 128.7, 128.4, 126.4, 124.3, 119.9, 39.5, 31.6; LRMS (m/z): 226.1 (MH^+).

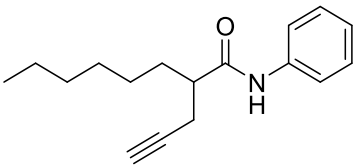


2-(Cyclopent-2-en-1-yl)-*N*-(3,4-dimethoxybenzyl)acetamide (**4ci**). It was prepared following the above procedure starting from 2-cyclopenten-1-acetic acid (68 mg, 0.54 mmol) and 3,4-dimethoxybenzylamine (168 µL, 1.08 mmol) to provide **4ci** after purification by flash chromatography (petroleum ether:EtOAc 5:5) as an off-white powder (61 mg, 41%). Rf: 0.27 (petroleum ether:EtOAc 5:5); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 6.81 (s, 3H), 5.77-5.66 (m, 3H), 4.38 (d, *J*= 5.7, 2H), 3.86 (s, 6H), 3.19-3.10 (m, 1H), 2.48-2.00 (m, 5H), 1.52-1.41 (m, 1H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 171.9, 149.2, 148.5, 133.9, 131.6, 131.1, 120.1, 111.2, 55.9, 55.8, 43.4, 42.9, 42.7, 31.9, 29.6; LRMS (m/z): 276.1 (MH^+); HRMS: Calculated for: $C_{16}H_{21}NO_3$ 275.1521, found 275.1520.



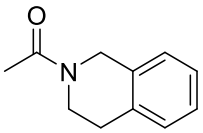
***N*-(4-Ethylphenyl)pent-4-enamide** (**4cj**).[52] It was prepared following the above procedure starting from 4-pentenoic acid (54 mg, 0.54 mmol) and 4-ethylaniline (134 µL, 1.08 mmol) to provide **4cj** after purification by flash chromatography (petroleum ether:EtOAc 8:2) as a brownish powder (60 mg, 55%). Rf: 0.42 (petroleum ether:EtOAc 8:2); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.40 (d, *J*= 8.4, 2H), 7.22 (bs, 1H), 7.14 (d, *J*= 8.4, 2H), 5.93-5.82 (m, 1H), 5.15-5.03 (m, 2H), 2.61

(q, *J*= 7.6, 2H), 2-52-2.42 (m, 4H), 1.21 (t, *J*= 7.6, 3H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 170.5, 140.4, 136.9, 135.4, 128.3, 120.1, 115.9, 36.8, 29.5, 28.3, 15.7; LRMS (m/z): 204.1 (MH^+).

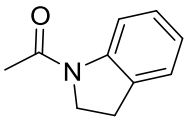


***N*-Phenyl-2-(prop-2-yn-1-yl)octanamide** (**4ck**). It was prepared following the above procedure starting from 2-hexyl-4-pentynoic acid (105 μL, 0.54 mmol) and aniline (91 μL, 1.08 mmol) to provide **4ck** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a yellowish oil (55 mg, 54%). Rf: 0.31 (petroleum ether:EtOAc 7:3); (90 mg, 65%); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.44-7.26 (m, 3H), 7.12-7.08 (m, 2H), 2.66-2.54 (m, 1H), 2.52-2.35 (m, 2H), 2.01 (t, *J*= 2.6, 1H), 1.75-1.65 (m, 2H), 1.31-1.26 (m, 10H), 0.88 (t, *J*= 6, 3H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 179.6, 137.9, 129.3, 124.3, 121.3, 81.3, 69.9, 44.2, 31.6, 31.0, 29.1, 26.8, 22.6, 20.8, 14.0; LRMS (m/z): 258.1 (MH^+); HRMS: Calculated for: $C_{17}H_{24}NO$ 258.1852, found 258.1848.

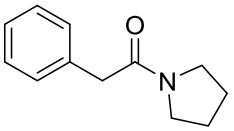
Phenyl(piperidin-1-yl)methanone (**4av**).[22] It was prepared following the above procedure starting from acetic acid (31 μL, 0.54 mmol) and piperidine (107 μL, 1.08 mmol) to provide **4av** (67 mg, 66%).



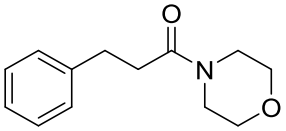
1-(3,4-Dihydroisoquinolin-2(1*H*)-yl)ethan-1-one (**4cl**).[53] It was prepared following the above procedure starting from acetic acid (31 μL, 0.54 mmol) and 1,2,3,4-tetrahydroisoquinoline (135 μL, 1.08 mmol) to provide **4cl** after purification by flash chromatography (petroleum ether:EtOAc 1:9) as an orange powder (66 mg, 70%). Rf: 0.25 (petroleum ether:EtOAc 1:9); Mixture of rotamers, major isomer A: ^{1}H-NMR ($CDCl_3$) δ_H: 2.17 (3H, s), 2-83-2.92 (2H, m), 3.67 (2H, t, *J* = 5.9), 4.72 (2H, s), 7.08-7.22 (4H, m) . ^{13}C-NMR ($CDCl_3$) δ_C: 21.7, 29.4, 44.1, 48.1, 126.4, 126.6, 126.7, 128.3, 133.5, 134.0, 169.6. LRMS (m/z): 176.1 (MH^+). Minor isomer B: ^{1}H-NMR ($CDCl_3$) δ_H: 2.18 (3H, s), 2.83 (2H, m), 3.81 (2H, t, *J* = 5.9), 4.61 (2H, s), 7.08-7.22 (4H, m). ^{13}C-NMR ($CDCl_3$) δ_C: 21.9, 28.5, 39.5, 44.3, 126.0, 126.5, 126.9, 128.9, 132.6, 135.1, 169.5. LRMS (m/z): 176.1 (MH^+).



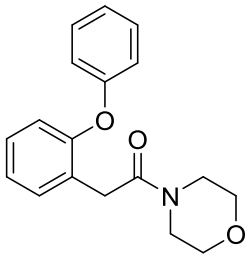
***N*-Acetylindoline** (**4cm**).[54] It was prepared following the above procedure starting from 4-acetic acid (31 μL, 0.54 mmol) and indoline (60 μL, 1.08 mmol) to provide **4cm** after purification by flash chromatography (petroleum ether:EtOAc 7:3) as a brownish powder (78 mg, 90%). Rf: 0.33 (petroleum ether:EtOAc 7:3); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 2.21 (3H, s), 3.18 (2H, t, *J* = 8.5), 4.03 (2H, t, *J* = 8.5), 7.01 (1H, t, *J* = 7), 7.16-7.21 (2H, m), 8.21 (1H, d, *J* = 7). ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 24.2, 28.0, 48.7, 116.9, 123.6, 124.5, 127.5, 131.1, 141.9, 168.7. LRMS (m/z): 162.1 (MH^+).



2-Phenyl-1-(pyrrolidin-1-yl)ethan-1-one (**4cn**).[55] It was prepared following the above procedure starting from phenylacetic acid (74 mg, 0.54 mmol) and pyrrolidine (90 µL, 1.08 mmol) to provide **4cn** after purification by flash chromatography (petroleum ether:EtOAc 3:7) as a yellowish oil (61 mg, 61%). Rf: 0.23 (petroleum ether:EtOAc 3:7); Mixture of rotamers. Isomer A: ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 1.63-1.73 (2H, m), 3.28 (2H, t, *J* = 6), 3.53 (2H, s, CH_2), 7.08-7.22 (5H, m). ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 24.3, 42.1, 46.8, 126.5, 128.4, 129.0, 135.0, 169.3. LRMS (m/z): 190.1 (MH^+). Isomer B: ^{1}H-RMN ($CDCl_3$) δ_H: 1.74-1.81 (2H, m), 3.36 (2H, t, *J* = 6), 3.53 (2H, s), 7.08-7.22 (5H, m). ^{13}C-RMN ($CDCl_3$) δ_C: 26.0, 45.8, 46.8, 126.5, 128.4, 129.0, 135.0, 169.3. LRMS (m/z): 190.1 (MH^+).



1-Morpholino-3-phenylpropan-1-one (**4co**).[27] It was prepared following the above procedure starting from hydrocinnamic acid (81 mg, 0.54 mmol) and morpholine (94 µL, 1.08 mmol) to provide **4co** after purification by flash chromatography (EtOAc:MeOH 9.5:0.5) as a yellowish oil (74 mg, 63%). Rf: 0.45 (EtOAc:MeOH 9.5:0.5); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.32-7.18 (m, 5H), 3.62 (s, 4H), 3.51 (t, *J*= 4.5, 2H), 3.35 (t, *J*= 4.5, 2H), 2.98 (t, *J*= 7.4, 2H), 2.61 (t, *J*= 7.4, 2H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 170.9, 141.1, 128.6, 128.5, 126.3, 66.9, 66.5, 45.9, 41.9, 34.8, 31.5; LRMS: (m/z): 220.1 (MH^+).



1-Morpholino-2-(2-phenoxyphenyl)ethan-1-one (**4cp**). It was prepared following the above procedure starting from 2-(phenoxyohenyl)acetic acid (123.3 mg, 0.54 mmol) and morpholine (94 µL, 1.08 mmol) to provide **4cp** after purification by flash chromatography (petroleum ether:EtOAc 6:4) as a yellowish powder (104 mg, 65%). Rf: 0.23 (petroleum ether:EtOAc 6:4); ^{1}H-NMR ($CDCl_3$) δ_H (ppm): 7.39 (d, *J*= 7.4, 1H), 7.32 (t, *J*= 7.8, 2H), 7.23 (t, *J*= 7.9, 1H), 7.15-7.06 (m, 2H), 6.95-6.87 (m, 3H), 3.72 (s, 2H), 3.59 (s, 4H), 3.48 (s, 4H); ^{13}C-NMR ($CDCl_3$) δ_C (ppm): 169.6, 157.3, 154.0, 130.9, 129.9, 128.5, 126.7, 124.1, 123.2, 119.2, 118, 66.8, 66.6, 46.4, 42.2, 34.0; LRMS (m/z): 298.1 (MH^+); HRMS: Calculated for: $C_{18}H_{21}NO_3$ 297.1365, found 297.1362

9. Copy of the CheckCIF file for chiral amide 4bh

Note: CCDC 2290369 contains the supplementary crystallographic data for this paper

checkCIF/PLATON report

Structure factors have been supplied for datablock(s) a20190015_ia32ca2t150k

THIS REPORT IS FOR GUIDANCE ONLY. IF USED AS PART OF A REVIEW PROCEDURE FOR PUBLICATION, IT SHOULD NOT REPLACE THE EXPERTISE OF AN EXPERIENCED CRYSTALLOGRAPHIC REFEREE.

No syntax errors found. CIF dictionary Interpreting this report

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alpha=90 beta=90 gamma=90
Temperature: 150 K

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Hall group	P 2ac 2ab	P 2ac 2ab
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Sum formula	C16 H17 N O2	C16 H17 N O2
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The following ALERTS were generated. Each ALERT has the format
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Click on the hyperlinks for more details of the test.
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Alert level C

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PLAT790_ALERT_4_C Centre of Gravity not Within Unit Cell: Resd.  #          1 Note
             C16 H17 N O2
PLAT911_ALERT_3_C Missing FCF Refl Between Thmin & STh/L=    0.600         10 Report
PLAT913_ALERT_3_C Missing # of Very Strong Reflections in FCF ....          7 Note
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Alert level G

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PLAT007_ALERT_5_G Number of Unrefined Donor-H Atoms ..............          1 Report
PLAT063_ALERT_4_G Crystal Size Possibly too Large for Beam Size ..       0.75 mm
PLAT142_ALERT_4_G s.u. on b - Axis Small or Missing ..............    0.00009 Ang.
PLAT143_ALERT_4_G s.u. on c - Axis Small or Missing ..............    0.00020 Ang.
PLAT910_ALERT_3_G Missing # of FCF Reflection(s) Below Theta(Min).          1 Note
PLAT967_ALERT_5_G Note: Two-Theta Cutoff Value in Embedded .res ..      138.0 Degree
PLAT978_ALERT_2_G Number C-C Bonds with Positive Residual Density.          6 Info
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   0 ALERT level A = Most likely a serious problem - resolve or explain
   0 ALERT level B = A potentially serious problem, consider carefully
   3 ALERT level C = Check. Ensure it is not caused by an omission or oversight
   7 ALERT level G = General information/check it is not something unexpected

   0 ALERT type 1 CIF construction/syntax error, inconsistent or missing data
   1 ALERT type 2 Indicator that the structure model may be wrong or deficient
   3 ALERT type 3 Indicator that the structure quality may be low
   4 ALERT type 4 Improvement, methodology, query or suggestion
   2 ALERT type 5 Informative message, check
```

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Publication of your CIF in IUCr journals

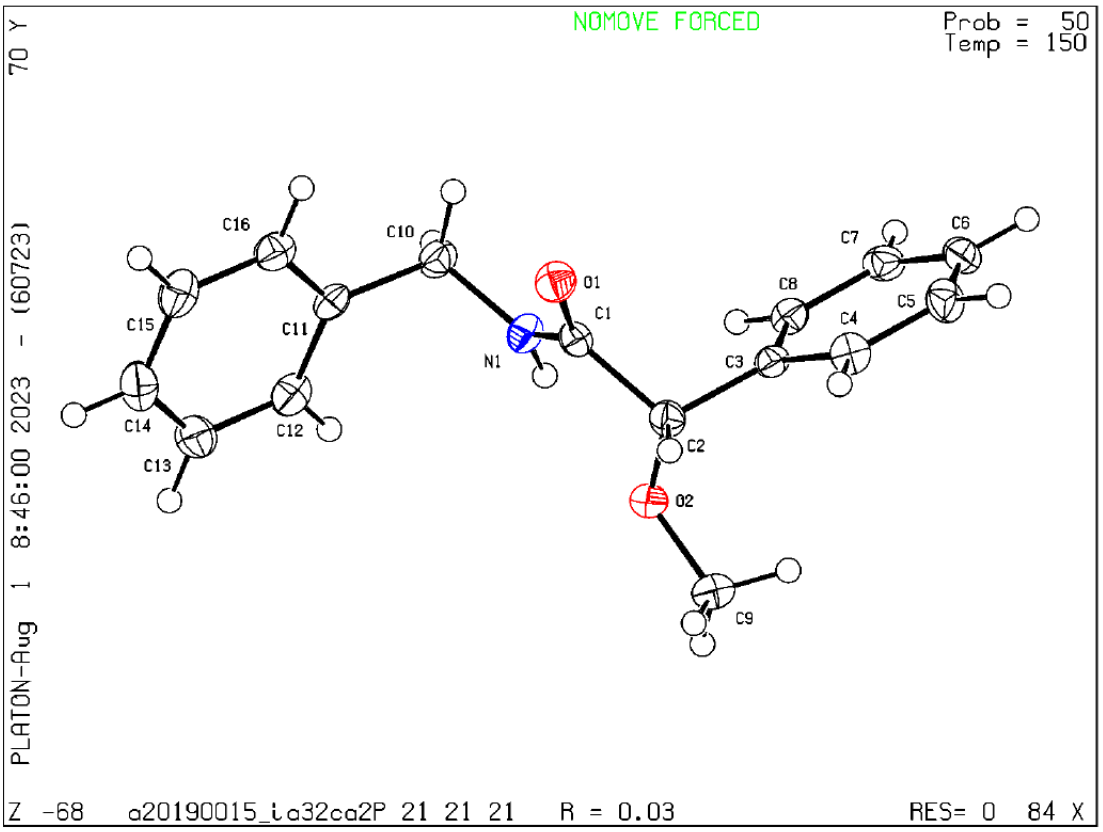
A basic structural check has been run on your CIF. These basic checks will be run on all CIFs submitted for publication in IUCr journals (*Acta Crystallographica*, *Journal of Applied Crystallography*, *Journal of Synchrotron Radiation*); however, if you intend to submit to *Acta Crystallographica Section C* or *E* or *IUCrData*, you should make sure that full publication checks are run on the final version of your CIF prior to submission.

Publication of your CIF in other journals

Please refer to the *Notes for Authors* of the relevant journal for any special instructions relating to CIF submission.

PLATON version of 06/07/2023; check.def file version of 30/06/2023

Datablock a20190015_ia32ca2t150k - ellipsoid plot



10. Copy of the CheckCIF file for chiral amide 4br

Note: CCDC 2290372 contains the supplementary crystallographic data for this paper

checkCIF/PLATON report

Structure factors have been supplied for datablock(s) b20230143_o342m2remducu

THIS REPORT IS FOR GUIDANCE ONLY. IF USED AS PART OF A REVIEW PROCEDURE FOR PUBLICATION, IT SHOULD NOT REPLACE THE EXPERTISE OF AN EXPERIENCED CRYSTALLOGRAPHIC REFEREE.

No syntax errors found. CIF dictionary Interpreting this report

Datablock: b20230143_o342m2remducu

Bond precision: C-C = 0.0066 A Wavelength=1.54184

Cell: a=5.4042(1) b=22.6075(4) c=23.7568(4)
alpha=90 beta=95.144(2) gamma=90
Temperature: 170 K

	Calculated	Reported
Volume	2890.81(9)	2890.81(9)
Space group	P 21	P 1 21 1
Hall group	P 2yb	P 2yb
Moiety formula	C17 H19 N O2	C17 H19 N O2
Sum formula	C17 H19 N O2	C17 H19 N O2
Mr	269.33	269.33
Dx,g cm-3	1.238	1.238
Z	8	8
Mu (mm-1)	0.643	0.643
F000	1152.0	1152.0
F000'	1155.33	
h,k,lmax	6,27,28	6,27,28
Nref	10782[5539]	10736
Tmin,Tmax	0.922,0.938	0.803,0.942
Tmin'	0.683	

Correction method= # Reported T Limits: Tmin=0.803 Tmax=0.942
AbsCorr = ANALYTICAL

Data completeness= 1.94/1.00 Theta(max)= 68.994

R(reflections)= 0.0601(9216) wR2(reflections)= 0.1738(10736)

S = 1.131 Npar= 807

The following ALERTS were generated. Each ALERT has the format
test-name_ALERT_alert-type_alert-level.
Click on the hyperlinks for more details of the test.

Alert level C

```
PLAT089_ALERT_3_C Poor Data / Parameter Ratio (Zmax < 18) ........       6.86 Note
PLAT230_ALERT_2_C Hirshfeld Test Diff for    O1B      --C1B      .        5.7 s.u.
PLAT340_ALERT_3_C Low Bond Precision on  C-C Bonds ..............    0.00657 Ang.
PLAT911_ALERT_3_C Missing FCF Refl Between Thmin & STh/L=    0.600         2 Report
```

Alert level G

```
PLAT002_ALERT_2_G Number of Distance or Angle Restraints on AtSite         2 Note
PLAT003_ALERT_2_G Number of Uiso or Uij Restrained non-H Atoms ...        16 Report
PLAT007_ALERT_5_G Number of Unrefined Donor-H Atoms ..............         4 Report
PLAT172_ALERT_4_G The CIF-Embedded .res File Contains DFIX Records         1 Report
PLAT186_ALERT_4_G The CIF-Embedded .res File Contains ISOR Records         2 Report
PLAT301_ALERT_3_G Main Residue  Disorder ..............(Resd  1  )       40% Note
PLAT398_ALERT_2_G Deviating  C-O-C   Angle From 120 for O2D      .      101.9 Degree
PLAT410_ALERT_2_G Short Intra H...H Contact  H15D     ..H2E      .       2.14 Ang.
                                                    x,y,z  =      1_555 Check
PLAT720_ALERT_4_G Number of Unusual/Non-Standard Labels ..........         1 Note
PLAT860_ALERT_3_G Number of Least-Squares Restraints .............        98 Note
PLAT883_ALERT_1_G No Info/Value for _atom_sites_solution_primary .     Please Do !
PLAT910_ALERT_3_G Missing # of FCF Reflection(s) Below Theta(Min).         1 Note
PLAT933_ALERT_2_G Number of HKL-OMIT Records in Embedded .res File         4 Note
PLAT967_ALERT_5_G Note: Two-Theta Cutoff Value in Embedded .res ..      138.0 Degree
PLAT978_ALERT_2_G Number C-C Bonds with Positive Residual Density.         0 Info
```

```
  0 ALERT level A = Most likely a serious problem - resolve or explain
  0 ALERT level B = A potentially serious problem, consider carefully
  4 ALERT level C = Check. Ensure it is not caused by an omission or oversight
 15 ALERT level G = General information/check it is not something unexpected

