

SUPPORTING INFORMATION

N-formylsaccharin: a Sweet(able) Formylating Agent in Mechanochemistry

Federico Cuccu ^{1,†}, Francesco Basoccu ^{1,†}, Claudia Fattuoni ^{1,*} and Andrea Porcheddu ^{1*}

¹Dipartimento di Scienze Chimiche e Geologiche, Università degli Studi di Cagliari, Cittadella
Universitaria, Monserrato, 09042 Cagliari, Italy

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1. General information

Commercially available reagents were purchased from Acros, Aldrich, Strem Chemicals, Alfa-Aesar, TCI Europe and used as received. All reactions were monitored by thin-layer chromatography (TLC) performed on glass-backed silica gel 60 F254, 0.2 mm plates (Merck), and compounds were visualized under UV light (254 nm) or using cerium ammonium molybdate solution with subsequent heating. The eluents were technical grade. Mechanochemical reactions were carried out using a FormTech FTS-1000 Shaker Mill® apparatus. The reagents were milled using a zirconia SmartSnap™ grinding jar (15 mL) equipped with balls ($\phi = 10$ mm) of the same material. Precisely, the zirconium oxide of the vessels and balls used for all reactions accomplished in the mixer mill is yttrium oxide stabilized (ZrO_2). These parameters were applied if not stated otherwise. 1H and ^{13}C liquid NMR spectra were recorded on a Varian 500 MHz and Bruker Avance III HD 600 MHz NMR spectrometer at 298 K and were calibrated using trimethylsilyl silane (TMS). Proton chemical shifts are expressed in parts per million (ppm, δ scale) and are referred to the residual hydrogen in the solvent ($CHCl_3$, 7.27 ppm or DMSO 2.54 ppm). Data are represented as follows: chemical shift, multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet and/or multiple resonances, bs = broad singlet, and combination of thereof), coupling constant (J) in Hertz (Hz) and integration. Carbon chemical shifts are expressed in parts per million (ppm, δ scale) and are referenced to the carbon resonances of the NMR solvent ($CDCl_3$, δ 77.0 ppm or δ DMSO- d_6 δ 39.5 ppm). Deuterated NMR solvents were obtained from Aldrich. Samples were analysed using an Agilent 5977B MS interfaced to the GC 7890B equipped with a DB-5ms column (J & W), injector temperature at 230 °C, detector temperature at 280 °C, helium carrier gas flow rate of 1 ml/min. The GC oven temperature program was 60 °C initial temperature with 4 min hold time and ramping at 15°C/min to a final temperature of 270°C with 7 min hold time. 1 μ L of each sample was injected in split (1:20) mode. After a solvent delay of 3 minutes, mass spectra were acquired in full scan mode using 2.28 scans/s with a mass range of 50–500 Amu. Retention times of different compounds were determined by injecting pure compounds under identical conditions. All the experiments were carried out in duplicate to ensure reproducibility of the experimental data. Yields refer to pure isolated materials.

2. Compound 1b and 1c synthesis

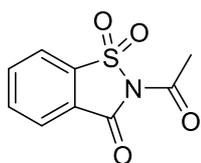
General procedure **A** for *N*-acetylsaccharin synthesis from **1**.

A 10 mL microwave vessel equipped with one stirring magnet was filled with saccharin **1** (1.0 mmol) and acetic anhydride (1.0 mL, 10.5 eq.). The vessel was then closed, and the reaction was conducted for 240 min at 100 °C and 200 W. At the end of the reaction, the solid was washed with a saturated KHCO₃ solution and filtered on paper for purifying the reaction mixture. Lastly, the white solid was let air dry to afford the pure compound **1b**.

General procedure **B** for *N*-propionylsaccharin synthesis from **1**.

A 10 mL microwave vessel equipped with one stirring magnet was filled with saccharin **1** (1.0 mmol) and propionic anhydride (1.5 mL, 11.8 eq.). The vessel was then closed, and the reaction was conducted for 300 min at 100 °C and 200 W. At the end of the reaction, the solid was washed with a saturated KHCO₃ solution and filtered on paper for purifying the reaction mixture. Lastly, the white solid was let air dry to afford the pure compound **1c**.

2-acetylbenzo[d]isothiazol-3(2H)-one 1,1-dioxide **1b**.



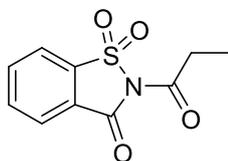
The title compound was synthesized according to the general procedure **A**. **1** (183.2 mg, 1.0 mmol) and acetic anhydride (1.0 mL, 10.5 mmol) were used, to afford **1b** as a white solid (202.7 mg, 0.9 mmol, 90%).

¹H NMR (600 MHz, DMSO-*d*₆, mixture of rotamers) δ = 8.34 – 8.21 (m, 1H), 8.22 – 7.47 (m, 1H), 8.09 – 7.82 (m, 2H), 2.62 – 1.91 (m, 3H).

¹³C NMR (151 MHz, DMSO-*d*₆, mixture of rotamers) δ = 172.0, 168.7, 157.3, 137.2, 135.7, 127.0, 126.1, 124.7, 124.5, 121.5, 120.8, 25.8, 21.0.

The spectroscopic data closely match the ones previously reported in the literature.¹

2-propionylbenzo[d]isothiazol-3(2H)-one 1,1-dioxide **1c**.



The title compound was synthesized according to the general procedure **B**. **1** (183.2 mg, 1.0 mmol) and propionic anhydride (1.5 mL, 11.8 mmol) were used, to afford **1c** as a white solid (208.1 mg, 0.87 mmol, 87%).

¹H NMR (600 MHz, DMSO-*d*₆, mixture of rotamers) δ = 8.33 – 8.20 (m, 1H), 8.14 – 7.89 (m, 1H), 8.09 – 8.04 (m, 1H), 7.98 – 7.85 (m, 1H), 3.07 – 2.19 (m, 2H), 1.13 – 0.97 (m, 3H).

¹³C NMR (151 MHz, DMSO-*d*₆, mixture of rotamers) δ = 175.2, 172.4, 157.3, 137.2, 137.1, 135.6, 126.1, 124.7, 124.6, 121.4, 120.9, 31.0, 26.9, 9.1, 7.5.

The spectroscopic data closely match the ones previously reported in the literature.¹

3. Mechanochemical synthesis of **3aa-3bi**, **4aa**, **4ac**, **4ak**, **4ar**, **4aw**, **5aa**, **5ar**

General procedure **C** for *N*-formamides synthesis from primary and secondary amines.

A 15 mL ZrO₂ jar equipped with one ZrO₂ milling ball (10 mm diameter) was filled with amine **2aa-bh** (1.0 mmol) and **1a** (1.1 mmol). The vessel was then closed and the mechanochemical reaction was conducted ranging from 30 to 180 min at a frequency of 30 Hz. At the end of the reaction, an additional 10 min grinding was made with NaHCO₃ for purifying the reaction mixture. The crude was then recovered as a solid in a beaker, dissolved in EtOAc (10 mL) and filtered on paper. Lastly, the solvent was removed under reduced pressure to afford the pure formamide **3aa-bh**.

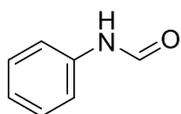
General procedure **D** for *N*-acetamides synthesis from primary and secondary amines.

A 15 mL ZrO₂ jar equipped with one ZrO₂ milling ball (10 mm diameter) was filled with amine **2aa**, **2ac**, **2ak**, **2ar** or **2aw** (1.0 mmol) and **1b** (1.1 mmol). The vessel was then closed and the mechanochemical reaction was conducted ranging from 60 to 90 min at a frequency of 30 Hz. At the end of the reaction, an additional 10 min grinding was made with NaHCO₃ for purifying the reaction mixture. The crude was then recovered as a solid in a beaker, dissolved in EtOAc (10 mL) and filtered on paper. Lastly, the solvent was removed under reduced pressure to afford the pure acetamide **4aa**, **4ac**, **4ak**, **4ar**, or **4aw**.

General procedure **E** for *N*-propionamide synthesis from **2aa** and **2ar**.

A 15 mL ZrO₂ jar equipped with one ZrO₂ milling ball (10 mm diameter) was filled with amine **2aa** or **2ar** (1.0 mmol) and **1c** (1.1 mmol). The vessel was then closed and the mechanochemical reaction was conducted for 120 min at a frequency of 30 Hz. At the end of the reaction, an additional 10 min grinding was made with NaHCO₃ for purifying the reaction mixture. The crude was then recovered as a solid in a beaker, dissolved in EtOAc (10 mL) and washed with a citric acid solution (5% p/p). After that, it was dried on Na₂SO₄ and filtered on paper. Lastly, the solvent was removed under reduced pressure to afford the pure propionamide **5aa** or **5ar**.

N-phenyl formamide **3aa**.

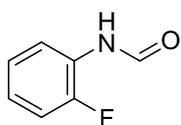


The title compound was synthesized according to the general procedure **C**. **2aa** (93.1 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3aa** as a colourless solid (117.5 mg, 0.97 mmol, 97%).

¹H NMR (600 MHz, CDCl₃, mixture of rotamers) δ = 8.71 – 8.38 (m, 1H), 8.21 (s, 1H), 7.55 – 7.32 (m, 3H), 7.21 – 7.09 (m, 2H).

¹³C NMR (151 MHz, CDCl₃, mixture of rotamers) δ = 162.7, 159.1, 137.0, 136.8, 129.9, 129.3, 125.5, 125.0, 120.1, 119.0.

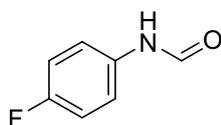
The spectroscopic data closely match the ones previously reported in the literature.²

N-(2-fluorophenyl) formamide **3ab**.

The title compound was synthesized according to the general procedure **C**. **2ab** (111.1 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3ab** as a yellowish liquid (133.5 mg, 0.96 mmol, 96%).

¹H NMR (600 MHz, CDCl₃, mixture of rotamers) δ = 8.70 – 8.47 (m, 1H), 8.34 – 7.23 (m, 1H), 7.65 – 7.54 (m, 1H), 7.17 – 7.06 (m, 3H).

¹³C NMR (151 MHz, CDCl₃, mixture of rotamers) δ = 162.0, 158.9, 154.1, 153.2, 151.5, 126.2, 126.1, 125.6, 125.5, 125.1, 125.0, 124.9, 124.8, 124.7, 122.3, 119.6, 116.6, 116.5, 115.1, 115.0

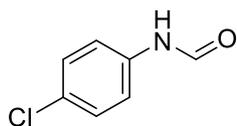
N-(4-fluorophenyl) formamide **3ac**.

The title compound was synthesized according to the general procedure **C**. **2ac** (111.1 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3ac** as a yellowish solid (136.3 mg, 0.98 mmol, 98%).

¹H NMR (600 MHz, CDCl₃, mixture of rotamers) δ = δ 8.56 – 8.35 (m, 1H), 8.17 – 7.37 (m, 1H), 7.52 – 7.49 (dd, 1H), 7.07 – 7.01 (m, 3H).

¹³C NMR (151 MHz, CDCl₃, mixture of rotamers) δ = 162.9, 161.4, 160.6, 159.8, 159.0, 159.0, 133.0, 122.0, 121.9, 121.5, 121.4, 116.8, 116.7, 116.0, 115.9.

The spectroscopic data closely match the ones previously reported in the literature.³

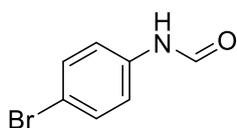
N-(4-chlorophenyl) formamide **3ad**.

The title compound was synthesized according to the general procedure **C**. **2ad** (127.6 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3ad** as a grey solid (147.8 mg, 0.95 mmol, 95%).

¹H NMR (600 MHz, CDCl₃, mixture of rotamers) δ = 8.66-8.37 (m, 1H), 8.08 (s, 1H), 7.51 – 7.48 (m, 1H), 7.34 – 7.02 (m, 3H).

¹³C NMR (151 MHz, CDCl₃, mixture of rotamers) δ = 162.4, 159.0, 135.5, 135.4, 131.0, 130.1, 130.0, 129.3, 121.3, 120.3.

The spectroscopic data closely match the ones previously reported in the literature.³

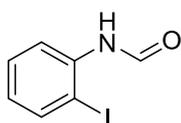
N-(4-bromophenyl) formamide **3ae**.

The title compound was synthesized according to the general procedure **C**. **2ae** (172.0 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3ae** as a yellowish liquid (194.0 mg, 0.97 mmol, 97%).

$^1\text{H NMR}$ (600 MHz, CDCl_3 , mixture of rotamers) δ = 8.66 – 8.38 (m, 1H), 7.85 – 7.22 (m, 1H), 7.49 – 6.96 (m, 4H).

$^{13}\text{C NMR}$ (151 MHz, CDCl_3 , mixture of rotamers) δ = 162.1, 158.9, 136.0, 133.0, 132.3, 121.6, 120.6, 118.5, 117.7.

The spectroscopic data closely match the ones previously reported in the literature.³

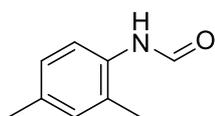
N-(2-iodophenyl) formamide **3af**.

The title compound was synthesized according to the general procedure **C**. **2af** (219.0 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3af** as a yellowish liquid (232.2 mg, 0.94 mmol, 94%).

$^1\text{H NMR}$ (600 MHz, CDCl_3 , mixture of rotamers) δ = 8.66 – 8.49 (m, 1H), 8.29 – 6.86 (m, 2H), 7.86 – 7.79 (m, 1H), 7.59 – 7.52 (m, 1H), 7.37 – 7.34 (m, 1H).

$^{13}\text{C NMR}$ (151 MHz, CDCl_3 , mixture of rotamers) δ = 162.1, 159.1, 159.0, 140.1, 139.1, 137.9, 137.4, 129.8, 129.5, 127.2, 126.5, 122.5, 122.4, 119.5, 90.9, 89.4.

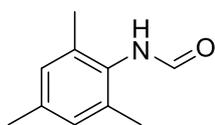
The spectroscopic data closely match the ones previously reported in the literature.⁴

N-(2,4-dimethyl) phenyl formamide **3ag**.

The title compound was synthesized according to the general procedure **C**. **2ag** (121.2 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3ag** as a black solid (143.2 mg, 0.96 mmol, 96%).

$^1\text{H NMR}$ (600 MHz, CDCl_3 , mixture of rotamers) δ = 8.48 – 8.42 (m, 1H), 7.72 – 7.02 (m, 3H) 7.34 – 6.94 (m, 1H), 2.31 – 2.30 (d, 3H), 2.25 (d, 3H).

$^{13}\text{C NMR}$ (151 MHz, CDCl_3 , mixture of rotamers) δ = 163.3, 159.1, 136.2, 135.5, 132.4, 132.0, 131.4, 129.9, 128.9, 127.8, 127.5, 123.4, 121.3, 21.0, 20.9, 17.9, 17.8.

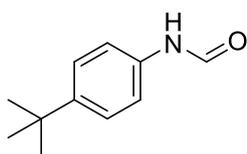
N-mesityl formamide **3ah**.

The title compound was synthesized according to the general procedure **C**. **2ah** (135.2 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3ah** as a brown solid (155.0 mg, 0.95 mmol, 95%).

$^1\text{H NMR}$ (600 MHz, CDCl_3 , mixture of rotamers) δ = 8.40 – 8.04 (m, 1H), 6.93 – 6.81 (d, 2H), 2.34 – 2.22 (m, 9H).

$^{13}\text{C NMR}$ (151 MHz, CDCl_3 , mixture of rotamers) δ = 165.1, 159.6, 158.7, 139.0, 137.8, 137.6, 135.4, 135.2, 133.9, 131.7, 130.5, 129.9, 129.5, 129.4, 129.1, 129.0, 21.1, 21.0, 18.8, 18.7, 18.6.

The spectroscopic data closely match the ones previously reported in the literature.⁵

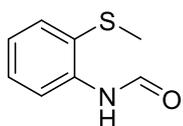
N-(4-(*tert*-butyl) phenyl) formamide **3ai**.

The title compound was synthesized according to the general procedure **C**. **2ai** (149.2 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3ai** as a brownish solid (171.9 mg, 0.97 mmol, 97%).

$^1\text{H NMR}$ (600 MHz, CDCl_3 , mixture of rotamers) δ = 8.65–8.36 (m, 1H), 7.71 – 7.18 (m, 1H), 7.46 – 7.35 (m, 3H), 7.03 – 7.01 (m, 1H), 1.32 – 1.31 (d, 9H).

$^{13}\text{C NMR}$ (151 MHz, CDCl_3 , mixture of rotamers) δ = 162.6, 158.9, 148.8, 148.1, 134.3, 134.1, 126.8, 126.1, 119.9, 119.1, 34.7, 34.6, 31.6, 31.5.

The spectroscopic data closely match the ones previously reported in the literature.⁶

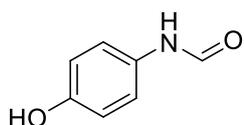
N-(2-(methylthio) phenyl) formamide **3aj**.

The title compound was synthesized according to the general procedure **C**. **2aj** (139.2 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3aj** as a black oil (155.5 mg, 0.93 mmol, 93%).

$^1\text{H NMR}$ (600 MHz, CDCl_3 , mixture of rotamers) δ = 8.70 – 8.50 (m, 1H), 8.42 – 8.18 (bs, 1H), 8.33 – 7.08 (m, 4H), 2.38 (d, 3H).

$^{13}\text{C NMR}$ (151 MHz, CDCl_3 , mixture of rotamers) δ = 162.1, 159.3, 137.3, 136.2, 132.9, 131.6, 128.8, 128.3, 128.0, 125.8, 125.5, 124.9, 121.2, 118.5, 18.9, 17.7.

The spectroscopic data closely match the ones previously reported in the literature.⁷

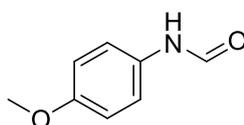
***N*-(4-hydroxyphenyl) formamide **3ak**.**

The title compound was synthesized according to the general procedure **C. 2ak** (109.1 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3ak** as a white solid (133.0 mg, 0.97 mmol, 97%).

¹H NMR (600 MHz, DMSO-*d*₆, mixture of rotamers) δ = 9.88 – 9.81 (m, 1H), 9.25 – 9.22 (m, 1H), 8.65 – 7.35 (m, 2H), 8.29 (d, 1H), 6.98 – 6.68 (m, 2H).

¹³C NMR (151 MHz, DMSO-*d*₆, mixture of rotamers) δ = 162.4, 159.3, 159.1, 159.0, 122.8, 122.0, 121.2, 120.4.

The spectroscopic data closely match the ones previously reported in the literature.³

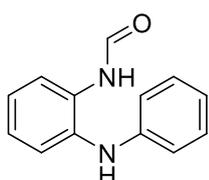
***N*-(4-methoxyphenyl) formamide **3al**.**

The title compound was synthesized according to the general procedure **C. 2al** (123.2 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3al** as a brownish solid (148.2 mg, 0.98 mmol, 98%).

¹H NMR (600 MHz, CDCl₃, mixture of rotamers) δ = 8.51 – 8.33 (m, 1H), 7.53 – 7.02 (m, 1H), 7.45 – 7.44 (m, 1H), 6.90 – 6.89 (d, 1H), 6.88 – 6.86 (m, 2H), 3.81 – 3.79 (d, 3H).

¹³C NMR (151 MHz, CDCl₃, mixture of rotamers) δ = 163.0, 158.9, 157.9, 156.9, 130.0, 129.6, 122.0, 121.9, 115.1, 114.4, 55.7, 55.6.

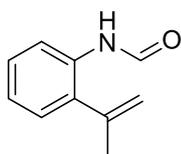
The spectroscopic data closely match the ones previously reported in the literature.⁶

***N*-(2-(phenylamino) phenyl) formamide **3am**.**

The title compound was synthesized according to the general procedure **C. 2am** (184.2 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3am** as a dark purple oil (197.3 mg, 0.93 mmol, 93%).

¹H NMR (600 MHz, CDCl₃, mixture of rotamers) δ = 9.37 (bs, 1H), 8.28 – 7.96 (m, 1H), 7.94 – 7.88 (m, 1H), 7.77 – 7.34 (m, 8H).

¹³C NMR (151 MHz, CDCl₃, mixture of rotamers) δ = 142.8, 142.2, 136.1, 133.7, 133.4, 130.3, 128.5, 124.3, 124.2, 123.4, 120.3, 110.8.

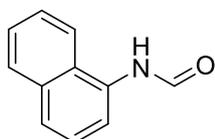
N-(2-(prop-1-en-2-yl) phenyl) formamide **3an**.

The title compound was synthesized according to the general procedure **C**. **2an** (133.2 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3an** as an orangish solid (153.1 mg, 0.95 mmol, 95%).

$^1\text{H NMR}$ (600 MHz, CDCl_3 , mixture of rotamers) δ = 8.68 – 8.40 (m, 1H), 8.32 – 7.10 (m, 4H), 7.77 – 7.70 (bs, 1H), 5.39 – 5.35 (m, 1H), 5.03 – 5.00 (m, 1H), 2.07 – 2.04 (d, 3H).

$^{13}\text{C NMR}$ (151 MHz, CDCl_3 , mixture of rotamers) δ = 162.4, 162.3, 159.1, 142.6, 142.1, 134.9, 134.7, 134.0, 133.8, 133.5, 133.2, 133.1, 133.0, 132.6, 129.1, 128.7, 128.3, 128.1, 128.0, 127.9, 126.7, 125.2, 125.0, 124.4, 123.8, 122.4, 121.4, 121.0, 120.5, 118.5, 117.6, 117.2, 24.6, 24.2.

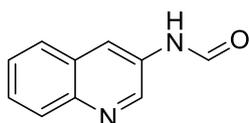
The spectroscopic data closely match the ones previously reported in the literature.⁸

N-(naphthalen-1-yl) formamide **3ao**.

The title compound was synthesized according to the general procedure **C**. **2ao** (143.2 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3ao** as a pink solid (164.4 mg, 0.96 mmol, 96%).

$^1\text{H NMR}$ (600 MHz, CDCl_3 , mixture of rotamers) δ = 8.63 – 8.62 (m, 1H), 8.18 (bs, 1H), 8.04 – 7.32 (m, 7H).

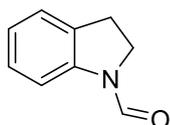
$^{13}\text{C NMR}$ (151 MHz, CDCl_3 , mixture of rotamers) δ = 163.9, 159.6, 134.4, 134.2, 132.1, 129.0, 128.8, 127.9, 127.3, 127.2, 127.0, 126.8, 126.7, 126.4, 126.3, 125.9, 125.7, 121.3, 121.0, 120.4, 119.4.

N-(quinolin-3-yl) formamide **3ap**.

The title compound was synthesized according to the general procedure **C**. **2ap** (144.2 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3ap** as a pale-yellow solid (165.3 mg, 0.96 mmol, 96%).

$^1\text{H NMR}$ (600 MHz, CDCl_3 , mixture of rotamers) δ = 10.61 – 10.43 (s, 1H), 8.91 – 8.84 (m, 1H), 8.75 – 8.63 (m, 1H), 8.40 – 8.06 (m, 1H), 7.90 – 7.78 (m, 2H), 7.58 – 7.48 (m, 2H).

$^{13}\text{C NMR}$ (151 MHz, CDCl_3 , mixture of rotamers) δ = 163.0, 160.6, 144.7, 144.4, 144.2, 143.7, 132.2, 131.9, 128.7, 128.6, 128.0, 127.9, 127.8, 127.7, 127.3, 127.2, 122.4, 120.0.

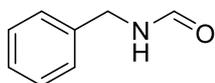
Indoline-1-carbaldehyde **3aq**.

The title compound was synthesized according to the general procedure **C**. **2aq** (119.2 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3aq** as a brownish solid (135.4 mg, 0.92 mmol, 92%).

¹H NMR (600 MHz, CDCl₃, mixture of rotamers) δ = 8.91 – 8.49 (m, 1H), 8.09 – 7.03 (m, 4H), 4.08 – 4.02 (m, 2H), 3.16 – 3.11 (m, 2H).

¹³C NMR (151 MHz, CDCl₃, mixture of rotamers) δ = 159.4, 157.6, 141.2, 141.0, 134.8, 134.0, 132.1, 131.9, 127.6, 127.5, 126.0, 125.1, 124.8, 124.6, 124.3, 120.9, 116.6, 109.4, 47.0, 44.6, 27.7, 27.1.

The spectroscopic data closely match the ones previously reported in the literature.²

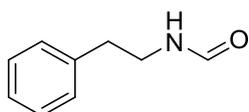
N-benzyl formamide **3ar**.

The title compound was synthesized according to the general procedure **C**. **2ar** (107.2 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3ar** as a white solid (132.5 mg, 0.98 mmol, 98%).

¹H NMR (600 MHz, CDCl₃, mixture of rotamers) δ = 8.29-8.21 (m, 1H), 7.38 – 7.26 (m, 5H), 5.77 (s, 1H), 4.51-4.43 (m, 2H).

¹³C NMR (151 MHz, CDCl₃, mixture of rotamers) δ = 164.7, 161.1, 137.7, 129.1, 129.0, 128.1, 128.0, 127.9, 127.1, 45.8, 42.4.

The spectroscopic data closely match the ones previously reported in the literature.⁹

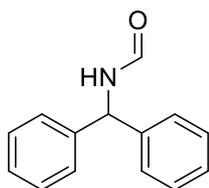
N-(2-phenylethyl) formamide **3as**.

The title compound was synthesized according to the general procedure **C**. **2as** (121.2 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3as** as a brownish oil (144.7 mg, 0.97 mmol, 97%).

¹H NMR (600 MHz, CDCl₃, mixture of rotamers) δ = 7.96 – 7.72 (m, 1H), 7.24 – 7.07 (m, 5H), 6.10 – 5.56 (m, 1H), 3.46 – 3.32 (m, 2H), 2.75 – 2.69 (m, 2H).

¹³C NMR (151 MHz, CDCl₃, mixture of rotamers) δ = 164.6, 161.6, 161.5, 138.6, 138.5, 137.6, 128.7, 128.6, 128.5, 128.4, 126.6, 126.3, 43.1, 39.1, 37.4, 35.3.

The spectroscopic data closely match the ones previously reported in the literature.¹⁰

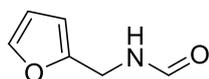
N-benzhydrylformamide **3at**.

The title compound was synthesized according to the general procedure C. **2at** (183.3 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3at** as a pale-yellow solid (202.8 mg, 0.96 mmol, 96%).

$^1\text{H NMR}$ (600 MHz, CDCl_3 , mixture of rotamers) δ = 8.21 – 8.14 (m, 1H), 7.35 – 7.18 (m, 10H), 6.51 (bs, 1H), 6.30 – 5.71 (m, 1H).

$^{13}\text{C NMR}$ (151 MHz, CDCl_3 , mixture of rotamers) δ = 164.5, 160.4, 145.3, 145.0, 141.1, 141.0, 129.1, 128.8, 128.6, 128.5, 128.4, 128.1, 127.8, 127.7, 127.6, 127.5, 127.4, 127.1, 127.0, 60.03, 59.8, 55.8.

The spectroscopic data closely match the ones previously reported in the literature.¹¹

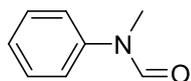
N-(furan-2-ylmethyl) formamide **3au**.

The title compound was synthesized according to the general procedure C. **2au** (97.1 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3au** as a brownish oil (122.6 mg, 0.98 mmol, 98%).

$^1\text{H NMR}$ (600 MHz, CDCl_3 , mixture of rotamers) δ = 8.14 – 8.09 (m, 1H), 7.35 – 7.31 (m, 1H), 6.51 (bs, 1H), 6.31 – 6.20 (m, 2H), 4.42 – 4.32 (m, 2H).

$^{13}\text{C NMR}$ (151 MHz, CDCl_3 , mixture of rotamers) δ = 165.0, 161.3, 150.7, 142.9, 142.4, 110.6, 110.6, 107.7, 107.6, 39.0, 35.1.

The spectroscopic data closely match the ones previously reported in the literature.¹²

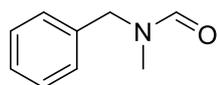
N-methyl-*N*-phenylformamide **3av**.

The title compound was synthesized according to the general procedure C. **2av** (107.2 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3av** as a white solid (128.4 mg, 0.95 mmol, 95%).

$^1\text{H NMR}$ (600 MHz, CDCl_3 , mixture of rotamers) δ = 8.48 – 8.36 (m, 1H), 7.43 – 7.17 (m, 5H), 3.35 – 3.32 (m, 3H).

$^{13}\text{C NMR}$ (151 MHz, CDCl_3 , mixture of rotamers) δ = 162.4, 162.2, 142.2, 140.2, 129.7, 129.1, 126.4, 126.3, 123.6, 122.4, 36.9, 32.1.

The spectroscopic data closely match the ones previously reported in the literature.²

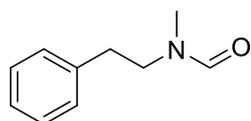
N-benzyl-*N*-methylformamide **3aw**.

The title compound was synthesized according to the general procedure **C**. **2aw** (121.2 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3aw** as a yellowish oil (144.7 mg, 0.97 mmol, 97%).

¹H NMR (600 MHz, CDCl₃, mixture of rotamers) δ = 8.27 – 8.14 (m, 1H), 7.36 – 7.19 (m, 5H), 4.51 – 4.38 (m, 2H), 2.83 – 2.77 (m, 3H).

¹³C NMR (151 MHz, CDCl₃, mixture of rotamers) δ = 162.7, 162.6, 136.0, 135.7, 128.8, 128.6, 128.2, 128.0, 127.6, 127.4, 53.4, 47.7, 34.0, 29.4.

The spectroscopic data closely match the ones previously reported in the literature.¹³

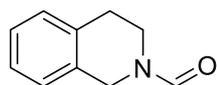
N-methyl-*N*-phenethylformamide **3ax**.

The title compound was synthesized according to the general procedure **C**. **2ax** (135.2 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3ax** as a yellowish oil (153.4 mg, 0.94 mmol, 94%).

¹H NMR (600 MHz, CDCl₃, mixture of rotamers) δ = 7.99 – 7.78 (m, 1H), 7.29 – 7.12 (m, 5H), 3.56 – 3.43 (m, 2H), 2.87 – 2.80 (m, 5H).

¹³C NMR (151 MHz, CDCl₃, mixture of rotamers) δ = 162.6, 162.4, 138.5, 137.7, 128.8, 128.7, 128.6, 128.5, 126.7, 126.4, 51.1, 45.9, 34.9, 34.7, 33.1, 29.6.

The spectroscopic data closely match the ones previously reported in the literature.¹⁴

3,4-dihydroisoquinoline-2(1H)-carbaldehyde **3ay**.

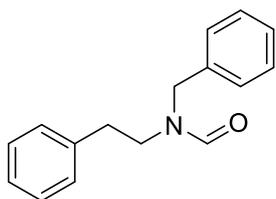
The title compound was synthesized according to the general procedure **C**. **2ay** (133.2 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3ay** as a yellow oil (148.3 mg, 0.92 mmol, 92%).

¹H NMR (600 MHz, CDCl₃, mixture of rotamers) δ = 8.23 – 8.18 (m, 1H), 7.21 – 7.08 (m, 4H), 4.67 – 4.52 (m, 2H), 3.78 – 3.62 (m, 2H), 2.90 – 2.85 (m, 2H).

¹³C NMR (151 MHz, CDCl₃, mixture of rotamers) δ = 161.8, 161.2, 134.5, 133.6, 132.3, 131.8, 129.2, 129.0, 127.2, 126.8, 126.7, 126.6, 125.9, 47.4, 43.3, 42.4, 38.1, 29.8, 28.0.

The spectroscopic data closely match the ones previously reported in the literature.¹⁵

N-benzyl-*N*-phenethylformamide **3az**.



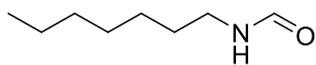
The title compound was synthesized according to the general procedure C. **2az** (211.3 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3az** as an orange oil (222.5 mg, 0.93 mmol, 93%).

$^1\text{H NMR}$ (600 MHz, CDCl_3 , mixture of rotamers) δ = 8.26 – 7.79 (m, 1H), 7.87 – 7.06 (m, 10H), 4.54 – 4.22 (m, 2H), 3.45 – 3.23 (m, 2H), 3.06 – 2.75 (m, 2H).

$^{13}\text{C NMR}$ (151 MHz, CDCl_3 , mixture of rotamers) δ = 166.7, 163.2, 163.1, 142.3, 138.7, 137.8, 136.5, 136.3, 135.9, 133.4, 133.3, 133.2, 131.2, 130.6, 129.4, 129.1, 128.9, 128.8, 128.7, 128.6, 128.5, 128.3, 128.2, 127.8, 127.7, 127.0, 126.8, 126.5, 124.2, 120.6, 52.0, 51.3, 48.5, 48.3, 45.6, 44.0, 35.1, 33.5, 32.3.

The spectroscopic data closely match the ones previously reported in the literature.¹⁶

N-heptylformamide **3ba**.



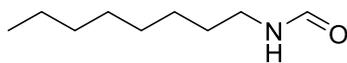
The title compound was synthesized according to the general procedure C. **2ba** (115.2 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3ba** as a yellowish oil (137.5 mg, 0.96 mmol, 96%).

$^1\text{H NMR}$ (600 MHz, CDCl_3 , mixture of rotamers) δ = 8.09 – 7.94 (m, 1H), 6.41 – 6.28 (m, 1H), 3.22 – 3.13 (m, 2H), 1.47 – 1.21 (m, 10H), 0.83 – 0.78 (t, 3H).

$^{13}\text{C NMR}$ (151 MHz, CDCl_3 , mixture of rotamers) δ = 165.0, 161.6, 42.0, 40.1, 38.2, 31.8, 31.7, 31.5, 31.2, 29.5, 28.9, 28.8, 28.7, 26.8, 26.5, 26.4, 22.7, 22.6, 22.5, 14.2, 14.1, 14.0.

The spectroscopic data closely match the ones previously reported in the literature.¹⁷

N-octyl formamide **3bb**.

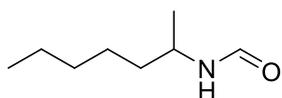


The title compound was synthesized according to the general procedure C. **2bb** (129.2 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3bb** as a yellowish oil (150.9 mg, 0.96 mmol, 96%).

$^1\text{H NMR}$ (600 MHz, CDCl_3 , mixture of rotamers) δ = 8.14 – 8.01 (m, 1H), 5.70 (s, 1H), 3.29 – 3.19 (m, 2H), 1.52 – 1.25 (m, 12H), 0.88 – 0.85 (m, 3H).

$^{13}\text{C NMR}$ (151 MHz, CDCl_3 , mixture of rotamers) δ = 164.7, 161.3, 41.9, 38.3, 31.9, 31.8, 31.4, 29.6, 29.4, 29.3, 29.2, 29.1, 27.0, 26.0, 22.7, 22.6, 14.2.

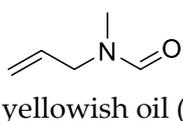
The spectroscopic data closely match the ones previously reported in the literature.¹⁸

(±)-N-(heptan-2-yl) formamide 3bc.

The title compound was synthesized according to the general procedure C. **2bc** (115.2 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3bc** as a yellowish oil (134.6 mg, 0.94 mmol, 94%).

¹H NMR (600 MHz, CDCl₃, mixture of rotamers) δ = 8.13 – 8.06 (m, 1H), 5.30 – 5.29 (m, 1H), 4.09 – 3.46 (m, 1H), 1.44 – 1.15 (m, 11H), 0.90 – 0.87 (m, 3H).

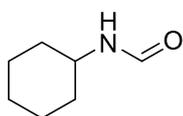
¹³C NMR (151 MHz, CDCl₃, mixture of rotamers) δ = 160.6, 48.5, 44.3, 38.0, 37.0, 31.8, 31.6, 25.7, 22.8, 22.7, 22.6, 21.1, 14.1, 14.0.

N-allyl-N-methylformamide 3bd.

The title compound was synthesized according to the general procedure C. **2bd** (71.1 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3bd** as a yellowish oil (96.1 mg, 0.97 mmol, 97%).

¹H NMR (600 MHz, CDCl₃, mixture of rotamers) δ = 8.06 – 7.60 (m, 1H), 5.77 – 5.67 (m, 1H), 5.25 – 5.16 (m, 2H), 3.94 – 3.81 (m, 2H), 2.89 – 2.82 (m, 3H).

¹³C NMR (151 MHz, CDCl₃, mixture of rotamers) δ = 162.9, 162.4, 133.0, 132.0, 118.6, 118.2, 52.1, 46.8, 34.1, 29.6.

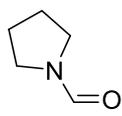
N-cyclohexylformamide 3be.

The title compound was synthesized according to the general procedure C. **2be** (99.2 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3be** as a pinkish solid (120.8 mg, 0.95 mmol, 95%).

¹H NMR (600 MHz, CDCl₃, mixture of rotamers) δ = 8.12 – 8.08 (m, 1H), 5.75 – 5.54 (m, 1H), 3.88 – 3.27 (m, 1H), 1.94 – 1.13 (m, 10H).

¹³C NMR (151 MHz, CDCl₃, mixture of rotamers) δ = 163.6, 160.4, 51.1, 47.2, 34.8, 33.2, 25.6, 25.2, 24.9, 24.8.

The spectroscopic data closely match the ones previously reported in the literature.¹³

Pyrrolidine-1-carbaldehyde 3bf.

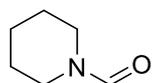
The title compound was synthesized according to the general procedure C. **2bf** (71.1 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3bf** as a yellowish oil (96.1 mg, 0.97 mmol, 97%).

¹H NMR (600 MHz, CDCl₃, mixture of rotamers) δ = 8.24 – 8.08 (m, 1H), 3.49 – 3.40 (m, 4H), 1.92 – 1.88 (m, 4H).

¹³C NMR (151 MHz, CDCl₃, mixture of rotamers) δ = 161.0, 46.2, 43.3, 25.0, 24.4.

The spectroscopic data closely match the ones previously reported in the literature.²

Piperidine-1-carbaldehyde **3bg**.



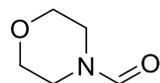
The title compound was synthesized according to the general procedure C. **2bg** (85.2 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3bg** as a brownish oil (107.5 mg, 0.95 mmol, 95%).

¹H NMR (600 MHz, CDCl₃, mixture of rotamers) δ = 7.97 (s, 1H), 3.46 – 3.27 (m, 4H), 1.67 – 1.50 (m, 6H).

