

Supporting Information

From Amides to Urea Derivatives or Carbamates with Chemospecific C-C Bond Cleavage at Room Temperature

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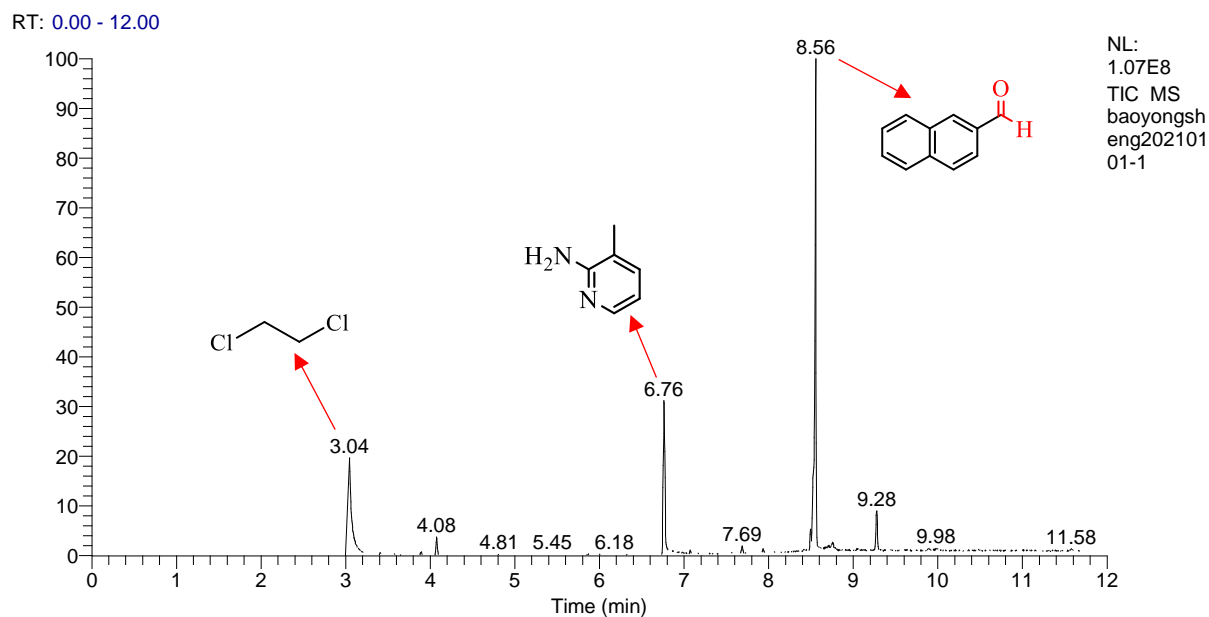
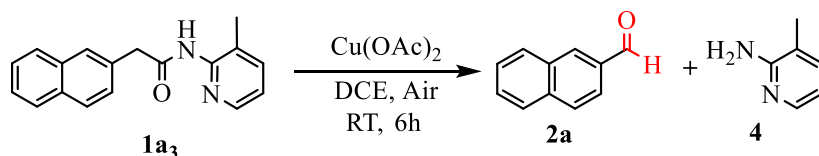
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1. General Information

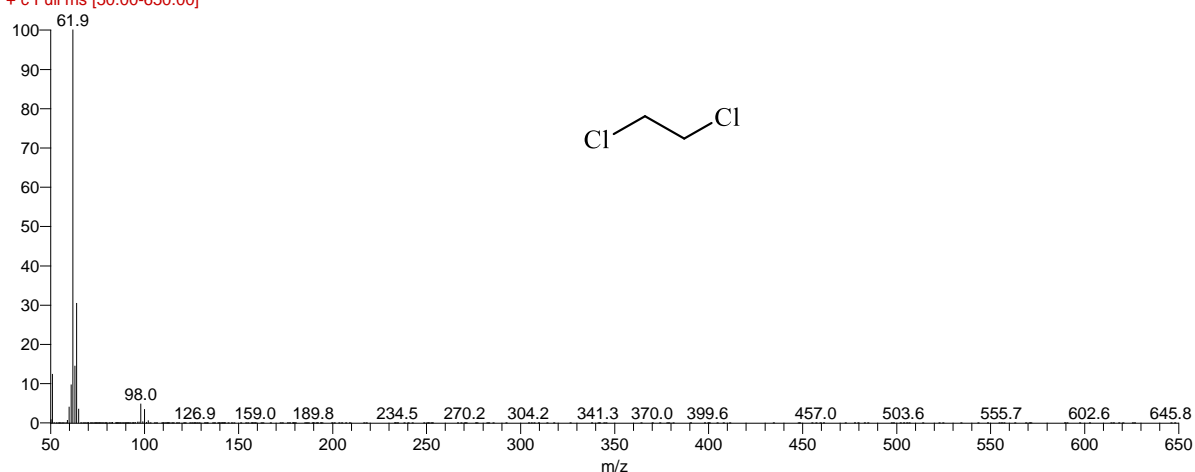
All the reagents were purchased from Aladdin and Alfa without further purification. Thin layer chromatography (TLC) was performed on pre-coated silica gel GF254 plates. The ^1H NMR and ^{13}C NMR spectra were measured on a 600 MHz Bruker Avance III nuclear magnetic resonance spectrometer, using CDCl_3 or DMSO as the solvent with tetramethylsilane (TMS) as the internal standard. Chemical shifts (δ) are expressed in ppm. The structures of known compounds were further corroborated by comparing their ^1H NMR data with those of literature. The GC-MS analysis was detected on a Thermo DSQ-II with a DB-5 column. The HRMS(ESI) analysis was detected on a Bruker ultrafleXtreme MALDI TOF/TOF. The ESR/EPR analysis was detected on a Bruker EMXnano.

2. GC-MS Analysis of Reaction of **1a₃**



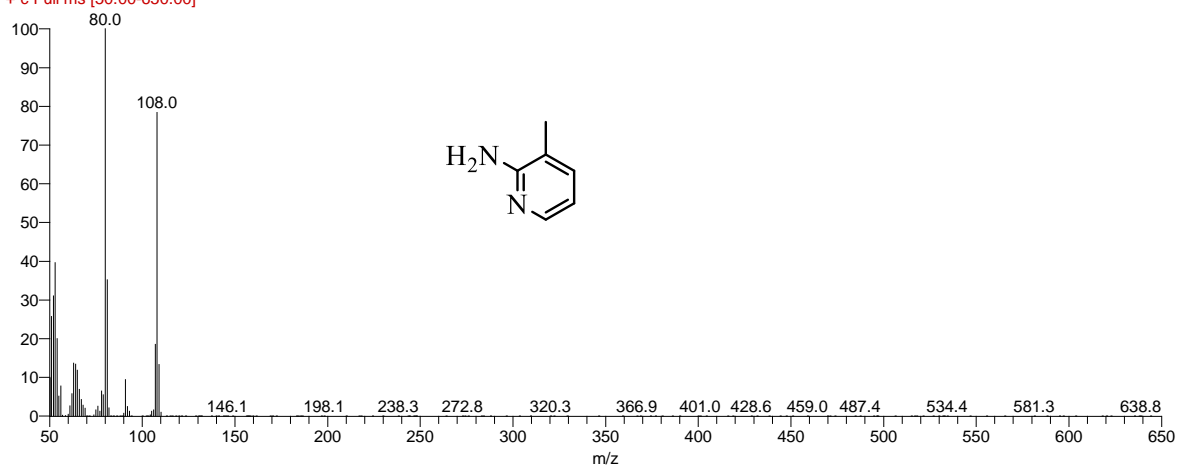
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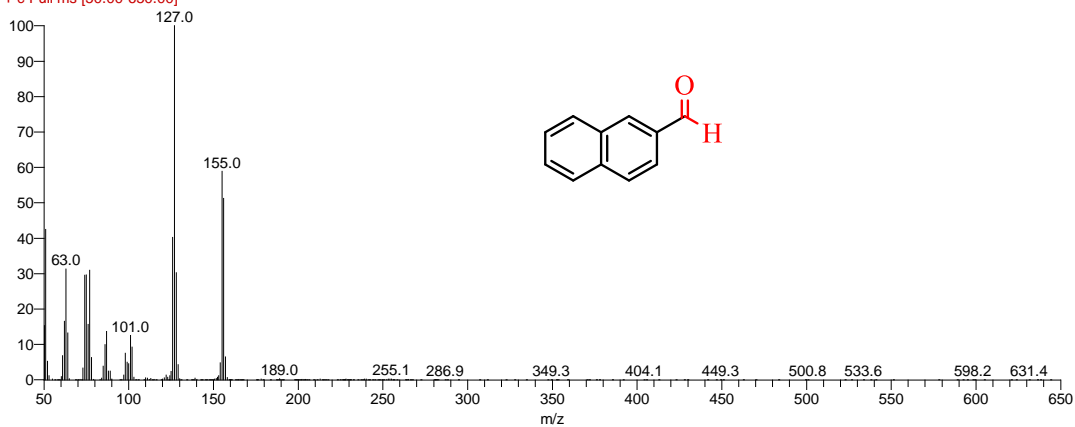
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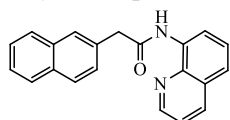
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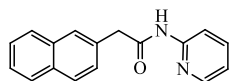
3. Experimental Section and Characterization Data

3.1 Preparation of amides (1a-1z)

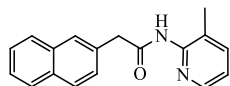
A mixture of carboxylic acid (10 mmol), amine (10 mmol), HOBt (1-Hydroxybenzotriazole, 10 mmol) and 1-ethyl-3-(3-dimethylaminopropyl) carbodiimide hydrochloride (EDC·HCl, 11 mmol) in THF (50 mL) was stirred overnight at 25°C. The resulting mixture was filtered and the filtrate was evaporated in vacuo. The residue was purified by flash column chromatography (silica gel, ethyl ether/petroleum ether = 1:3 as eluent), affording amides **1a-1z**.



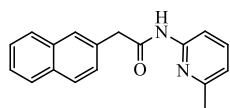
2-(naphthalen-2-yl)-N-(quinolin-8-yl)acetamide **1a**. ¹H NMR (600 MHz, CDCl₃) δ 9.99 (s, 1H), 8.76 (d, *J* = 7.6 Hz, 1H), 8.57 (d, *J* = 2.9 Hz, 1H), 8.07 (d, *J* = 7.4 Hz, 1H), 7.89 (s, 1H), 7.88-7.82 (m, 3H), 7.55 (d, *J* = 7.5 Hz, 1H), 7.51-7.43 (m, 4H), 7.36-7.31 (m, 1H), 4.04 (s, 2H); ¹³C NMR (151 MHz, CDCl₃) δ 169.4, 148.1, 138.4, 136.2, 134.4, 133.7, 132.6, 128.7, 128.3, 127.8, 127.8, 127.7, 127.4, 127.3, 126.2, 125.9, 121.6, 121.5, 116.4, 45.5.



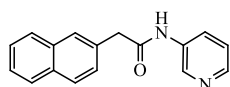
2-(naphthalen-2-yl)-N-(pyridin-2-yl)acetamide **1a2**. ¹H NMR (600 MHz, CDCl₃) δ 8.24 (d, *J* = 8.4 Hz, 1H), 8.17 (d, *J* = 4.7 Hz, 1H), 8.13 (s, 1H), 7.87-7.80 (m, 3H), 7.78 (s, 1H), 7.68 (t, *J* = 7.9 Hz, 1H), 7.51-7.47 (m, 2H), 7.43 (d, *J* = 8.4 Hz, 1H), 7.01 – 6.97 (m, 1H), 3.92 (s, 2H); ¹³C NMR (151 MHz, CDCl₃) δ 169.6, 151.1, 147.5, 138.6, 133.6, 132.7, 131.3, 129.1, 128.5, 127.8, 127.1, 126.5, 126.2, 112.0, 114.0, 45.25.



N-(3-methylpyridin-2-yl)-2-(naphthalen-2-yl)acetamide **1a3**. ¹H NMR (600 MHz, CDCl₃) δ 8.62 (s, 1H), 8.05 (s, 1H), 7.72 (d, *J* = 8.4 Hz, 2H), 7.69 (d, *J* = 11.9 Hz, 2H), 7.41 (d, *J* = 7.5 Hz, 1H), 7.37 (t, *J* = 4.5 Hz, 3H), 6.94 (m, 1H), 3.85 (s, 2H), 2.10 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 170.0, 149.5, 145.5, 139.9, 133.5, 132.5, 132.2, 128.7, 128.3, 127.7, 127.6, 127.3, 126.3, 125.9, 121.72, 44.2, 18.1.

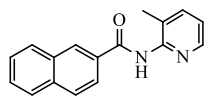


N-(6-methylpyridin-2-yl)-2-(naphthalen-2-yl)acetamide **1a4**. ¹H NMR (600 MHz, CDCl₃) δ 8.04 (d, *J* = 8.3 Hz, 1H), 8.00 (s, 1H), 7.88-7.82 (m, 3H), 7.80 (s, 1H), 7.58 (t, *J* = 7.9 Hz, 1H), 7.52-7.47 (m, 2H), 7.45 (d, *J* = 8.4 Hz, 1H), 6.86 (d, *J* = 7.5 Hz, 1H), 3.90 (s, 2H), 2.38 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 169.4, 156.4, 150.3, 139.0, 133.6, 132.7, 131.4, 129.0, 128.4, 127.8, 127.7, 127.2, 126.4, 126.1, 119.4, 110.8, 45.3, 23.7.

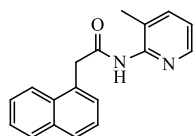


2-(naphthalen-2-yl)-N-(pyridin-3-yl)acetamide **1a5**. ¹H NMR (600 MHz, CDCl₃) δ 8.46 (d, *J* = 2.3 Hz, 1H), 8.28 – 8.21 (m, 2H), 8.07 (d, *J* = 8.4 Hz, 1H), 7.81 (d, *J* = 8.7 Hz, 2H), 7.77-7.74 (m, 1H), 7.70

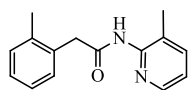
(s, 1H), 7.50-7.44 (m, 2H), 7.38 (d, $J = 6.9$ Hz, 1H), 7.20-7.14 (m, 1H), 3.84 (s, 2H); ^{13}C NMR (151 MHz, CDCl_3) δ 169.9, 145.0, 141.0, 135.0, 133.5, 132.6, 131.3, 129.0, 128.3, 127.7, 127.7, 127.5, 127.1, 126.5, 126.2, 123.7, 44.6.



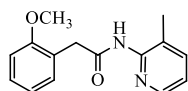
N-(3-methylpyridin-2-yl)-2-naphthamide **1a6**. ^1H NMR (600 MHz, CDCl_3) δ 9.14 (s, 1H), 8.49 (s, 1H), 8.24 (d, $J = 4.0$ Hz, 1H), 7.99 (d, $J = 8.5$ Hz, 1H), 7.92-7.86 (m, 3H), 7.62 (d, $J = 7.5$ Hz, 1H), 7.58 (t, $J = 7.1$ Hz, 1H), 7.54 (t, $J = 7.4$ Hz, 1H), 7.16-7.10 (m, 1H), 2.37 (s, 3H); ^{13}C NMR (151 MHz, CDCl_3) δ 166.3, 150.0, 145.3, 140.2, 135.1, 132.6, 131.3, 129.5, 129.1, 128.6, 128.5, 127.9, 127.8, 126.8, 124.0, 121.8, 18.5.



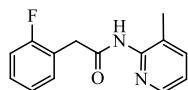
N-(3-methylpyridin-2-yl)-2-(naphthalen-1-yl)acetamide **1b**. ^1H NMR (600 MHz, CDCl_3) δ 8.58 (s, 1H), 8.09 (d, $J = 8.3$ Hz, 1H), 7.99 (d, $J = 3.9$ Hz, 1H), 7.83 (d, $J = 7.9$ Hz, 1H), 7.75 (d, $J = 8.1$ Hz, 1H), 7.51 (t, $J = 7.5$ Hz, 1H), 7.47 (t, $J = 7.4$ Hz, 1H), 7.41-7.34 (m, 3H), 6.96-6.91 (m, 1H), 4.19 (s, 2H), 2.03 (s, 3H). ^{13}C NMR (151 MHz, CDCl_3) δ 169.7, 149.3, 145.4, 139.7, 133.9, 132.2, 131.0, 128.7, 128.5, 128.4, 126.6, 126.0, 125.6, 123.9, 121.6, 42.0, 17.9.



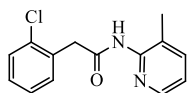
N-(3-methylpyridin-2-yl)-2-(o-tolyl)acetamide **1c**. ^1H NMR (600 MHz, CDCl_3) δ 8.19 (d, $J = 4.4$ Hz, 1H), 7.82 (s, 1H), 7.51 (d, $J = 7.5$ Hz, 1H), 7.29 (d, $J = 6.6$ Hz, 1H), 7.26-7.19 (m, 3H), 7.09-7.03 (m, 1H), 3.82 (s, 2H), 2.38 (s, 3H), 2.18 (s, 3H); ^{13}C NMR (151 MHz, CDCl_3) δ 169.5, 149.2, 145.7, 139.9, 137.3, 133.1, 130.9, 130.6, 128.3, 128.0, 126.7, 121.7, 42.2, 19.7, 18.1.



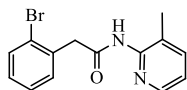
2-(2-methoxyphenyl)-N-(3-methylpyridin-2-yl)acetamide **1d**. ^1H NMR (600 MHz, CDCl_3) δ 8.20 (d, $J = 4.5$ Hz, 1H), 8.06 (s, 1H), 7.49 (d, $J = 7.4$ Hz, 1H), 7.33-7.27 (m, 2H), 7.03 (t, 1H), 6.96 (t, $J = 7.4$ Hz, 1H), 6.92 (d, $J = 8.2$ Hz, 1H), 3.88 (s, 3H), 3.77 (s, 2H), 2.16 (s, 3H); ^{13}C NMR (151 MHz, CDCl_3) δ 169.7, 157.2, 149.5, 145.8, 139.7, 131.4, 129.0, 128.1, 123.4, 121.5, 121.1, 110.8, 55.5, 39.3, 17.9.



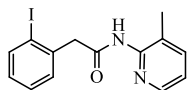
2-(2-fluorophenyl)-N-(3-methylpyridin-2-yl)acetamide **1e**. ^1H NMR (600 MHz, CDCl_3) δ 8.87 (s, 1H), 8.10 (s, 1H), 7.45 (d, $J = 7.4$ Hz, 1H), 7.27 (t, $J = 7.3$ Hz, 1H), 7.18 (d, $J = 9.3$ Hz, 1H), 7.05-6.96 (m, 3H), 3.75 (s, 2H), 2.14 (s, 3H); ^{13}C NMR (151 MHz, CDCl_3) δ 167.90, 160.07 (d, $J = 246.1$ Hz, 1C), 148.51, 144.39, 139.00, 130.75 (d, $J = 4.0$ Hz, 1C), 128.21 (d, $J = 8.0$ Hz, 1C), 127.81, 123.45 (d, $J = 3.4$ Hz, 1C), 121.04 (d, $J = 15.8$ Hz, 1C), 120.72, 114.50 (d, $J = 21.7$ Hz, 1C), 36.02, 17.01.



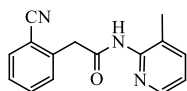
2-(2-chlorophenyl)-N-(3-methylpyridin-2-yl)acetamide **1f**. ^1H NMR (600 MHz, CDCl_3) δ 8.83 (s, 1H), 8.18 (d, $J = 4.3$ Hz, 1H), 7.52 (d, $J = 7.4$ Hz, 1H), 7.42-7.36 (m, 2H), 7.25-7.17 (m, 2H), 7.10-7.04 (m, 1H), 3.93 (s, 2H), 2.23 (s, 3H); ^{13}C NMR (151 MHz, CDCl_3) δ 168.7, 149.5, 145.5, 140.0, 134.6, 133.0, 131.9, 129.7, 129.0, 127.3, 121.7, 41.6, 18.2.



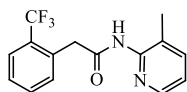
2-(2-bromophenyl)-N-(3-methylpyridin-2-yl)acetamide **1g**. ^1H NMR (600 MHz, CDCl_3) δ 8.76 (s, 1H), 8.18 (d, $J = 4.0$ Hz, 1H), 7.58 (d, $J = 7.9$ Hz, 1H), 7.52 (d, $J = 7.3$ Hz, 1H), 7.39 (d, $J = 7.5$ Hz, 1H), 7.28 (s, 1H), 7.13 (d, $J = 1.0$ Hz, 1H), 7.10-7.03 (m, 1H), 3.95 (s, 2H), 2.25 (s, 3H); ^{13}C NMR (151 MHz, CDCl_3) δ 168.5, 149.5, 145.5, 140.0, 134.7, 133.0, 131.9, 129.1, 127.9, 125.1, 121.70, 44.1, 18.3.



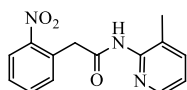
2-(2-iodophenyl)-N-(3-methylpyridin-2-yl)acetamide **1h**. ^1H NMR (600 MHz, CDCl_3) δ 8.22 (d, $J = 4.4$ Hz, 1H), 7.89 (d, $J = 7.9$ Hz, 2H), 7.56 (d, $J = 7.4$ Hz, 1H), 7.44 (d, $J = 7.5$ Hz, 1H), 7.37 (t, $J = 7.4$ Hz, 1H), 7.12-7.06 (m, 1H), 7.00 (t, $J = 7.6$ Hz, 1H), 4.02 (s, 2H), 2.29 (s, 3H); ^{13}C NMR (151 MHz, CDCl_3) δ 168.4, 149.3, 145.5, 140.0, 139.7, 138.2, 131.1, 129.2, 128.9, 121.7, 101.35, 48.7, 18.4.



2-(2-cyanophenyl)-N-(3-methylpyridin-2-yl)acetamide **1i**. ^1H NMR (600 MHz, CDCl_3) δ 9.16 (s, 1H), 8.24 (d, $J = 4.6$ Hz, 1H), 7.67 (d, $J = 7.7$ Hz, 1H), 7.64 (d, $J = 7.1$ Hz, 1H), 7.56 (t, $J = 7.6$ Hz, 1H), 7.51 (d, $J = 7.8$ Hz, 1H), 7.38 (t, $J = 7.6$ Hz, 1H), 7.19-7.11 (m, 1H), 4.11 (s, 2H), 2.29 (s, 3H); ^{13}C NMR (151 MHz, CDCl_3) δ 167.7, 149.4, 145.5, 140.1, 138.6, 133.1, 132.8, 131.0, 127.7, 121.8, 117.9, 113.3, 41.7, 18.1.

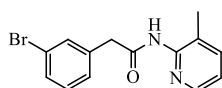


N-(3-methylpyridin-2-yl)-2-(2-(trifluoromethyl)phenyl)acetamide **1j**. ^1H NMR (600 MHz, CDCl_3) δ 8.82 (s, 1H), 8.20 (d, $J = 4.4$ Hz, 1H), 7.67 (d, $J = 7.8$ Hz, 1H), 7.57-7.48 (m, 3H), 7.38 (t, $J = 7.2$ Hz, 1H), 7.10-7.05 (m, 1H), 4.01 (s, 2H), 2.22 (s, 3H); ^{13}C NMR (151 MHz, CDCl_3) δ 168.8, 149.5, 145.4, 140.1, 133.0, 132.9, 132.2, 129.0 (q, $J = 29.9$ Hz, 1C), 127.5, 126.2 (q, $J = 5.4$ Hz, 1C), 124.4 (q, $J = 272.1$ Hz, 1C), 121.8, 40.4, 18.0.

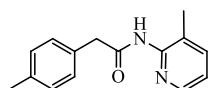


N-(3-methylpyridin-2-yl)-2-(2-nitrophenyl)acetamide **1k**. ^1H NMR (600 MHz, DMSO) δ 10.28 (s, 1H), 8.25 (d, $J = 4.4$ Hz, 1H), 8.05 (d, $J = 8.1$ Hz, 1H), 7.71 (t, $J = 7.5$ Hz, 1H), 7.67 (d, $J = 7.5$ Hz, 1H), 7.59 (d, $J = 7.6$ Hz, 1H), 7.56 (t, $J = 7.8$ Hz, 1H), 7.22-7.18 (m, 1H), 4.18 (s, 2H), 2.14 (s, 3H); ^{13}C NMR (151 MHz, DMSO) δ 168.5, 150.4, 149.7, 146.1, 140.1, 134.3, 134.1, 131.2, 129.3, 129.0, 125.2, 122.3,

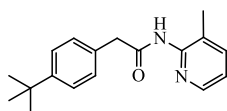
40.7, 18.1.



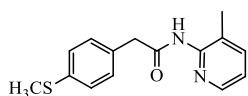
2-(3-bromophenyl)-N-(3-methylpyridin-2-yl)acetamide **1l**. ^1H NMR (600 MHz, CDCl_3) δ 9.59 (s, 1H), 8.17 (d, $J = 3.9$ Hz, 1H), 7.54 (d, $J = 7.3$ Hz, 1H), 7.48 (s, 1H), 7.36 (d, $J = 7.9$ Hz, 1H), 7.22 (d, $J = 7.6$ Hz, 1H), 7.15 (t, $J = 7.8$ Hz, 1H), 7.12-7.06 (m, 1H), 3.73 (s, 2H), 2.20 (s, 3H); ^{13}C NMR (151 MHz, CDCl_3) δ 169.5, 149.6, 145.3, 140.2, 137.1, 132.4, 130.3, 130.2, 128.0, 122.7, 121.9, 43.0, 18.1.



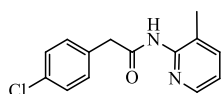
N-(3-methylpyridin-2-yl)-2-(p-tolyl)acetamide **1m**. ^1H NMR (600 MHz, CDCl_3) δ 8.19 (d, $J = 4.5$ Hz, 2H), 7.52 (d, $J = 7.5$ Hz, 1H), 7.23 (d, $J = 7.7$ Hz, 2H), 7.16 (d, $J = 7.7$ Hz, 2H), 7.09-7.04 (m, 1H), 3.75 (s, 2H), 2.33 (s, 3H), 2.18 (s, 3H); ^{13}C NMR (151 MHz, CDCl_3) δ 170.1, 149.4, 145.6, 139.9, 137.1, 131.5, 129.8, 129.4, 121.1, 43.7, 21.1, 18.1.