  1 ALERT type 1 CIF construction/syntax error, inconsistent or missing data
  7 ALERT type 2 Indicator that the structure model may be wrong or deficient
  6 ALERT type 3 Indicator that the structure quality may be low
  3 ALERT type 4 Improvement, methodology, query or suggestion
  2 ALERT type 5 Informative message, check
```

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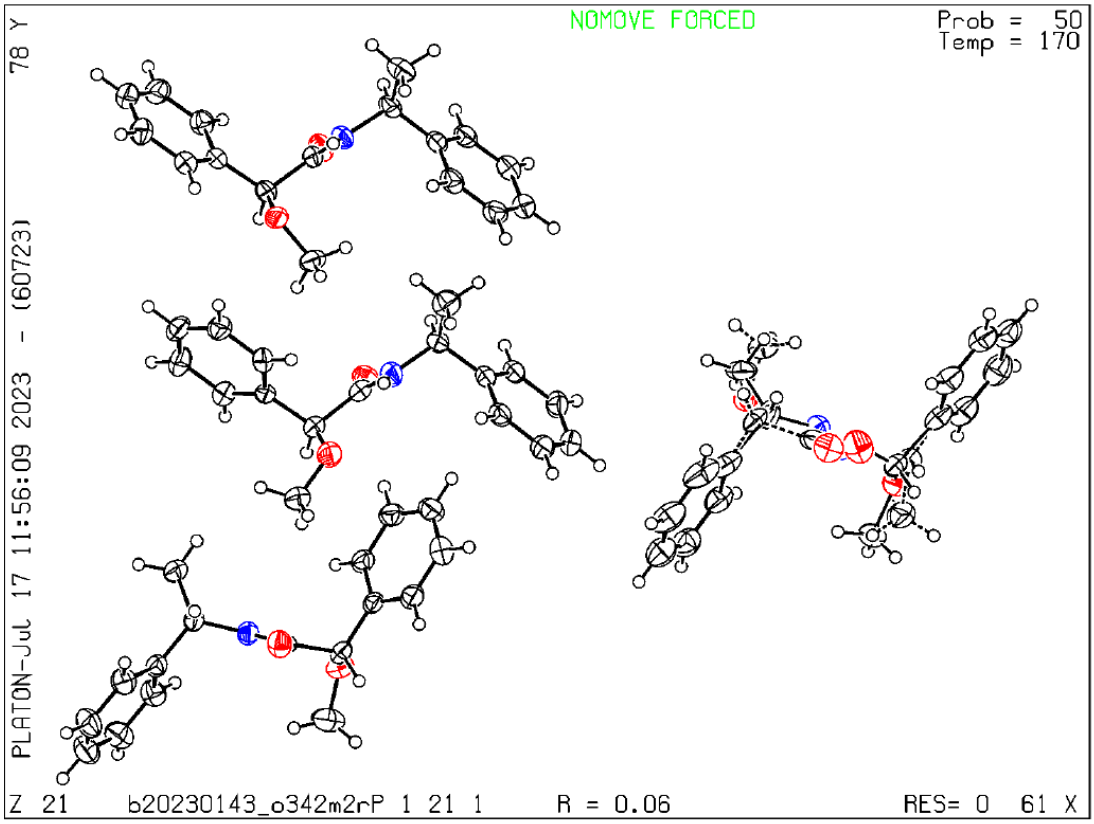
Datablock b20230143_o342m2remducu - ellipsoid plot



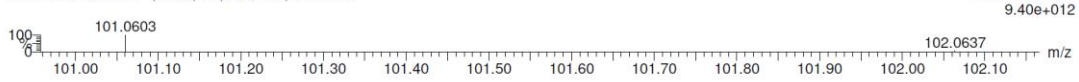
11. UPLC-ESI-QTOF-MS results

· Acetylacetone (pentane-2,4-dione) (MH^+)

Elemental Composition Report **Page 1**

Single Mass Analysis
Tolerance = 5.0 PPM / DBE: min = -1.5, max = 50.0
Element prediction: Off
Number of isotope peaks used for i-FIT = 3

Monoisotopic Mass, Even Electron Ions
73 formula(e) evaluated with 1 results within limits (up to 50 best isotopic matches for each mass)
Elements Used:
C: 0-40 H: 0-40 N: 0-5 O: 0-6 Na: 0-1
MO-1558
PAT230524-94425-1 (0.037) Is (1.00,1.00) C5H9O2 1: TOF MS ES+ 9.40e+012



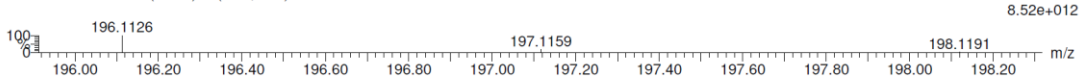
Minimum:				-1.5				
Maximum:		5.0	5.0	50.0				
Mass	Calc. Mass	mDa	PPM	DBE	i-FIT	Norm	Conf(%)	Formula
101.0603	101.0603	0.0	0.0	1.5	82.1	n/a	n/a	C5 H9 O2

· *N*-Benzyl-1-phenylmethanimine (MH^+)

Elemental Composition Report **Page 1**

Single Mass Analysis
Tolerance = 5.0 PPM / DBE: min = -1.5, max = 50.0
Element prediction: Off
Number of isotope peaks used for i-FIT = 3

Monoisotopic Mass, Even Electron Ions
198 formula(e) evaluated with 1 results within limits (up to 50 best isotopic matches for each mass)
Elements Used:
C: 0-40 H: 0-40 N: 0-5 O: 0-6 Na: 0-1