¹³C NMR (151 MHz, CDCl₃, mixture of rotamers) δ = 160.9, 46.9, 40.7, 26.7, 25.2, 24.8.

The spectroscopic data closely match the ones previously reported in the literature.²

Morpholine-4-carbaldehyde **3bh**.



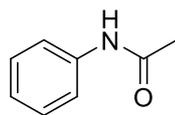
The title compound was synthesized according to the general procedure C. **2bh** (87.1 mg, 1.0 mmol) and **1a** (232.3 mg, 1.1 mmol) were used, to afford **3bh** as a brownish oil (109.3 mg, 0.95 mmol, 95%).

¹H NMR (600 MHz, CDCl₃, mixture of rotamers) δ = 8.06 (s, 1H), 3.70 – 3.65 (m, 4H), 3.58 – 3.57 (t, 2H), 3.40 – 3.39 (t, 2H).

¹³C NMR (151 MHz, CDCl₃, mixture of rotamers) δ = 161.0, 67.4, 66.6, 46.0, 40.8.

The spectroscopic data closely match the ones previously reported in the literature.³

N-phenylacetamide **4aa**.

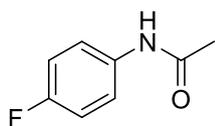


The title compound was synthesized according to the general procedure D. **2aa** (93.1 mg, 1.0 mmol) and **1b** (247.7 mg, 1.1 mmol) were used, to afford **4aa** as a white solid (131.0 mg, 0.97 mmol, 97%).

¹H NMR (600 MHz, CDCl₃, mixture of rotamers) δ = 7.57 (bs, 1H), 7.51 – 7.49 (d, 2H), 7.32 – 7.29 (t, 2H), 7.11 – 7.08 (t, 1H), 2.16 (s, 3H).

¹³C NMR (151 MHz, CDCl₃, mixture of rotamers) δ = 168.7, 138.1, 129.1, 124.4, 120.1, 24.7.

The spectroscopic data closely match the ones previously reported in the literature.¹⁹

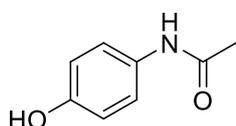
N-(4-fluorophenyl) acetamide **4ac**.

The title compound was synthesized according to the general procedure **D**. **2ac** (111.1 mg, 1.0 mmol) and **1b** (247.7 mg, 1.1 mmol) were used, to afford **4ac** as a white solid (144.0 mg, 0.94 mmol, 94%).

$^1\text{H NMR}$ (600 MHz, CDCl_3 , mixture of rotamers) δ = 7.44 (dt, 3H), 7.00 (t, 2H), 2.16 (s, 3H).

$^{13}\text{C NMR}$ (151 MHz, CDCl_3 , mixture of rotamers) δ = 168.5, 160.3, 158.7, 134.1, 134.0, 122.0, 121.9, 115.8, 115.7, 24.5.

The spectroscopic data closely match the ones previously reported in the literature.¹⁹

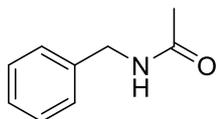
N-(4-hydroxyphenyl) acetamide **4ak**.

The title compound was synthesized according to the general procedure **D**. **2ak** (109.1 mg, 1.0 mmol) and **1b** (247.7 mg, 1.1 mmol) were used, to afford **4ak** as a white solid (140.5 mg, 0.93 mmol, 93%).

$^1\text{H NMR}$ (600 MHz, DMSO-d_6 , mixture of rotamers) δ = 9.60 (s, 1H), 9.12 (s, 1H), 7.30 – 7.28 (d, 2H), 6.64 – 6.62 (d, 2H), 1.93 (s, 3H).

$^{13}\text{C NMR}$ (151 MHz, DMSO-d_6 , mixture of rotamers) δ = 167.5, 153.2, 131.0, 120.8, 115.0, 23.7.

The spectroscopic data closely match the ones previously reported in the literature.²⁰

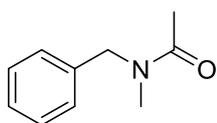
N-benzylacetamide **4ar**.

The title compound was synthesized according to the general procedure **D**. **2ar** (107.2 mg, 1.0 mmol) and **1b** (247.7 mg, 1.1 mmol) were used, to afford **4ar** as a white solid (146.2 mg, 0.98 mmol, 98%).

$^1\text{H NMR}$ (600 MHz, CDCl_3 , mixture of rotamers) δ = 7.35 – 7.32 (m, 2H), 7.29 – 7.26 (m, 3H), 5.80 (bs, 1H), 4.43 – 4.42 (d, 2H), 2.02 (s, 3H).

$^{13}\text{C NMR}$ (151 MHz, CDCl_3 , mixture of rotamers) δ = 170.0, 138.4, 128.9, 128.0, 127.7, 43.9, 23.4.

The spectroscopic data closely match the ones previously reported in the literature.²¹

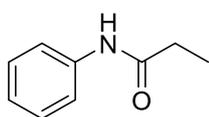
N-benzyl-*N*-methylacetamide **4aw**.

The title compound was synthesized according to the general procedure **D**. **2aw** (121.2 mg, 1.0 mmol) and **1b** (247.7 mg, 1.1 mmol) were used, to afford **4aw** as a white solid (153.4 mg, 0.94 mmol, 94%).

¹H NMR (600 MHz, CDCl₃, mixture of rotamers) δ = 7.42 – 7.08 (m, 5H), 4.64 – 4.48 (m, 2H), 3.06 – 2.82 (m, 3H), 2.18 (s, 3H).

¹³C NMR (151 MHz, CDCl₃, mixture of rotamers) δ = 171.5, 171.2, 129.1, 128.7, 128.2, 128.0, 127.5, 126.4, 54.4, 50.8, 35.7, 34.0, 21.9, 21.5.

The spectroscopic data closely match the ones previously reported in the literature.¹³

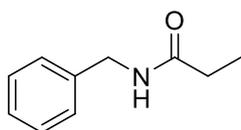
N-phenylpropionamide **5aa**.

The title compound was synthesized according to the general procedure **E**. **2aa** (93.1 mg, 1.0 mmol) and **1c** (263.1 mg, 1.1 mmol) were used, to afford **5aa** as a white solid (111.8 mg, 0.75 mmol, 75%).

¹H NMR (600 MHz, CDCl₃, mixture of rotamers) δ = 8.00 (bs, 1H), 7.52 – 7.51 (d, 2H), 7.28 – 7.25 (t, 2H), 7.08 – 7.05 (t, 1H), 2.37 – 2.34 (q, 2H), 1.21 – 1.18 (t, 3H).

¹³C NMR (151 MHz, CDCl₃, mixture of rotamers) δ = 172.8, 138.2, 128.9, 124.2, 120.2, 30.7, 9.8.

The spectroscopic data closely match the ones previously reported in the literature.²²

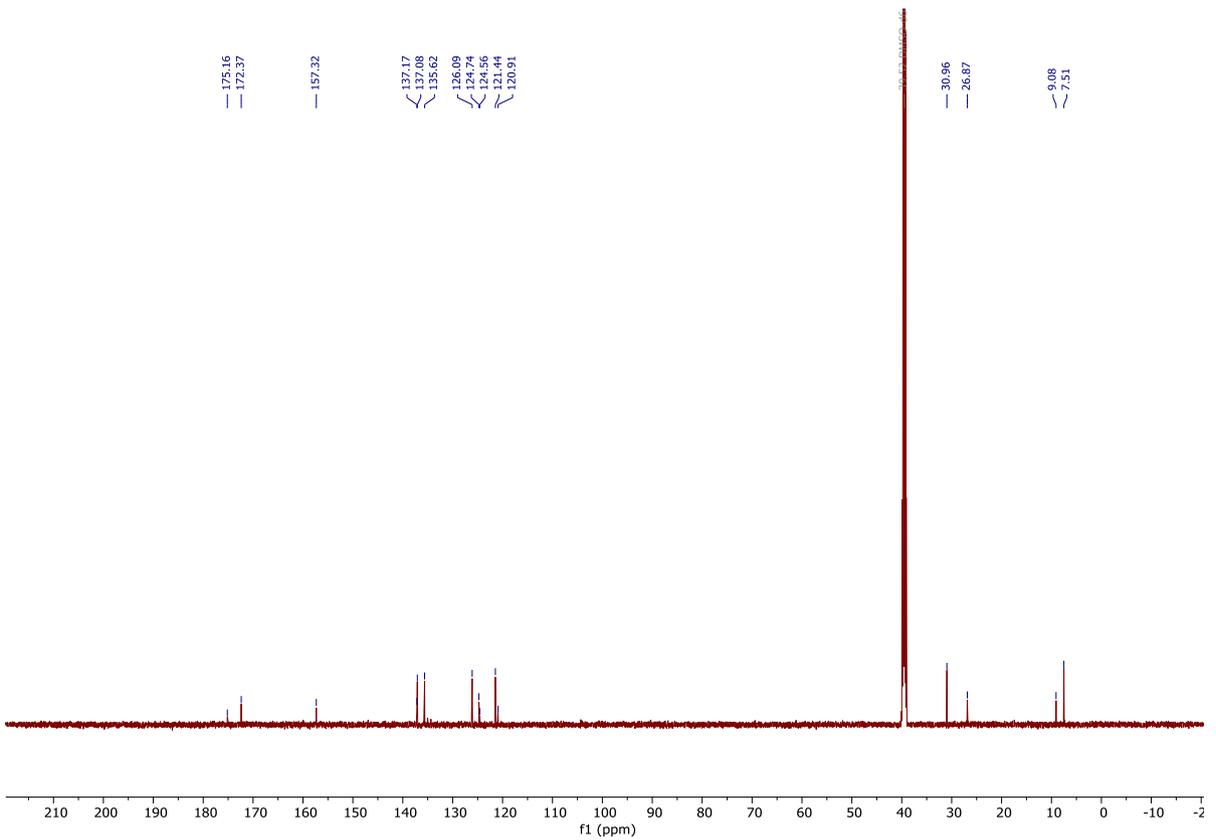
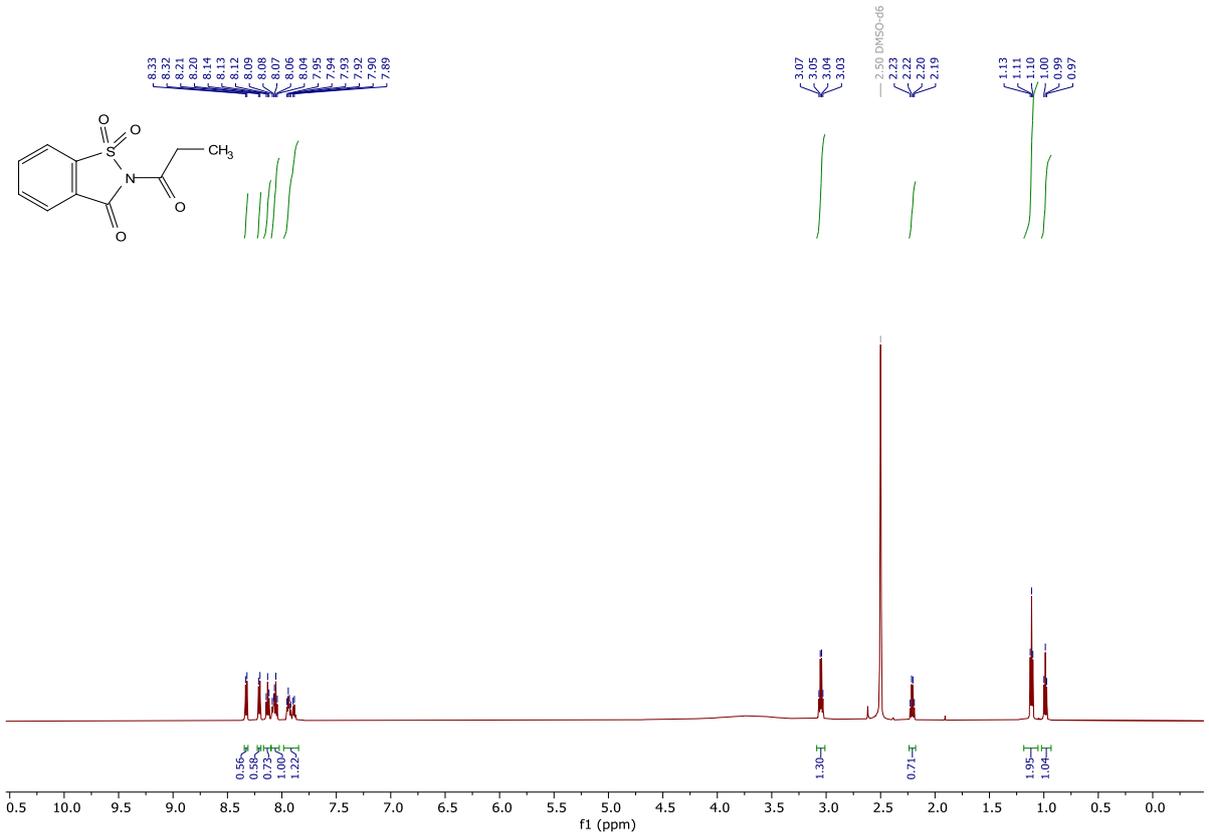
N-benzylpropionamide **5ar**.

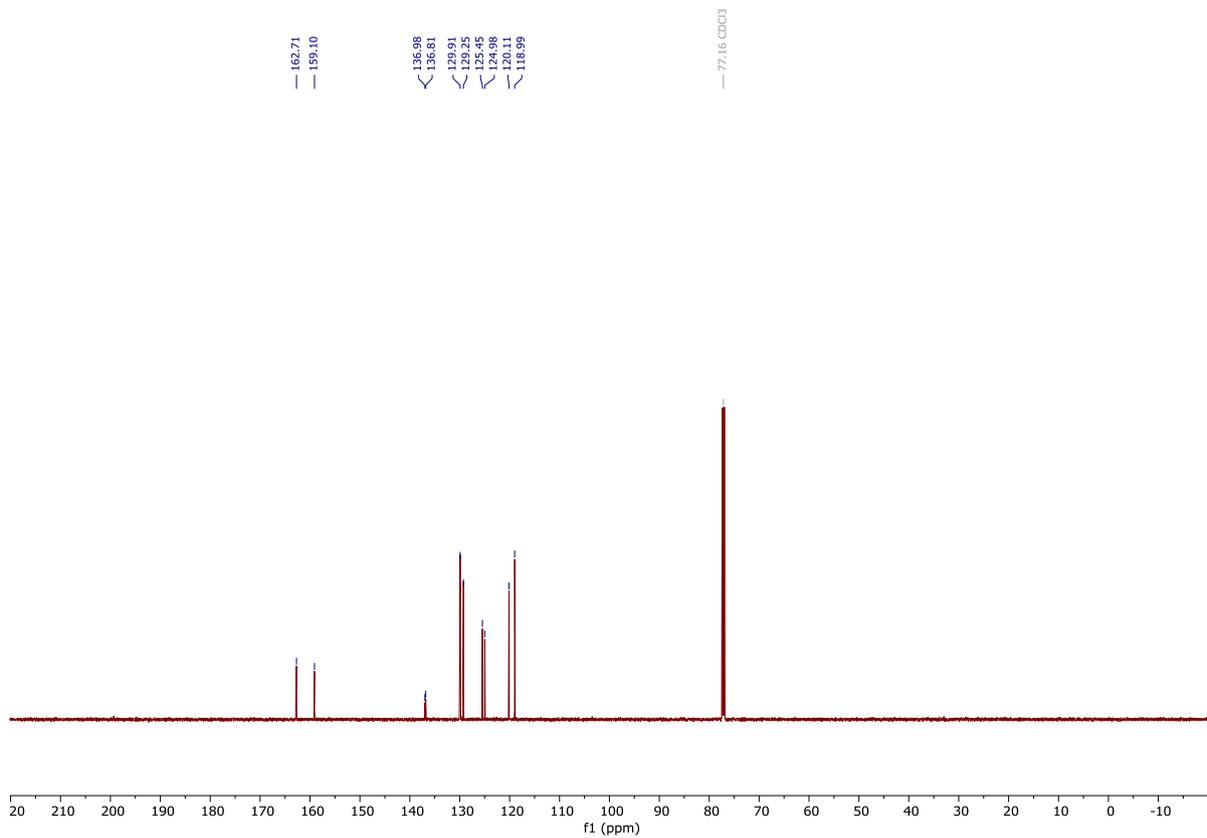
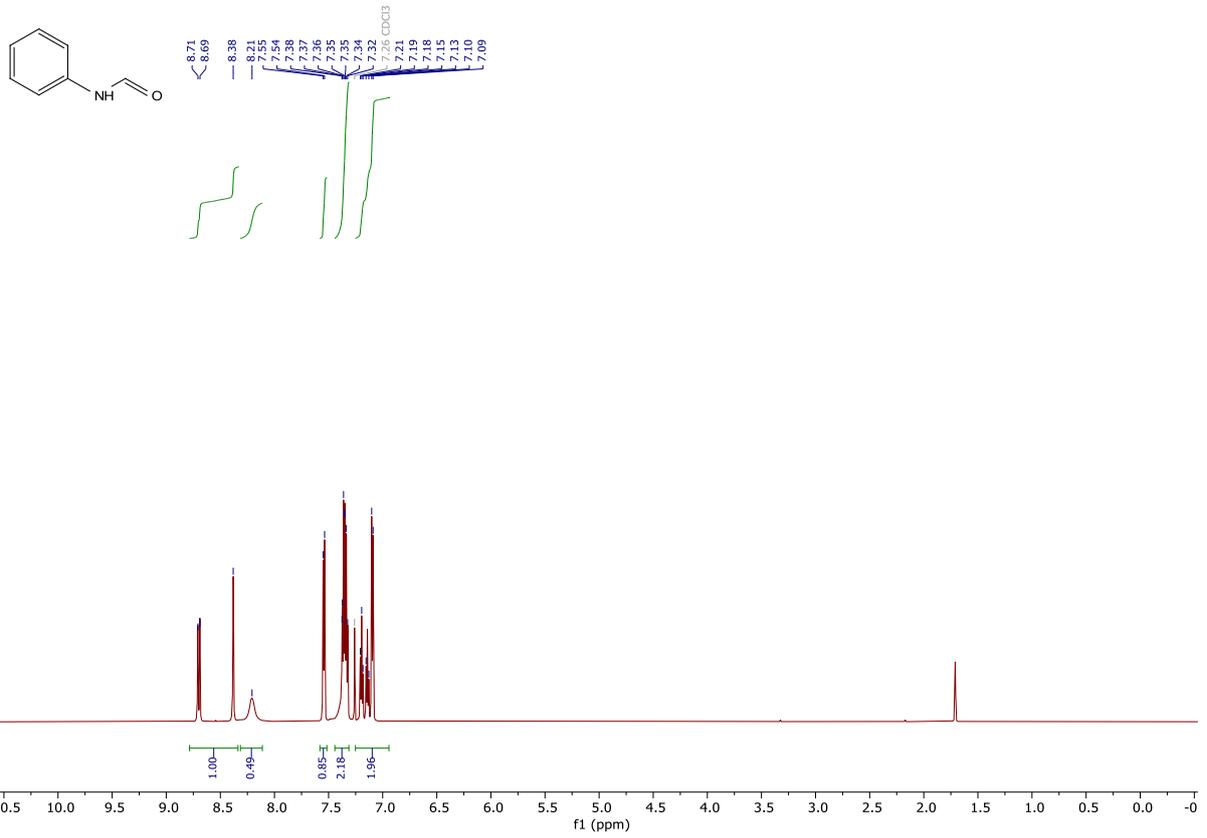
The title compound was synthesized according to the general procedure **E**. **2ar** (107.2 mg, 1.0 mmol) and **1c** (263.1 mg, 1.1 mmol) were used, to afford **5ar** as a colourless solid (150.1 mg, 0.92 mmol, 92%).

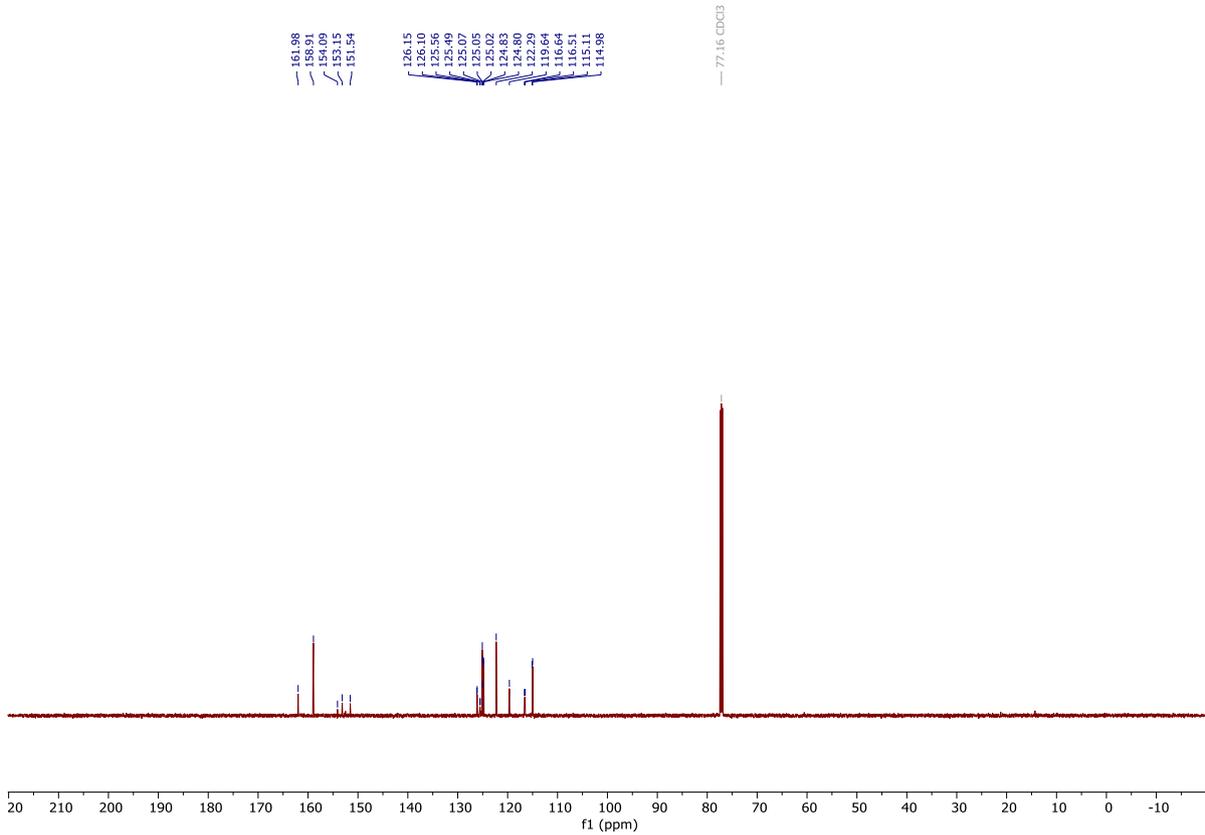
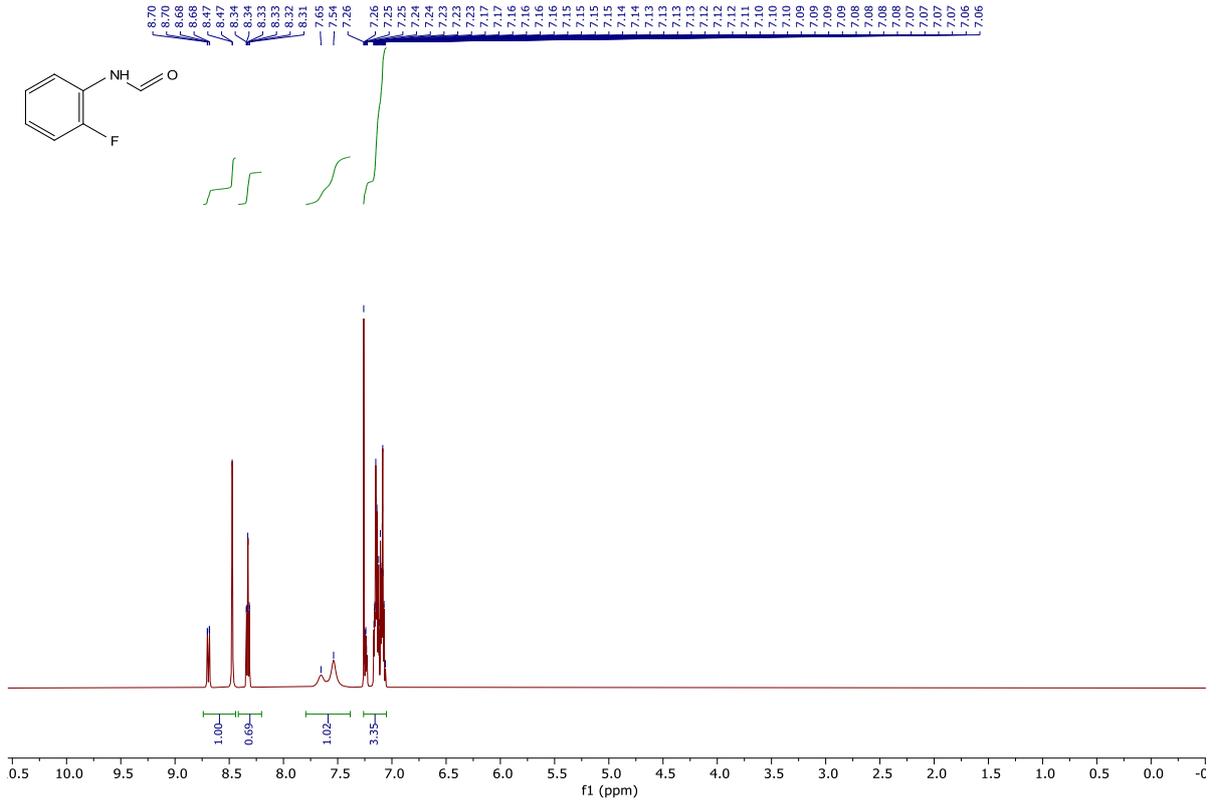
¹H NMR (600 MHz, CDCl₃, mixture of rotamers) δ = 7.33 – 7.25 (m, 5H), 6.07 (bs, 1H), 4.43 – 4.42 (d, 2H), 2.28 – 2.24 (q, 2H), 1.19 – 1.16 (t, 3H).

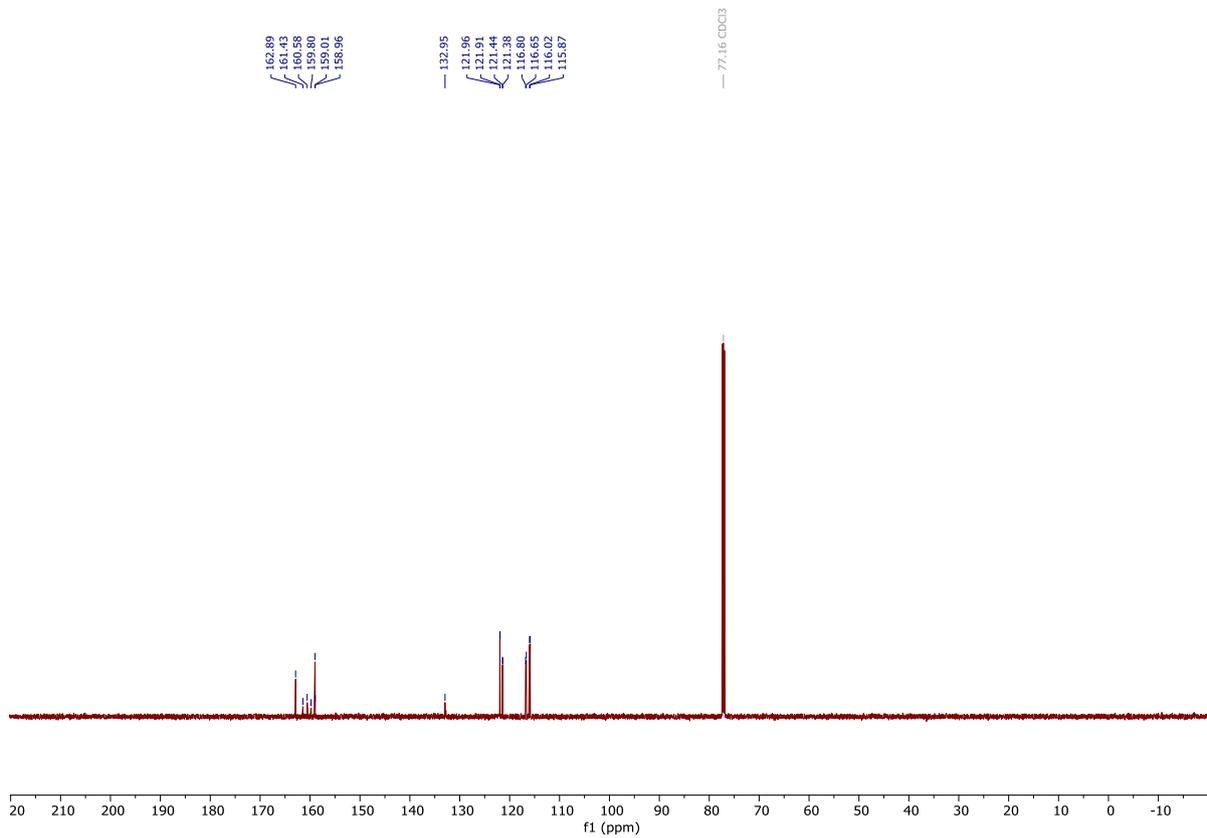
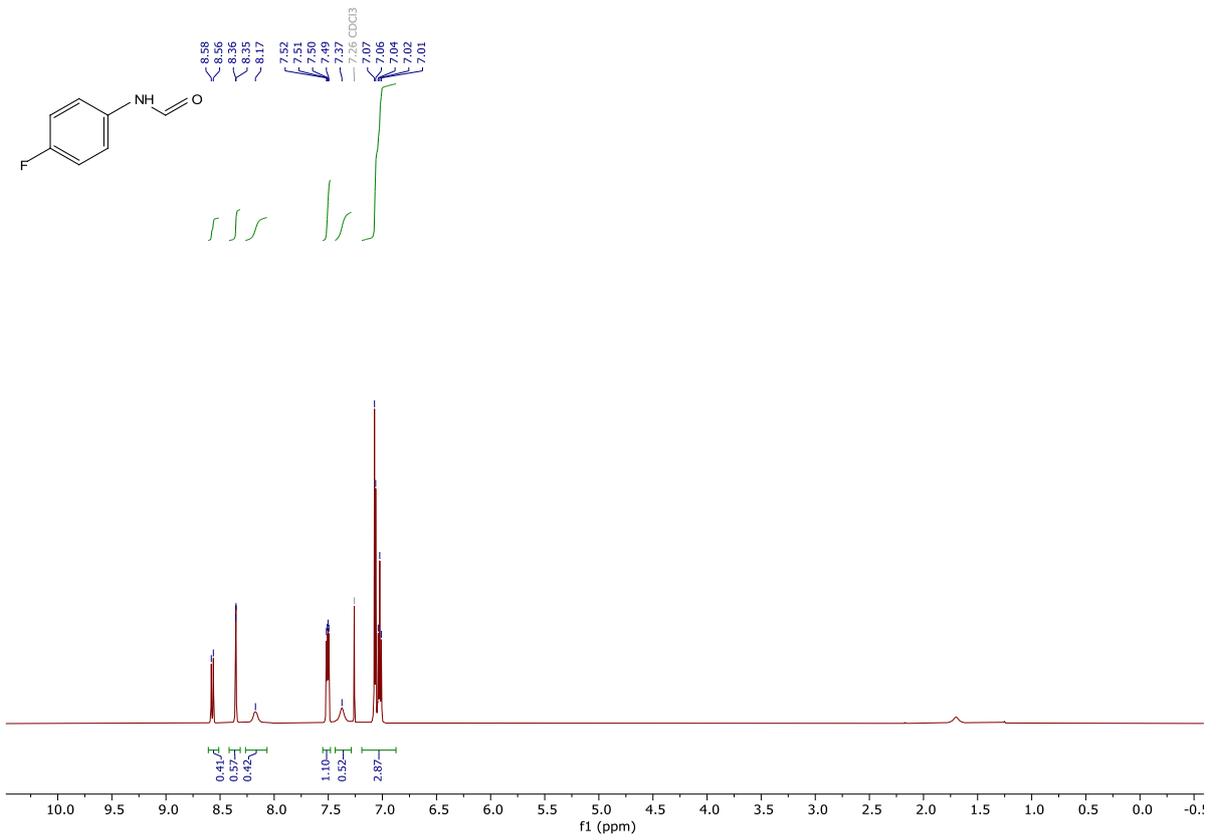
¹³C NMR (151 MHz, CDCl₃, mixture of rotamers) δ = 174.3, 138.3, 128.8, 127.9, 127.6, 43.7, 29.7, 10.0.

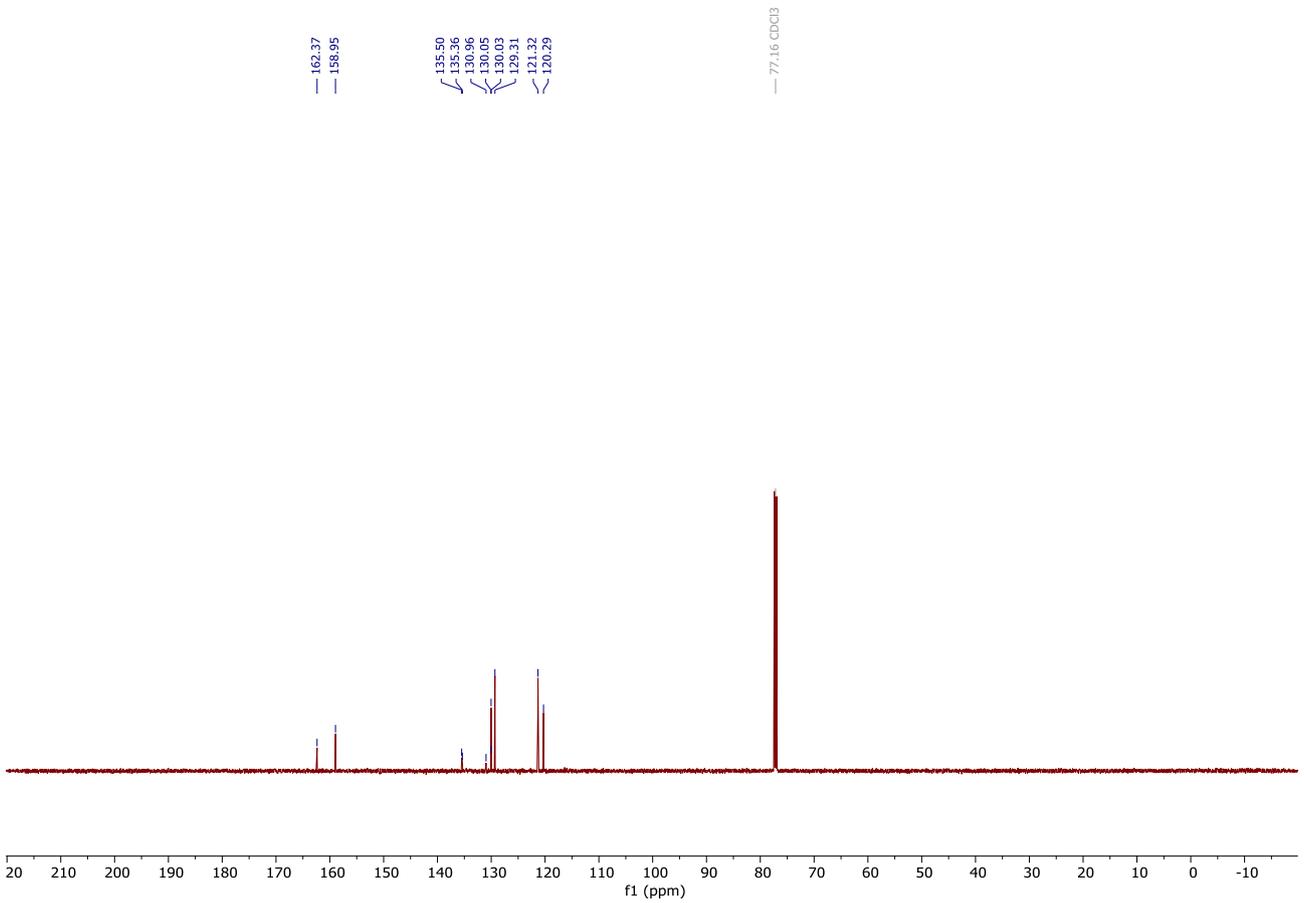
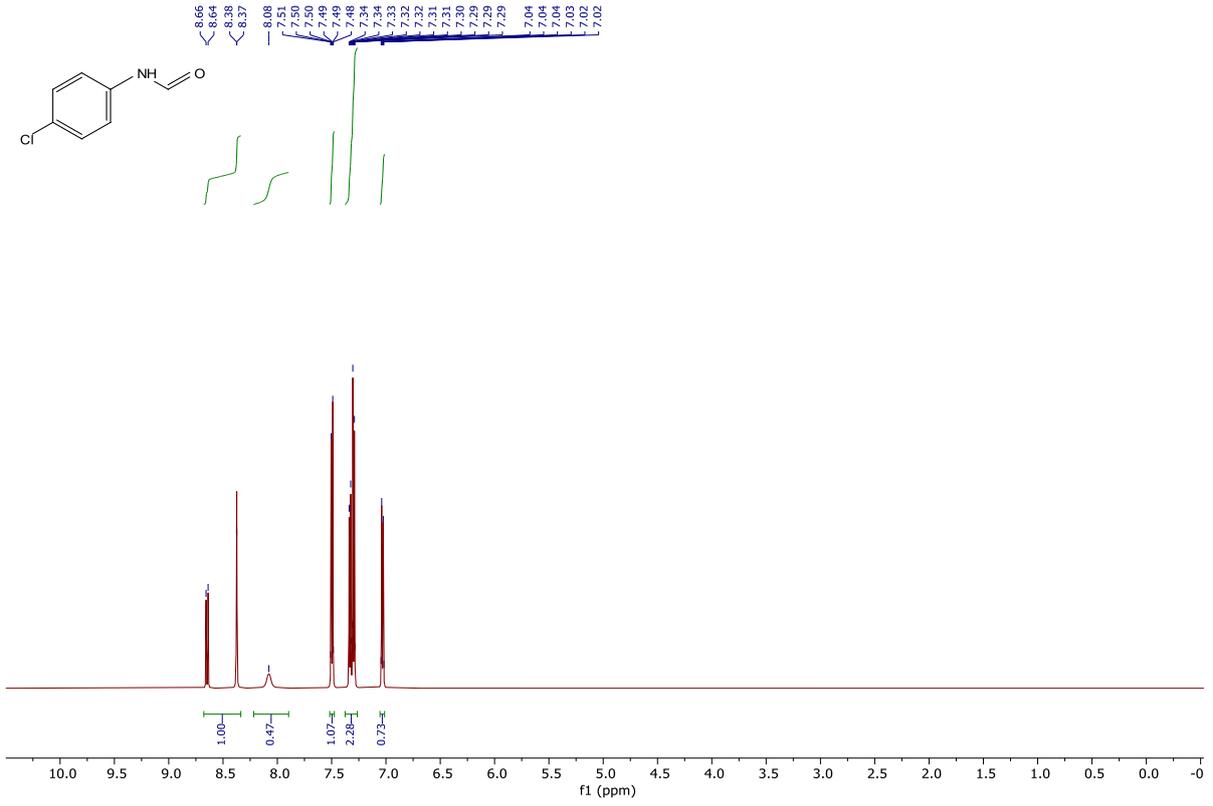
The spectroscopic data closely match the ones previously reported in the literature.²³