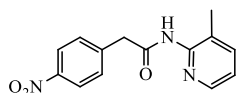
2-(4-(tert-butyl)phenyl)-N-(3-methylpyridin-2-yl)acetamide **1n**. ^1H NMR (600 MHz, CDCl_3) δ 8.52 (s, 1H), 8.17 (d, $J = 4.4$ Hz, 1H), 7.52 (d, $J = 7.4$ Hz, 1H), 7.37 (d, $J = 7.6$ Hz, 2H), 7.27 (d, $J = 7.7$ Hz, 2H), 7.08-7.04 (m, 1H), 3.76 (s, 2H), 2.19 (s, 3H), 1.31 (s, 9H); ^{13}C NMR (151 MHz, CDCl_3) δ 170.1, 150.3, 149.5, 145.5, 139.9, 131.6, 129.2, 125.9, 121.7, 43.5, 34.5, 31.3, 18.1.



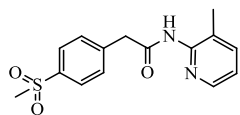
N-(3-methylpyridin-2-yl)-2-(4-(methylthio)phenyl)acetamide **1o**. ^1H NMR (600 MHz, CDCl_3) δ 8.72 (s, 1H), 8.17 (d, $J = 4.1$ Hz, 1H), 7.54 (d, $J = 7.5$ Hz, 1H), 7.25-7.20 (m, 4H), 7.08 (dd, $J = 7.5, 4.8$ Hz, 1H), 3.73 (s, 2H), 2.46 (s, 3H), 2.20 (s, 3H). ^{13}C NMR (151 MHz, CDCl_3) δ 169.9, 149.5, 145.5, 140.0, 137.6, 131.4, 129.9, 127.1, 121.8, 43.3, 18.1, 15.8.



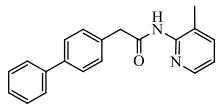
2-(4-chlorophenyl)-N-(3-methylpyridin-2-yl)acetamide **1p**. ^1H NMR (600 MHz, CDCl_3) δ 8.38 (s, 1H), 8.20 (d, $J = 4.4$ Hz, 1H), 7.56 (d, $J = 7.4$ Hz, 1H), 7.30 (d, $J = 8.3$ Hz, 2H), 7.27 (d, $J = 6.1$ Hz, 2H), 7.12-7.06 (m, 1H), 3.78 (s, 2H), 2.21 (s, 3H); ^{13}C NMR (151 MHz, CDCl_3) δ 168.7, 149.5, 145.5, 140.0, 134.6, 133.0, 131.9, 129.7, 129.0, 127.3, 121.7, 41.6, 18.2.



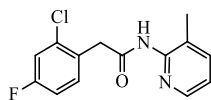
N-(3-methylpyridin-2-yl)-2-(4-nitrophenyl)acetamide **1q**. ^1H NMR (600 MHz, DMSO) δ 10.36 (s, 1H), 8.26 (d, $J = 4.2$ Hz, 1H), 8.22 (d, $J = 8.6$ Hz, 2H), 7.66 (d, $J = 7.5$ Hz, 1H), 7.63 (d, $J = 8.5$ Hz, 2H), 7.23-7.19 (m, 1H), 3.88 (s, 2H), 2.09 (s, 3H); ^{13}C NMR (151 MHz, DMSO) δ 168.6, 150.2, 146.8, 146.2, 144.5, 139.9, 131.0, 129.2, 123.8, 122.3, 42.4, 18.0.



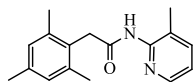
N-(3-methylpyridin-2-yl)-2-(4-(methylsulfonyl)phenyl)acetamide **1r**. ^1H NMR (600 MHz, DMSO) δ 10.33 (s, 1H), 8.25 (d, $J = 4.2$ Hz, 1H), 7.90 (d, $J = 8.2$ Hz, 2H), 7.66 (d, $J = 7.4$ Hz, 1H), 7.61 (d, $J = 8.1$ Hz, 2H), 7.27-7.17 (m, 1H), 3.83 (s, 2H), 3.21 (s, 3H), 2.10 (s, 3H); ^{13}C NMR (151 MHz, DMSO) δ 173.6, 155.0, 151.0, 147.2, 144.7, 144.4, 144.3, 135.4, 134.0, 132.2, 127.1, 48.8, 47.2, 22.8.



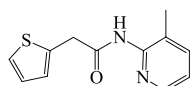
2-([1,1'-biphenyl]-4-yl)-N-(3-methylpyridin-2-yl)acetamide **1s**. ^1H NMR (600 MHz, CDCl_3) δ 8.63 (s, 1H), 8.19 (d, $J = 4.2$ Hz, 1H), 7.56 (d, $J = 6.9$ Hz, 4H), 7.53 (d, $J = 7.4$ Hz, 1H), 7.44-7.39 (m, 4H), 7.34 (t, $J = 7.3$ Hz, 1H), 7.10-7.05 (m, 1H), 3.84 (s, 2H), 2.22 (s, 3H); ^{13}C NMR (151 MHz, CDCl_3) δ 169.9, 149.5, 145.4, 140.6, 140.2, 140.1, 133.7, 129.9, 128.8, 127.7, 127.3, 127.0, 121.8, 43.53, 18.2.



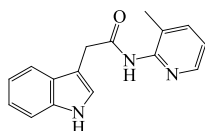
2-(2-chloro-4-fluorophenyl)-N-(3-methylpyridin-2-yl)acetamide **1t**. ^1H NMR (600 MHz, CDCl_3) δ 9.31 (s, 1H), 8.18 (d, $J = 4.1$ Hz, 1H), 7.55 (d, $J = 7.5$ Hz, 1H), 7.34-7.31 (m, 1H), 7.16-7.11 (m, 1H), 7.10-7.05 (m, 1H), 6.96-6.90 (m, 1H), 3.89 (s, 2H), 2.25 (s, 3H); ^{13}C NMR (151 MHz, CDCl_3) δ 168.6, 161.79 (d, $J = 249.5$ Hz, 1C), 149.6, 145.3, 140.2, 135.1 (d, $J = 10.3$ Hz, 1C), 132.8 (d, $J = 8.7$ Hz, 1C), 129.0, 121.8, 117.0 (d, $J = 24.8$ Hz, 1C), 114.4 (d, $J = 21.0$ Hz, 1C), 40.6, 18.2.



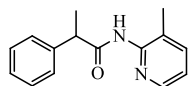
2-mesityl-N-(3-methylpyridin-2-yl)acetamide **1u**. ^1H NMR (600 MHz, CDCl_3) δ 8.22 (d, $J = 4.3$ Hz, 1H), 7.52 (d, $J = 7.4$ Hz, 1H), 7.45 (s, 1H), 7.08-7.04 (m, 1H), 6.94 (s, 2H), 3.82 (s, 2H), 2.36 (s, 6H), 2.29 (s, 3H), 2.18 (s, 3H); ^{13}C NMR (151 MHz, CDCl_3) δ 169.5, 149.2, 145.7, 139.9, 137.4, 137.3, 129.6, 128.5, 121.7, 38.0, 21.0, 20.3, 18.1.



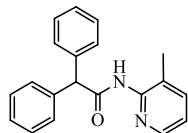
N-(3-methylpyridin-2-yl)-2-(thiophen-2-yl)acetamide **1v**. ^1H NMR (600 MHz, CDCl_3) δ 9.30 (s, 1H), 8.19 (d, $J = 4.4$ Hz, 1H), 7.53 (d, $J = 7.5$ Hz, 1H), 7.21 (d, $J = 5.0$ Hz, 1H), 7.11-7.06 (m, 1H), 7.00-6.91 (m, 2H), 3.98 (s, 2H), 2.22 (s, 3H); ^{13}C NMR (151 MHz, CDCl_3) δ 168.9, 149.6, 145.4, 140.1, 136.1, 127.2, 127.1, 125.3, 121.8, 37.7, 18.0.



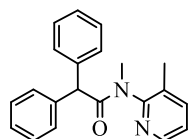
2-(1H-indol-3-yl)-N-(3-methylpyridin-2-yl)acetamide **1w**. ^1H NMR (600 MHz, DMSO) δ 10.89 (s, 1H), 10.07 (s, 1H), 8.23 (d, $J = 4.5$ Hz, 1H), 7.65 – 7.57 (m, 2H), 7.35 (d, $J = 8.1$ Hz, 1H), 7.25 (s, 1H), 7.20-7.15 (m, 1H), 7.07 (t, $J = 7.5$ Hz, 1H), 6.99 (t, $J = 7.4$ Hz, 1H), 3.76 (s, 2H), 2.05 (s, 3H); ^{13}C NMR (151 MHz, DMSO) δ 170.1, 150.5, 146.0, 139.5, 136.4, 129.1, 127.5, 124.2, 121.9, 121.3, 119.0, 118.6, 111.6, 108.8, 33.02, 17.9.



N-(3-methylpyridin-2-yl)-2-phenylpropanamide **1x**. ^1H NMR (600 MHz, CDCl_3) δ 9.11 (s, 1H), 8.12 (d, $J = 3.9$ Hz, 1H), 7.51 (d, $J = 7.4$ Hz, 1H), 7.38-7.31 (m, 4H), 7.25 (t, $J = 7.0$ Hz, 1H), 7.05 (dd, $J = 7.2, 5.0$ Hz, 1H), 3.83 (d, $J = 4.1$ Hz, 1H), 2.13 (s, 3H), 1.54 (d, $J = 7.1$ Hz, 3H); ^{13}C NMR (151 MHz, CDCl_3) δ 172.9, 149.8, 145.3, 141.3, 140.0, 129.2, 128.9, 127.7, 127.2, 121.7, 47.0, 18.6, 18.0.



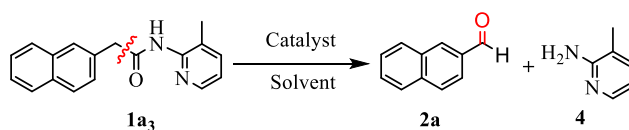
N-(3-methylpyridin-2-yl)-2,2-diphenylacetamide **1y**. ^1H NMR (600 MHz, CDCl_3) δ 8.58 (s, 1H), 8.17 (d, $J = 4.0$ Hz, 1H), 7.54 (d, $J = 7.3$ Hz, 1H), 7.42-7.28 (m, 8H), 7.28-7.24 (m, 2H), 7.08 (dd, $J = 7.4, 4.9$ Hz, 1H), 5.22 (s, 1H), 2.21 (s, 3H); ^{13}C NMR (151 MHz, CDCl_3) δ 170.7, 149.4, 145.5, 140.1, 139.0, 129.0, 128.8, 127.4, 121.8, 59.0, 18.3.



N-methyl-N-(3-methylpyridin-2-yl)-2,2-diphenylacetamide **1z**. ^1H NMR (600 MHz, CDCl_3) δ 8.41 (d, $J = 3.7$ Hz, 1H), 7.52 (d, $J = 7.4$ Hz, 1H), 7.25 – 7.06 (m, 11H), 4.83 (s, 1H), 3.24 (s, 3H), 1.80 (s, 3H); ^{13}C NMR (151 MHz, CDCl_3) δ 172.1, 154.8, 147.3, 140.3, 139.1 (d, $J = 197.3$ Hz, 1C), 131.4, 128.9, 128.3 (d, $J = 38.1$ Hz, 1C), 126.9 (d, $J = 56.9$ Hz, 1C), 123.8, 55.0, 34.8, 16.7.

3.2 The C-C bond Activation of Amides to Aldehydes or ketones

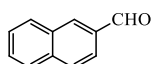
Table S1. Screening of reaction conditions^a



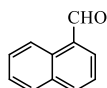
Entry	Catalyst	Solvent	Yield/% ^b
1	$\text{Cu}(\text{OAc})_2$	DCE	81
2	CuCl_2	DCE	75
3	CuSO_4	DCE	62
4	CuO	DCE	67
5	CuBr	DCE	79
6	CuI	DCE	55

7	CuCN	DCE	66
8	-	DCE	n.r
9	Cu(OAc) ₂	HFIP	29
10	Cu(OAc) ₂	CH ₃ CN	72
11	Cu(OAc) ₂	CHCl ₃	71
12	Cu(OAc) ₂	AcOH	30
13	Cu(OAc) ₂	<i>p</i> -xylene	58

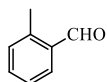
^a Reaction conditions: **1a₃** (0.1 mmol), 10 mol % of catalyst, solvent (1mL), RT, air, 6 h; ^bIsolated yield.



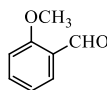
2-naphthaldehyde **2a**.¹ Yield: 81% (M=156.18, 12.7 mg). ¹H NMR (600 MHz, CDCl₃) δ 10.17 (s, 1H), 8.34 (s, 1H), 8.01 (d, *J* = 8.1 Hz, 1H), 7.98-7.92 (m, 2H), 7.91 (d, *J* = 8.1 Hz, 1H), 7.65 (t, *J* = 7.5 Hz, 1H), 7.59 (t, *J* = 7.5 Hz, 1H); ¹³C NMR (151 MHz, CDCl₃) δ 192.2, 136.4, 134.5, 134.1, 132.6, 129.5, 129.1, 129.1, 128.1, 127.1, 122.7.



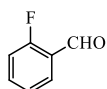
1-naphthaldehyde **2b**.¹ Yield: 55% (M=156.18, 8.6 mg). ¹H NMR (600 MHz, CDCl₃) δ 10.34 (s, 1H), 9.18 (d, *J* = 8.6 Hz, 1H), 8.03 (d, *J* = 8.2 Hz, 1H), 7.93 (d, *J* = 7.0 Hz, 1H), 7.86 (d, *J* = 8.2 Hz, 1H), 7.63 (t, *J* = 7.7 Hz, 1H), 7.57 (t, *J* = 7.6 Hz, 1H), 7.53 (t, *J* = 7.5 Hz, 1H); ¹³C NMR (151 MHz, CDCl₃) δ 193.6, 136.7, 135.3, 133.8, 131.5, 130.6, 129.1, 128.5, 126.98, 124.9, 124.9.



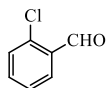
2-methylbenzaldehyde **2c**.² Yield: 59% (M=120.15, 7.1 mg). ¹H NMR (600 MHz, CDCl₃) δ 10.26 (s, 1H), 7.79 (d, *J* = 7.6 Hz, 1H), 7.47 (t, *J* = 7.5 Hz, 1H), 7.35 (t, *J* = 7.5 Hz, 1H), 7.25 (d, *J* = 7.6 Hz, 1H), 2.67 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 192.8, 140.6, 134.2, 133.7, 132.1, 131.8, 126.3, 19.6.



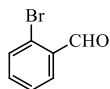
2-methoxybenzaldehyde **2d**.² Yield: 77% (M=136.15, 10.5 mg). ¹H NMR (600 MHz, CDCl₃) δ 10.48 (s, 1H), 7.83 (d, *J* = 7.7 Hz, 1H), 7.56 (dd, *J* = 8.3, 7.4 Hz, 1H), 7.03 (t, *J* = 7.5 Hz, 1H), 6.99 (d, *J* = 8.4 Hz, 1H), 3.94 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 193.6, 136.7, 135.3, 133.8, 131.5, 130.6, 129.1, 128.5, 126.98, 124.9, 124.9.



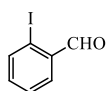
2-fluorobenzaldehyde **2e**.² Yield: 32% (M=124.03, 4.0 mg). ¹H NMR (600 MHz, CDCl₃) δ 10.38 (s, 1H), 7.88 (t, *J* = 7.3 Hz, 1H), 7.64-7.59 (m, 1H), 7.28 (t, *J* = 8.3 Hz, 1H), 7.20-7.16 (m, 1H); ¹³C NMR (151 MHz, CDCl₃) δ 187.2 (t, *J* = 6.4 Hz, 1C), 164.7 (d, *J* = 258.7 Hz, 1C), 136.4 (d, *J* = 9.1 Hz, 1C), 128.7 (d, *J* = 1.7 Hz, 1C), 124.7 (d, *J* = 3.7 Hz, 1C), 124.2 (d, *J* = 8.0 Hz, 1C), 116.5 (d, *J* = 20.5 Hz, 1C).



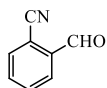
2-chlorobenzaldehyde **2f**.² Yield: 84% (M=140.57, 11.8 mg). ¹H NMR (600 MHz, CDCl₃) δ 10.47 (s, 1H), 7.90 (d, *J* = 7.7 Hz, 1H), 7.52 (t, *J* = 7.7 Hz, 1H), 7.44 (d, *J* = 8.0 Hz, 1H), 7.38 (t, *J* = 7.4 Hz, 1H); ¹³C NMR (151 MHz, CDCl₃) δ 189.9, 137.9, 135.1, 132.4, 130.6, 129.3, 127.3.



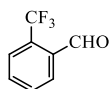
2-bromobenzaldehyde **2g**.² Yield: 58% (M=185.02, 10.8 mg). ¹H NMR (600 MHz, CDCl₃) δ 10.47 (s, 1H), 7.91 (d, *J* = 7.7 Hz, 1H), 7.52 (t, *J* = 7.7 Hz, 1H), 7.44 (d, *J* = 8.1 Hz, 1H), 7.38 (t, *J* = 7.5 Hz, 1H); ¹³C NMR (151 MHz, CDCl₃) δ 189.8, 137.9, 135.1, 132.4, 130.6, 129.4, 127.3.



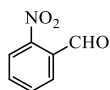
2-iodobenzaldehyde **2h**.³ Yield: 63% (M=232.02, 14.7 mg). ¹H NMR (600 MHz, CDCl₃) δ 10.31 (s, 1H), 7.85 (d, *J* = 6.7 Hz, 1H), 7.59 (d, *J* = 7.6 Hz, 1H), 7.41-7.34 (m, 2H); ¹³C NMR (151 MHz, CDCl₃) δ 195.8, 140.7, 135.5, 135.1, 130.3, 128.7, 100.7.



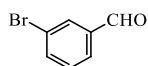
2-Cyanobenzaldehyde **2i**.⁴ Yield: 74% (M=131.13, 9.8 mg). ¹H NMR (600 MHz, CDCl₃) δ 10.37 (s, 1H), 8.06 (d, *J* = 7.6 Hz, 1H), 7.85 (d, *J* = 7.4 Hz, 1H), 7.80 (t, *J* = 7.5 Hz, 1H), 7.76 (t, *J* = 7.5 Hz, 1H); ¹³C NMR (151 MHz, CDCl₃) δ 188.7, 136.8, 134.2, 134.1, 133.2, 129.6, 116.0, 113.9.



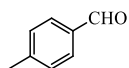
2-(trifluoromethyl)benzaldehyde **2j**.⁵ Yield: 28% (M=174.03, 4.9 mg). ¹H NMR (600 MHz, CDCl₃) δ 10.41 (s, 1H), 8.18-8.11 (m, 1H), 7.82-7.77 (m, 1H), 7.75-7.69 (m, 2H); ¹³C NMR (151 MHz, CDCl₃) δ 189.0 (q, *J* = 4.2 Hz, 1C), 133.7, 133.6, 132.4, 131.1 (q, *J* = 32.3 Hz, 1C), 129.11, 126.12 (d, *J* = 5.6 Hz, 1C), 123.7 (d, *J* = 272.7 Hz, 1C).



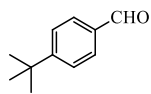
2-nitrobenzaldehyde **2k**.² Yield: 77% (M=151.12, 11.7 mg). ¹H NMR (600 MHz, CDCl₃) δ 10.44 (s, 1H), 8.13 (d, *J* = 8.0 Hz, 1H), 7.96 (d, *J* = 7.5 Hz, 1H), 7.81 (t, *J* = 7.4 Hz, 1H), 7.76 (t, *J* = 7.7 Hz, 1H); ¹³C NMR (151 MHz, CDCl₃) δ 188.1, 149.6, 134.1, 133.7, 131.4, 129.7, 124.5.



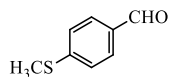
3-bromobenzaldehyde **2l**.² Yield: 76% (M=185.02, 14.1 mg). ¹H NMR (600 MHz, CDCl₃) δ 9.97 (s, 1H), 8.02 (s, *J* = 1.0 Hz, 1H), 7.82 (d, *J* = 7.6 Hz, 1H), 7.76 (d, *J* = 7.9 Hz, 1H), 7.43 (t, *J* = 7.7 Hz, 1H); ¹³C NMR (151 MHz, CDCl₃) δ 190.7, 138.0, 137.3, 132.3, 130.6, 128.4, 123.4.



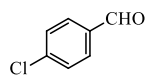
4-methylbenzaldehyde **2m**.¹ Yield: 60% (M=120.15, 7.2 mg). ¹H NMR (600 MHz, CDCl₃) δ 9.95 (s, 1H), 7.77 (d, *J* = 8.0 Hz, 2H), 7.32 (d, *J* = 7.8 Hz, 2H), 2.43 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 192.0, 145.6, 134.2, 129.9, 129.7, 77.3, 77.1, 76.9, 21.9.



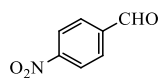
4-(tert-butyl)benzaldehyde **2n**.⁶ Yield: 53% (M=162.10, 8.6 mg). ¹H NMR (600 MHz, CDCl₃) δ 9.98 (s, 1H), 7.82 (d, *J* = 7.7 Hz, 2H), 7.55 (d, *J* = 7.9 Hz, 2H), 1.36 (s, 9H); ¹³C NMR (151 MHz, CDCl₃) δ 192.1, 158.5, 134.1, 129.7, 126.0, 35.4, 31.1.



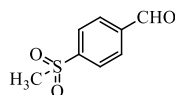
4-(methylthio)benzaldehyde **2o**.⁷ Yield: 63% (M=152.03, 9.6 mg). ¹H NMR (600 MHz, CDCl₃) δ 9.93 (s, 1H), 7.78 (d, *J* = 8.2 Hz, 2H), 7.33 (d, *J* = 8.2 Hz, 2H), 2.54 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 191.2, 147.9, 133.0, 130.0, 125.2, 14.7.



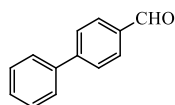
4-chlorobenzaldehyde **2p**.³ Yield: 56% (M=140.57, 7.9 mg). ¹H NMR (600 MHz, CDCl₃) δ 9.99 (s, 1H), 7.83 (d, *J* = 8.0 Hz, 2H), 7.52 (d, *J* = 7.7 Hz, 2H); ¹³C NMR (151 MHz, CDCl₃) δ 190.9, 141.0, 134.7, 130.9, 129.5, 77.2, 77.0, 76.8.



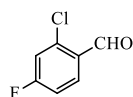
4-nitrobenzaldehyde **2q**.⁸ Yield: 73% (M=151.12, 11.1 mg). ¹H NMR (600 MHz, CDCl₃) δ 10.17 (s, 1H), 8.40 (d, *J* = 8.5 Hz, 2H), 8.08 (d, *J* = 8.6 Hz, 2H); ¹³C NMR (151 MHz, CDCl₃) δ 190.3, 151.2, 140.1, 130.5, 124.3.



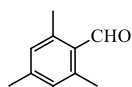
4-(methylsulfonyl)benzaldehyde **2r**.² Yield: 55% (M=184.02, 10.1 mg). ¹H NMR (600 MHz, CDCl₃) δ 10.15 (s, 1H), 8.14 (d, *J* = 7.9 Hz, 2H), 8.09 (d, *J* = 7.7 Hz, 2H), 3.11 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 190.6, 145.4, 139.7, 130.4, 128.2, 44.3.



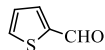
4-Biphenylcarboxaldehyde **2s**.⁵ Yield: 63% (M=182.07, 11.5 mg). ¹H NMR (600 MHz, CDCl₃) δ 9.99 (s, 1H), 7.88 (d, *J* = 7.8 Hz, 2H), 7.68 (d, *J* = 7.9 Hz, 2H), 7.57 (d, *J* = 8.0 Hz, 2H), 7.41 (t, *J* = 7.5 Hz, 2H), 7.35 (t, *J* = 7.3 Hz, 1H); ¹³C NMR (151 MHz, CDCl₃) δ 190.9, 146.2, 138.7, 134.2, 129.3, 128.0, 127.5, 126.7, 126.4.



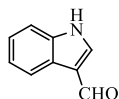
2-chloro-4-fluorobenzaldehyde **2t**.⁹ Yield: 45% (M=157.99, 7.1 mg). ¹H NMR (600 MHz, CDCl₃) δ 10.41 (s, 1H), 8.00-7.96 (m, 1H), 7.23-7.19 (m, 1H), 7.13-7.09 (m, 1H); ¹³C NMR (151 MHz, CDCl₃) δ 188.2 (d, *J* = 4.6 Hz, 1C), 165.9 (d, *J* = 260.0 Hz, 1C), 139.5 (d, *J* = 11.2 Hz, 1C), 131.6 (d, *J* = 10.2 Hz, 1C), 129.3 (d, *J* = 3.2 Hz, 1C), 118.0 (d, *J* = 25.0 Hz, 1C), 115.2 (d, *J* = 21.7 Hz, 1C).



2,4,6-trimethylbenzaldehyde **2u**.¹⁰ Yield: 51% (M=148.09, 7.6 mg). ¹H NMR (600 MHz, CDCl₃) δ 10.55 (s, 1H), 6.88 (s, 2H), 2.57 (s, 6H), 2.30 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 192.9, 143.8, 141.5, 130.5, 129.9, 21.5, 20.5.



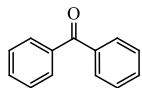
thiophene-2-carbaldehyde **2v**.⁹ Yield: 71% (M=112.00, 8.0 mg). ¹H NMR (600 MHz, CDCl₃) δ 9.94 (s, 1H), 7.79 (d, *J* = 3.7 Hz, 1H), 7.77 (d, *J* = 4.8 Hz, 1H), 7.22 (t, *J* = 4.3 Hz, 1H); ¹³C NMR (151 MHz, CDCl₃) δ 183.0, 144.0, 136.4, 135.2, 128.4.