MO-1558
PAT230524-94425-1 (0.037) Is (1.00,1.00) C14H14N 1: TOF MS ES+ 8.52e+012



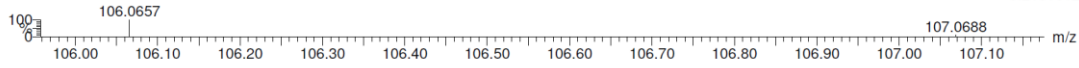
Minimum:				-1.5				
Maximum:		5.0	5.0	50.0				
Mass	Calc. Mass	mDa	PPM	DBE	i-FIT	Norm	Conf(%)	Formula
196.1126	196.1126	0.0	0.0	8.5	40.0	n/a	n/a	C14 H14 N

· Phenylmethanimine (MH^+)

Elemental Composition Report **Page 1**

Single Mass Analysis
Tolerance = 5.0 PPM / DBE: min = -1.5, max = 50.0
Element prediction: Off
Number of isotope peaks used for i-FIT = 3

Monoisotopic Mass, Even Electron Ions
78 formula(e) evaluated with 1 results within limits (up to 50 best isotopic matches for each mass)
Elements Used:
C: 0-40 H: 0-40 N: 0-5 O: 0-6 Na: 0-1
MO-1558
PAT230524-94425-1 (0.037) Is (1.00,1.00) C7H8N 1: TOF MS ES+ 9.21e+012



Minimum:				-1.5				
Maximum:		5.0	5.0	50.0				
Mass	Calc. Mass	mDa	PPM	DBE	i-FIT	Norm	Conf(%)	Formula
106.0657	106.0657	0.0	0.0	4.5	81.7	n/a	n/a	C7 H8 N

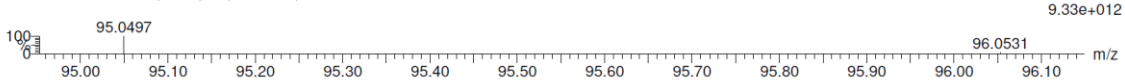
· Phenol (MH^+)

Elemental Composition Report **Page 1**

Single Mass Analysis
Tolerance = 5.0 PPM / DBE: min = -1.5, max = 50.0
Element prediction: Off
Number of isotope peaks used for i-FIT = 3

Monoisotopic Mass, Even Electron Ions
63 formula(e) evaluated with 1 results within limits (up to 50 best isotopic matches for each mass)
Elements Used:
C: 0-40 H: 0-40 N: 0-5 O: 0-6 Na: 0-1
MO-1558
PAT230524-94425-1 (0.037) Is (1.00,1.00) C6H7O

1: TOF MS ES+
9.33e+012



Minimum:				-1.5				
Maximum:		5.0	5.0	50.0				
Mass	Calc. Mass	mDa	PPM	DBE	i-FIT	Norm	Conf(%)	Formula
95.0497	95.0497	0.0	0.0	3.5	81.7	n/a	n/a	C6 H7 O

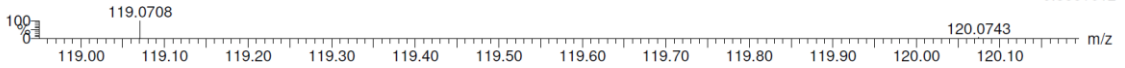