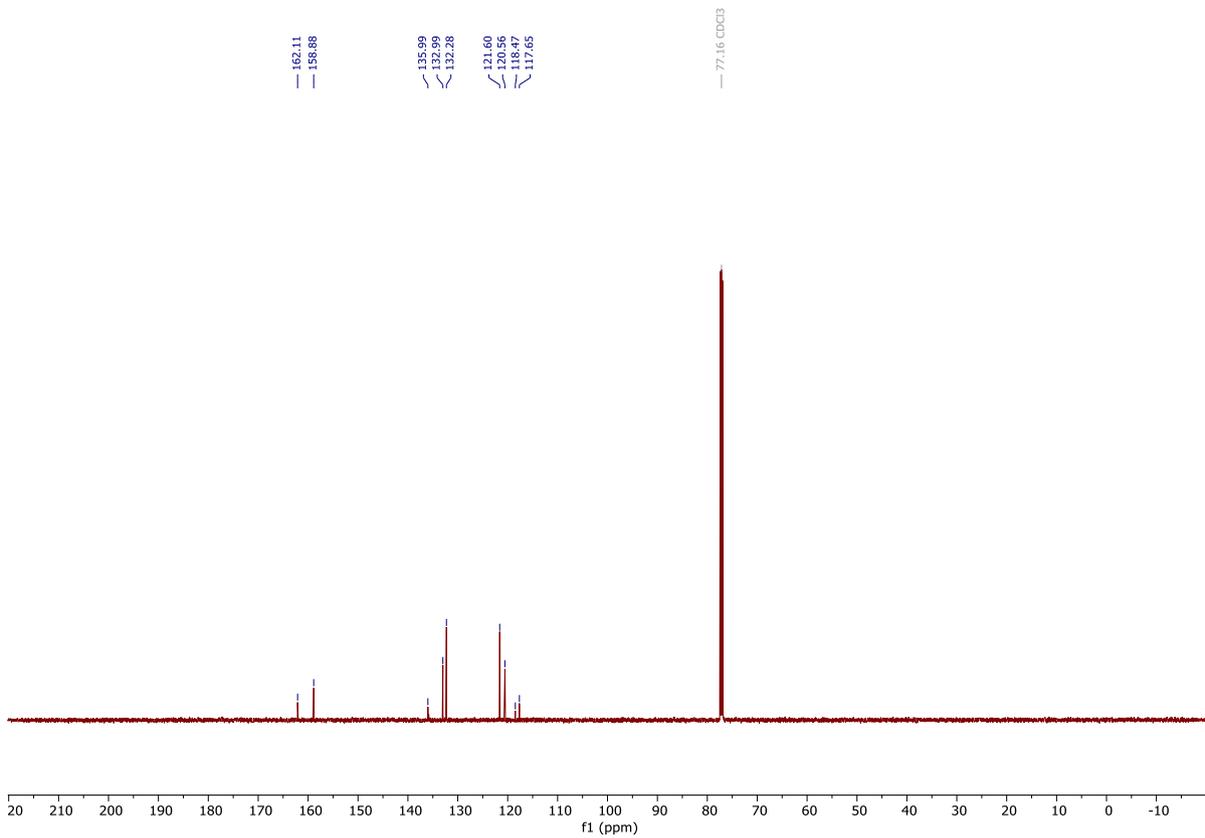
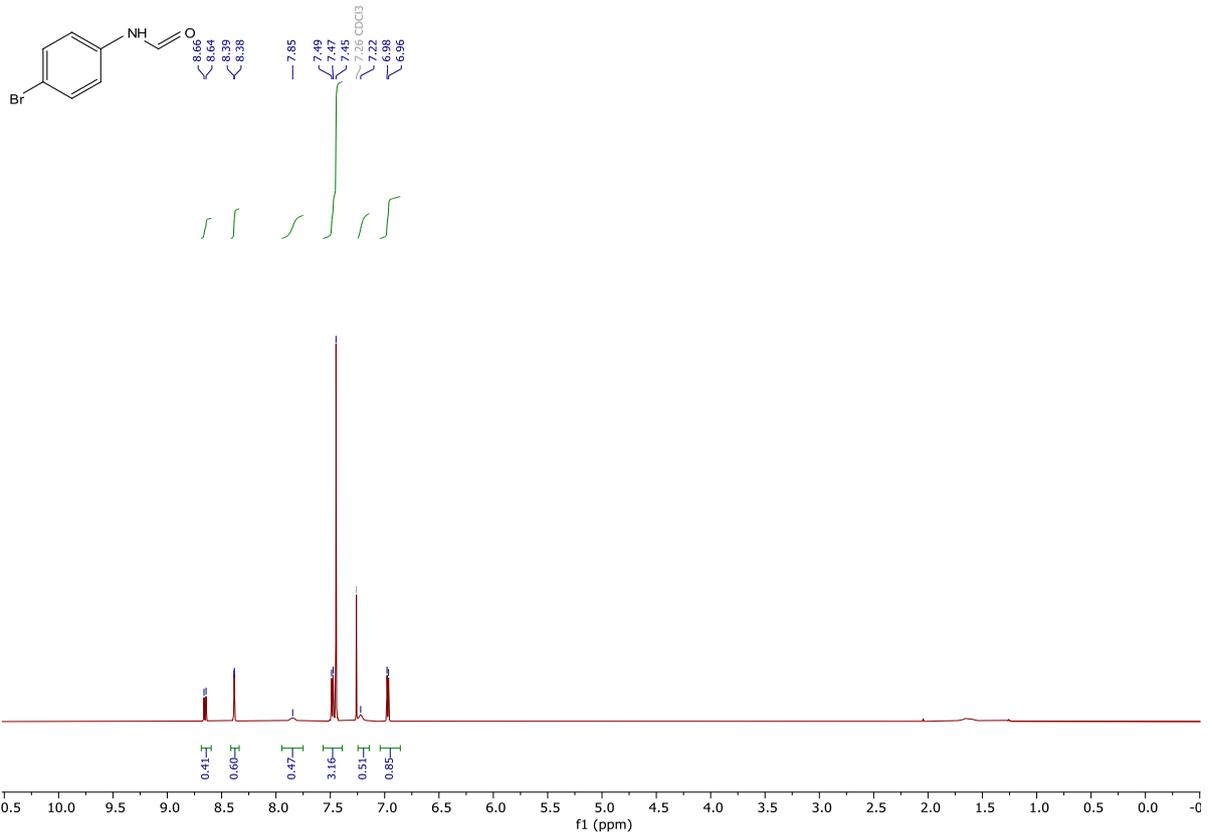


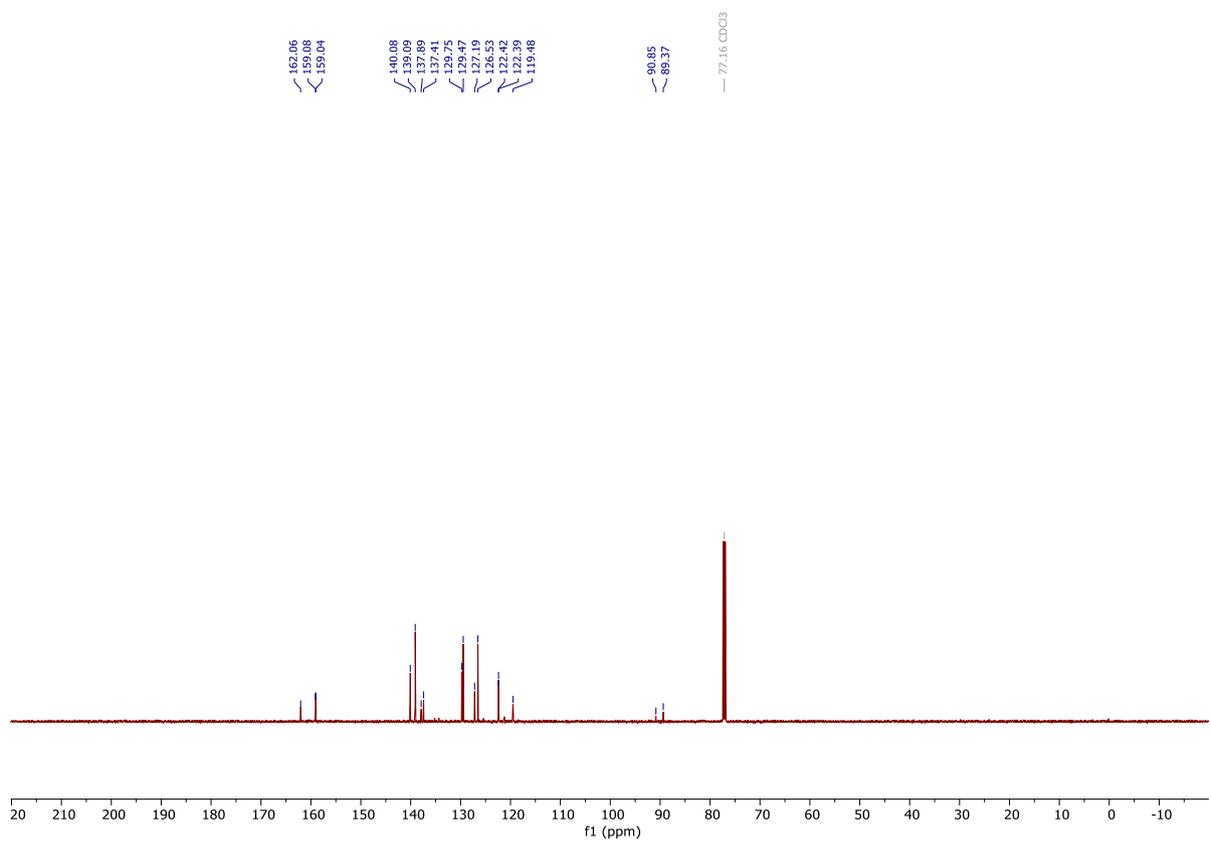
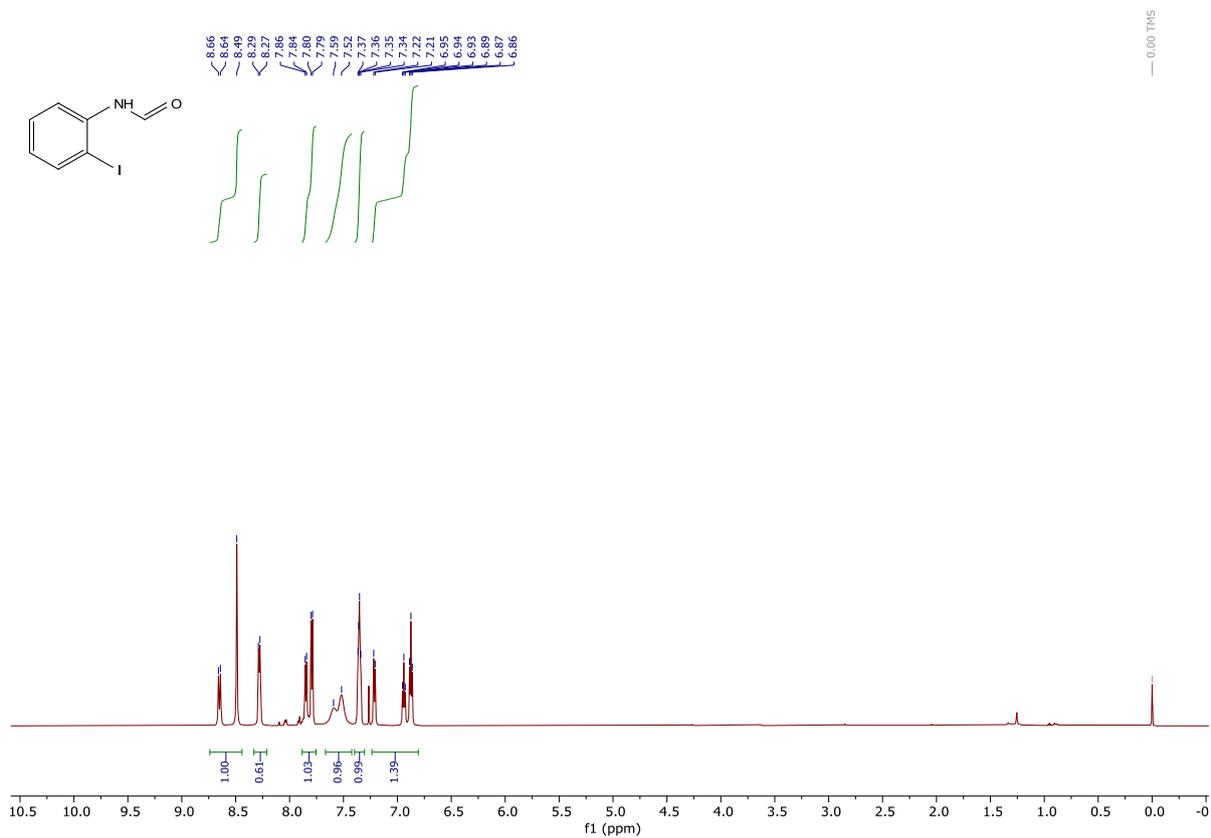


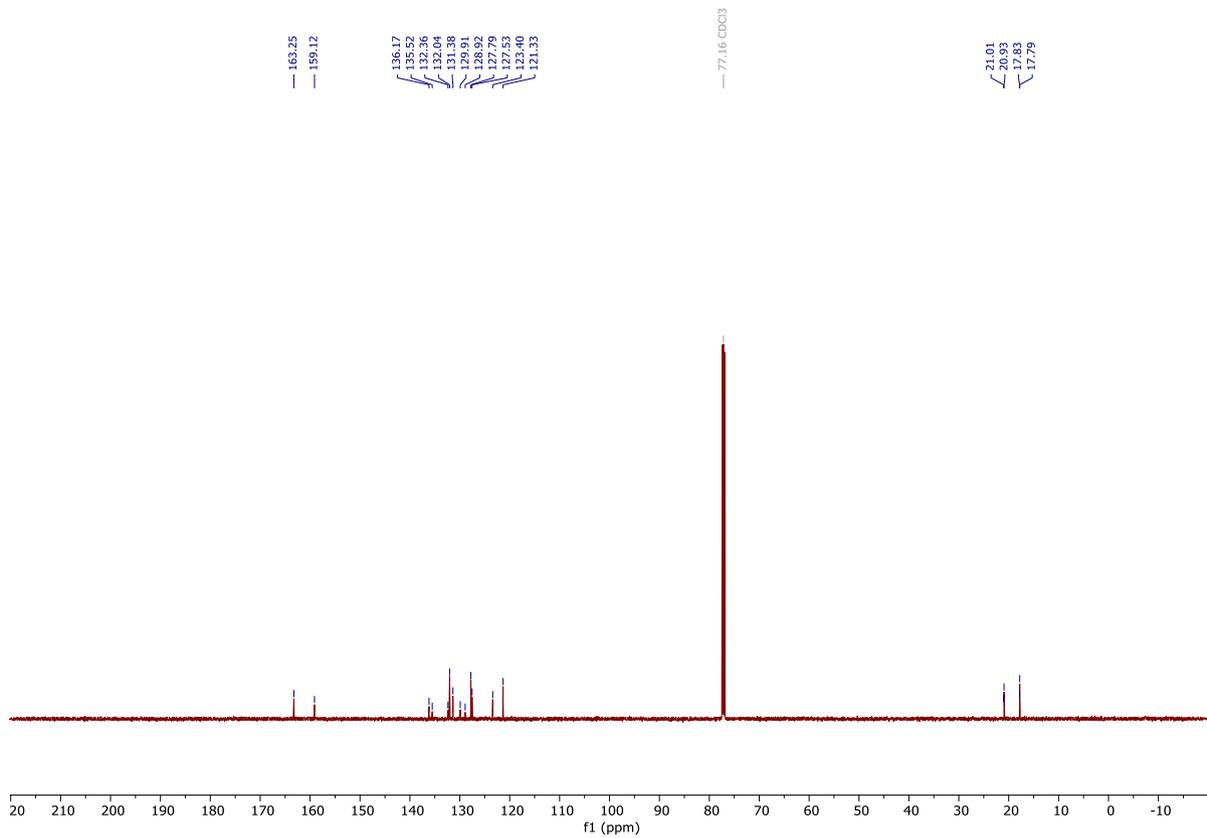
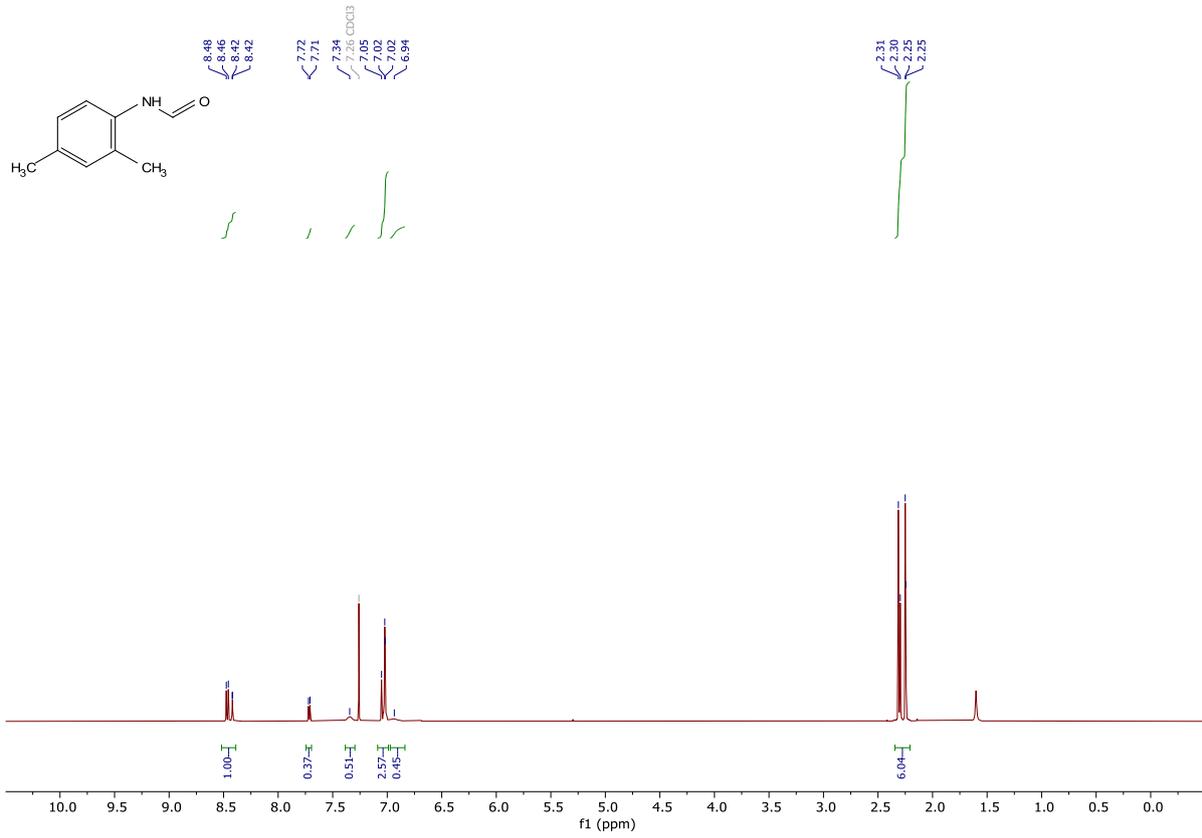


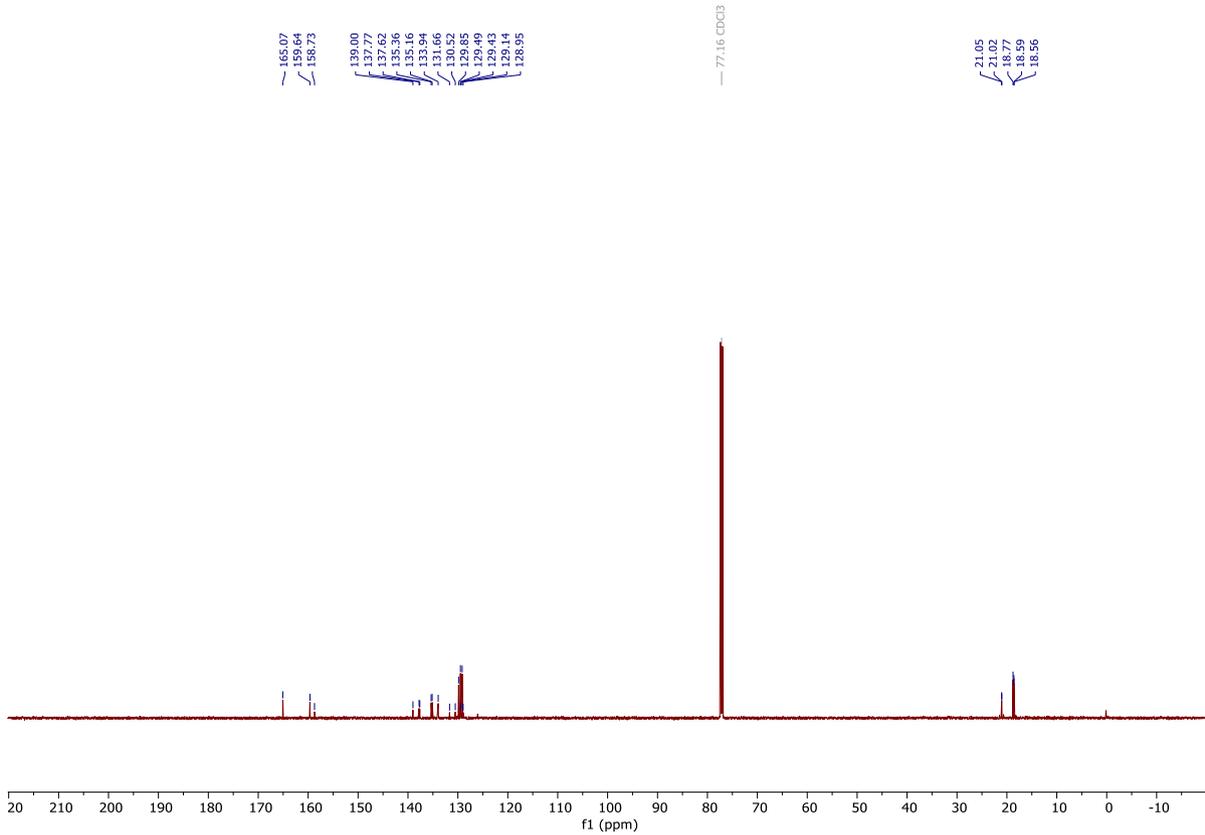
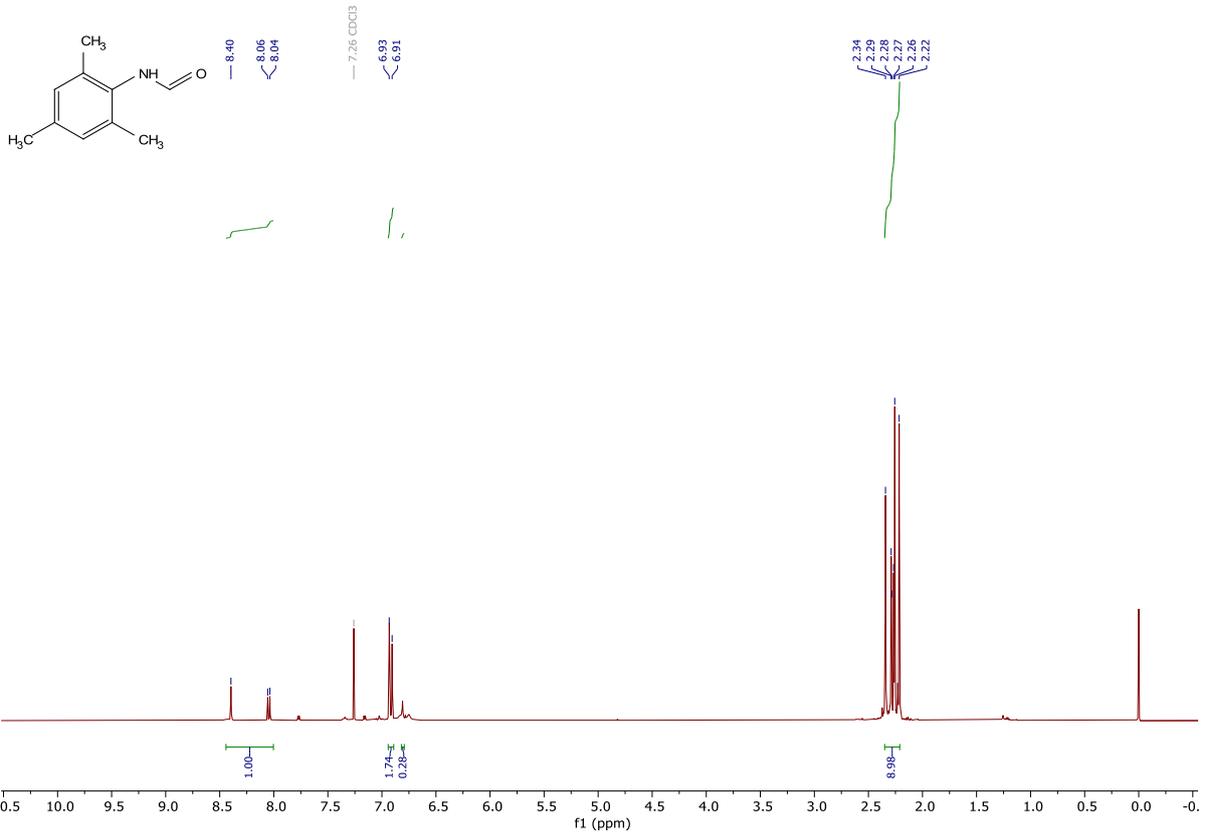


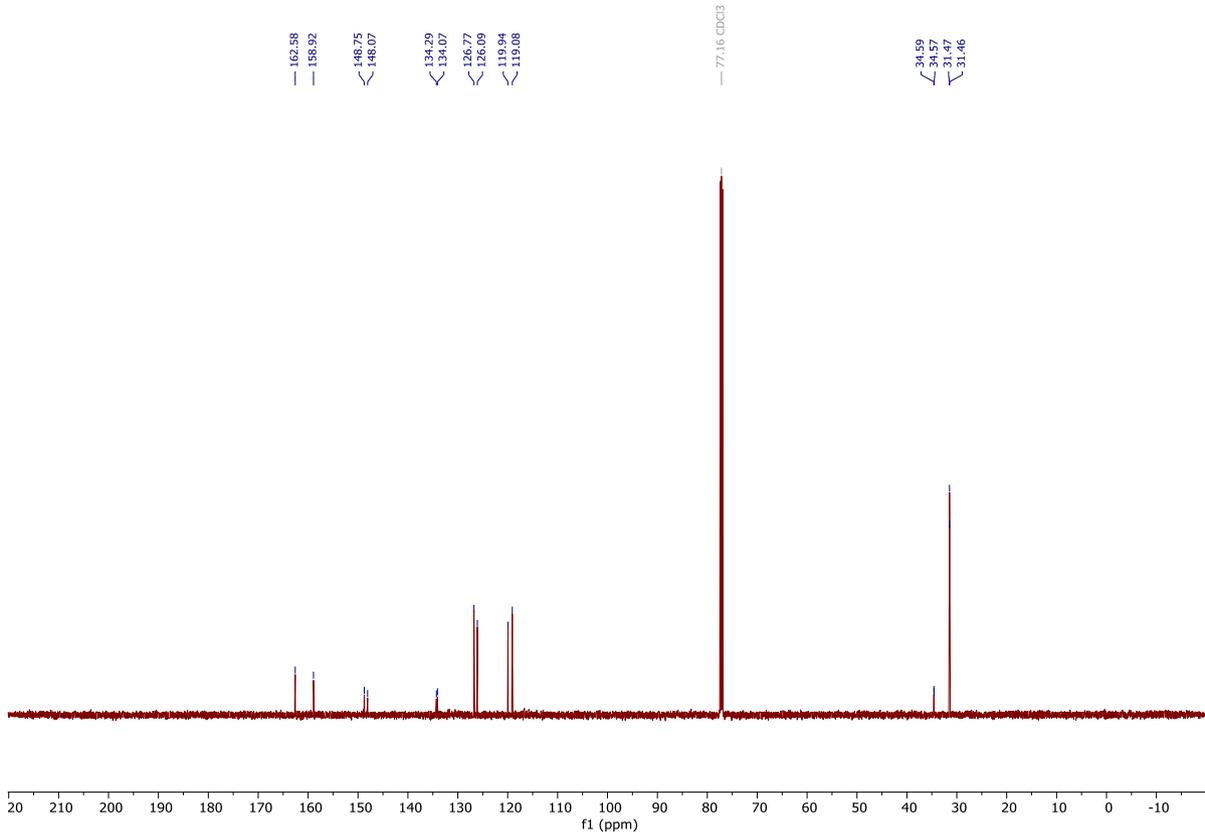
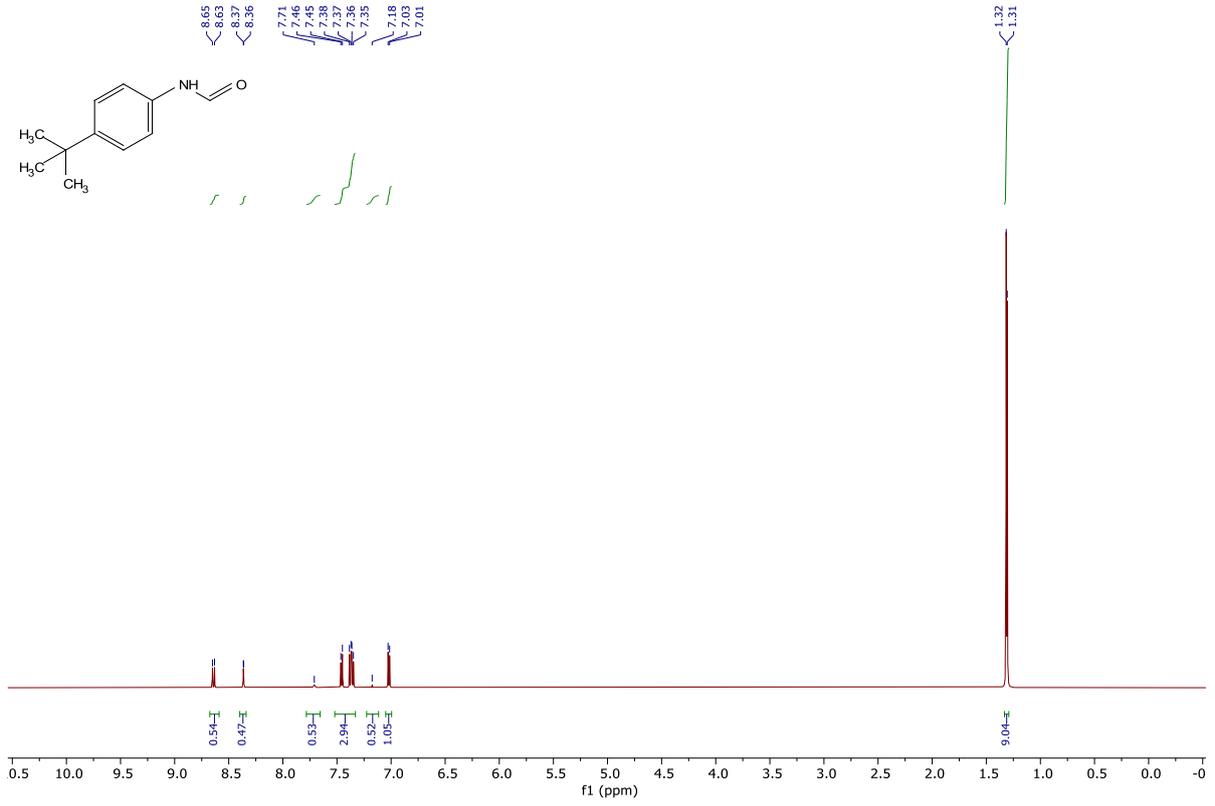


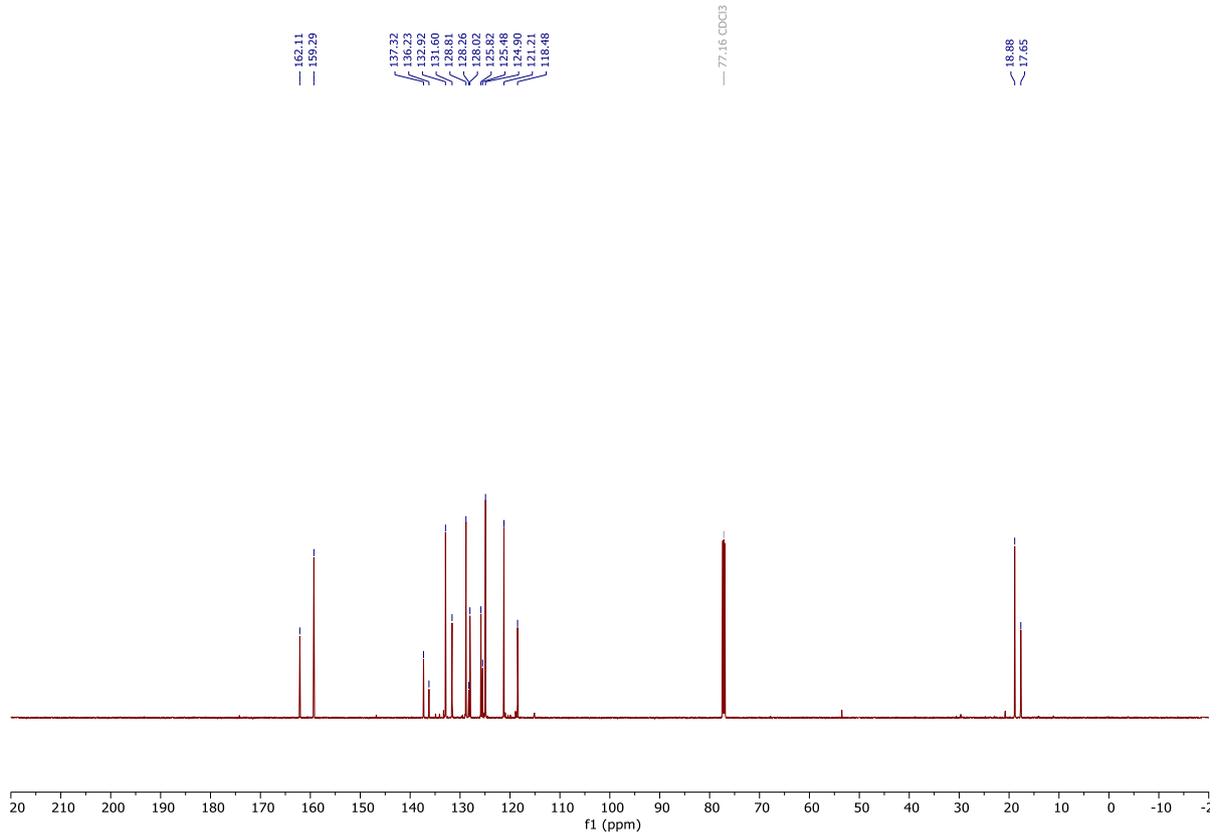
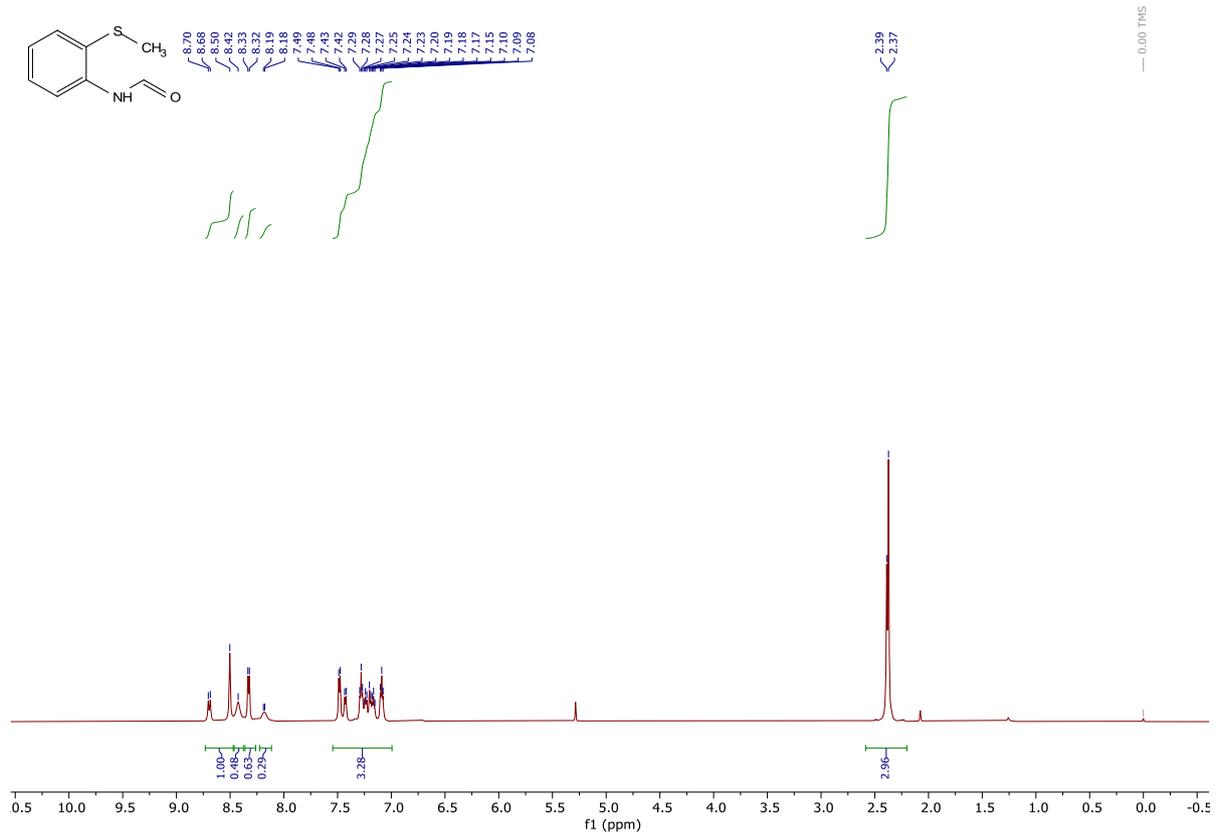