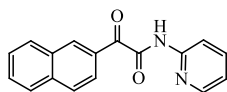
Indole-3-carboxaldehyde **2w**.¹¹ Yield: 51% (M=145.05, 7.4 mg). ¹H NMR (600 MHz, DMSO) δ 9.96 (s, 1H), 8.30 (s, 1H), 8.12 (d, *J* = 7.7 Hz, 1H), 7.53 (d, *J* = 7.9 Hz, 1H), 7.27 (t, *J* = 7.5 Hz, 1H), 7.23 (t, *J* = 7.3 Hz, 1H); ¹³C NMR (151 MHz, DMSO) δ 190.4, 143.7, 142.2, 129.5, 128.8, 127.3, 126.0, 123.4, 117.7.



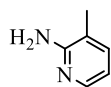
acetophenone **2x**.¹² Yield: 84% (M=120.06, 10.1 mg). ¹H NMR (600 MHz, CDCl₃) δ 7.89 (d, *J* = 7.5 Hz, 2H), 7.49 (t, *J* = 7.3 Hz, 1H), 7.40 (t, *J* = 7.6 Hz, 2H), 2.54 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 197.1, 136.1, 132.1, 127.6, 127.3, 25.6.



benzophenone **2y**.⁹ Yield: >99%. (M=182.07, 18.2 mg). ¹H NMR (600 MHz, CDCl₃) δ 7.73 (d, *J* = 7.2 Hz, 2H), 7.51 (t, *J* = 7.4 Hz, 1H), 7.41 (t, *J* = 7.7 Hz, 2H); ¹³C NMR (151 MHz, CDCl₃) δ 196.8, 137.6, 132.4, 130.1, 128.3.



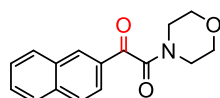
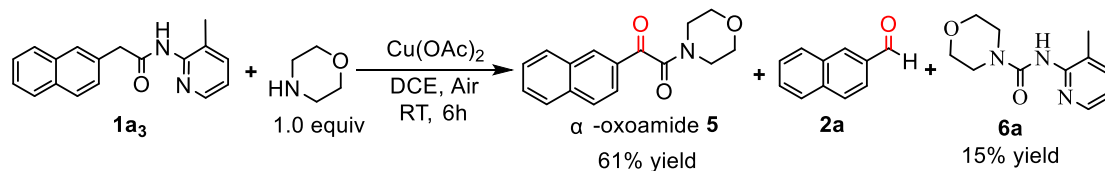
2-(naphthalen-2-yl)-2-oxo-N-(pyridin-2-yl)acetamide **3a₂** (by-product).¹³ (M=276.09). ¹H NMR (600 MHz, CDCl₃) δ 9.58 (s, 1H), 9.22 (s, 1H), 8.40 (d, *J* = 4.4 Hz, 1H), 8.38 (d, *J* = 8.3 Hz, 1H), 8.25 (d, *J* = 8.6 Hz, 1H), 8.03 (d, *J* = 8.1 Hz, 1H), 7.93 (d, *J* = 8.6 Hz, 1H), 7.89 (d, *J* = 8.1 Hz, 1H), 7.81 (t, *J* = 7.8 Hz, 1H), 7.65 (t, *J* = 7.5 Hz, 1H), 7.58 (t, *J* = 7.5 Hz, 1H), 7.15 (s, 1H); ¹³C NMR (151 MHz, CDCl₃) δ 185.2, 158.6, 149.3, 147.4, 137.5, 135.2, 134.0, 131.3, 131.3, 129.4, 129.1, 128.5, 127.5, 126.8, 125.9, 124.4, 119.7, 113.2.



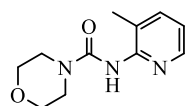
3-methylpyridin-2-amine **4**.¹⁴ Yield: 96% (M=108.07, 10.4 mg). ¹H NMR (600 MHz, CDCl₃) δ 7.97-7.91 (m, 1H), 7.26 (dd, *J* = 7.2, 0.8 Hz, 1H), 6.60 (dd, *J* = 7.2, 5.1 Hz, 1H), 4.43 (s, 2H), 2.12 (s, 3H).

3.3 The C-C bond Activation of Amides to Urea Derivatives

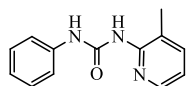
When **1a₃** and morpholine were used as reaction partner, only 15% yield of *N*-(3-methylpyridin-2-yl)morpholine-4-carboxamide **6a** was isolated and the competitive C-N bond activation product α -oxoamide **5** was isolated as major product.



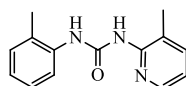
α -oxoamide **5**.¹⁵ Yield: 61% (M=269.1, 16.4 mg). ¹H NMR (600 MHz, CDCl_3) δ 8.47 (s, 1H), 8.03 (dd, J = 8.6, 1.7 Hz, 1H), 7.99 (d, J = 8.1 Hz, 1H), 7.96 (d, J = 8.6 Hz, 1H), 7.91 (d, J = 8.2 Hz, 1H), 7.71-7.63 (m, 1H), 7.62-7.56 (m, 1H), 3.90-3.80 (m, 4H), 3.71-3.63 (m, 2H), 3.46-3.37 (m, 2H); ¹³C NMR (151 MHz, CDCl_3) δ 191.2, 165.6, 136.5, 133.1, 132.5, 130.5, 129.9, 129.6, 129.2, 128.0, 127.3, 123.6, 66.8, 66.7, 46.4, 41.8.



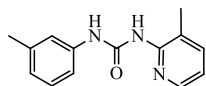
N-(3-methylpyridin-2-yl)morpholine-4-carboxamide **6a**.¹⁶ Yield: 92% (M=221.1, 20.4 mg). ¹H NMR (600 MHz, CDCl_3) δ 8.78 (s, 1H), 8.17 (d, J = 3.5 Hz, 1H), 7.58 (d, J = 7.3 Hz, 1H), 7.10 (dd, J = 7.2, 4.9 Hz, 1H), 3.64 – 3.56 (m, 4H), 3.47 – 3.38 (m, 4H), 2.12 (s, 3H); ¹³C NMR (151 MHz, CDCl_3) δ 155.3, 152.0, 145.1 (d, J = 9.2 Hz, 1C), 138.7 (d, J = 8.0 Hz, 1C), 128.0, 120.3 (d, J = 18.9 Hz, 1C), 66.0, 44.3, 17.6.



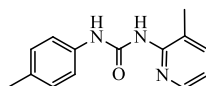
1-(3-methylpyridin-2-yl)-3-phenylurea **6b**.¹⁷ Yield: >99%. (M=227.11, 22.7 mg). ¹H NMR (600 MHz, CDCl_3) δ 12.20 (s, 1H), 8.13 (d, J = 4.4 Hz, 1H), 7.61 (d, J = 7.9 Hz, 2H), 7.47 (d, J = 7.2 Hz, 1H), 7.34 (t, J = 7.8 Hz, 2H), 7.11 (d, J = 13.9 Hz, 1H), 7.08 (t, J = 7.4 Hz, 1H), 6.88 (dd, J = 7.2, 5.1 Hz, 1H), 2.29 (s, 3H); ¹³C NMR (151 MHz, CDCl_3) δ 152.8, 151.3, 143.5, 139.4, 138.5, 128.9, 123.4, 120.3, 119.2, 117.2, 17.0.



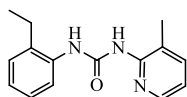
1-(3-methylpyridin-2-yl)-3-(*o*-tolyl)urea **6c**.¹⁸ Yield: 85% (M=241.12, 20.6 mg). ¹H NMR (600 MHz, CDCl_3) δ 12.12 (s, 1H), 8.14 (d, J = 8.0 Hz, 1H), 8.11 (d, J = 4.4 Hz, 1H), 7.49 (d, J = 7.2 Hz, 1H), 7.24 (d, J = 7.6 Hz, 1H), 7.20 (d, J = 7.4 Hz, 1H), 7.02 (t, J = 7.3 Hz, 2H), 6.89 (dd, J = 7.2, 5.1 Hz, 1H), 2.42 (s, 3H), 2.29 (s, 3H); ¹³C NMR (151 MHz, CDCl_3) δ 152.9, 151.4, 143.4, 139.3, 137.2, 130.2, 127.9, 126.7, 123.5, 121.4, 119.1, 117.1, 18.5, 17.0.



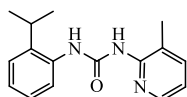
1-(3-methylpyridin-2-yl)-3-(m-tolyl)urea **6d**.¹⁸ Yield: 83% (M=241.12, 19.9 mg). ¹H NMR (600 MHz, CDCl₃) δ 12.11 (s, 1H), 8.14 (d, *J* = 4.4 Hz, 1H), 7.48 (d, *J* = 7.1 Hz, 1H), 7.44 (s, 1H), 7.41 (d, *J* = 8.1 Hz, 1H), 7.23 (t, *J* = 7.8 Hz, 1H), 6.97-6.88 (m, 3H), 2.37 (s, 3H), 2.29 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 152.9, 151.3, 143.4, 139.4, 138.7, 138.4, 128.7, 124.3, 120.9, 119.3, 117.4, 117.2, 21.5, 17.0.



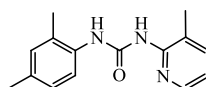
N-(3-methylpyridin-2-yl)-2-(p-tolyl)acetamide **6e**.¹⁸ Yield: 97% (M=241.12, 23.5 mg). ¹H NMR (600 MHz, CDCl₃) δ 12.05 (s, 1H), 8.13 (d, *J* = 4.2 Hz, 1H), 7.48 (t, *J* = 9.3 Hz, 3H), 7.14 (d, *J* = 8.2 Hz, 2H), 6.92 (s, 1H), 6.88 (dd, *J* = 7.3, 5.1 Hz, 1H), 2.33 (s, 3H), 2.27 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 152.8, 151.33, 143.6, 139.2, 135.9, 133.0, 129.4, 120.4, 119.1, 117.1, 20.9, 17.0.



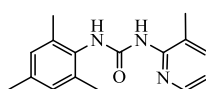
1-(2-ethylphenyl)-3-(3-methylpyridin-2-yl)urea **6f**.¹⁷ Yield: 96% (M=255.14, 24.4 mg). ¹H NMR (600 MHz, CDCl₃) δ 12.14 (s, 1H), 8.14 (d, *J* = 7.9 Hz, 1H), 8.10 (d, *J* = 4.4 Hz, 1H), 7.49 (d, *J* = 7.1 Hz, 1H), 7.26-7.20 (m, 2H), 7.07 (d, *J* = 6.9 Hz, 1H), 7.05 (d, *J* = 7.3 Hz, 1H), 6.89 (dd, *J* = 7.1, 5.2 Hz, 1H), 2.79 (q, *J* = 7.6 Hz, 2H), 2.30 (s, 3H), 1.32 (t, *J* = 7.6 Hz, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 153.1, 151.5, 143.2, 139.3, 136.4, 134.0, 128.7, 126.6, 123.8, 121.9, 119.3, 117.1, 25.3, 17.0, 14.3.



1-(2-isopropylphenyl)-3-(3-methylpyridin-2-yl)urea **6g**.²⁰ Yield: 86% (M=269.15, 23.2 mg). ¹H NMR (600 MHz, CDCl₃) δ 12.08 (s, 1H), 8.08 (d, *J* = 2.8 Hz, 1H), 8.02 (d, *J* = 6.3 Hz, 1H), 7.49 (d, *J* = 5.2 Hz, 1H), 7.31 (d, *J* = 6.8 Hz, 1H), 7.23 (t, *J* = 7.2 Hz, 1H), 7.13 (t, *J* = 7.4 Hz, 1H), 6.99 (s, 1H), 6.92-6.87 (m, 1H), 3.34-3.28 (m, 1H), 2.29 (s, 3H), 1.33 (d, *J* = 6.8 Hz, 6H); ¹³C NMR (151 MHz, CDCl₃) δ 153.2, 151.4, 143.4, 139.3, 139.0, 135.5, 126.3, 125.2, 124.4, 123.0, 119.1, 117.1, 28.2, 23.0, 17.0.

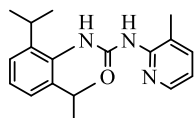


1-(2,4-dimethylphenyl)-3-(3-methylpyridin-2-yl)urea **6h**.²¹ Yield: 91% (M=255.1, 23.3 mg). ¹H NMR (600 MHz, CDCl₃) δ 11.98 (s, 1H), 8.10 (d, *J* = 4.4 Hz, 1H), 7.95 (d, *J* = 7.9 Hz, 1H), 7.47 (d, *J* = 7.1 Hz, 1H), 7.03 (d, *J* = 11.1 Hz, 2H), 7.00 (s, 1H), 6.87 (dd, *J* = 7.2, 5.2 Hz, 1H), 2.37 (s, 3H), 2.30 (s, 3H), 2.28 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 153.0, 151.4, 143.4, 139.2, 134.5, 133.2, 130.9, 128.2, 127.1, 121.7, 119.0, 117.0, 20.8, 18.4, 17.0.

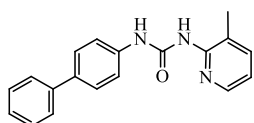


1-mesityl-3-(3-methylpyridin-2-yl)urea **6i**.²² Yield: 74% (M=269.2, 19.9 mg). ¹H NMR (600 MHz, CDCl₃) δ 11.28 (s, 1H), 8.06 (d, *J* = 4.1 Hz, 1H), 7.48 (d, *J* = 7.2 Hz, 1H), 6.93 (s, 2H), 6.91-6.85 (m,

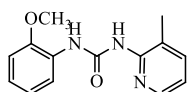
2H), 2.29 (s, 9H), 2.26 (s, 3H); ^{13}C NMR (151 MHz, CDCl_3) δ 153.6, 151.6, 143.7, 139.1, 136.4, 135.3, 131.9, 128.8, 118.8, 117.0, 20.9, 18.6, 17.0.



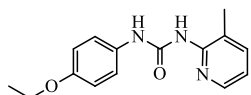
1-(2,6-diisopropylphenyl)-3-(3-methylpyridin-2-yl)urea **6j**. Yield: 30% (M=311.2, 9.3 mg). ^1H NMR (600 MHz, CDCl_3) δ 11.34 (s, 1H), 11.34 (s, 1H), 8.06 (d, $J = 4.5$ Hz, 1H), 7.49 (d, $J = 7.2$ Hz, 1H), 7.32-7.28 (m, 1H), 7.21 (d, $J = 7.7$ Hz, 2H), 6.88 (t, $J = 6.1$ Hz, 2H), 3.27-3.21 (m, 2H), 2.28 (s, 3H), 1.29-1.20 (m, 12H); ^{13}C NMR (151 MHz, CDCl_3) δ 154.3, 151.6, 146.2, 143.7, 139.2, 131.8, 127.8, 123.4, 118.8, 117.0, 28.9, 24.2, 23.0, 17.0; HRMS (ESI): m/z $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{19}\text{H}_{25}\text{N}_3\text{O}$ 312.2070, found 312.2130.



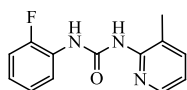
1-([1,1'-biphenyl]-4-yl)-3-(3-methylpyridin-2-yl)urea **6k**.²³ Yield: >99%. (M=303.1, 30.3 mg). ^1H NMR (600 MHz, CDCl_3) δ 12.01 (s, 1H), 8.45 (d, $J = 8.3$ Hz, 1H), 7.46 (d, $J = 4.5$ Hz, 4H), 7.45-7.42 (m, 1H), 7.38 (t, $J = 7.1$ Hz, 1H), 7.33 (d, $J = 7.2$ Hz, 1H), 7.23-7.19 (m, 2H), 7.12 (t, $J = 7.3$ Hz, 1H), 6.85 (s, 1H), 6.65 (dd, $J = 7.1, 5.2$ Hz, 1H), 2.19 (s, 3H); ^{13}C NMR (151 MHz, CDCl_3) δ 152.8, 150.6, 143.2, 139.6, 138.9, 136.6, 132.7, 130.3, 130.0, 128.6, 128.3, 127.4, 123.0, 121.0, 118.4, 116.7, 16.8.



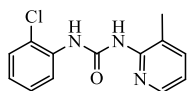
1-(2-methoxyphenyl)-3-(3-methylpyridin-2-yl)urea **6l**.¹⁸ Yield: 91% (M=257.12, 23.5 mg). ^1H NMR (600 MHz, CDCl_3) δ 12.48 (s, 1H), 8.35 (d, $J = 6.7$ Hz, 1H), 8.16 (d, $J = 4.2$ Hz, 1H), 7.46 (d, $J = 7.2$ Hz, 1H), 7.05-6.97 (m, 3H), 6.92 (d, $J = 7.9$ Hz, 1H), 6.88 (dd, $J = 7.3, 5.1$ Hz, 1H), 3.96 (s, 3H), 2.28 (s, 3H); ^{13}C NMR (151 MHz, CDCl_3) δ 151.7, 150.2, 147.9, 142.6, 138.1, 127.7, 121.9, 120.12, 119.1, 117.9, 116.1, 109.4, 55.1, 15.9.



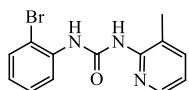
1-(4-ethoxyphenyl)-3-(3-methylpyridin-2-yl)urea **6m**.²⁴ Yield: 93% (M=271.13, 25.3 mg). ^1H NMR (600 MHz, CDCl_3) δ 11.97 (s, 1H), 8.11 (d, $J = 4.2$ Hz, 1H), 7.49 (d, $J = 8.9$ Hz, 2H), 7.46 (d, $J = 7.0$ Hz, 1H), 7.04 (s, 1H), 6.90-6.85 (m, 3H), 4.02 (q, $J = 7.0$ Hz, 2H), 2.27 (s, 3H), 1.41 (t, $J = 7.0$ Hz, 3H); ^{13}C NMR (151 MHz, CDCl_3) δ 155.3, 153.0, 151.4, 143.5, 139.2, 131.5, 122.1, 119.1, 117.1, 114.9, 63.7, 17.0, 14.9.



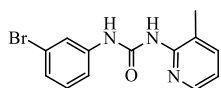
1-(2-fluorophenyl)-3-(3-methylpyridin-2-yl)urea **6n**.¹⁸ Yield: 74% (M=245.10, 18.1 mg). ^1H NMR (600 MHz, CDCl_3) δ 12.57 (s, 1H), 8.33 (t, $J = 7.7$ Hz, 1H), 8.17 (d, $J = 4.5$ Hz, 1H), 7.49 (d, $J = 7.0$ Hz, 1H), 7.15-7.10 (m, 2H), 7.07-6.98 (m, 2H), 6.91 (dd, $J = 7.0, 5.3$ Hz, 1H), 2.30 (s, 3H); ^{13}C NMR (151 MHz, CDCl_3) δ 153.9, 152.7, 151.0, 143.7, 139.4, 127.2 (d, $J = 9.9$ Hz, 1C), 124.4 (d, $J = 3.5$ Hz, 1C), 123.3 (d, $J = 6.9$ Hz, 1C), 121.7, 119.0, 117.4, 114.78 (d, $J = 19.0$ Hz, 1C), 16.9.



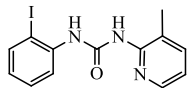
1-(2-chlorophenyl)-3-(3-methylpyridin-2-yl)urea **6o**.²⁵ Yield: 64% (M=261.07, 16.7 mg). ¹H NMR (600 MHz, CDCl₃) δ 12.85 (s, 1H), 8.43 (d, *J* = 6.8 Hz, 1H), 8.17 (d, *J* = 4.3 Hz, 1H), 7.49 (d, *J* = 6.5 Hz, 1H), 7.40 (d, *J* = 7.5 Hz, 1H), 7.29-7.26 (m, 1H), 7.01 (t, *J* = 7.4 Hz, 2H), 6.93-6.88 (m, 1H), 2.30 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 152.7, 150.8, 143.7, 139.4, 136.2, 129.2, 127.4, 123.7, 121.8, 119.7, 117.5, 16.9.



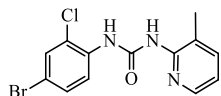
1-(2-bromophenyl)-3-(3-methylpyridin-2-yl)urea **6p**.²⁶ Yield: 66% (M=305.02, 20.2 mg). ¹H NMR (600 MHz, CDCl₃) δ 12.78 (s, 1H), 8.39 (d, *J* = 5.9 Hz, 1H), 8.19 (s, 1H), 7.58 (d, *J* = 6.7 Hz, 1H), 7.50 (d, *J* = 5.4 Hz, 1H), 7.32 (t, *J* = 7.2 Hz, 1H), 6.99-6.88 (m, 3H), 2.29 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 152.8, 150.7, 143.8, 139.4, 137.7, 132.6, 128.0, 124.2, 122.3, 118.8, 117.5, 16.9.



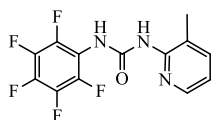
1-(3-bromophenyl)-3-(3-methylpyridin-2-yl)urea **6q**.²⁷ Yield: 83% (M=305.02, 25.3 mg). ¹H NMR (600 MHz, CDCl₃) δ 12.31 (s, 1H), 8.14 (d, *J* = 3.9 Hz, 1H), 7.84 (s, 1H), 7.55 (d, *J* = 6.6 Hz, 1H), 7.51 (s, 1H), 7.24-7.17 (m, 2H), 6.93 (d, *J* = 4.6 Hz, 2H), 2.30 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 152.7, 151.1, 143.3, 139.9, 139.6, 130.2, 126.3, 123.0, 122.5, 119.5, 118.6, 117.5, 17.0.



1-(2-iodophenyl)-3-(3-methylpyridin-2-yl)urea **6r**.²⁸ Yield: 55% (M=353.00, 19.3 mg). ¹H NMR (600 MHz, CDCl₃) δ 12.58 (s, 1H), 8.24 (d, *J* = 7.3 Hz, 1H), 8.21 (d, *J* = 4.2 Hz, 1H), 7.84 (d, *J* = 6.6 Hz, 1H), 7.49 (d, *J* = 6.8 Hz, 1H), 7.36 (t, *J* = 7.2 Hz, 1H), 7.03 (s, 1H), 6.95-6.90 (m, 1H), 6.82 (t, *J* = 7.0 Hz, 1H), 2.29 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 153.0, 150.7, 143.8, 140.7, 139.3, 128.8, 125.1, 122.8, 117.4, 89.4, 16.8.

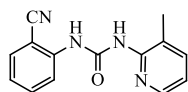


1-(4-bromo-2-chlorophenyl)-3-(3-methylpyridin-2-yl)urea **6s**.²⁹ Yield: 39% (M=339.0, 13.3 mg). ¹H NMR (600 MHz, CDCl₃) δ 12.93 (s, 1H), 8.36 (d, *J* = 7.5 Hz, 1H), 8.16 (s, 1H), 7.55 (d, *J* = 2.0 Hz, 1H), 7.51 (s, 1H), 7.39 (d, *J* = 8.9 Hz, 1H), 6.91 (d, *J* = 28.7 Hz, 2H), 2.29 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 152.6, 150.7, 143.7, 139.5, 135.6, 131.6, 130.5, 124.1, 122.6, 118.9, 117.7, 115.2, 16.8.

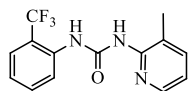


1-(3-methylpyridin-2-yl)-3-(perfluorophenyl)urea **6t**. Yield: 27% (M=317.1, 8.5 mg). ¹H NMR (600 MHz, CDCl₃) δ 11.96 (s, 1H), 8.11 (d, *J* = 4.5 Hz, 1H), 7.53 (d, *J* = 7.1 Hz, 1H), 7.13 (s, 1H), 6.98 - 6.92 (m, 1H), 2.30 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 152.9, 150.6, 144.3-143.9 (m, 1C), 143.5, 142.8-142.1 (m, 1C), 140.8-140.3 (m, 1C), 139.8, 139.0-138.4 (m, 1C), 137.4-136.8 (m, 1C), 119.6, 117.9,

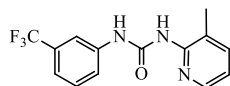
113.0-112.5 (m, 1C), 16.8; HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{13}H_8F_5N_3O$ 318.0664, found 318.0634.



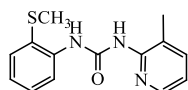
1-(2-cyanophenyl)-3-(3-methylpyridin-2-yl)urea **6u**.³⁰ Yield: 33% (M=252.1, 8.3 mg). 1H NMR (600 MHz, $CDCl_3$) δ 13.66 (s, 1H), 8.54 (d, J = 8.5 Hz, 1H), 8.30 (d, J = 4.4 Hz, 1H), 7.62-7.56 (m, 2H), 7.51 (d, J = 7.2 Hz, 1H), 7.11 (t, J = 7.5 Hz, 1H), 6.99-6.90 (m, 2H), 2.29 (s, 3H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 152.6, 150.4, 143.8, 142.7, 139.6, 134.0, 132.6, 122.8, 120.6, 118.7, 117.8, 117.4, 102.0, 16.6.



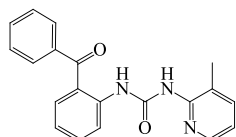
1-(3-methylpyridin-2-yl)-3-(2-(trifluoromethyl)phenyl)urea **6v**.³¹ Yield: 38% (M=295.09, 11.2 mg). 1H NMR (600 MHz, $CDCl_3$) δ 12.86 (s, 1H), 8.34 (d, J = 7.3 Hz, 1H), 8.13 (s, 1H), 7.64 (d, J = 7.8 Hz, 1H), 7.56 (t, J = 7.8 Hz, 1H), 7.49 (d, J = 5.8 Hz, 1H), 7.18 (t, J = 7.1 Hz, 1H), 6.91 (m, 2H), 2.28 (s, 3H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 153.0, 151.1, 143.5, 139.4, 136.4, 132.7, 126.0 (q, J = 5.6 Hz, 1C), 125.1, 124.0, 123.2, 120.3 (q, J = 27.6 Hz, 1C), 118.7, 117.6, 117.5, 16.7.