· 2,2-Dimethylpropaneperoxoic acid (perpivalic acid) (MH^+)

Elemental Composition Report **Page 1**

Single Mass Analysis
Tolerance = 5.0 PPM / DBE: min = -1.5, max = 50.0
Element prediction: Off
Number of isotope peaks used for i-FIT = 3

Monoisotopic Mass, Even Electron Ions
100 formula(e) evaluated with 1 results within limits (up to 50 best isotopic matches for each mass)
Elements Used:
C: 0-40 H: 0-40 N: 0-5 O: 0-6 Na: 0-1
MO-1558
PAT230524-94425-1 (0.037) Is (1.00,1.00) C5H11O3

1: TOF MS ES+
9.38e+012



Minimum:				-1.5				
Maximum:		5.0	5.0	50.0				
Mass	Calc. Mass	mDa	PPM	DBE	i-FIT	Norm	Conf(%)	Formula
119.0708	119.0708	0.0	0.0	0.5	82.7	n/a	n/a	C5 H11 O3

12. Fig. S1

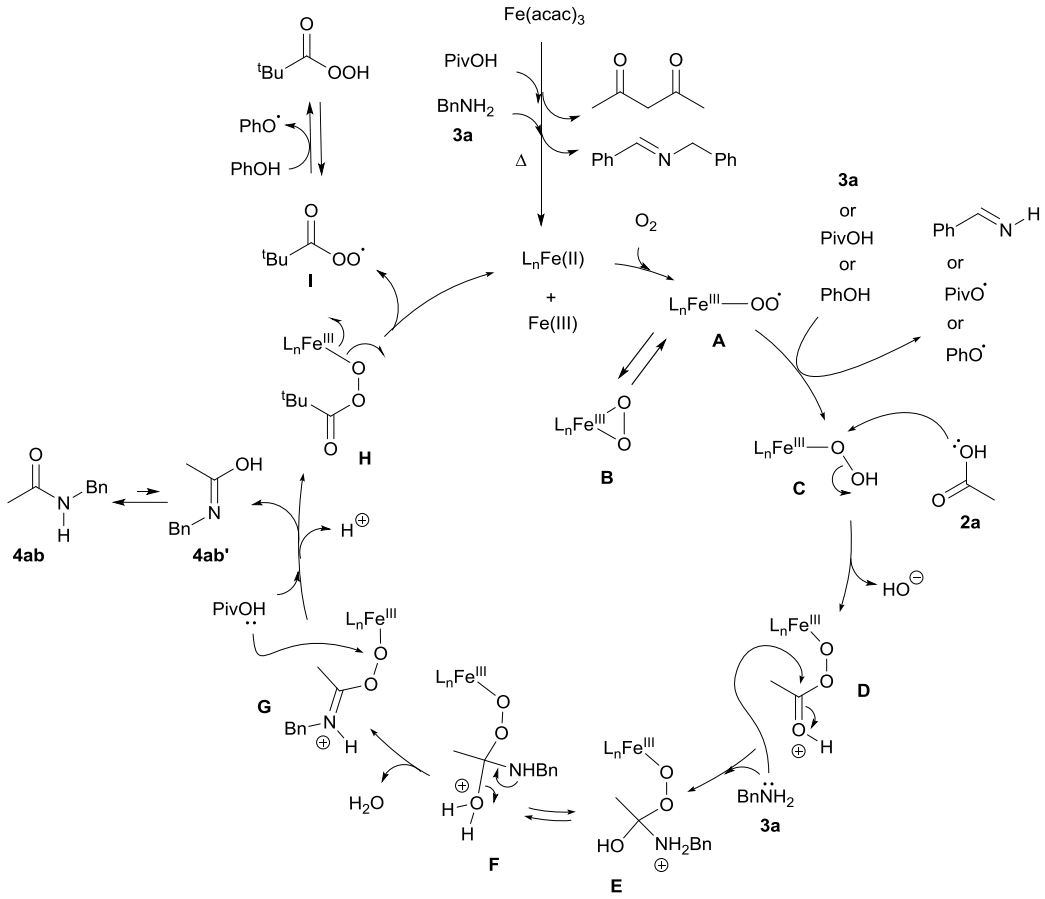


Fig. S2. A mechanistic proposal for the iron-catalyzed aminolysis of acetic acid **2a** in the presence of dioxygen.

13. Fig. S2

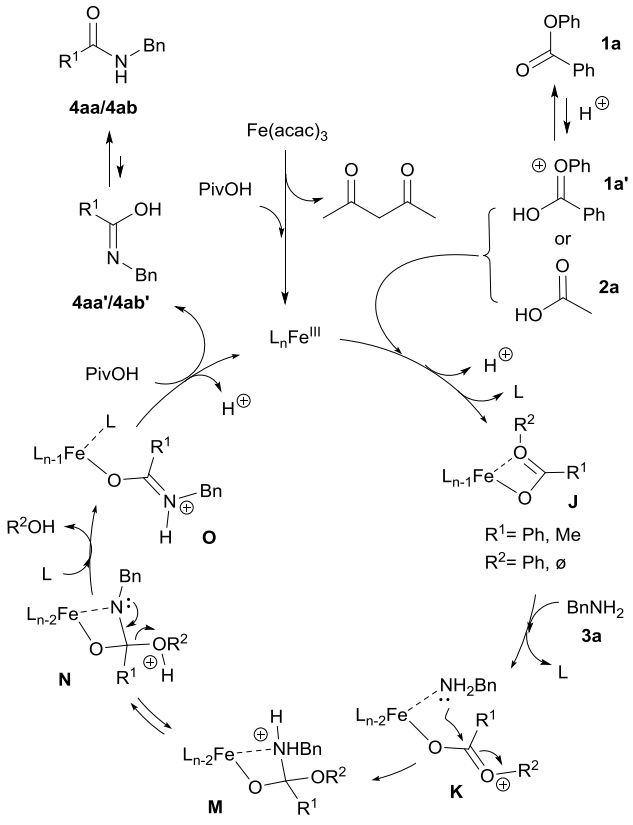


Fig. S2. A proposal for the subsidiary mechanism that explains the amidation of ester **1a** and acid **2a** with benzylamine **3a** under argon.

Note: Amides **4aa** and **4ab** were obtained, although with significantly inferior yields, when the reaction had been performed under argon (Table S1). Therefore we hypotesized that a slower, less productive complementary mechanism was taking place in the absence of oxygen. Fig. S3 shows our proposal for this underlying mechanism. Protonolysis of $Fe(acac)_3$ by pivalic acid would generate iron(III) pivalate species prone to undergo ligand exchange with **1a**' or **2a**, thus forming intermediate **J**. A second ligand exchange with amine 3a to generate **K** would trigger an intramolecular condensation