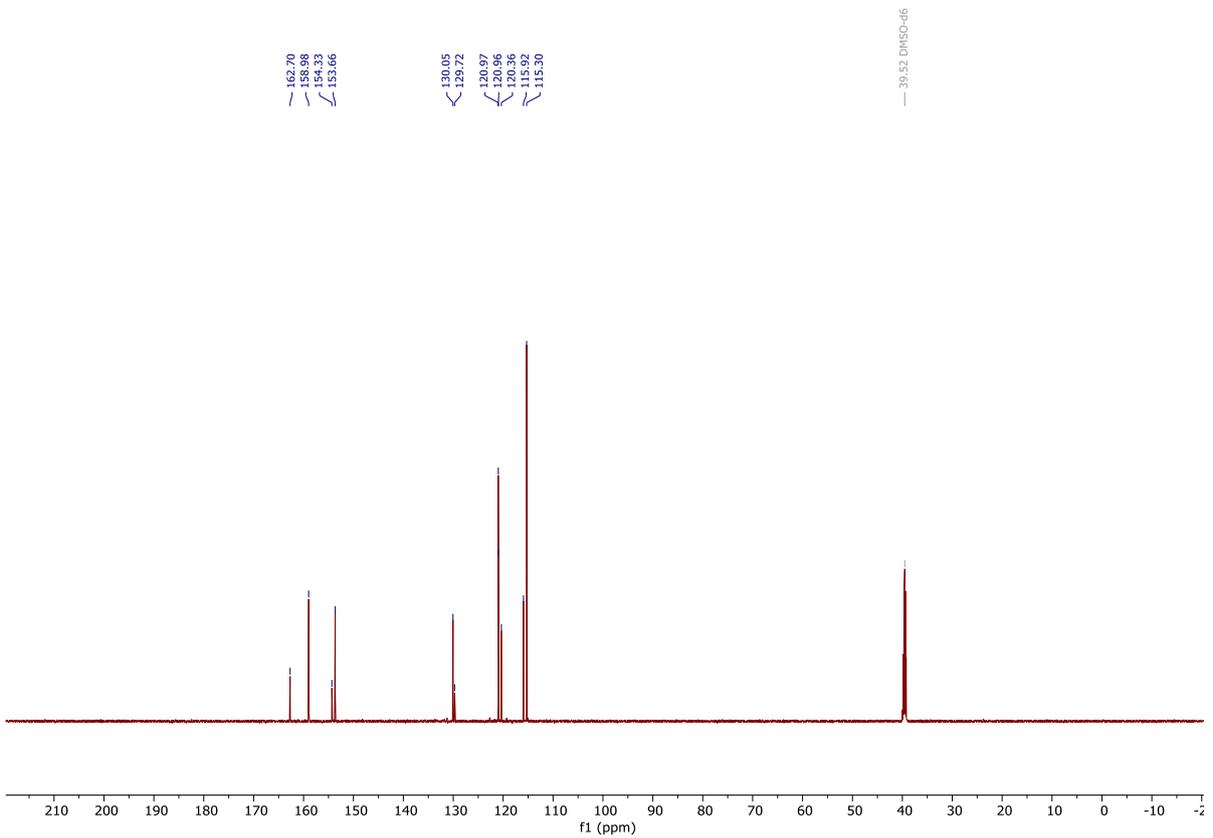
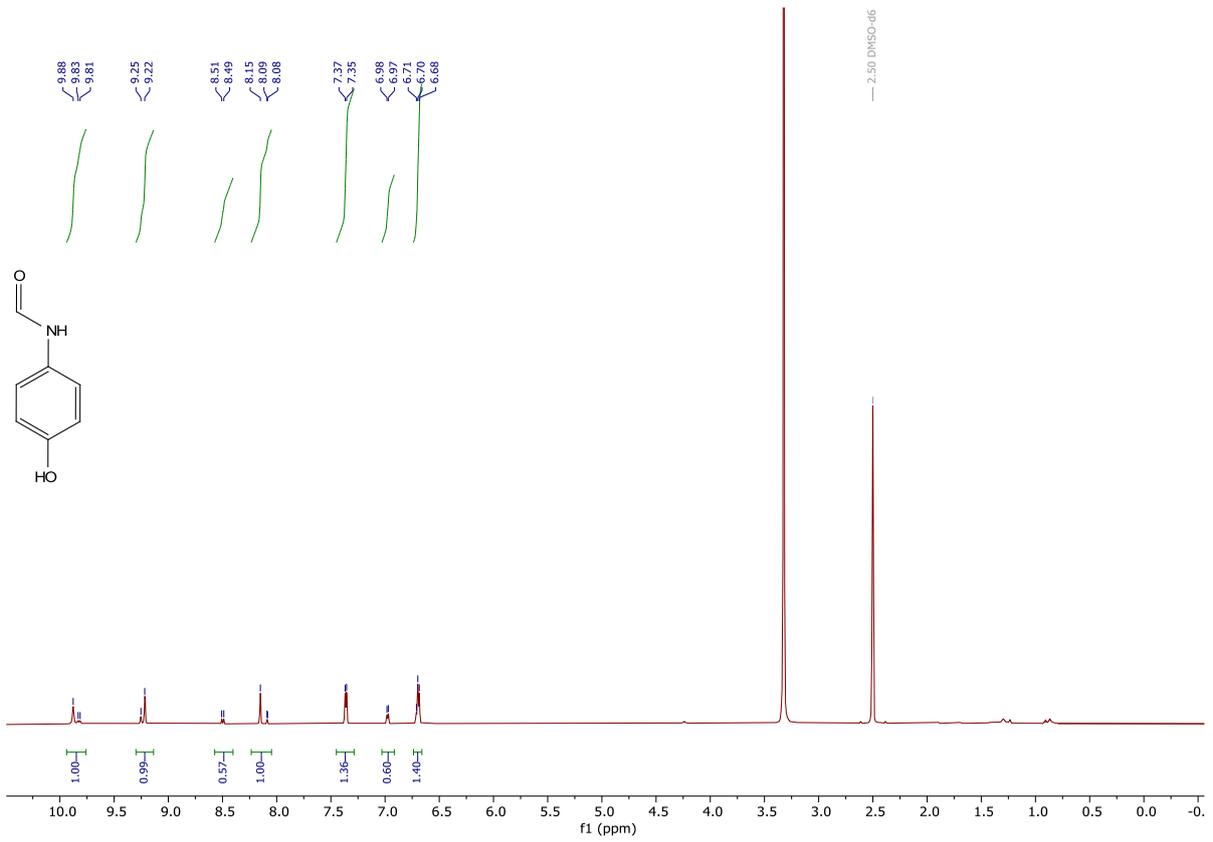


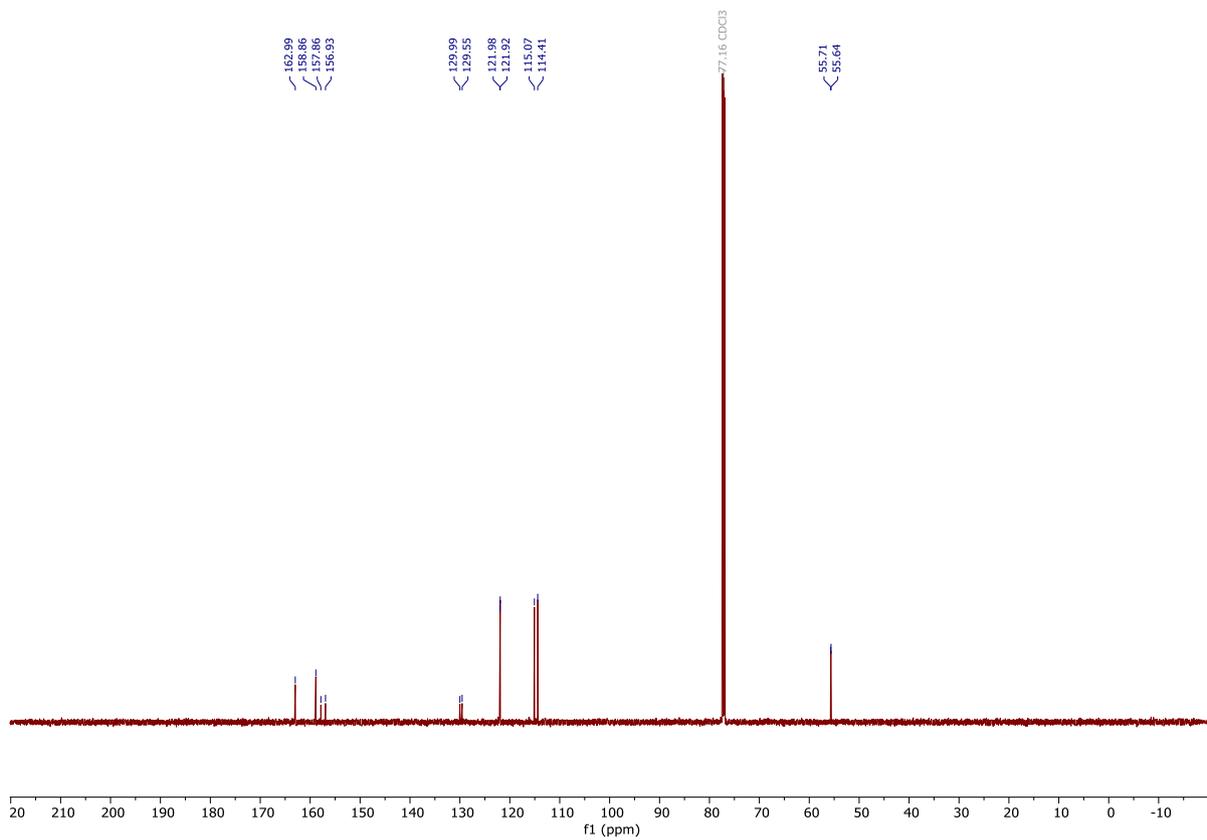
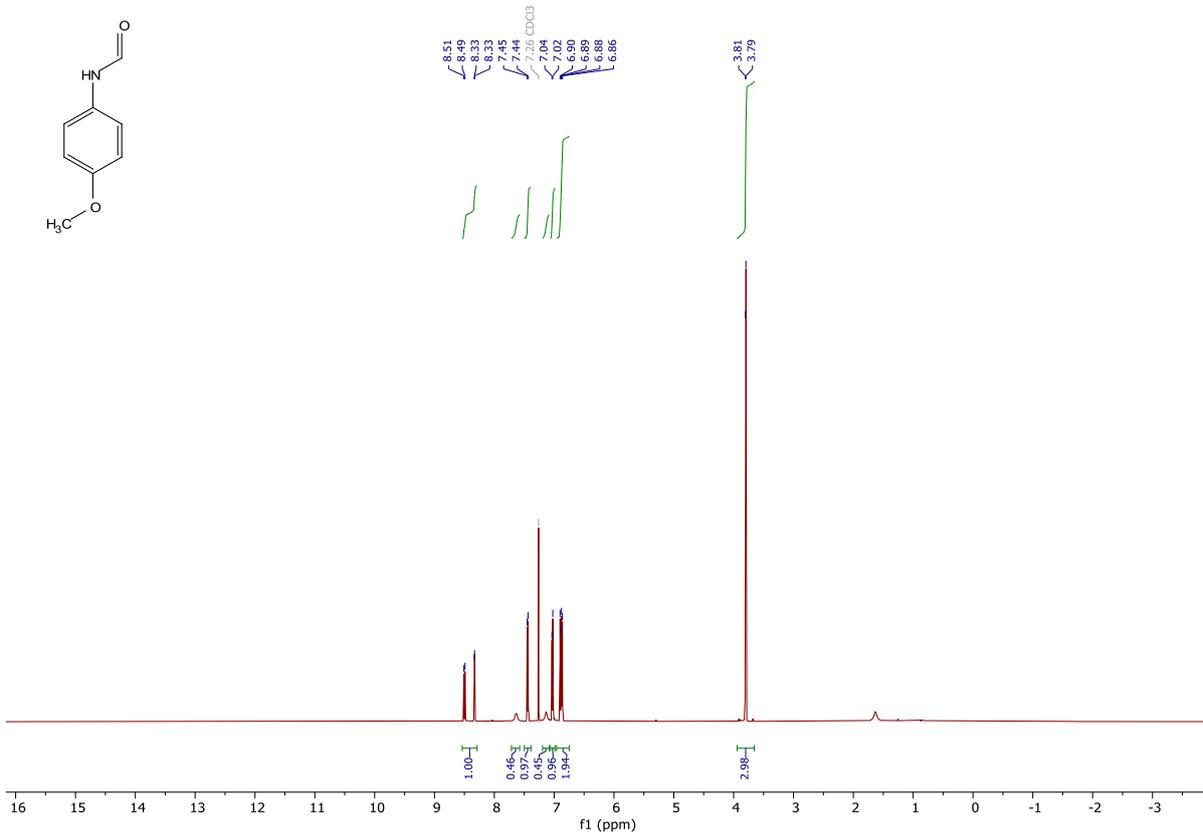


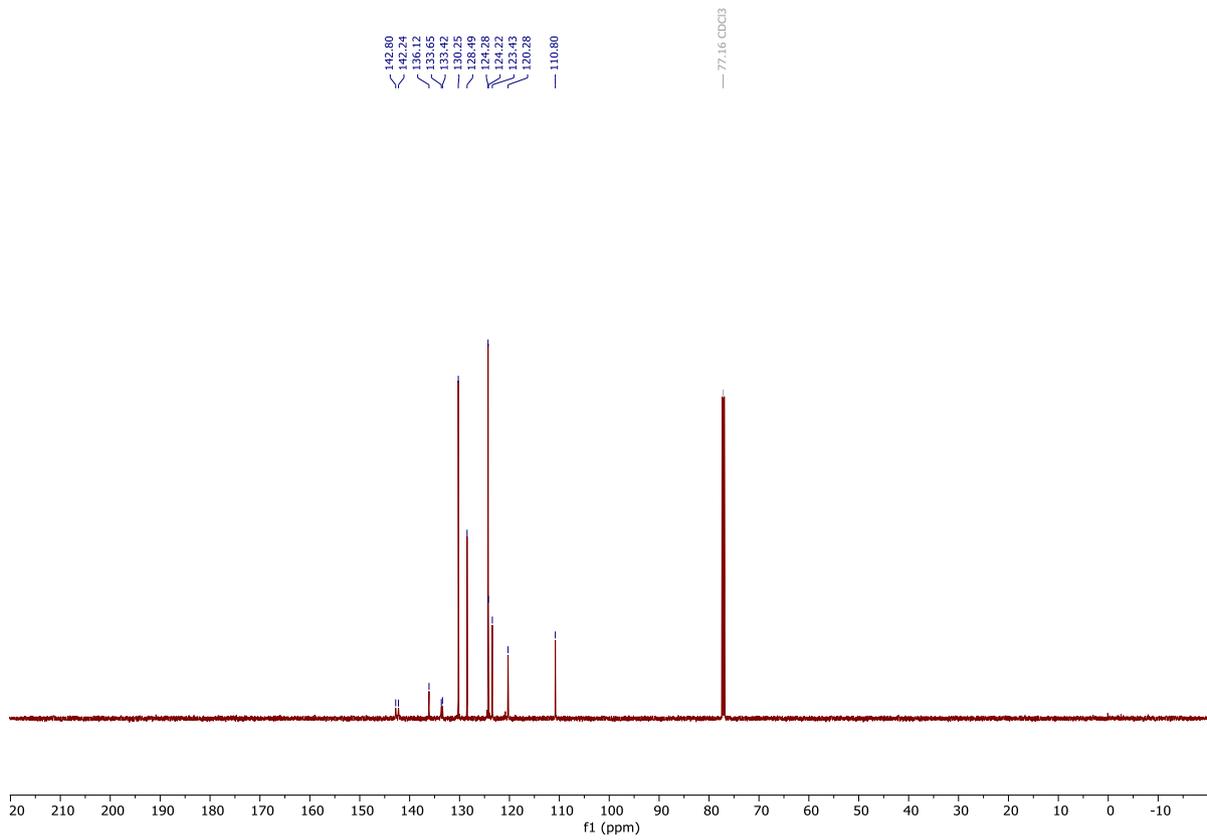
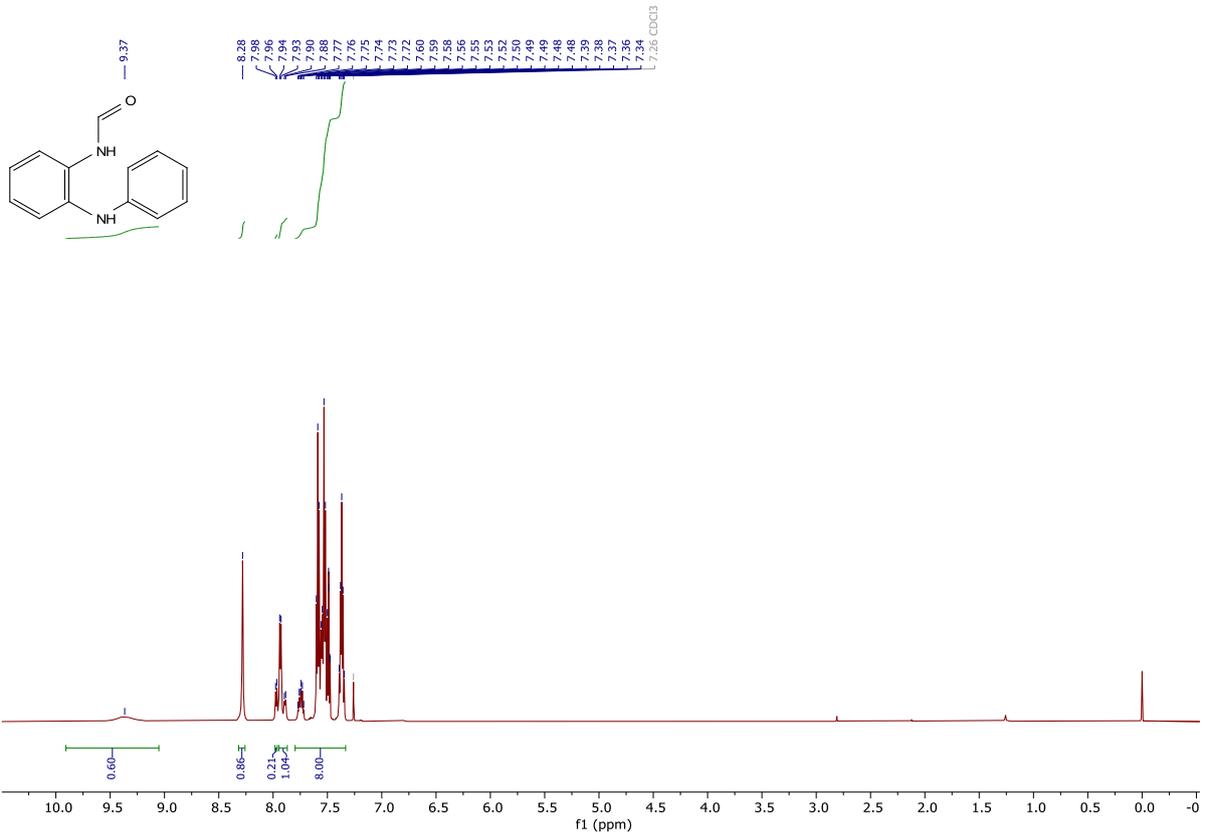


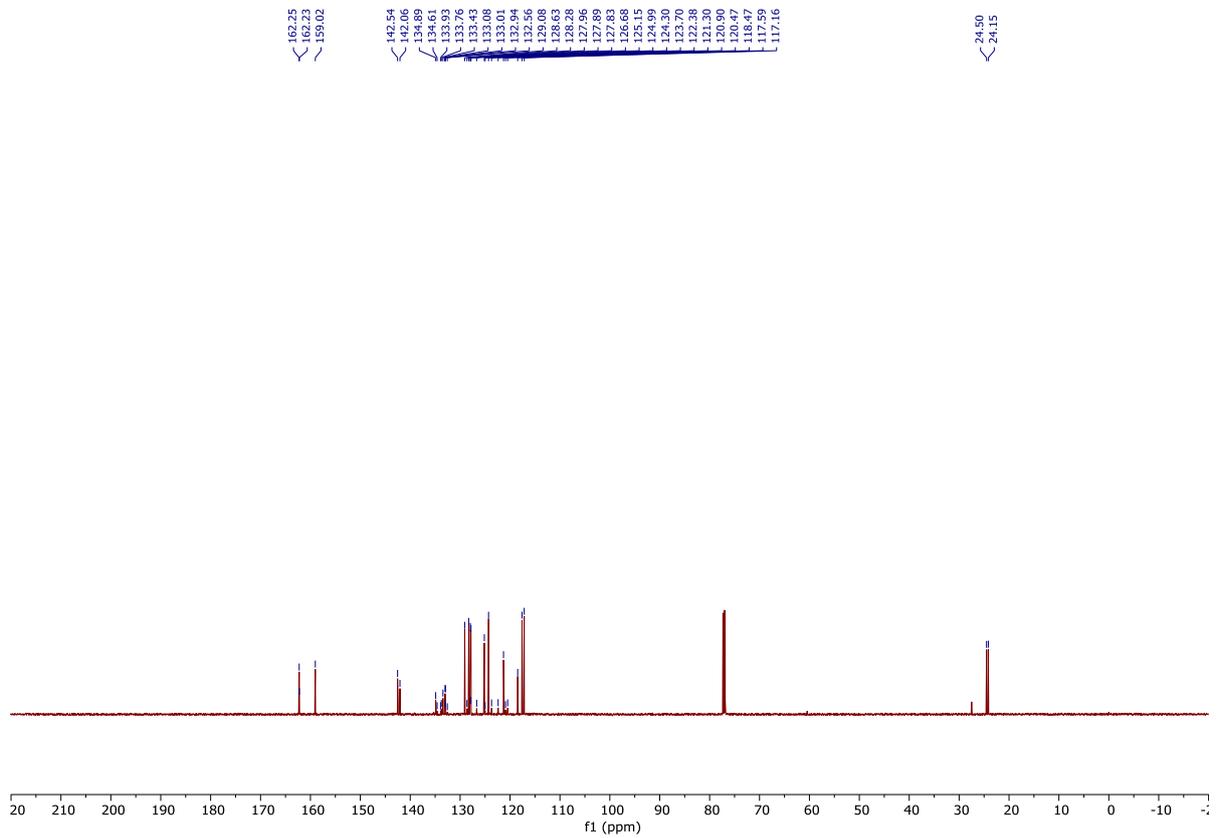
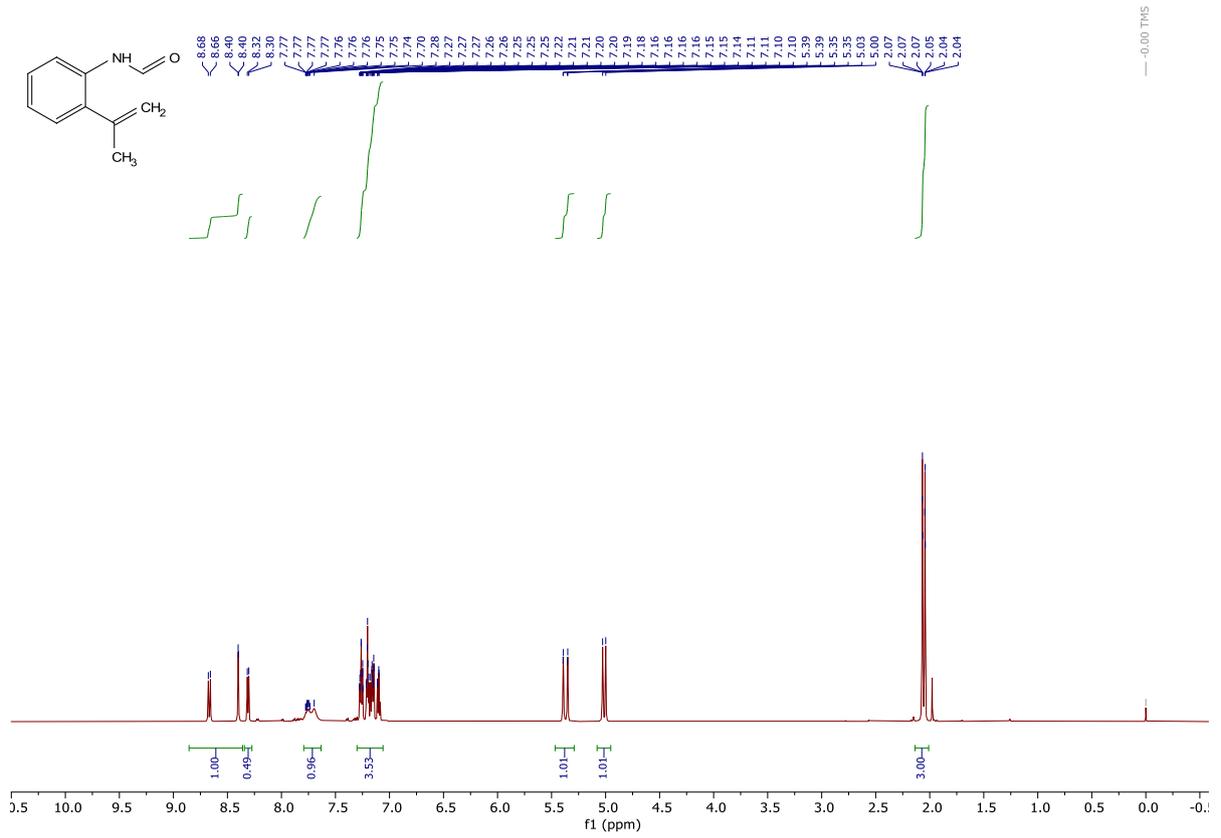


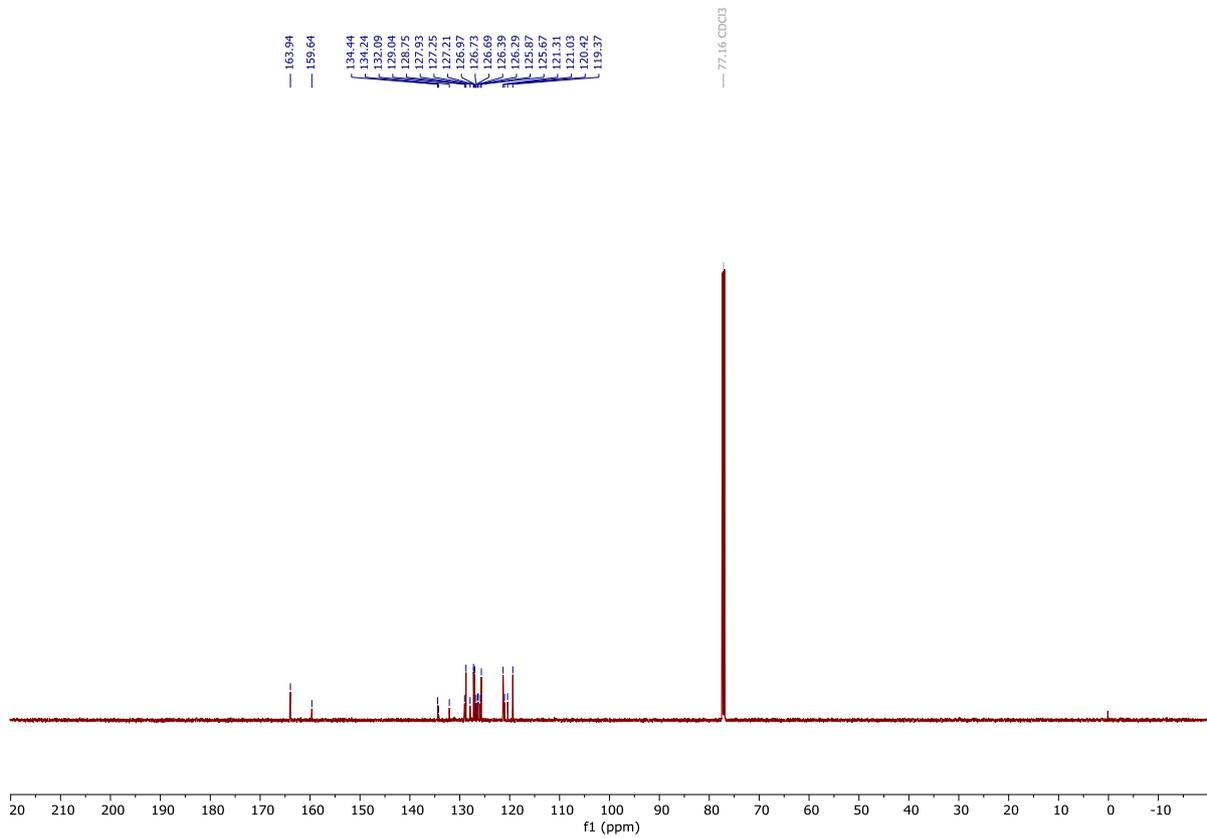
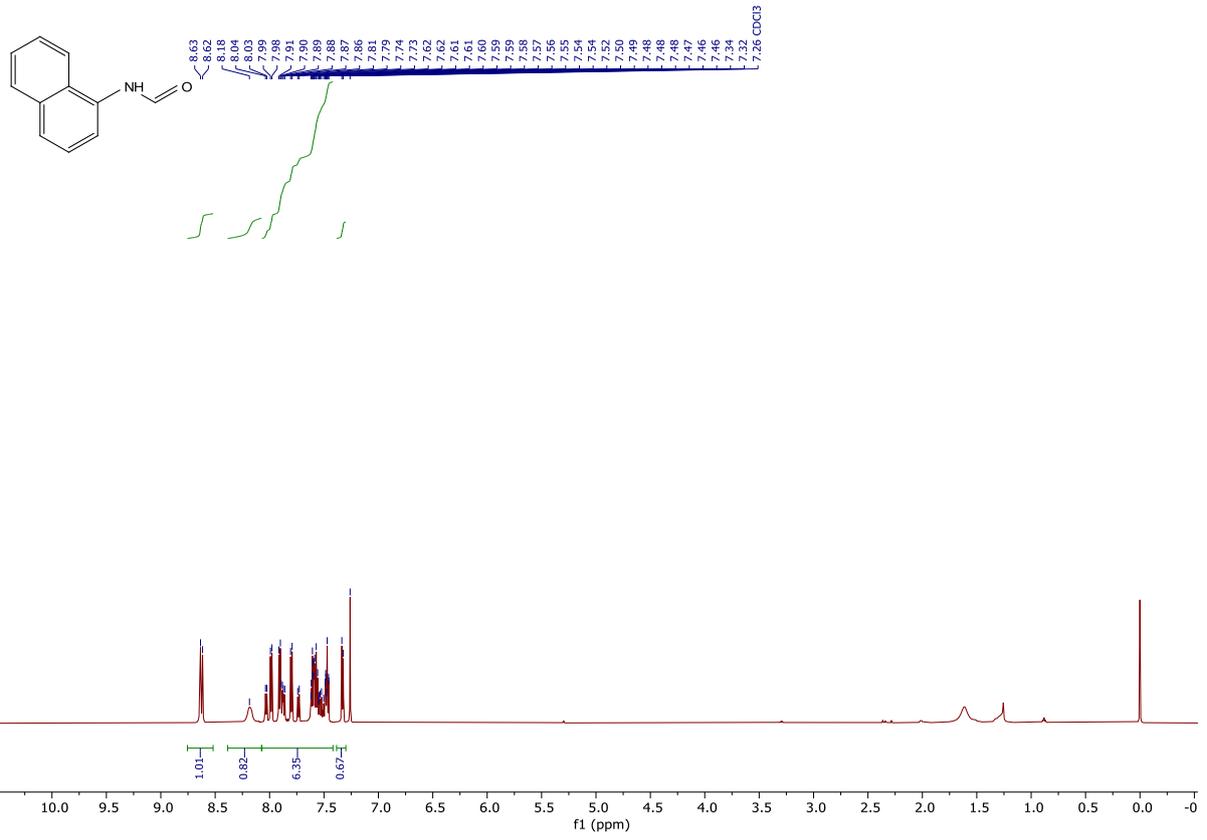


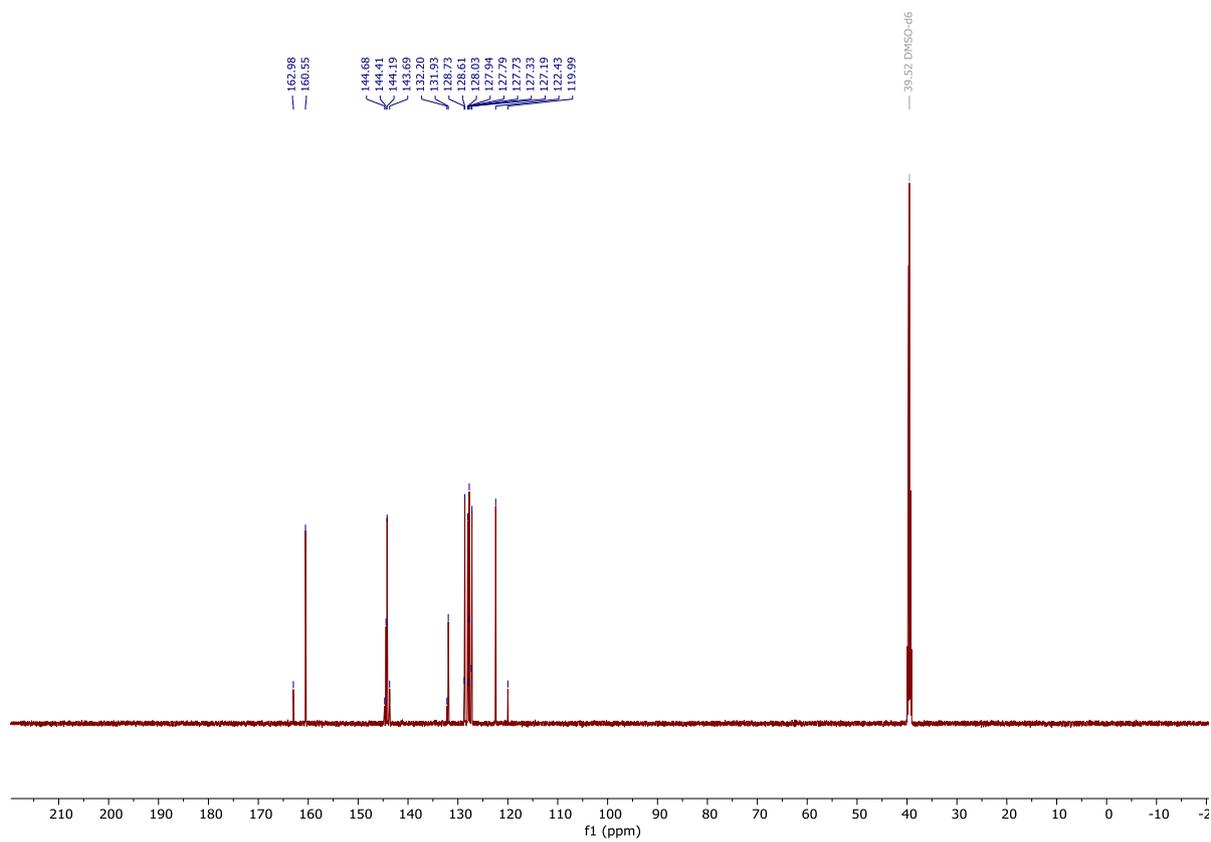
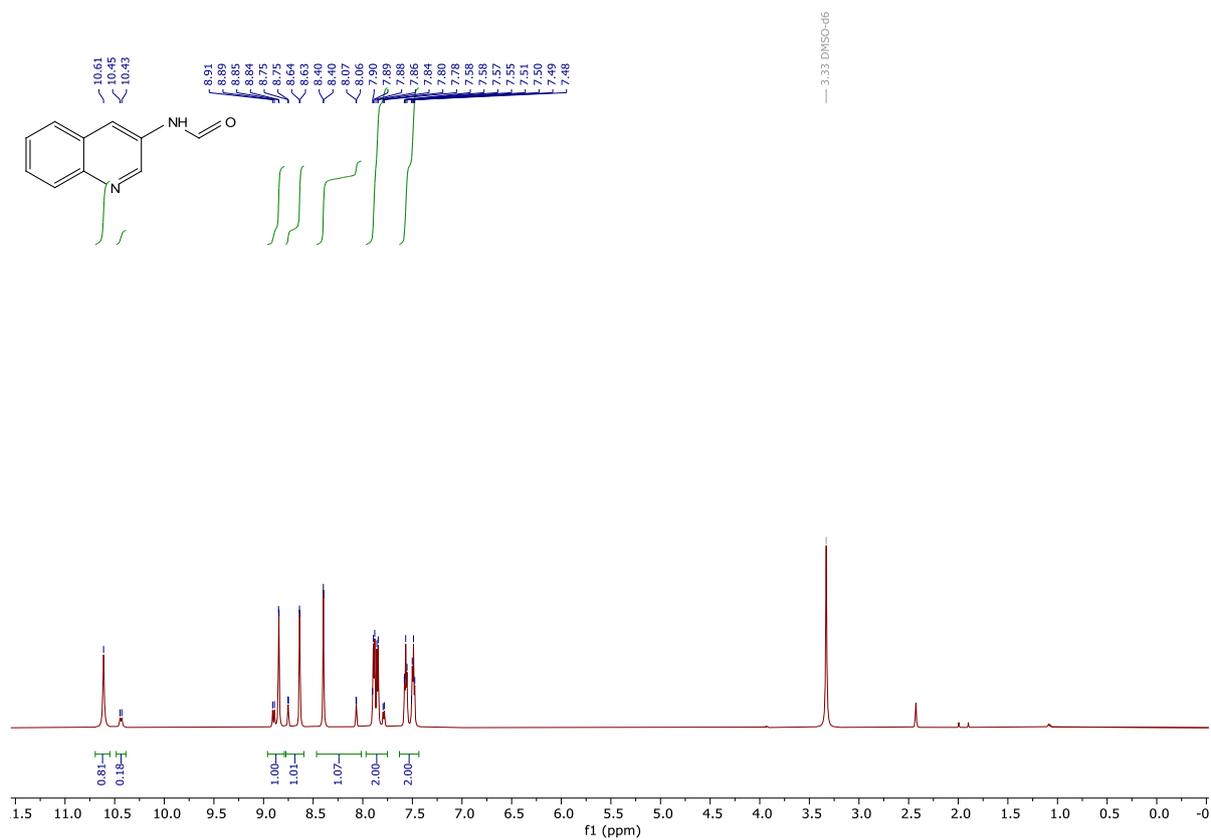