1-(3-methylpyridin-2-yl)-3-(3-(trifluoromethyl)phenyl)urea **6w**.³² Yield: 77% (M=295.1, 22.8 mg). 1H NMR (600 MHz, $CDCl_3$) δ 12.51 (s, 1H), 8.16 (d, J = 4.2 Hz, 1H), 7.93 (s, 1H), 7.80 (d, J = 8.0 Hz, 1H), 7.51 (d, J = 7.2 Hz, 1H), 7.44 (t, J = 7.9 Hz, 1H), 7.33 (d, J = 7.7 Hz, 2H), 6.92 (dd, J = 7.2, 5.2 Hz, 1H), 2.33 (s, 3H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 152.9, 151.1, 143.5, 139.6, 139.2, 131.3 (q, J = 32.1 Hz, 1C), 129.4, 124.1 (q, J = 271.5 Hz, 1C), 119.8 (q, J = 3.8 Hz, 1C), 119.6, 117.5, 116.8 (q, J = 4.1 Hz, 1C), 17.0.

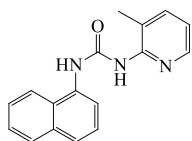


1-(3-methylpyridin-2-yl)-3-(2-(methylthio)phenyl)urea **6x**. Yield: 78% (M=273.09, 21.4 mg). 1H NMR (600 MHz, $CDCl_3$) δ 12.74 (s, 1H), 8.32 (s, 1H), 8.18 (d, J = 3.9 Hz, 1H), 7.49 (d, J = 6.5 Hz, 1H), 7.47 (d, J = 7.8 Hz, 1H), 7.29 (t, J = 7.7 Hz, 1H), 7.05 (t, J = 7.4 Hz, 1H), 6.97 (s, 1H), 6.93-6.87 (m, 1H), 2.43 (s, 3H), 2.30 (s, 3H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 153.0, 151.0, 143.7, 139.3, 131.81, 128.1, 126.6, 123.7, 121.4, 118.8, 117.3, 17.8, 16.9; HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{14}H_{15}N_3OS$ 274.1011, found 274.1000.

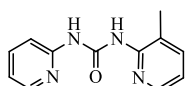


1-(2-benzoylphenyl)-3-(3-methylpyridin-2-yl)urea **6y**. Yield: 70% (M=331.1, 23.2 mg). 1H NMR (600 MHz, $CDCl_3$) δ 13.01 (s, 1H), 8.36 (d, J = 8.2 Hz, 1H), 8.28 (d, J = 4.0 Hz, 1H), 7.85 (d, J = 7.2 Hz, 2H), 7.57-7.52 (m, 2H), 7.47-7.41 (m, 4H), 7.11 (t, J = 7.4 Hz, 1H), 6.87 (dd, J = 7.2, 5.1 Hz, 2H), 2.23 (s, 3H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 196.9, 153.2, 150.6, 144.0, 139.1, 138.2, 138.0, 132.7, 132.1, 130.7, 130.3, 128.8, 128.3, 123.3, 122.2, 118.5, 117.4, 16.7; HRMS (ESI): m/z $[M+Na]^+$ calcd for

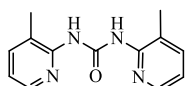
C₂₀H₁₇N₃O₂ 354.1215, found 354.1271.



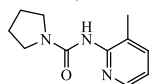
1-(3-methylpyridin-2-yl)-3-(naphthalen-1-yl)urea **6z**.³³ Yield: 68% (M=277.3, 18.9 mg). ¹H NMR (600 MHz, CDCl₃) δ 12.77 (s, 1H), 8.23 (d, *J* = 8.3 Hz, 3H), 7.88 (d, *J* = 8.1 Hz, 1H), 7.65 (d, *J* = 8.1 Hz, 1H), 7.56 (t, *J* = 7.2 Hz, 1H), 7.51 (t, *J* = 7.6 Hz, 3H), 7.14 (s, 1H), 6.94 (dd, *J* = 7.1, 5.2 Hz, 1H), 2.32 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 153.3, 151.4, 143.6, 139.5, 134.2, 133.9, 128.7, 126.8, 126.1, 126.0, 125.8, 124.1, 121.5, 119.3, 118.6, 117.3, 17.0.



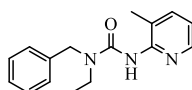
1-(3-methylpyridin-2-yl)-3-(pyridin-2-yl)urea **6A**.³⁴ Yield: 57% (M=228.3, 13.1 mg). ¹H NMR (600 MHz, CDCl₃) δ 12.62 (s, 1H), 8.35 (d, *J* = 4.0 Hz, 1H), 8.27 (d, *J* = 4.5 Hz, 1H), 8.19 (s, 1H), 7.70 (t, *J* = 7.8 Hz, 1H), 7.49 (d, *J* = 7.3 Hz, 1H), 7.04-6.98 (m, 1H), 6.91 (dd, *J* = 7.2, 5.2 Hz, 2H), 2.29 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 152.5, 152.3, 150.8, 148.0, 144.2, 139.3, 138.1, 118.9, 117.6, 114.2, 16.9.



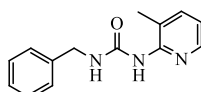
1,3-bis(3-methylpyridin-2-yl)urea **6B**.³⁵ Yield: 56% (M=242.1, 13.5 mg). ¹H NMR (600 MHz, CDCl₃) δ 12.39 (s, 2H), 8.25 (s, 2H), 7.53 (d, *J* = 7.2 Hz, 2H), 6.98 (s, 2H), 2.36 (s, 6H); ¹³C NMR (151 MHz, CDCl₃) δ 152.2, 150.7, 144.8, 139.4, 118.8, 17.6.



N-(3-methylpyridin-2-yl)pyrrolidine-1-carboxamide **6C**.³⁶ Yield: 55% (M=205.1, 11.3 mg). ¹H NMR (600 MHz, CDCl₃) δ 8.17 (d, *J* = 4.3 Hz, 1H), 7.51 (d, *J* = 5.6 Hz, 1H), 7.09-6.96 (m, 1H), 6.73 (s, 1H), 3.54-3.44 (m, 4H), 2.31 (s, 3H), 2.02-1.91 (m, 4H); ¹³C NMR (151 MHz, CDCl₃) δ 154.1, 151.2, 145.4, 139.5, 128.2, 120.6, 46.1, 25.6, 18.4.

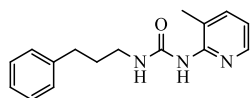


1-benzyl-1-ethyl-3-(3-methylpyridin-2-yl)urea **6D**.³⁷ Yield: 72% (M=269.2, 19.5 mg). ¹H NMR (600 MHz, DMSO) δ 8.62 (s, 1H), 8.19 (s, 1H), 7.59 (d, *J* = 6.8 Hz, 1H), 7.35 (t, *J* = 7.4 Hz, 2H), 7.31 (d, *J* = 7.3 Hz, 2H), 7.26 (t, *J* = 7.0 Hz, 1H), 7.12 (s, 1H), 4.56 (s, 2H), 3.37-3.35 (m, 2H), 2.14 (s, 3H), 1.07 (t, *J* = 6.9 Hz, 3H); ¹³C NMR (151 MHz, DMSO) δ 155.3, 152.1, 145.1, 138.9, 138.7, 128.6, 128.3, 127.2, 126.8, 120.4, 48.7, 40.9, 17.7, 13.2.

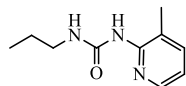


1-benzyl-3-(3-methylpyridin-2-yl)urea **6E**.³⁸ Yield: 86% (M=241.1, 20.8 mg). ¹H NMR (600 MHz, CDCl₃) δ 10.19 (s, 1H), 8.01 (d, *J* = 4.7 Hz, 1H), 7.42 (d, *J* = 7.2 Hz, 1H), 7.38 (d, *J* = 7.5 Hz, 2H), 7.34 (t, *J* = 7.6 Hz, 2H), 7.26 (t, *J* = 7.2 Hz, 1H), 6.87 (s, 1H), 6.81 (dd, *J* = 7.2, 5.2 Hz, 1H), 4.63 (d, *J* = 5.8 Hz, 2H), 2.23 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 155.6, 151.6, 143.8, 139.3, 138.9, 128.6, 127.3,

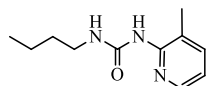
127.0, 118.7, 116.9, 43.8, 17.0.



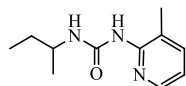
1-(3-methylpyridin-2-yl)-3-(3-phenylpropyl)urea **6F**.³⁹ Yield: 88% (M=269.2, 23.8 mg). ¹H NMR (600 MHz, CDCl₃) δ 9.82 (s, 1H), 8.05 (d, *J* = 4.3 Hz, 1H), 7.42 (d, *J* = 7.2 Hz, 1H), 7.28 (t, *J* = 7.5 Hz, 2H), 7.22 (d, *J* = 7.3 Hz, 2H), 7.18 (t, *J* = 7.3 Hz, 1H), 6.82 (dd, *J* = 7.2, 5.1 Hz, 2H), 3.45-3.38 (m, 2H), 2.77-2.70 (m, 2H), 2.22 (s, 3H), 1.99-1.94 (m, 2H); ¹³C NMR (151 MHz, CDCl₃) δ 155.5, 151.6, 143.6, 141.7, 138.9, 128.5, 128.4, 125.9, 118.8, 116.8, 39.4, 33.3, 31.5, 17.0.



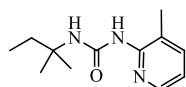
1-(3-methylpyridin-2-yl)-3-propylurea **6G**.⁴⁰ Yield: >99% (M=193.1, 19.3 mg). ¹H NMR (600 MHz, CDCl₃) δ 9.76 (s, 1H), 8.05 (d, *J* = 4.7 Hz, 1H), 7.41 (d, *J* = 7.3 Hz, 1H), 6.81 (dd, *J* = 7.2, 5.2 Hz, 1H), 6.77 (s, 1H), 3.37-3.33 (m, 2H), 2.22 (s, 3H), 1.70-1.61 (m, 2H), 0.99 (t, *J* = 7.4 Hz, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 155.5, 151.7, 143.8, 138.8, 118.7, 116.7, 41.7, 23.2, 17.0, 11.6.



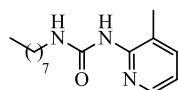
1-butyl-3-(3-methylpyridin-2-yl)urea **6H**.⁴¹ Yield: 81% (M=207.1, 16.8 mg). ¹H NMR (600 MHz, CDCl₃) δ 9.72 (s, 1H), 8.05 (d, *J* = 4.5 Hz, 1H), 7.42 (d, *J* = 7.2 Hz, 1H), 6.81 (dd, *J* = 7.2, 5.2 Hz, 1H), 6.67 (s, 1H), 3.44-3.35 (m, 2H), 2.21 (s, 3H), 1.63-1.56 (m, 2H), 1.48-1.39 (m, 2H), 0.96 (t, *J* = 7.4 Hz, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 155.4, 151.7, 143.8, 138.8, 118.6, 116.7, 39.7, 32.1, 20.3, 17.0, 13.8.



1-(sec-butyl)-3-(3-methylpyridin-2-yl)urea **6I**.⁴² Yield: 80% (M=207.1, 16.6 mg). ¹H NMR (600 MHz, CDCl₃) δ 9.63 (s, 1H), 8.05 (d, *J* = 4.2 Hz, 1H), 7.41 (d, *J* = 7.2 Hz, 1H), 6.81 (dd, *J* = 7.2, 5.1 Hz, 1H), 6.66 (s, 1H), 3.99-3.87 (m, 1H), 2.21 (s, 3H), 1.66-1.54 (m, 2H), 1.24 (d, *J* = 6.6 Hz, 3H), 0.98 (t, *J* = 7.4 Hz, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 154.8, 151.8, 143.8, 138.8, 118.6, 116.6, 47.3, 29.9, 20.8, 17.0, 10.3.

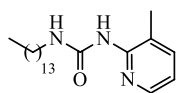


1-(3-methylpyridin-2-yl)-3-(tert-pentyl)urea **6J**.⁴³ Yield: 98% (M=221.2, 21.6 mg). ¹H NMR (600 MHz, CDCl₃) δ 9.76 (s, 1H), 8.02 (d, *J* = 4.5 Hz, 1H), 7.40 (d, *J* = 7.1 Hz, 1H), 6.79 (dd, *J* = 7.1, 5.2 Hz, 1H), 6.75 (s, 1H), 2.22 (s, 3H), 1.78 (q, *J* = 7.5 Hz, 2H), 1.40 (s, 6H), 0.94 (t, *J* = 7.5 Hz, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 154.1, 152.0, 143.5, 138.7, 118.7, 116.4, 53.2, 33.7, 26.7, 17.0, 8.5.

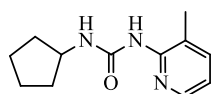


1-ethyl-3-(3-methylpyridin-2-yl)urea **6K**. Yield: 93% (M=263.2, 24.5 mg). ¹H NMR (600 MHz, CDCl₃) δ 9.73 (s, 1H), 8.04 (d, *J* = 4.3 Hz, 1H), 7.42 (d, *J* = 7.2 Hz, 1H), 6.81 (dd, *J* = 7.2, 5.2 Hz, 1H), 6.78 (s, 1H), 3.42-3.35 (m, 2H), 2.22 (s, 3H), 1.66-1.57 (m, 2H), 1.42-1.36 (m, 2H), 1.35-1.25 (m, 8H),

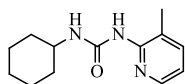
0.88 (t, $J = 6.9$ Hz, 3H); ^{13}C NMR (151 MHz, CDCl_3) δ 155.4, 151.8, 143.7, 138.8, 118.7, 116.7, 40.0, 31.8, 30.0, 29.3, 29.3, 27.1, 22.7, 17.0, 14.1; HRMS (ESI): m/z $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{15}\text{H}_{25}\text{N}_3\text{O}$ 264.2070, found 264.2060.



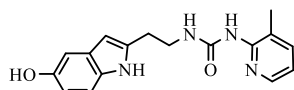
1-(3-methylpyridin-2-yl)-3-tetradecylurea **6L**. Yield: 78% ($M=347.3$, 27.0 mg). ^1H NMR (600 MHz, CDCl_3) δ 9.77 (d, $J = 44.7$ Hz, 1H), 8.04 (d, $J = 3.9$ Hz, 1H), 7.41 (d, $J = 6.9$ Hz, 1H), 6.81 (dd, $J = 7.3$, 5.1 Hz, 1H), 6.76 (s, 1H), 3.41-3.35 (m, 2H), 2.22 (s, 3H), 1.64-1.58 (m, 2H), 1.41-1.36 (m, 2H), 1.35-1.24 (m, 22H), 0.88 (t, $J = 7.0$ Hz, 3H); ^{13}C NMR (151 MHz, CDCl_3) δ 155.4, 151.7, 143.7, 138.8, 118.7, 116.7, 40.0, 31.9, 30.0, 29.7, 29.7, 29.7, 29.7, 29.6, 29.4, 29.4, 27.1, 22.7, 17.0, 14.1; HRMS (ESI): m/z $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{21}\text{H}_{37}\text{N}_3\text{O}$ 348.3006, found 348.2982.



1-cyclopentyl-3-(3-methylpyridin-2-yl)urea **6M**.⁴⁴ Yield: 95% ($M=219.1$, 20.9 mg). ^1H NMR (600 MHz, CDCl_3) δ 9.76 (d, $J = 5.4$ Hz, 1H), 8.04 (d, $J = 3.9$ Hz, 1H), 7.41 (d, $J = 7.2$ Hz, 1H), 6.81 (dd, $J = 7.3$, 5.1 Hz, 1H), 6.74 (s, 1H), 4.29-4.19 (m, 1H), 2.21 (s, 3H), 2.05-1.98 (m, 2H), 1.81-1.70 (m, 2H), 1.68-1.61 (m, 2H), 1.61-1.55 (m, 2H); ^{13}C NMR (151 MHz, CDCl_3) δ 154.9, 151.8, 143.7, 138.8, 118.7, 116.6, 51.7, 33.4, 23.7, 17.0.

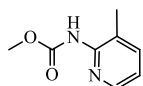


1-cyclohexyl-3-(3-methylpyridin-2-yl)urea **6N**.⁴⁵ Yield: 91% ($M=233.2$, 21.2 mg). ^1H NMR (600 MHz, CDCl_3) δ 9.74 (s, 1H), 8.05 (d, $J = 4.3$ Hz, 1H), 7.41 (d, $J = 7.2$ Hz, 1H), 6.81 (dd, $J = 7.2$, 5.2 Hz, 1H), 6.63 (s, 1H), 3.88-3.76 (m, 1H), 2.21 (s, 3H), 1.99 (d, $J = 9.1$ Hz, 2H), 1.77-1.70 (m, 2H), 1.64-1.58 (m, 1H), 1.46-1.33 (m, 4H), 1.31-1.25 (m, 1H); ^{13}C NMR (151 MHz, CDCl_3) δ 154.5, 151.8, 143.8, 138.8, 118.6, 116.6, 48.5, 33.3, 25.8, 24.7, 17.0.

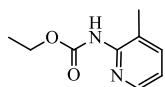


1-(2-(5-hydroxy-1H-indol-2-yl)ethyl)-3-(3-methylpyridin-2-yl)urea **6O**. Yield: 45% ($M=310.1$, 13.9 mg). ^1H NMR (600 MHz, DMSO) δ 10.47 (s, 1H), 9.44 (s, 1H), 8.51 (s, 1H), 8.00 (s, 1H), 7.91 (d, $J = 4.1$ Hz, 1H), 7.51 (d, $J = 7.0$ Hz, 1H), 7.13 (d, $J = 8.6$ Hz, 1H), 7.09 (d, $J = 1.9$ Hz, 1H), 6.90 – 6.82 (m, 2H), 6.60 (dd, $J = 8.6$, 2.2 Hz, 1H), 3.54 – 3.45 (m, 2H), 2.84 (t, $J = 7.0$ Hz, 2H), 2.20 (s, 3H); ^{13}C NMR (151 MHz, DMSO) δ 155.3, 152.2, 150.7, 143.6, 139.6, 131.4, 128.3, 123.9, 120.7, 117.3, 112.1, 111.8, 111.2, 102.8, 40.4, 26.0, 17.4; HRMS (ESI): m/z $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{17}\text{H}_{18}\text{N}_4\text{O}_2$ 311.1504, found 311.1491.

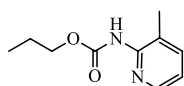
3.4 The C-C bond Activation of Amides to carbamates



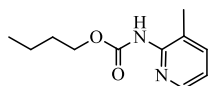
methyl (3-methylpyridin-2-yl)carbamate **7a**.⁴⁶ Yield: 98% (M=166.07, 15.8 mg). ¹H NMR (600 MHz, CDCl₃) δ 8.26 (d, *J* = 4.1 Hz, 1H), 7.54 (d, *J* = 7.5 Hz, 1H), 7.37 (s, 1H), 7.07 (dd, *J* = 7.4, 4.9 Hz, 1H), 3.78 (s, 3H), 2.30 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 154.3, 149.4, 145.8, 139.9, 127.0, 121.1, 52.6, 17.9.



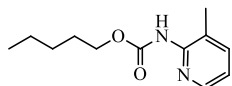
ethyl (3-methylpyridin-2-yl)carbamate **7b**.⁴⁷ Yield: >99%. (M=180.09, 18.0 mg). ¹H NMR (600 MHz, CDCl₃) δ 8.27 (d, *J* = 4.2 Hz, 1H), 7.54 (d, *J* = 7.4 Hz, 2H), 7.06 (dd, *J* = 7.4, 4.9 Hz, 1H), 4.22 (q, *J* = 7.1 Hz, 2H), 2.30 (s, 3H), 1.31 (t, *J* = 7.1 Hz, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 153.9, 149.6, 145.8, 139.9, 127.1, 121.0, 61.5, 18.0, 14.5.



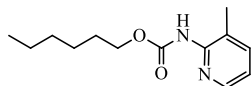
propyl (3-methylpyridin-2-yl)carbamate **7c**.⁴⁸ Yield: 96% (M=194.11, 18.6 mg). ¹H NMR (600 MHz, CDCl₃) δ 8.27 (d, *J* = 4.2 Hz, 1H), 7.54 (d, *J* = 7.4 Hz, 2H), 7.06 (dd, *J* = 7.4, 4.9 Hz, 1H), 4.12 (t, *J* = 6.7 Hz, 2H), 2.30 (s, 3H), 1.74-1.65 (m, 2H), 0.96 (t, *J* = 7.4 Hz, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 154.0, 149.6, 145.8, 139.8, 127.2, 121.0, 67.1, 22.3, 18.0, 10.3.



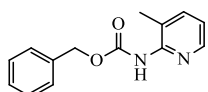
butyl (3-methylpyridin-2-yl)carbamate **7d**.⁴⁹ Yield: 90% (M=208.12, 18.7 mg). ¹H NMR (600 MHz, CDCl₃) δ 8.26 (d, *J* = 4.2 Hz, 1H), 7.54 (d, *J* = 7.4 Hz, 2H), 7.06 (dd, *J* = 7.4, 4.9 Hz, 1H), 4.17 (t, *J* = 6.7 Hz, 2H), 2.30 (s, 3H), 1.69-1.63 (m, 2H), 1.44-1.37 (m, 2H), 0.94 (t, *J* = 7.4 Hz, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 154.0, 149.6, 145.8, 139.8, 127.1, 121.0, 65.4, 31.0, 19.0, 18.0, 13.7.



pentyl (3-methylpyridin-2-yl)carbamate **7e**.⁵⁰ Yield: >99%. (M=222.14, 22.2 mg). ¹H NMR (600 MHz, CDCl₃) δ 8.27 (d, *J* = 4.1 Hz, 1H), 7.54 (d, *J* = 6.8 Hz, 2H), 7.06 (dd, *J* = 7.4, 4.9 Hz, 1H), 4.16 (t, *J* = 6.8 Hz, 2H), 2.30 (s, 3H), 1.71-1.65 (m, 2H), 1.38-1.32 (m, 4H), 0.91 (t, *J* = 7.1 Hz, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 154.0, 149.6, 145.8, 139.8, 127.1, 121.1, 65.7, 28.6, 28.0, 22.3, 18.0, 14.0.

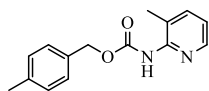


hexyl (3-methylpyridin-2-yl)carbamate **7f**.⁵¹ Yield: >99%. (M=236.15, 23.6 mg). ¹H NMR (600 MHz, CDCl₃) δ 8.27 (d, *J* = 4.2 Hz, 1H), 7.54 (d, *J* = 7.4 Hz, 2H), 7.06 (dd, *J* = 7.4, 4.9 Hz, 1H), 4.16 (t, *J* = 6.8 Hz, 2H), 2.30 (s, 3H), 1.69-1.61 (m, 2H), 1.41-1.34 (m, 2H), 1.33-1.27 (m, 4H), 0.89 (t, *J* = 6.8 Hz, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 154.0, 149.6, 145.8, 139.8, 127.1, 121.0, 65.7, 31.5, 28.9, 25.5, 22.6, 18.0, 14.0.

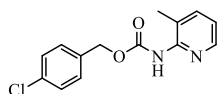


benzyl (3-methylpyridin-2-yl)carbamate **7g**.⁵² Yield: 95% (M=242.11, 22.9 mg). ¹H NMR (600 MHz,

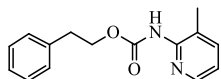
CDCl₃) δ 8.23 (d, *J* = 3.4 Hz, 1H), 7.65 (s, 1H), 7.52 (d, *J* = 7.5 Hz, 1H), 7.41-7.31 (m, 5H), 7.03 (dd, *J* = 7.4, 4.7 Hz, 1H), 5.20 (s, 2H), 2.28 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 153.6, 149.4, 145.9, 139.9, 136.1, 128.6, 128.3, 128.3, 127.0, 121.1, 67.3, 18.0.



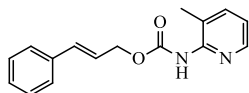
4-methylbenzyl (3-methylpyridin-2-yl)carbamate **7h**.⁵³ Yield: 77% (M=256.12, 19.7 mg). ¹H NMR (600 MHz, CDCl₃) δ 8.24 (d, *J* = 4.0 Hz, 1H), 7.52 (d, *J* = 7.5 Hz, 1H), 7.49 (s, 1H), 7.29 (d, *J* = 7.9 Hz, 2H), 7.17 (d, *J* = 7.8 Hz, 2H), 7.03 (dd, *J* = 7.4, 4.9 Hz, 1H), 5.16 (s, 2H), 2.35 (s, 3H), 2.28 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 153.6, 149.4, 145.9, 139.8, 138.2, 133.0, 129.2, 128.5, 126.8, 121.1, 67.2, 21.2, 17.9.



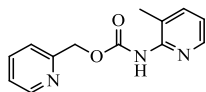
4-chlorobenzyl (3-methylpyridin-2-yl)carbamate **7i**.⁵⁴ Yield: 77% (M=276.07, 21.3mg). ¹H NMR (600 MHz, CDCl₃) δ 8.23 (s, 1H), 7.84 (s, 1H), 7.54 (d, *J* = 7.1 Hz, 1H), 7.36 --7.28 (m, 4H), 7.05 (dd, *J* = 7.2, 5.0 Hz, 1H), 5.15 (s, 2H), 2.28 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 153.5, 149.3, 145.8, 139.9, 134.6, 134.2, 129.7, 128.7, 127.1, 121.2, 66.4, 18.0.



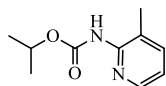
phenethyl (3-methylpyridin-2-yl)carbamate **7j**.⁵⁵ Yield: 96% (M=256.12, 24.5 mg). ¹H NMR (600 MHz, CDCl₃) δ 8.24 (d, *J* = 4.2 Hz, 1H), 7.53 (d, *J* = 7.4 Hz, 1H), 7.43-7.26 (m, 3H), 7.26-7.19 (m, 3H), 7.06 (dd, *J* = 7.4, 4.9 Hz, 1H), 4.39 (t, *J* = 7.1 Hz, 2H), 2.99 (t, *J* = 7.0 Hz, 2H), 2.26 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 153.7, 149.4, 145.8, 139.9, 137.8, 129.0, 128.5, 127.1, 126.6, 121.1, 65.9, 35.4, 17.9.