through nucleophilic addition (**M**), prototopy (**N**) and release of R^2OH. Protonolysis/ligand exchange at the resulting intermediate **O** would release α-hydroxyimines **4aa**'/**4ab**' and their tautomers **4aa**/**4ab** while restarting the catalytic cycle.

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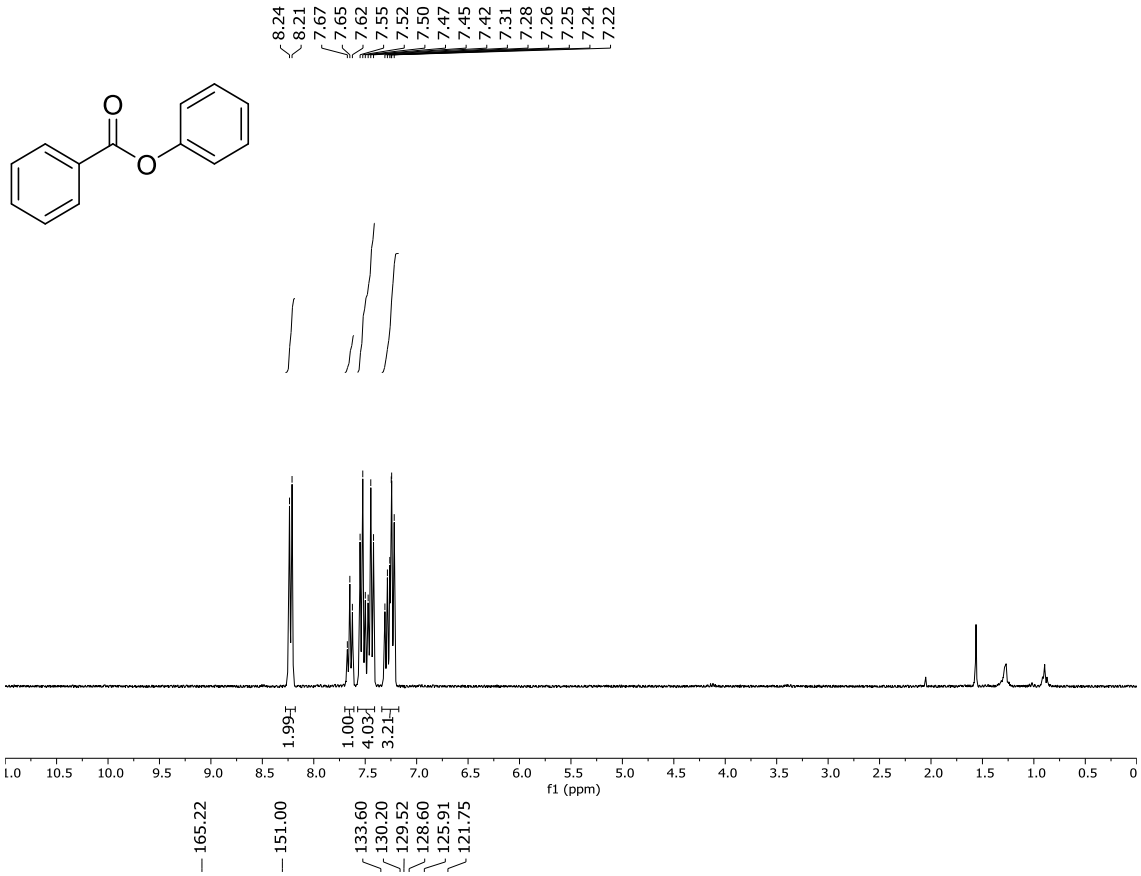
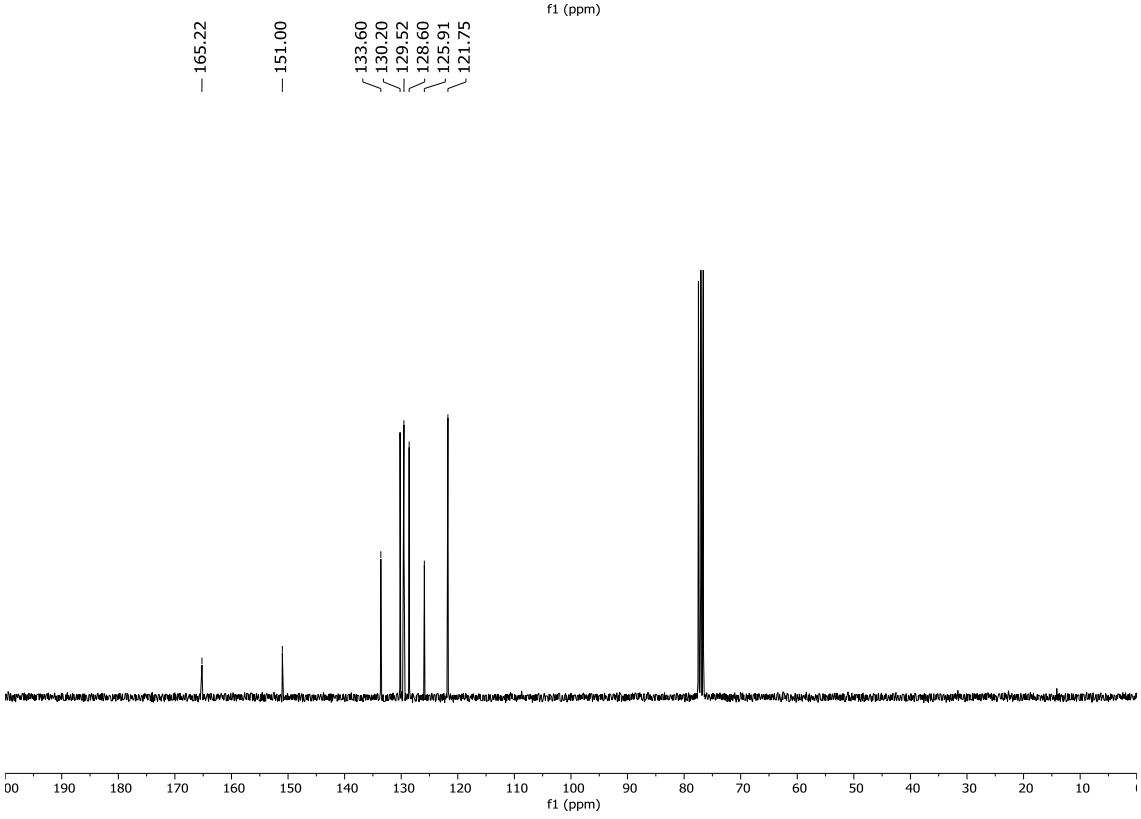
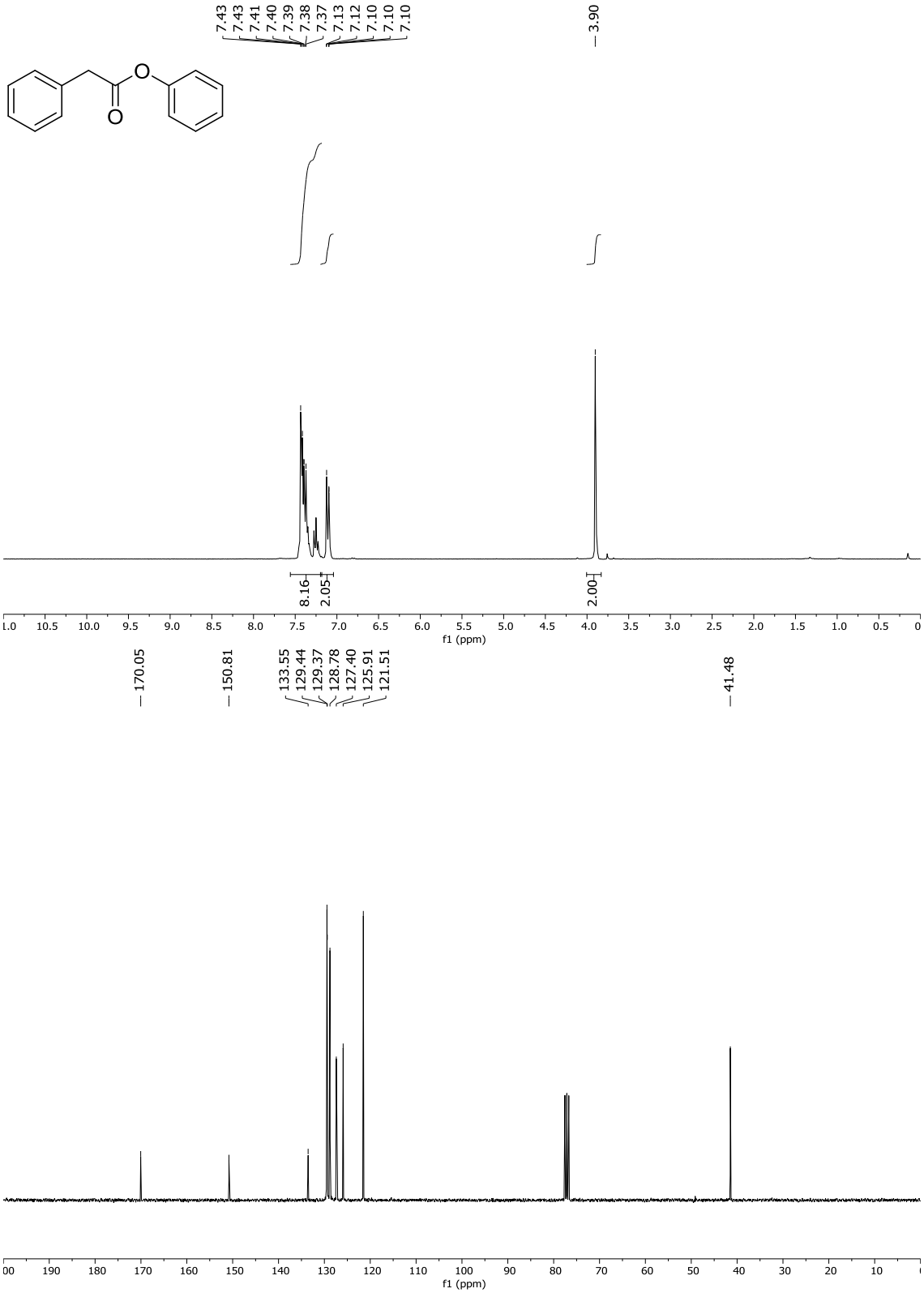
15. NMR Spectra

Phenyl benzoate

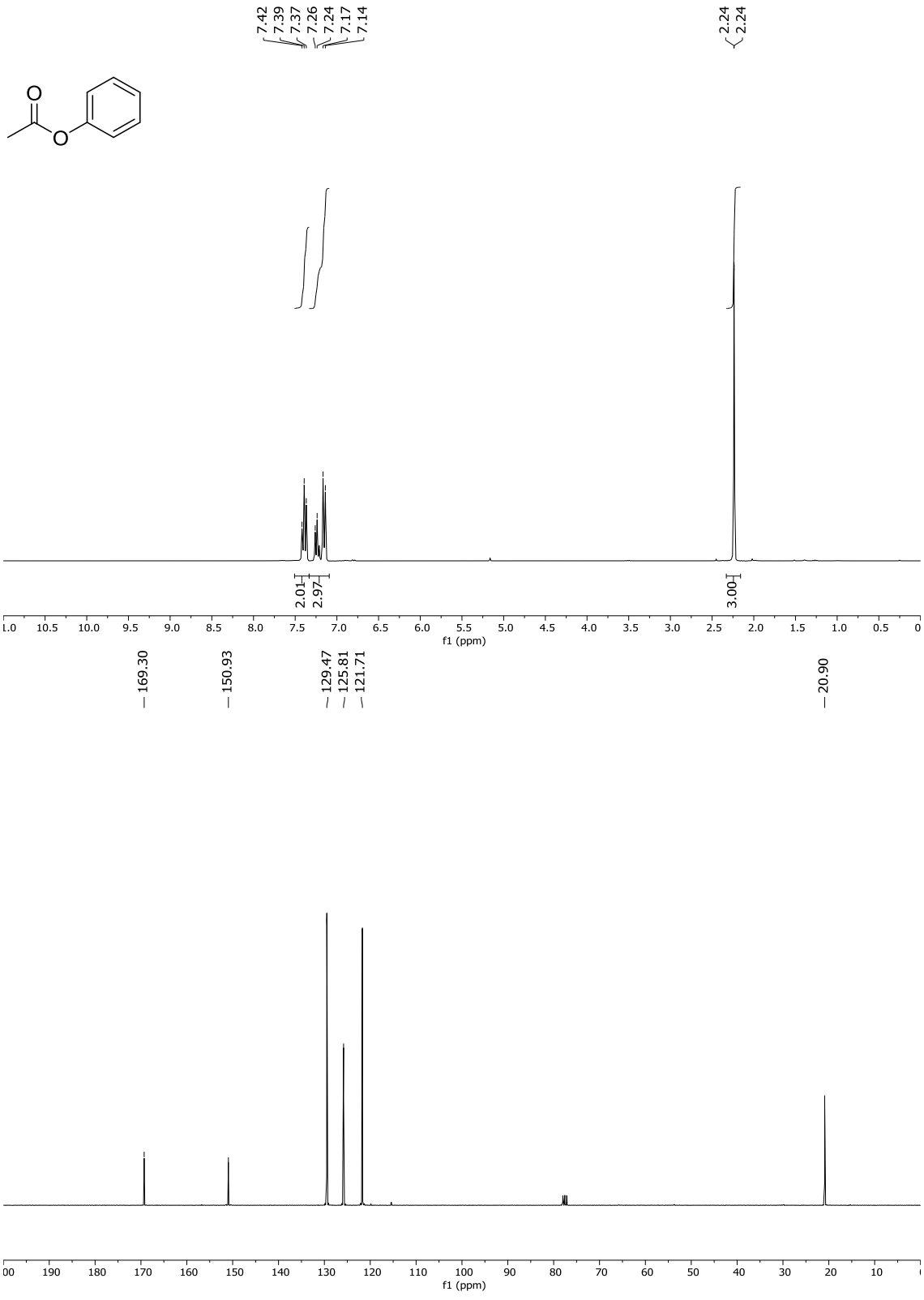




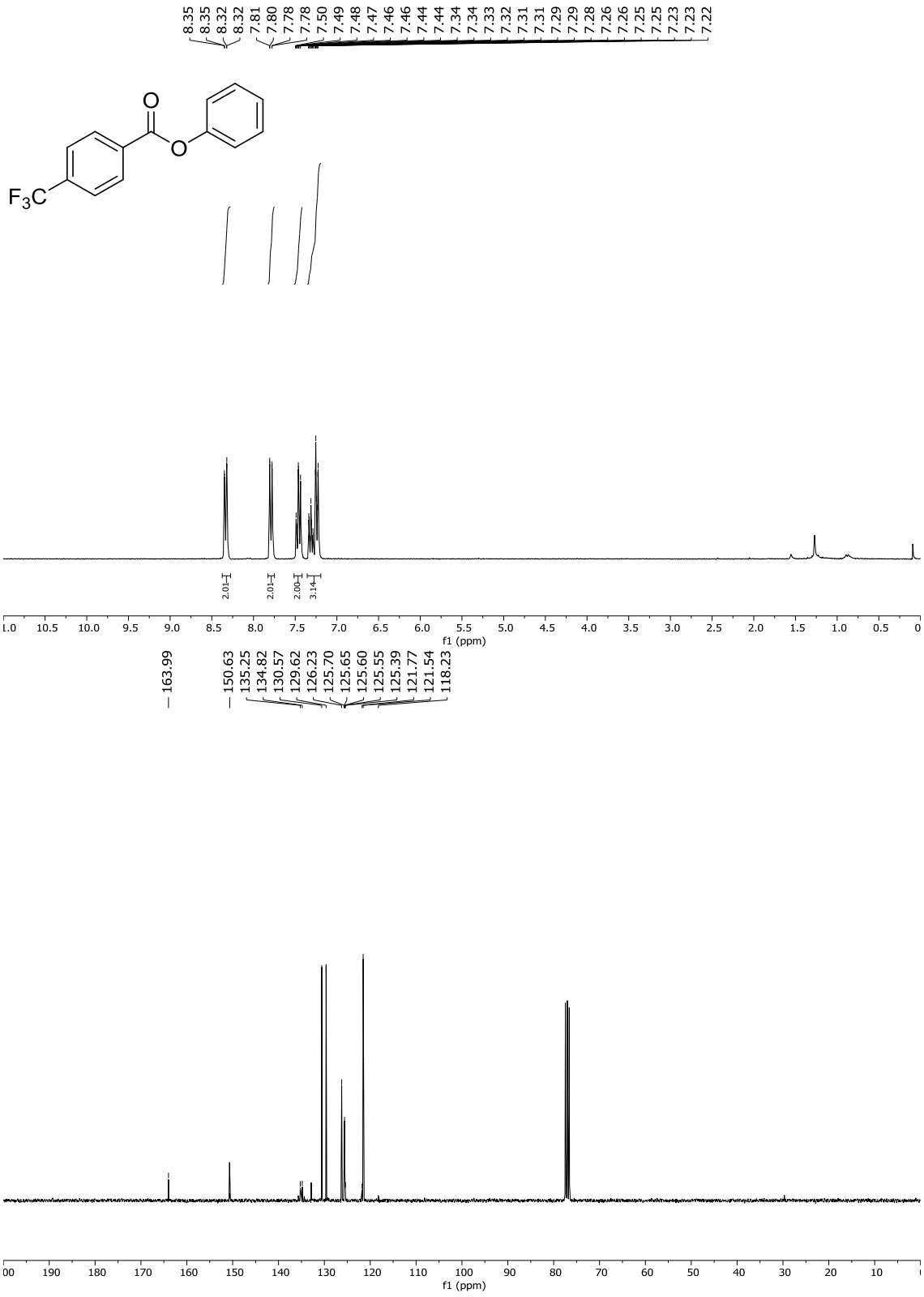
Phenyl 2-phenylacetate



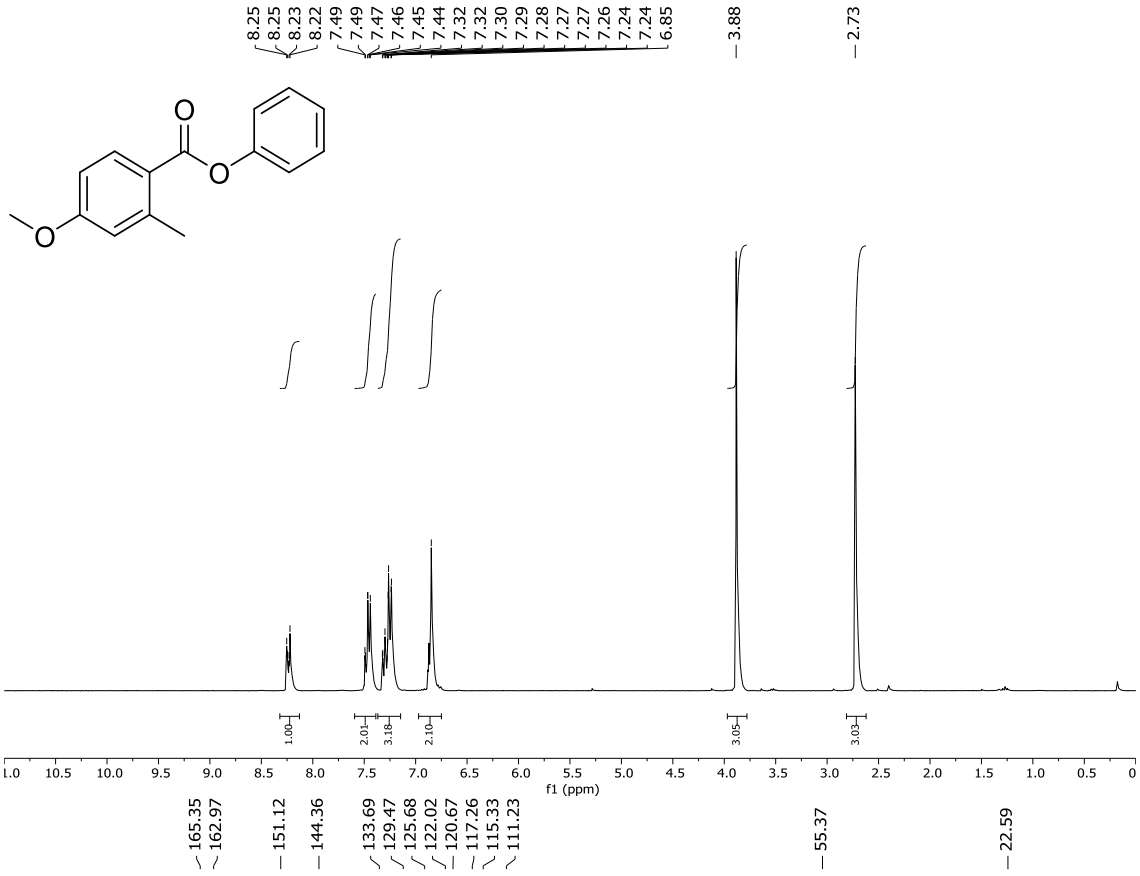
Phenyl acetate

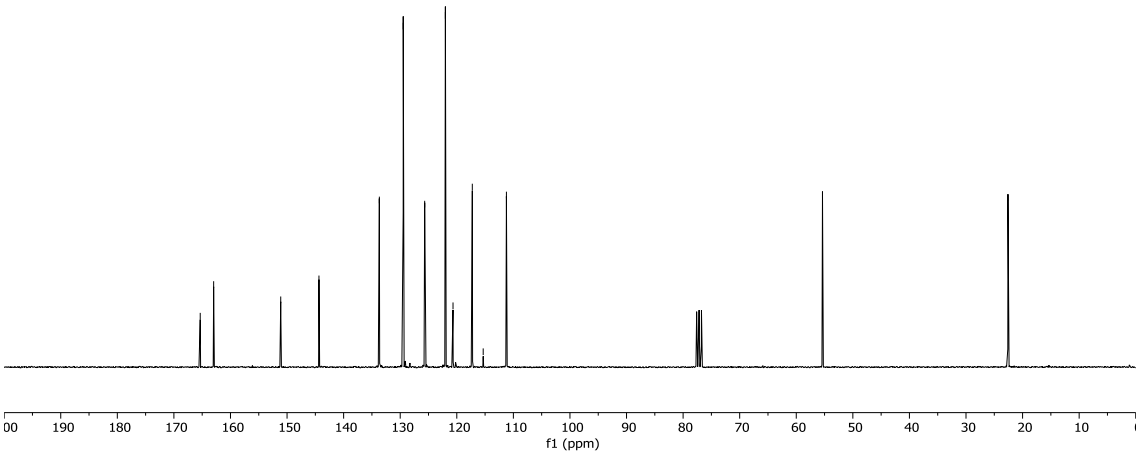


Phenyl 4-(trifluoromethyl)benzoate

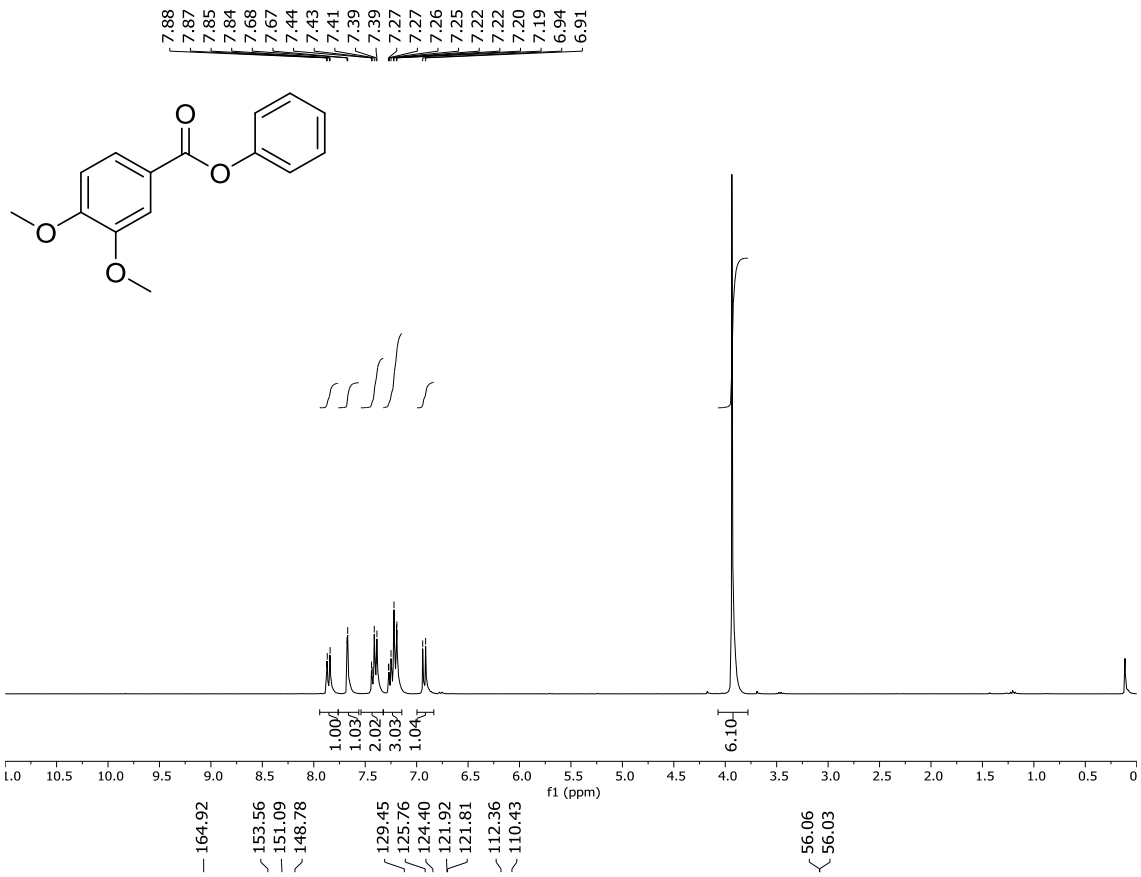


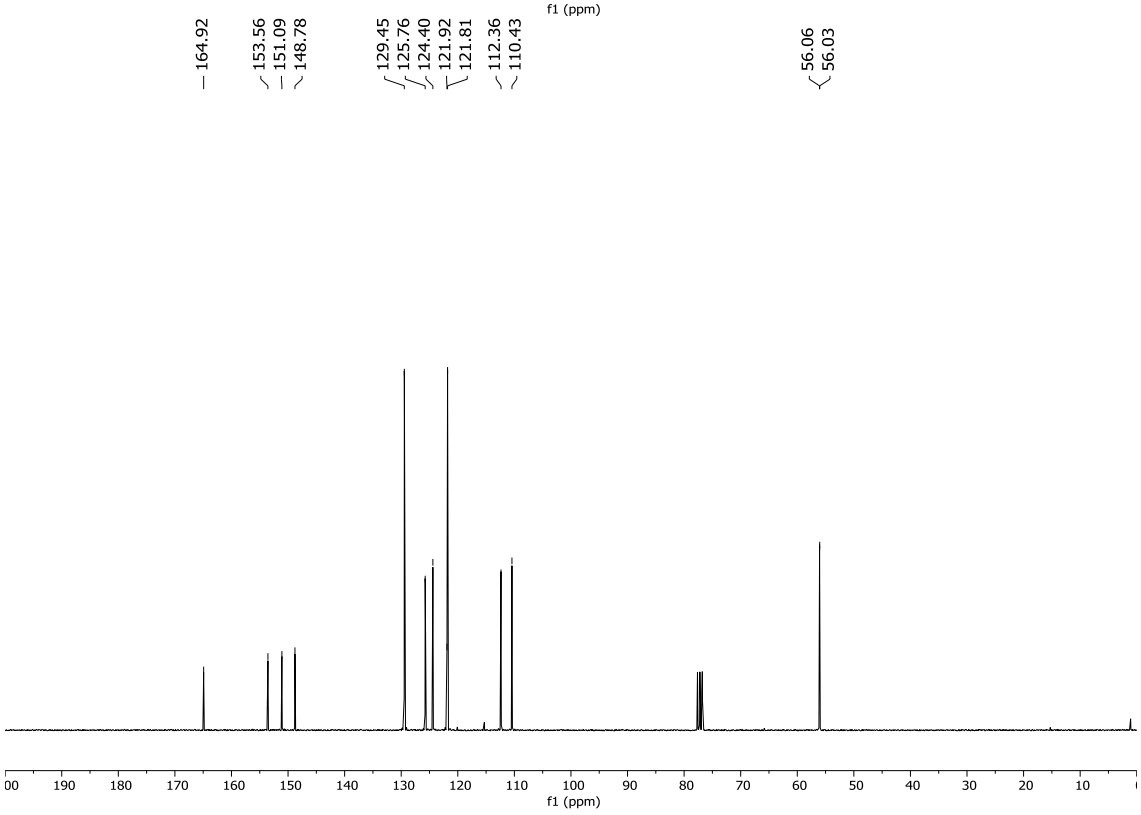
Phenyl 4-methoxy-2-methylbenzoate



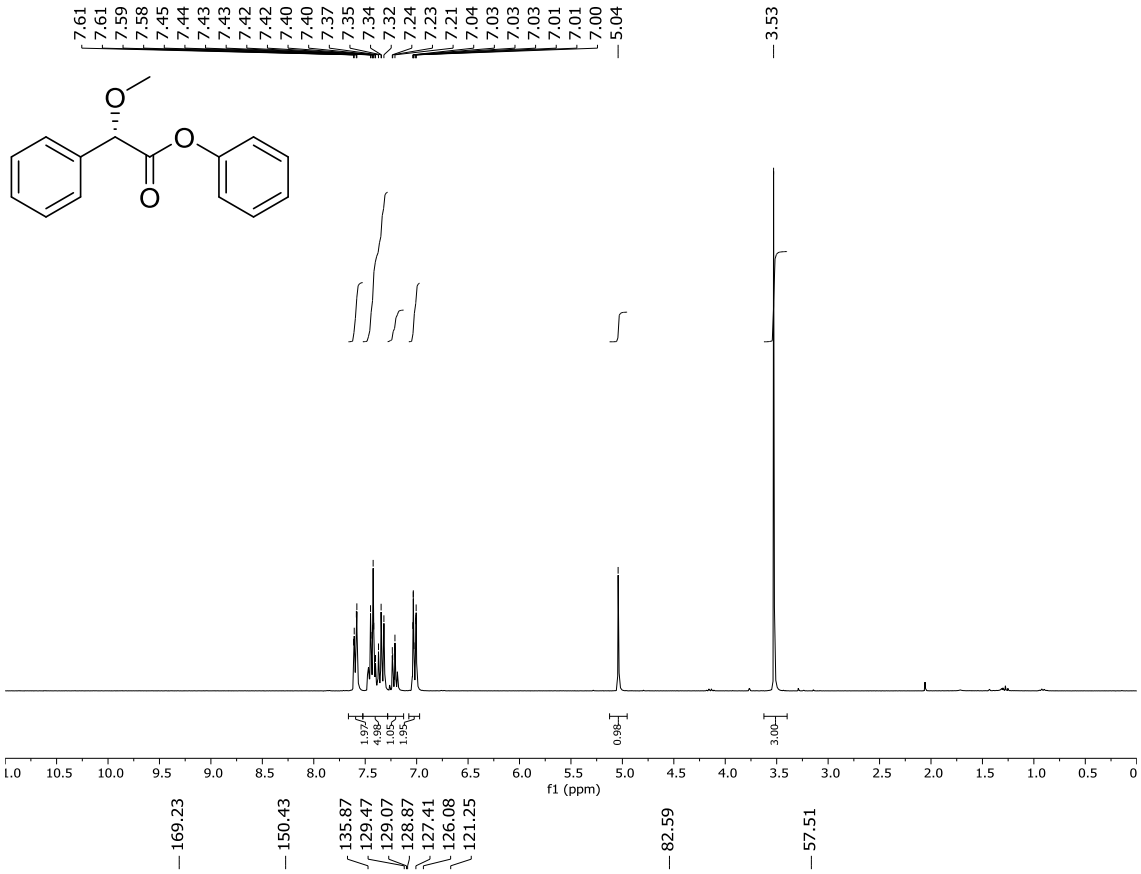


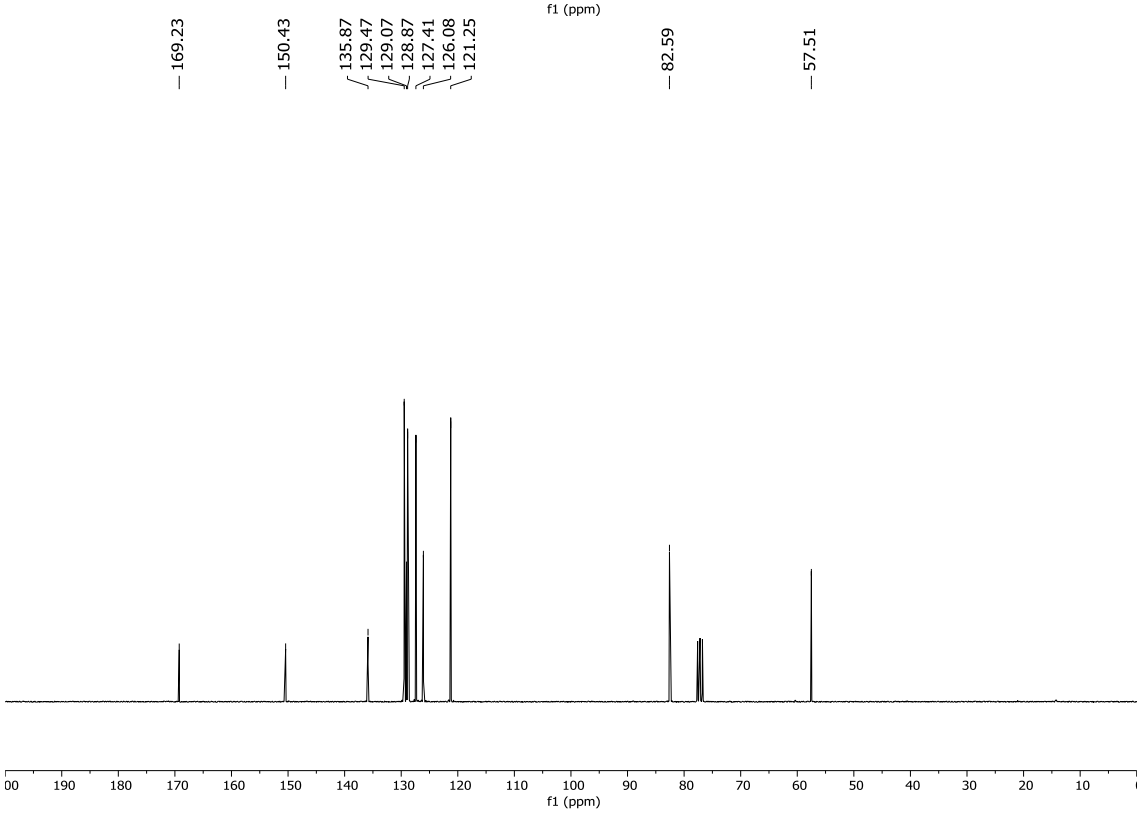
Phenyl 3,4-dimethoxybenzoate



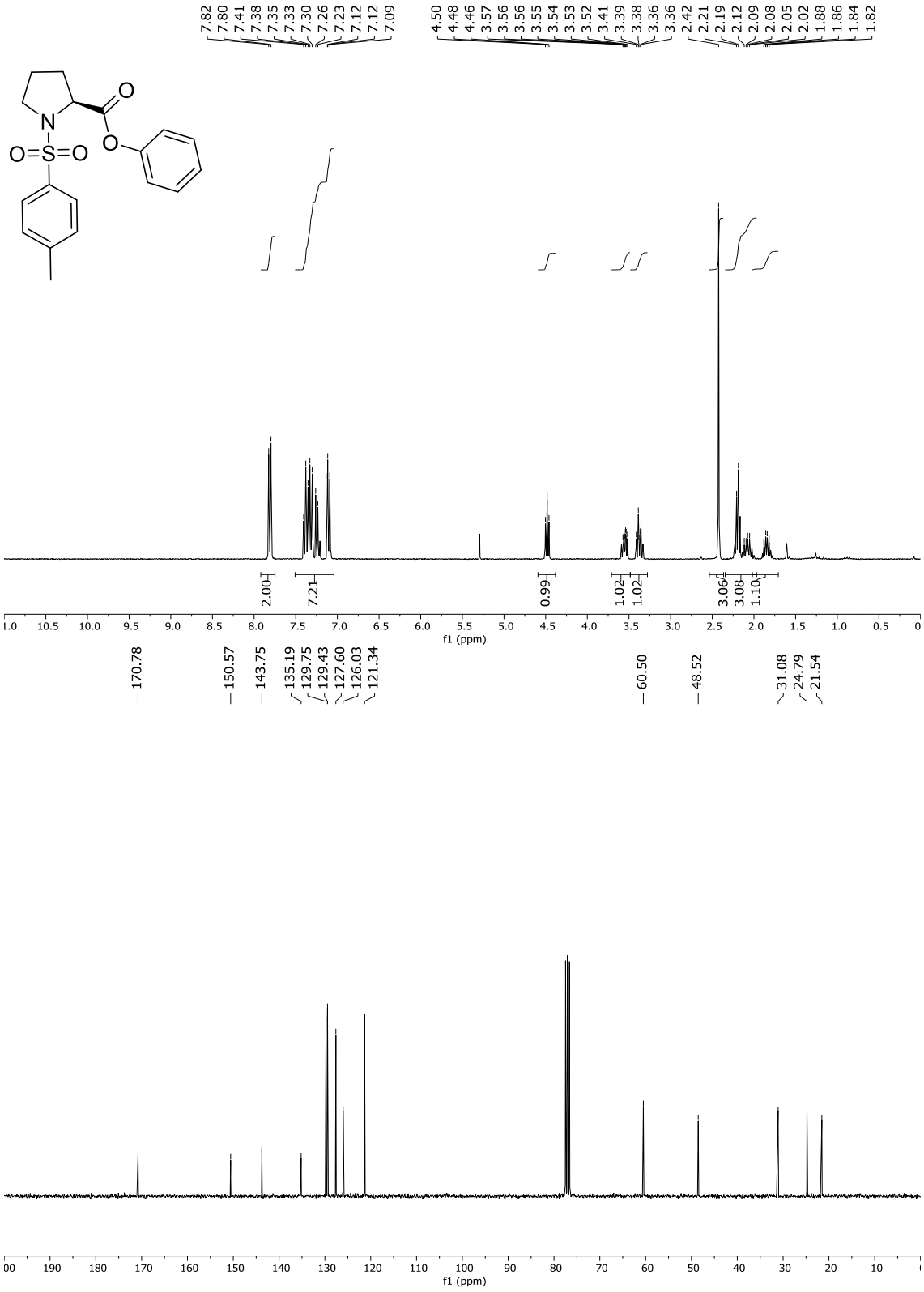


Phenyl (S)-2-methoxy-2-phenylacetate

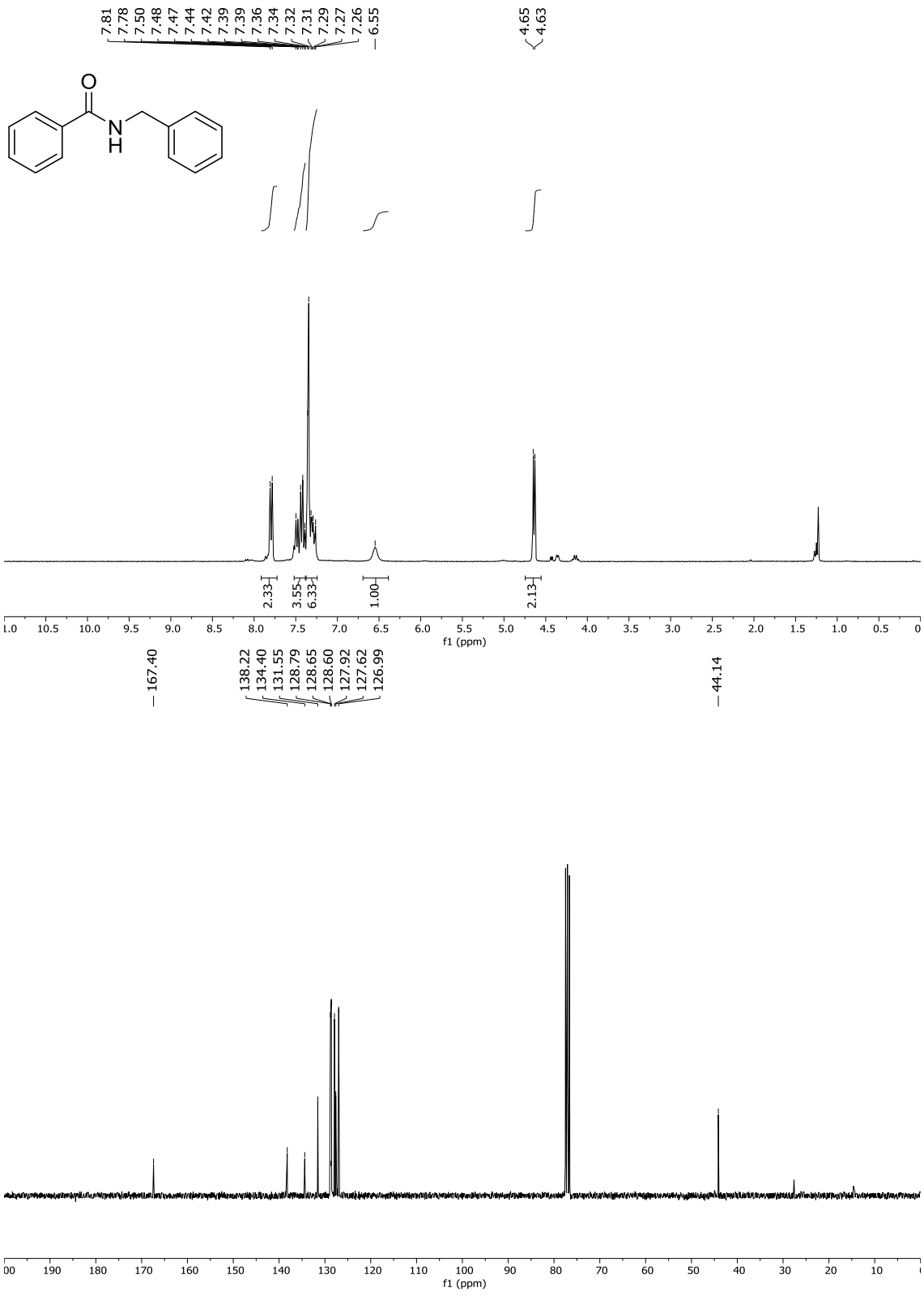




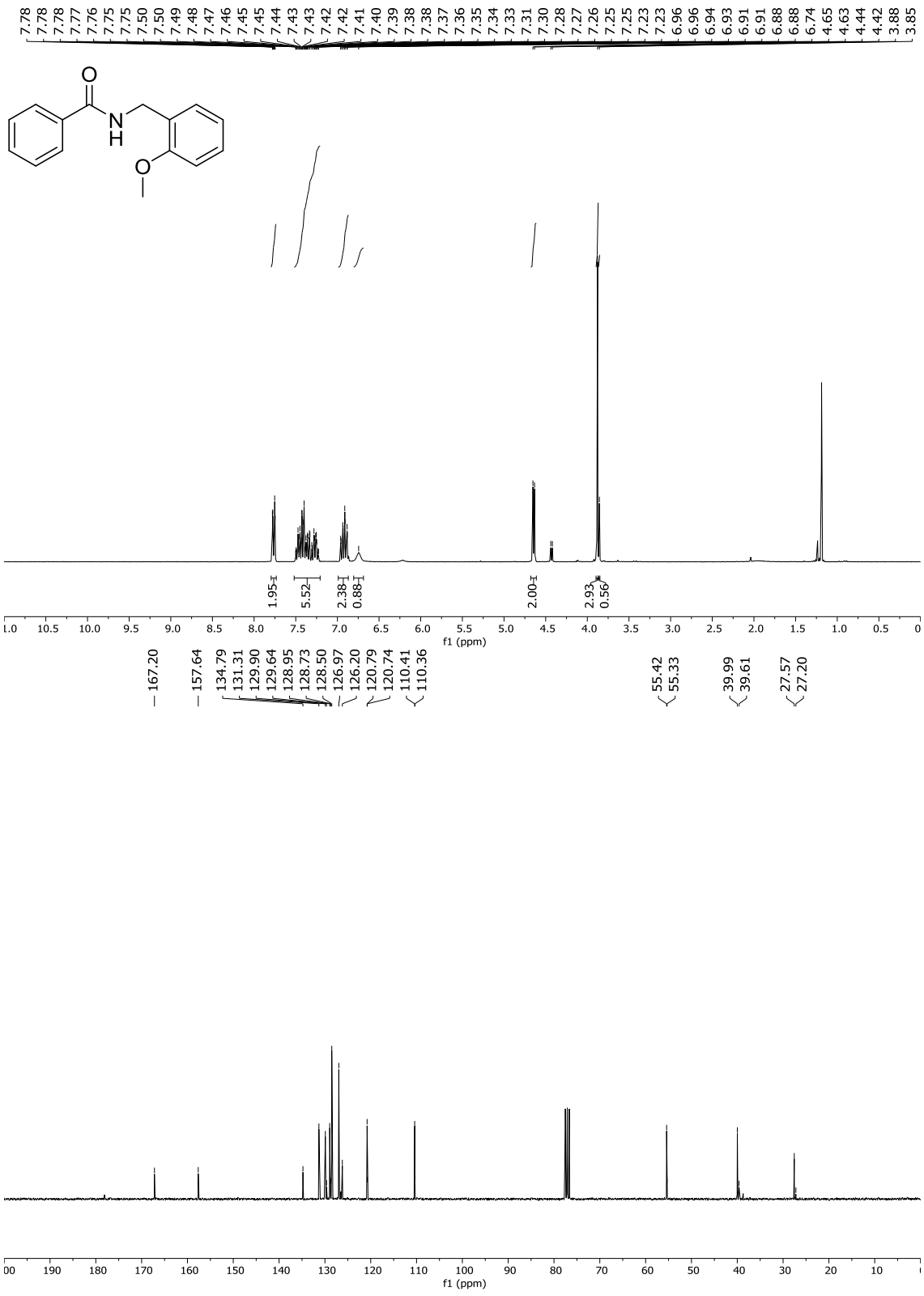
Phenyl tosyl-L-prolinate



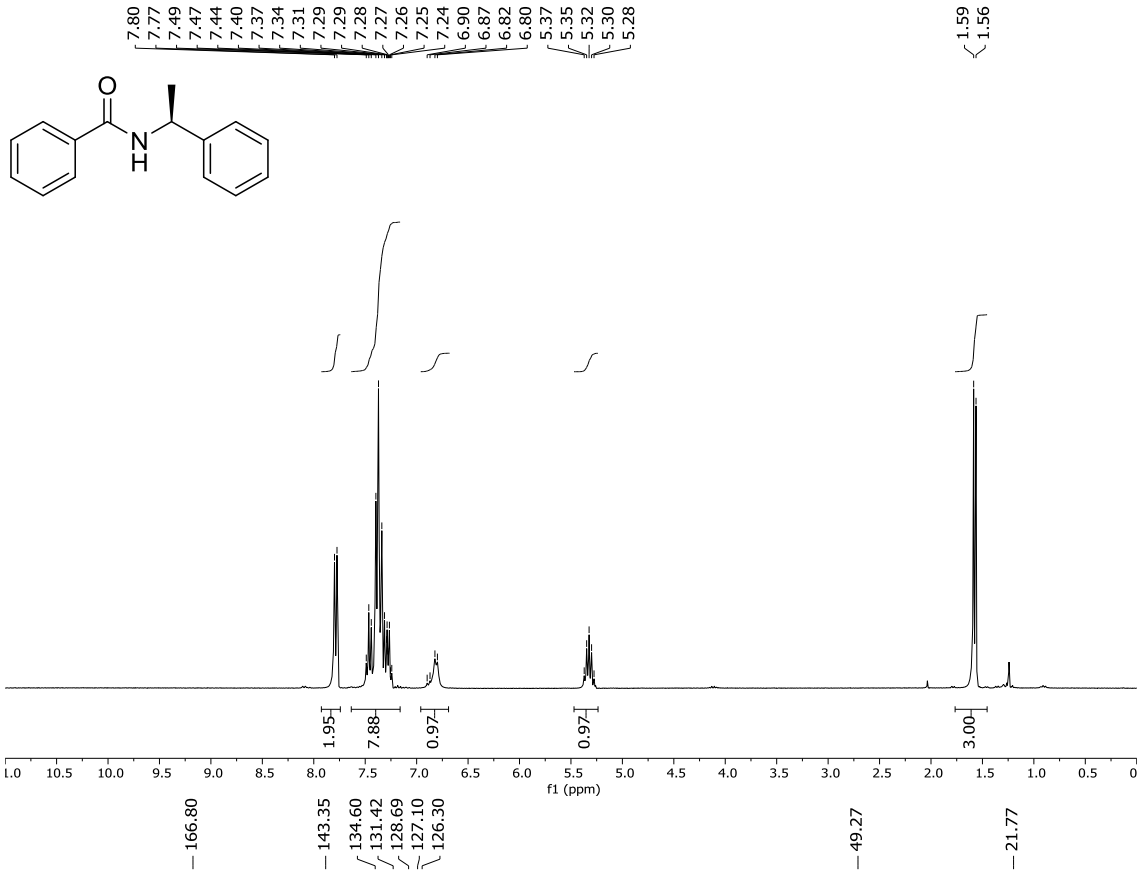
N-Benzylbenzamide

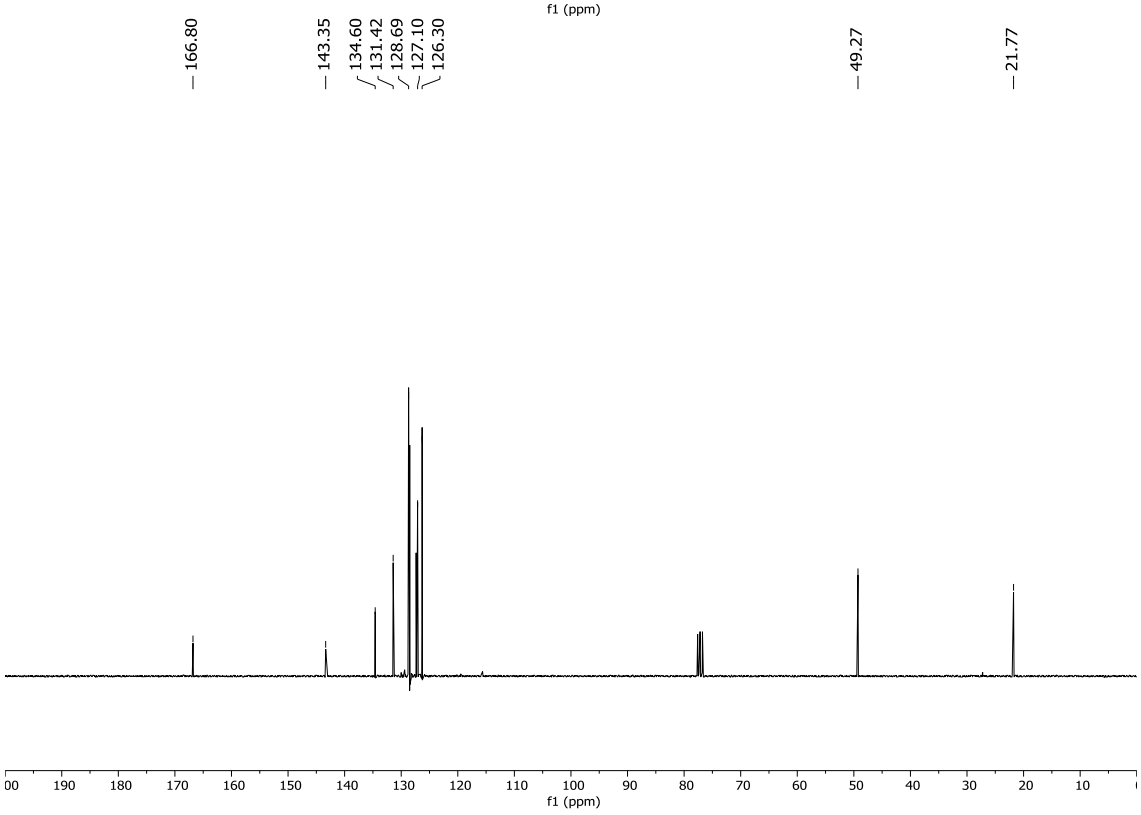


N-(2-Methoxybenzyl)benzamide

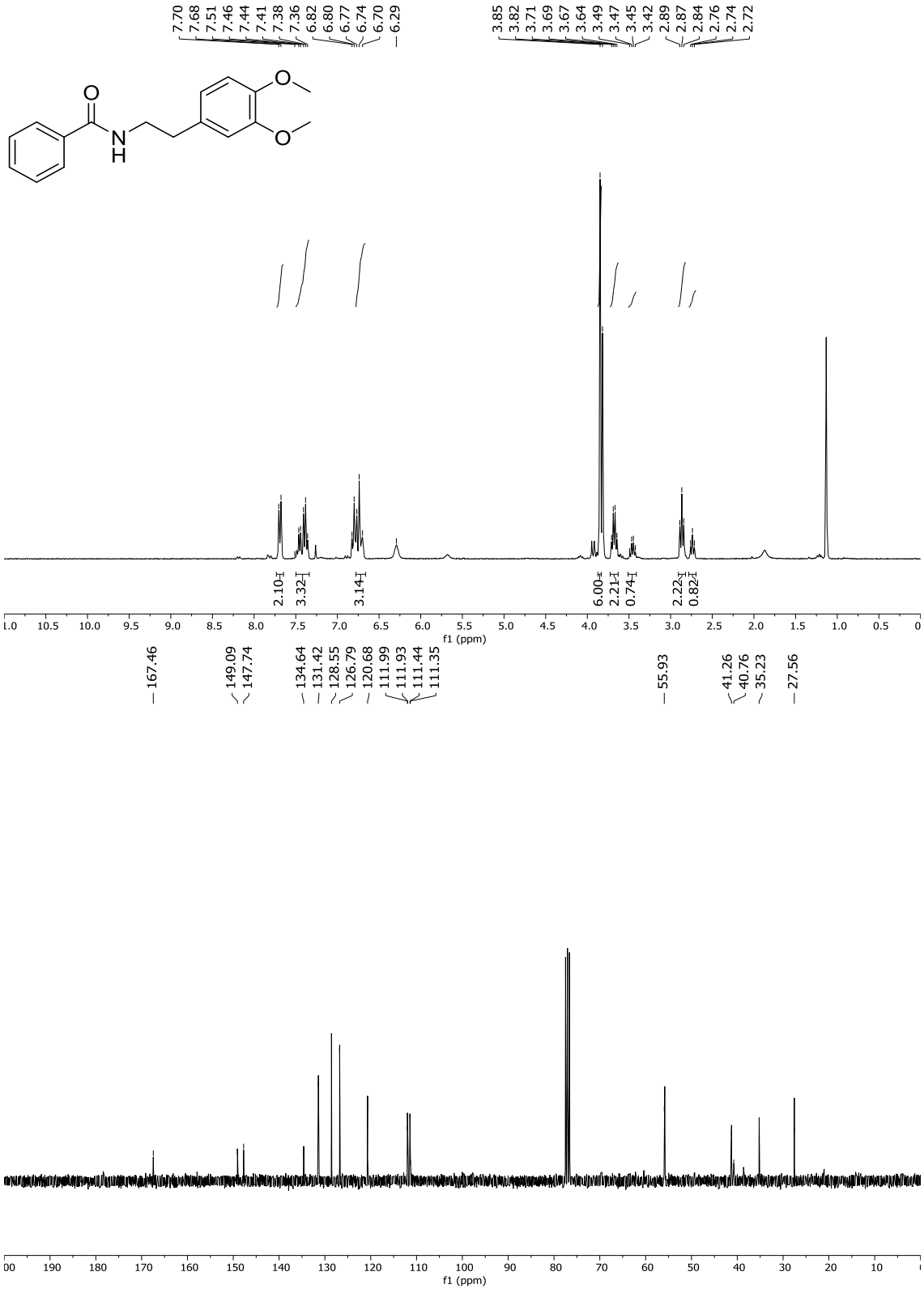


(S)-*N*-(1-Phenethyl)benzamide

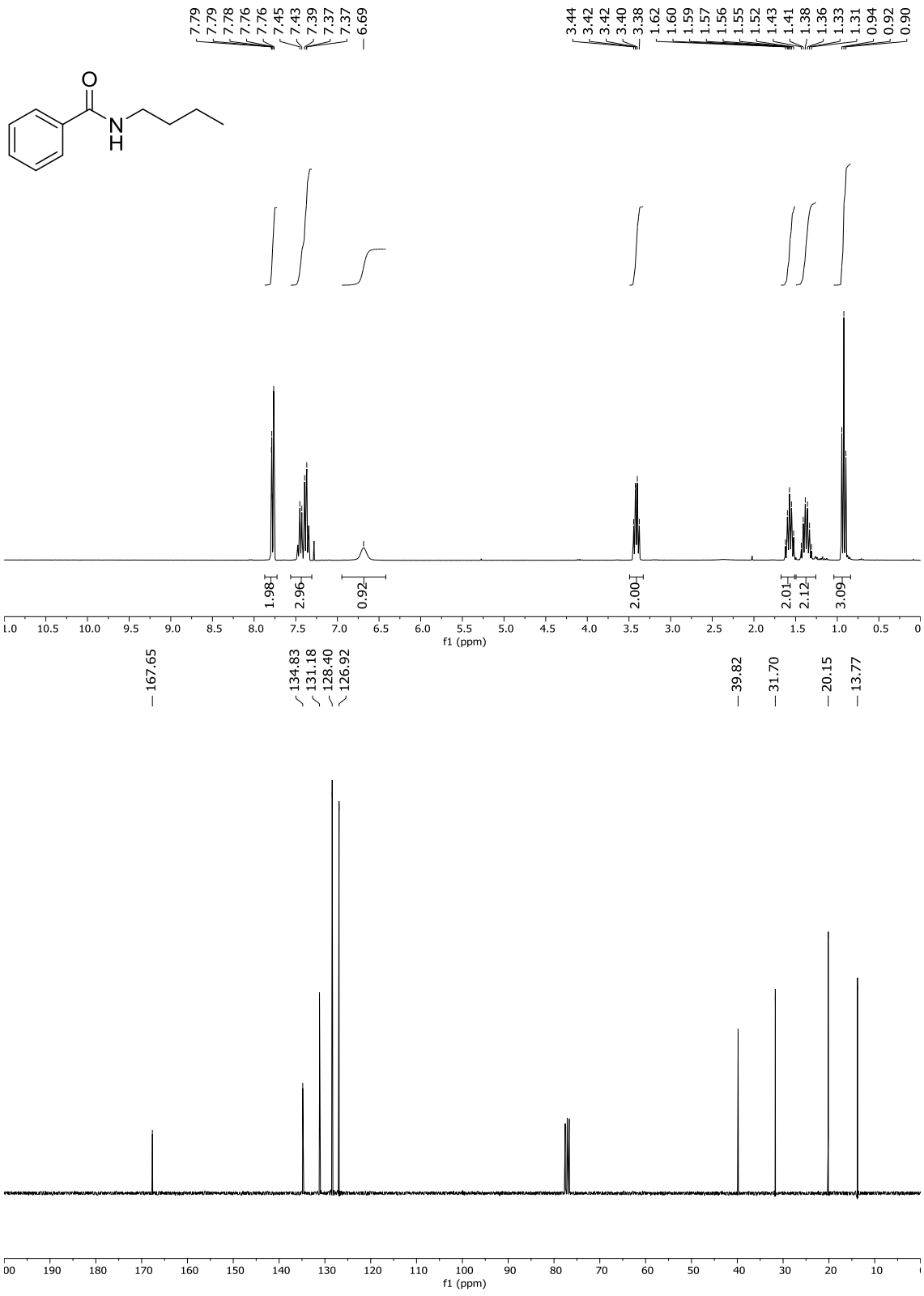




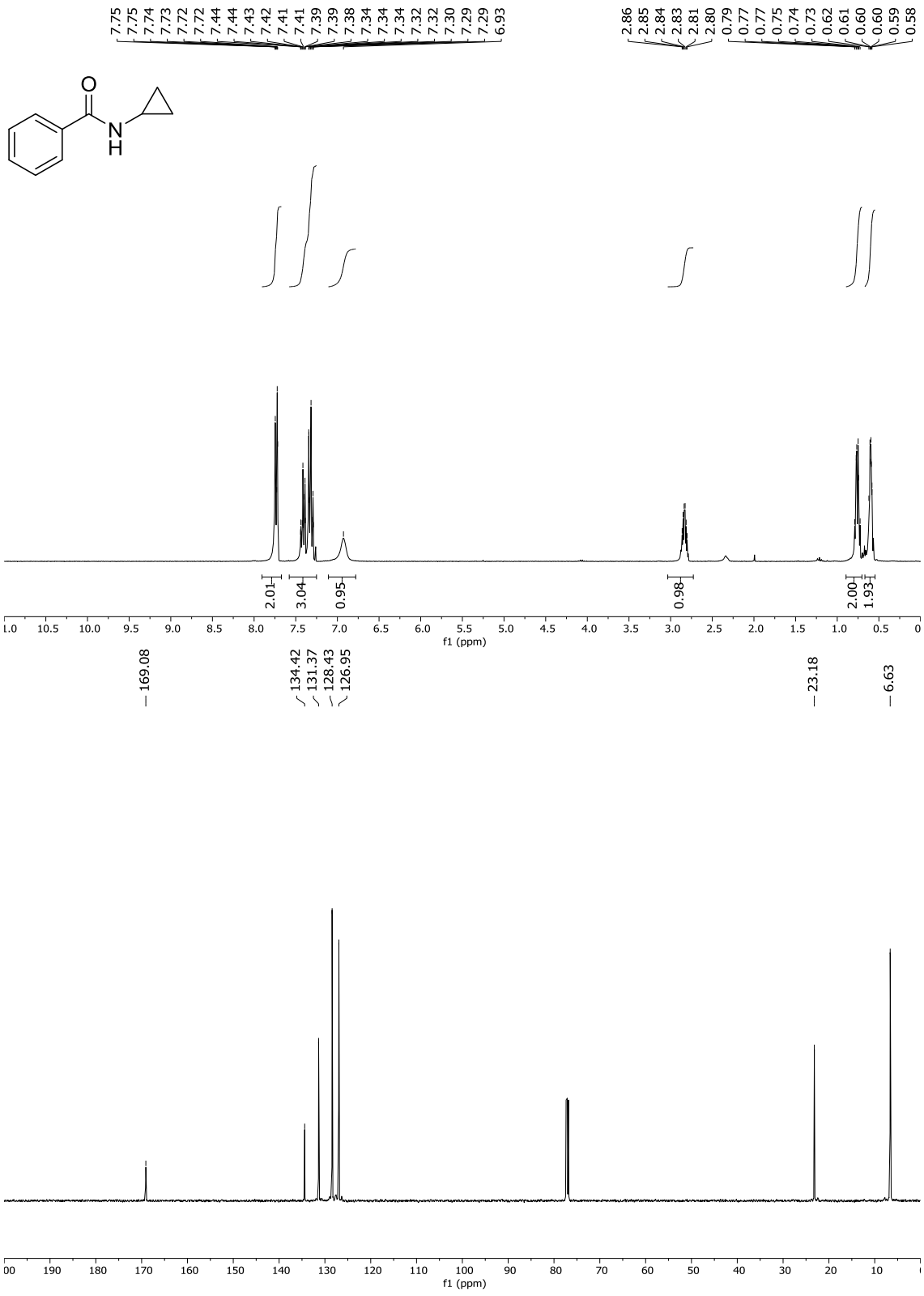
N-(3,4-Dimethoxyphenyethyl)benzamide



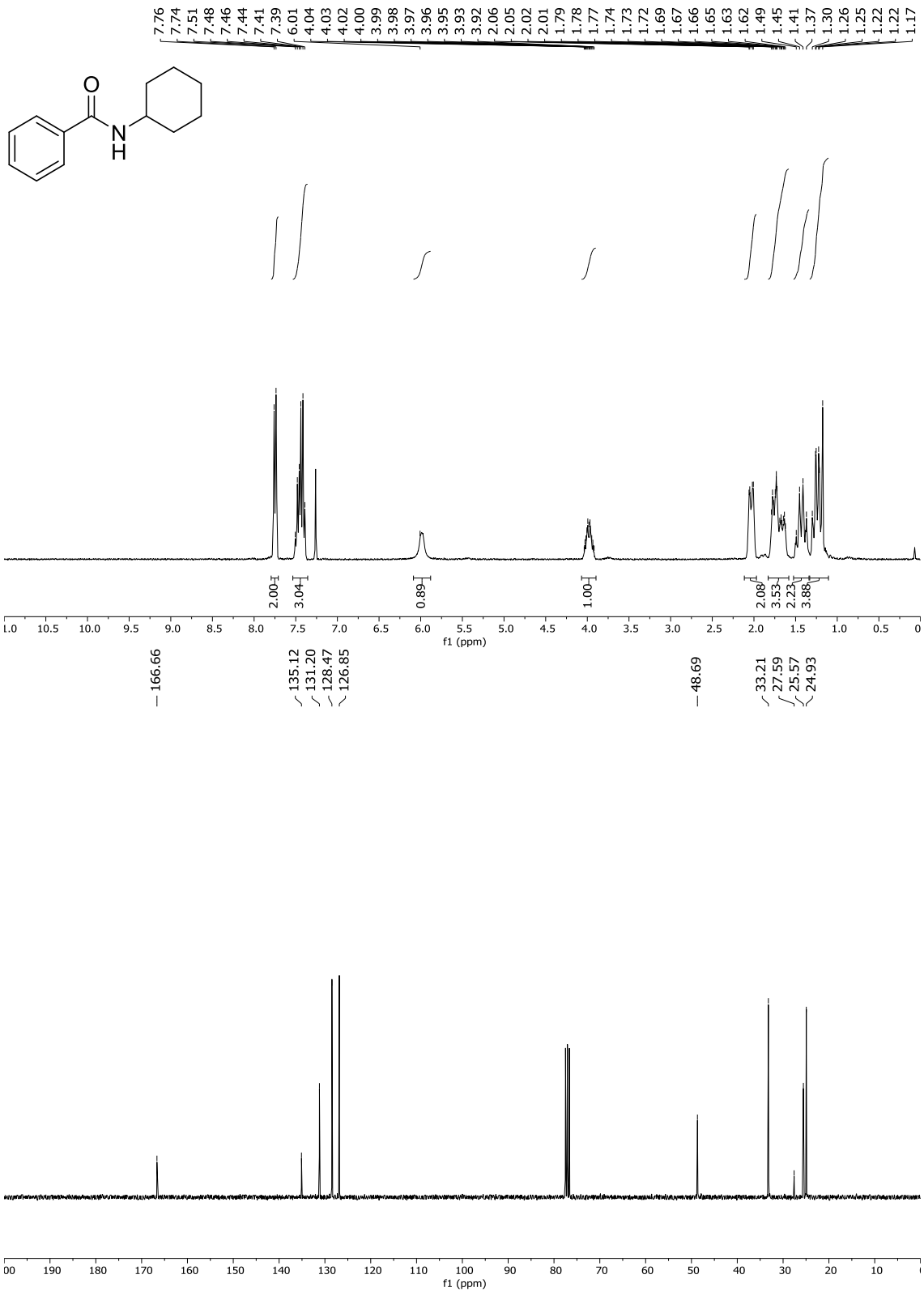
N-Butylbenzamide



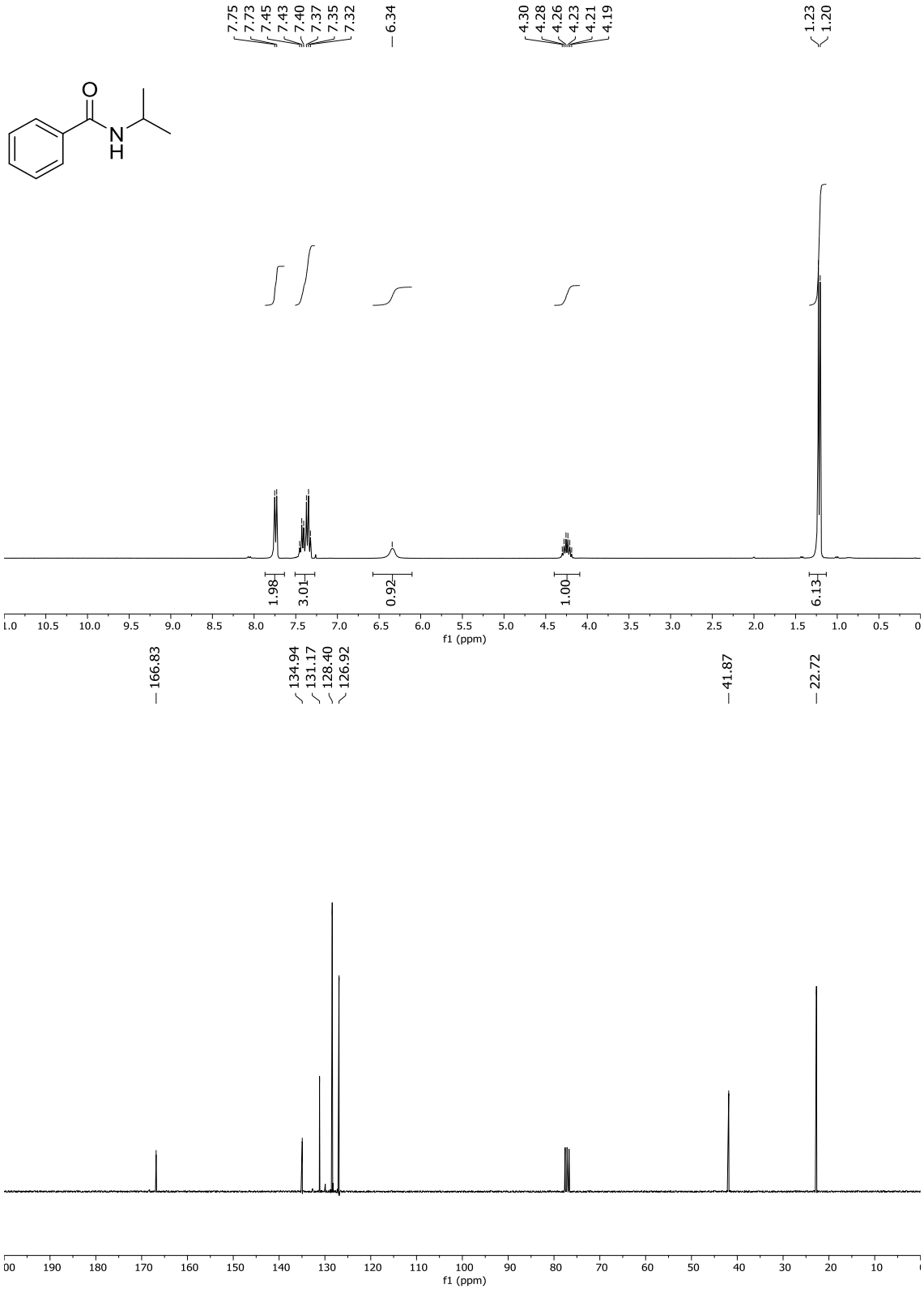
N-Cyclopropylbenzamide



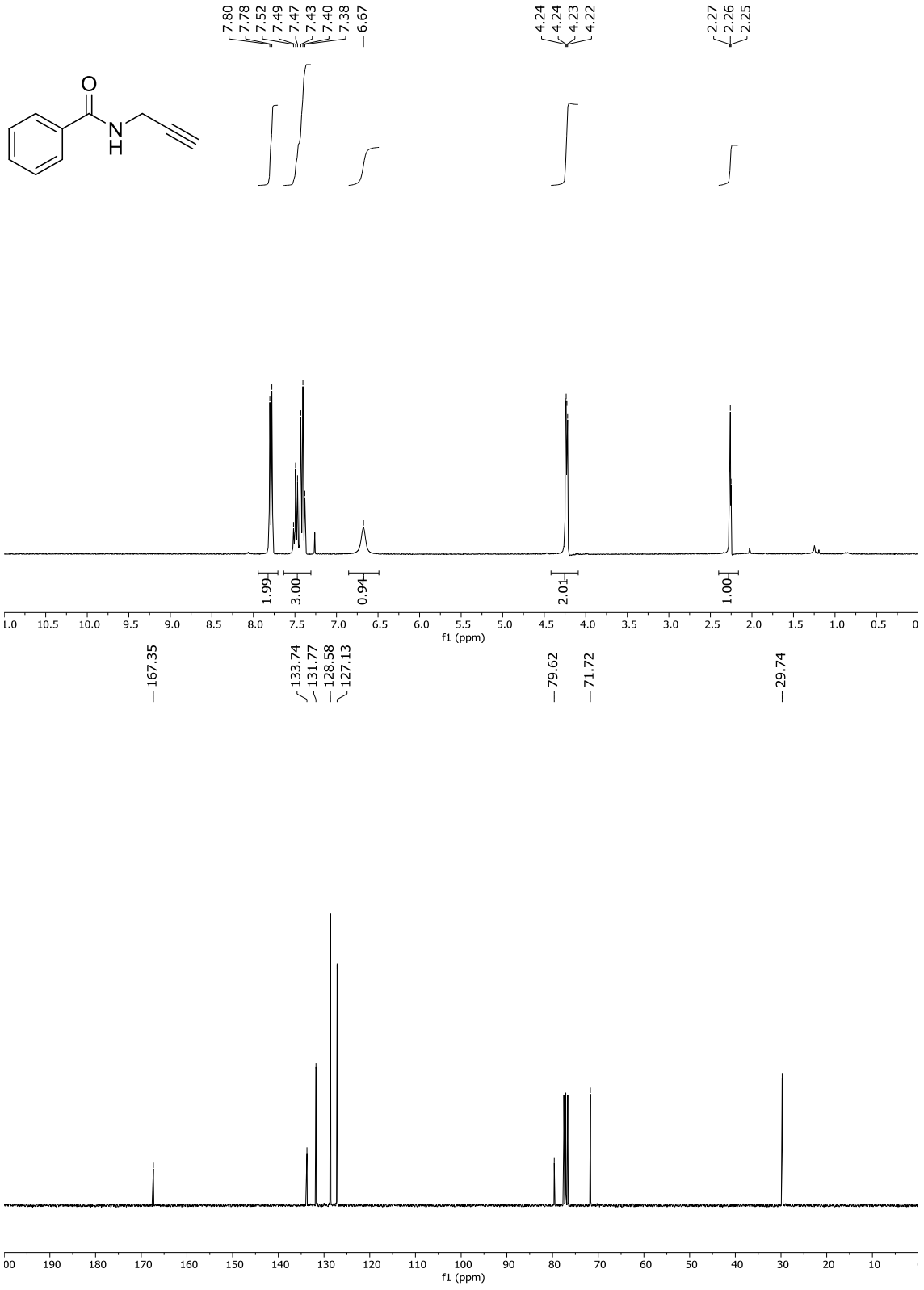