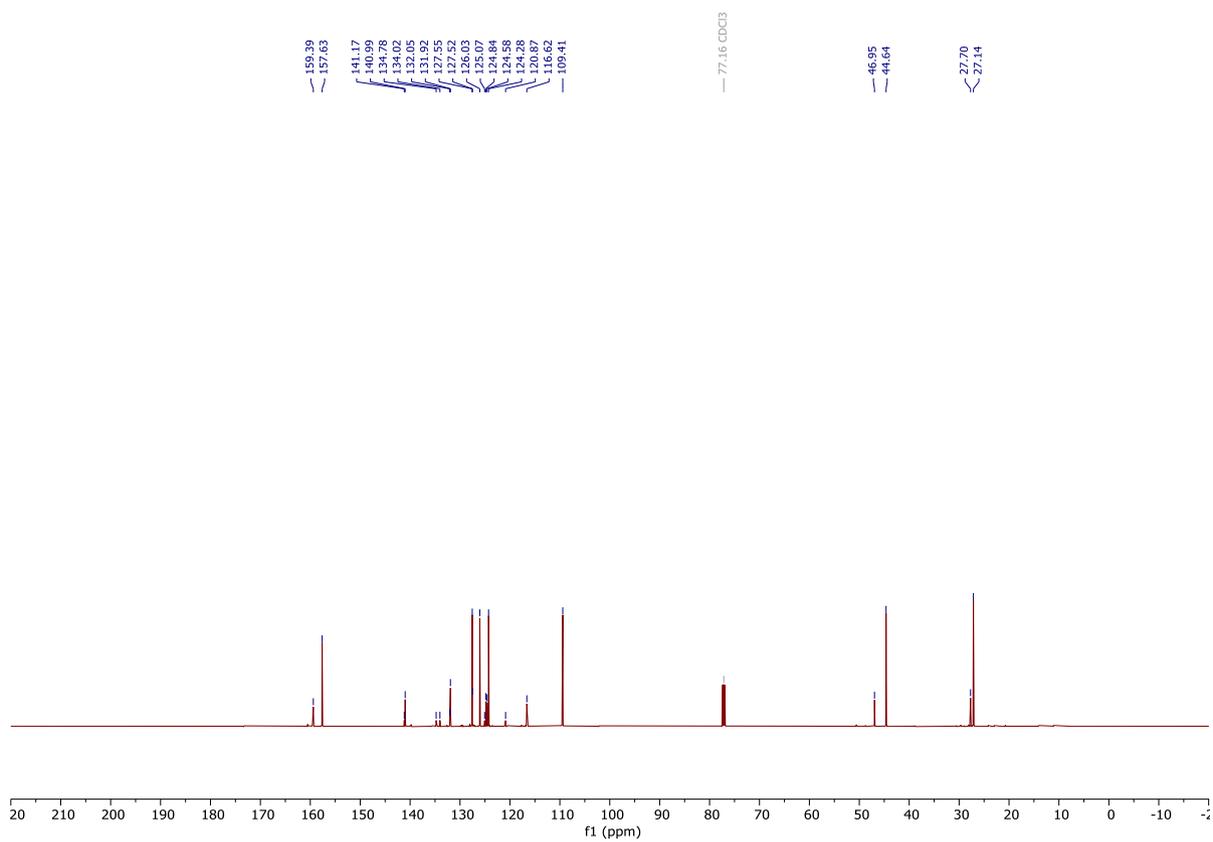
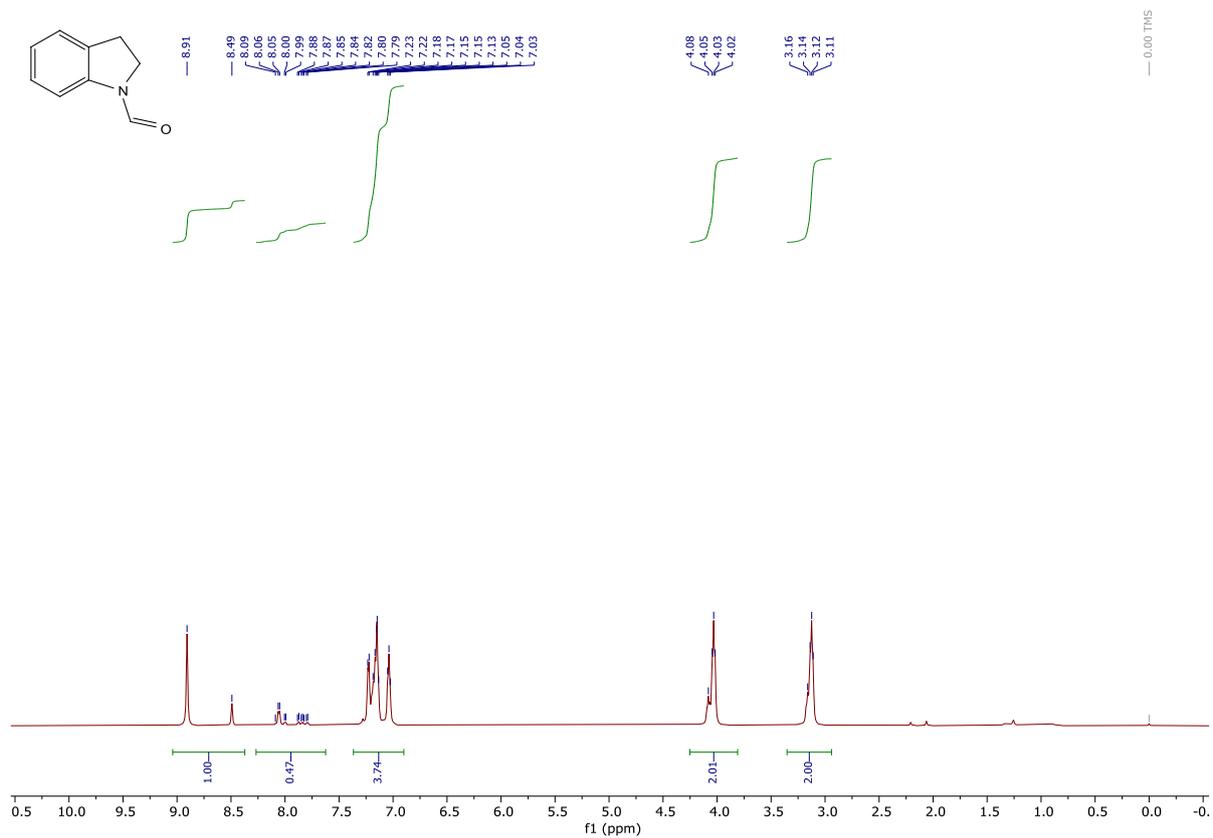


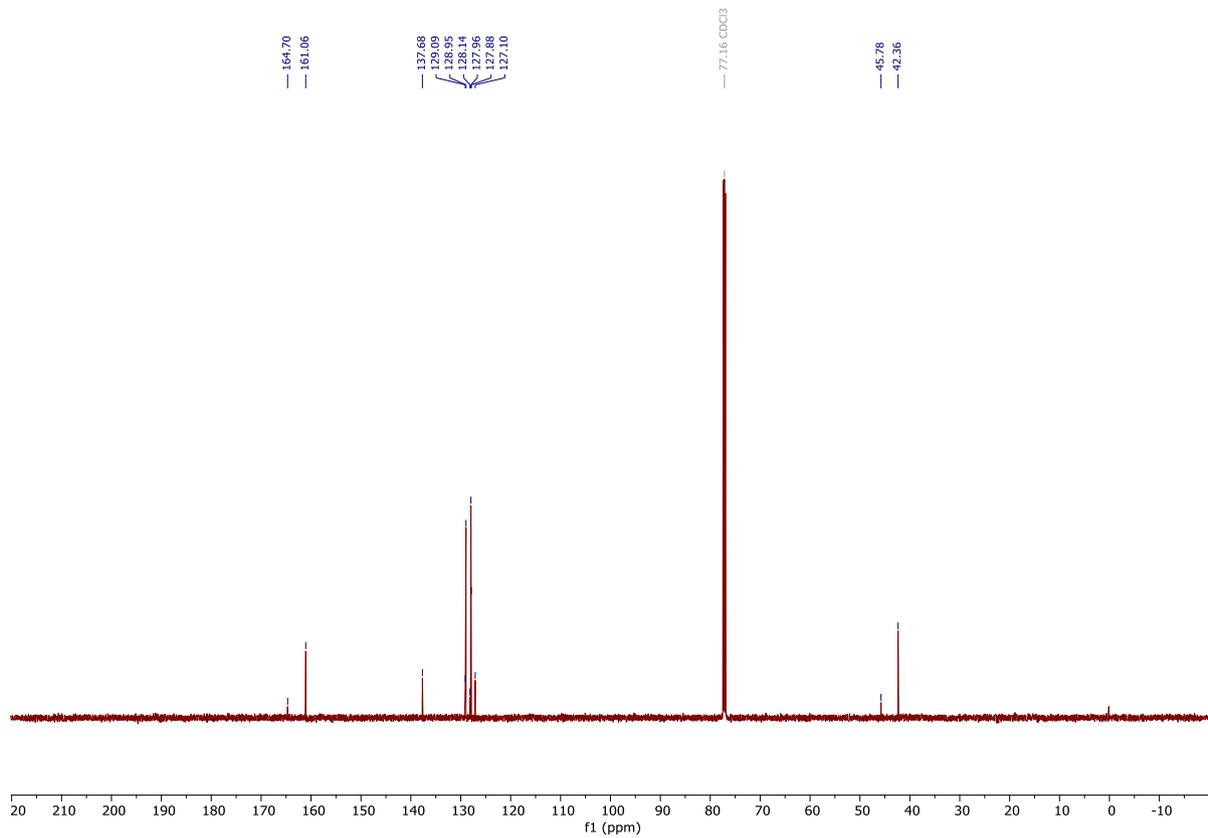
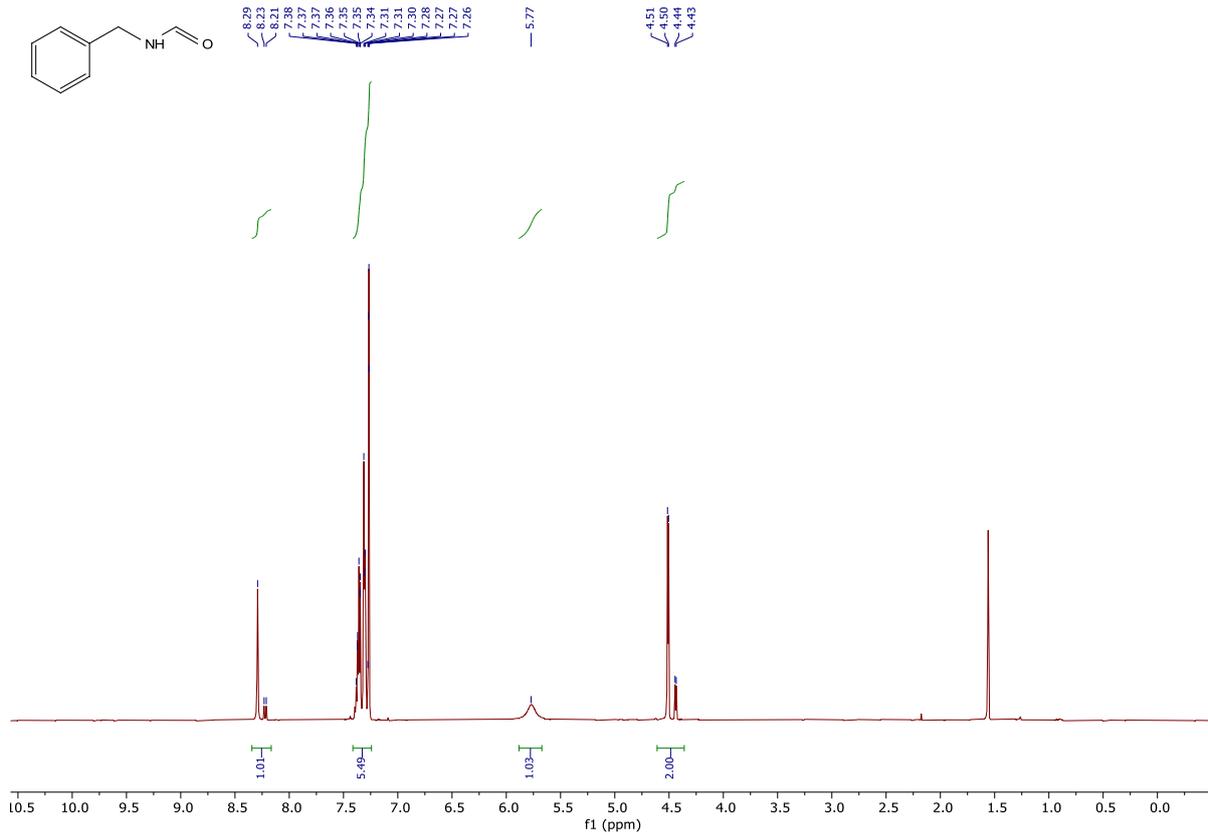


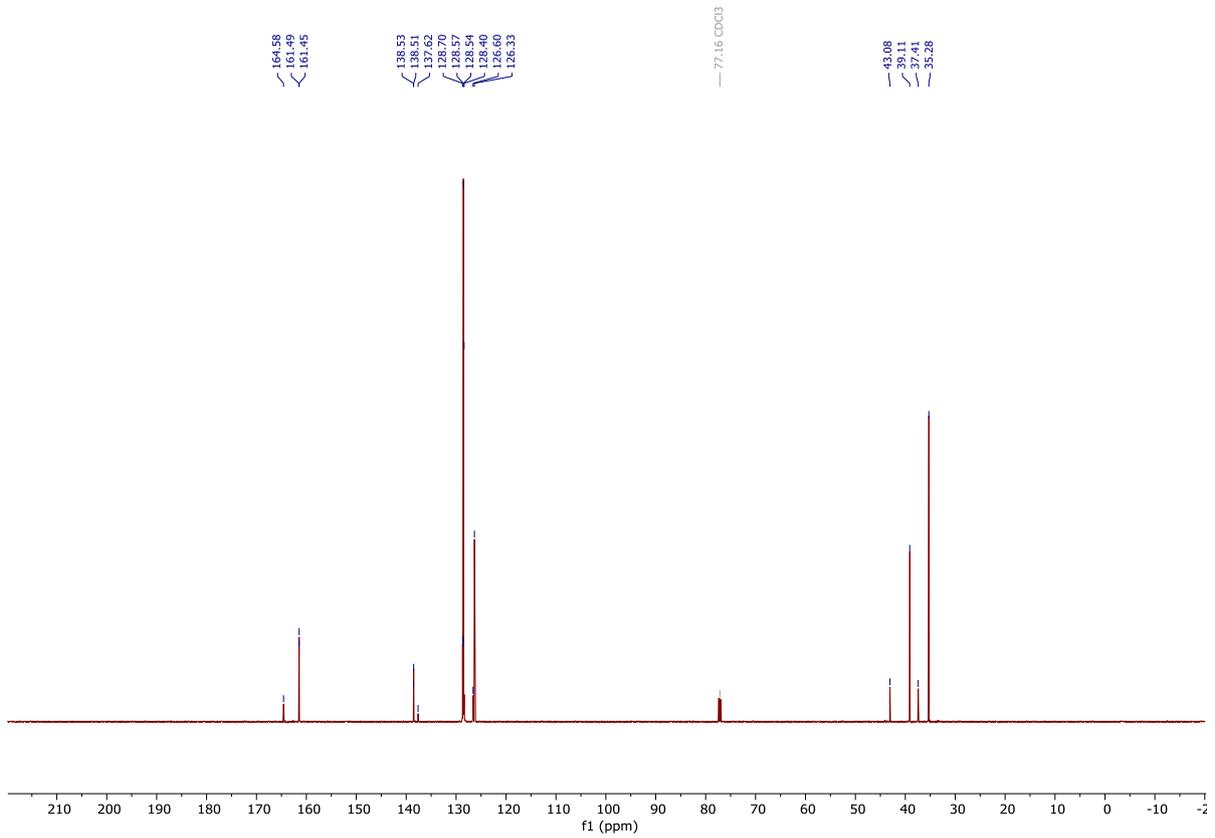
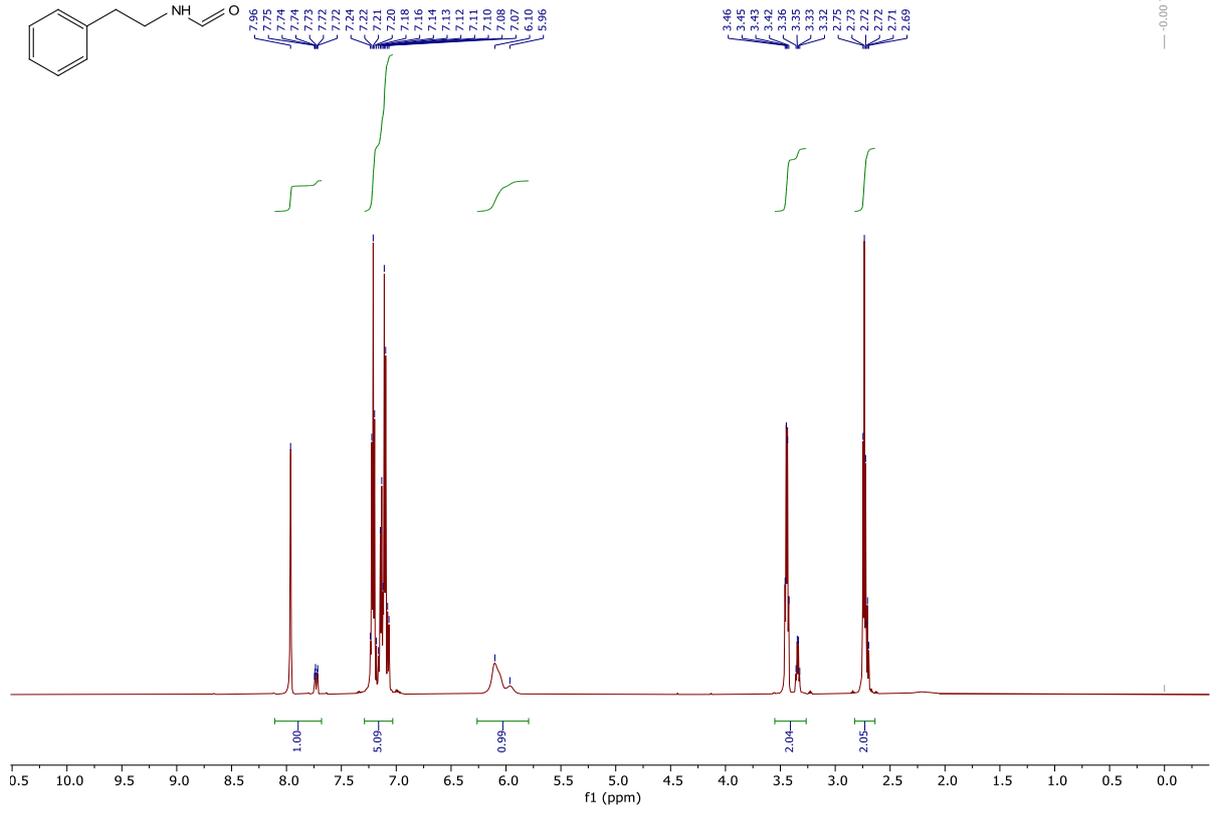


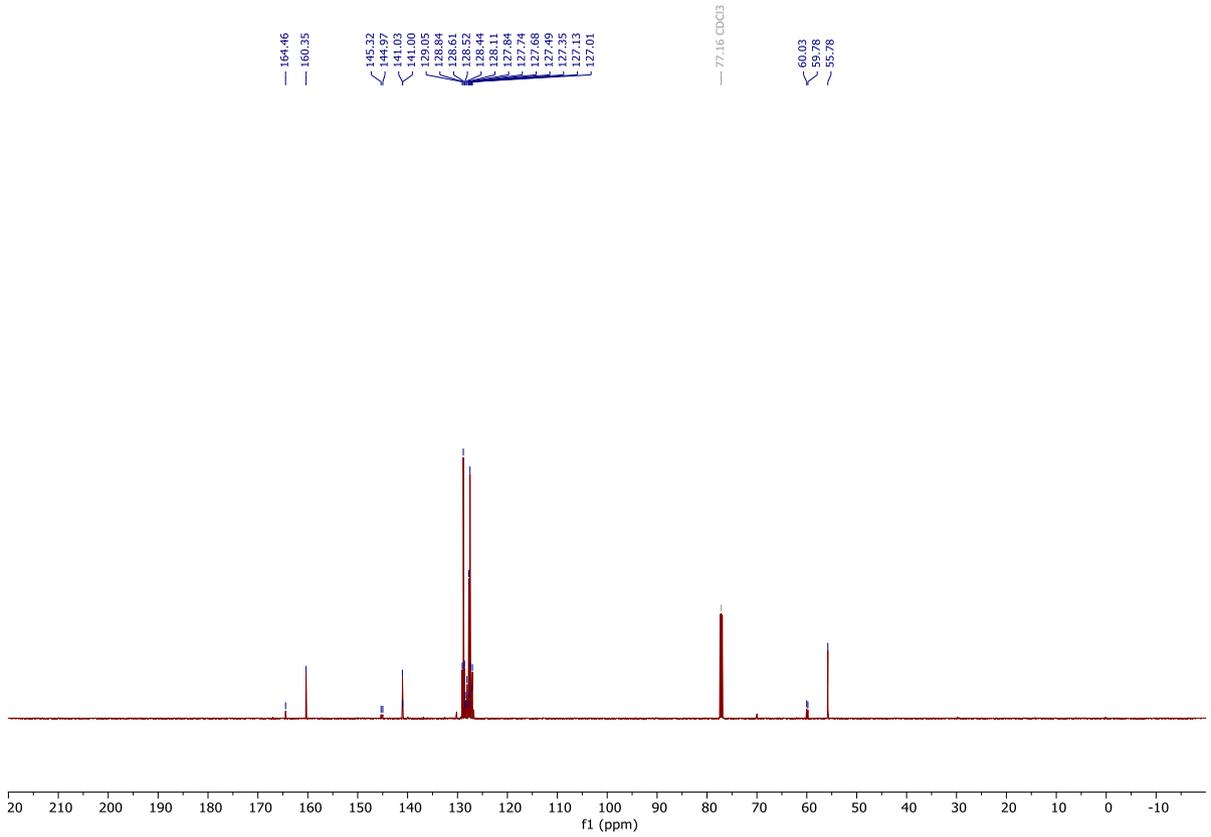
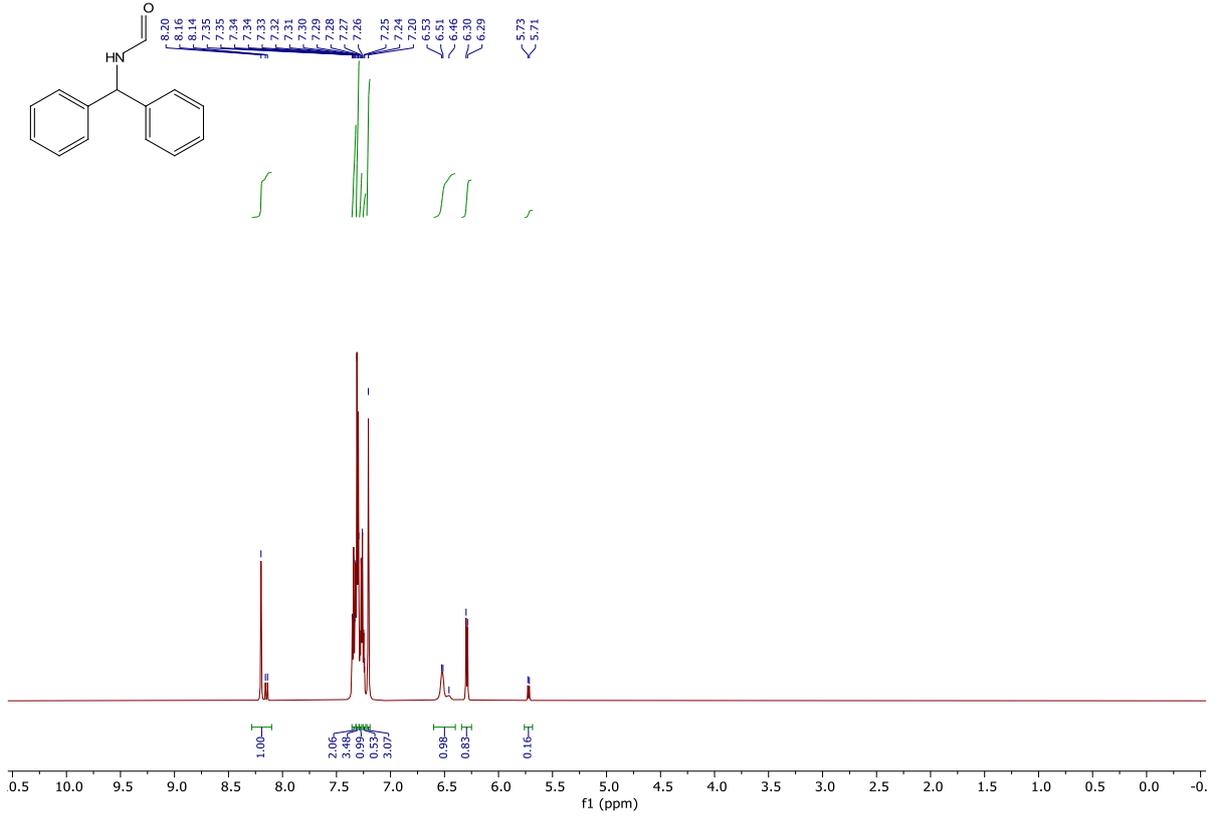




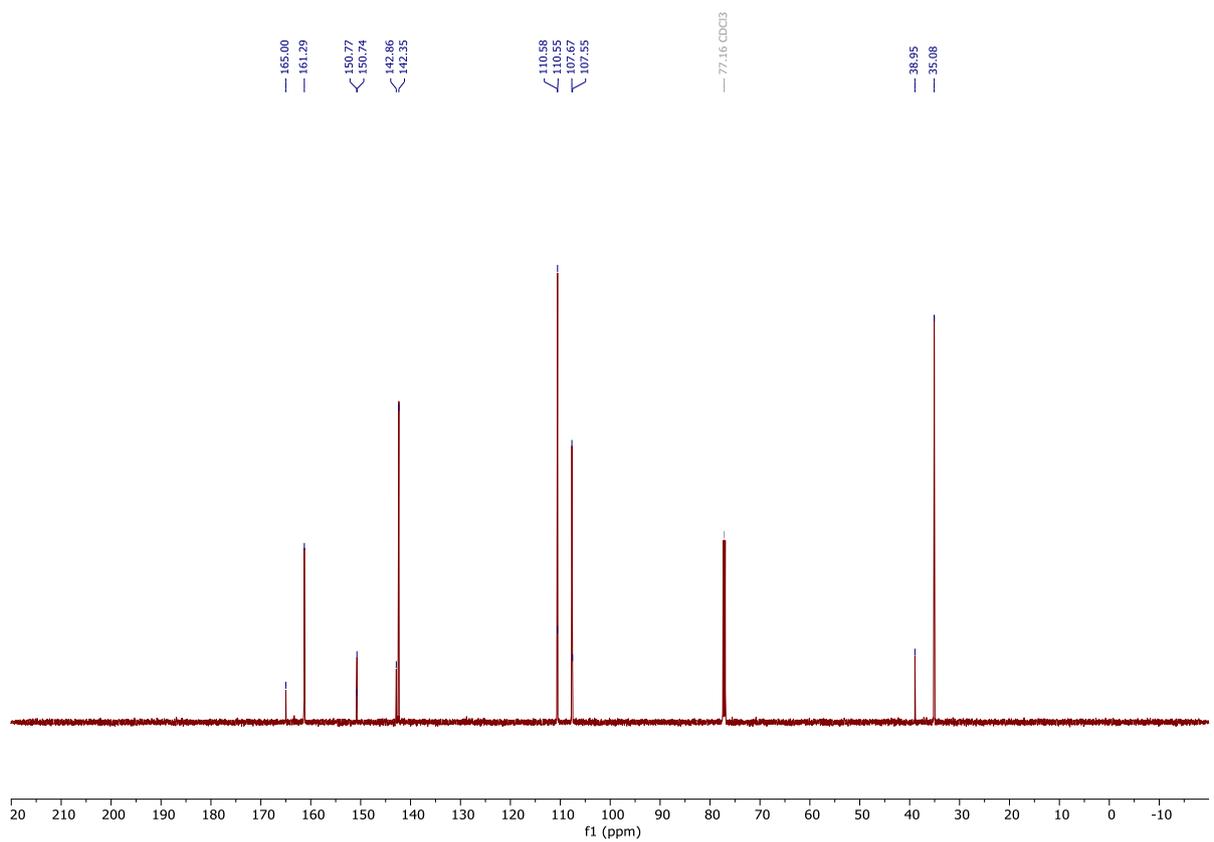
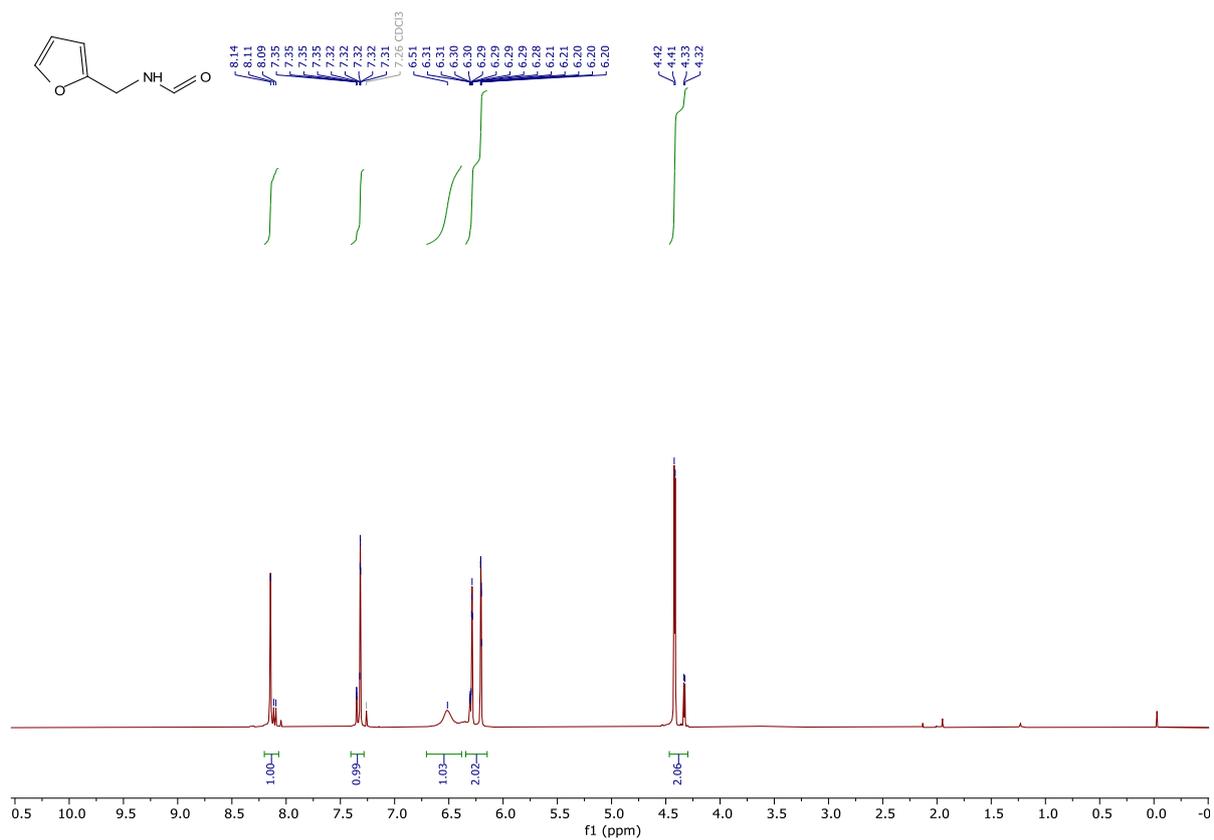


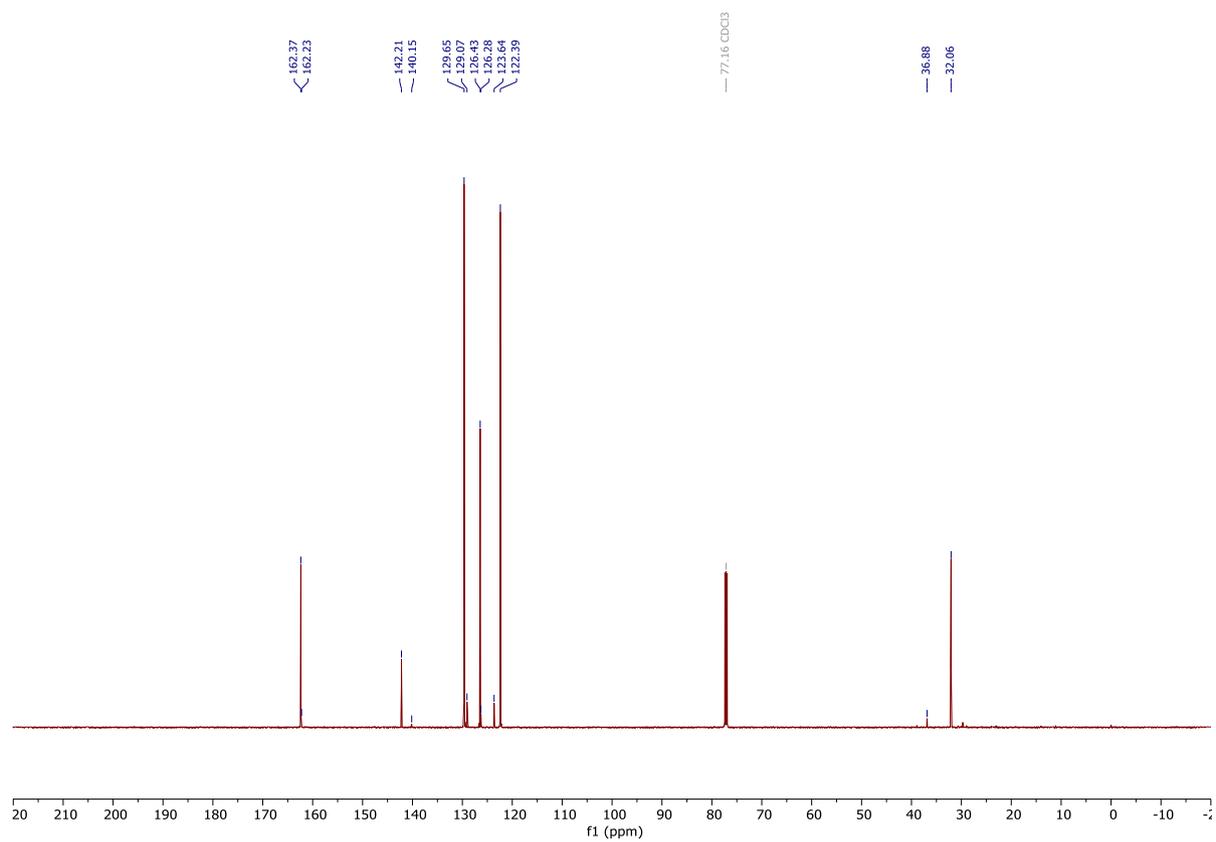
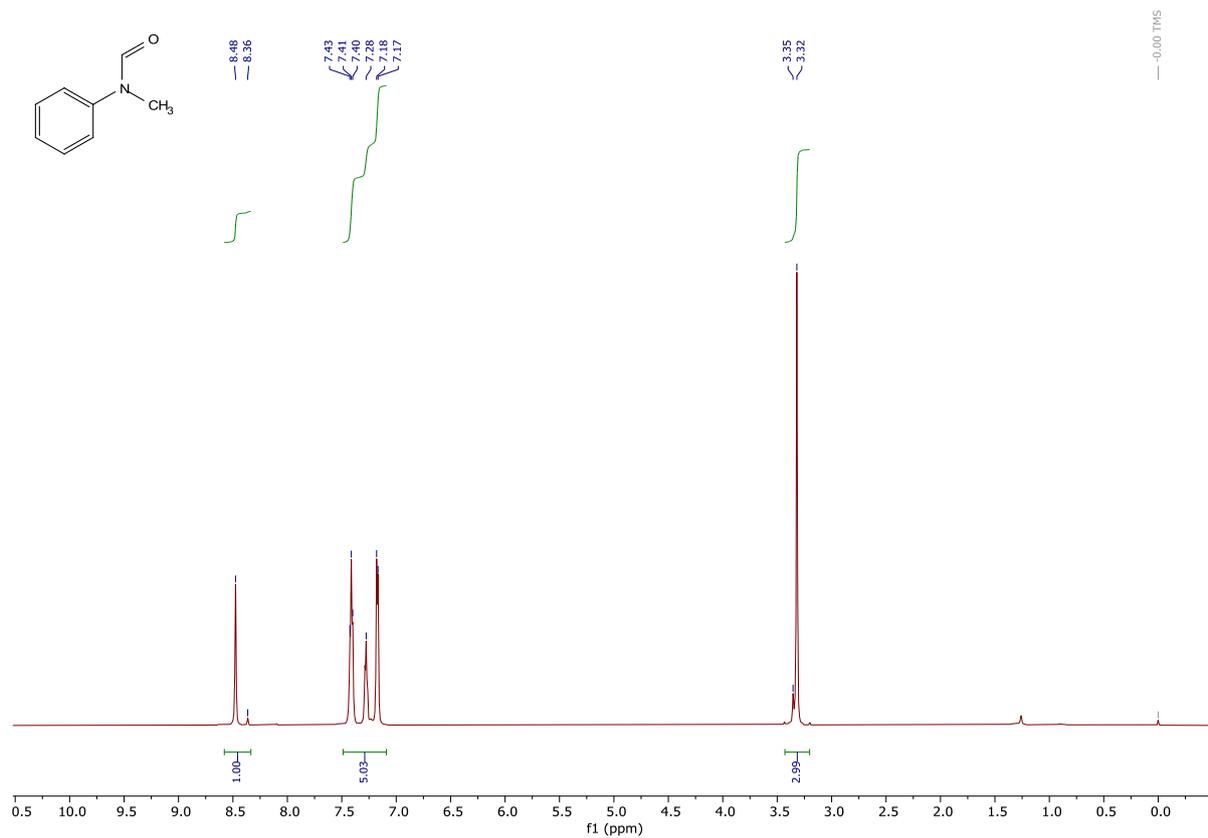