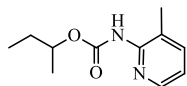
cinnamyl (3-methylpyridin-2-yl)carbamate **7k**. Yield: 87% (M=268.12, 23.2 mg). ¹H NMR (600 MHz, CDCl₃) δ 8.28 (s, 1H), 7.53 (d, *J* = 7.5 Hz, 2H), 7.39 (d, *J* = 7.4 Hz, 2H), 7.32 (t, *J* = 7.6 Hz, 2H), 7.26 (t, *J* = 7.3 Hz, 1H), 7.06 (dd, *J* = 7.3, 4.9 Hz, 1H), 6.68 (d, *J* = 15.9 Hz, 1H), 6.36-6.29 (m, 1H), 4.83 (d, *J* = 6.4 Hz, 2H), 2.30 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 153.6, 149.4, 145.9, 139.9, 136.3, 134.20, 128.6, 128.1, 127.0, 126.7, 123.4, 121.1, 66.0, 18.0; HRMS (ESI): *m/z* [M+H]⁺ calcd for C₁₆H₁₆N₂O₂ 269.1286, found 269.1265.



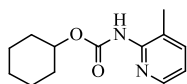
pyridin-2-ylmethyl (3-methylpyridin-2-yl)carbamate **7l**. Yield: 60% (M=243.10, 14.7 mg). ¹H NMR (600 MHz, CDCl₃) δ 8.60 (d, *J* = 4.6 Hz, 1H), 8.27 (d, *J* = 4.1 Hz, 1H), 7.70 (t, *J* = 6.9 Hz, 1H), 7.54 (d, *J* = 7.4 Hz, 1H), 7.41 (d, *J* = 7.8 Hz, 1H), 7.24 (dd, *J* = 7.3, 5.0 Hz, 1H), 7.06 (dd, *J* = 7.5, 4.9 Hz, 1H), 5.33 (s, 2H), 2.31 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 156.0, 153.4, 149.4, 149.3, 145.9, 139.8, 136.8, 126.9, 122.9, 121.9, 121.2, 67.7, 17.9; HRMS (ESI): *m/z* [M+H]⁺ calcd for C₁₃H₁₃N₃O₂ 244.1083, found 244.1073.



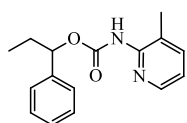
isopropyl (3-methylpyridin-2-yl)carbamate **7m**.⁵⁶ Yield: >99%. (M=194.11, 19.4 mg). ¹H NMR (600 MHz, CDCl₃) δ 8.27 (d, *J* = 4.3 Hz, 1H), 7.54 (d, *J* = 7.4 Hz, 1H), 7.41 (s, 1H), 7.06 (dd, *J* = 7.4, 4.9 Hz, 1H), 5.06-4.96 (m, 1H), 2.30 (s, 3H), 1.29 (d, *J* = 6.3 Hz, 6H); ¹³C NMR (151 MHz, CDCl₃) δ 153.4, 149.6, 145.8, 139.8, 127.0, 120.9, 69.0, 22.1, 18.0.



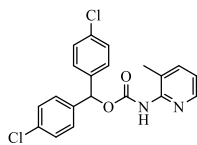
sec-butyl (3-methylpyridin-2-yl)carbamate **7n**.⁵⁷ Yield: 96% (M=208.12, 19.9 mg). ¹H NMR (600 MHz, CDCl₃) δ 8.27 (d, *J* = 4.2 Hz, 1H), 7.54 (d, *J* = 7.4 Hz, 1H), 7.36 (s, 1H), 7.06 (dd, *J* = 7.4, 4.9 Hz, 1H), 4.88-4.80 (m, 1H), 2.30 (s, 3H), 1.70-1.62 (m, 1H), 1.61-1.53 (m, 1H), 1.27 (d, *J* = 6.3 Hz, 3H), 0.93 (t, *J* = 7.5 Hz, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 153.6, 149.6, 145.8, 139.8, 127.0, 121.0, 73.6, 29.0, 20.0, 18.0, 9.7.



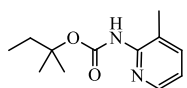
cyclohexyl (3-methylpyridin-2-yl)carbamate **7o**.⁵⁸ Yield: >99%. (M=234.14, 23.4 mg). ¹H NMR (600 MHz, CDCl₃) δ 8.27 (d, *J* = 4.2 Hz, 1H), 7.54 (d, *J* = 7.4 Hz, 1H), 7.48 (s, *J* = 65.9 Hz, 1H), 7.06 (dd, *J* = 7.5, 4.9 Hz, 1H), 4.78-4.69 (m, 1H), 2.30 (s, 3H), 1.97-1.91 (m, 2H), 1.76-1.70 (m, 2H), 1.58-1.52 (m, 1H), 1.49-1.42 (m, 2H), 1.41-1.34 (m, 2H), 1.29-1.22 (m, 1H); ¹³C NMR (151 MHz, CDCl₃) δ 153.4, 149.7, 145.7, 139.9, 127.2, 121.0, 74.0, 31.9, 25.4, 23.8, 18.0.



1-phenylpropyl (3-methylpyridin-2-yl)carbamate **7p**. Yield: 85% (M=270.14, 22.9 mg). ¹H NMR (600 MHz, CDCl₃) δ 8.24 (d, *J* = 4.1 Hz, 1H), 7.52 (d, *J* = 7.4 Hz, 1H), 7.39-7.31 (m, 4H), 7.30-7.25 (m, 1H), 7.05 (dd, *J* = 7.4, 4.9 Hz, 1H), 5.67 (t, *J* = 6.9 Hz, 1H), 2.25 (s, 3H), 2.04-1.96 (m, 1H), 1.91-1.83 (m, 1H), 0.92 (t, *J* = 7.4 Hz, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 153.2, 149.4, 145.7, 140.5, 139.8, 128.4, 127.8, 127.1, 126.6, 121.1, 78.7, 29.4, 18.0, 9.9; HRMS (ESI): *m/z* [M+H]⁺ calcd for C₁₆H₁₈N₂O₂ 271.1442, found 271.1384.

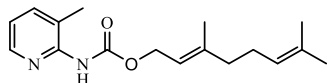


bis(4-chlorophenyl)methyl (3-methylpyridin-2-yl)carbamate **7q**. Yield: 64% (M=386.06, 24.8mg). ¹H NMR (600 MHz, CDCl₃) δ 8.24 (d, *J* = 3.3 Hz, 1H), 7.94 (s, 1H), 7.55 (d, *J* = 6.9 Hz, 1H), 7.29 (d, *J* = 8.5 Hz, 4H), 7.24 (d, *J* = 8.5 Hz, 4H), 7.07 (dd, *J* = 7.3, 4.9 Hz, 1H), 6.80 (s, 1H), 2.26 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 152.6, 149.2, 145.8, 140.0, 138.3, 134.0, 128.8, 128.7, 128.5, 127.9, 127.3, 121.4, 76.7, 17.9; HRMS (ESI): *m/z* [M+H]⁺ calcd for C₂₀H₁₆Cl₂N₂O₂ 387.0652, found 387.0649.

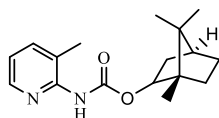


tert-pentyl (3-methylpyridin-2-yl)carbamate **7r**. Yield: 80% (M=222.14, 17.8 mg). ¹H NMR (600

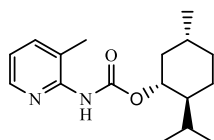
MHz, CDCl₃) δ 8.26 (d, *J* = 4.0 Hz, 1H), 7.52 (d, *J* = 7.4 Hz, 1H), 7.03 (dd, *J* = 7.4, 4.9 Hz, 1H), 6.99 (s, *J* = 38.8 Hz, 1H), 2.29 (s, 3H), 1.83 (q, *J* = 7.5 Hz, 2H), 1.48 (s, 6H), 0.92 (t, *J* = 7.5 Hz, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 152.6, 149.8, 145.9, 139.6, 126.6, 120.8, 83.1, 33.6, 25.7, 18.0, 8.3; HRMS (ESI): *m/z* [M+H]⁺ calcd for C₁₂H₁₈N₂O₂ 223.1442, found 223.1431.



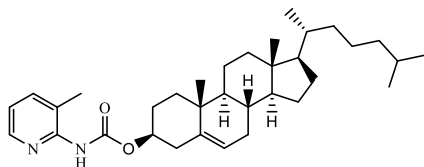
(*E*)-3,7-dimethylocta-2,6-dien-1-yl (3-methylpyridin-2-yl)carbamate **7s**. Yield: 61% (M=288.18, 17.5 mg). ¹H NMR (600 MHz, CDCl₃) δ 8.26 (d, *J* = 4.1 Hz, 1H), 7.54 (d, *J* = 7.4 Hz, 1H), 7.06 (dd, *J* = 7.3, 4.9 Hz, 1H), 5.41 (t, *J* = 7.1 Hz, 1H), 5.10 (t, *J* = 6.8 Hz, 1H), 4.66 (d, *J* = 7.2 Hz, 2H), 2.29 (s, 3H), 2.17 – 2.11 (m, 2H), 2.11 – 2.05 (m, 2H), 1.78 (s, 3H), 1.68 (s, 3H), 1.60 (s, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 153.7, 149.5, 145.8, 142.7, 139.8, 132.2, 126.8, 123.6, 121.0, 119.3, 62.1, 32.2, 26.7, 25.7, 23.5, 18.0, 17.7; HRMS (ESI): *m/z* [M-H]⁺ calcd for C₁₇H₂₄N₂O₂ 287.1754, found 287.1768.



(*1S,4S*)-1,7,7-trimethylbicyclo[2.2.1]heptan-2-yl (3-methylpyridin-2-yl)carbamate **7t**. Yield: 70% (M=288.18, 20.1 mg). ¹H NMR (600 MHz, CDCl₃) δ 8.26 (d, *J* = 4.2 Hz, 1H), 7.55 (d, *J* = 7.4 Hz, 1H), 7.07 (dd, *J* = 7.4, 4.9 Hz, 1H), 4.93 (d, *J* = 9.5 Hz, 1H), 2.41-2.34 (m, 1H), 2.32 (s, 3H), 1.93-1.86 (m, 1H), 1.79-1.71 (m, 1H), 1.68 (t, *J* = 4.4 Hz, 1H), 1.33-1.22 (m, 2H), 1.11 (dd, *J* = 13.8, 3.3 Hz, 1H), 0.91 (s, 3H), 0.88 (s, 6H); ¹³C NMR (151 MHz, CDCl₃) δ 154.2, 149.5, 145.6, 139.9, 127.4, 121.1, 81.2, 48.9, 47.9, 44.9, 36.7, 28.1, 27.1, 19.8, 18.9, 18.1, 13.6; HRMS (ESI): *m/z* [M+H]⁺ calcd for C₁₇H₂₄N₂O₂ 289.1910, found 289.1899.

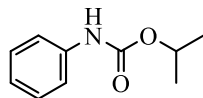


(*1R,2S,5R*)-2-isopropyl-5-methylcyclohexyl (3-methylpyridin-2-yl)carbamate **7u**. Yield: 55% (M=290.20, 15.9 mg). ¹H NMR (600 MHz, CDCl₃) δ 8.25 (d, *J* = 4.2 Hz, 1H), 7.54 (d, *J* = 7.3 Hz, 1H), 7.06 (dd, *J* = 7.4, 4.9 Hz, 1H), 4.66 (td, *J* = 10.9, 4.4 Hz, 1H), 2.30 (s, 3H), 2.11 (d, *J* = 11.9 Hz, 1H), 2.02-1.91 (m, 1H), 1.74-1.62 (m, 2H), 1.55-1.43 (m, 1H), 1.42-1.32 (m, 1H), 1.12-1.00 (m, 2H), 0.95-0.88 (m, 7H), 0.80 (d, *J* = 6.9 Hz, 3H); ¹³C NMR (151 MHz, CDCl₃) δ 153.4, 149.6, 145.7, 139.9, 127.1, 121.0, 75.5, 47.4, 41.3, 34.3, 31.4, 26.3, 23.6, 22.1, 20.8, 18.0, 16.5; HRMS (ESI): *m/z* [M+H]⁺ calcd for C₁₇H₂₆N₂O₂ 291.2066, found 291.2051.

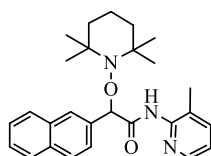


(*3S,8S,9S,10R,13R,14S,17R*)-10,13-dimethyl-17-((*R*)-6-methylheptan-2-yl)-2,3,4,7,8,9,10,11,12,13,14,15,16,17-tetradecahydro-1H-cyclopenta[*a*]phenanthren-3-yl (3-methylpyridin-2-yl)carbamate **7v**. Yield: 49% (M=520.40, 25.7 mg). ¹H NMR (600 MHz, CDCl₃) δ 8.25 (d, *J* = 3.5 Hz, 1H), 7.55 (d, *J* = 7.2 Hz, 1H), 7.10-7.01 (m, 1H), 5.39 (s, 1H), 4.65-4.55 (m, 1H), 2.48-2.40 (m, 1H), 2.39-2.32 (m, 1H), 2.31 (s, 3H), 2.04-1.92 (m, 3H), 1.91-1.79 (m, 2H), 1.67-1.41 (m, 8H), 1.40-1.30 (m, 3H), 1.29-

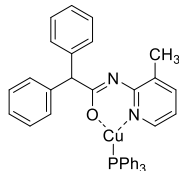
1.22 (m, 2H), 1.18-1.05 (m, 7H), 1.03 (s, 3H), 1.01-0.95 (m, 2H), 0.92 (d, $J = 6.4$ Hz, 3H), 0.86 (dd, $J = 6.5, 2.4$ Hz, 6H), 0.68 (s, 3H); ^{13}C NMR (151 MHz, CDCl_3) δ 153.1, 149.5, 145.6, 139.9, 139.7, 127.0, 122.7, 121.0, 75.4, 56.7, 56.2, 50.1, 42.3, 39.8, 39.5, 38.4, 37.0, 36.6, 36.2, 35.8, 31.9, 31.9, 28.2, 28.0, 24.3, 23.9, 22.8, 22.6, 21.1, 19.4, 18.7, 18.0, 11.9; HRMS (ESI): m/z $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{34}\text{H}_{52}\text{N}_2\text{O}_2$ 521.4094, found 521.4067.



isopropyl phenylcarbamate **8**.⁵⁹ ^1H NMR (600 MHz, CDCl_3) δ 7.38 (d, $J = 7.5$ Hz, 2H), 7.30 (t, $J = 7.9$ Hz, 2H), 7.05 (t, $J = 7.4$ Hz, 1H), 6.53 (s, 1H), 5.08 – 4.96 (m, 1H), 1.30 (d, $J = 6.3$ Hz, 7H); ^{13}C NMR (151 MHz, CDCl_3) δ 153.2, 138.1, 129.0, 123.3, 118.6, 68.8, 22.1.



N-(3-methylpyridin-2-yl)-2-(naphthalen-2-yl)-2-((2,2,6,6-tetramethylpiperidin-1-yl)oxy)acetamide **9**. ^1H NMR (600 MHz, CDCl_3) δ 8.68 (s, 1H), 8.27 (d, $J = 3.9$ Hz, 1H), 7.96 (s, 1H), 7.92-7.75 (m, 3H), 7.64 (d, $J = 8.5$ Hz, 1H), 7.55-7.42 (m, 3H), 7.06 (dd, $J = 7.2, 5.0$ Hz, 1H), 5.43 (s, 1H), 2.05 (s, 3H), 1.62-1.11 (m, 16H), 0.63-0.52 (m, 2H); ^{13}C NMR (151 MHz, CDCl_3) δ 169.8, 148.8, 146.1, 139.7, 136.5, 133.2, 133.2, 128.3, 128.2, 128.2, 127.7, 126.8, 126.1, 126.1, 124.4, 121.6, 91.0, 60.6, 59.9, 40.5, 34.1, 33.2, 20.9, 20.5, 18.1, 17.0; HRMS (ESI): m/z $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{27}\text{H}_{33}\text{N}_3\text{O}_2$ 432.2643, found 432.2614.



The copper-cycle intermediate. HRMS (ESI): m/z $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{38}\text{H}_{33}\text{CuN}_2\text{OP}$ 627.1627, found 627.1549.

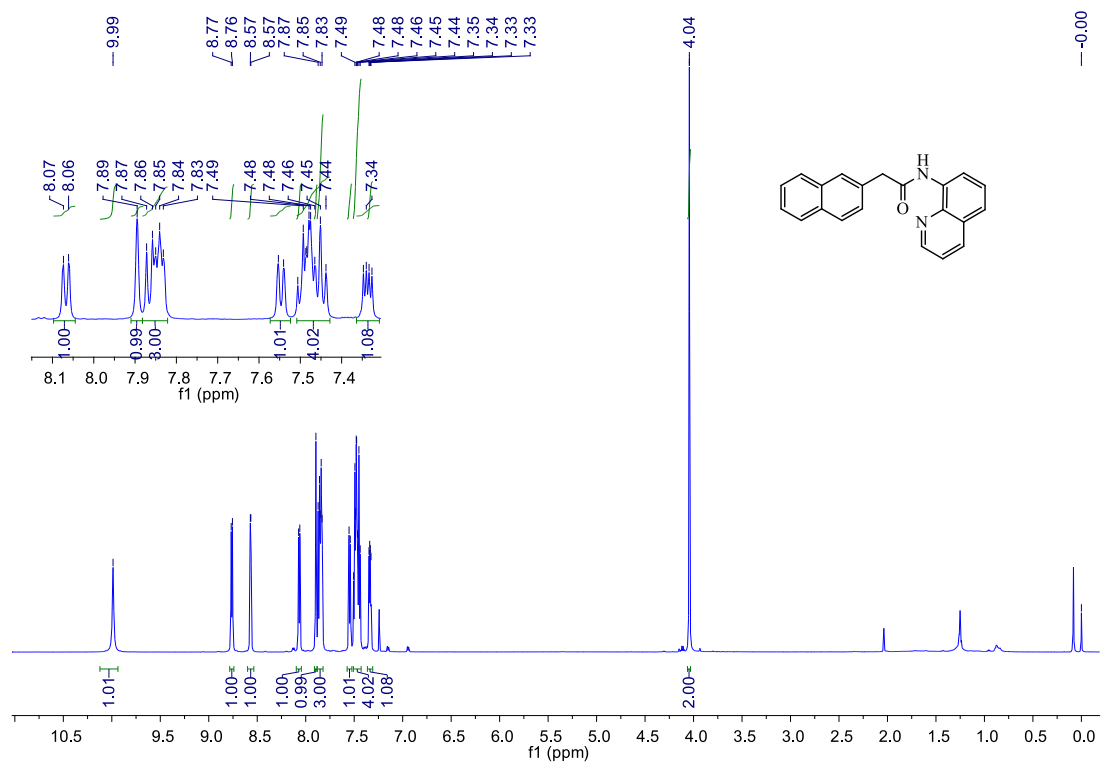
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- [21] CAS Number: 94322-83-9.
- [22] CAS Number: 2203161-96-8.
- [23] CAS Number: 1898737-74-0.
- [24] CAS Number: 899015-12-4.
- [25] CAS Number: 309284-05-7.
- [26] CAS Number: 932812-78-7.
- [27] CAS Number: 1901317-99-4.
- [28] CAS Number: 1905227-64-6.
- [29] CAS Number: 708220-77-3.
- [30] CAS Number: 2203095-00-3.

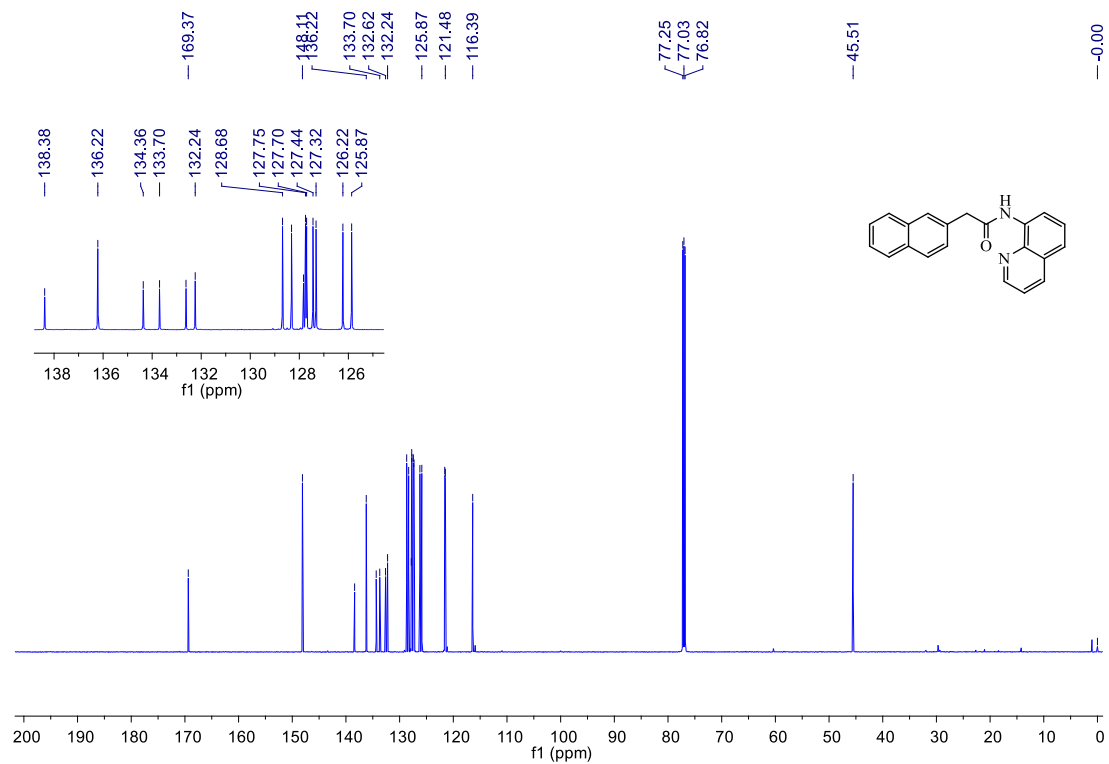
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4. ¹H NMR, ¹³C NMR Spectra and HRMS of the Products

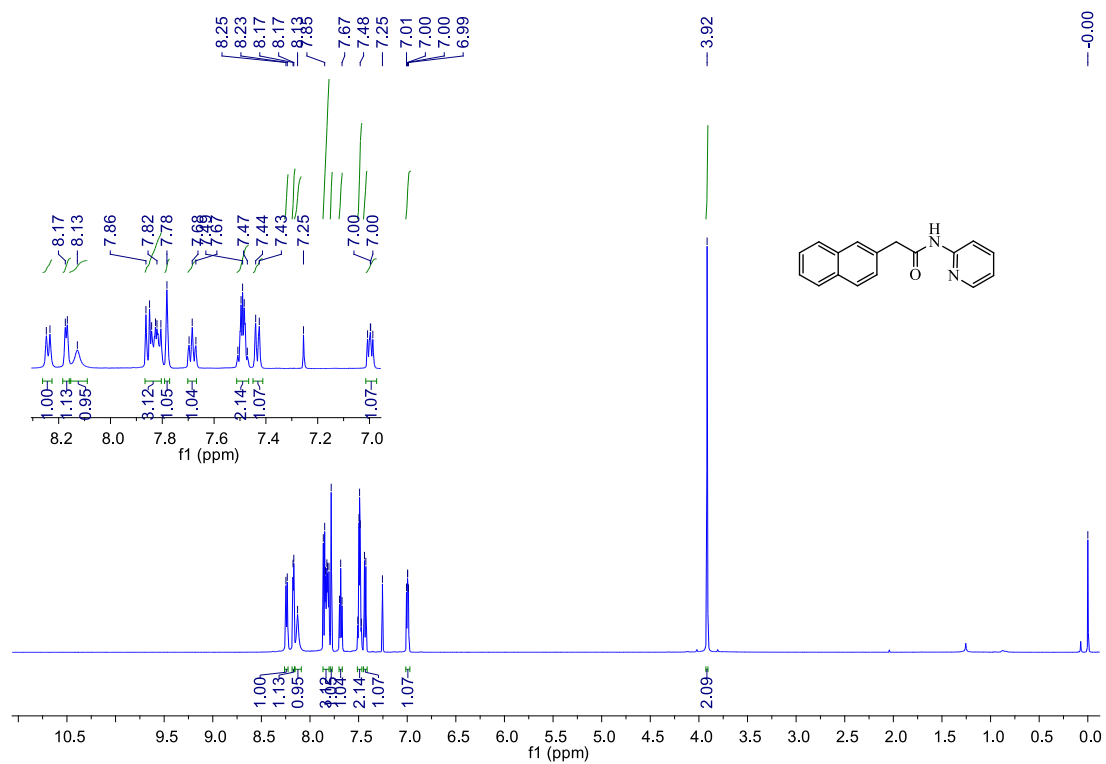
¹H NMR of 2-(naphthalen-2-yl)-N-(quinolin-8-yl)acetamide **1a₁**