N-Cyclohexylbenzamide



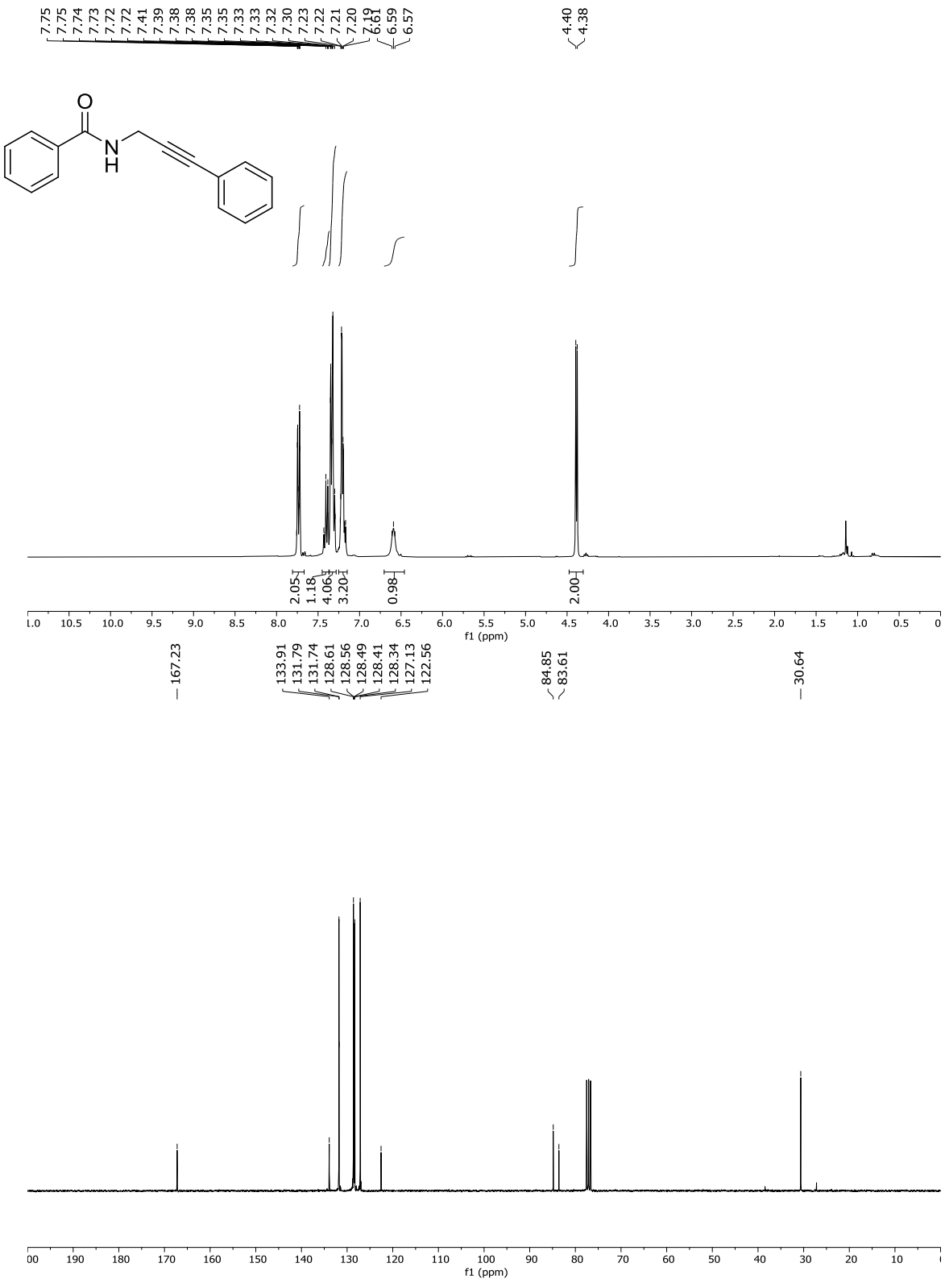
N-Isopropylbenzamide



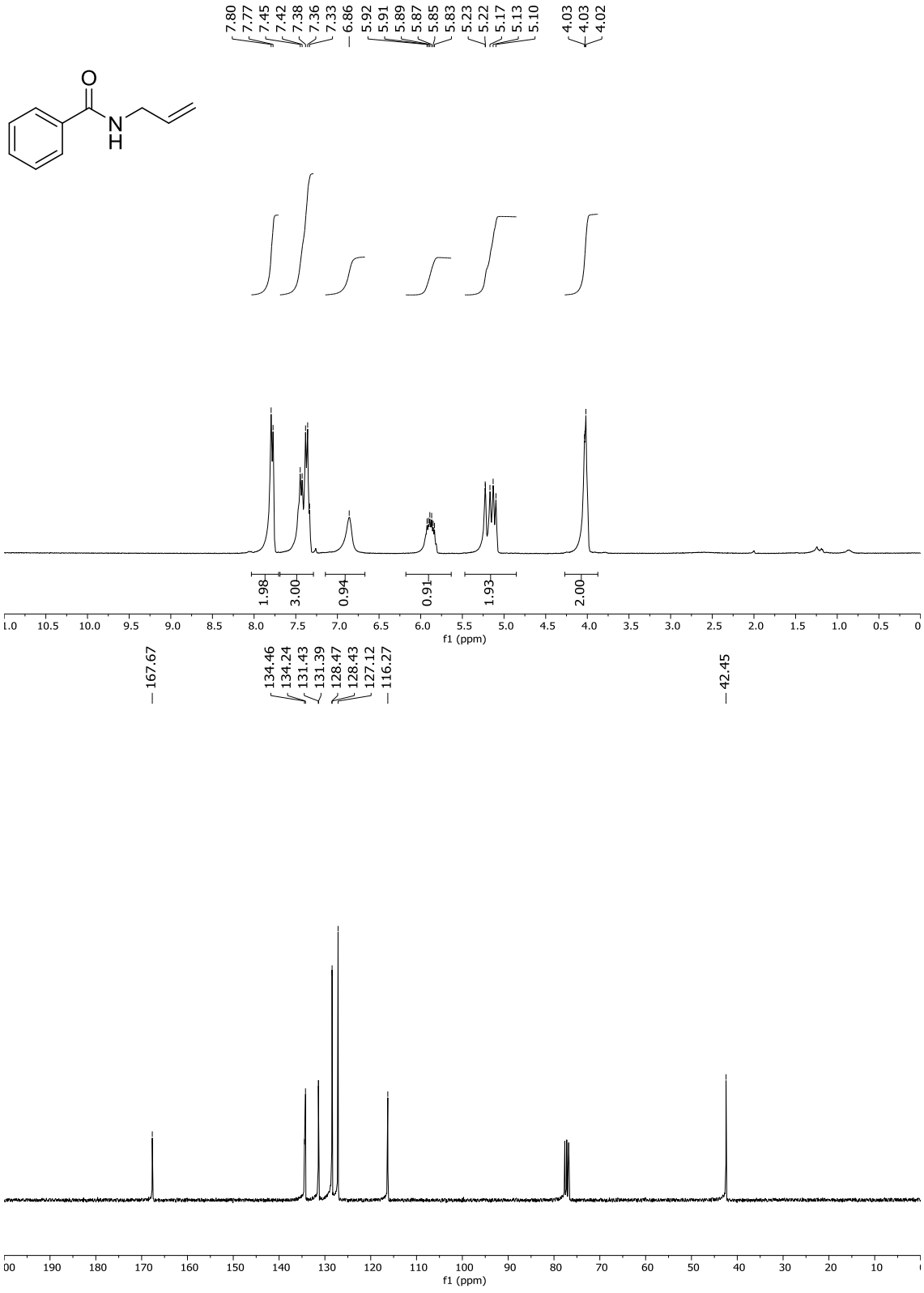
N-(Prop-2-yn-1-yl)benzamide



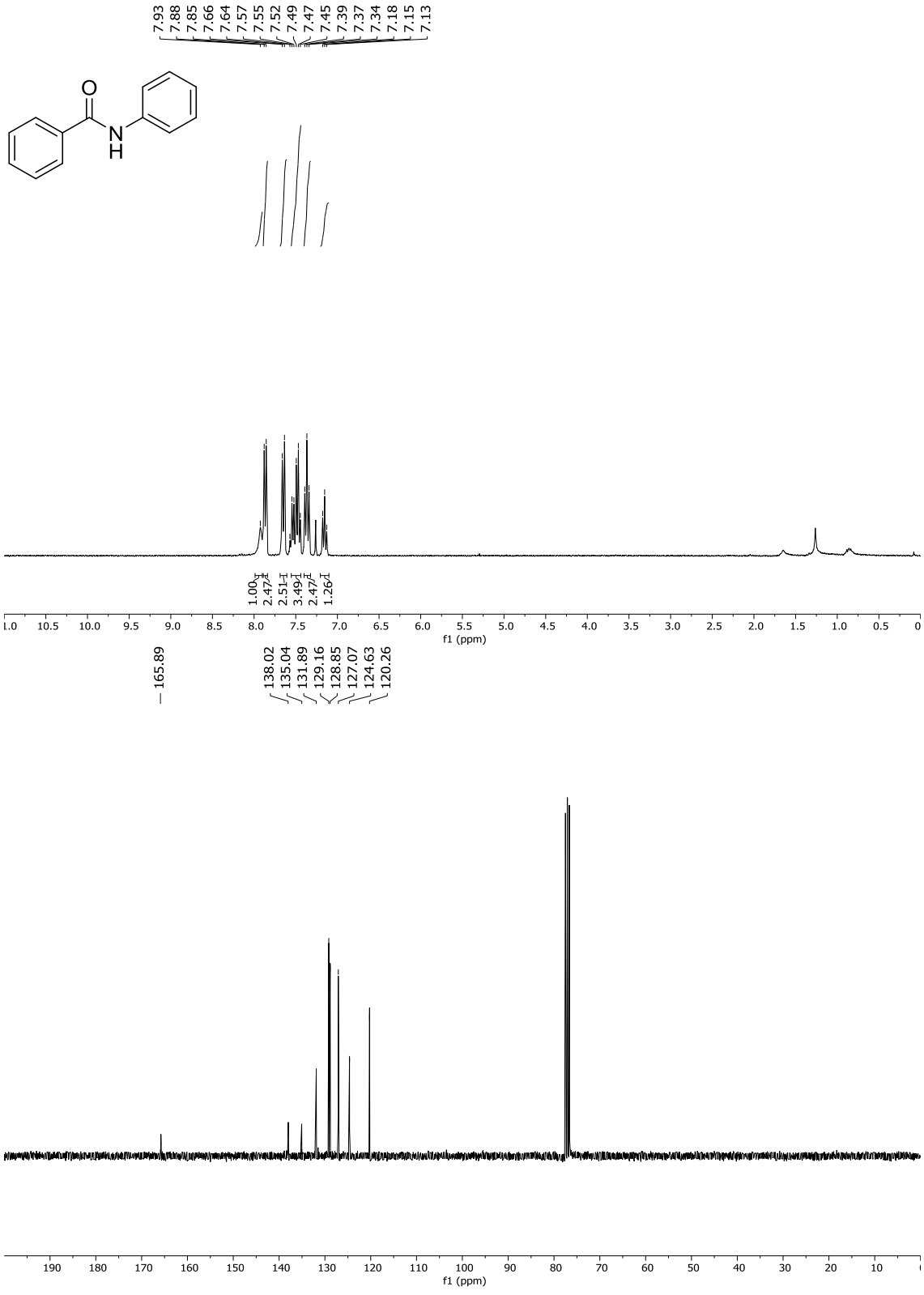
N-(3-phenylprop-2-yn-1-yl)benzamide



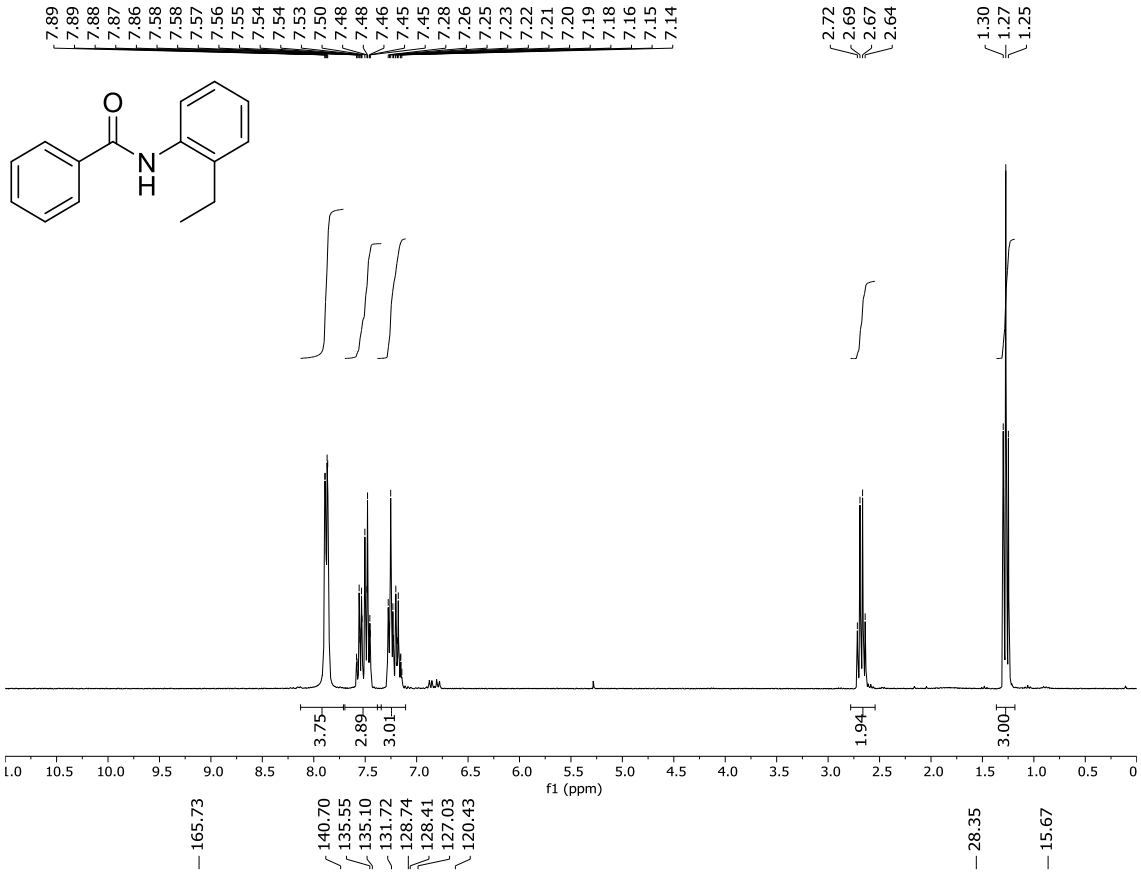
N-Allylbenzamide

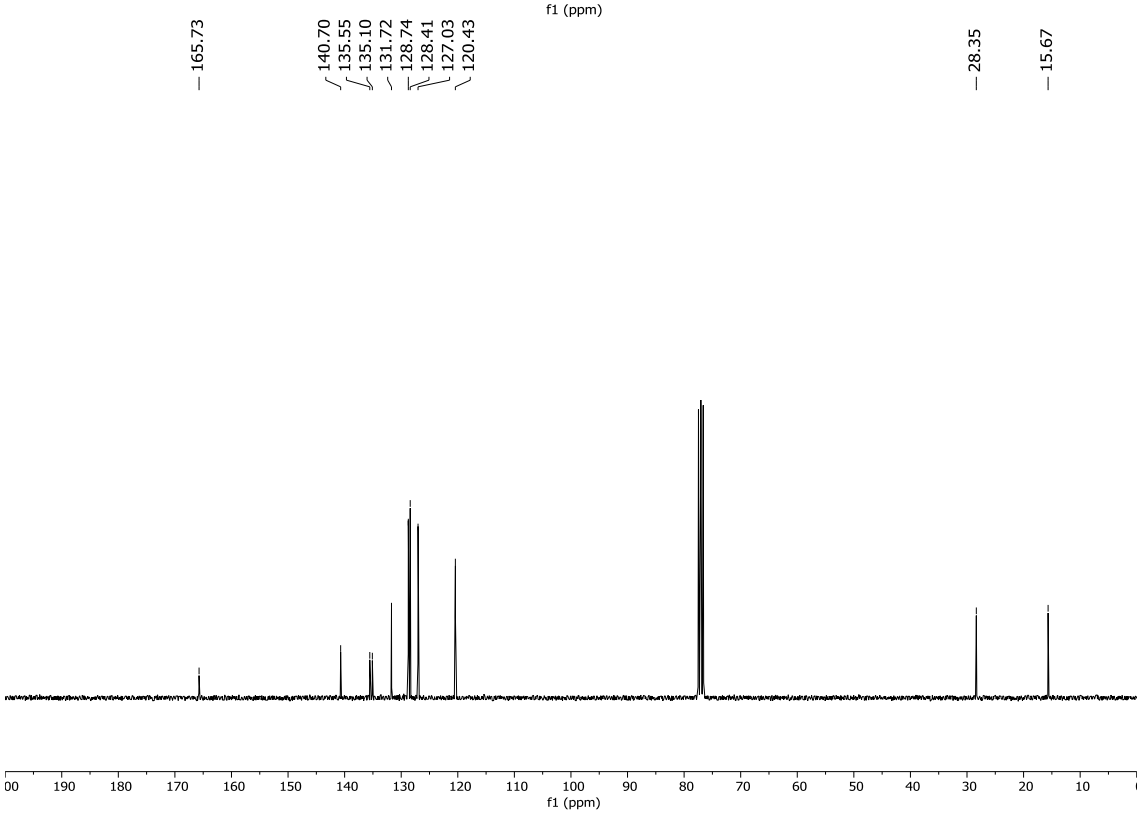


N-Phenylbenzamide

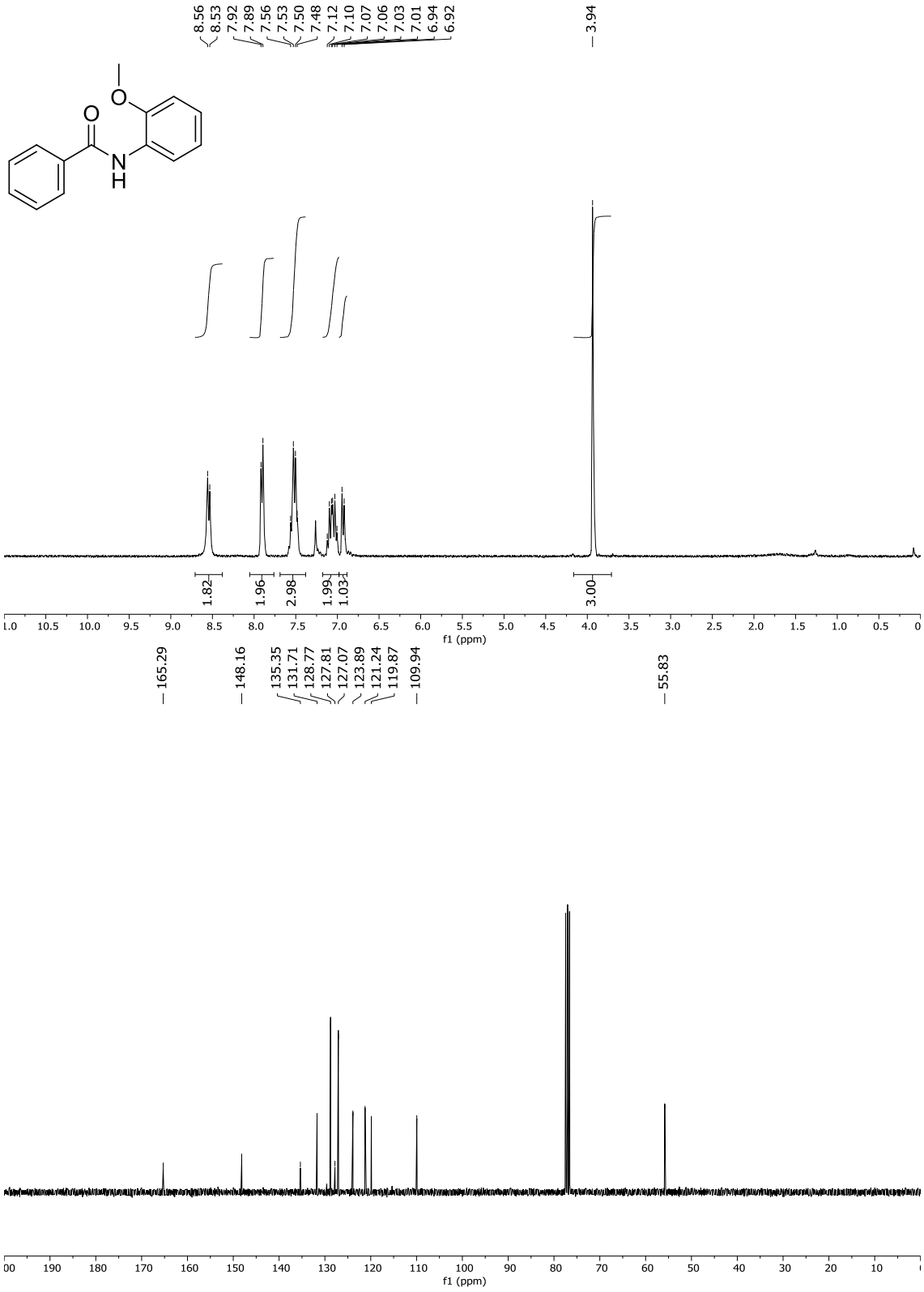


N-(2-Ethylphenyl)benzamide

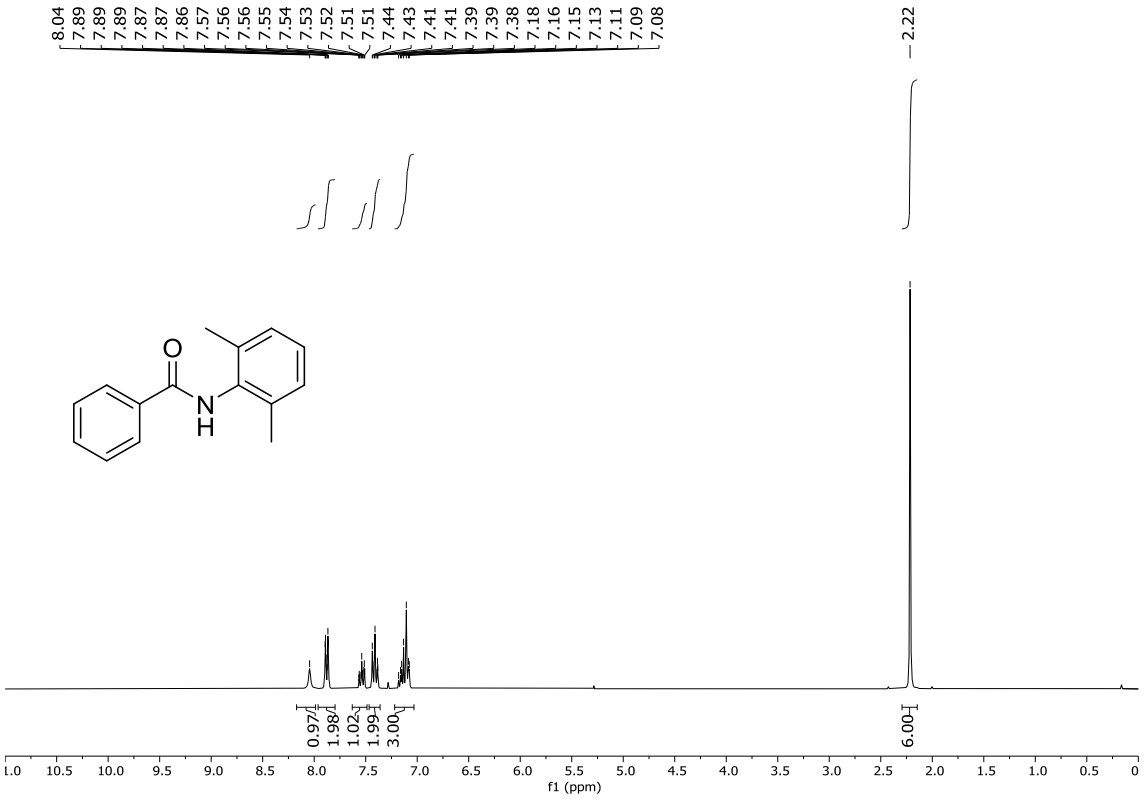


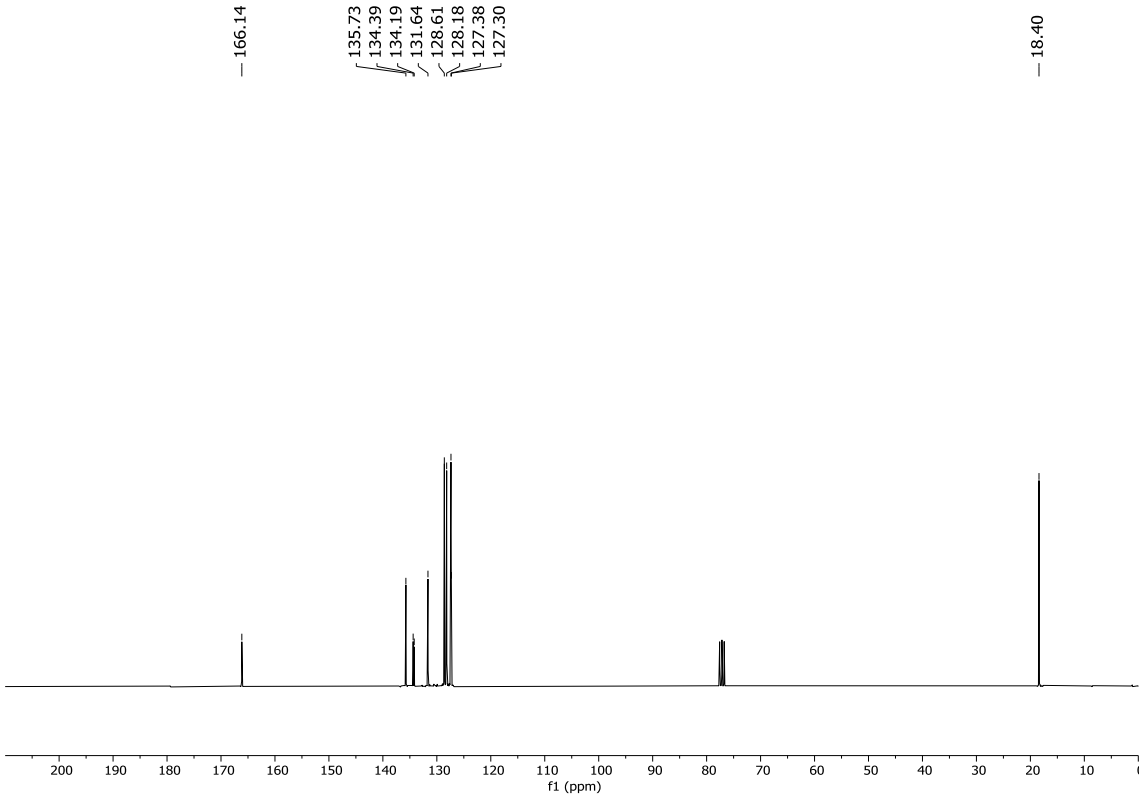


N-(2-Methoxyphenyl)benzamide

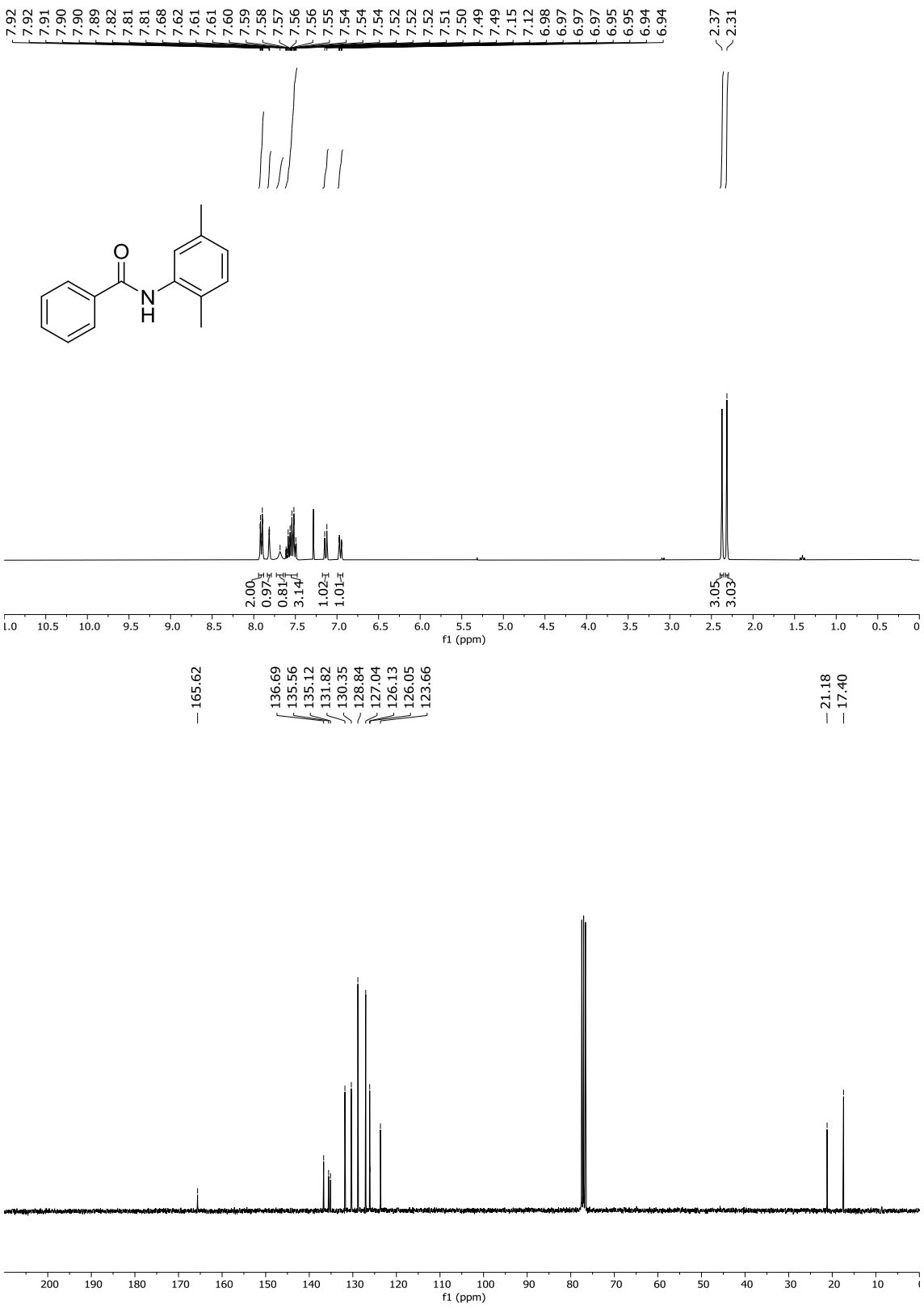


N-(2,6-dimethylphenyl)benzamide

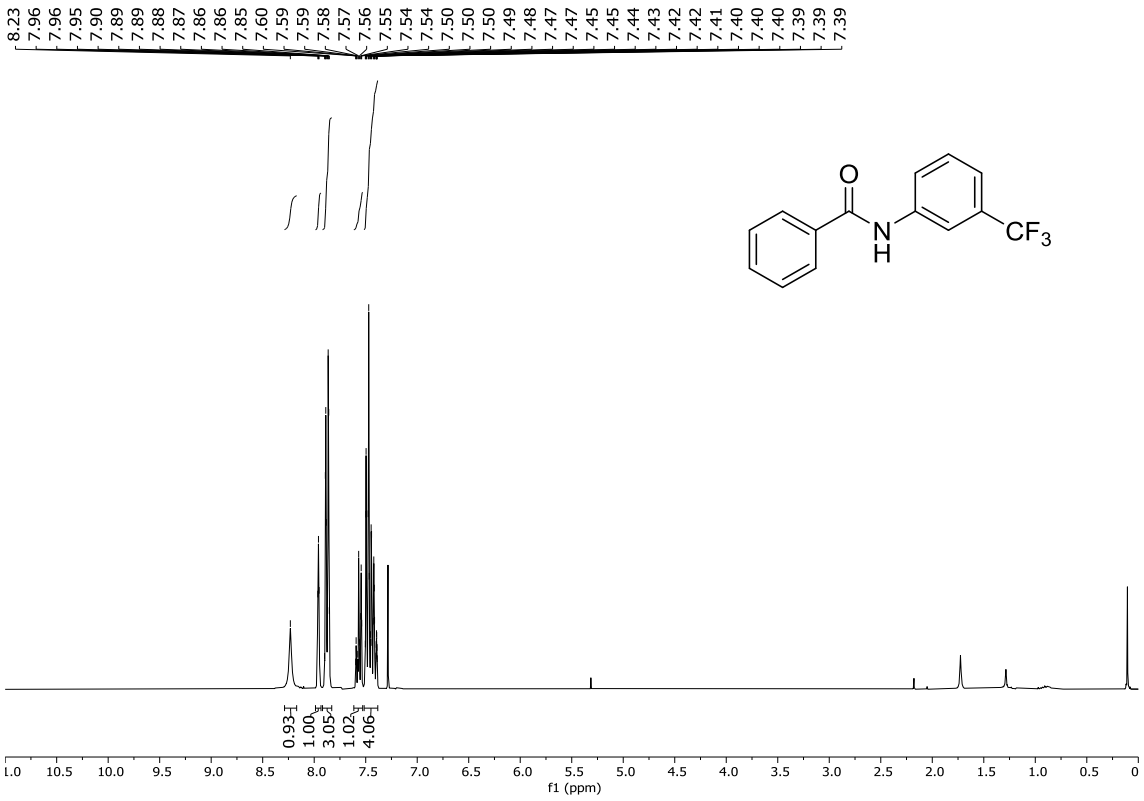


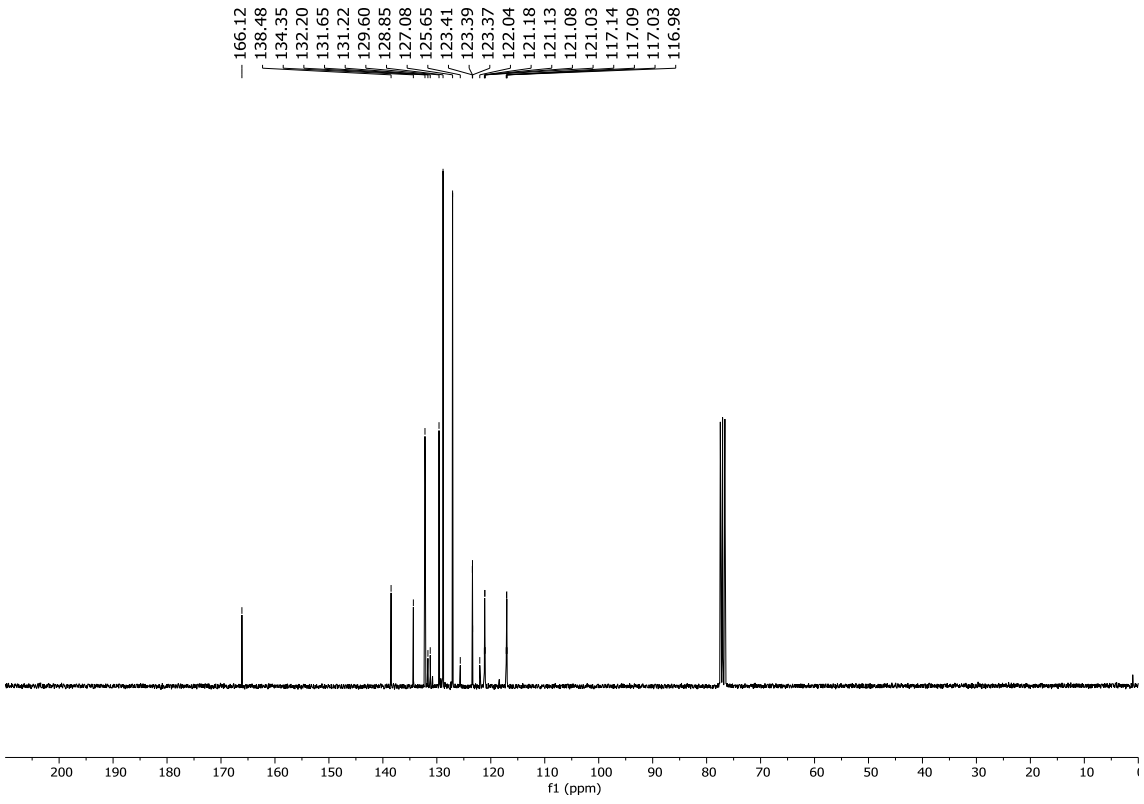


N-(2,5-dimethylphenyl)benzamide

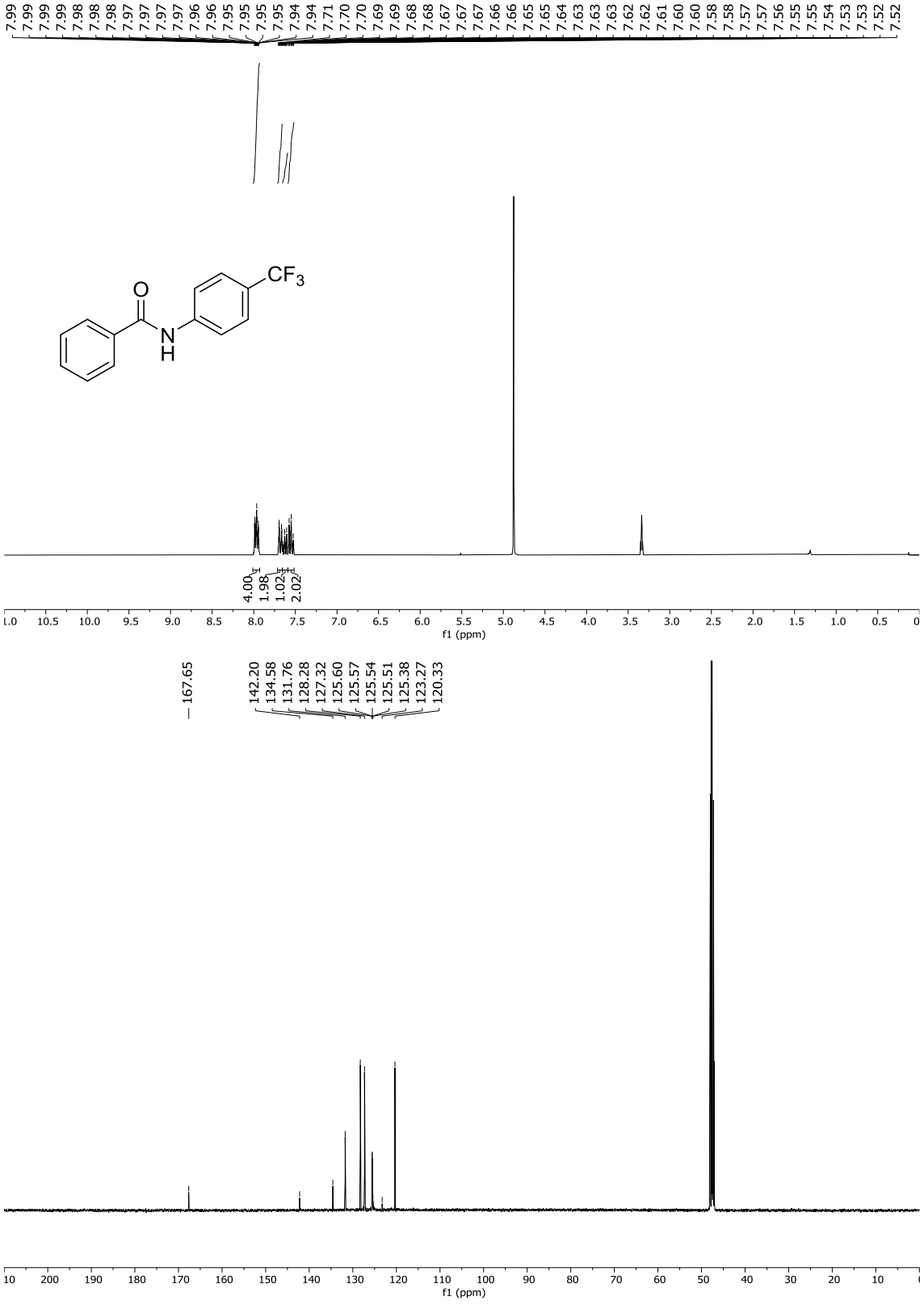


N-(3-(trifluoromethyl)phenyl)benzamide

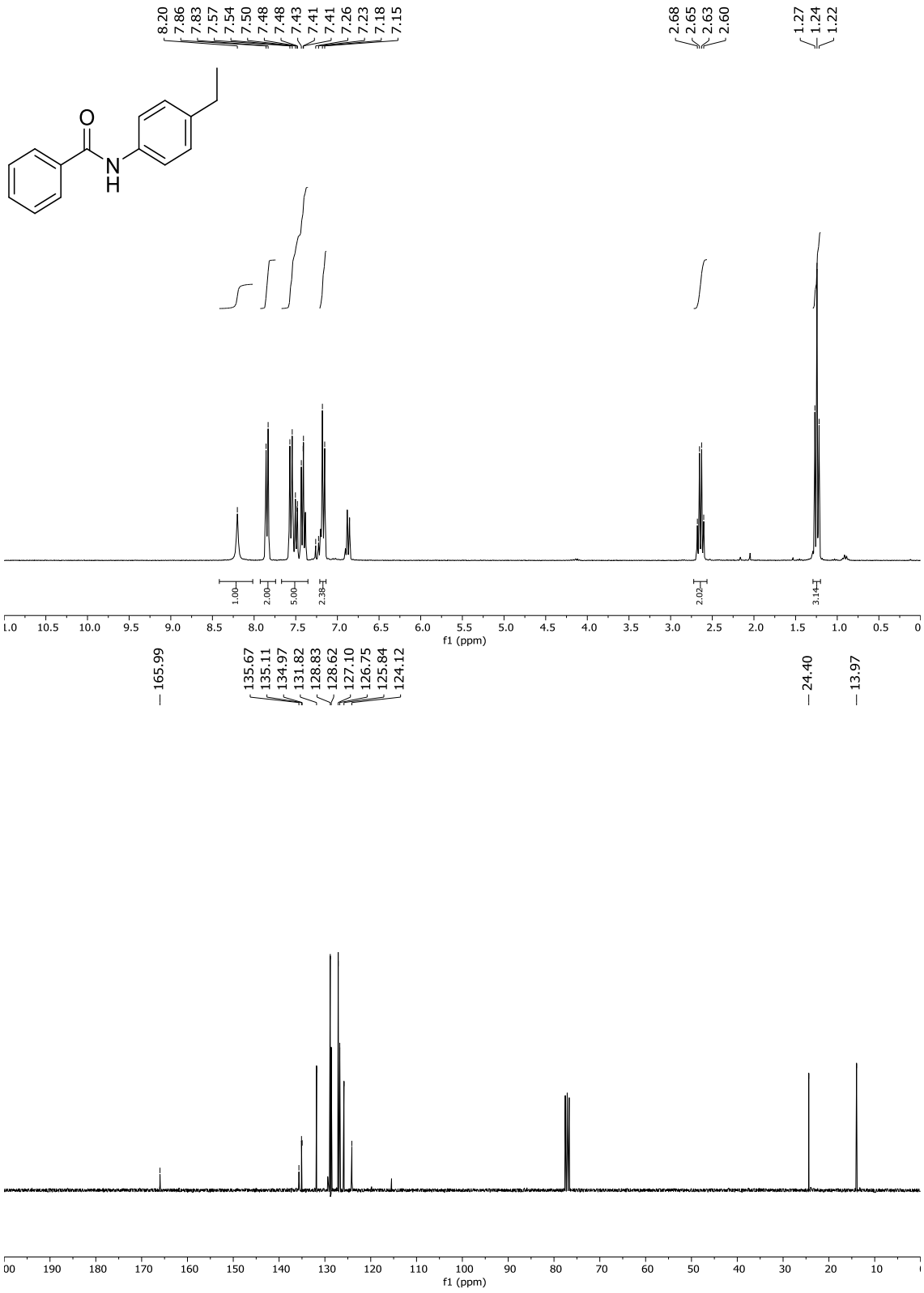




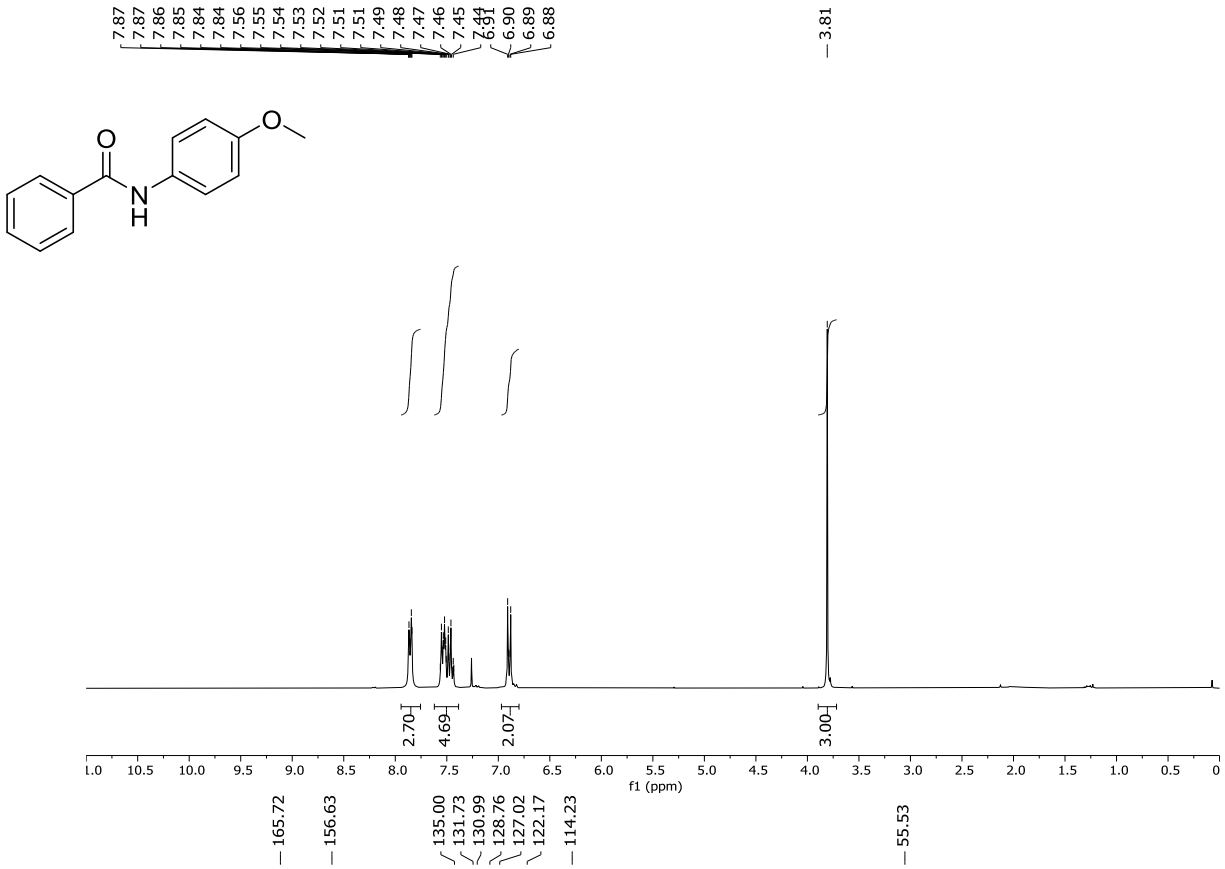
N-(4-(trifluoromethyl)phenyl)benzamide

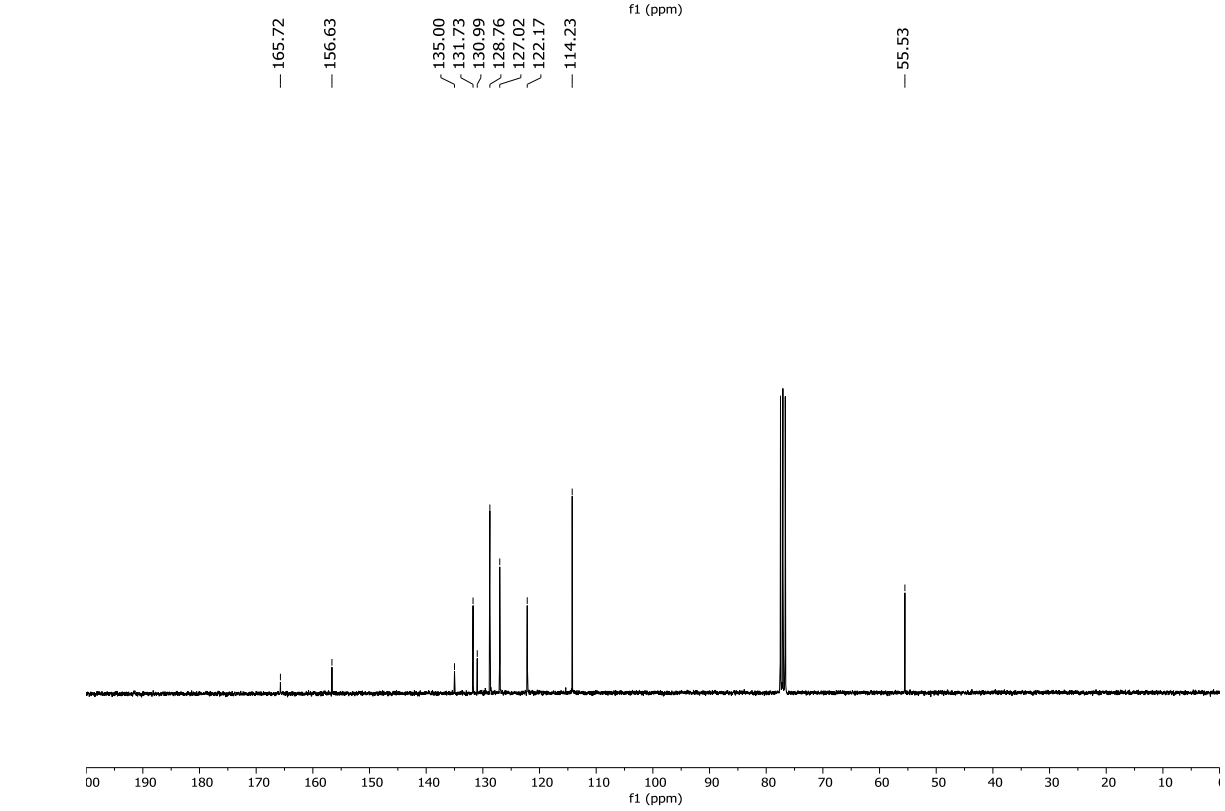


N-(4-Ethylphenyl)benzamide

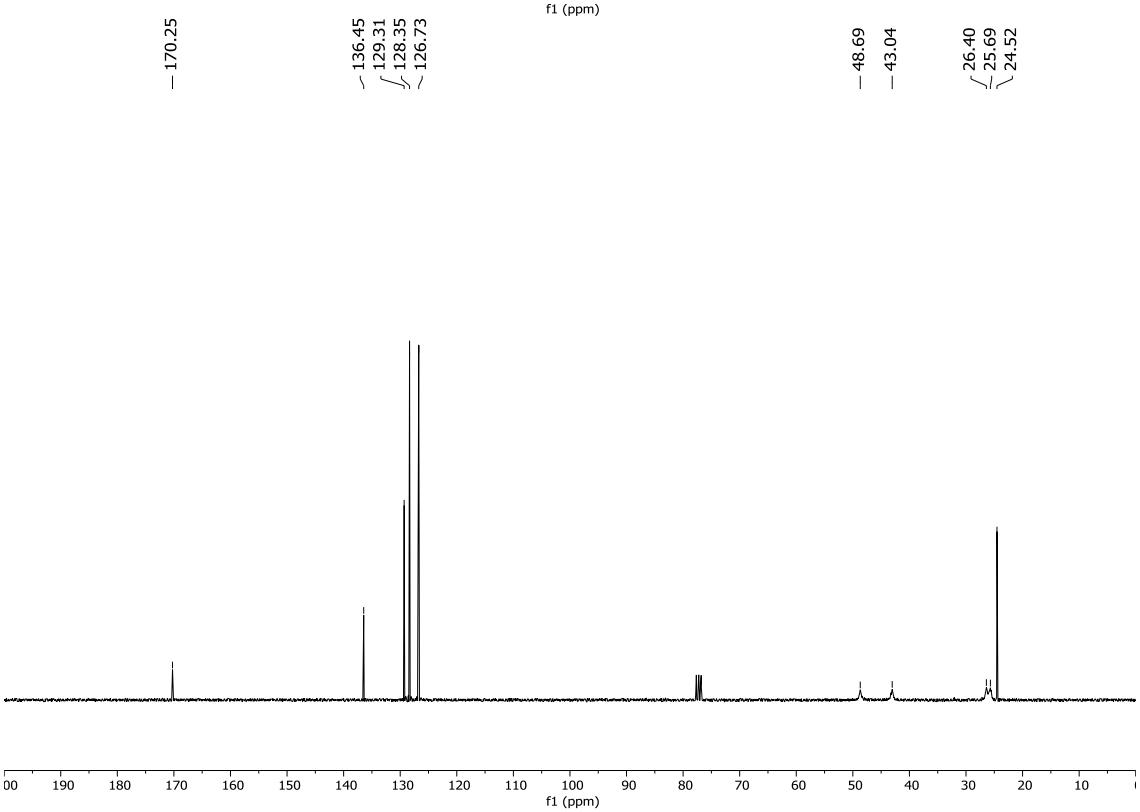


N-(4-methoxyphenyl)benzamide

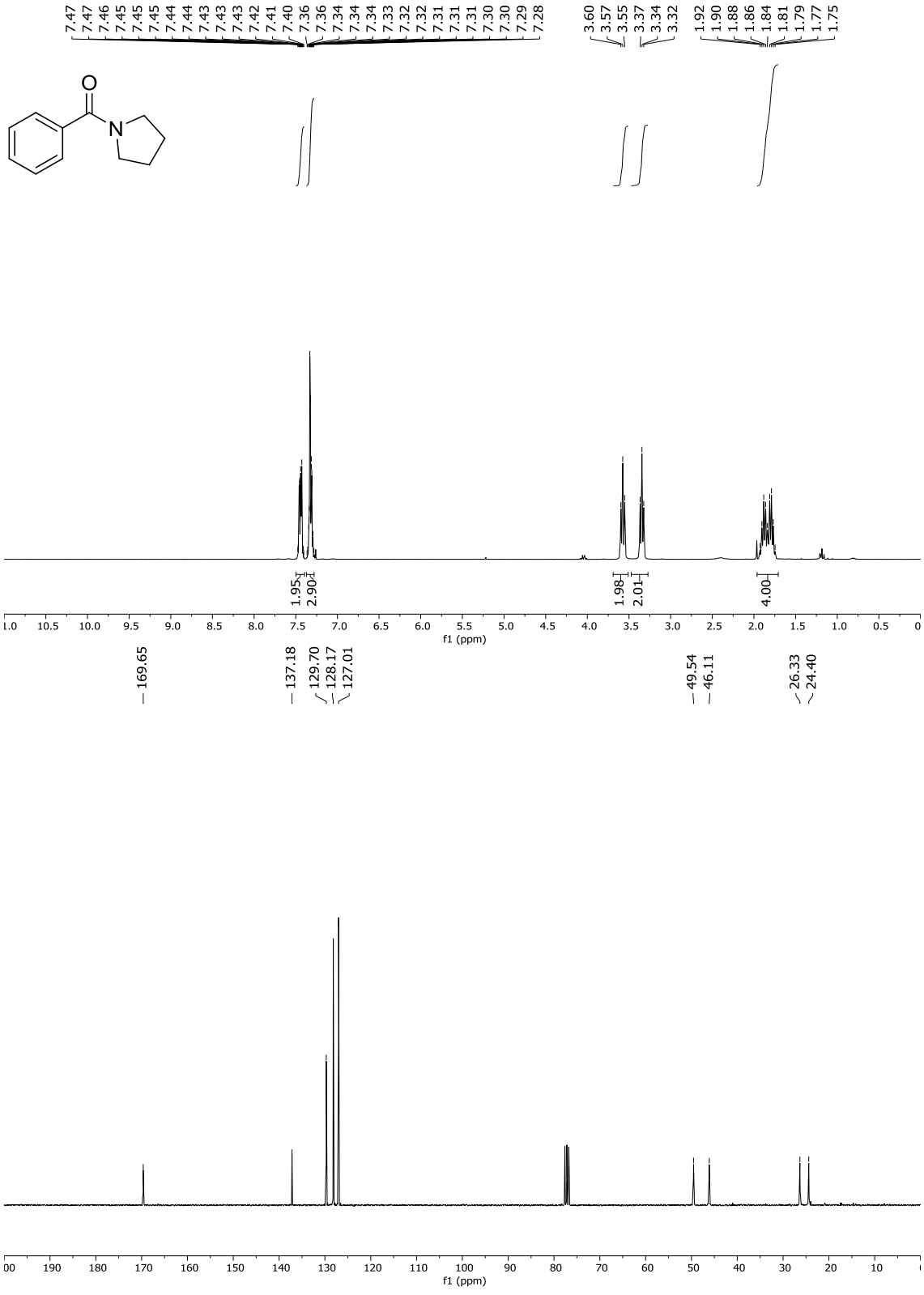




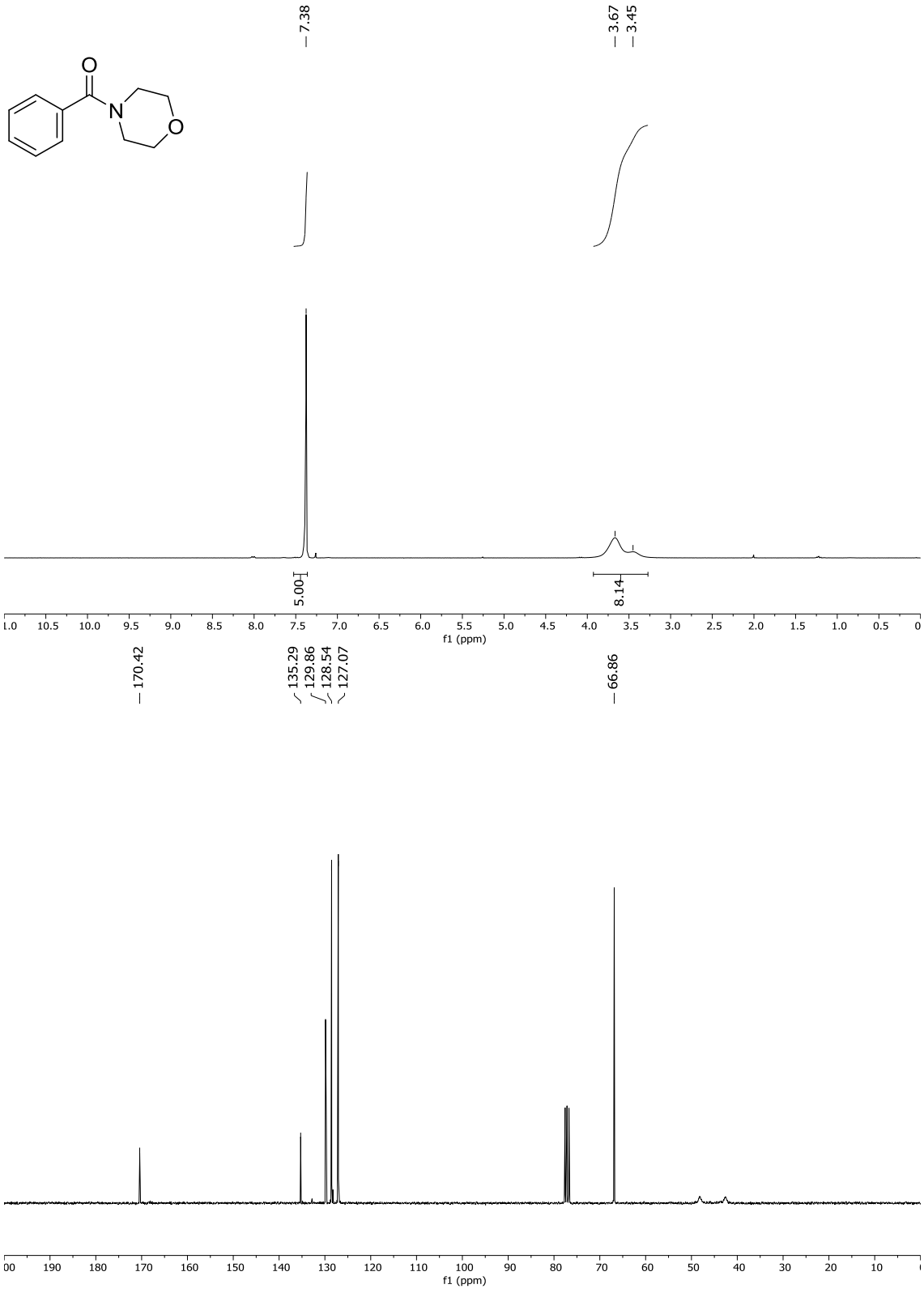
Phenyl(piperdidin-1-yl)methanone



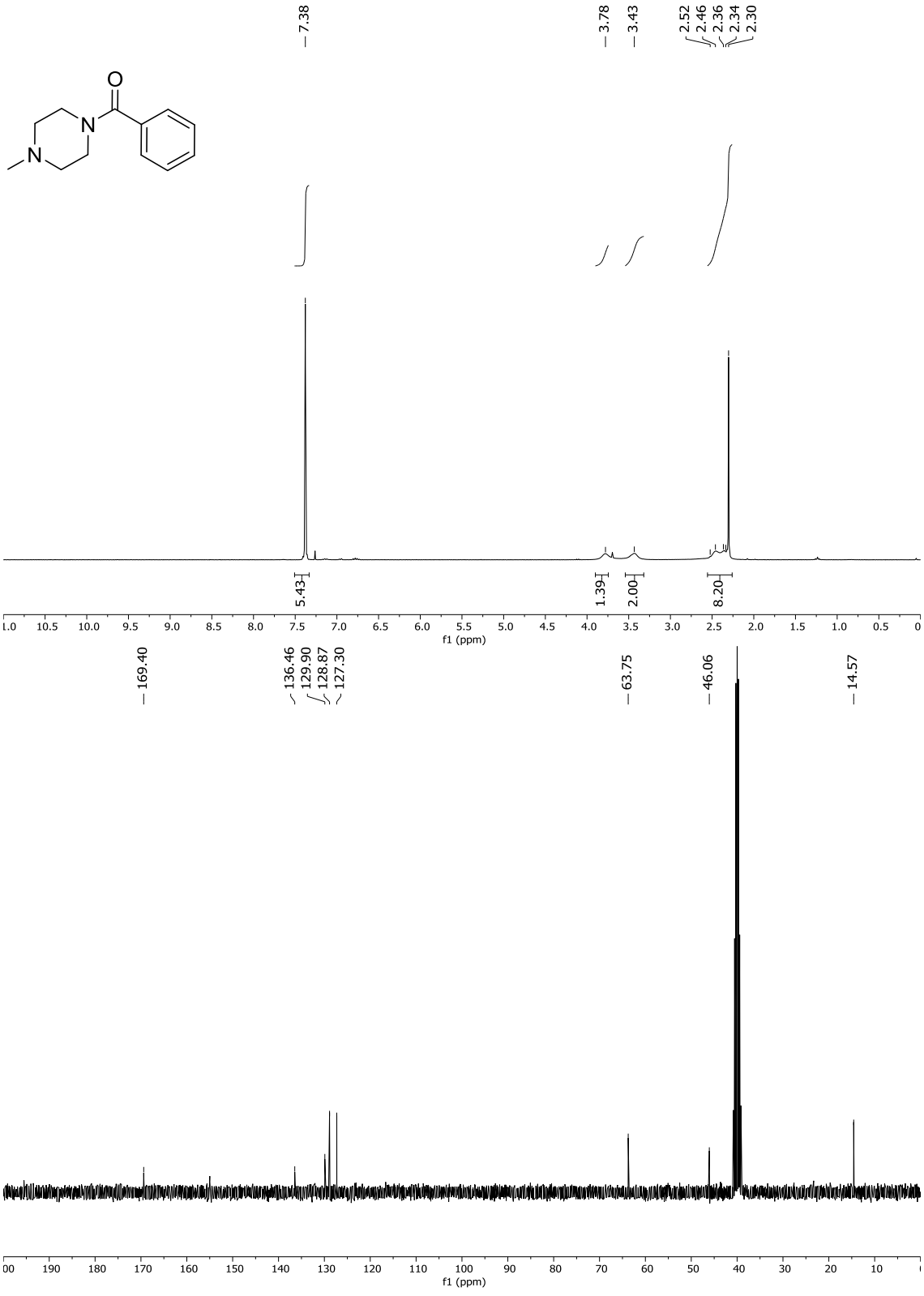
Phenyl(pyrrolidin-1-yl)methanone



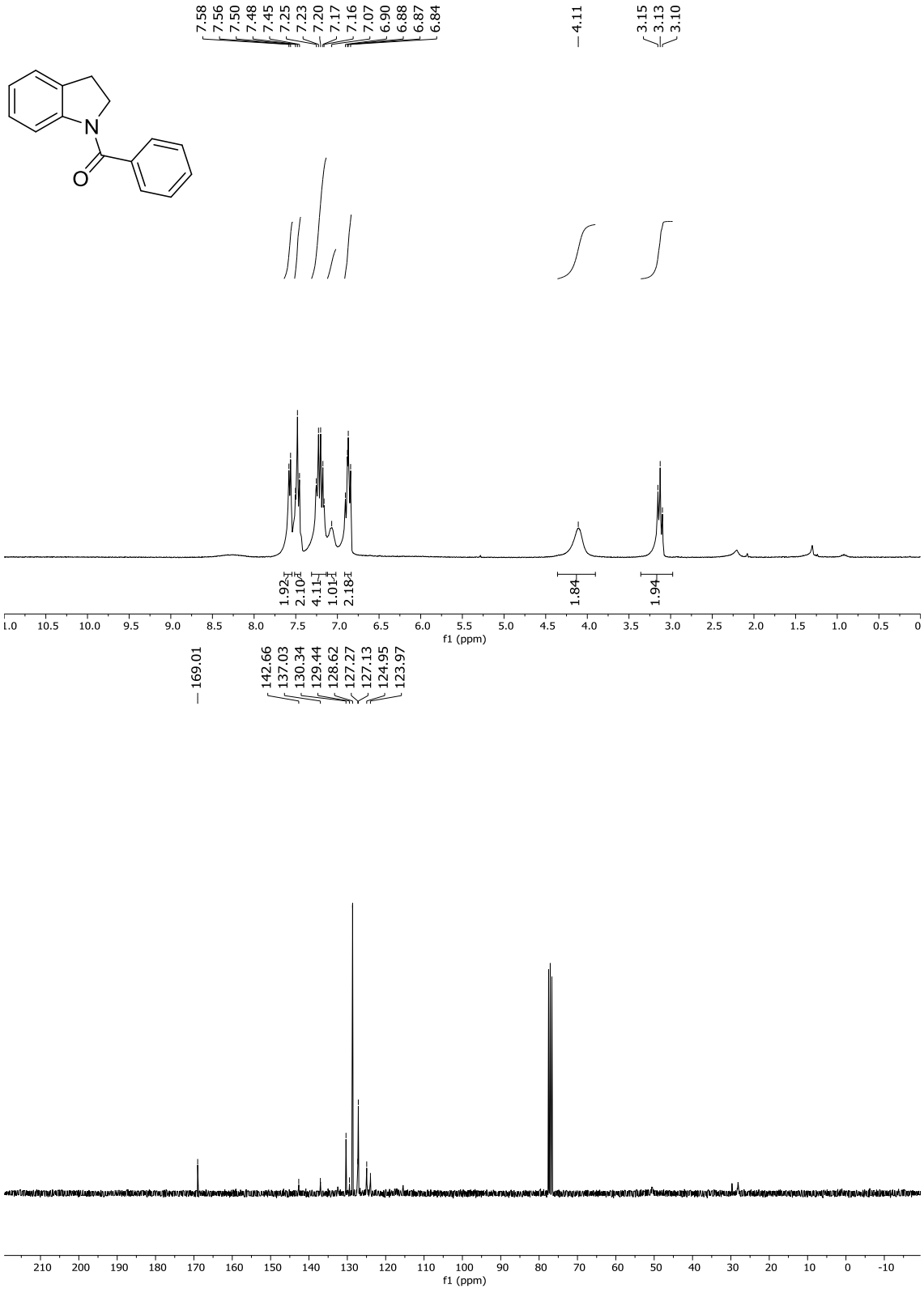
Morpholino(phenyl)methanone



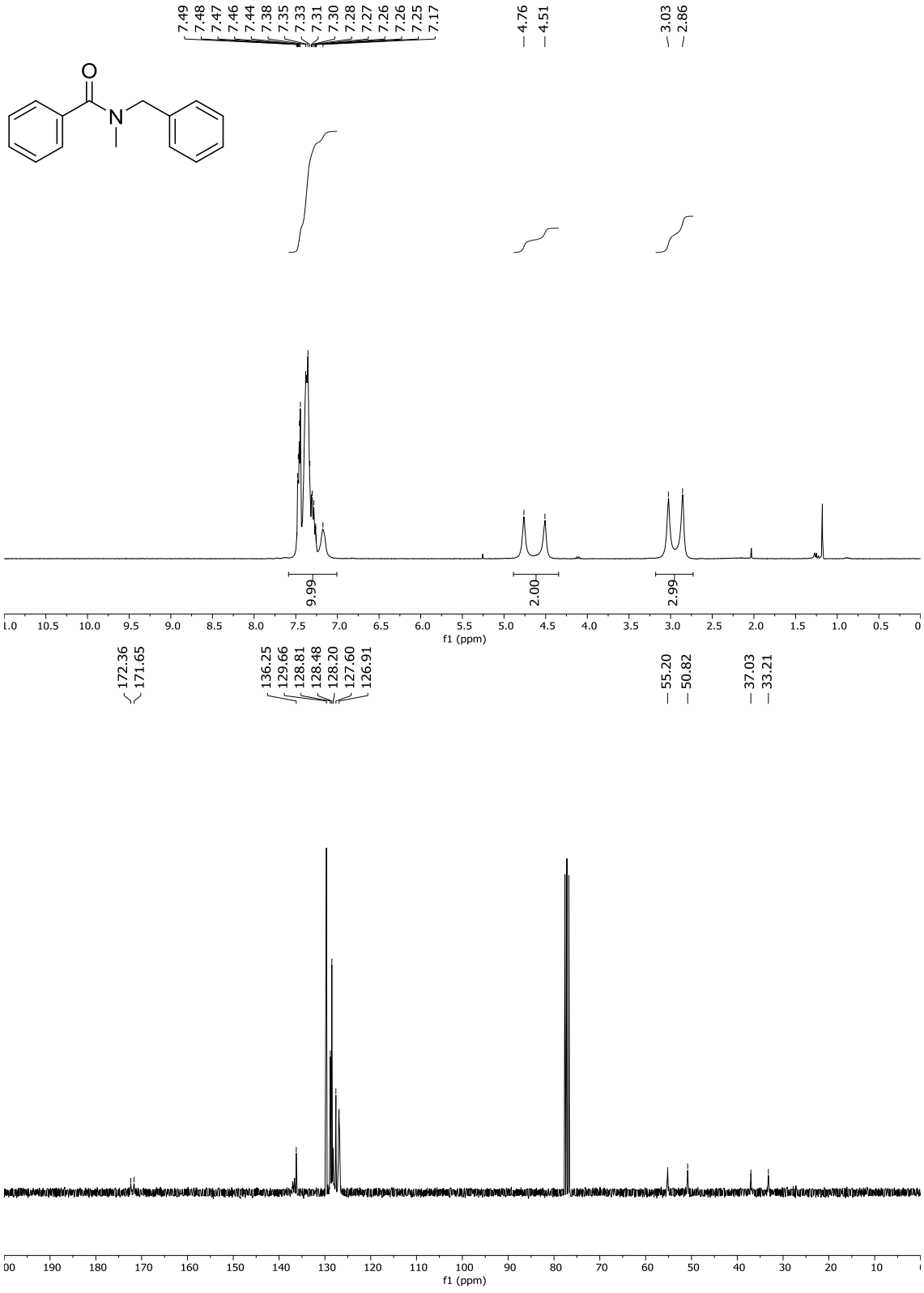
(4-Methylpiperazin-1-yl)(phenyl)methanone



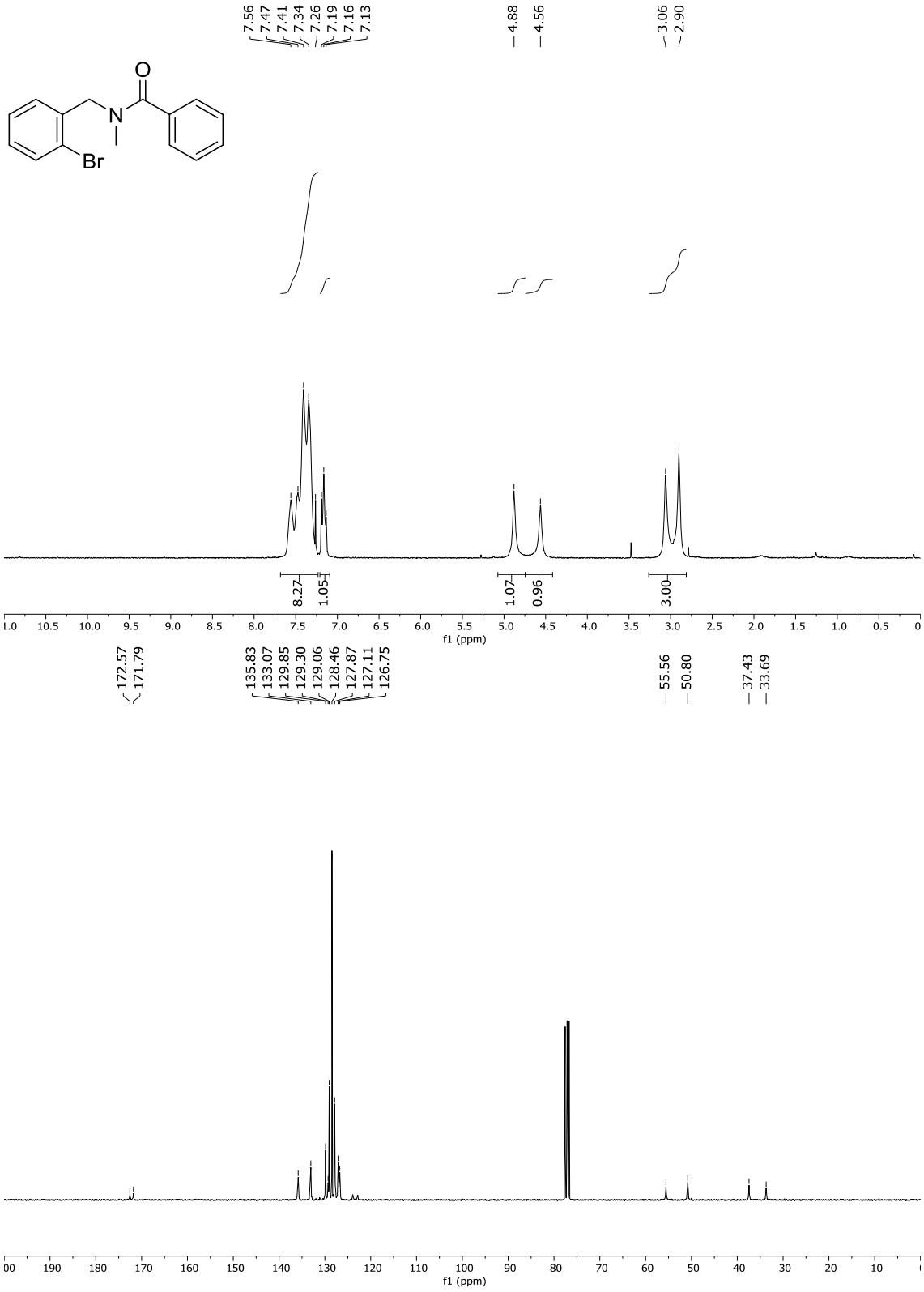
Indolin-1-yl(phenyl)methanone



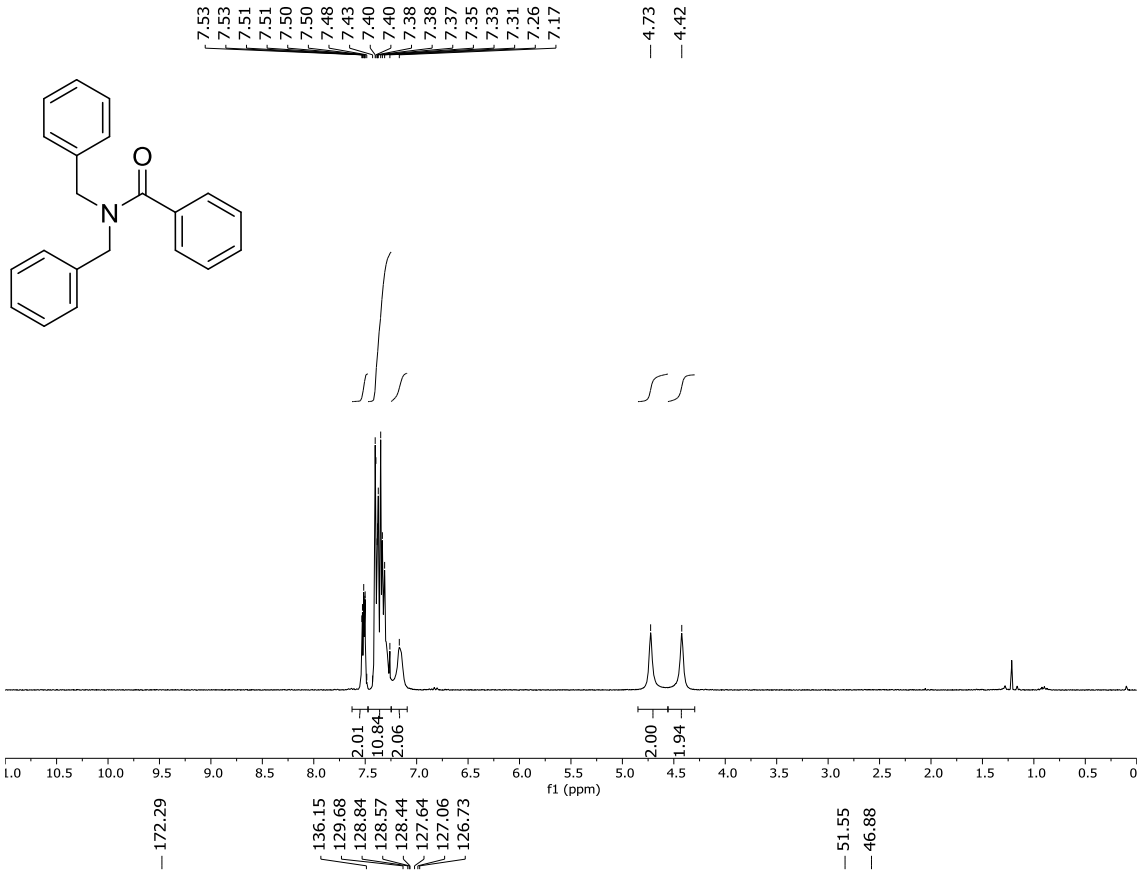
N-Benzyl-*N*-methylbenzamide

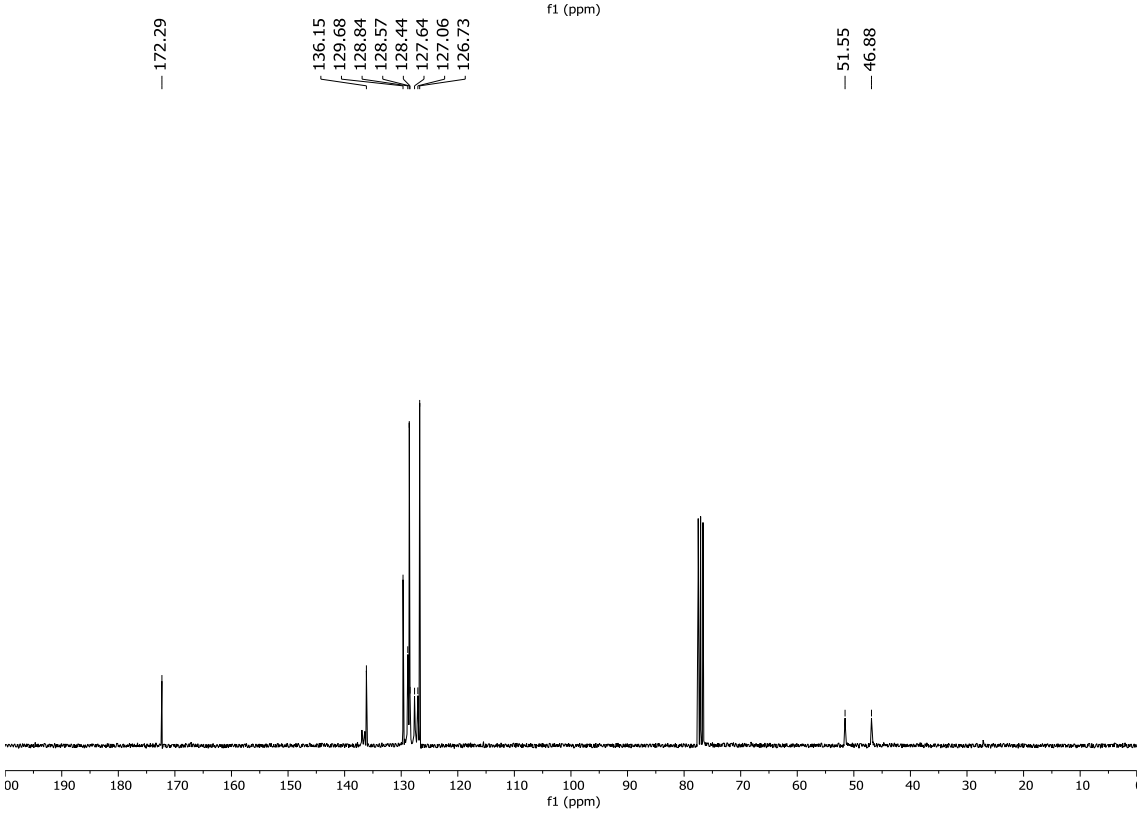