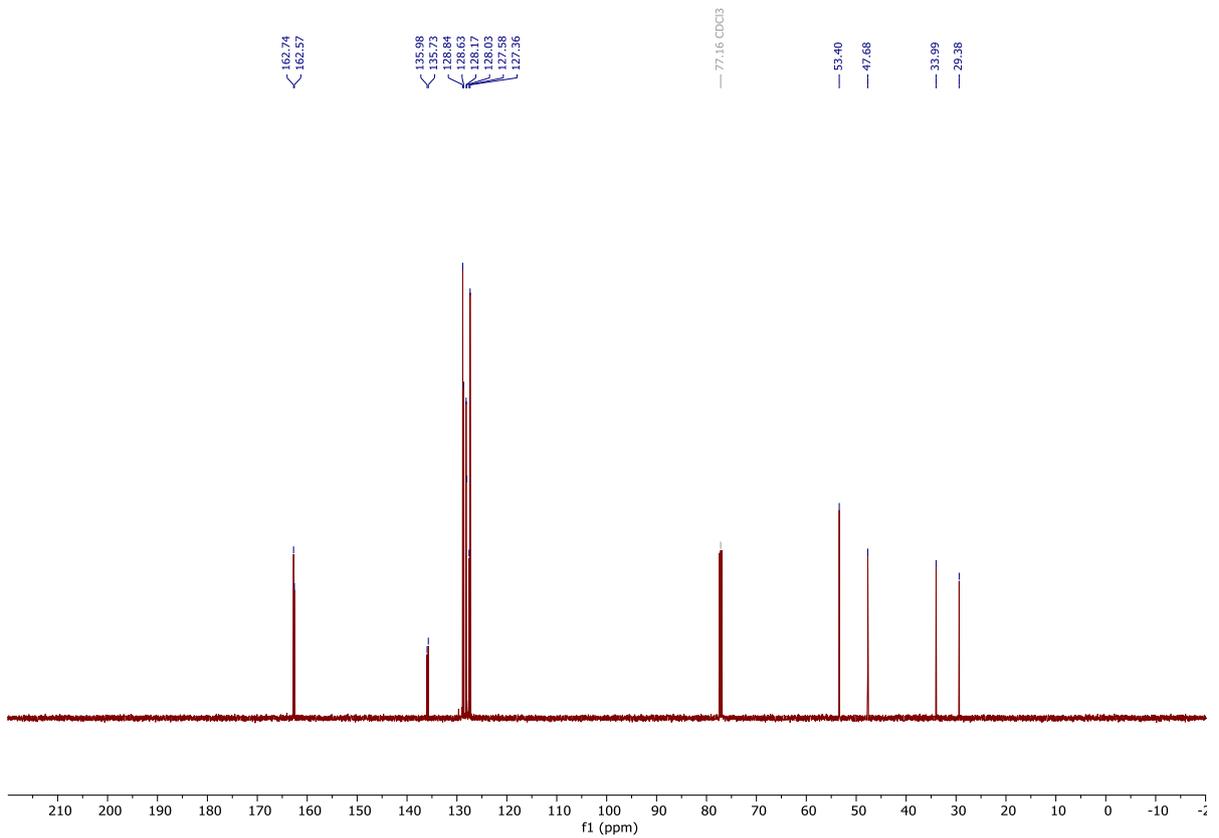
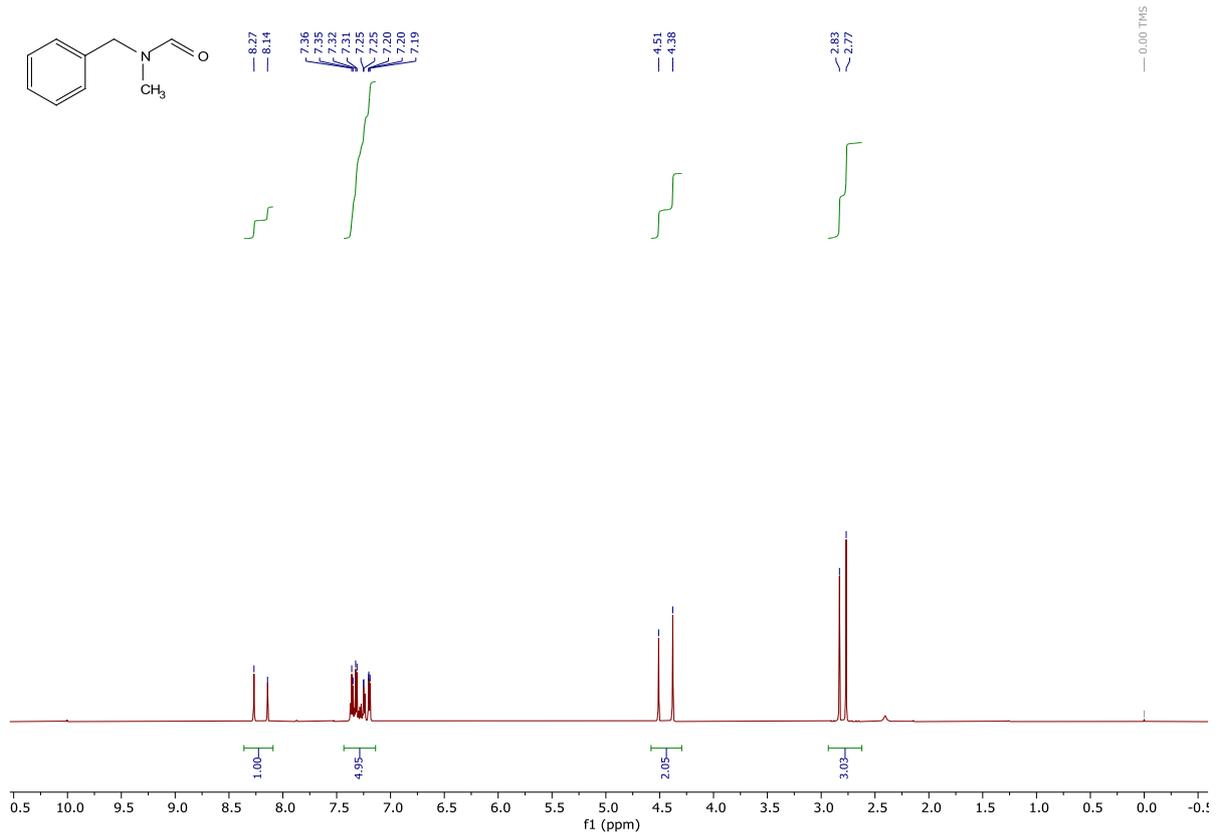


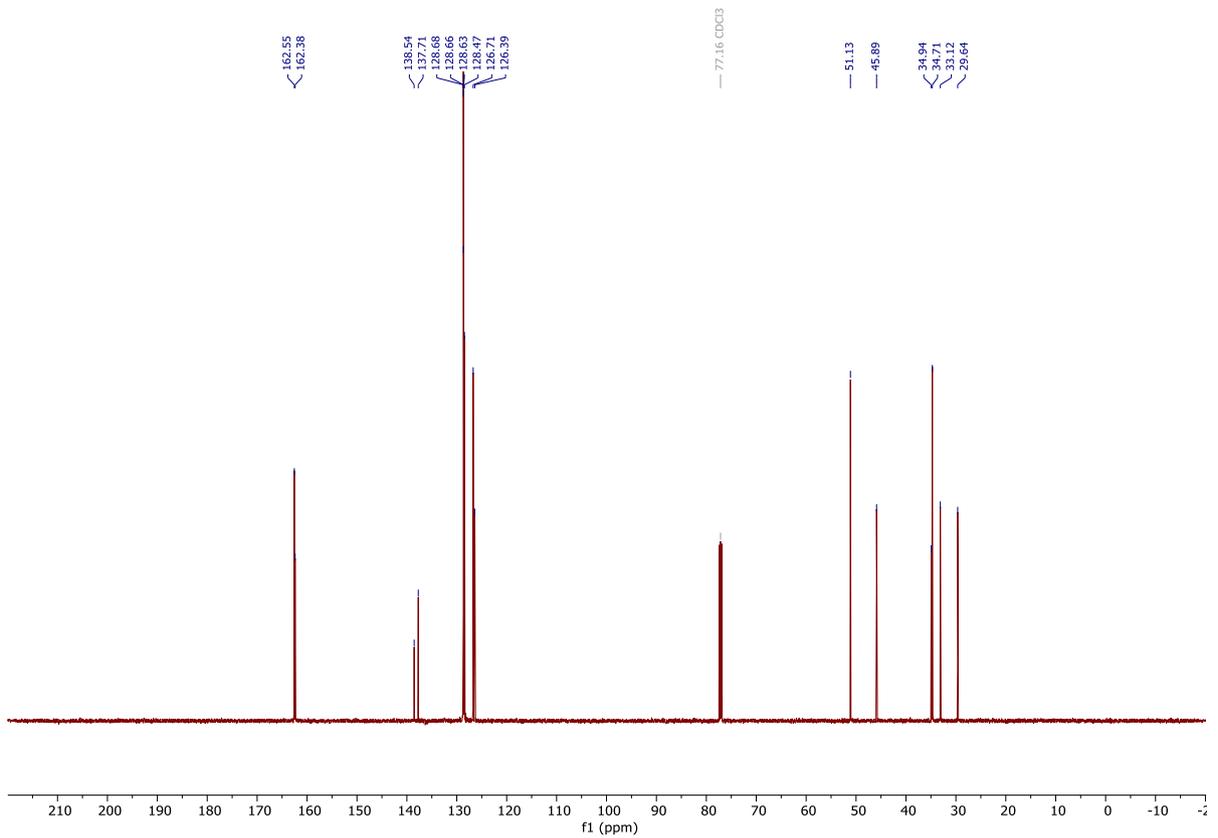
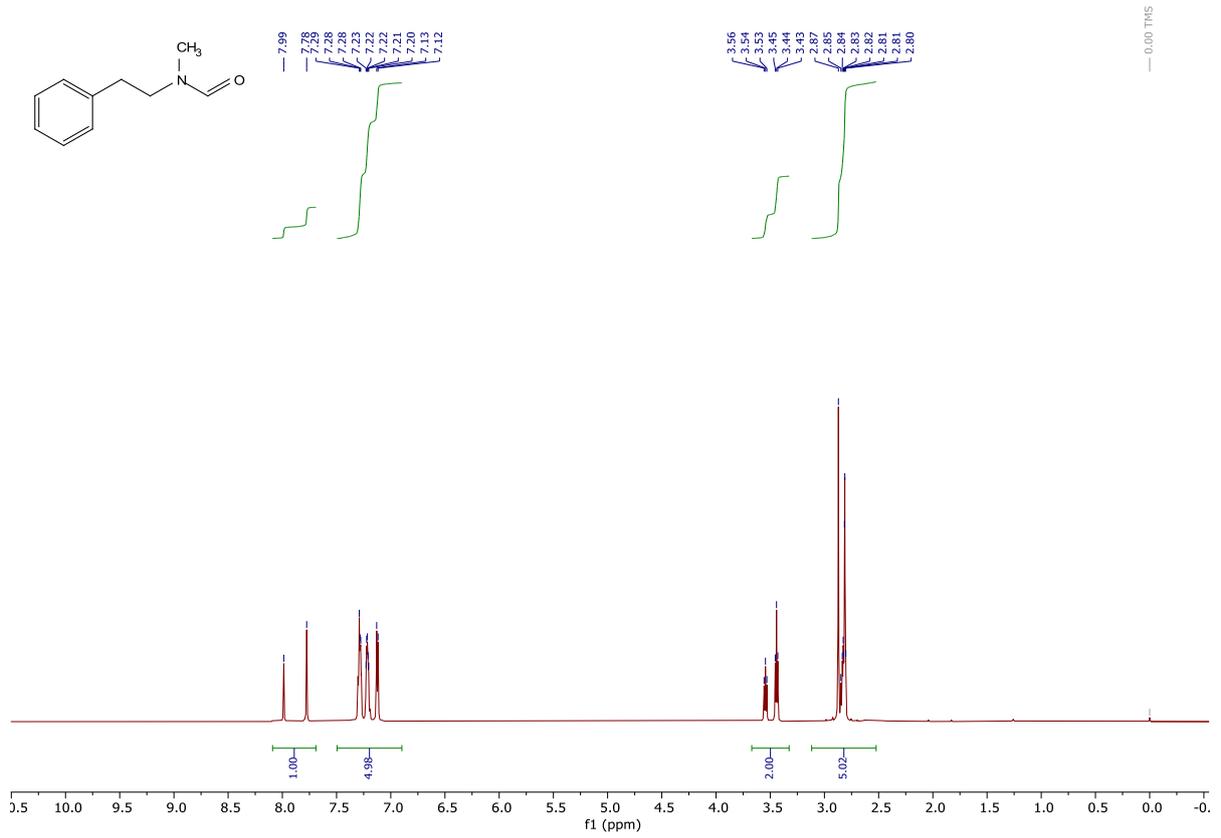


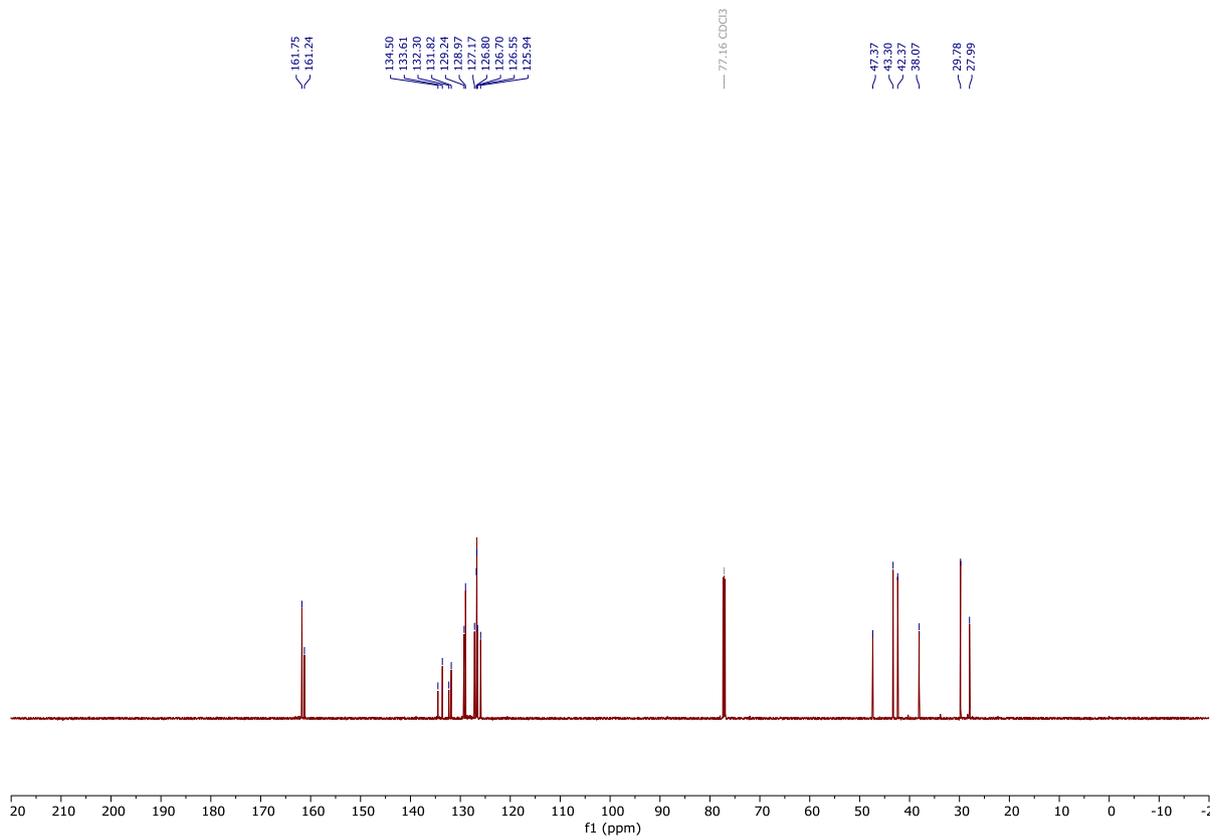
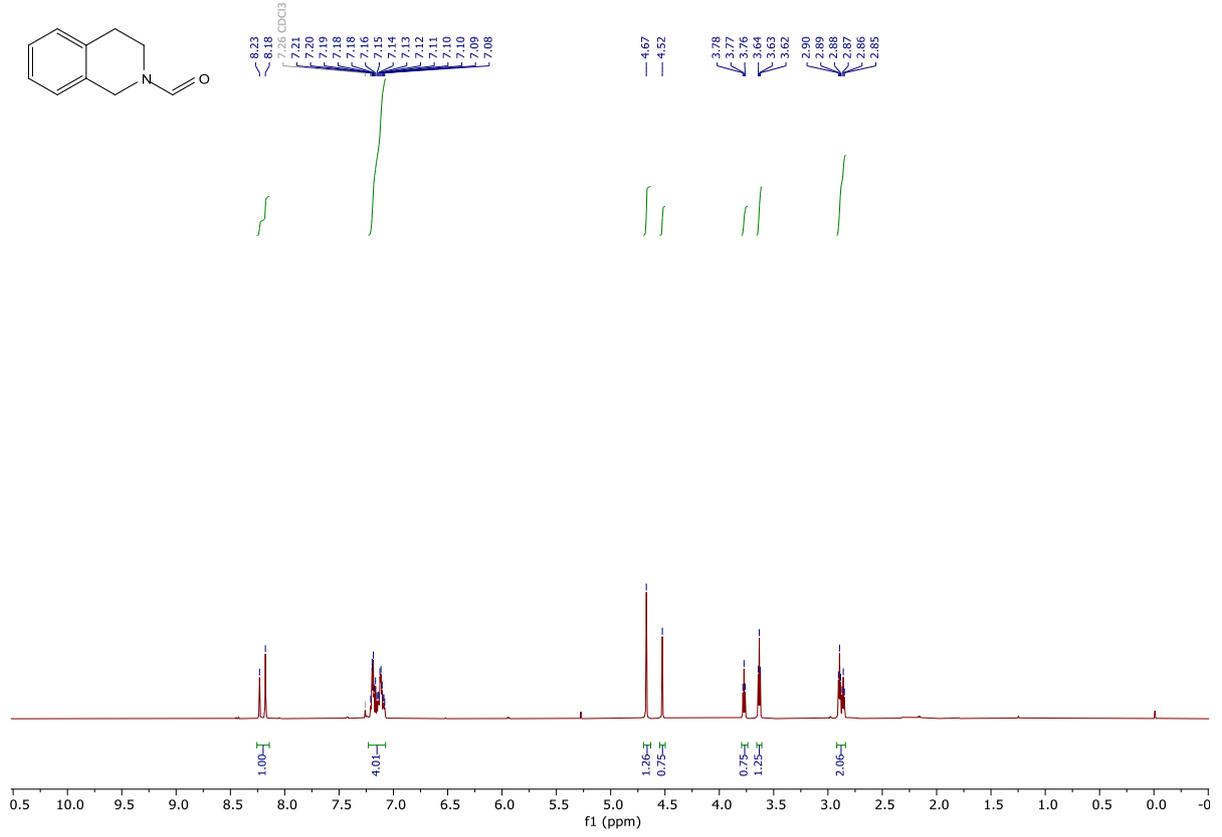
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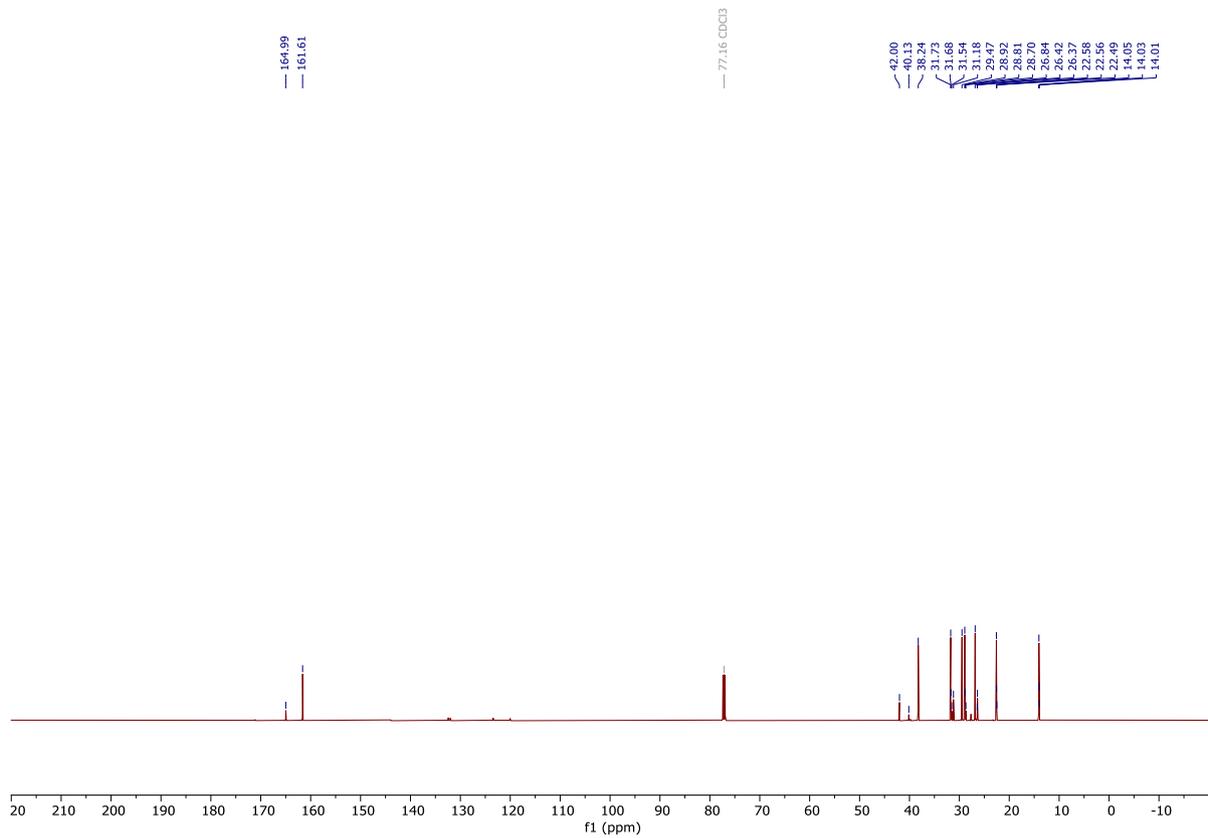
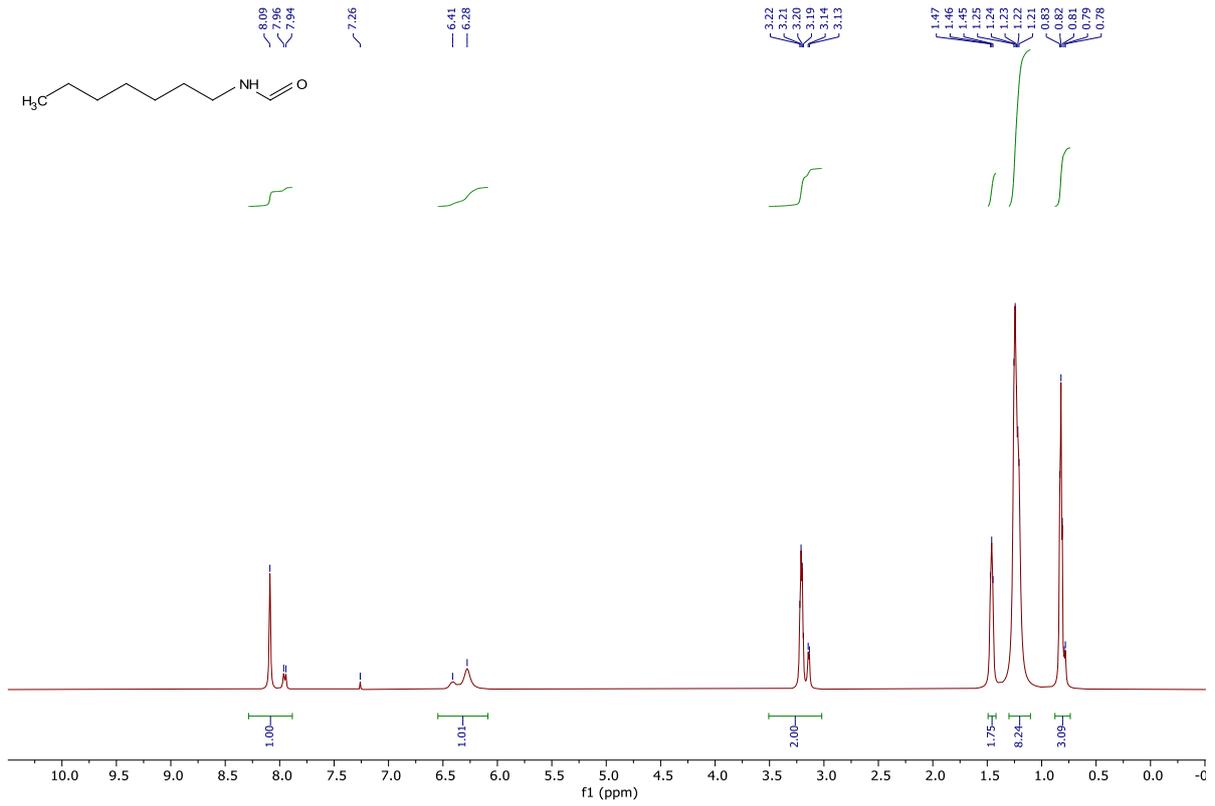


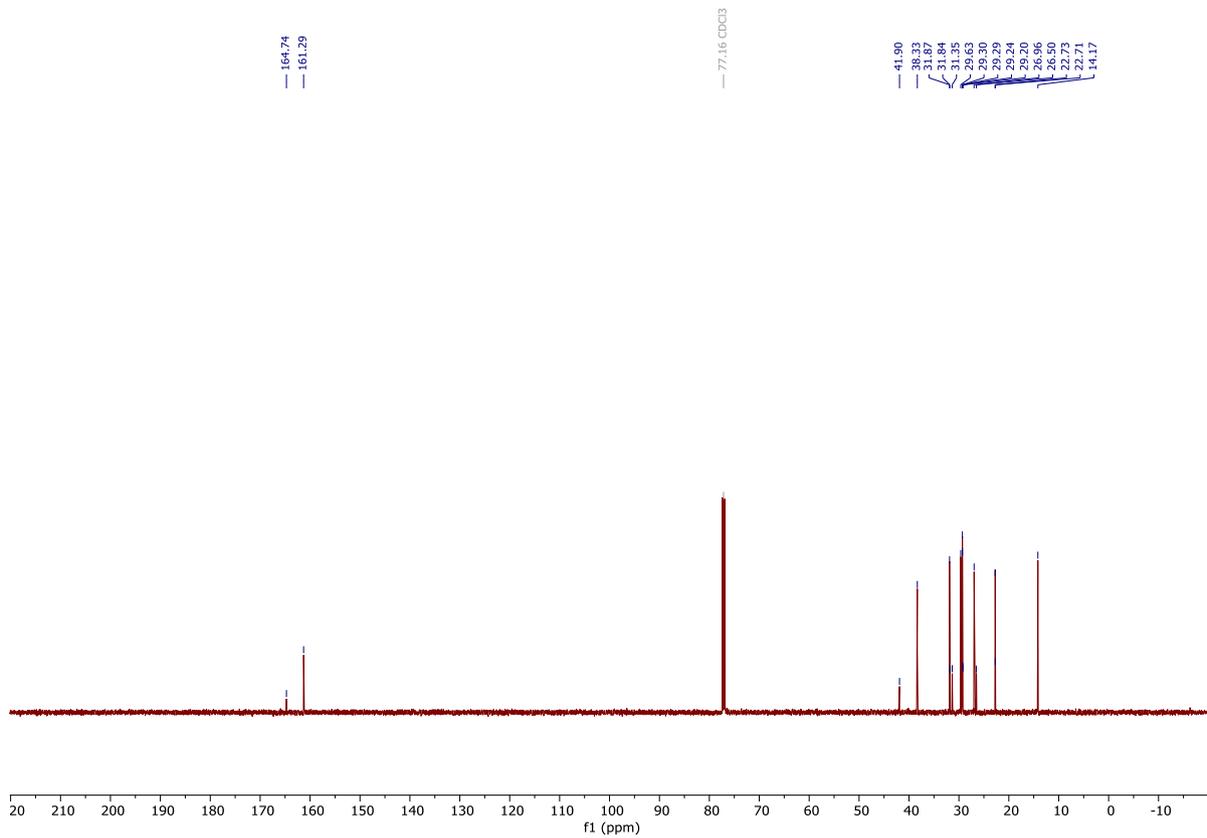
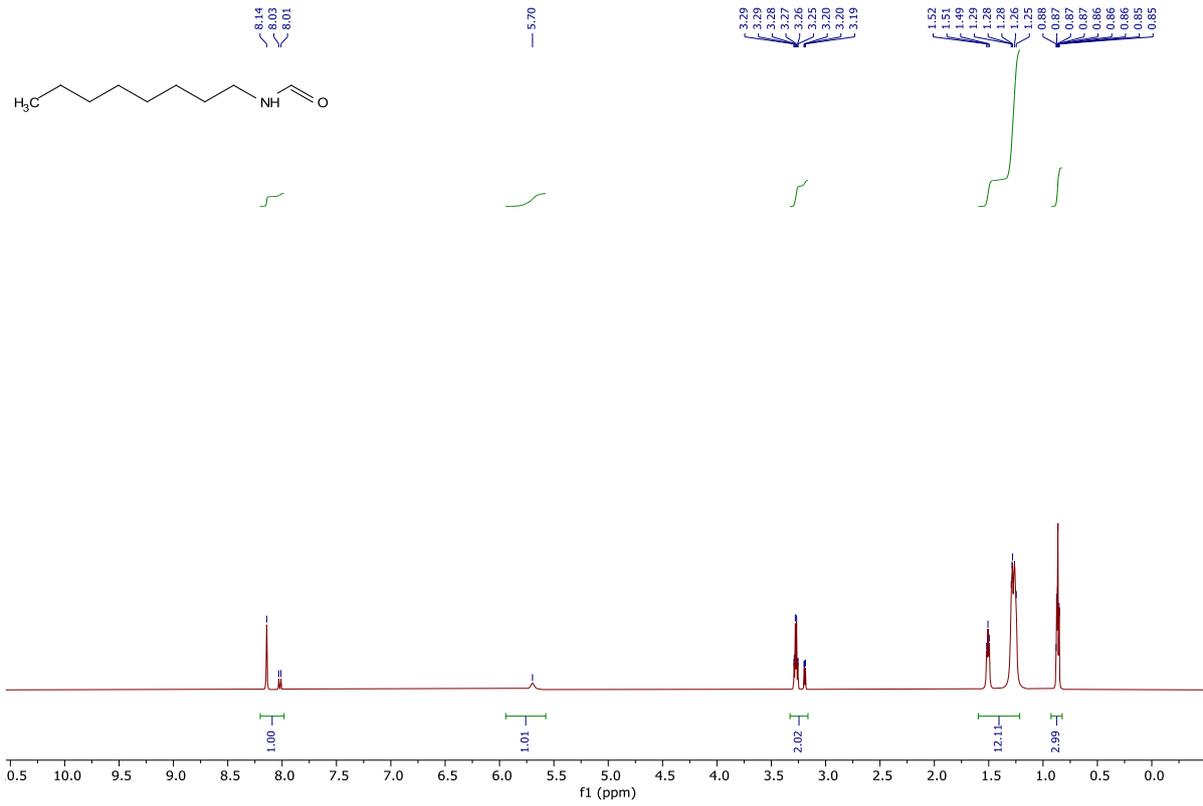


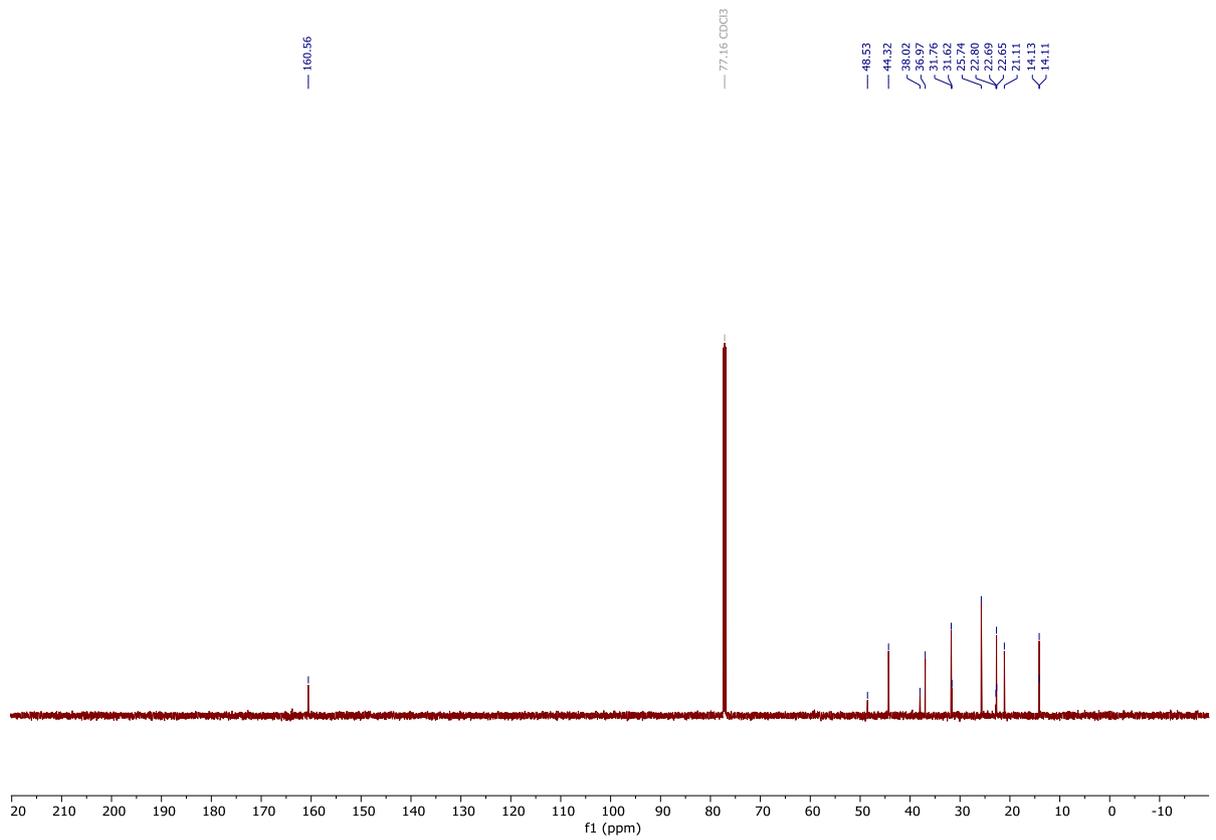
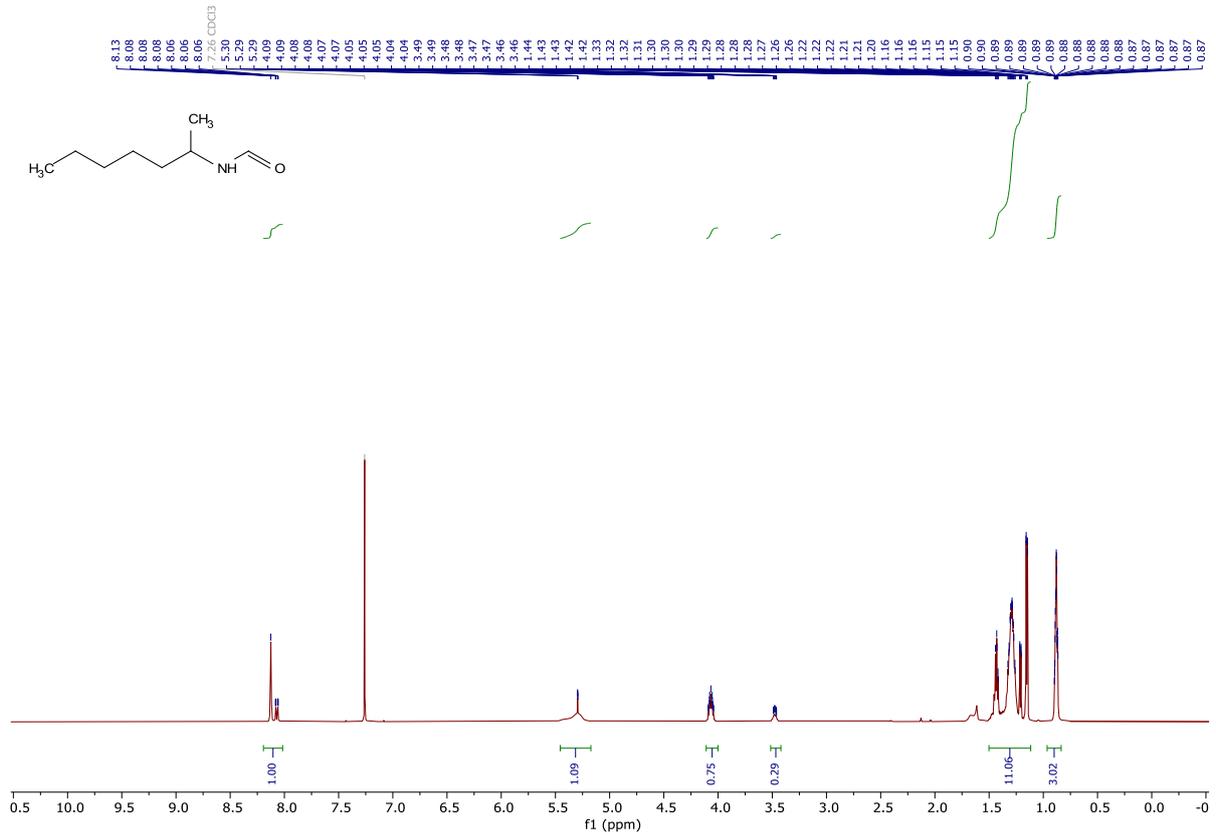