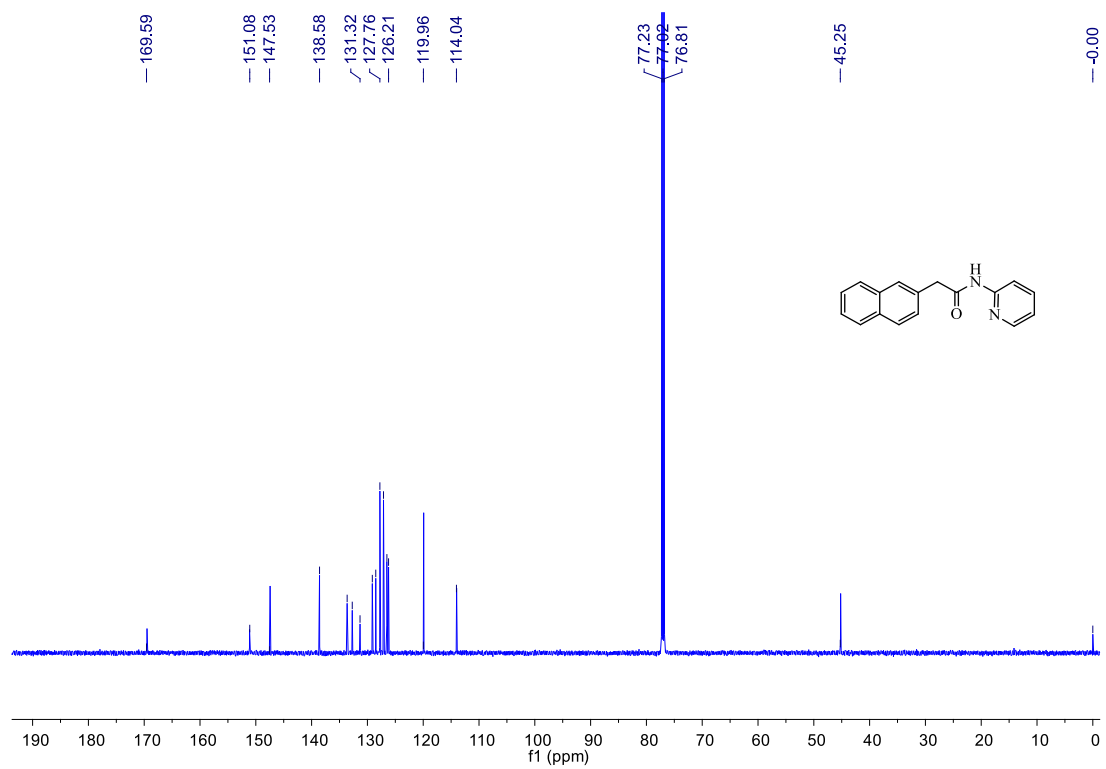
¹³C NMR of 2-(naphthalen-2-yl)-N-(quinolin-8-yl)acetamide **1a₁**



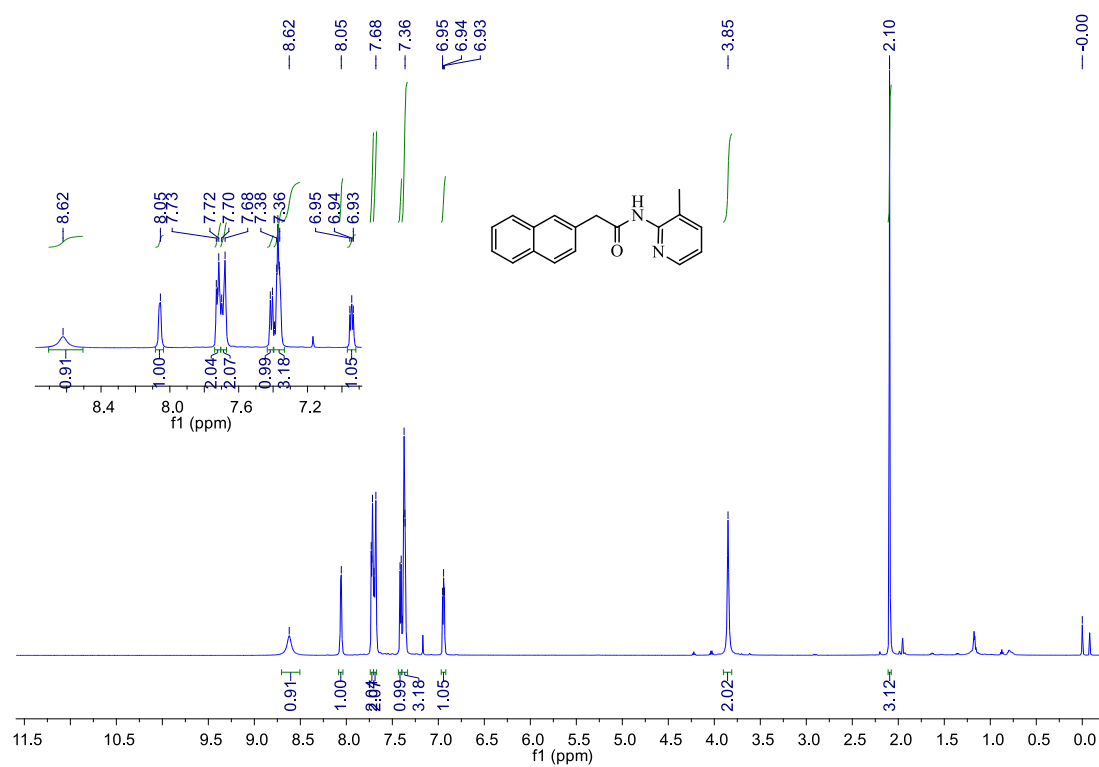
¹H NMR of 2-(naphthalen-2-yl)-N-(pyridin-2-yl)acetamide **1a₂**



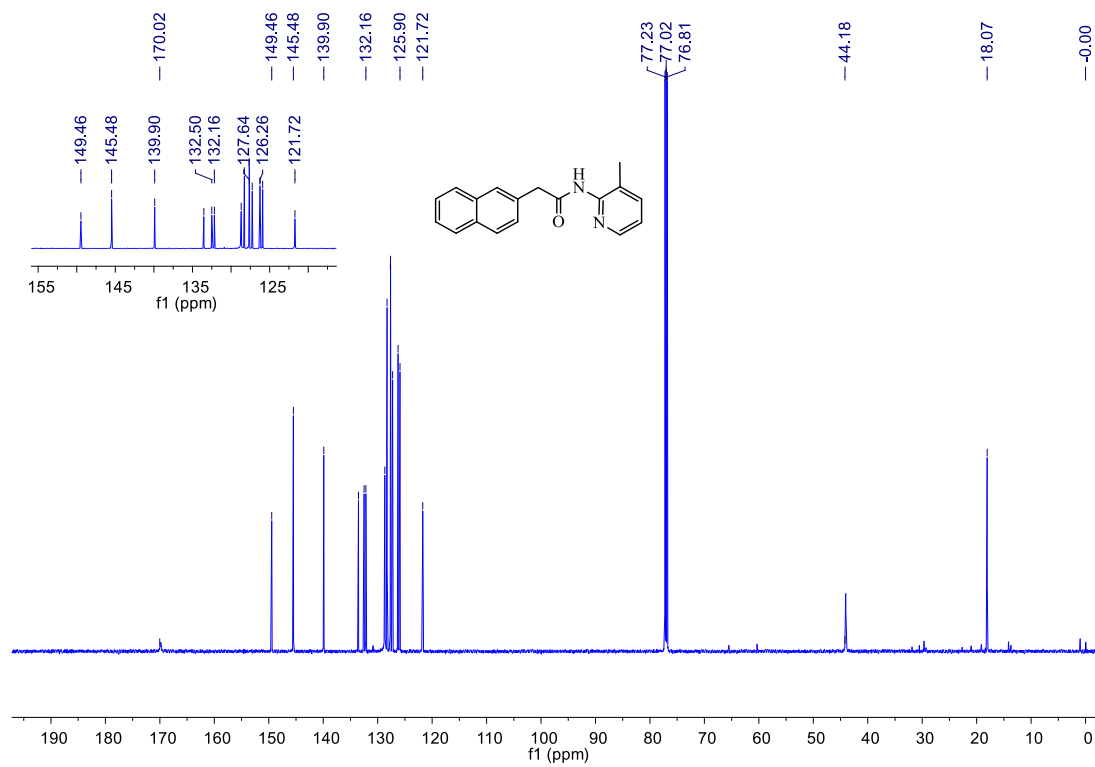
¹³C NMR of 2-(naphthalen-2-yl)-N-(pyridin-2-yl)acetamide **1a₂**



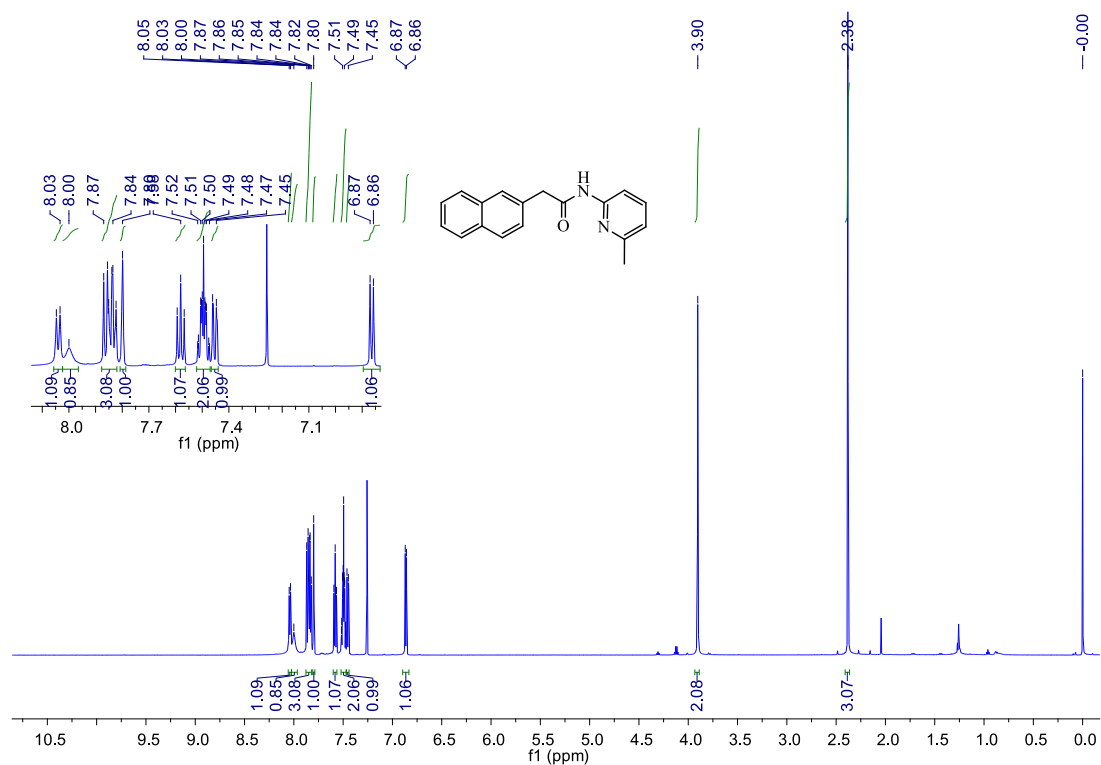
¹H NMR of N-(3-methylpyridin-2-yl)-2-(naphthalen-2-yl)acetamide **1a₃**



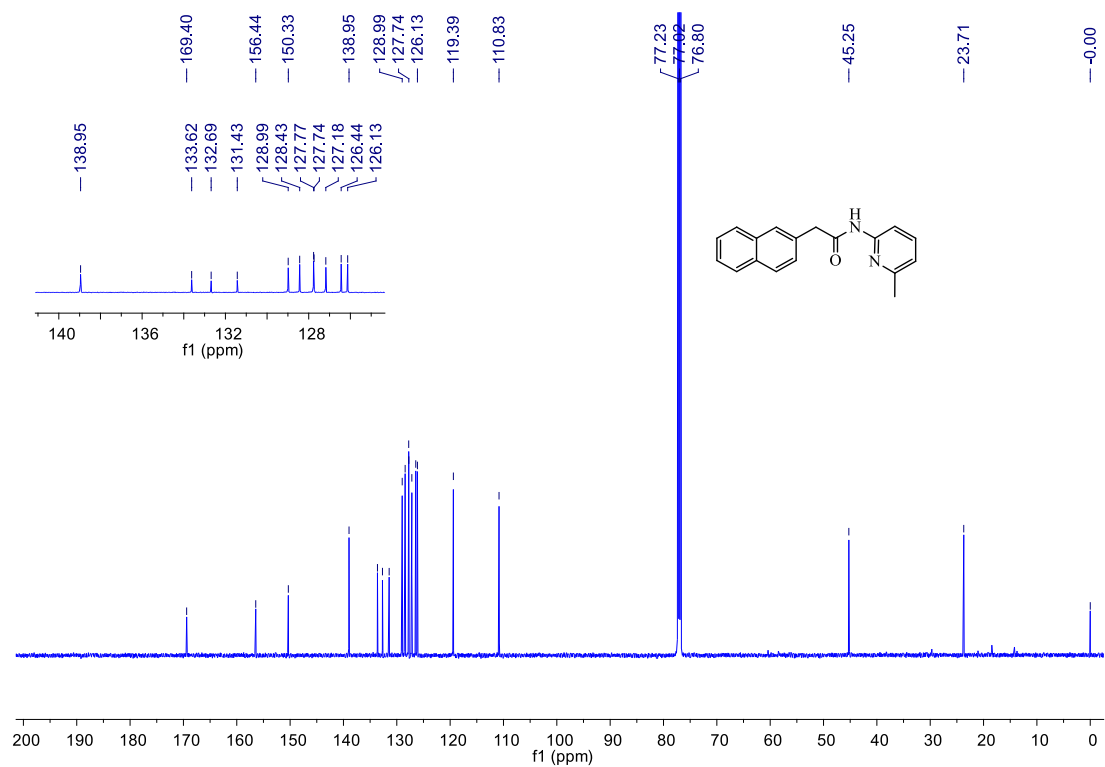
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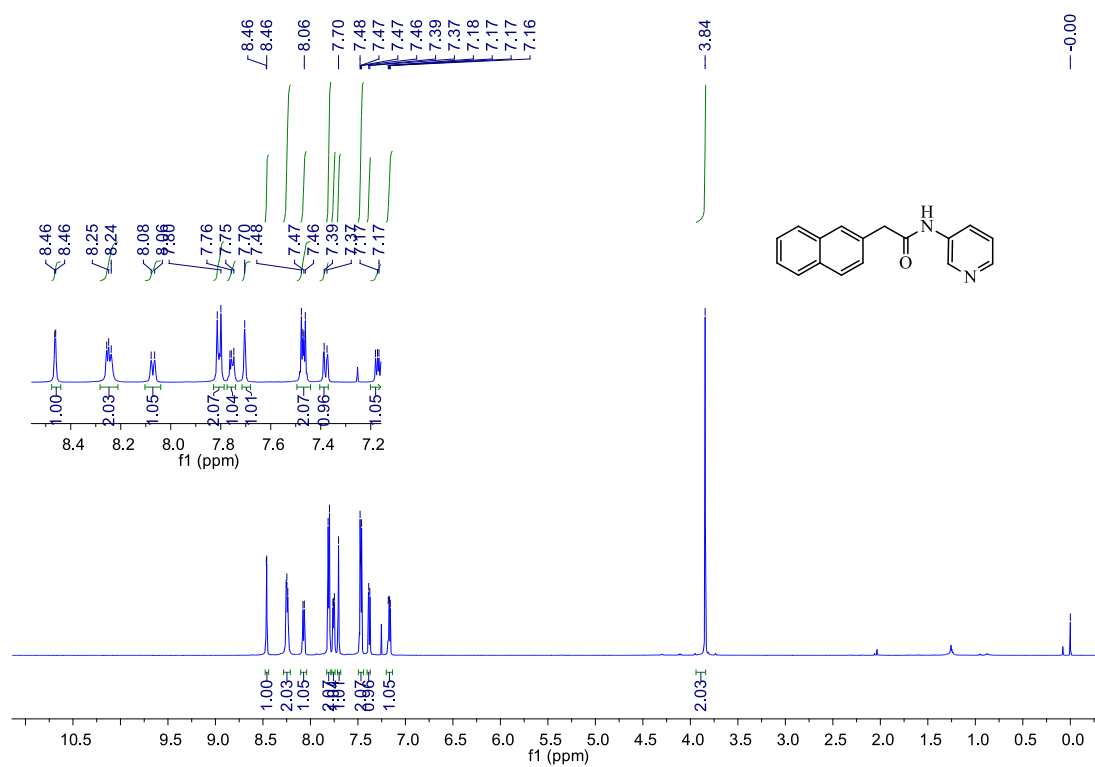
¹H NMR of N-(6-methylpyridin-2-yl)-2-(naphthalen-2-yl)acetamide **1a4**



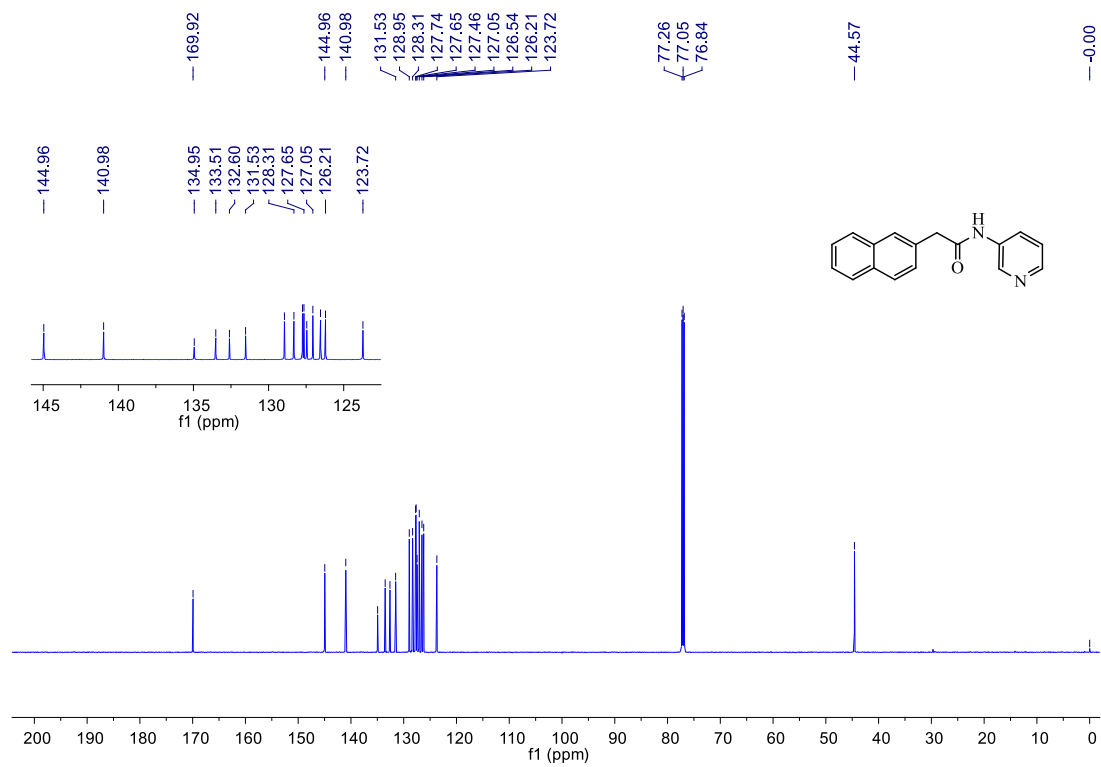
¹³C NMR of N-(6-methylpyridin-2-yl)-2-(naphthalen-2-yl)acetamide **1a4**



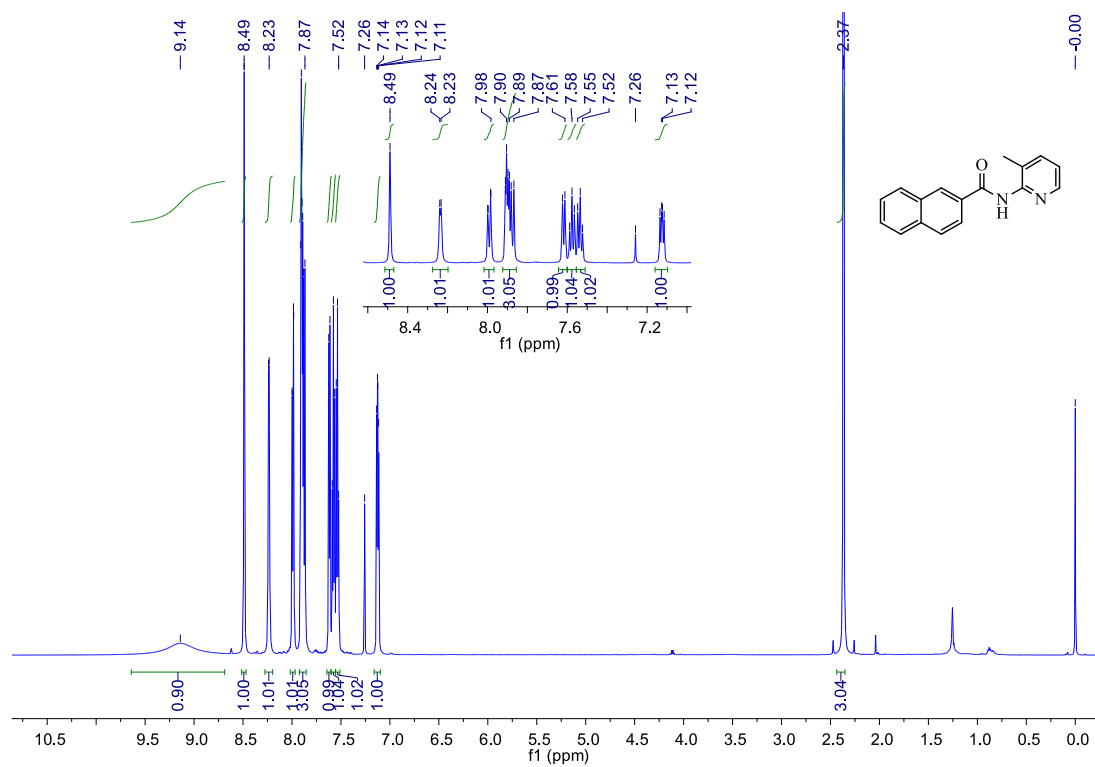
¹H NMR of 2-(naphthalen-2-yl)-N-(pyridin-3-yl)acetamide **1a5**



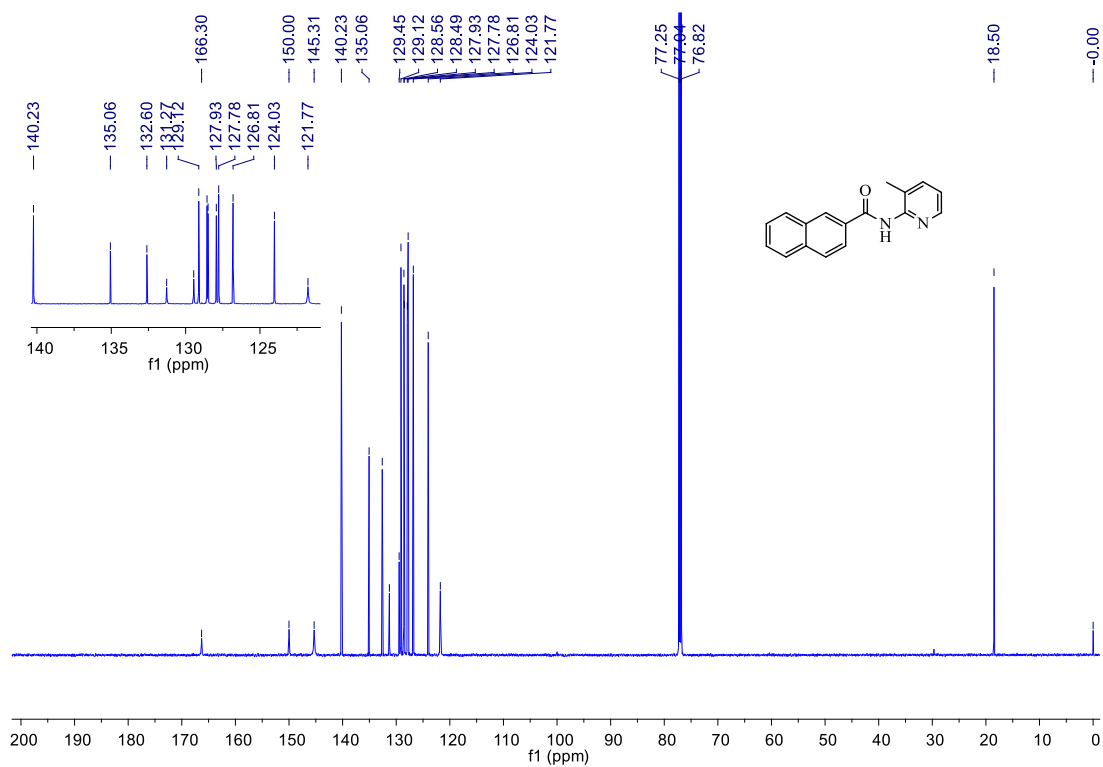
¹³C NMR of 2-(naphthalen-2-yl)-N-(pyridin-3-yl)acetamide **1a5**