N-(2-Bromobenzyl)-*N*-methylbenzamide

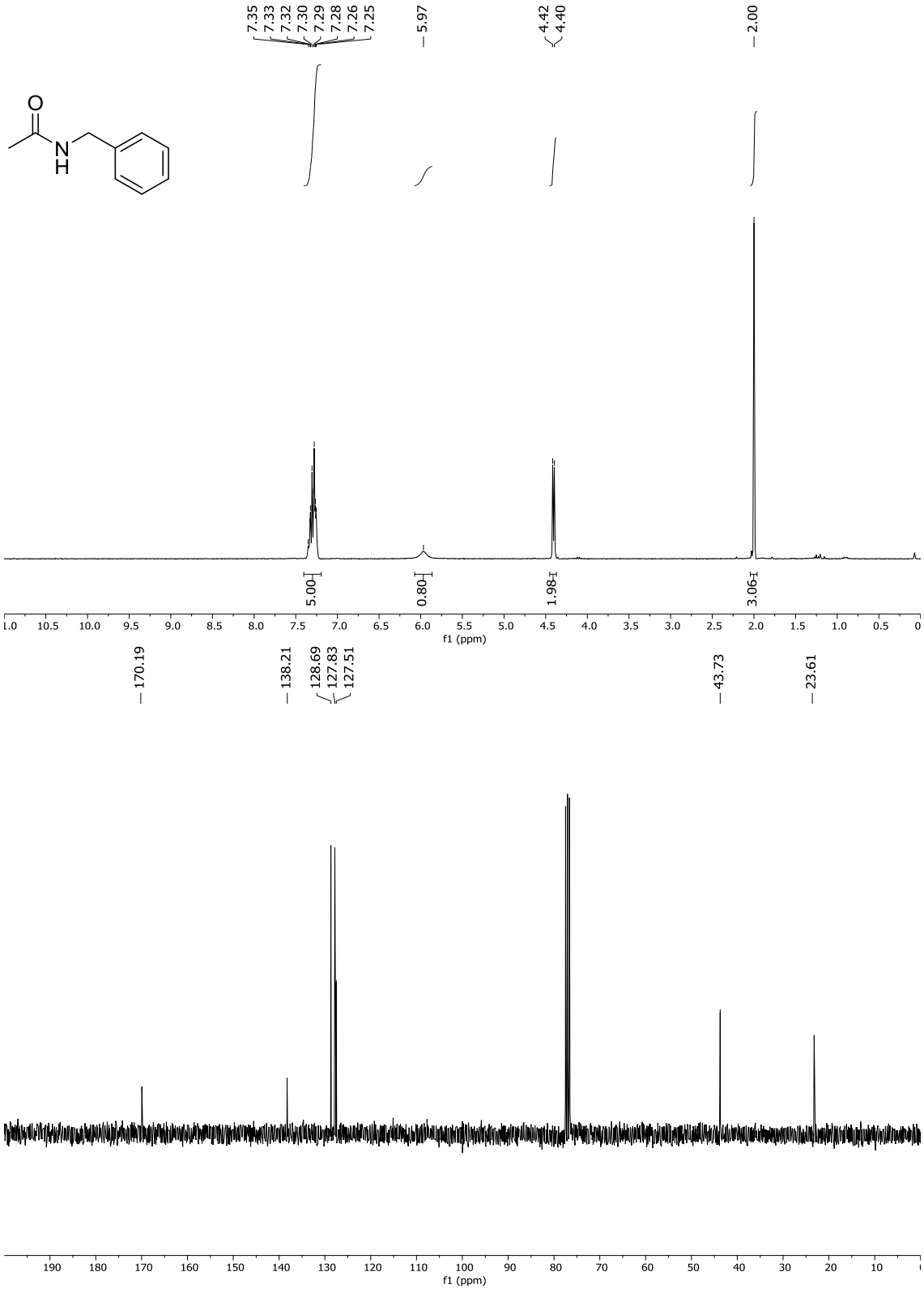


N,N-Dibenzylbenzamide

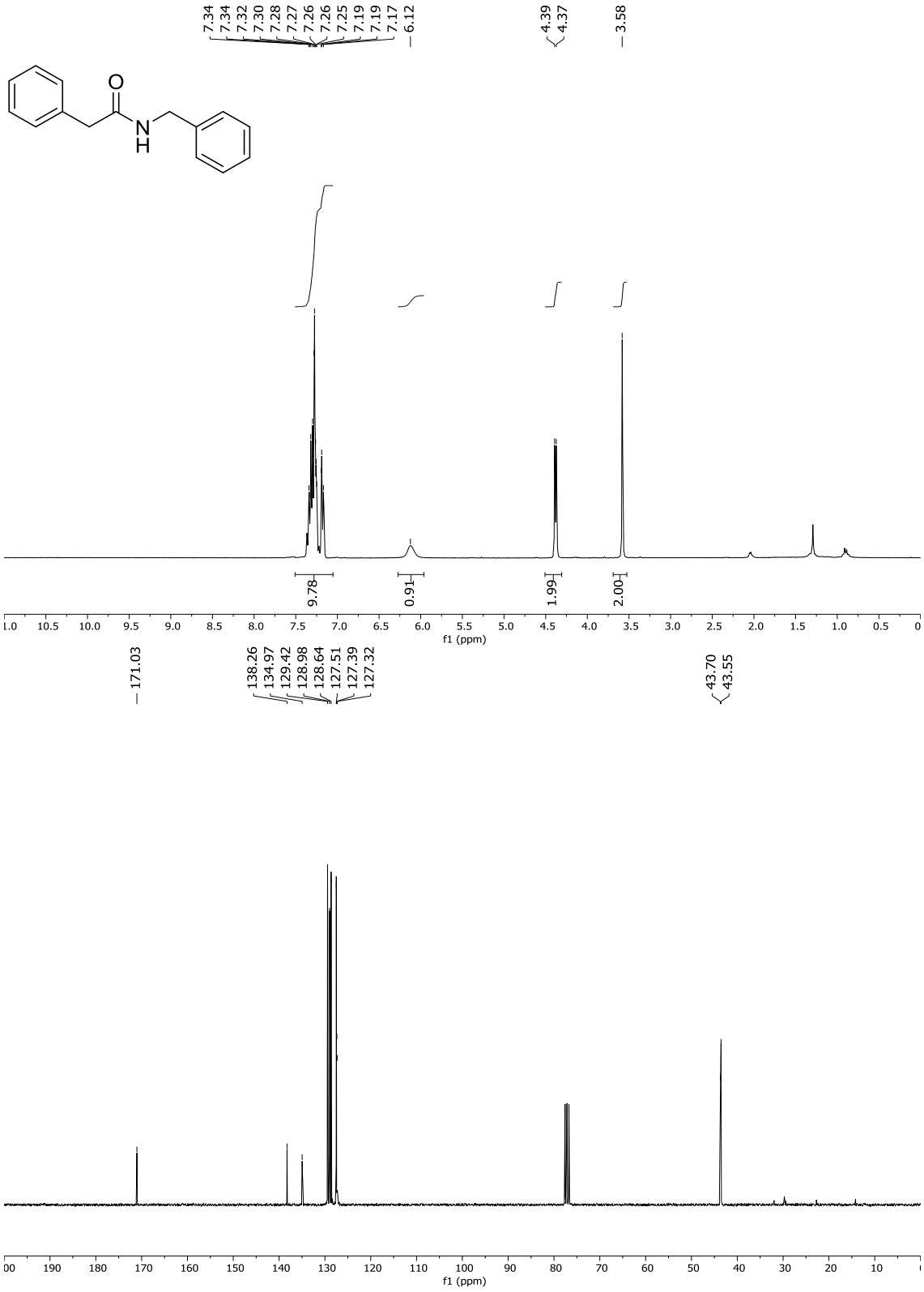




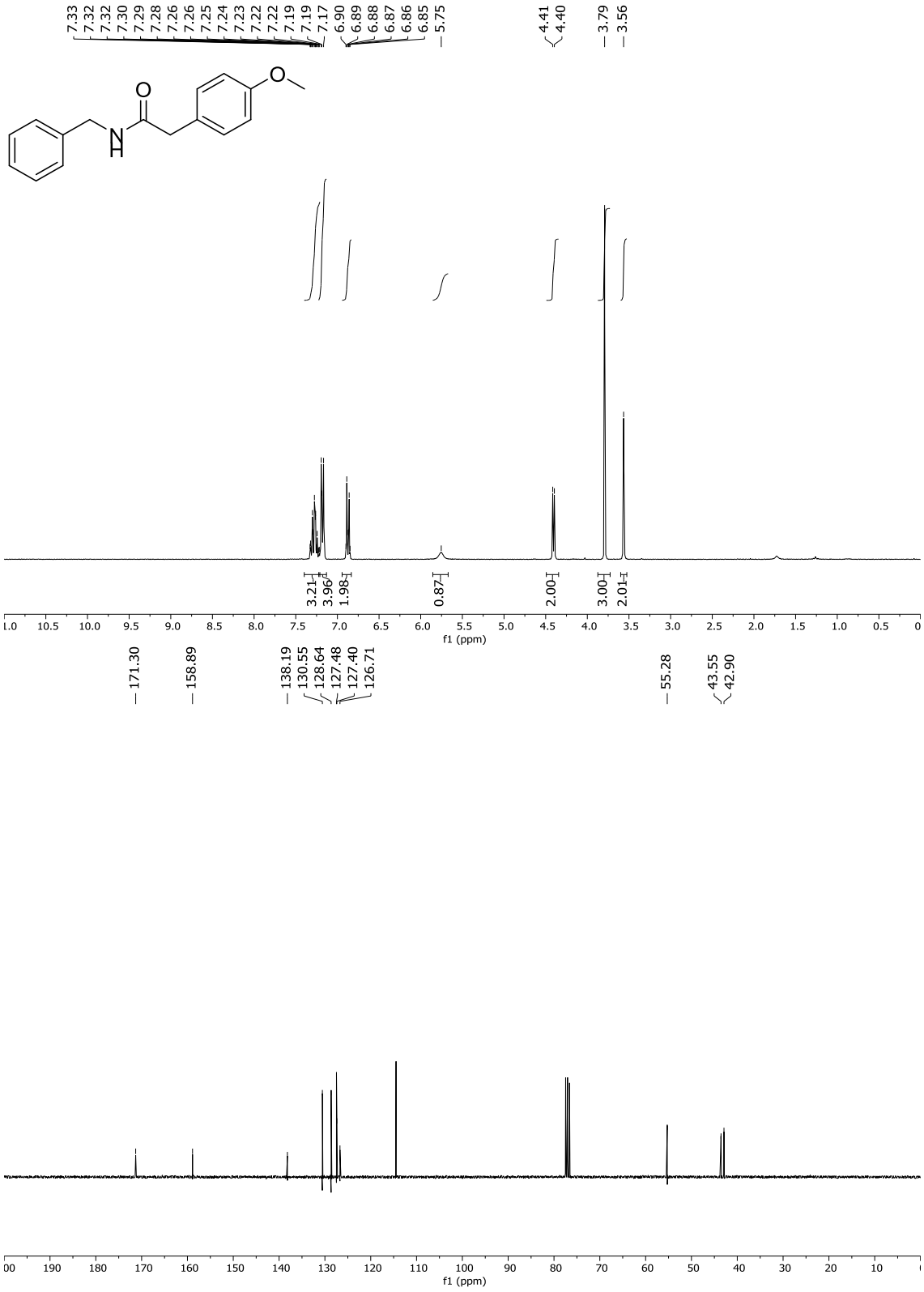
N-Benzylacetamide



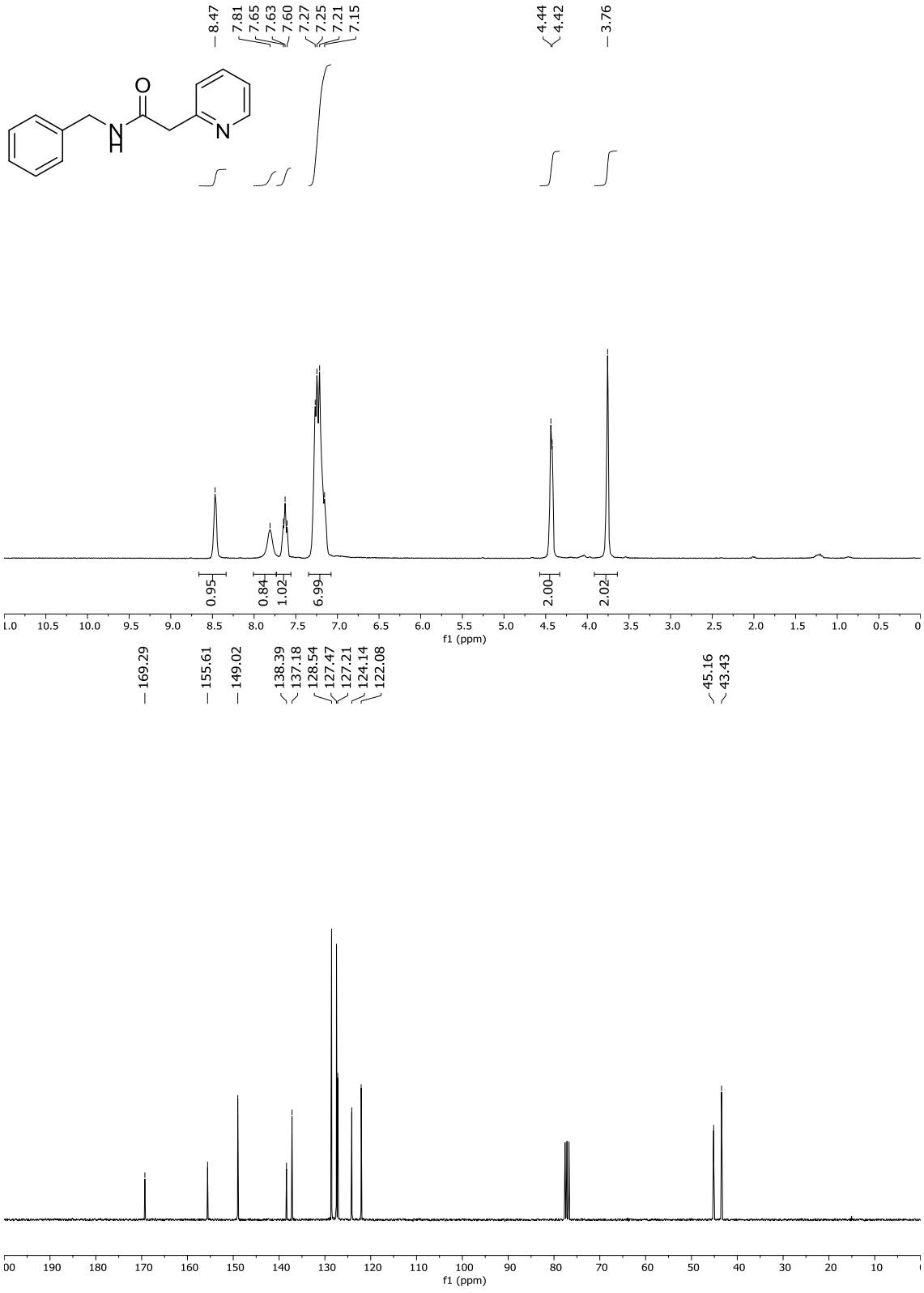
N-Benzyl-2-phenylacetamide



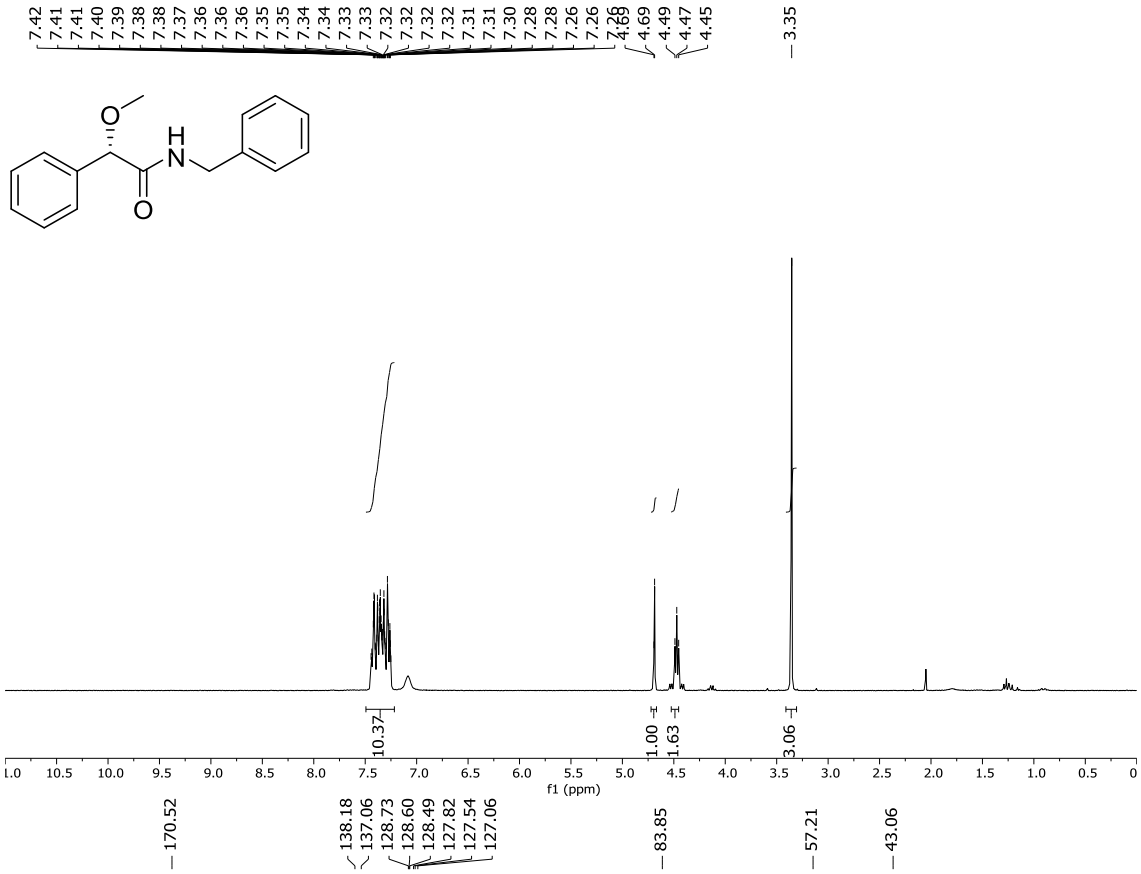
N-Benzyl-2-(4-methoxyphenyl)acetamide

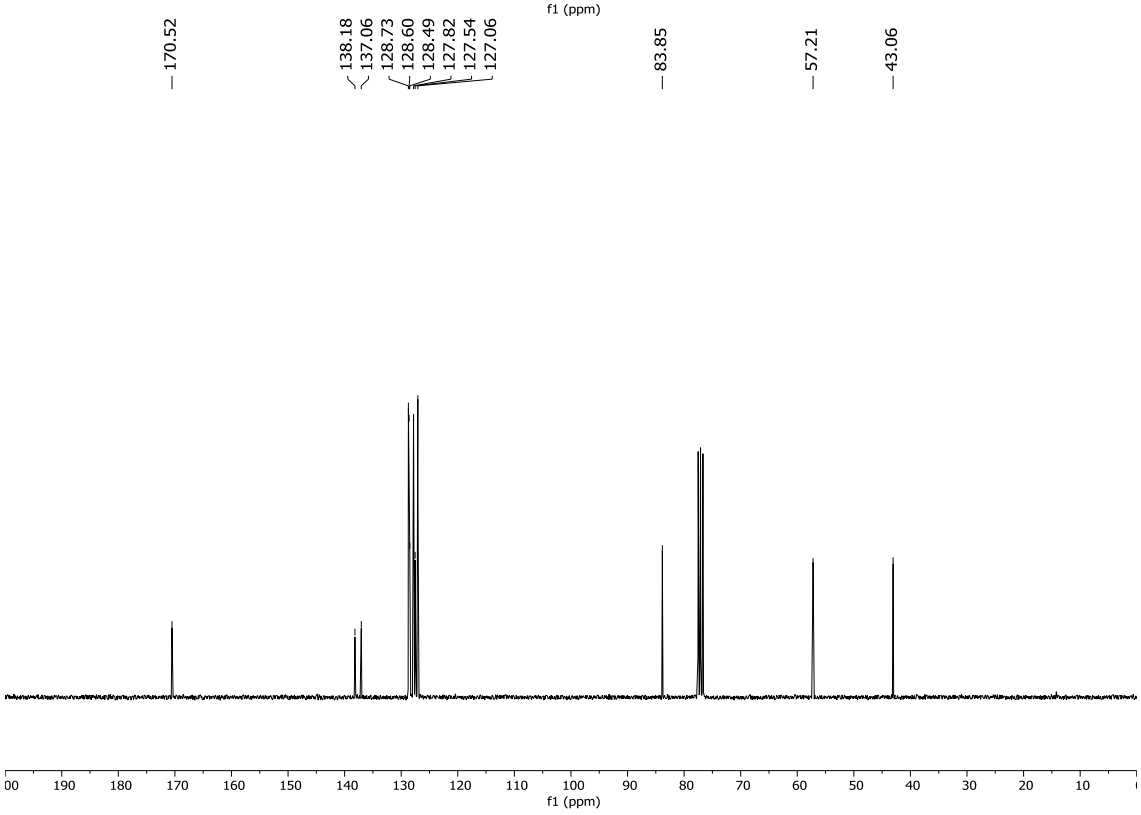


N-Benzyl-2-(pyridine-2-yl)acetamide

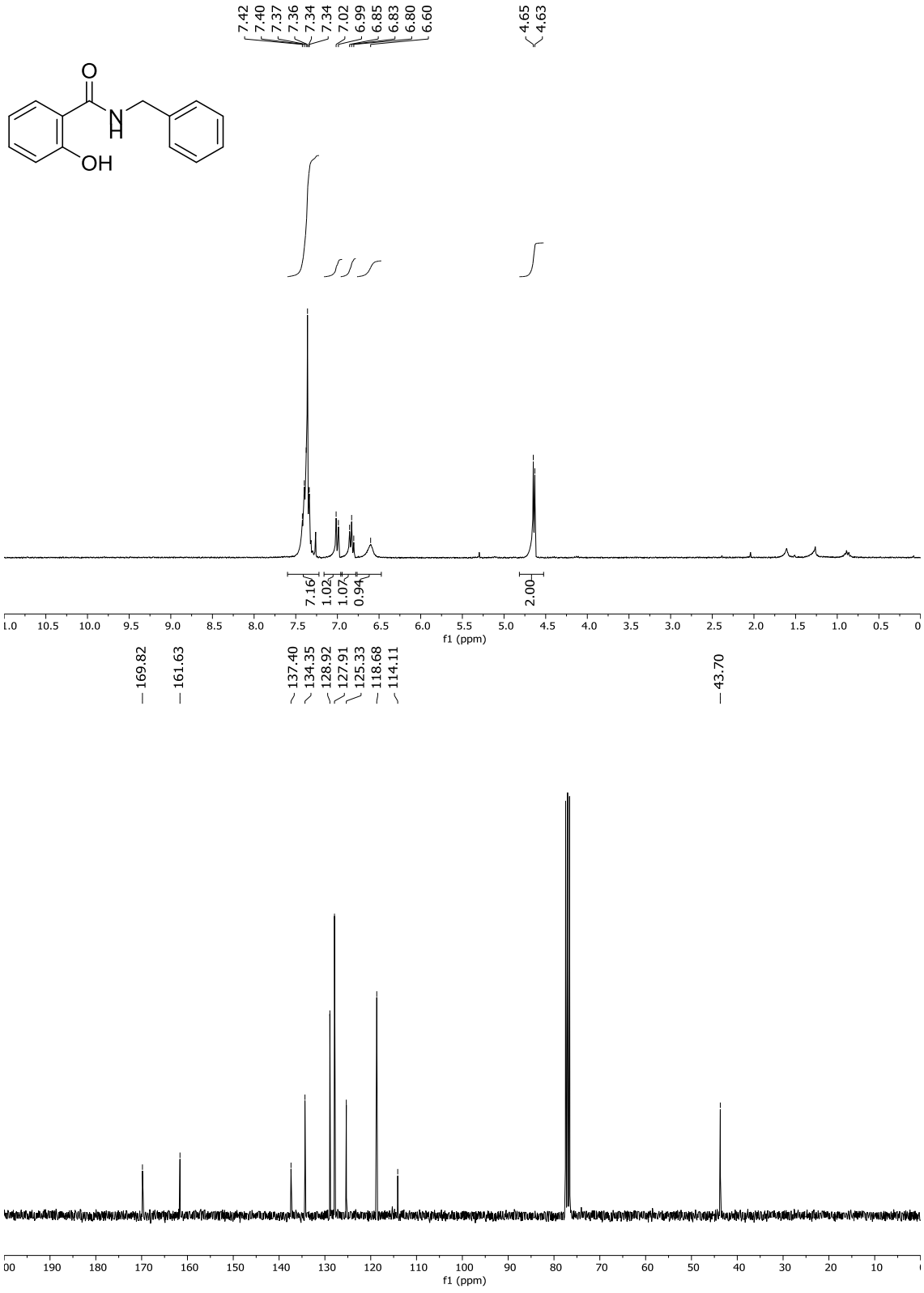


(S)-*N*-Benzyl-2-methoxy-2-phenylacetamide

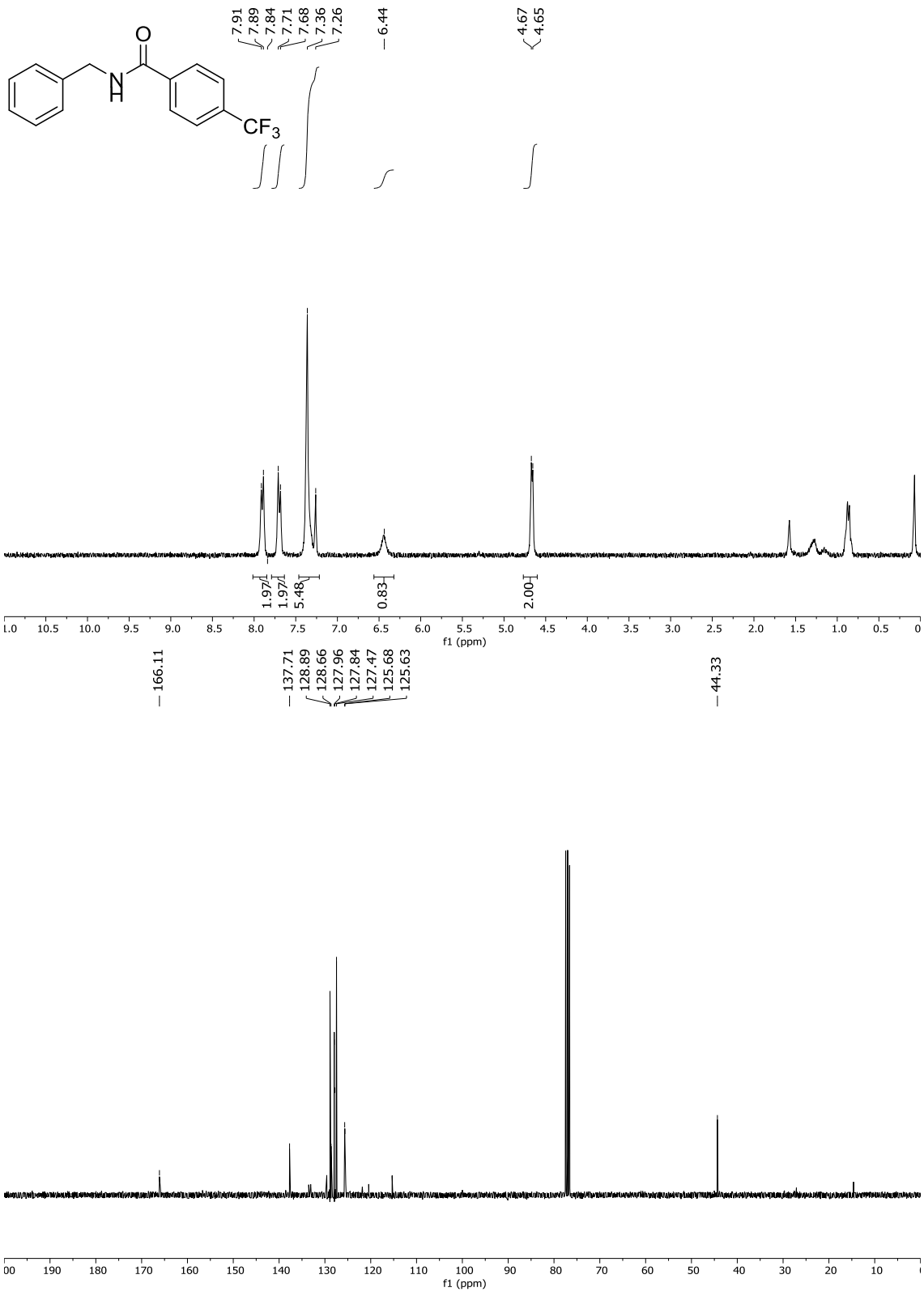




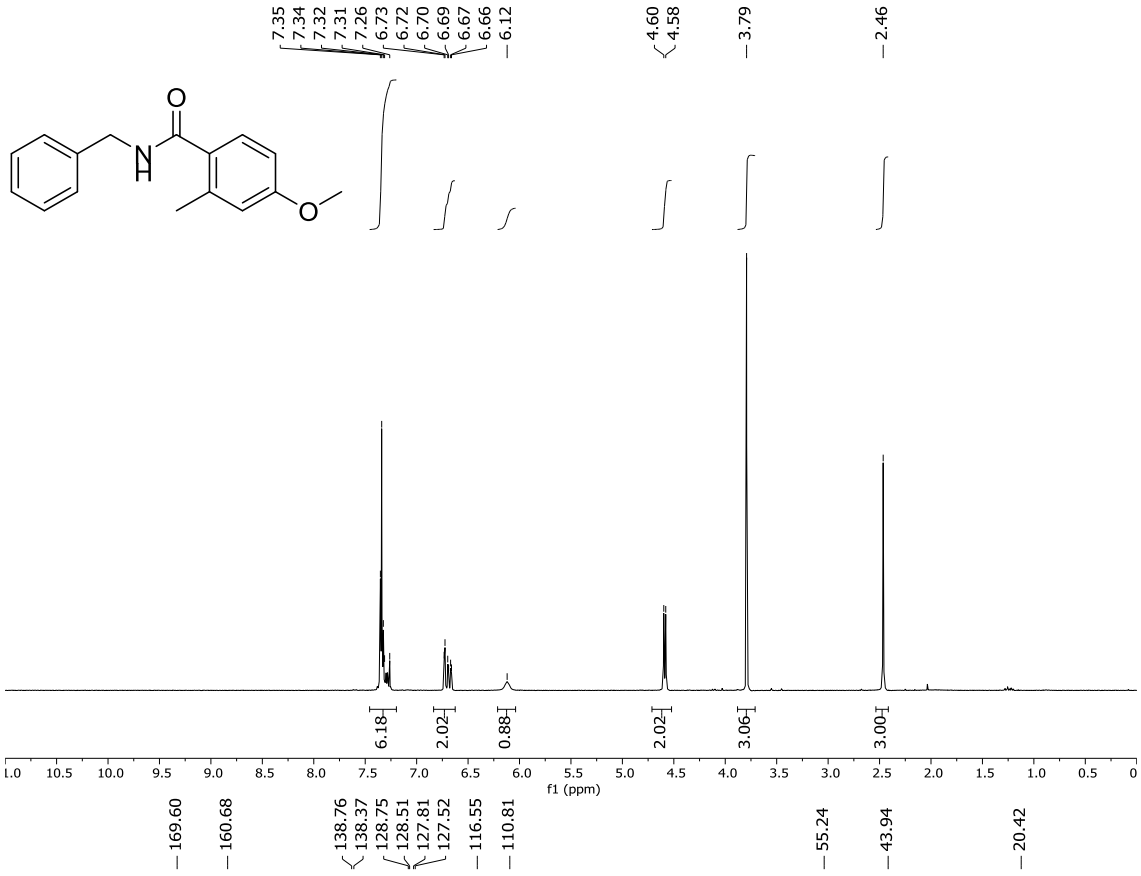
N-Benzyl-2-hydeoxybenzamide

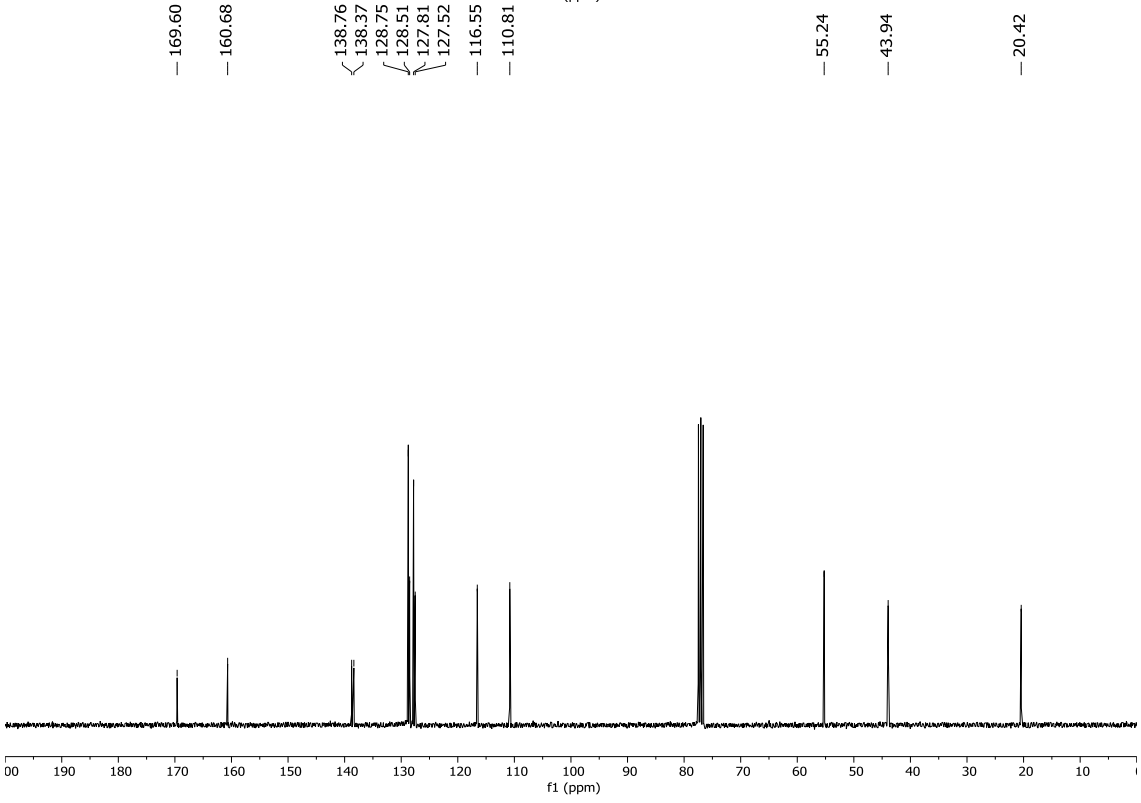


N-Benzyl-4-(trifluoromethyl)benzamide

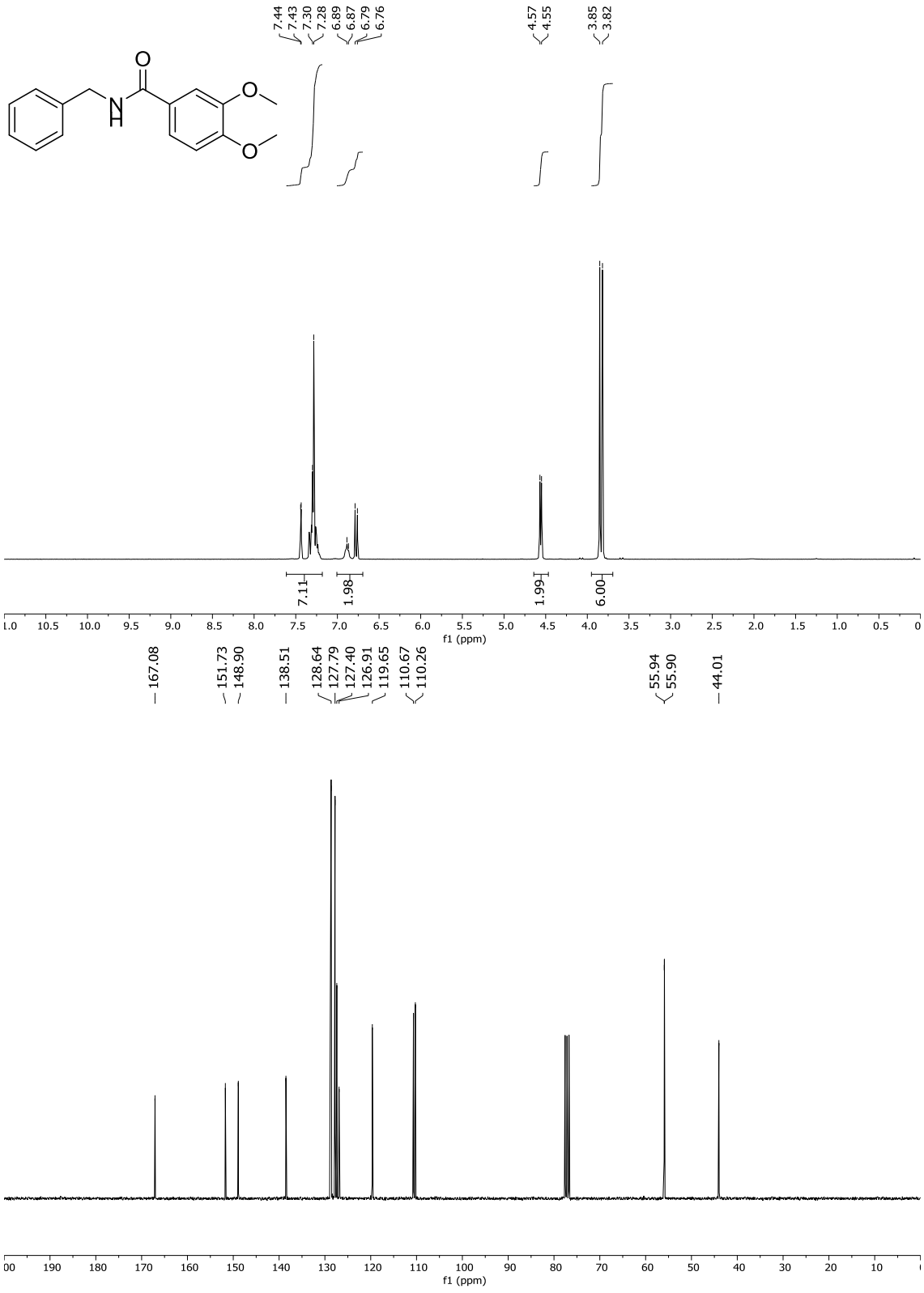


N-Benzyl-4-methoxy-2-methylbenzamide

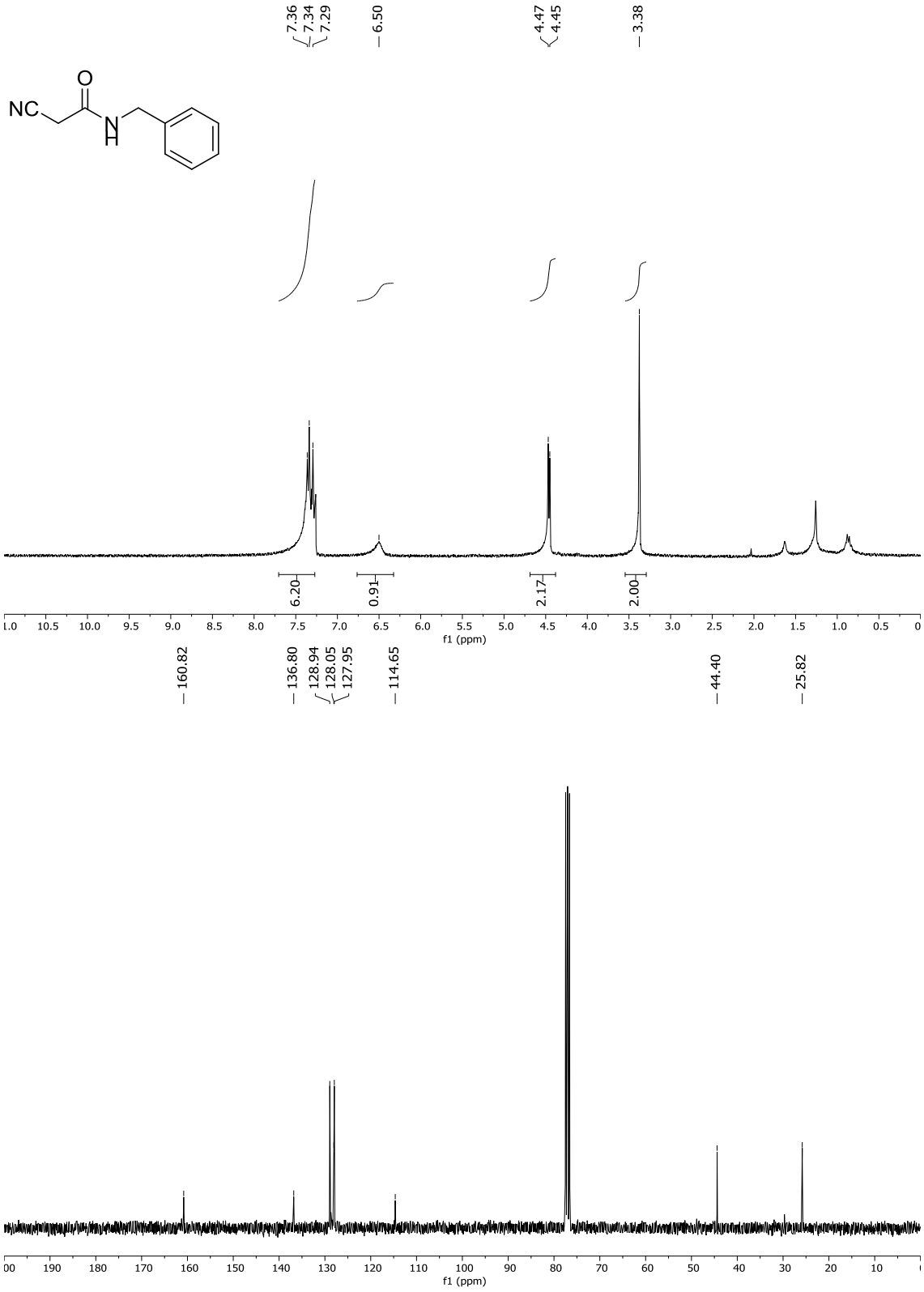




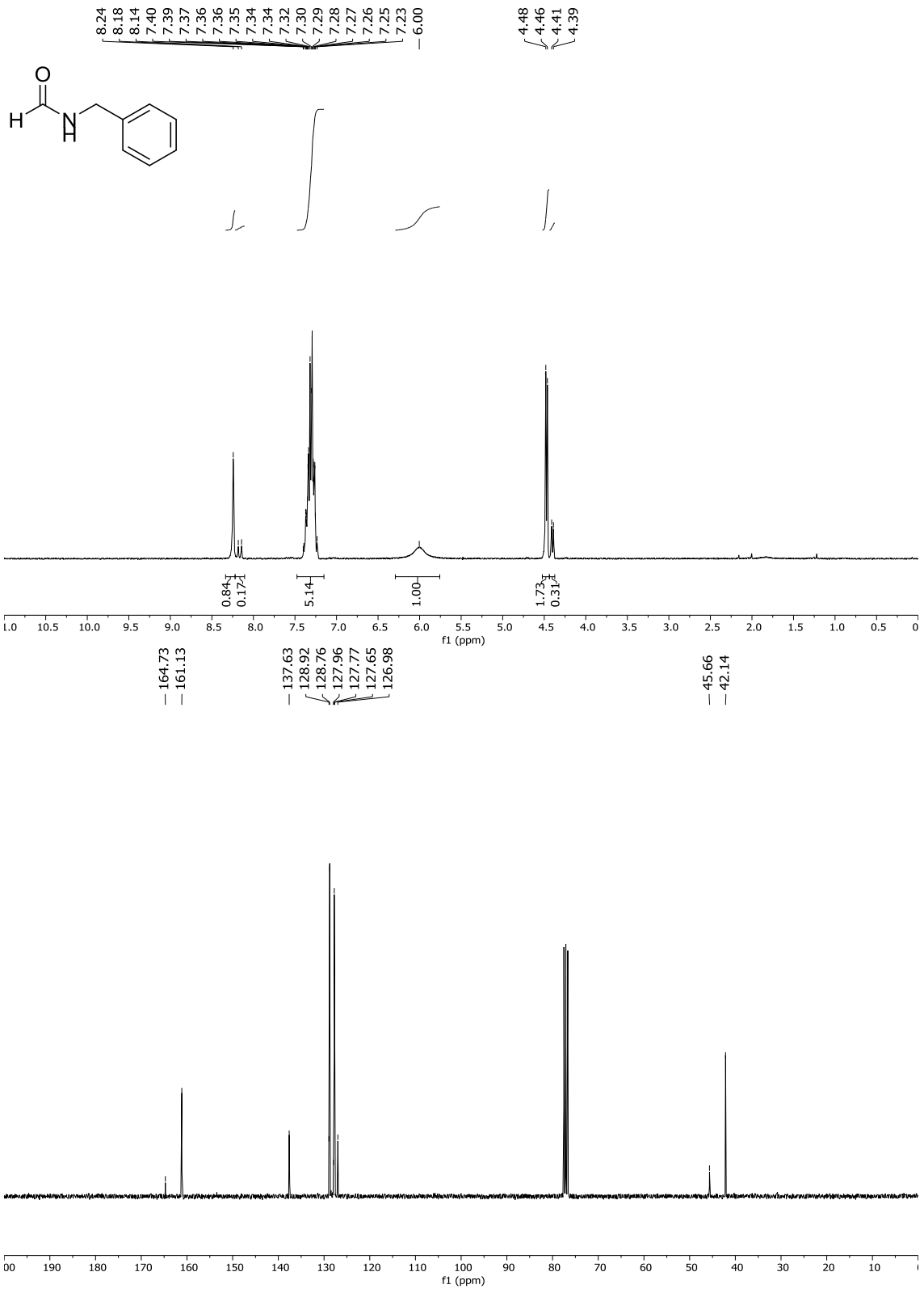
N-Benzyl-3,4-dimethoxybenzamide



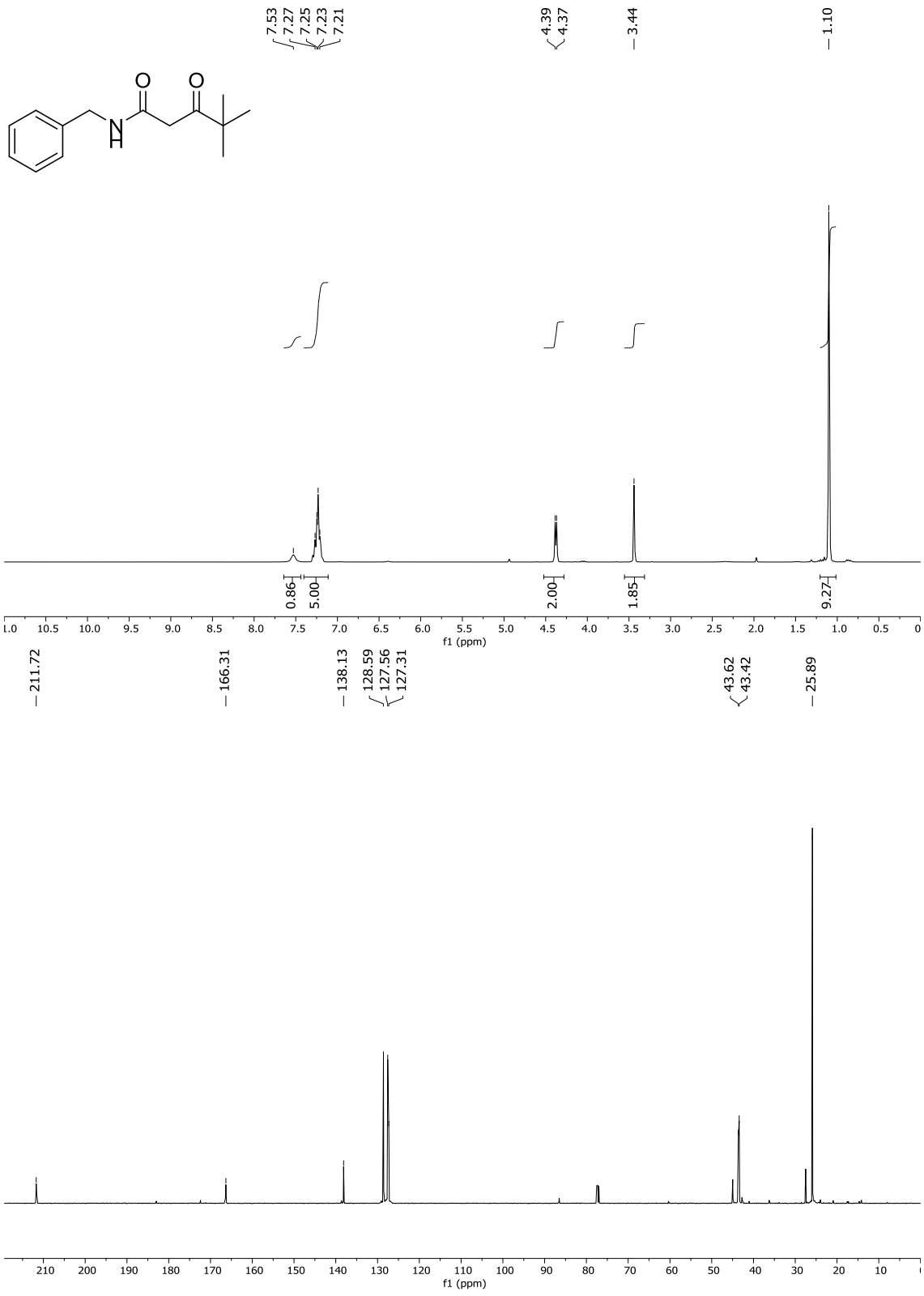
N-Benzyl-2-cyanoacetamide



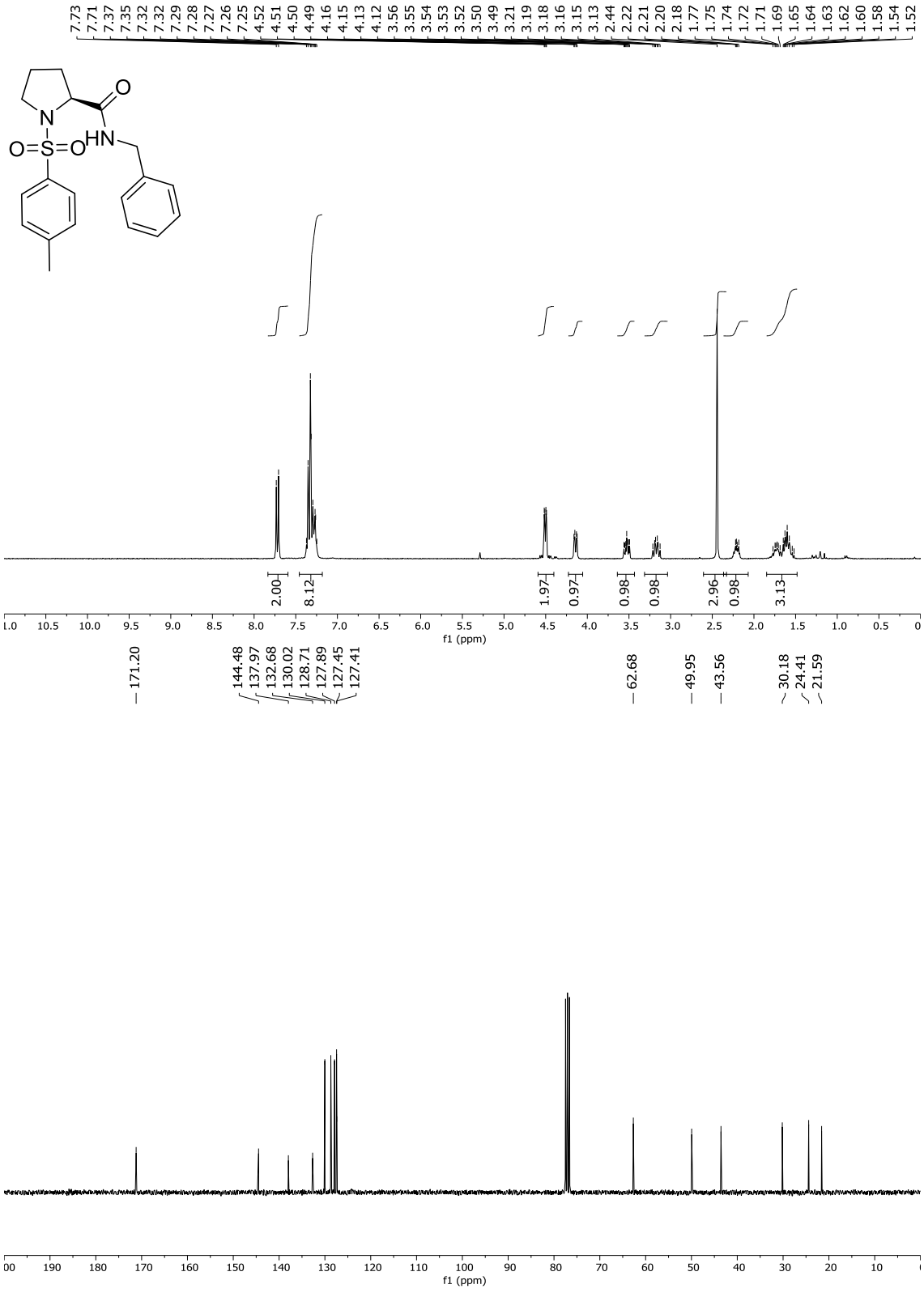
N-Benzylformamide



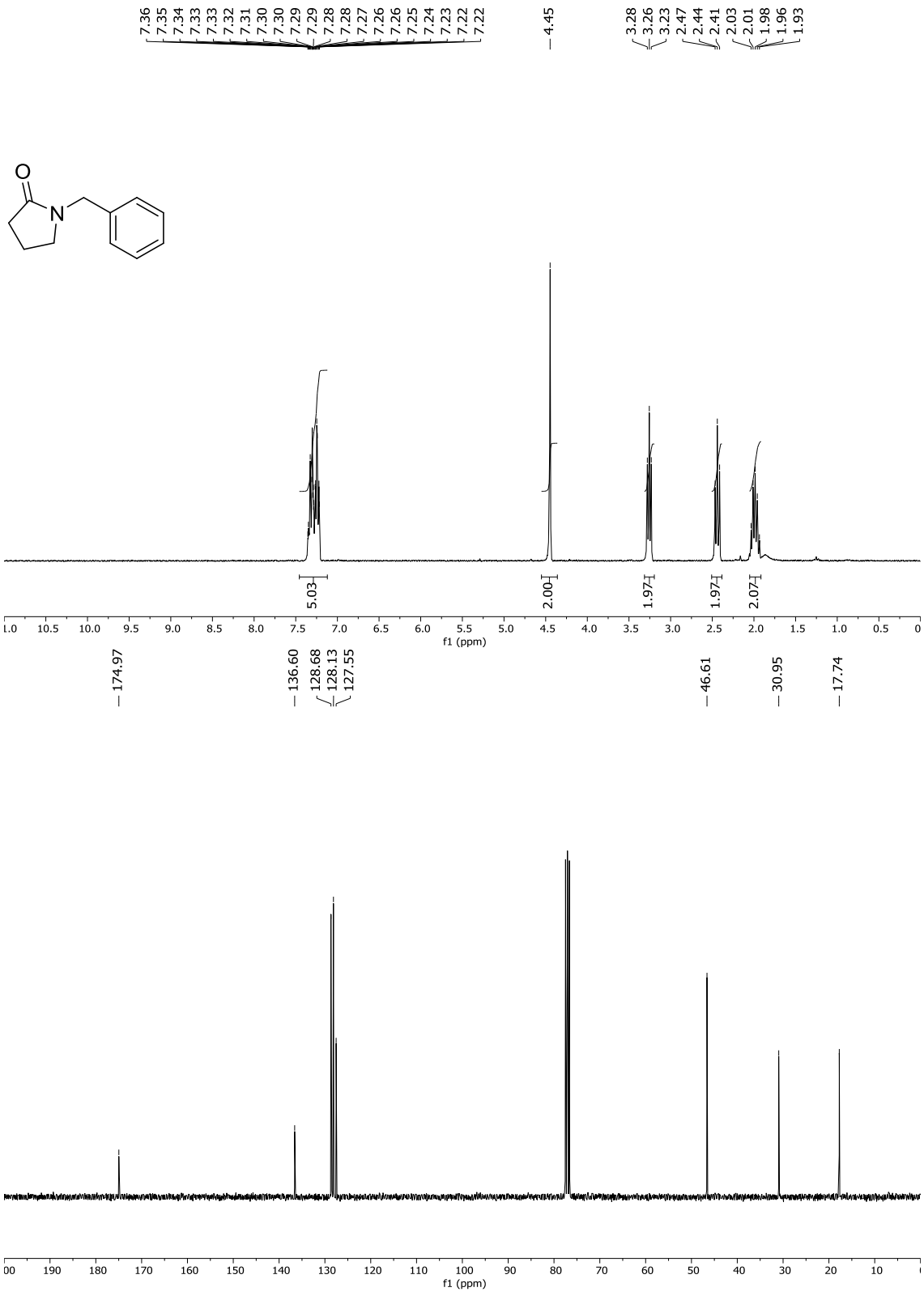
N-Benzyl-4,4-dimethyl-3-oxopentanamide



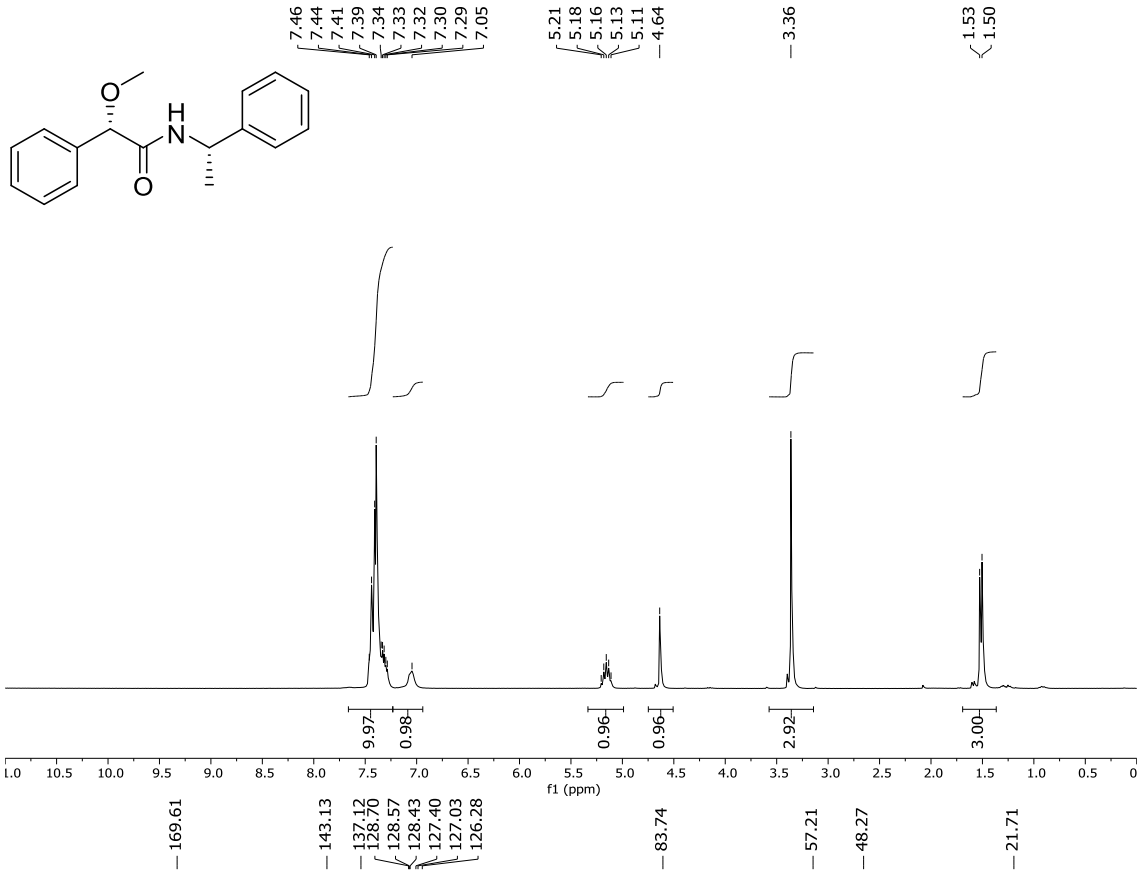
(S)-*N*-Benzyl-1-tosylpyrolidine-2-carboxamide

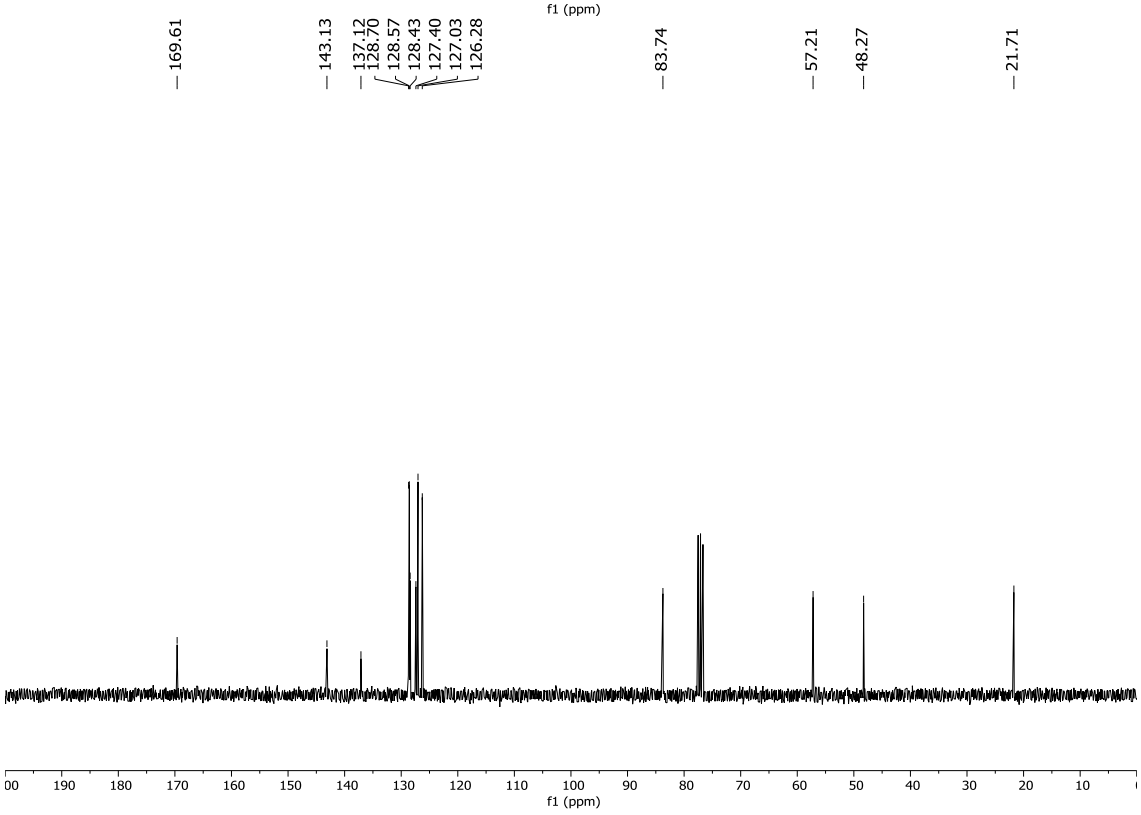


1-benzylpyrrolidin-2-one

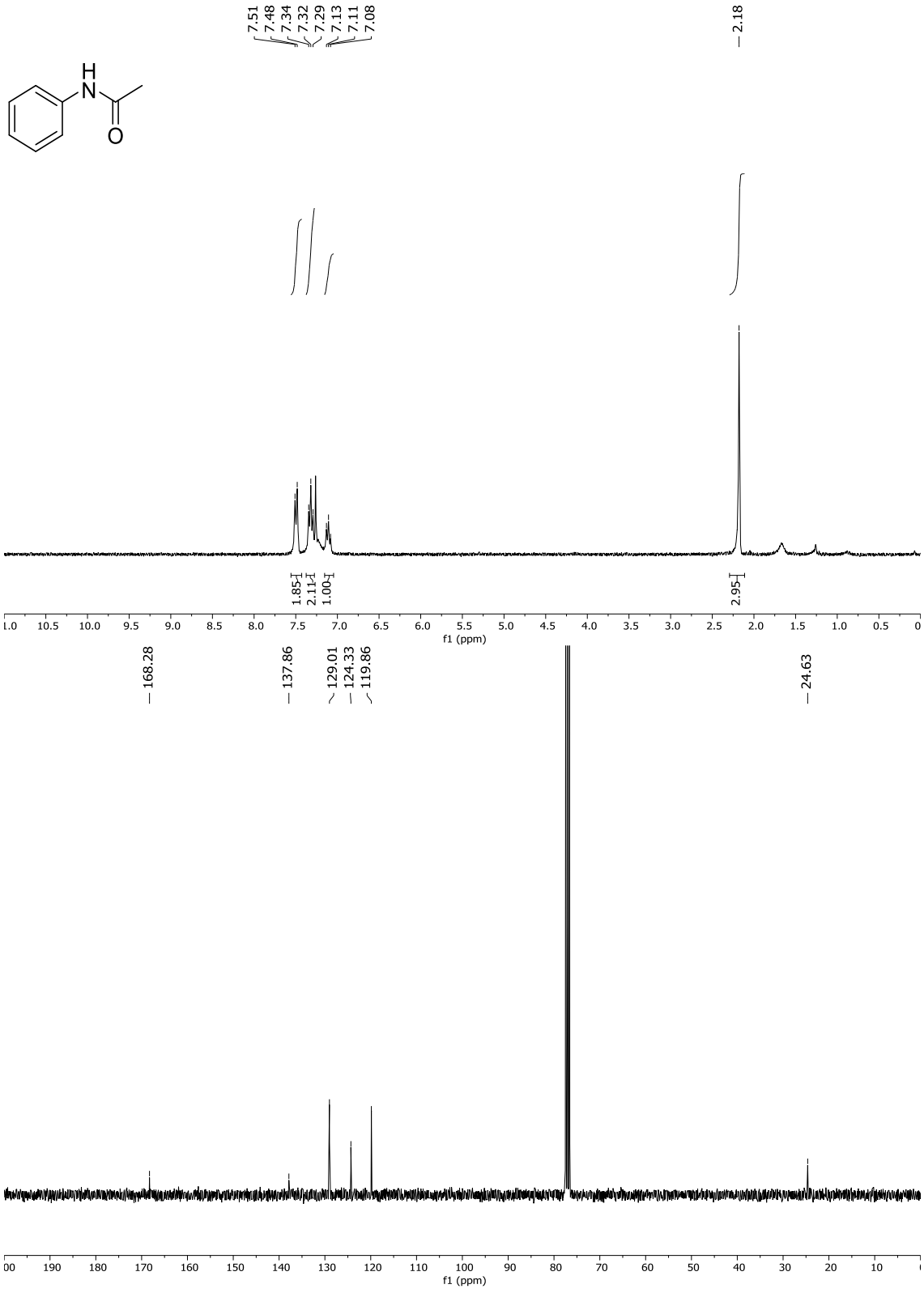


(S)-2-methoxy-2-phenyl-*N*-((S)-1phenylethyl)acetamide

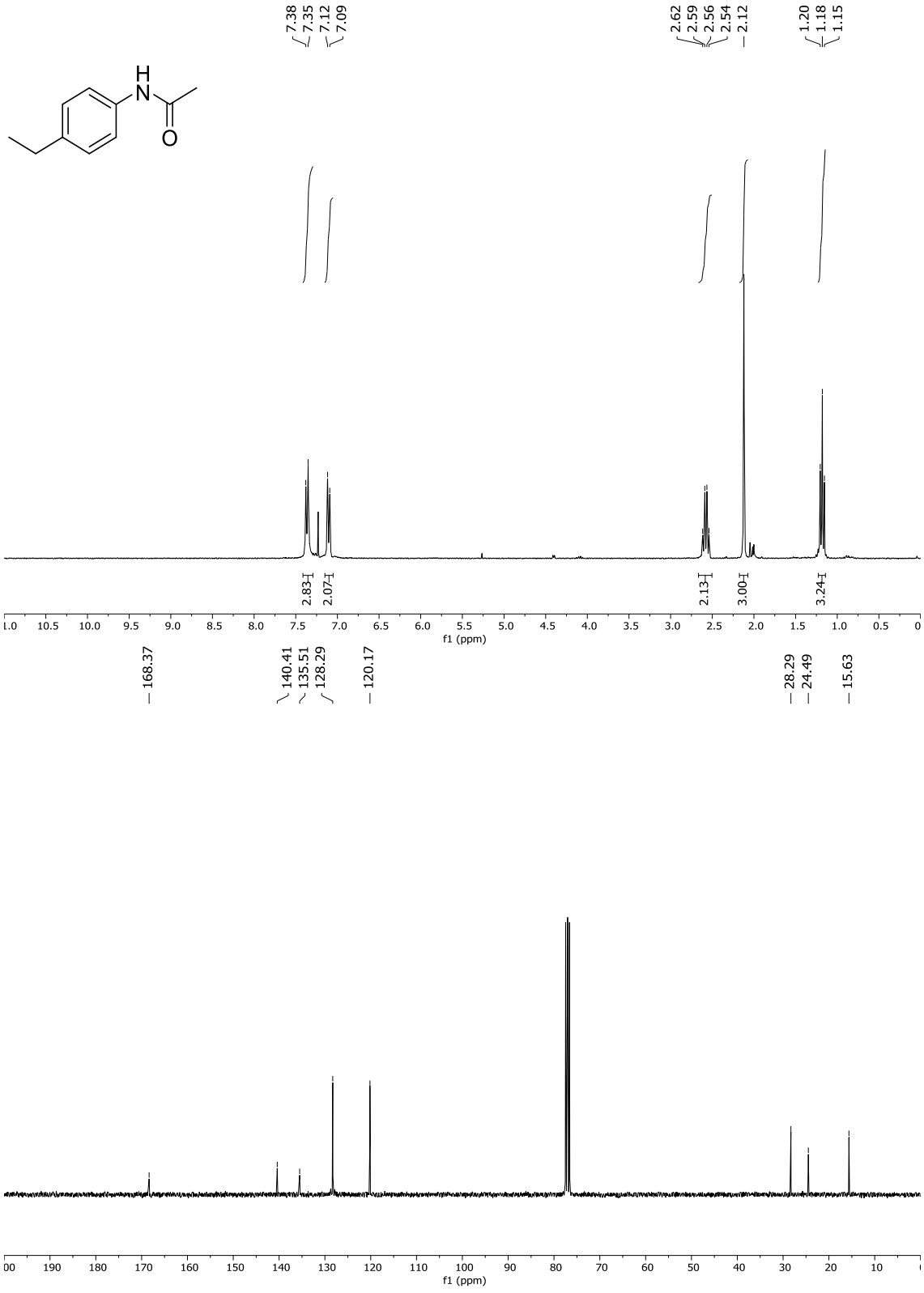




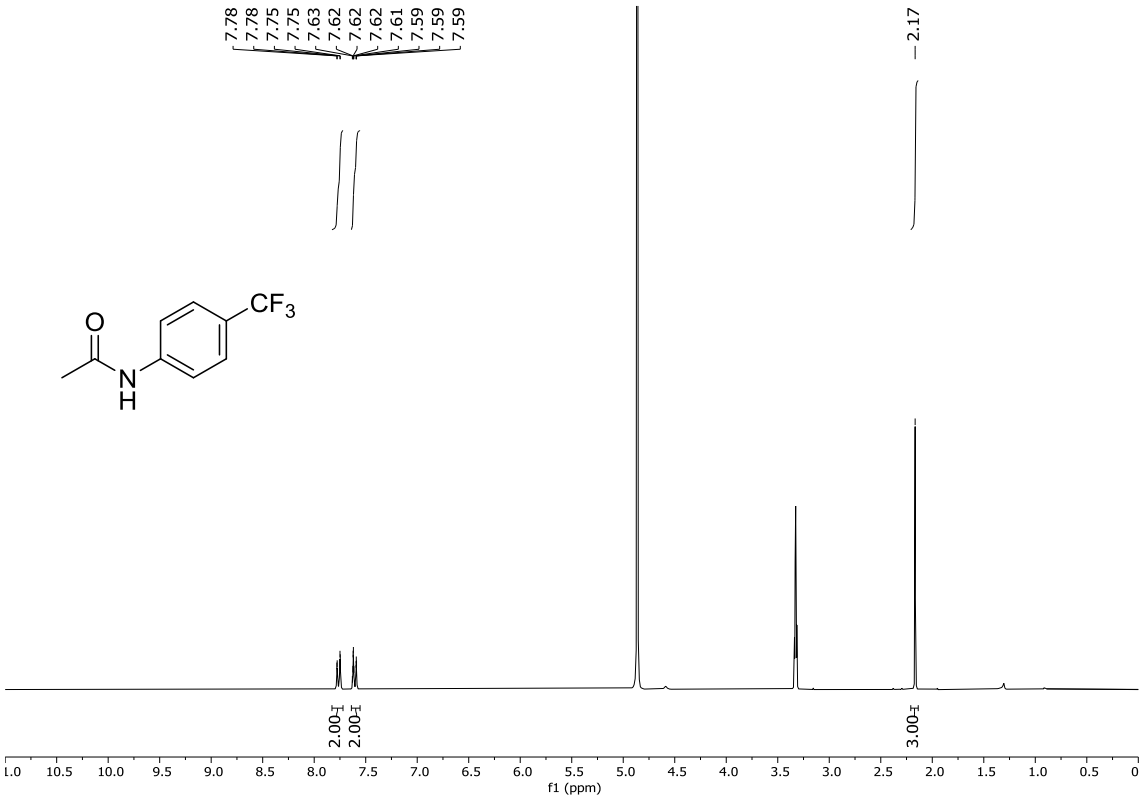
N-Phenylacetamide

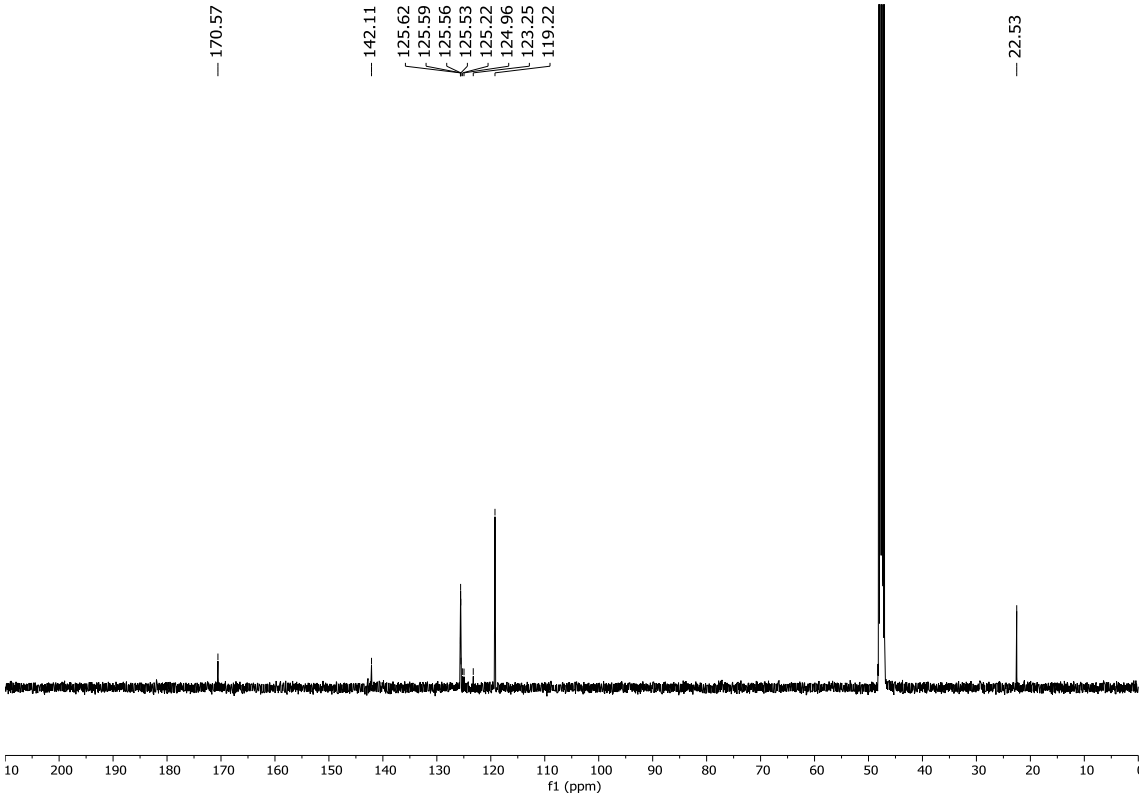


N-(4-Ethylphenyl)acetamide

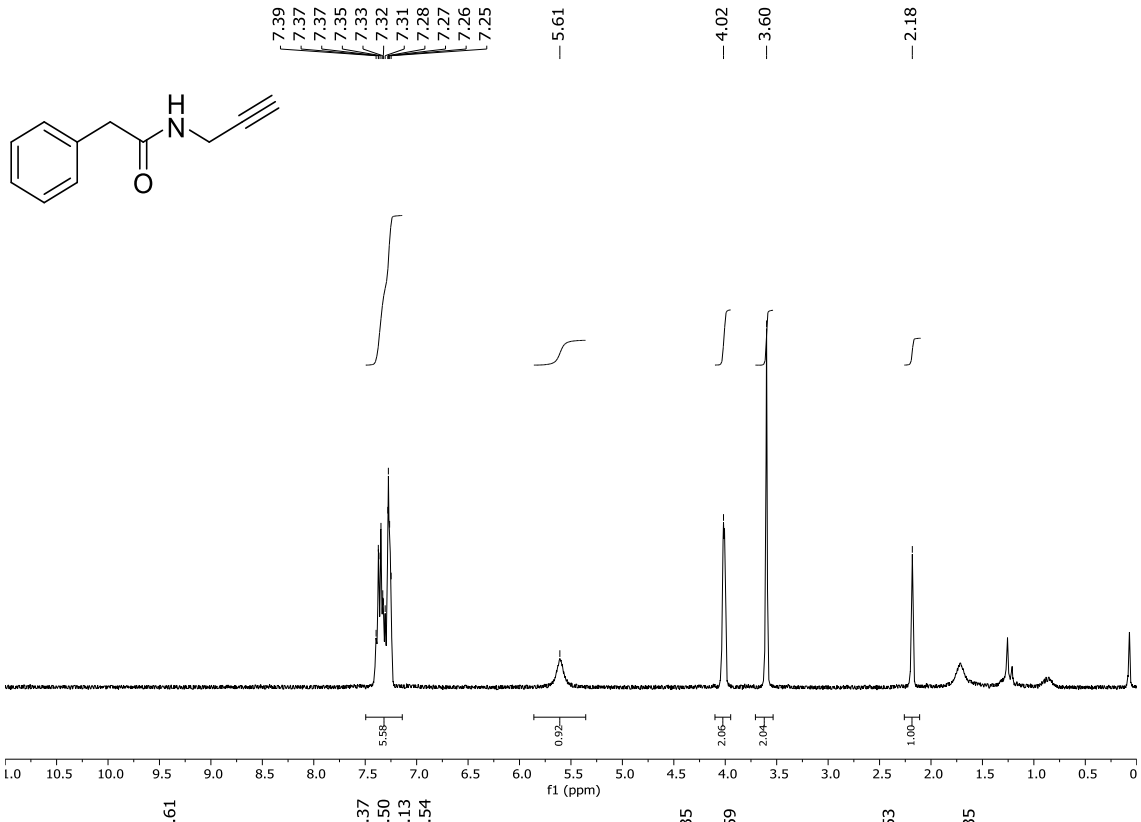


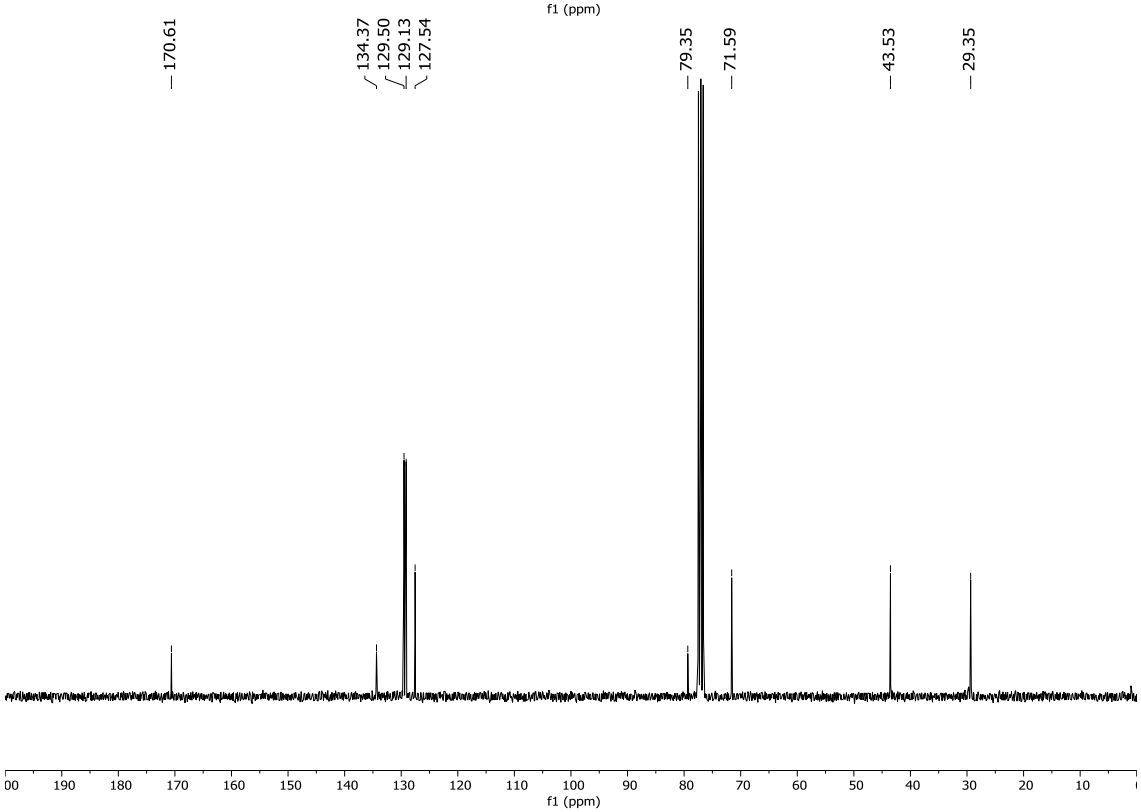