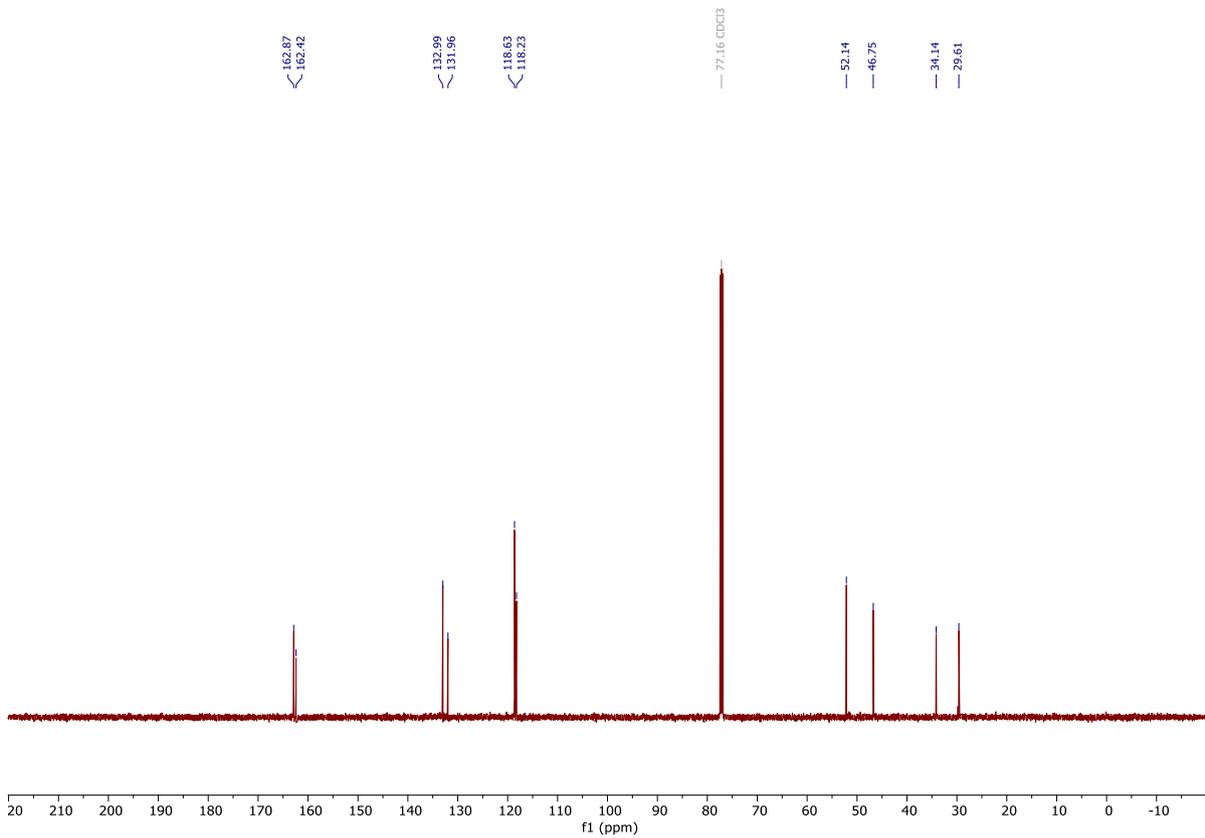
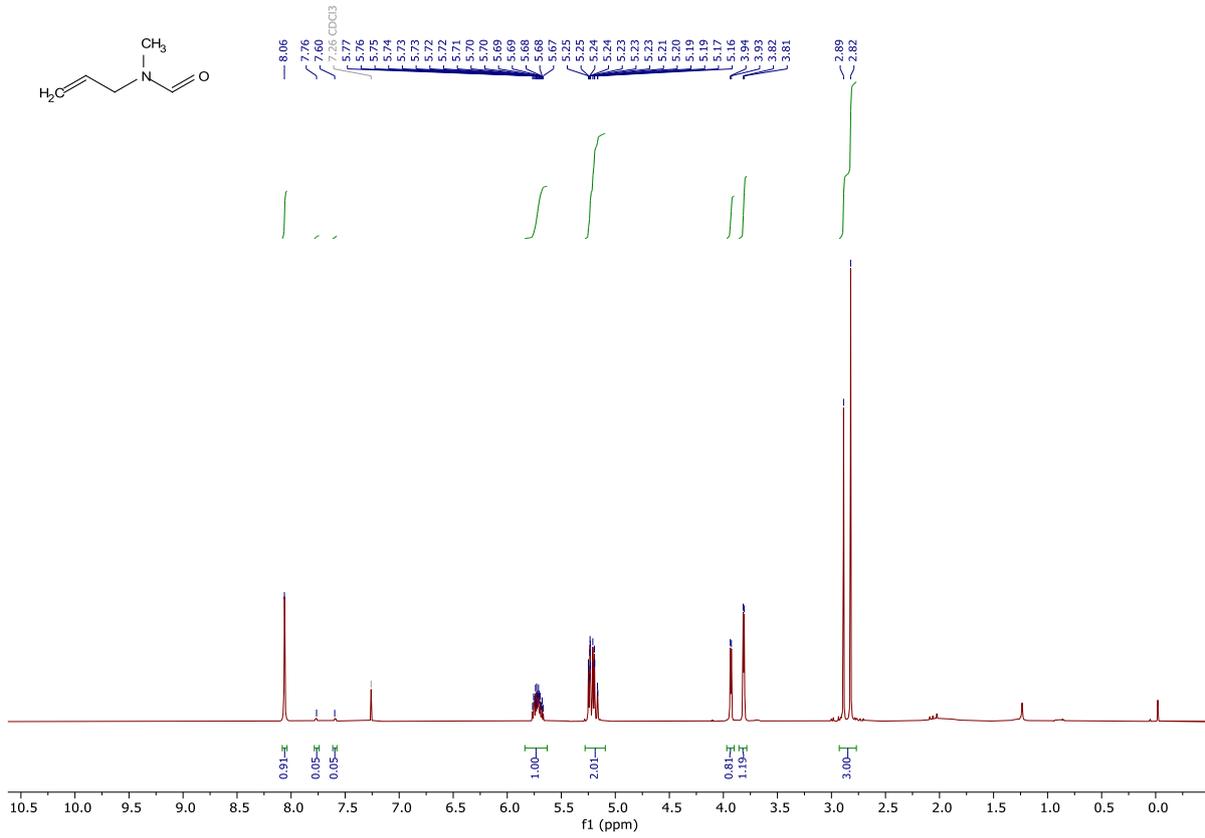


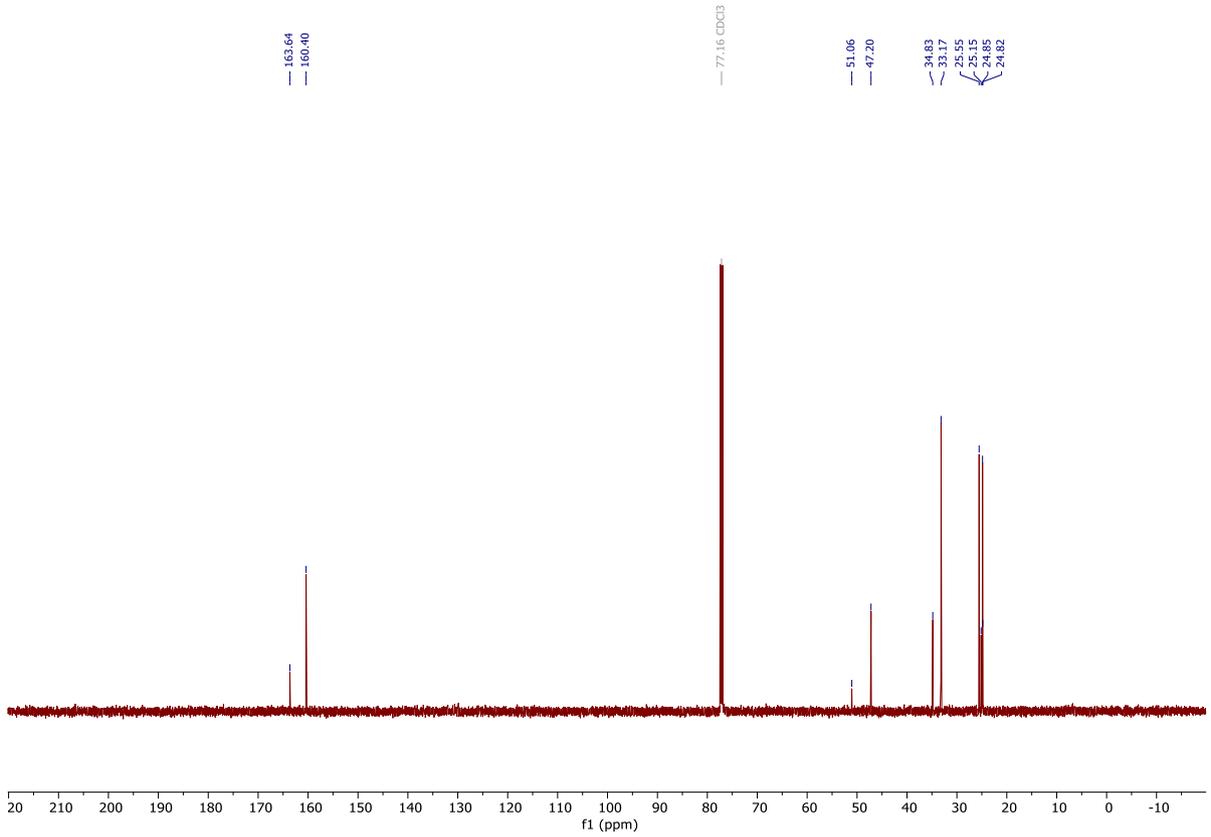
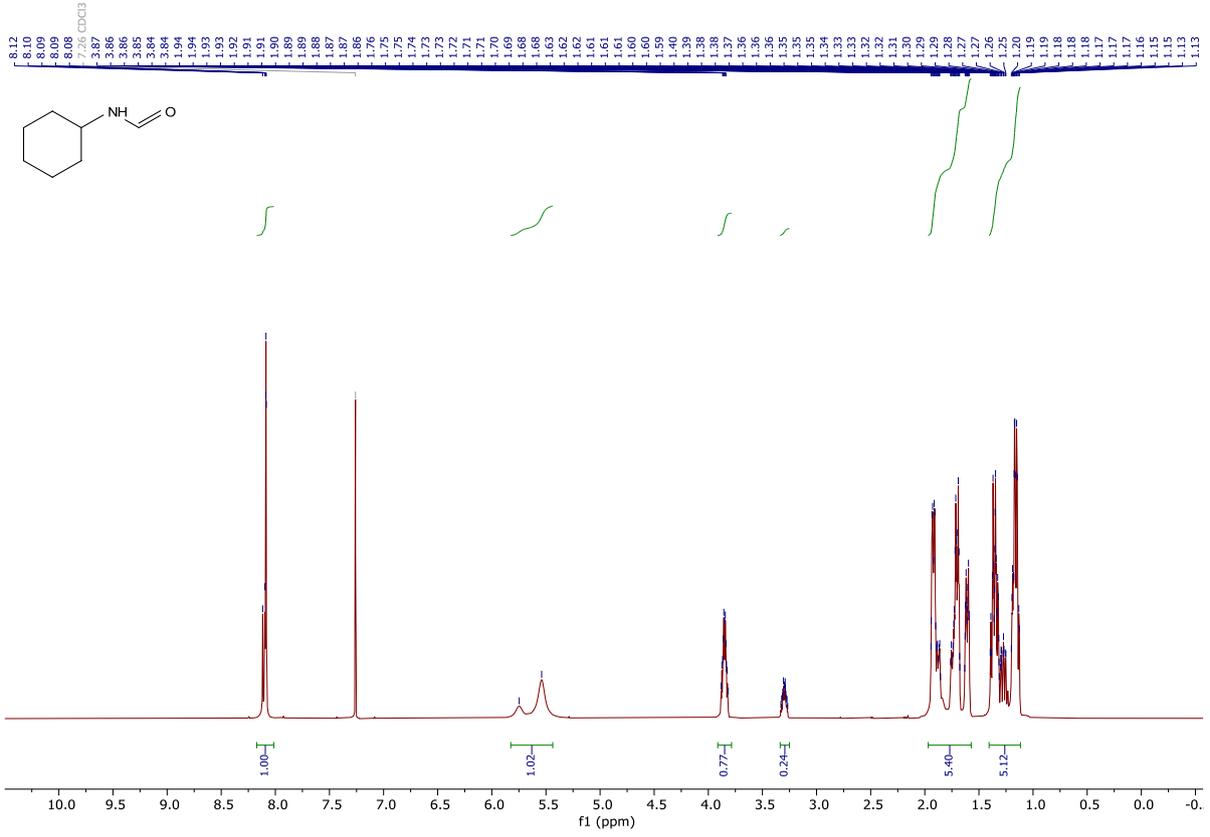


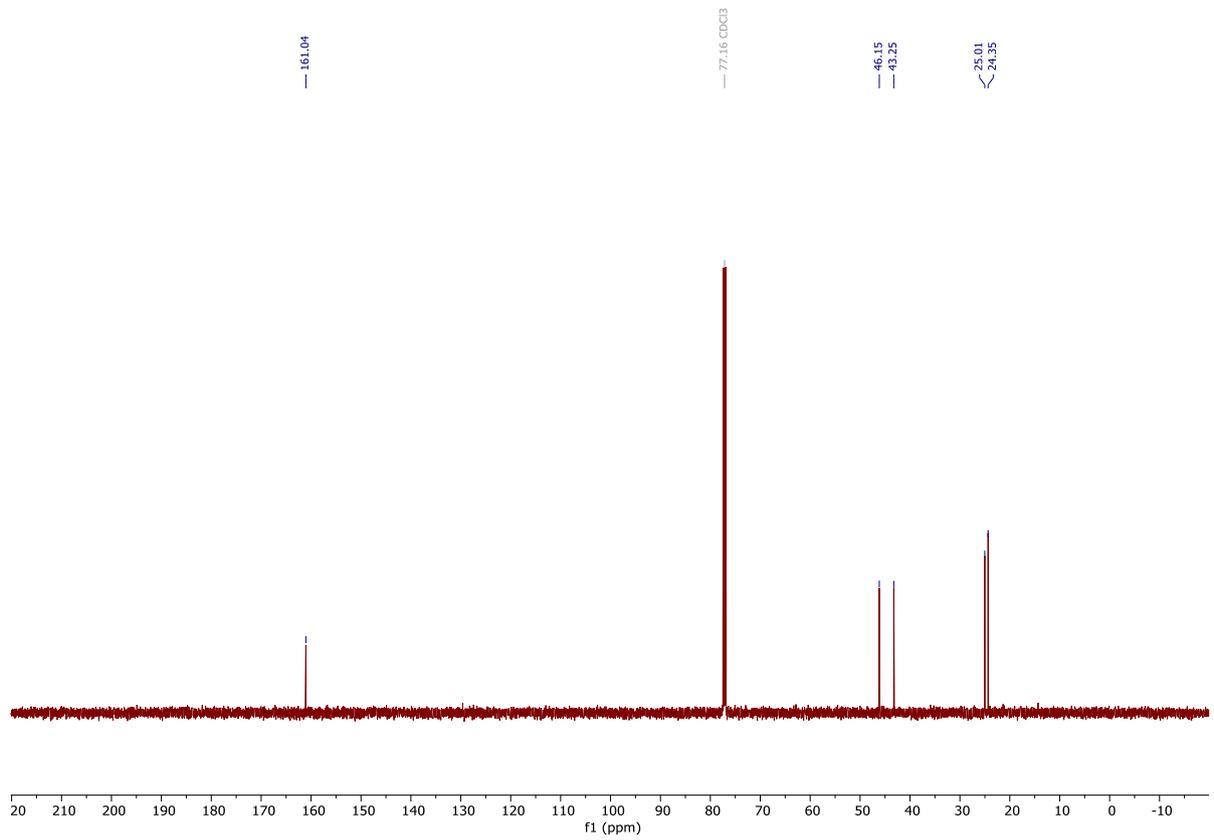
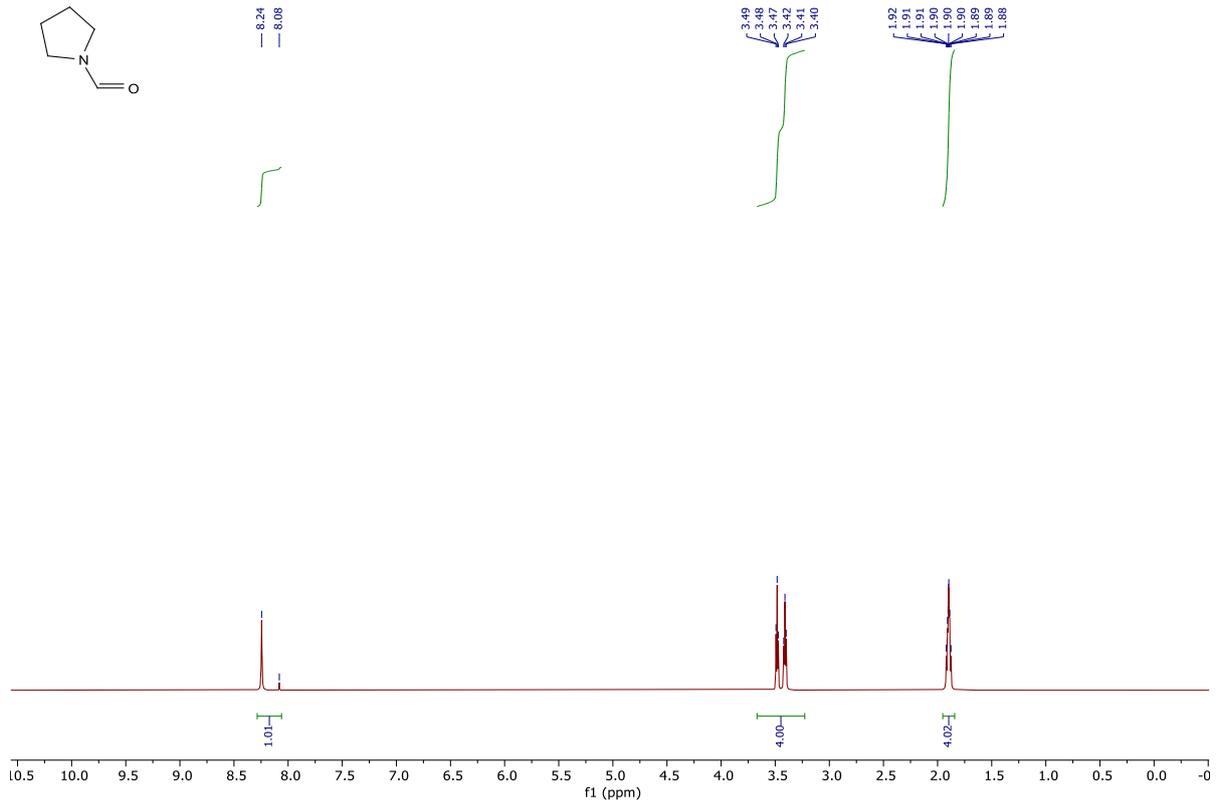


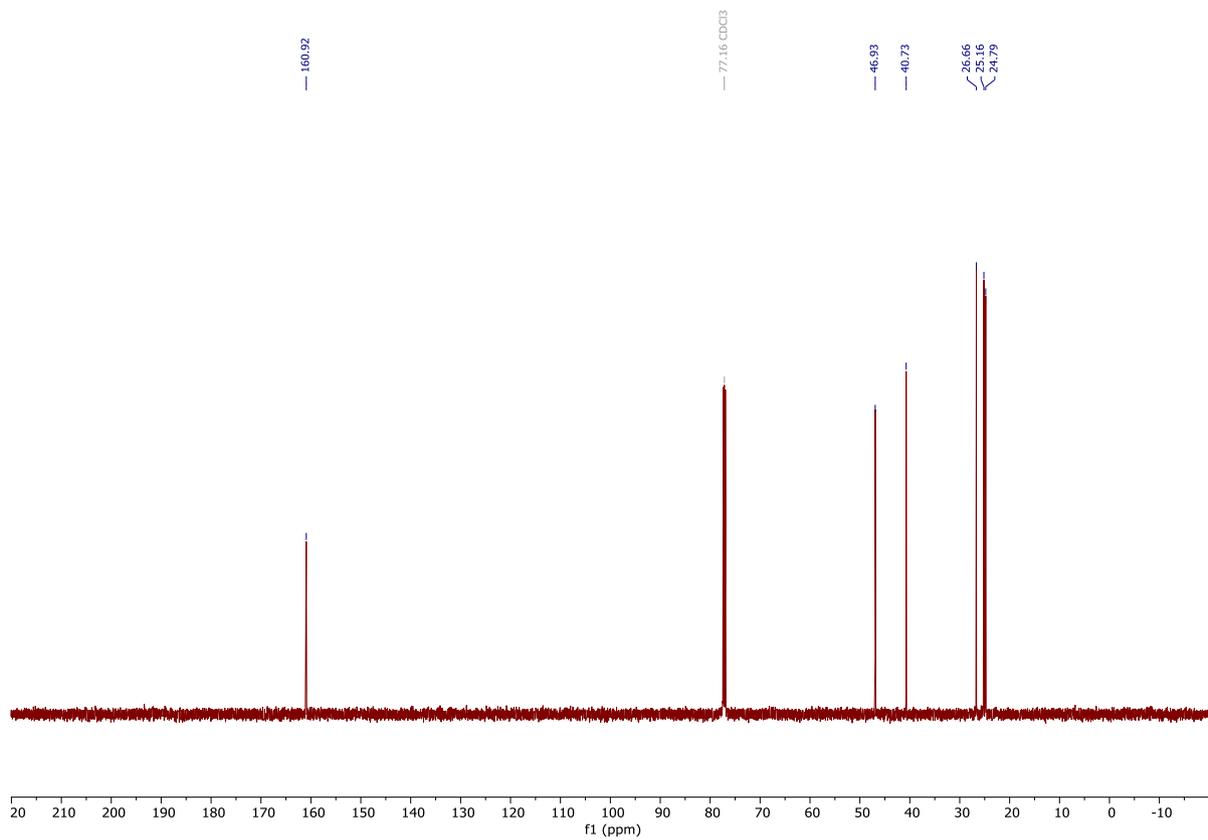
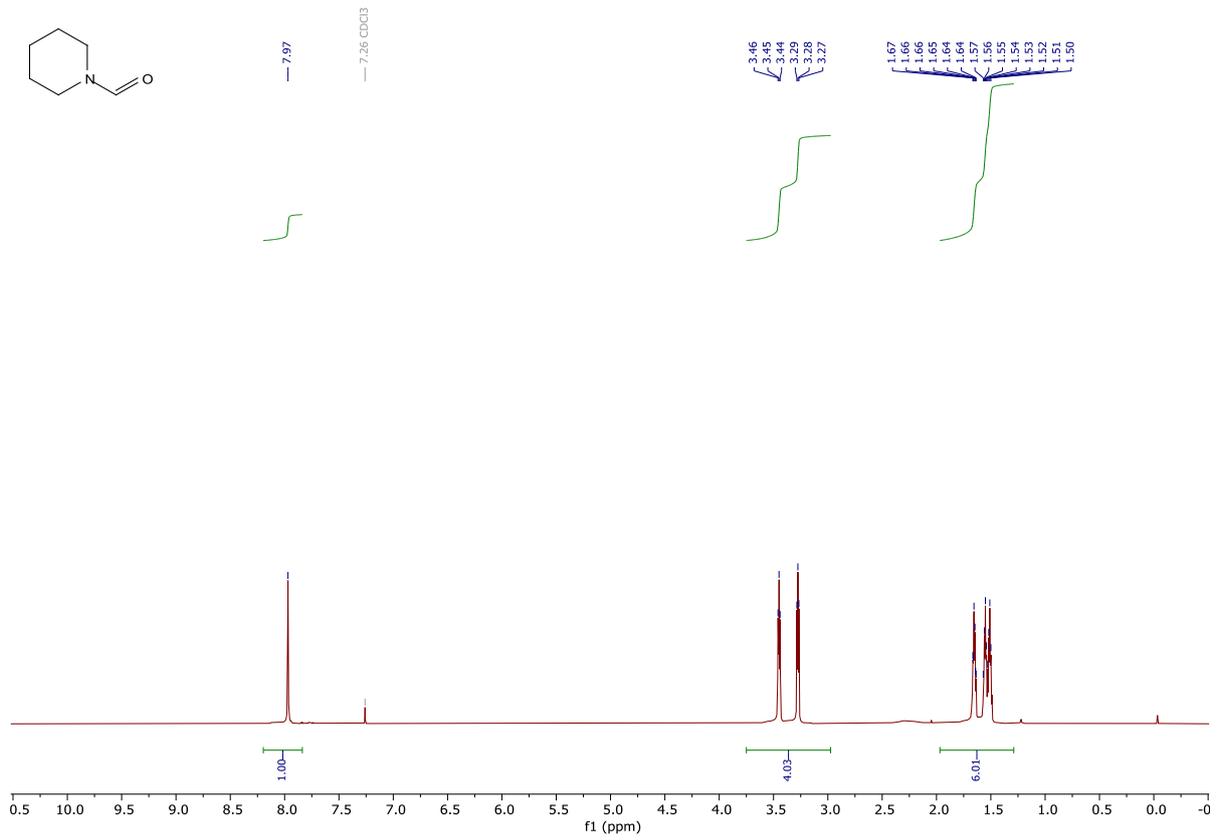


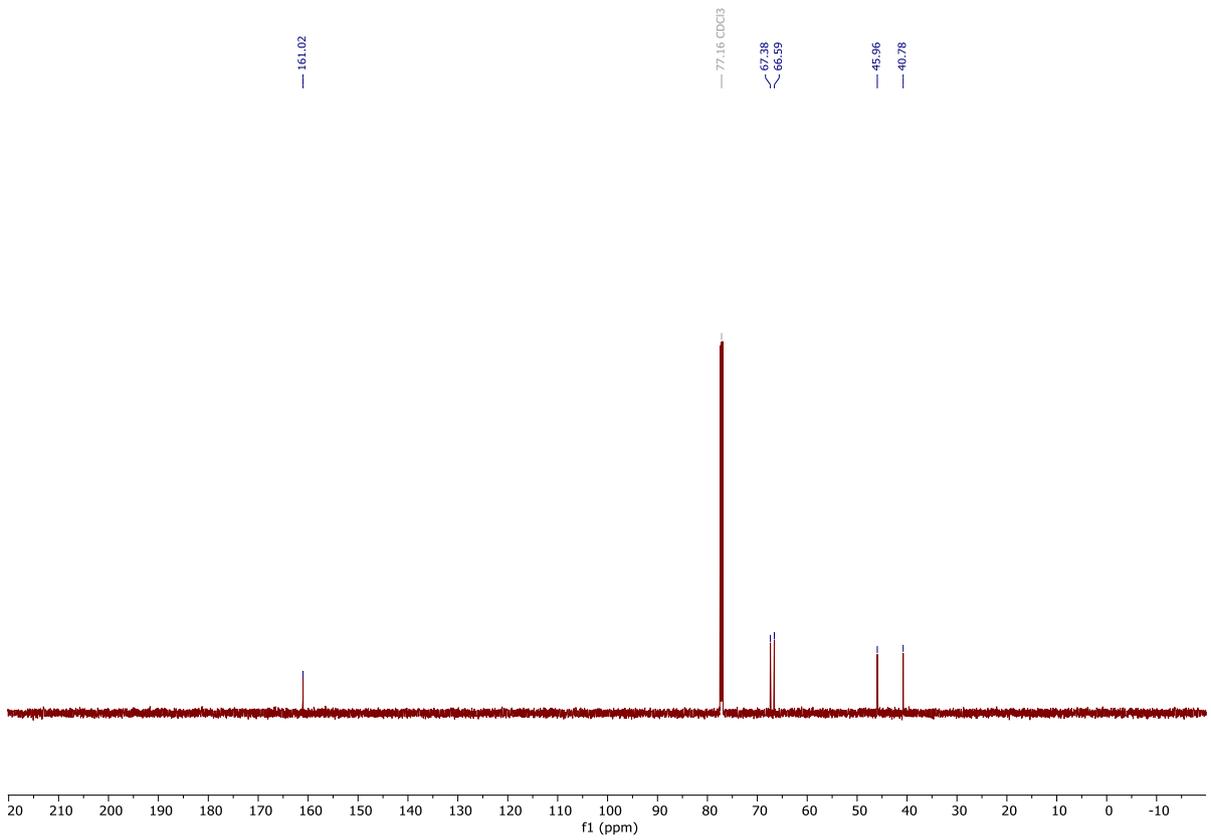
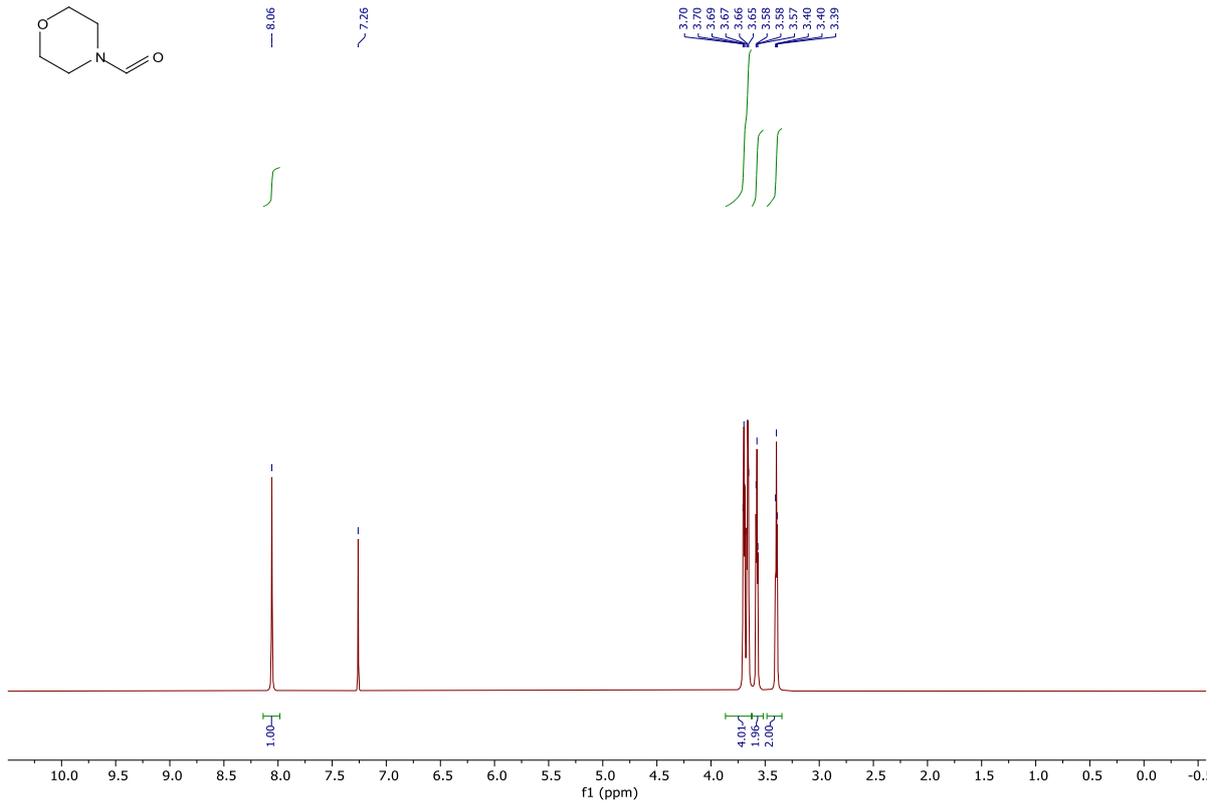


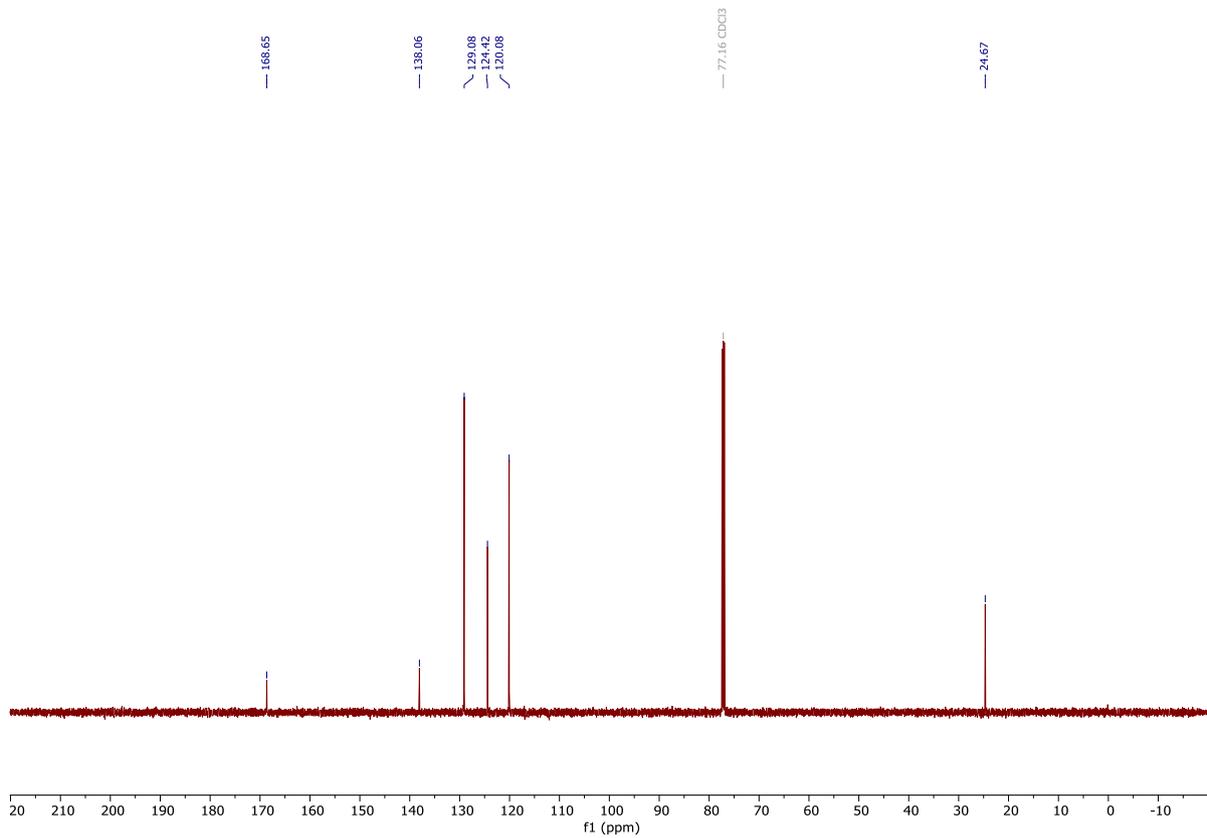
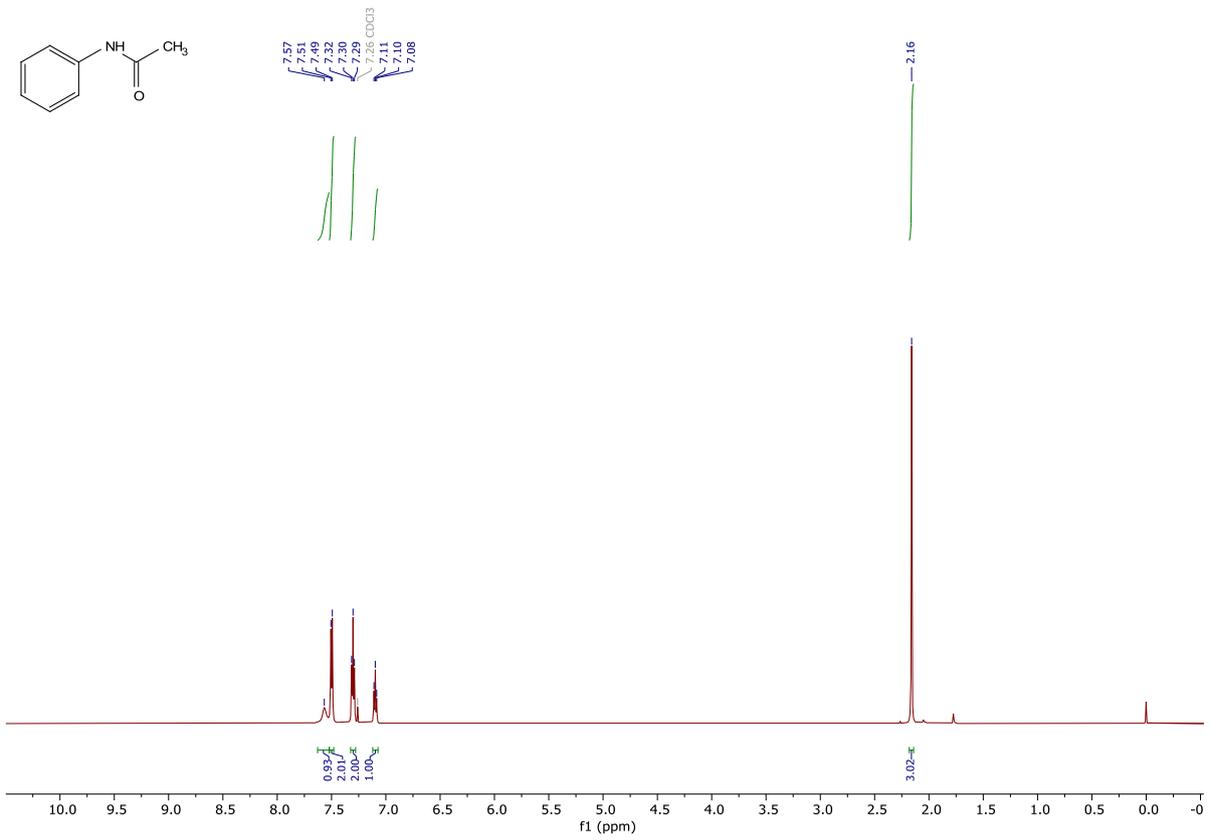