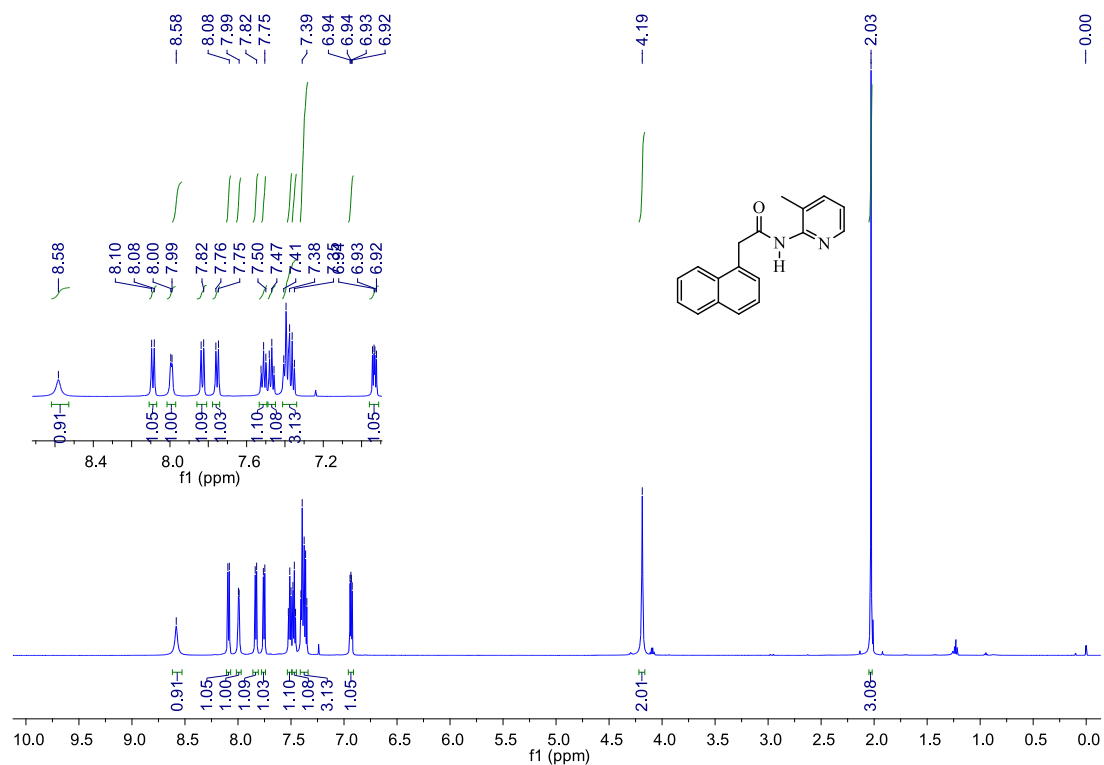
¹H NMR of N-(3-methylpyridin-2-yl)-2-naphthamide **1a₆**



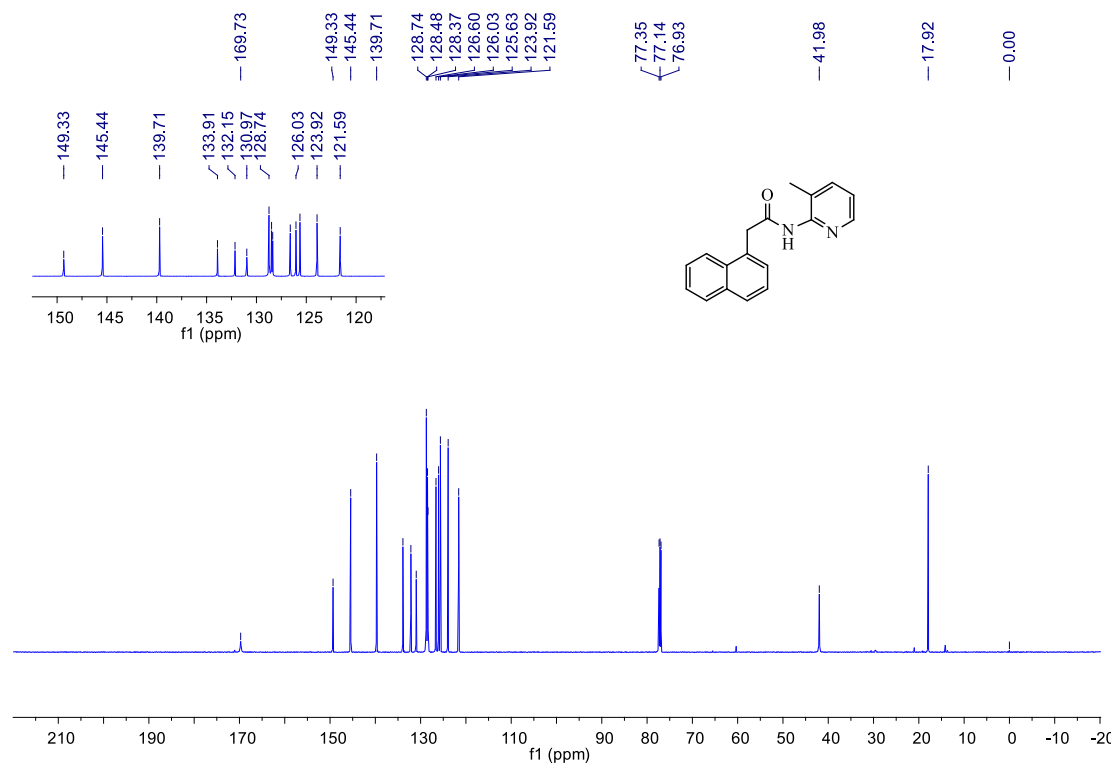
¹³C NMR of N-(3-methylpyridin-2-yl)-2-naphthamide **1a₆**



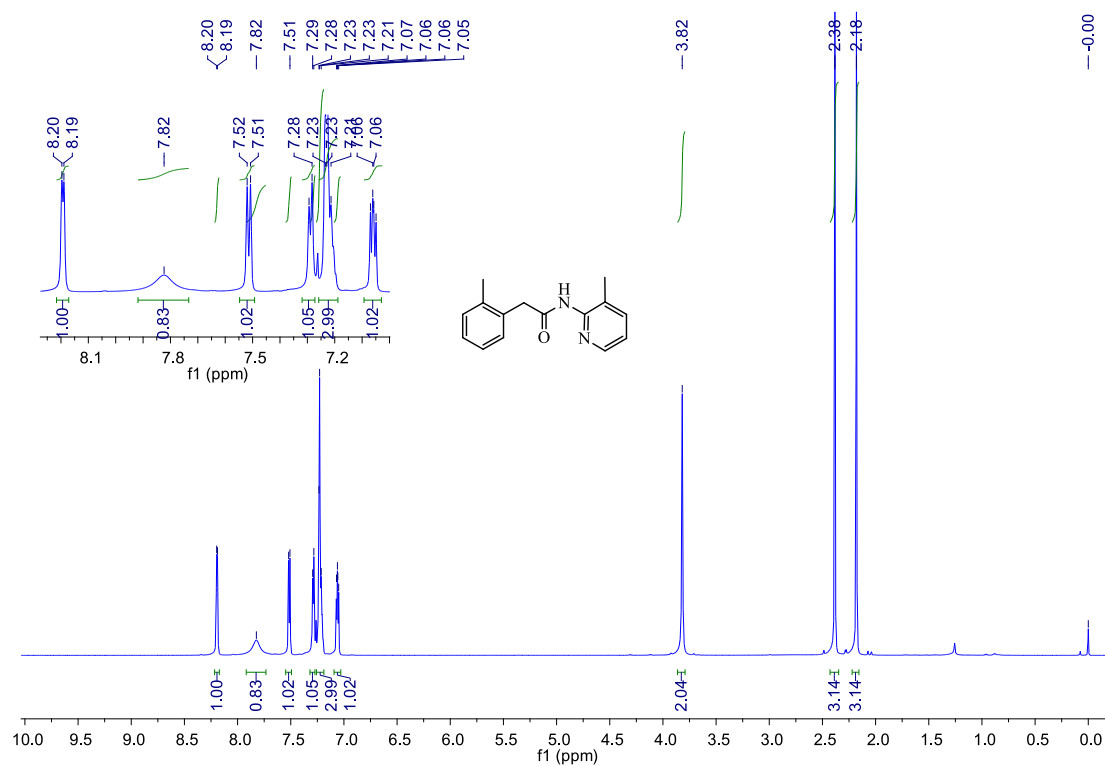
¹H NMR of N-(3-methylpyridin-2-yl)-2-(naphthalen-1-yl)acetamide **1b**



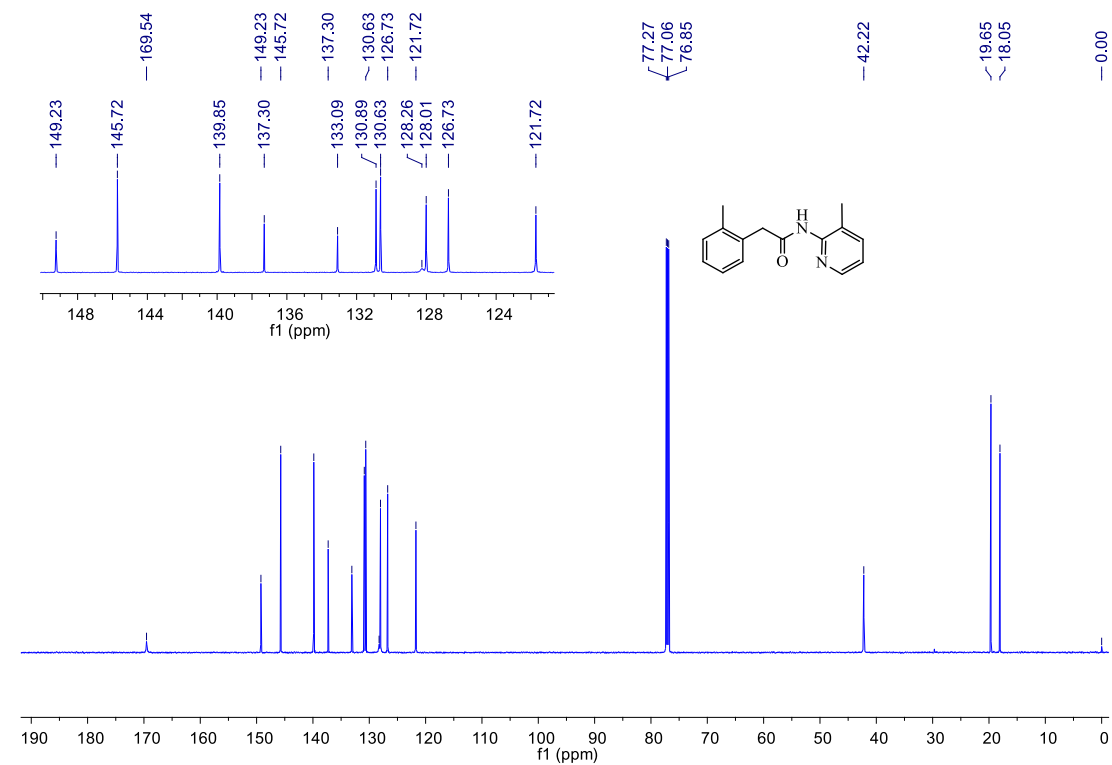
¹³C NMR of N-(3-methylpyridin-2-yl)-2-(naphthalen-1-yl)acetamide **1b**



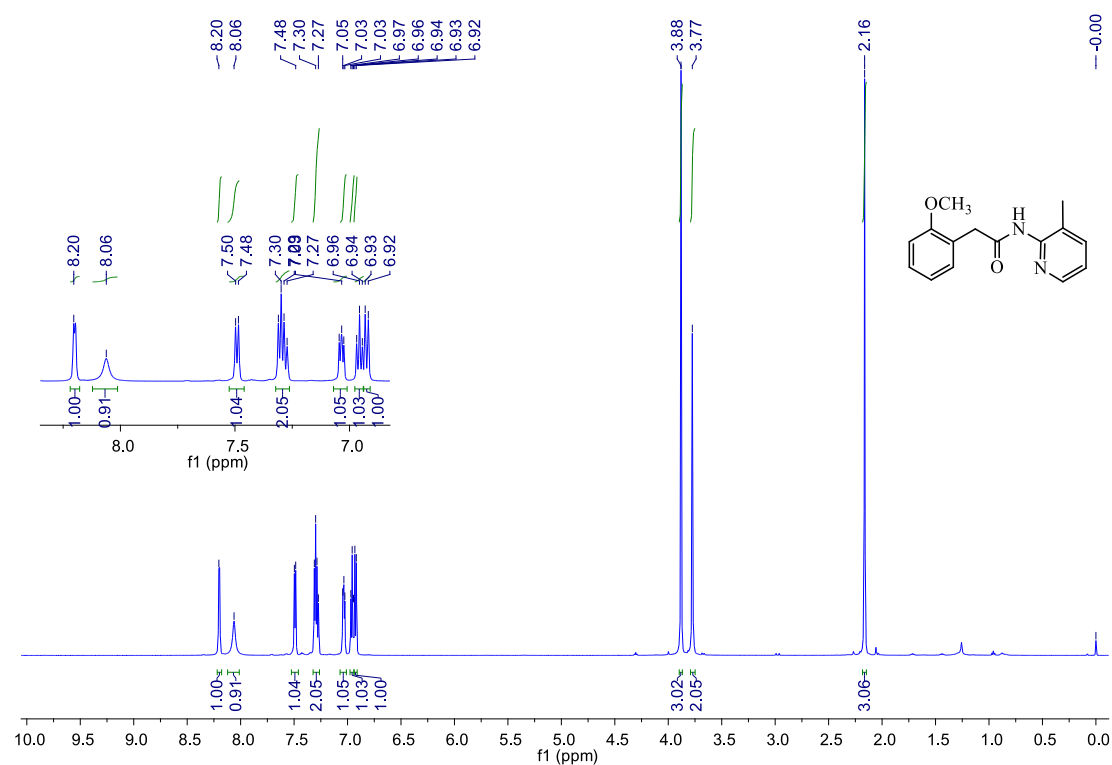
¹H NMR of N-(3-methylpyridin-2-yl)-2-(o-tolyl)acetamide **1c**



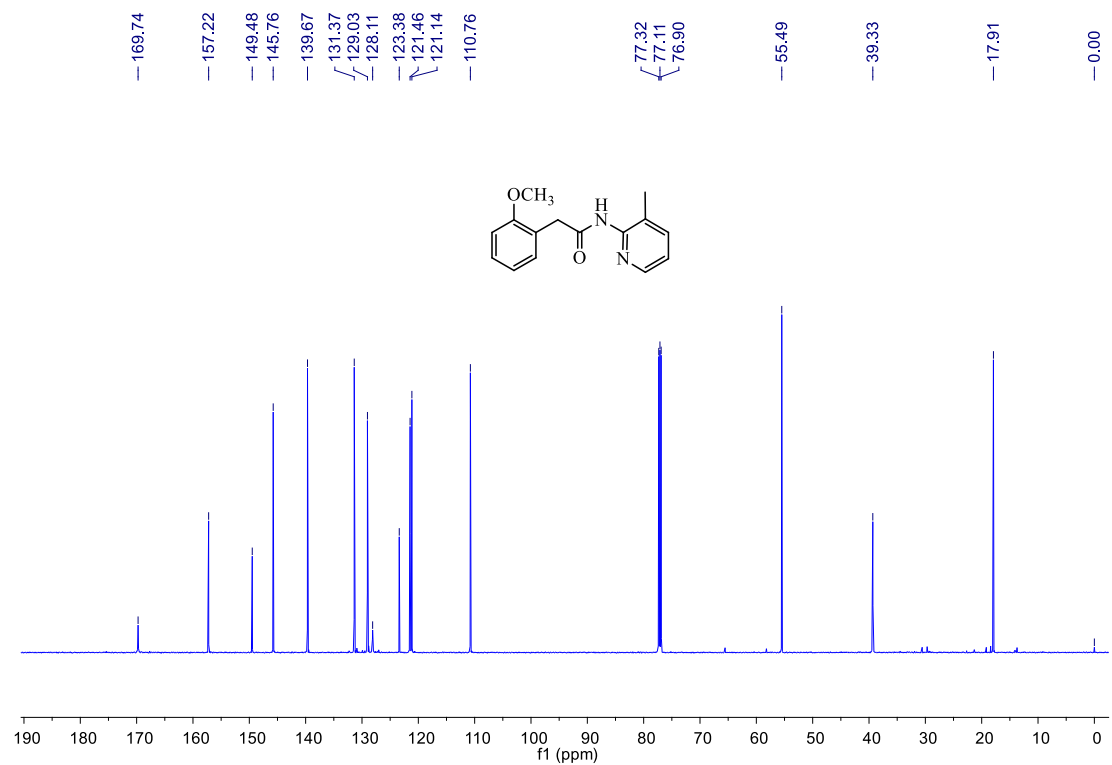
¹³C NMR of N-(3-methylpyridin-2-yl)-2-(o-tolyl)acetamide **1c**



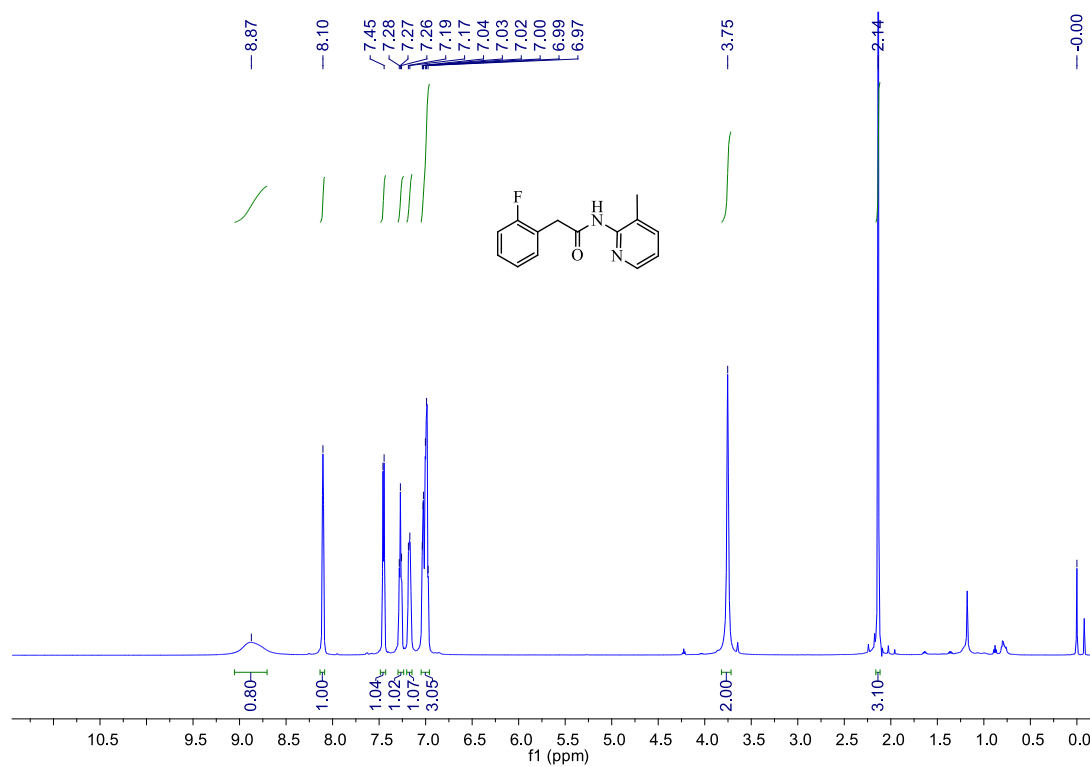
¹H NMR of 2-(2-methoxyphenyl)-N-(3-methylpyridin-2-yl)acetamide **1d**



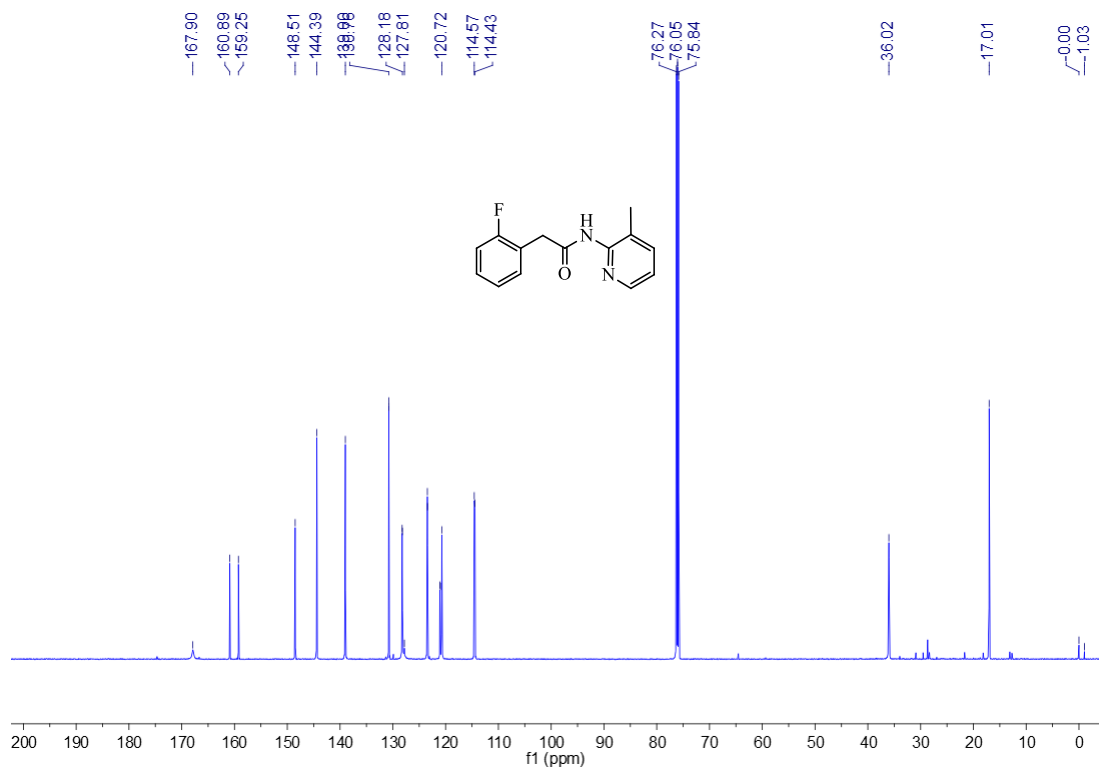
¹³C NMR of 2-(2-methoxyphenyl)-N-(3-methylpyridin-2-yl)acetamide **1d**



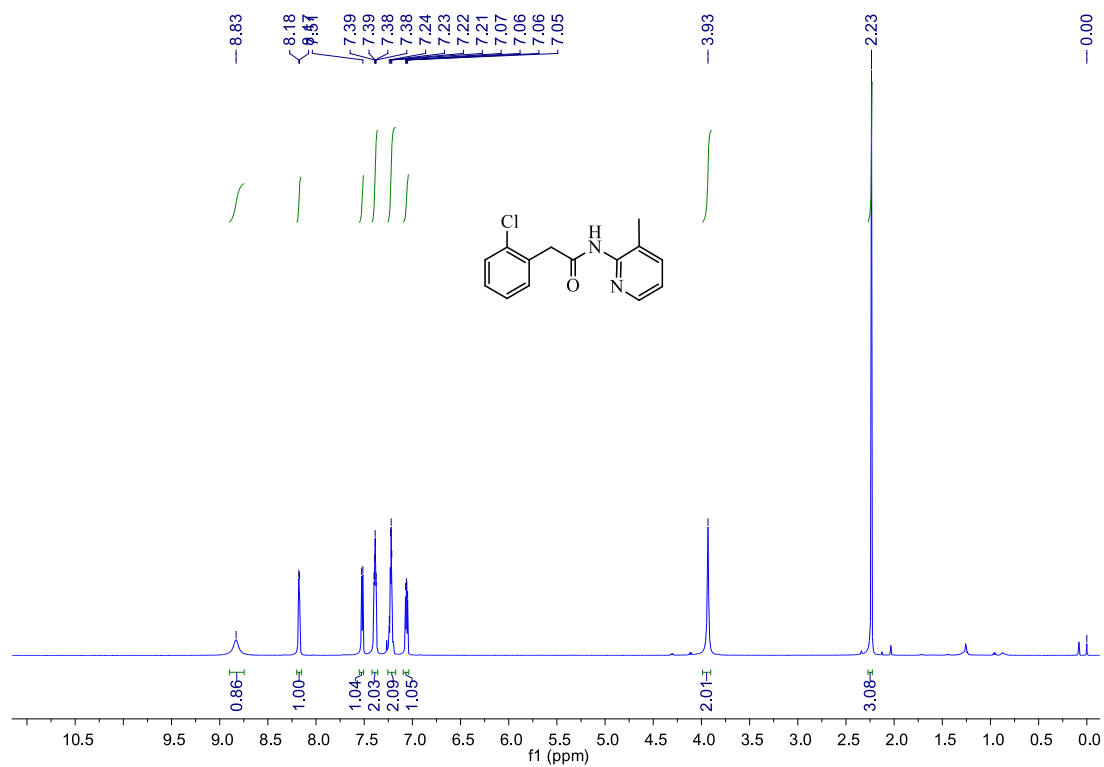
¹H NMR of 2-(2-fluorophenyl)-N-(3-methylpyridin-2-yl)acetamide **1e**



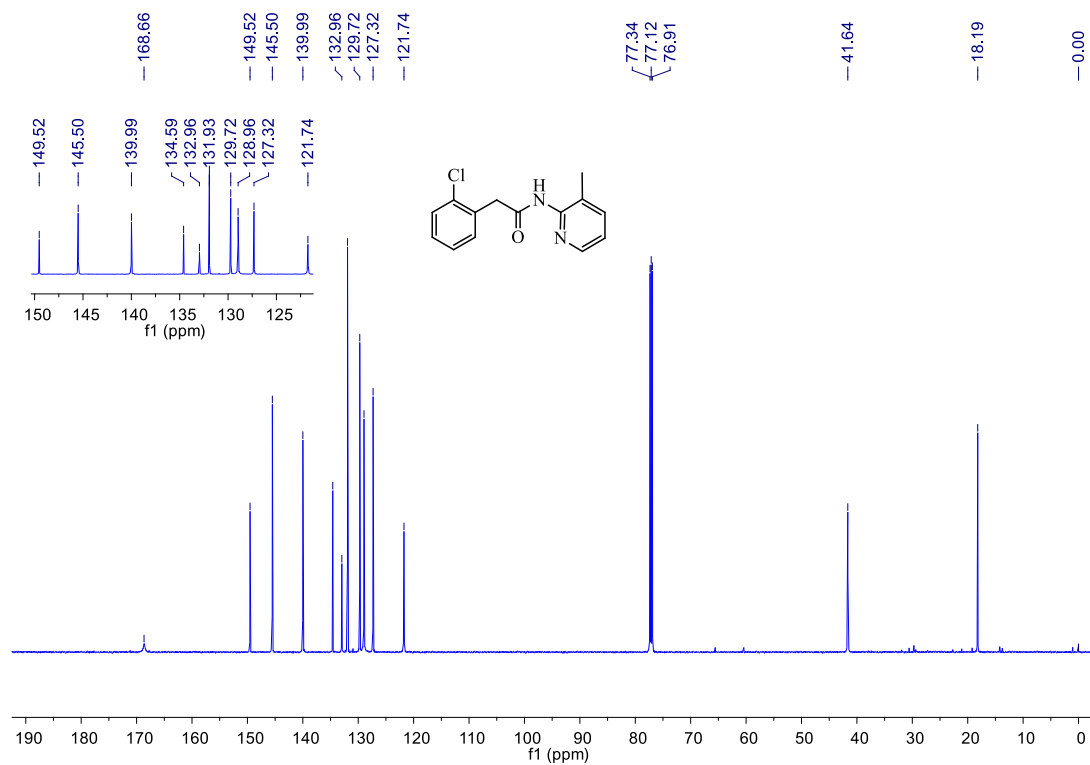
¹³C NMR of 2-(2-fluorophenyl)-N-(3-methylpyridin-2-yl)acetamide **1e**