N-(4-(trifluoromethyl)phenyl)acetamide



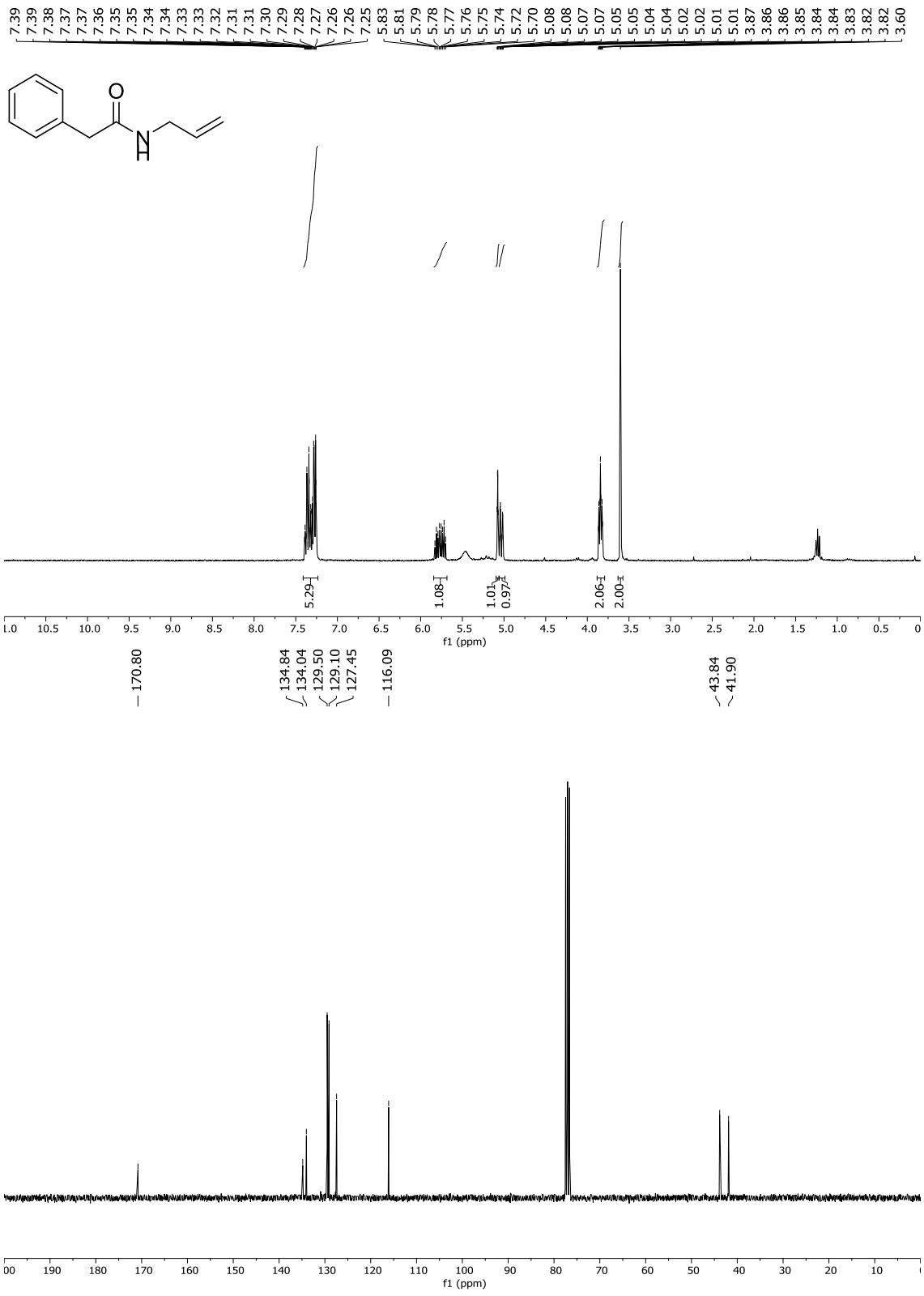


2-Phenyl-*N*-(prop-2-yn-1-yl)acetamide

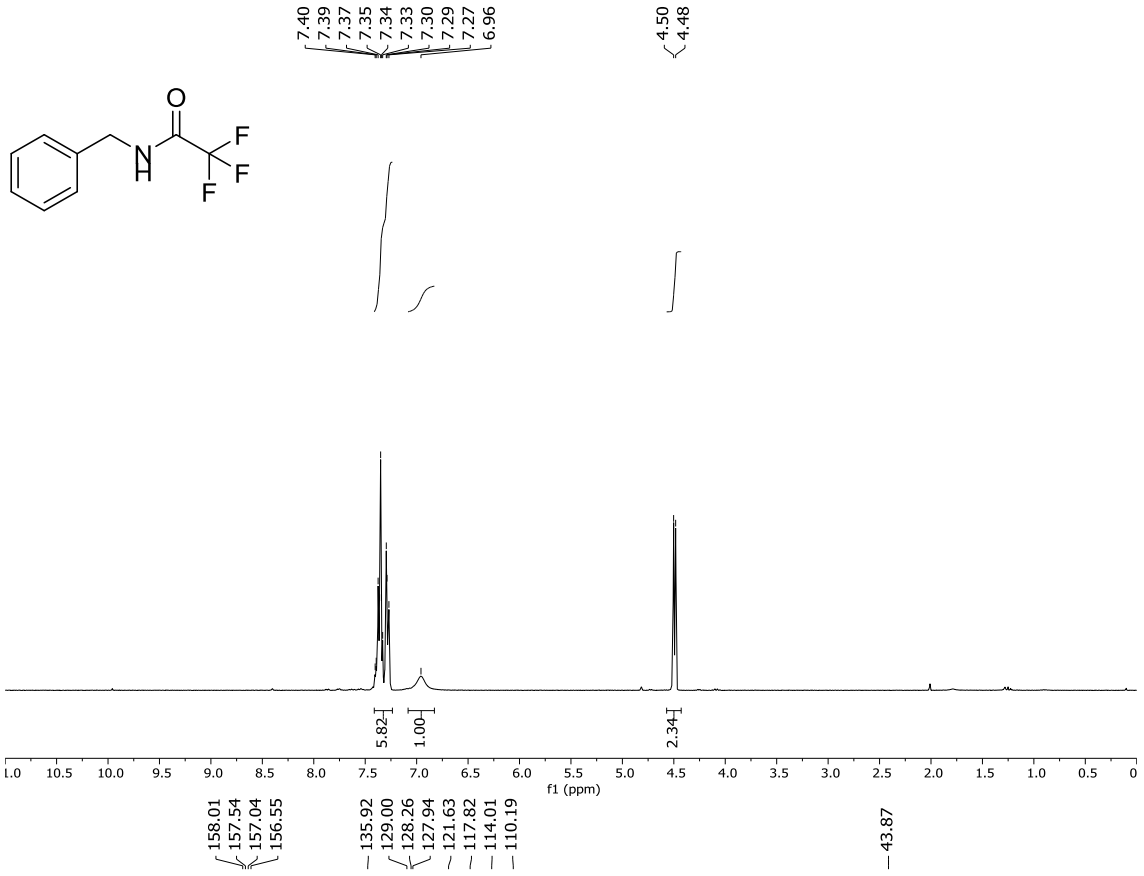


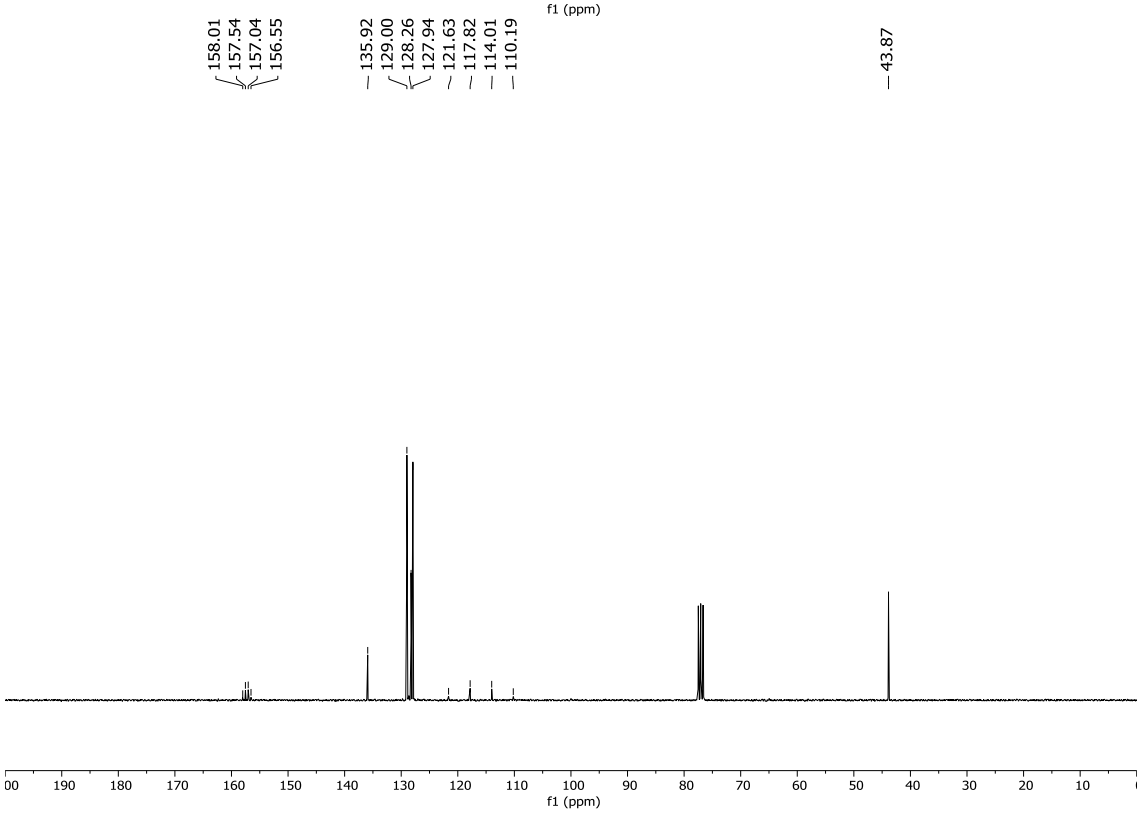


N-Allyl-2-phenylacetamide

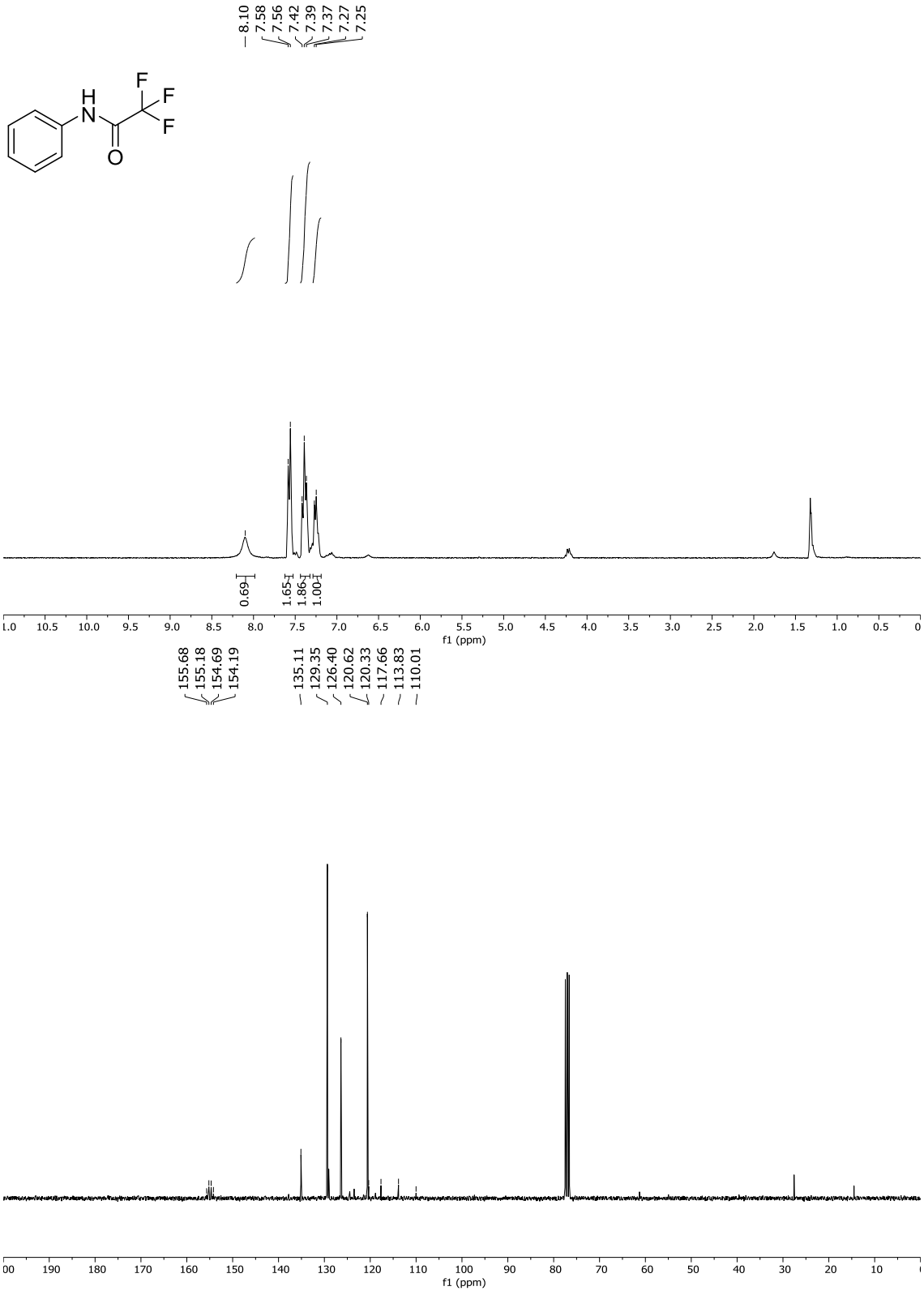


N-Benzyl-2,2,2-trifluoroacetamide

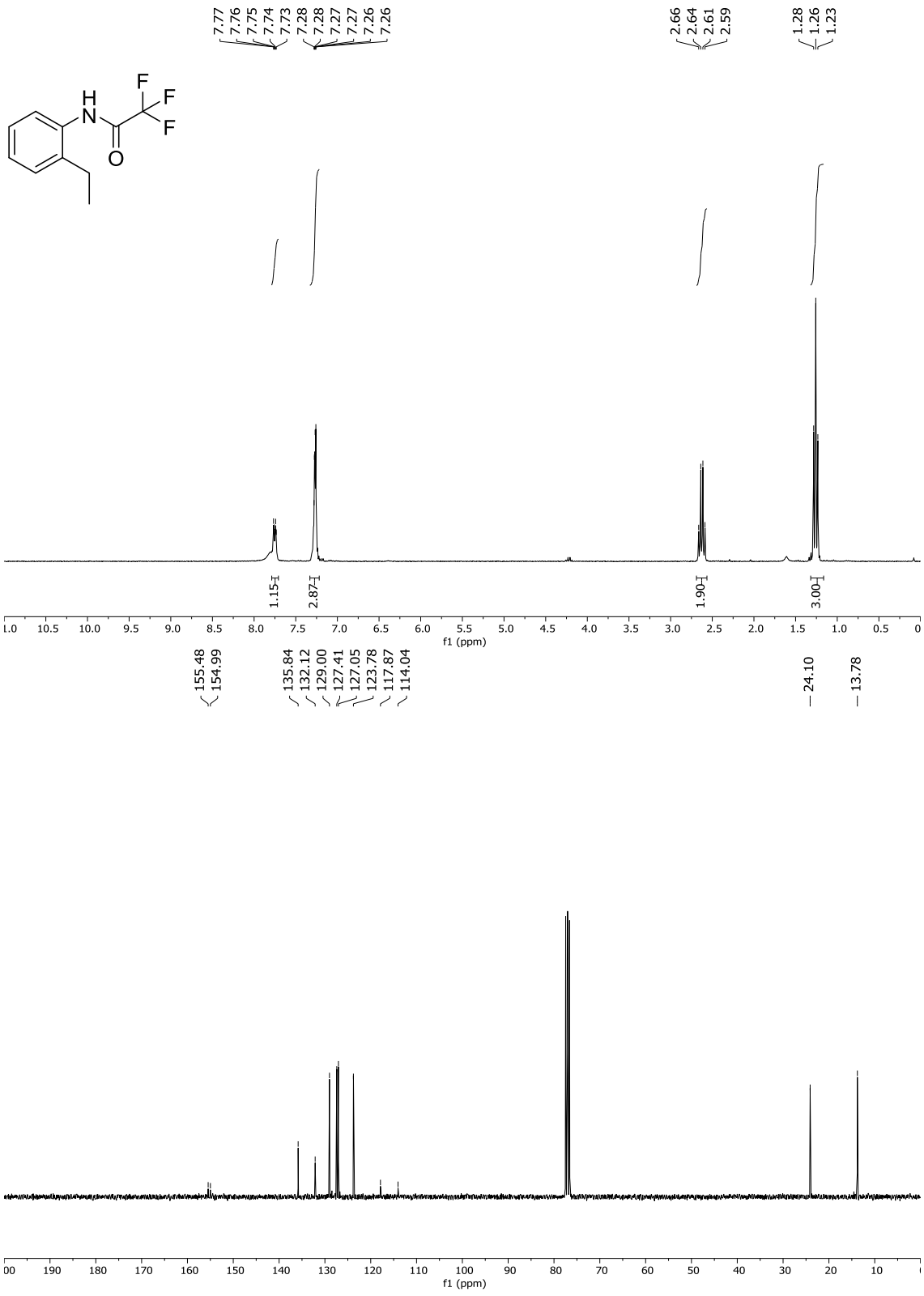




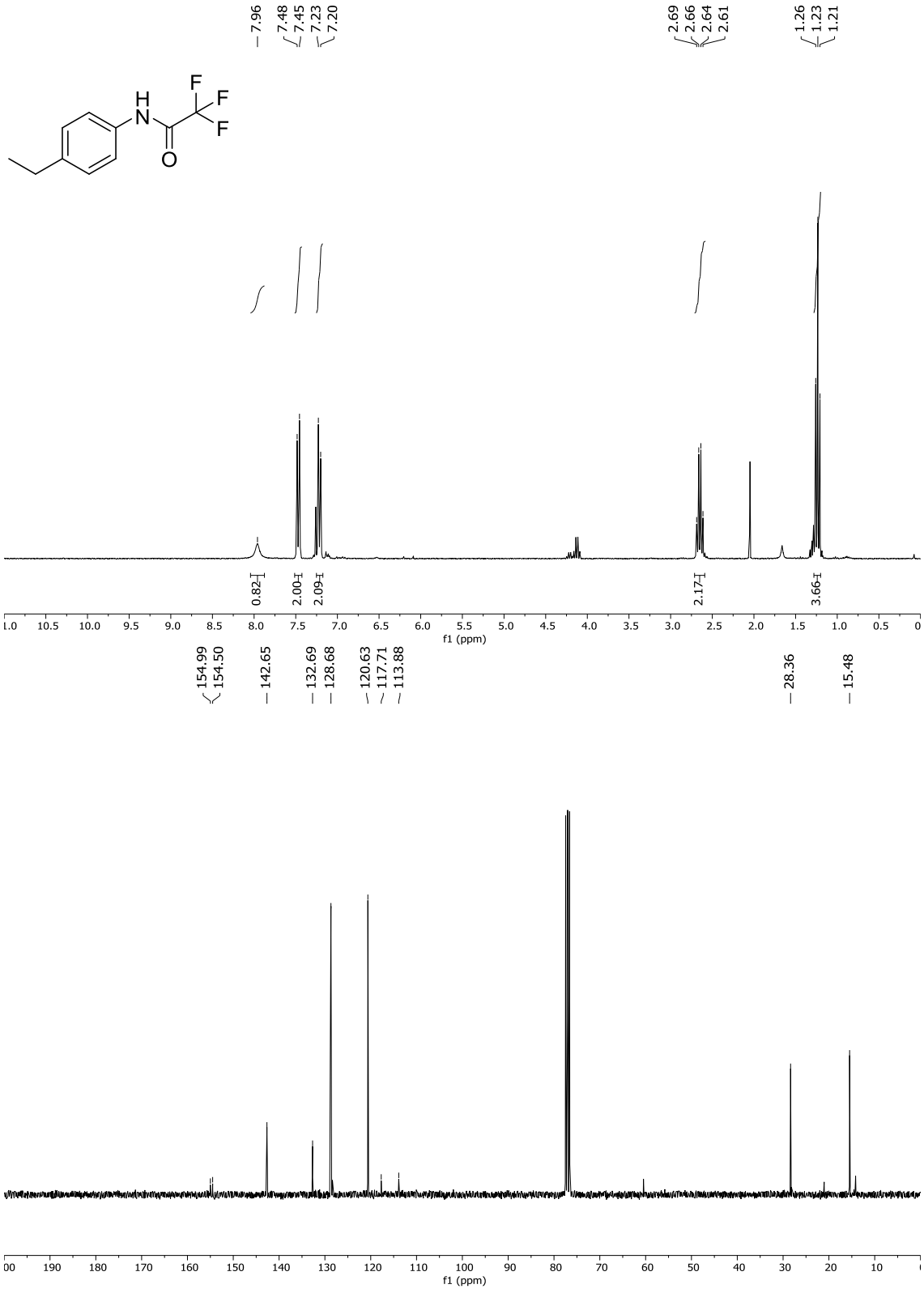
2,2,2-Trifluoro-*N*-phenylacetamide



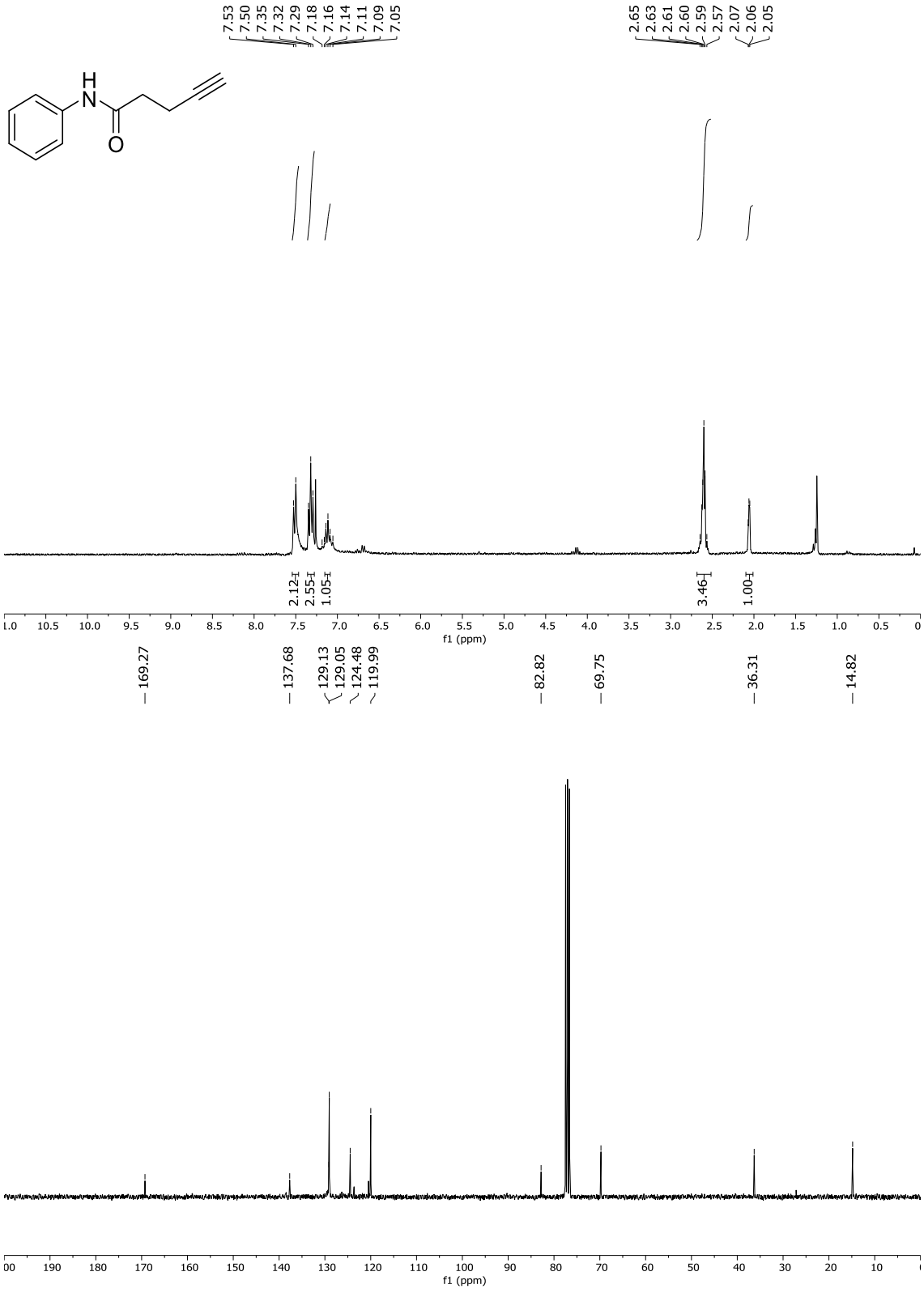
N-(2-Ethylphenyl)-2,2,2-trifluoroacetamide



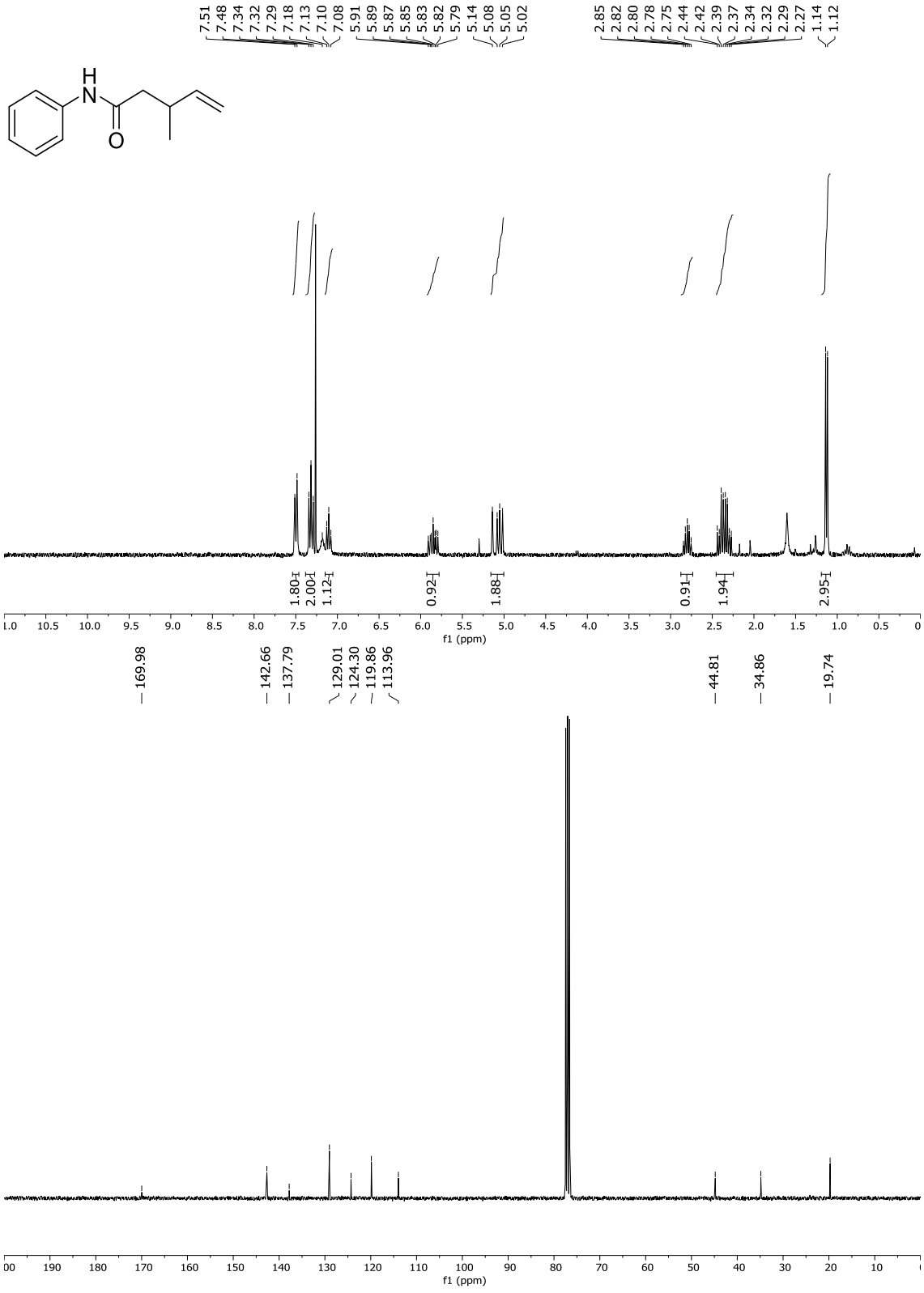
N-(4-Ethylphenyl)-2,2,2-trifluoroecetamide



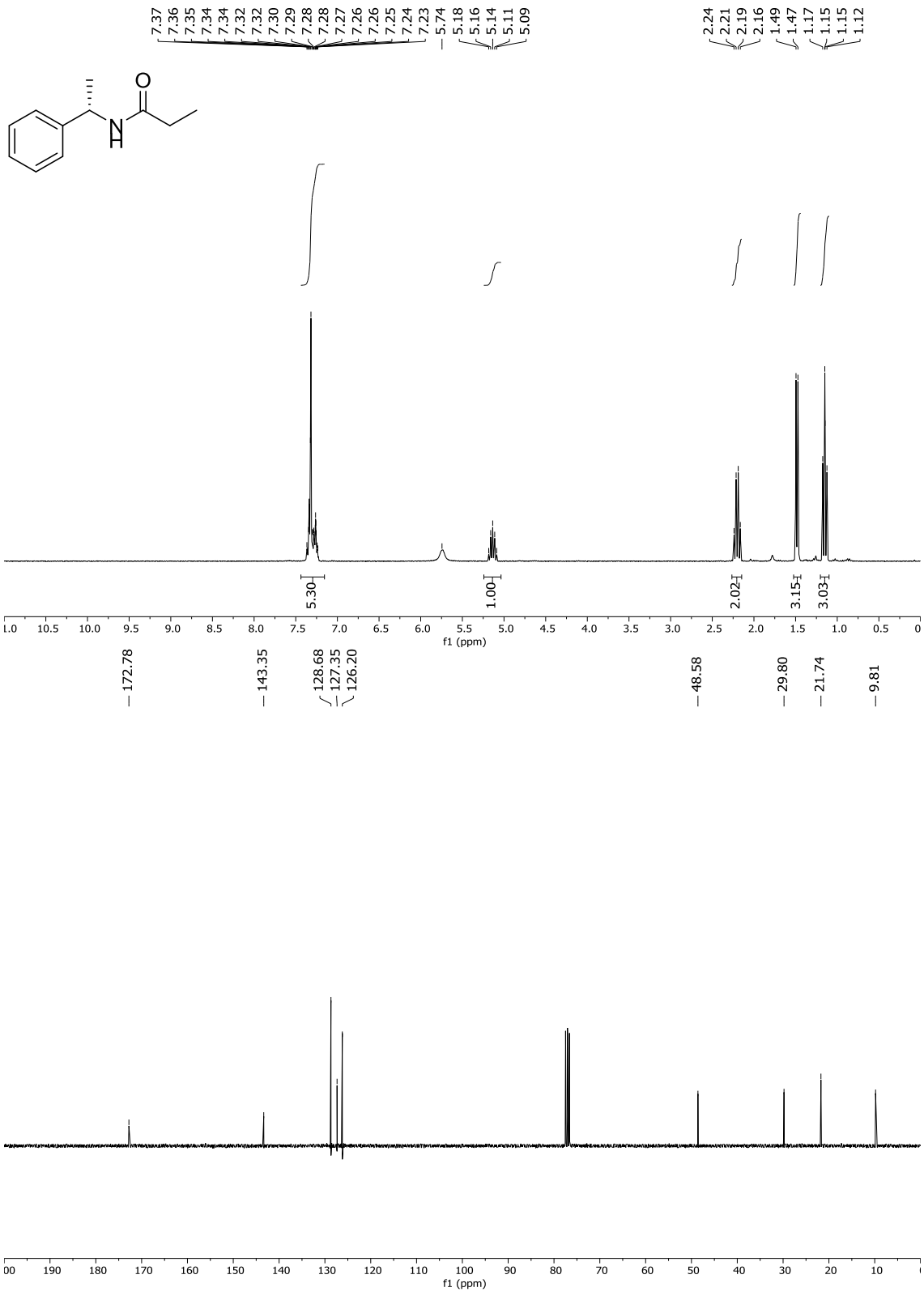
N-Phenylpent-4-ynamide



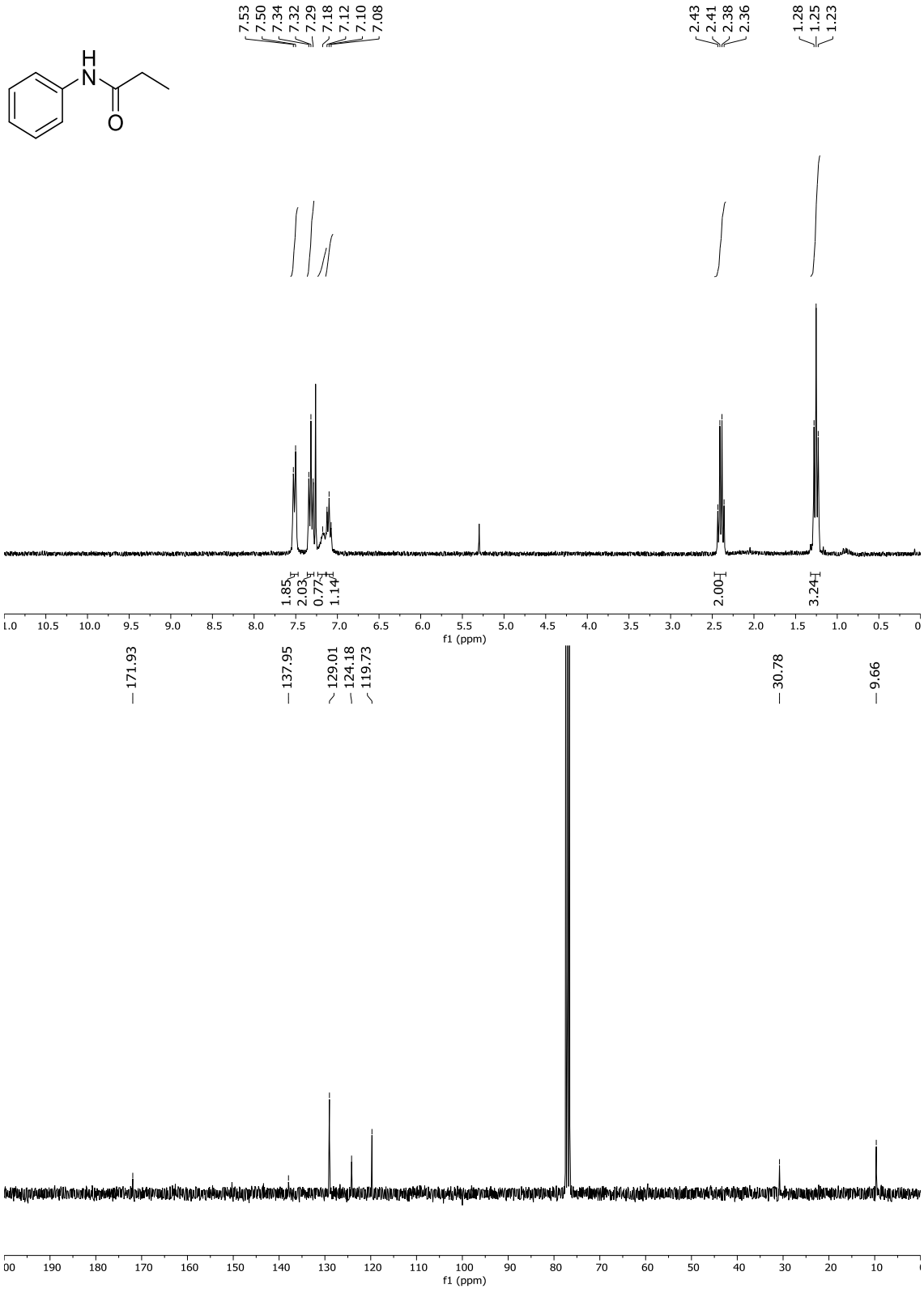
3-Methyl-*N*-phenylpent-4-enamide



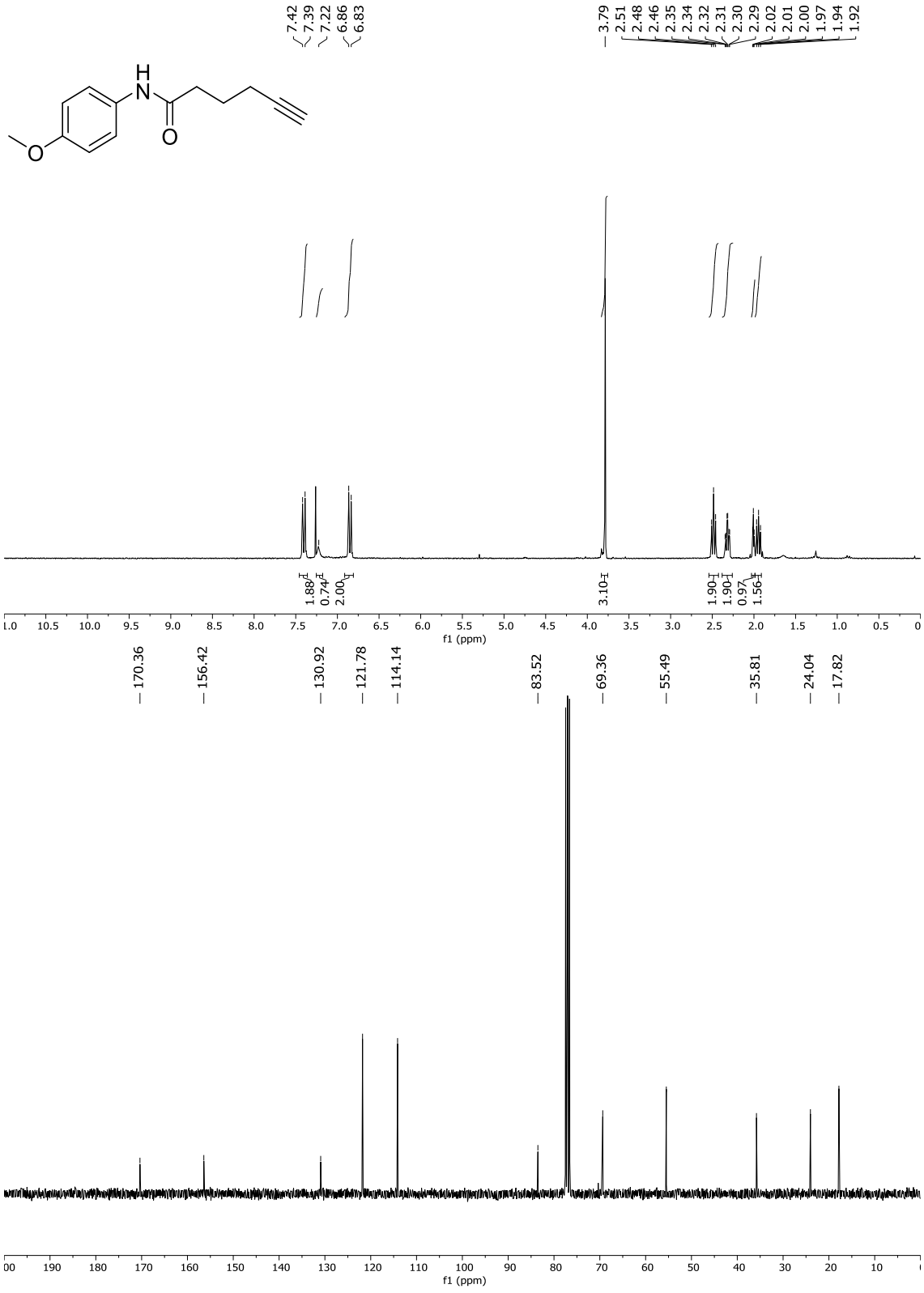
(S)-*N*-(1-Phenylethyl)propionamide



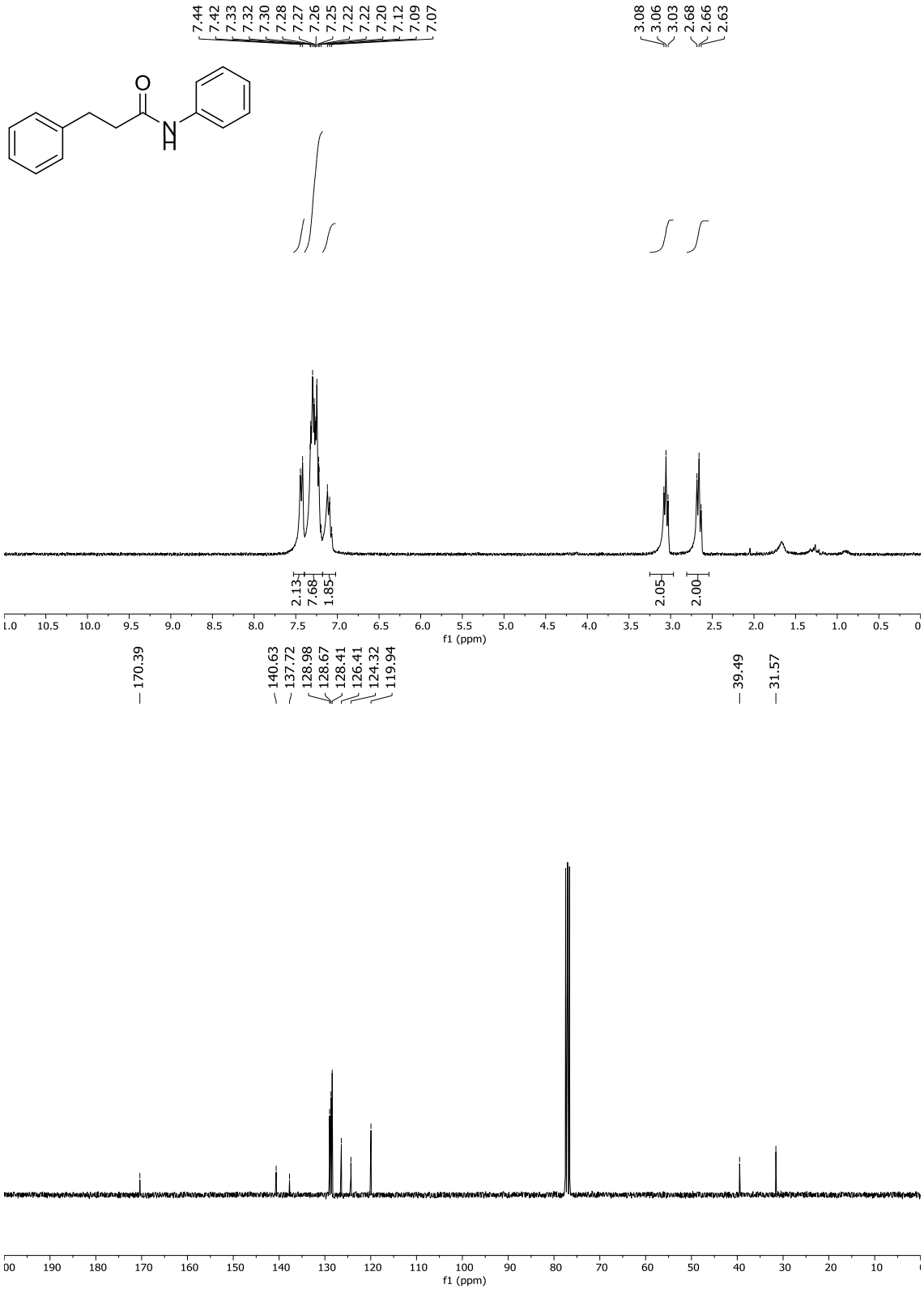
N-Phenylpropionamide



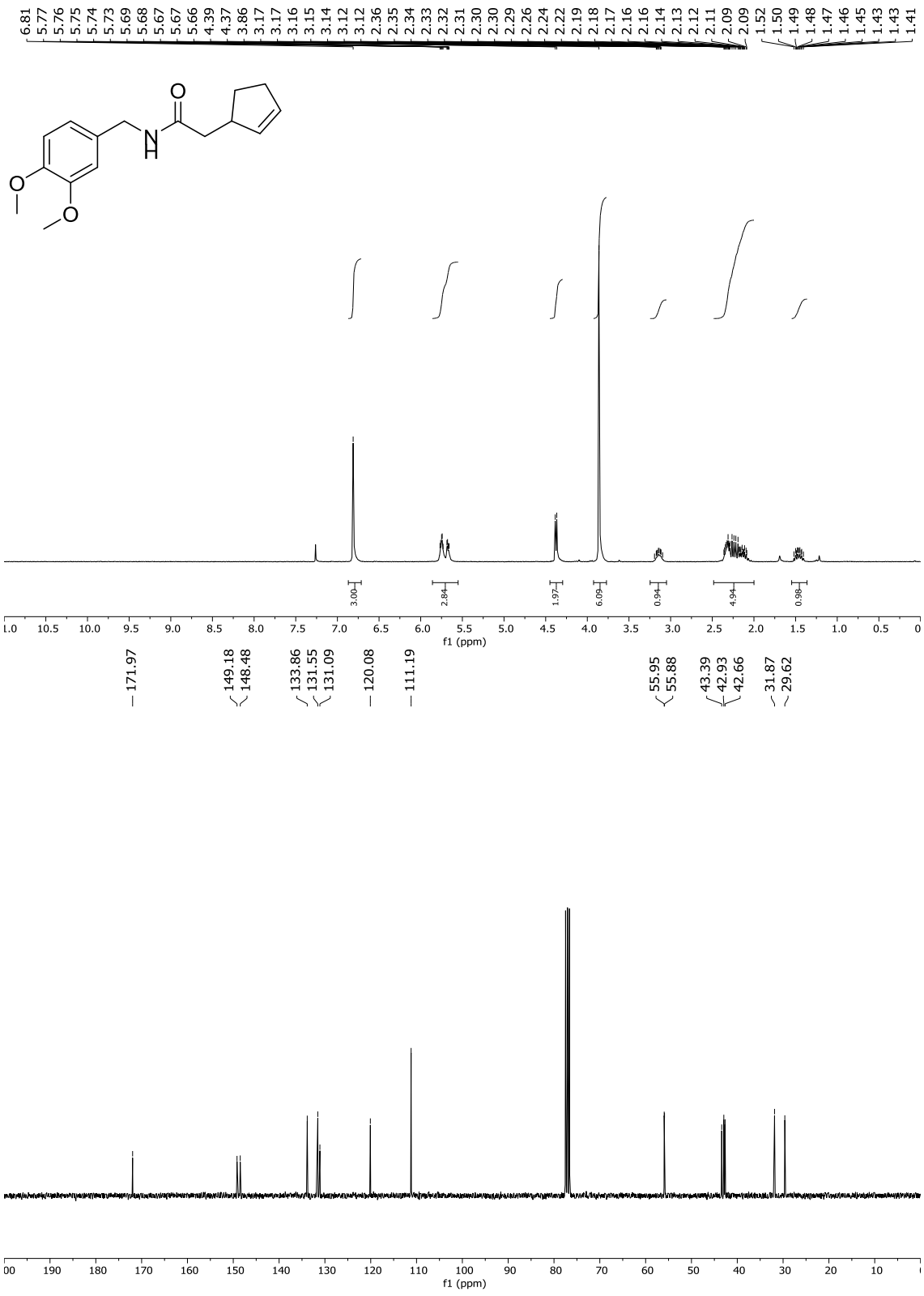
N-(4-Methoxyphenyl)hex-5-ynamide



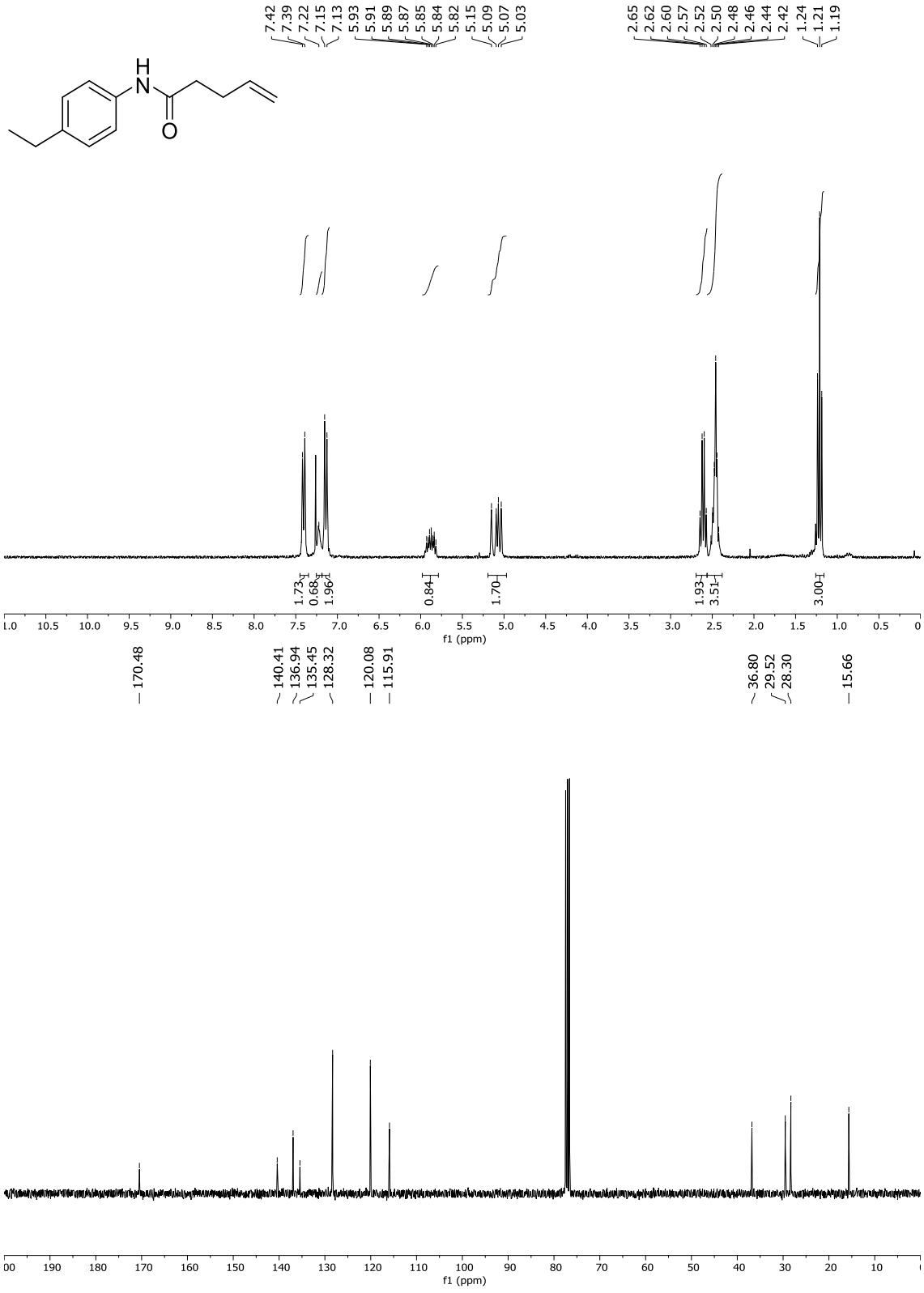
N-3-Diphenylpropanamide



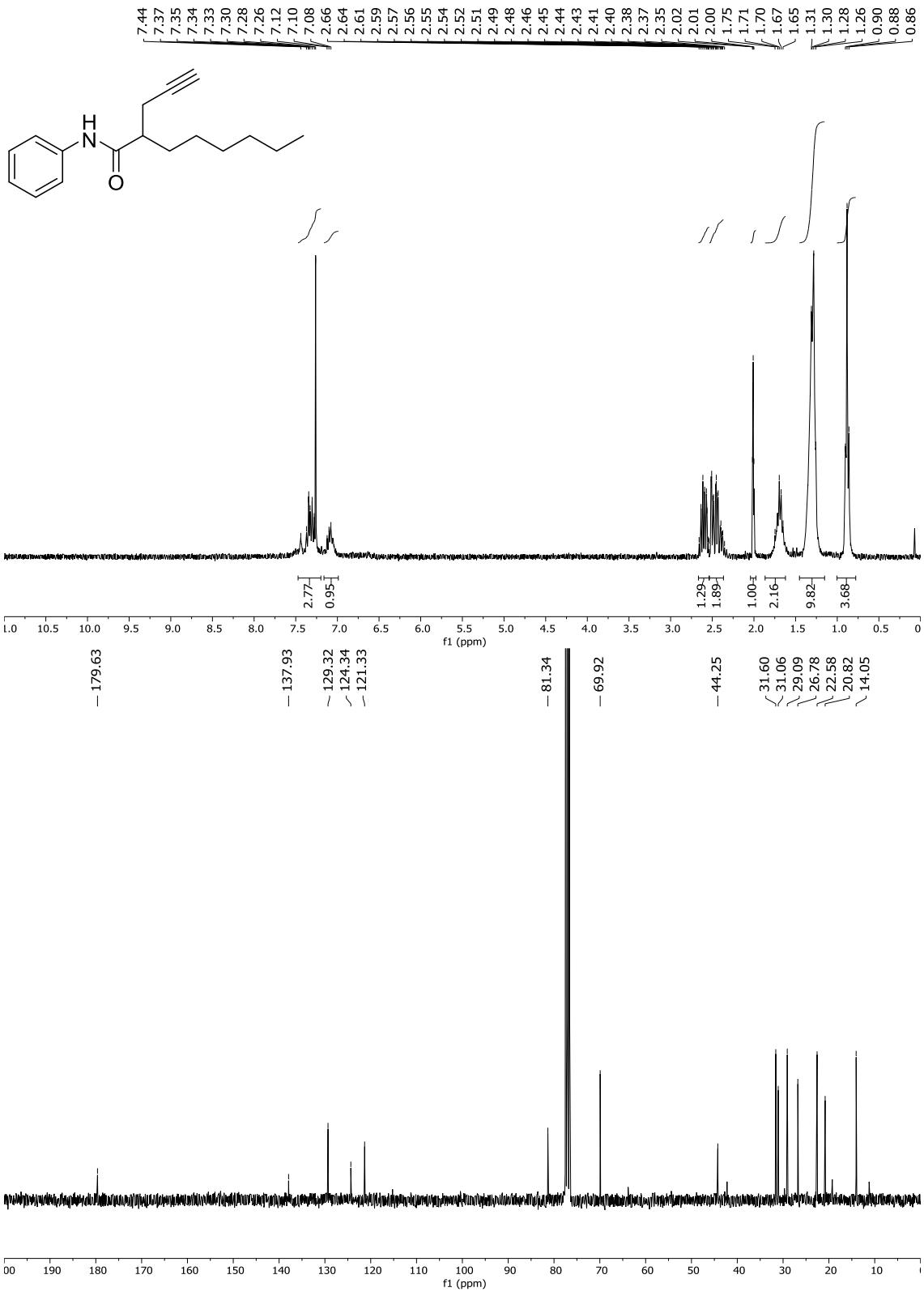
2-(Cyclopent-2-en-yl)-*N*-(3,4-dimethoxybenzyl)acetamide



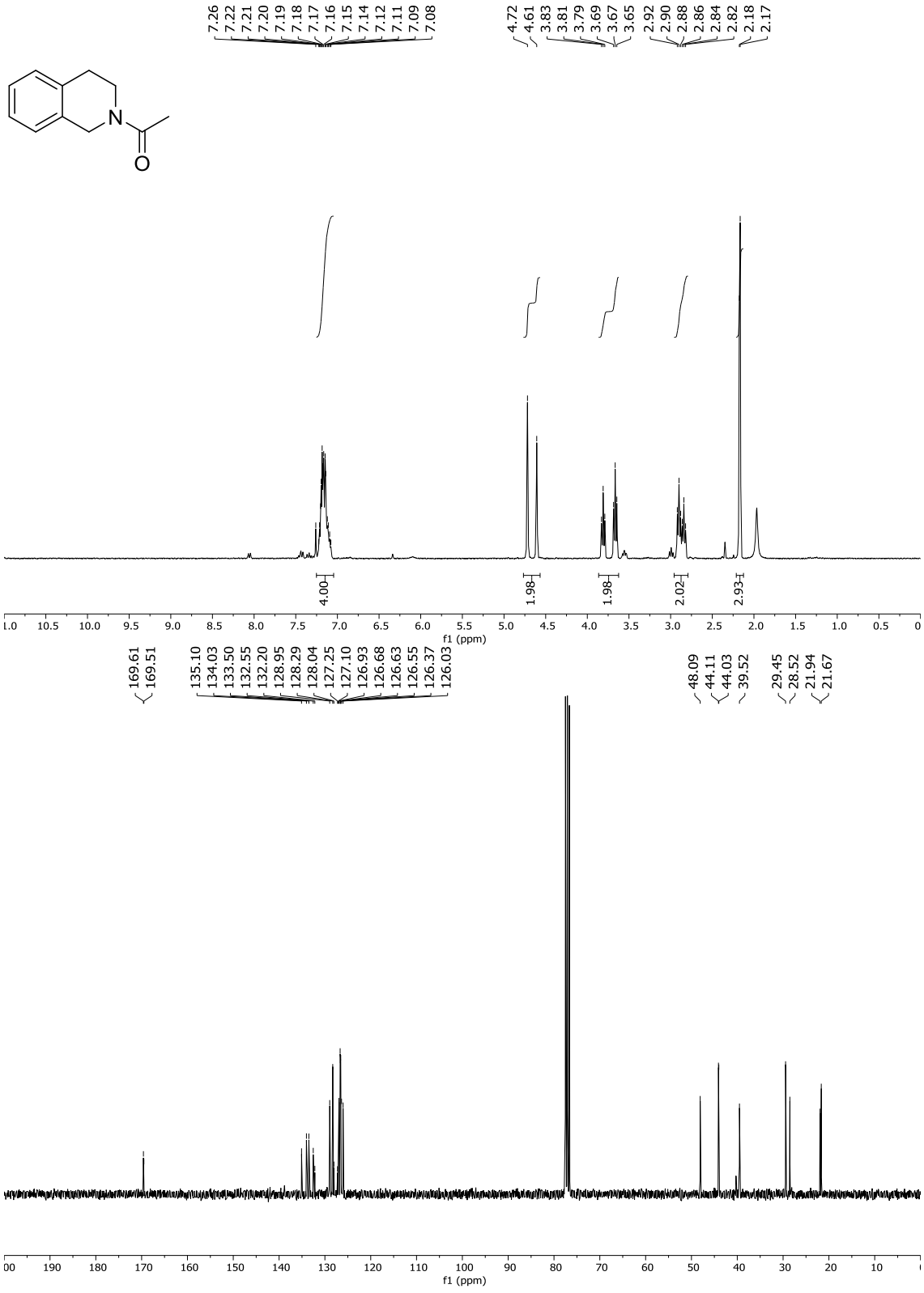
N-(4-Ethylphenyl)pent-4-enamide



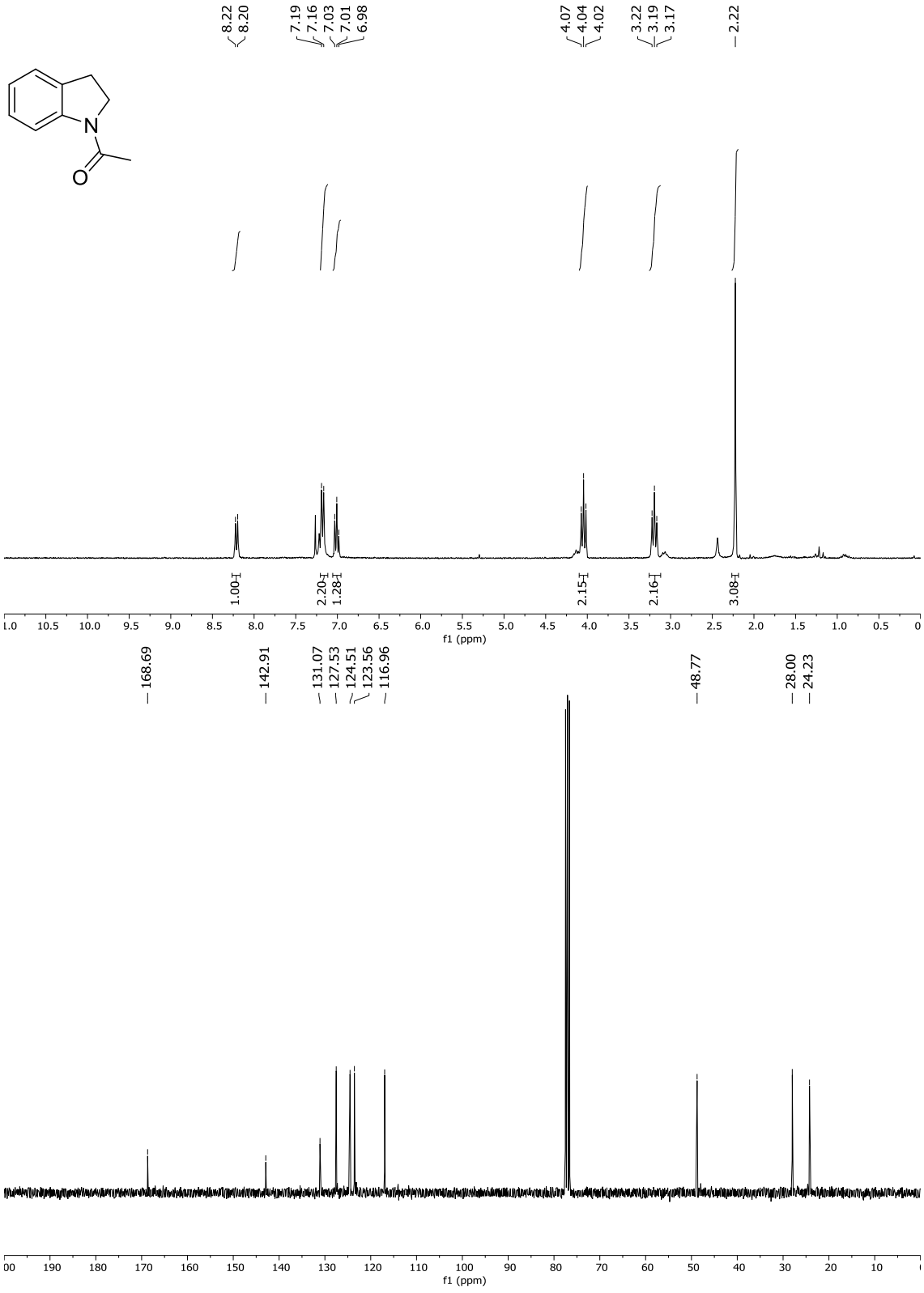
N-Phenyl-2-(prop-2-yn-1-yl)octanamide



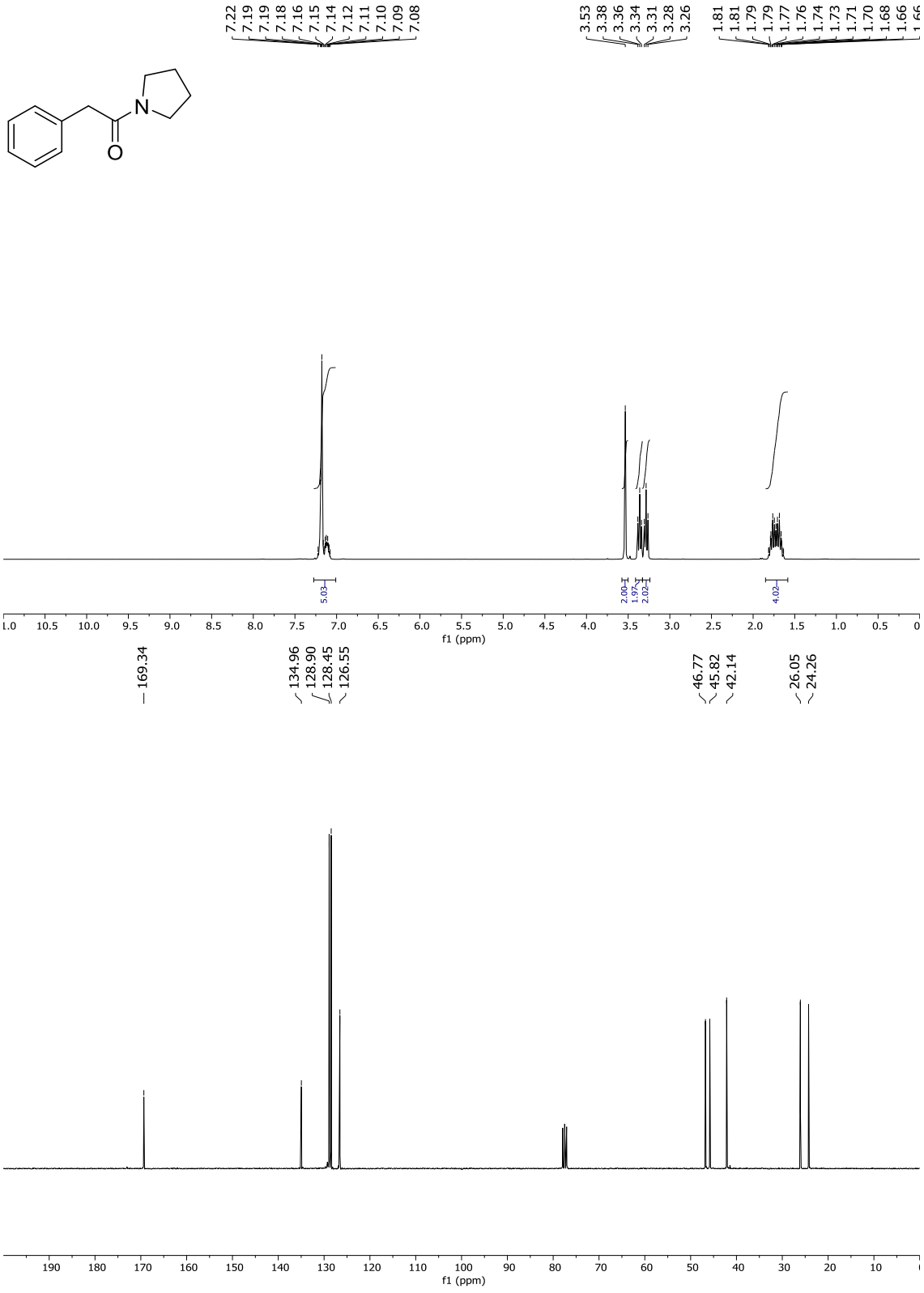
1-(3,4-Dihydroisoquinolin-2(1*H*)-yl)ethan-1-one



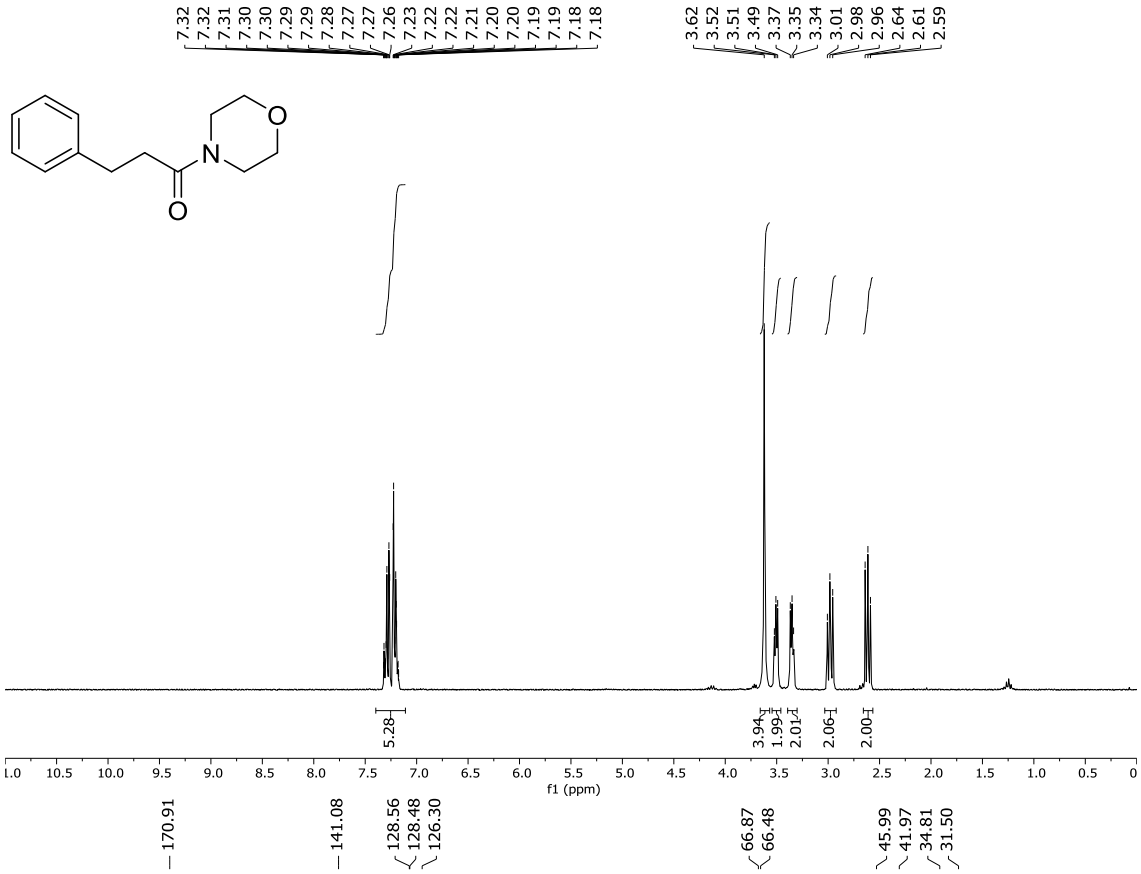
N-Acetylindoline

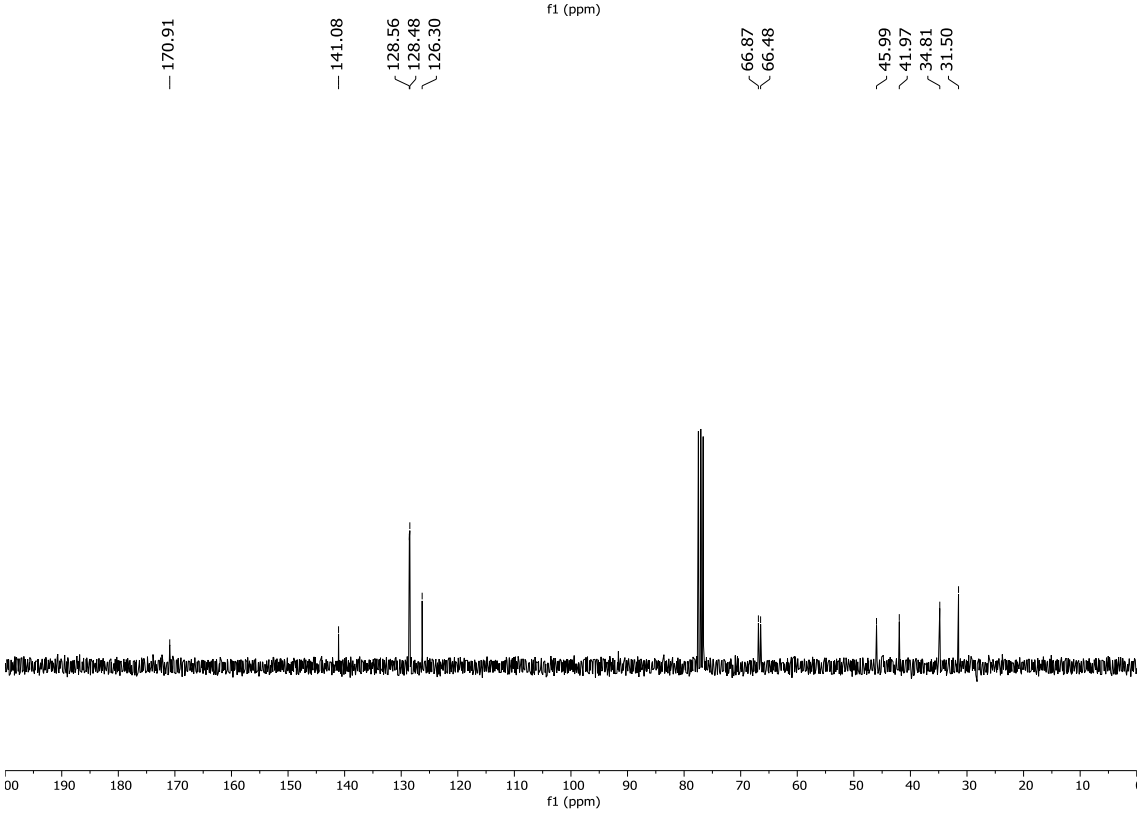


2-phenyl-1-(pyrrolidin-1-yl)ethan-1-one



1-morpholino-3-phenylpropan-1-one





1-morpholino-2-(2-phenoxyphenyl)ethan-1-one