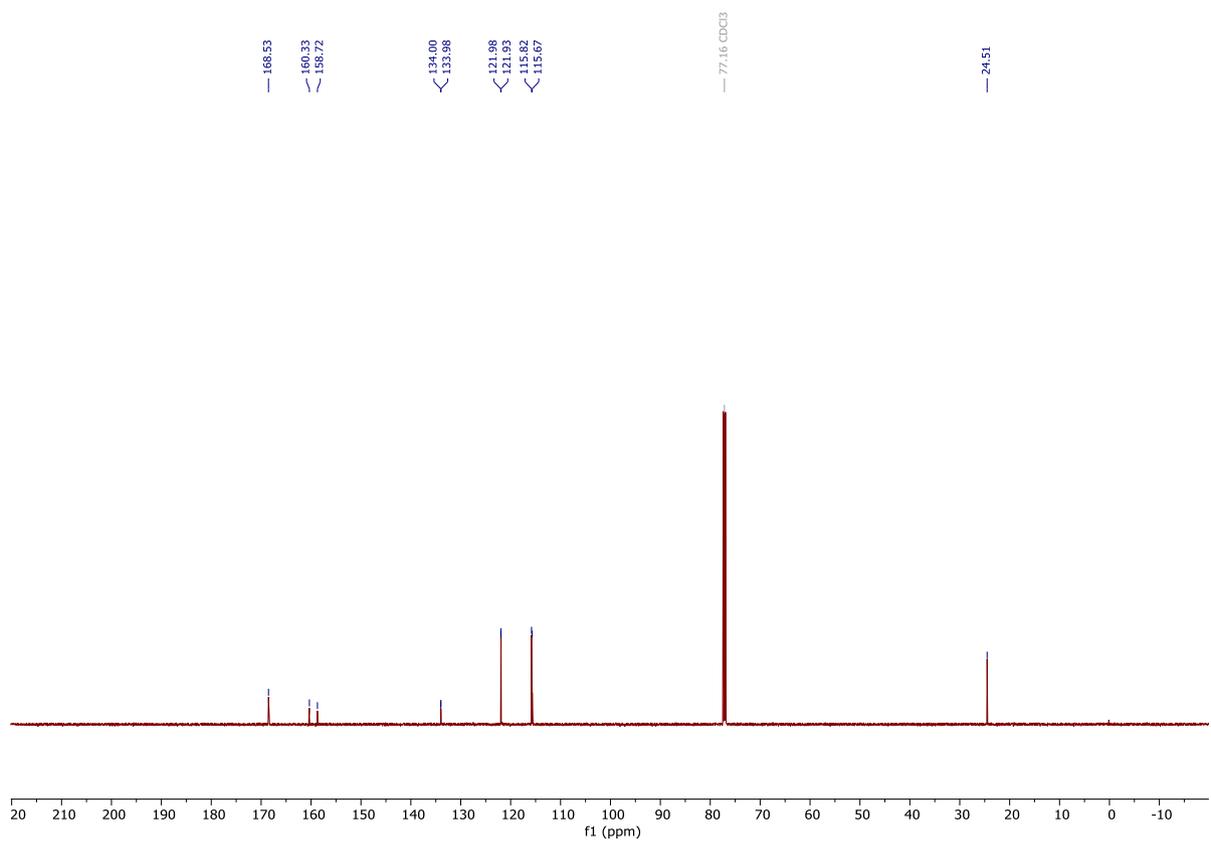
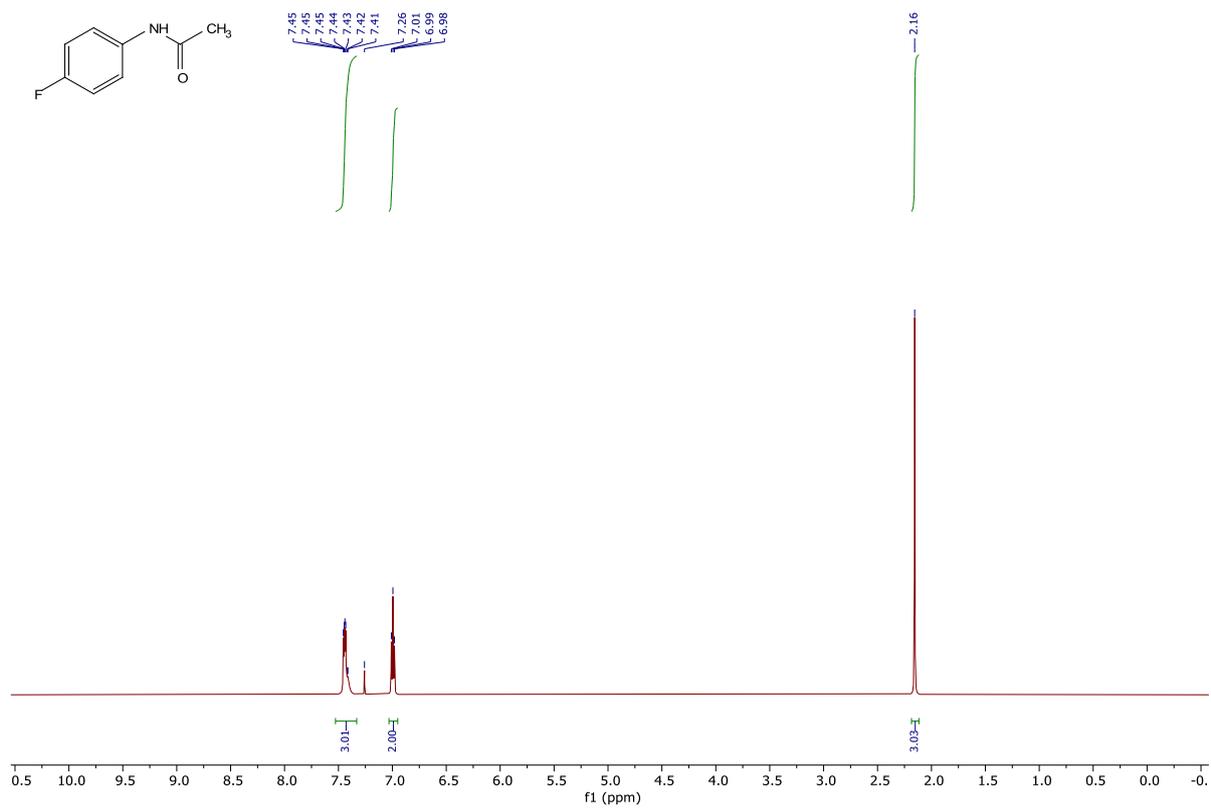


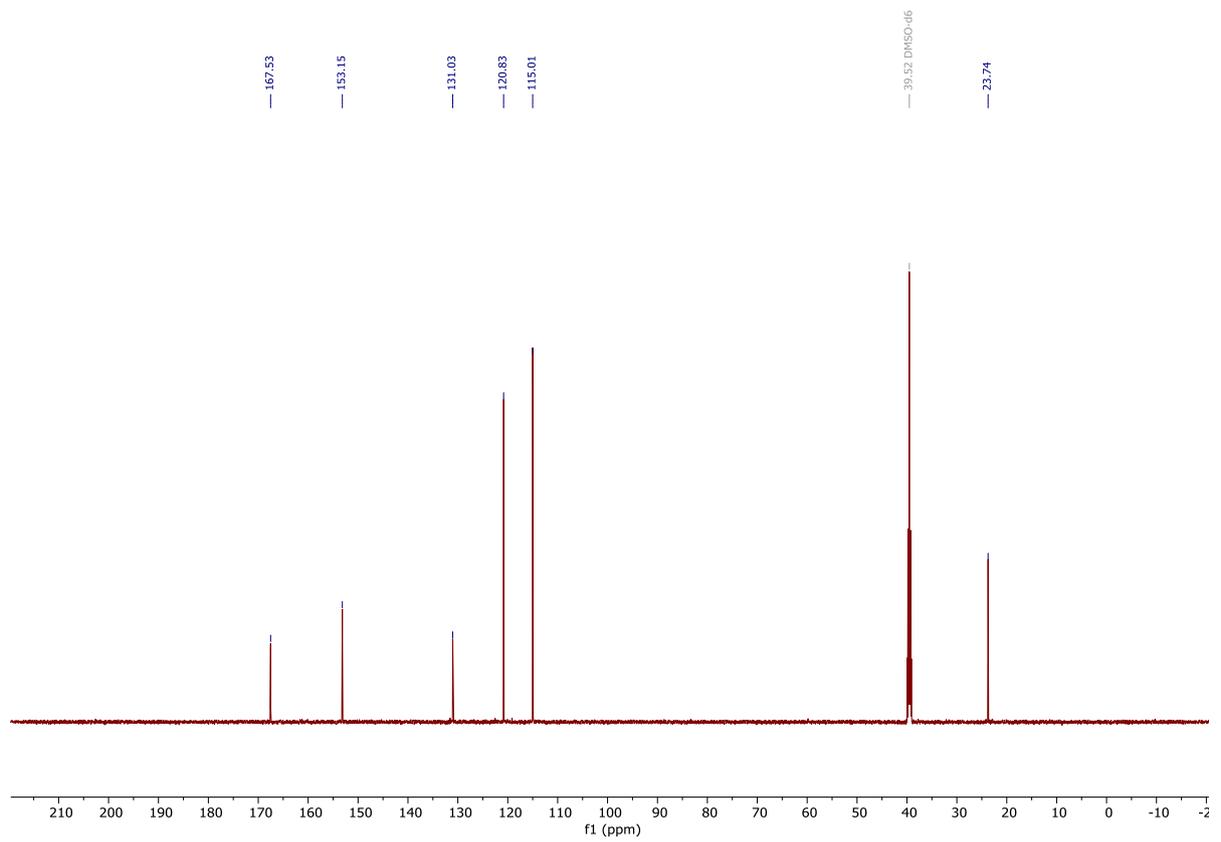
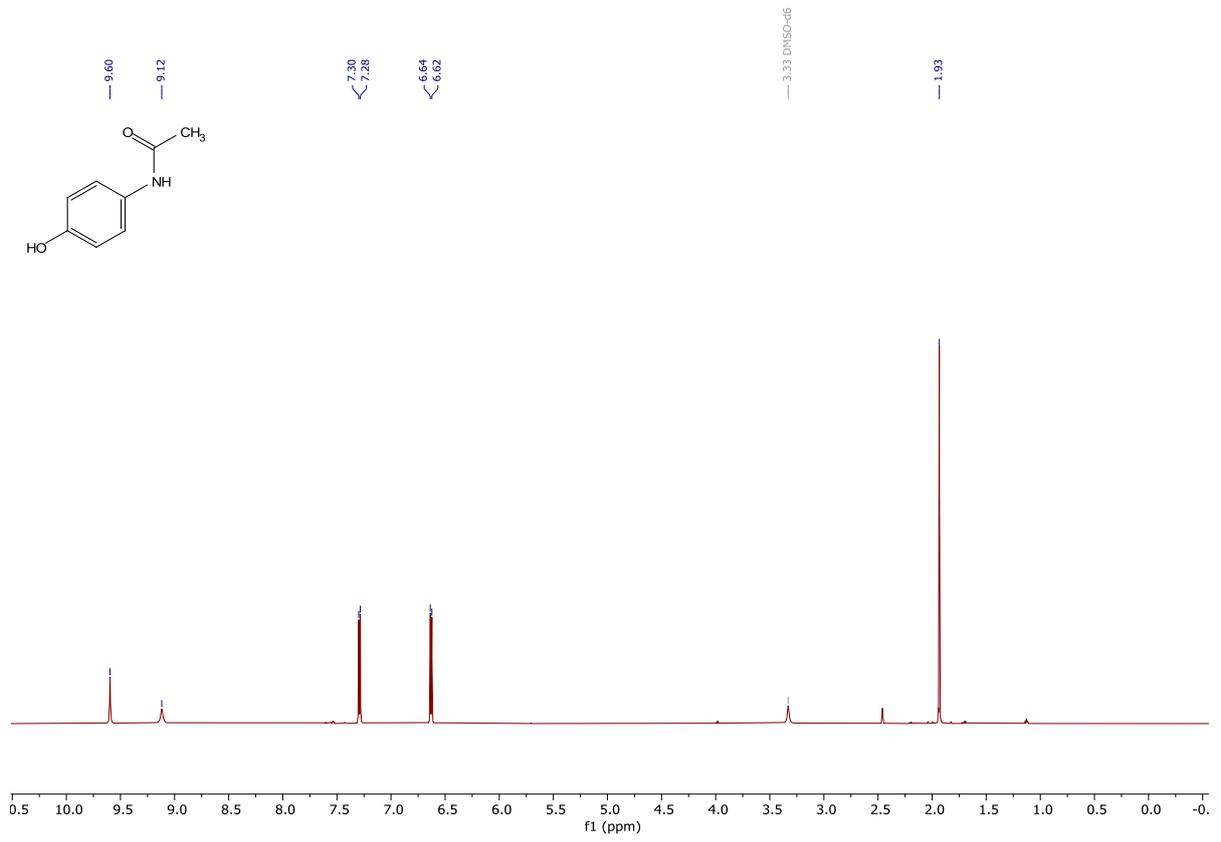


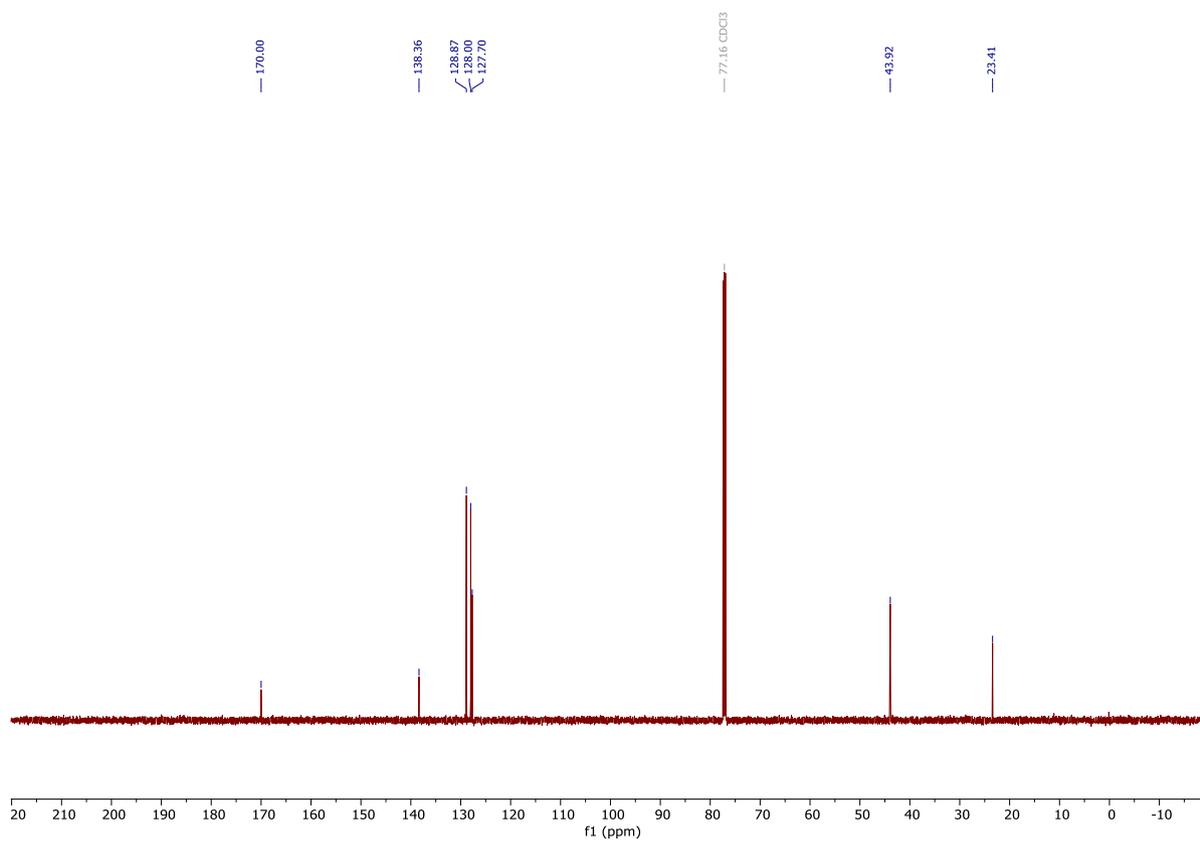
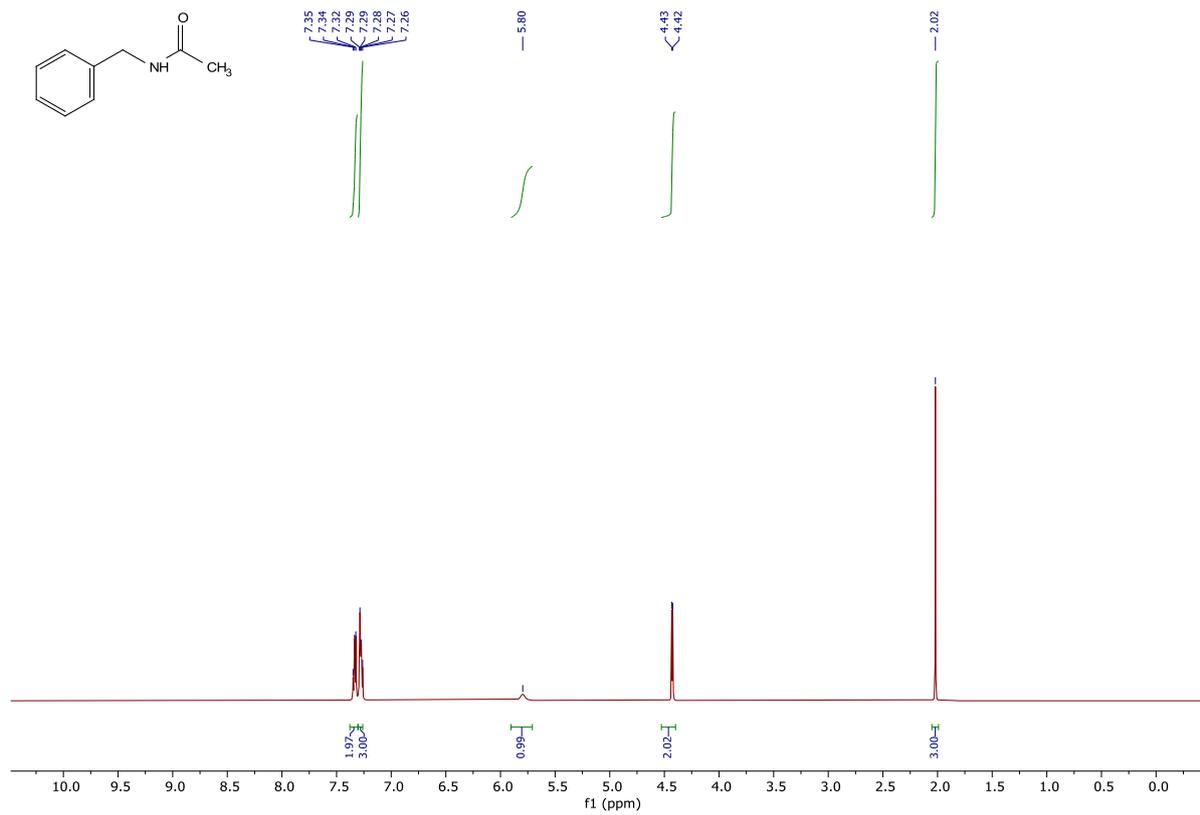


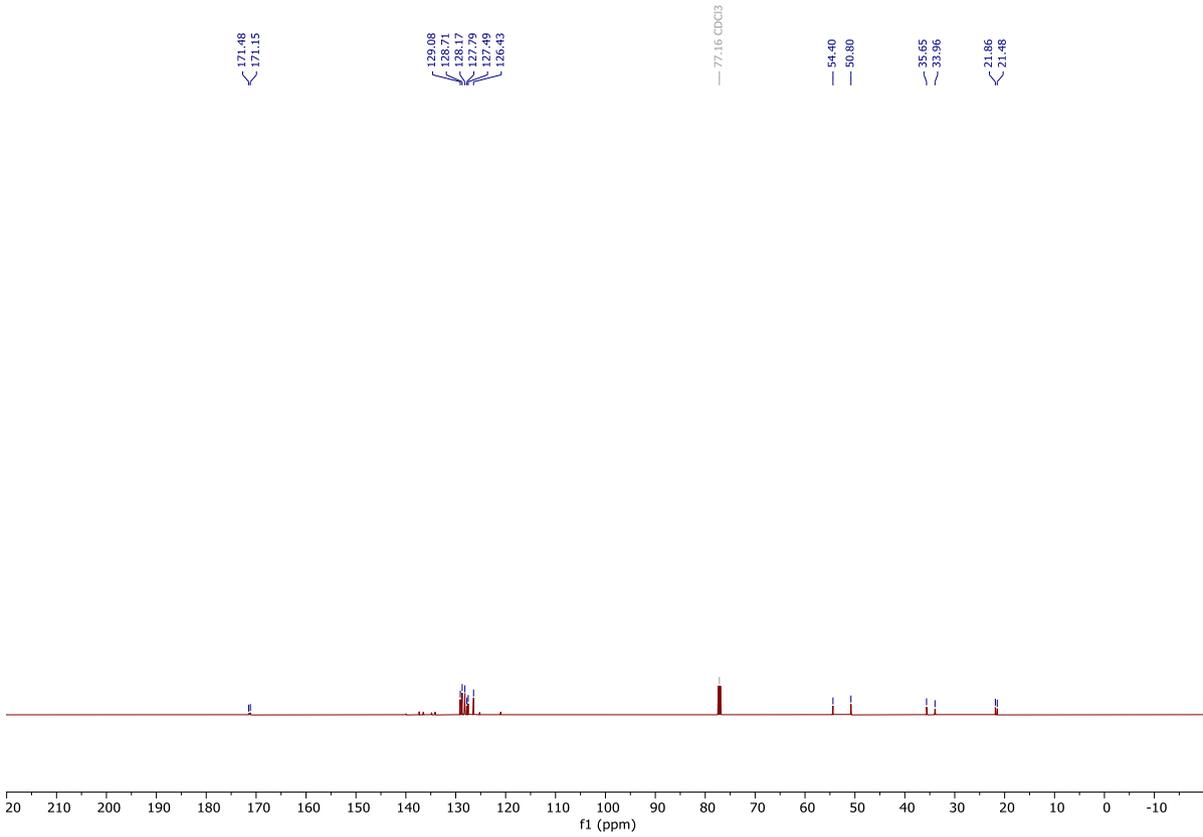
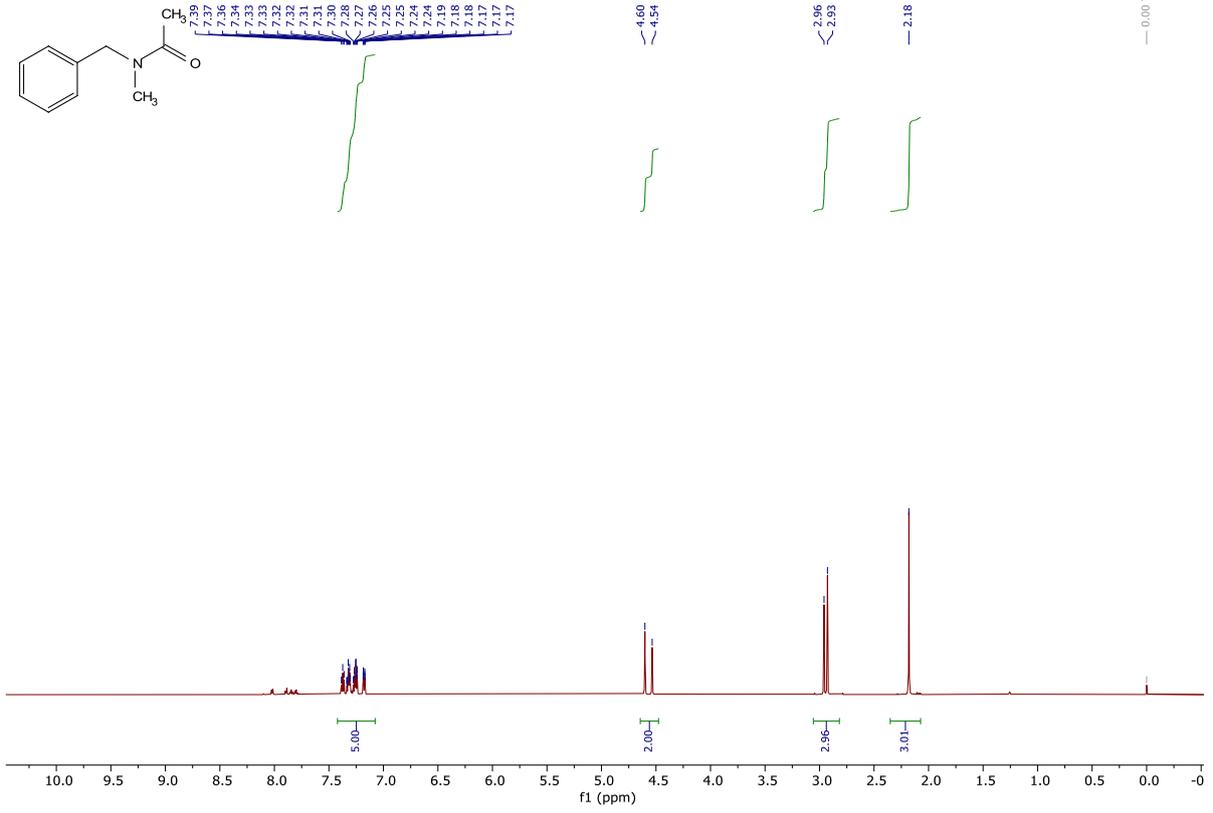


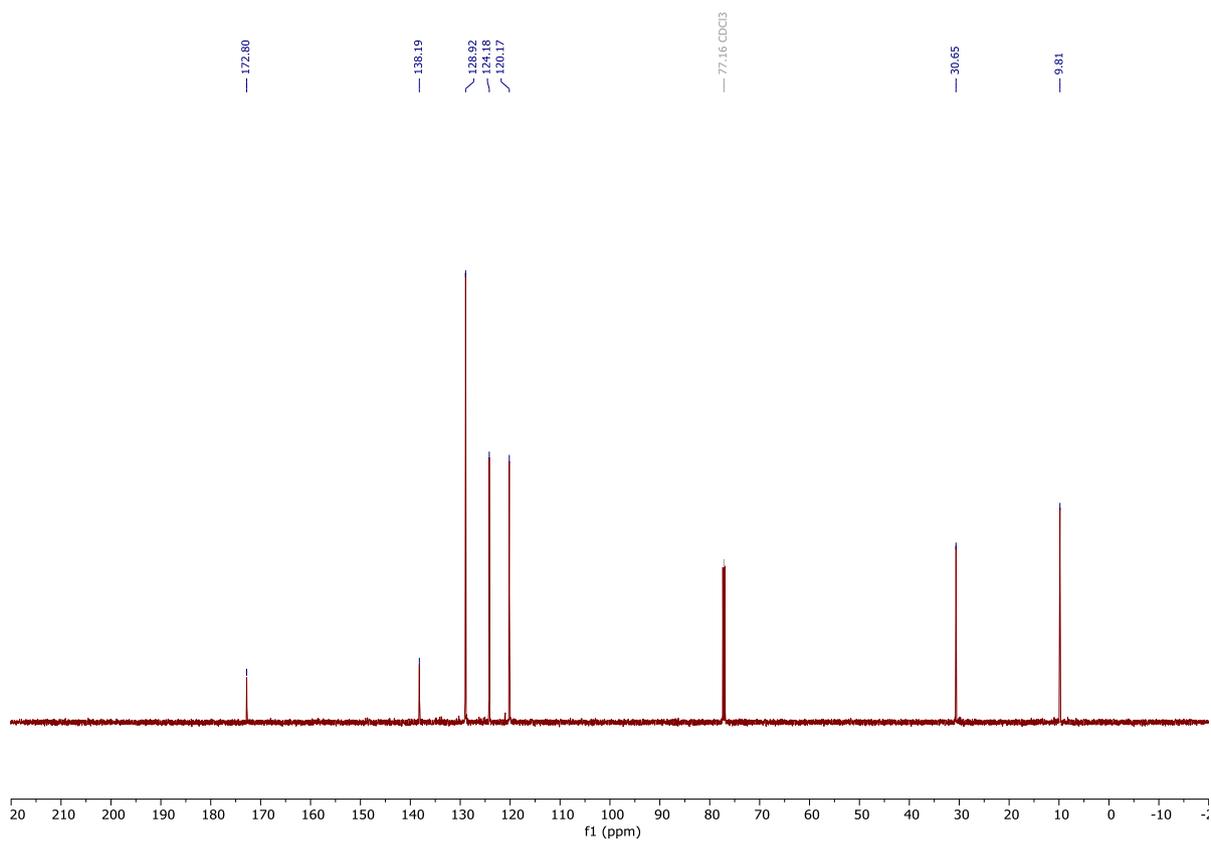
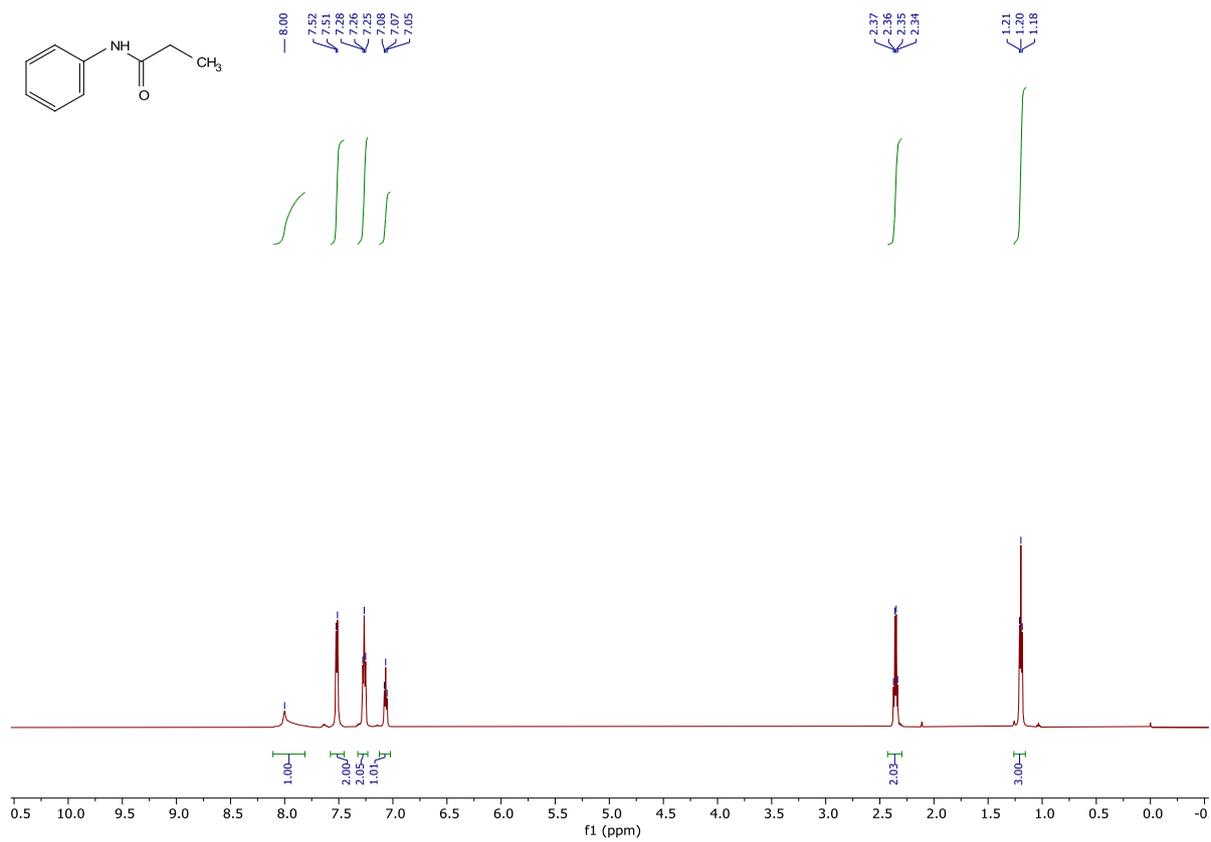


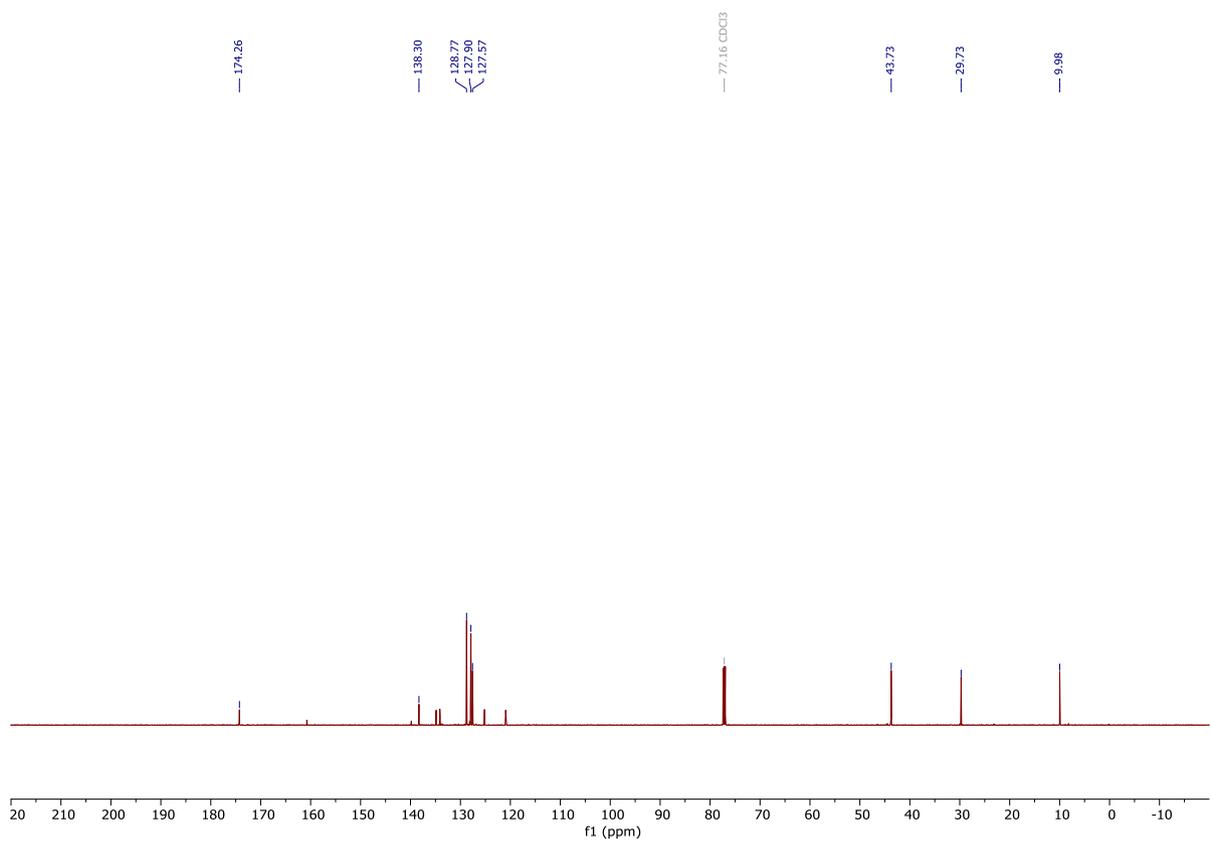
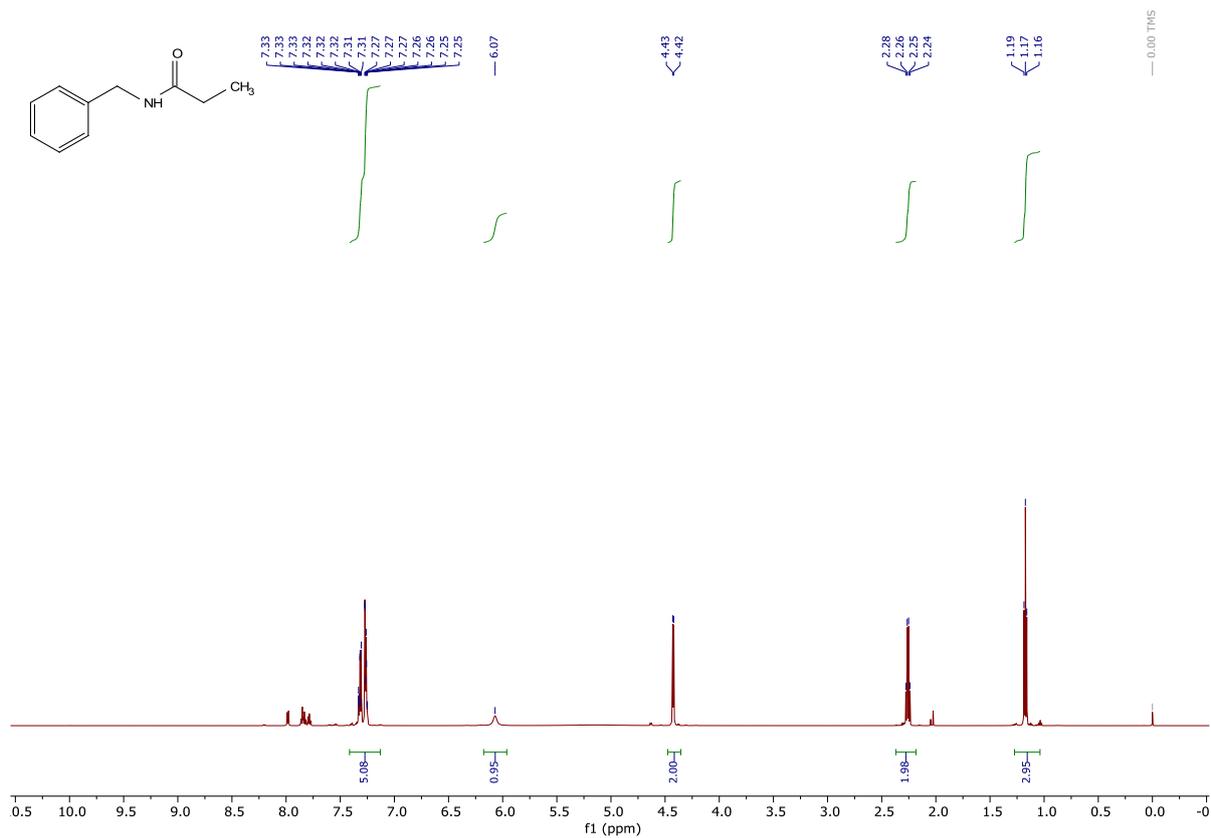












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