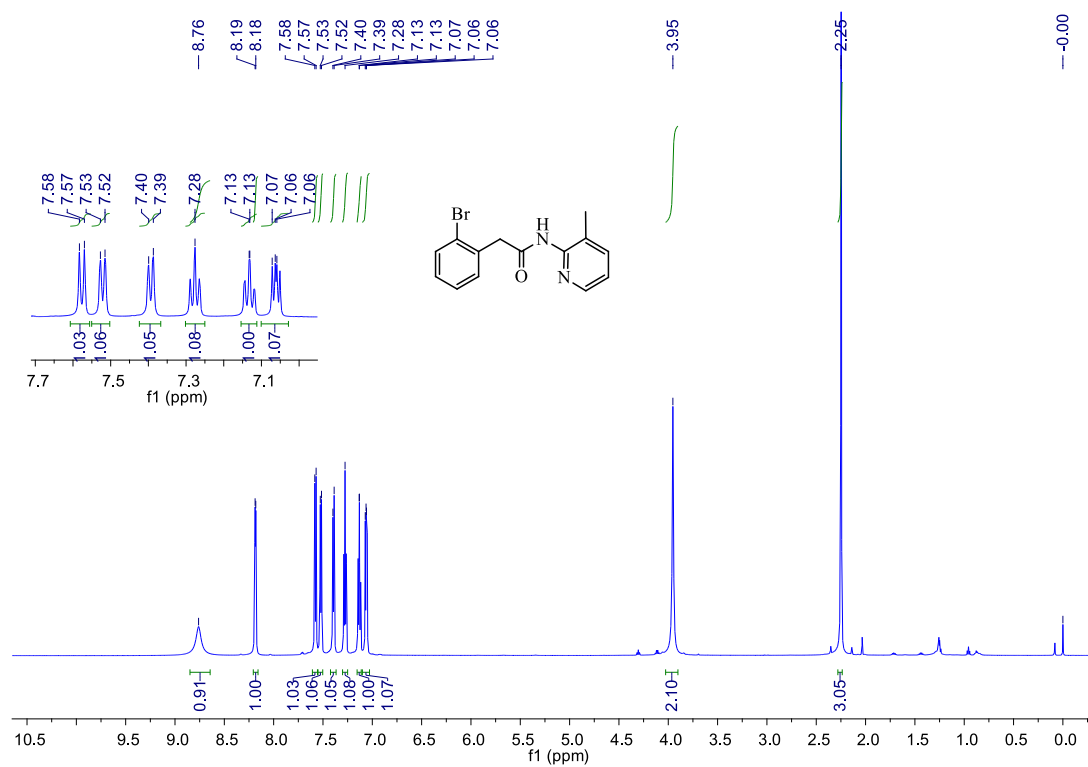
¹H NMR of 2-(2-methoxyphenyl)-N-(3-methylpyridin-2-yl)acetamide **1f**



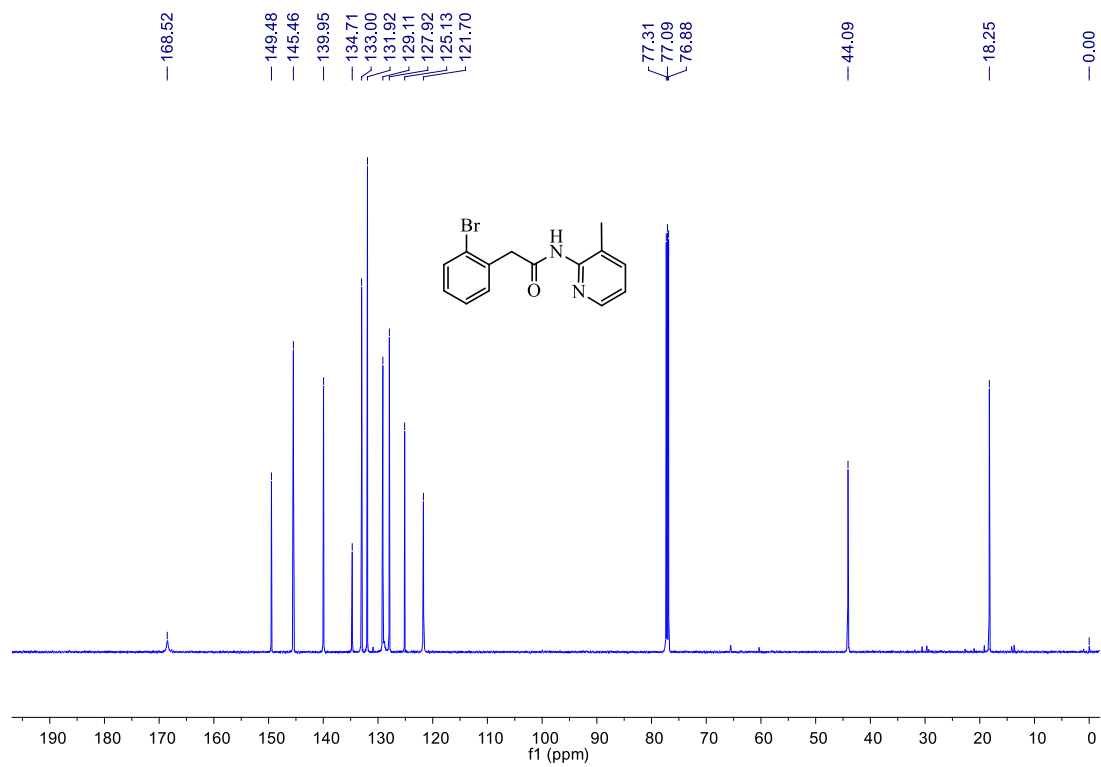
¹³C NMR of 2-(2-methoxyphenyl)-N-(3-methylpyridin-2-yl)acetamide **1f**



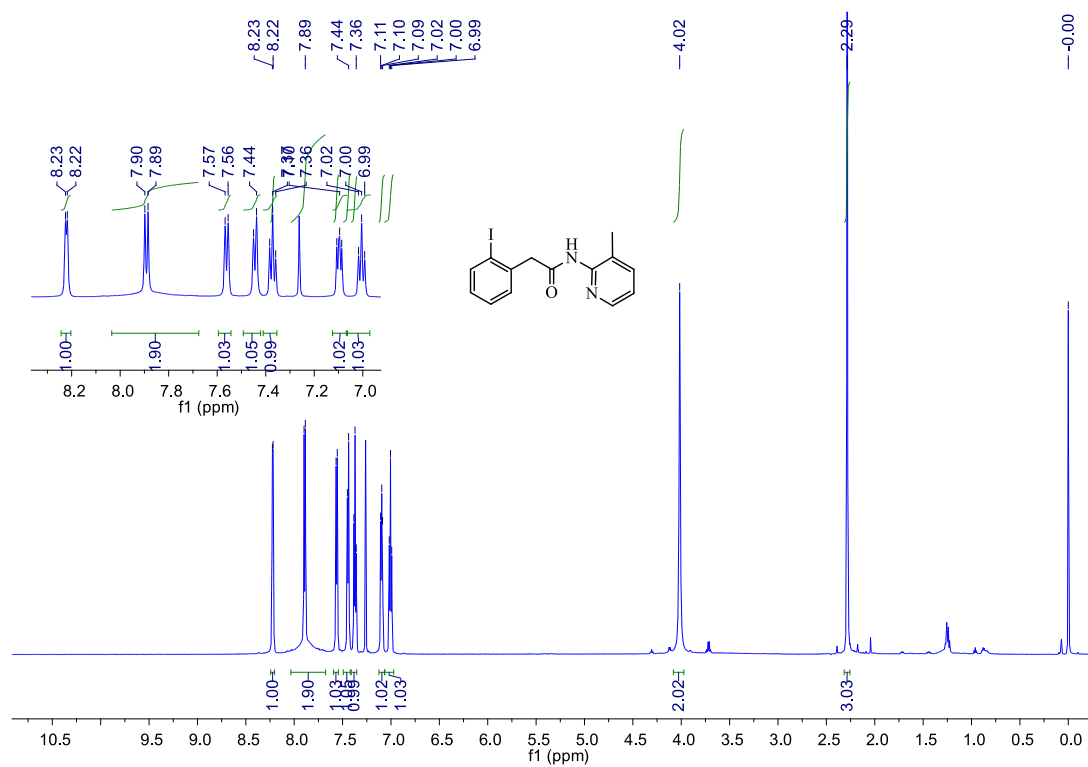
¹H NMR of 2-(2-bromophenyl)-N-(3-methylpyridin-2-yl)acetamide **1g**



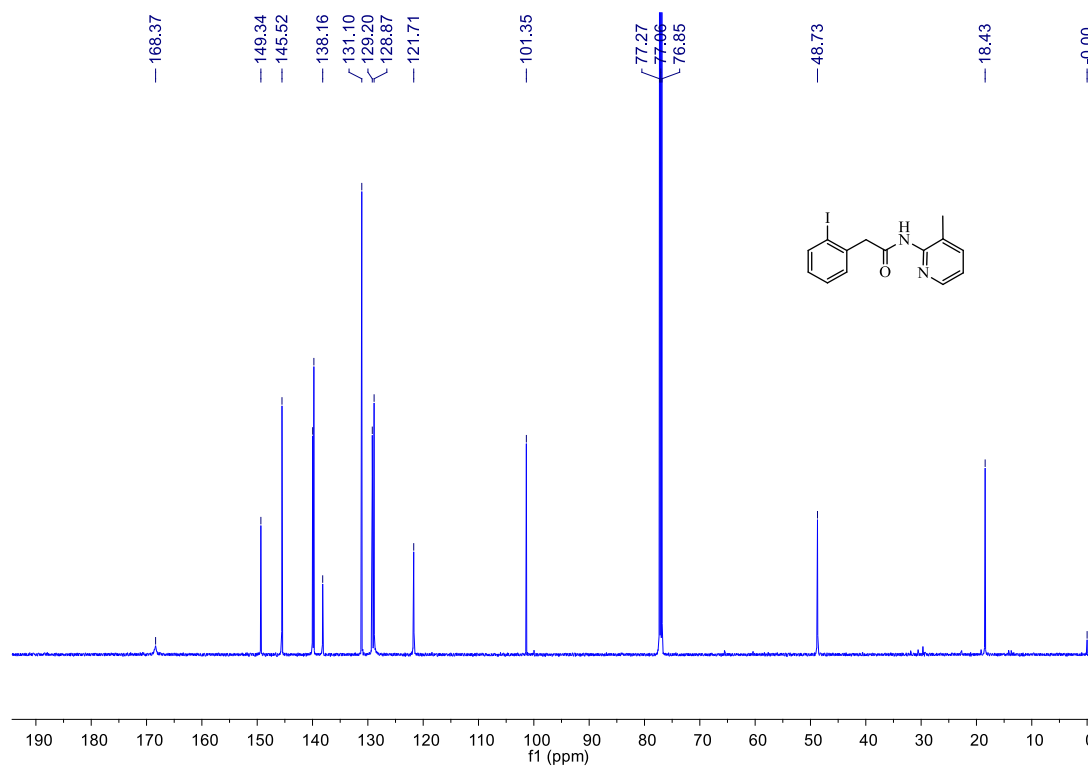
¹³C NMR of 2-(2-bromophenyl)-N-(3-methylpyridin-2-yl)acetamide **1g**



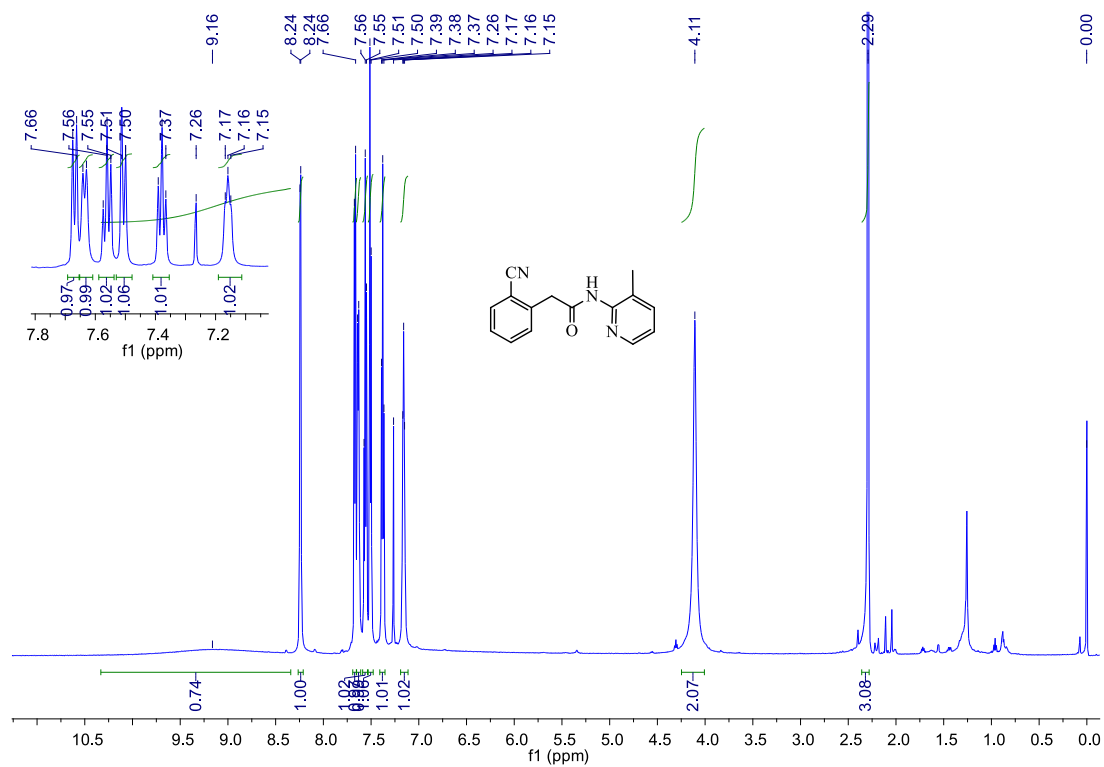
¹H NMR of 2-(2-iodophenyl)-N-(3-methylpyridin-2-yl)acetamide **1h**



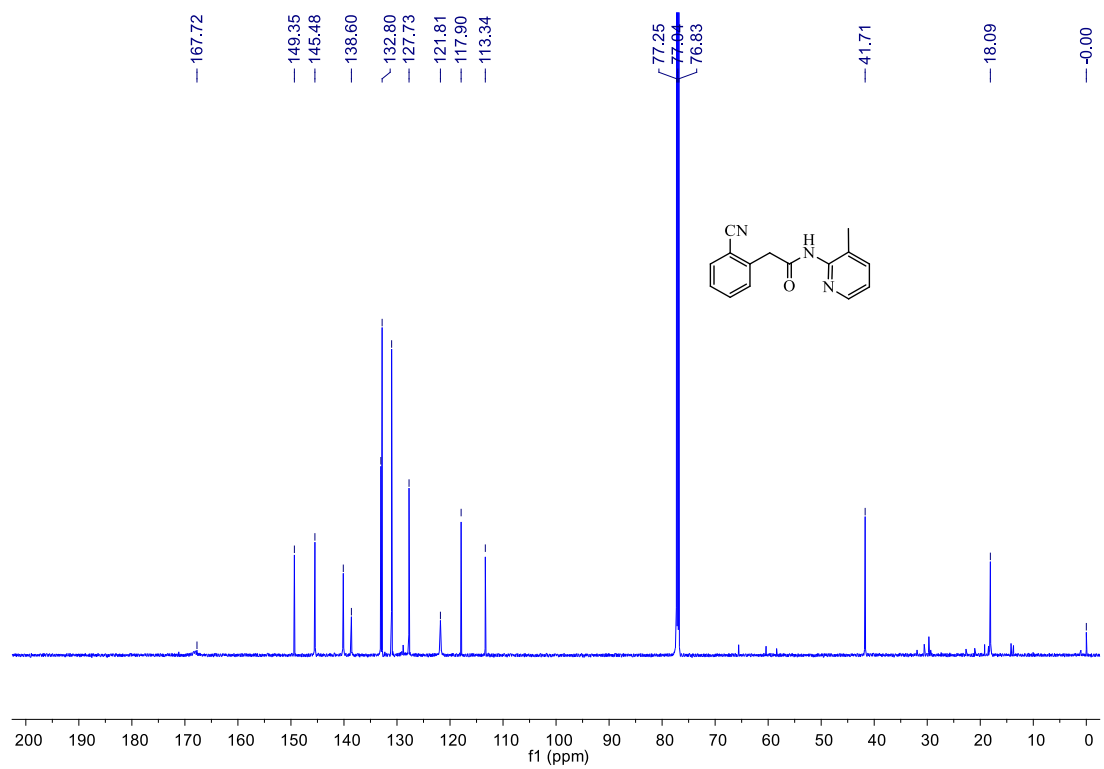
¹³C NMR of 2-(2-iodophenyl)-N-(3-methylpyridin-2-yl)acetamide **1h**



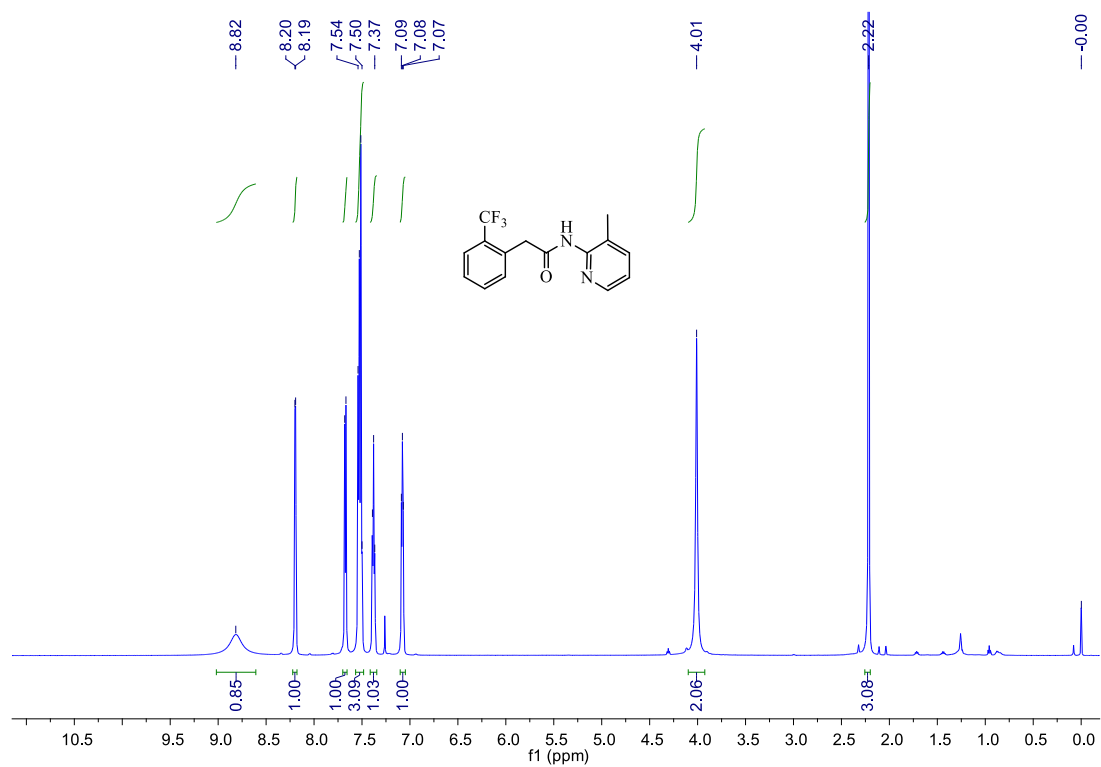
¹H NMR of 2-(2-cyanophenyl)-N-(3-methylpyridin-2-yl)acetamide **1i**



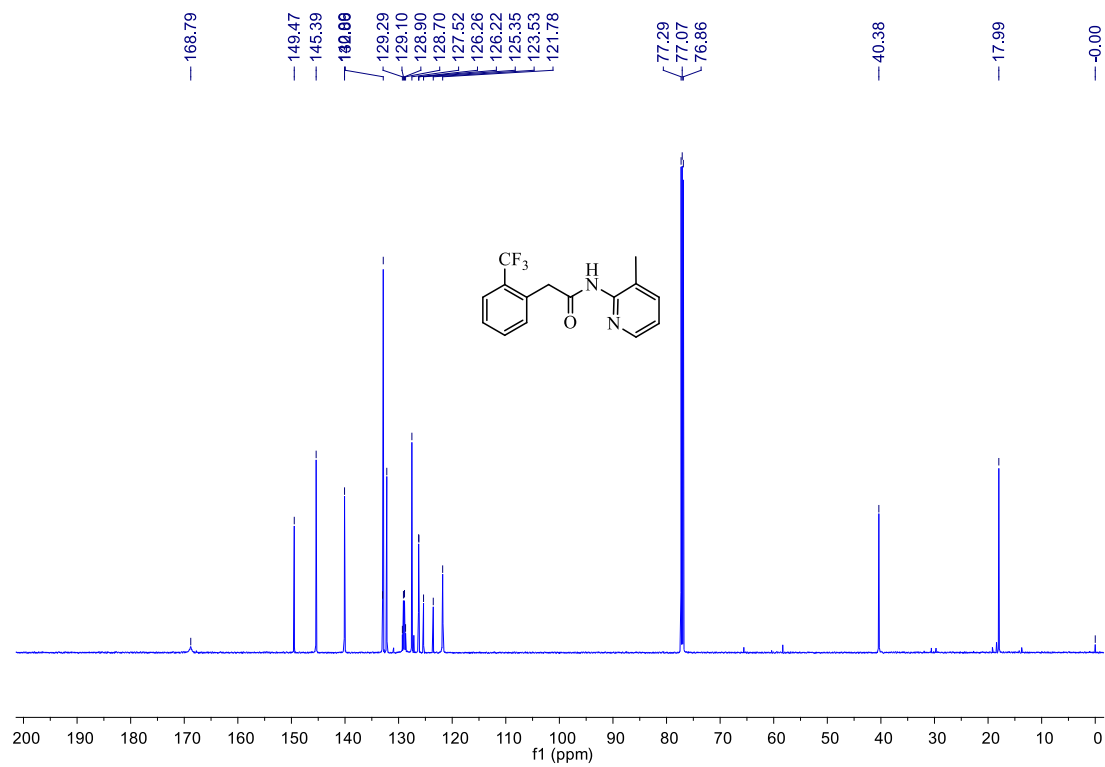
¹³C NMR of 2-(2-cyanophenyl)-N-(3-methylpyridin-2-yl)acetamide **1i**



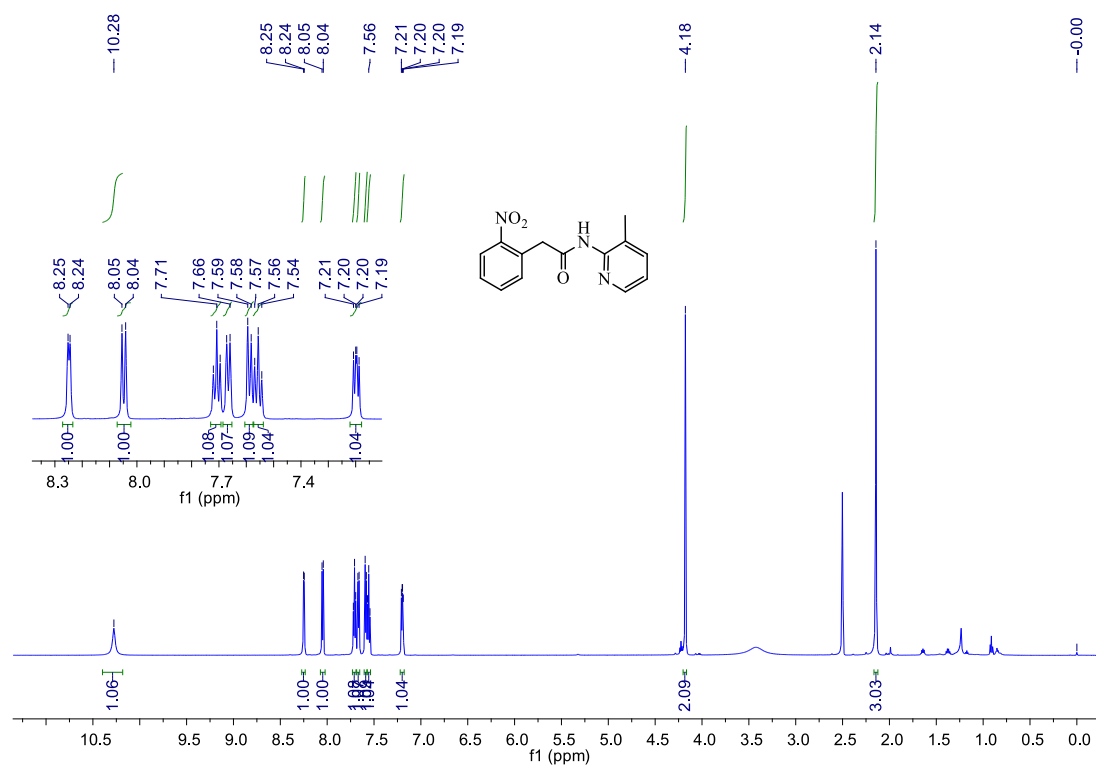
¹H NMR of N-(3-methylpyridin-2-yl)-2-(2-(trifluoromethyl)phenyl)acetamide **1j**



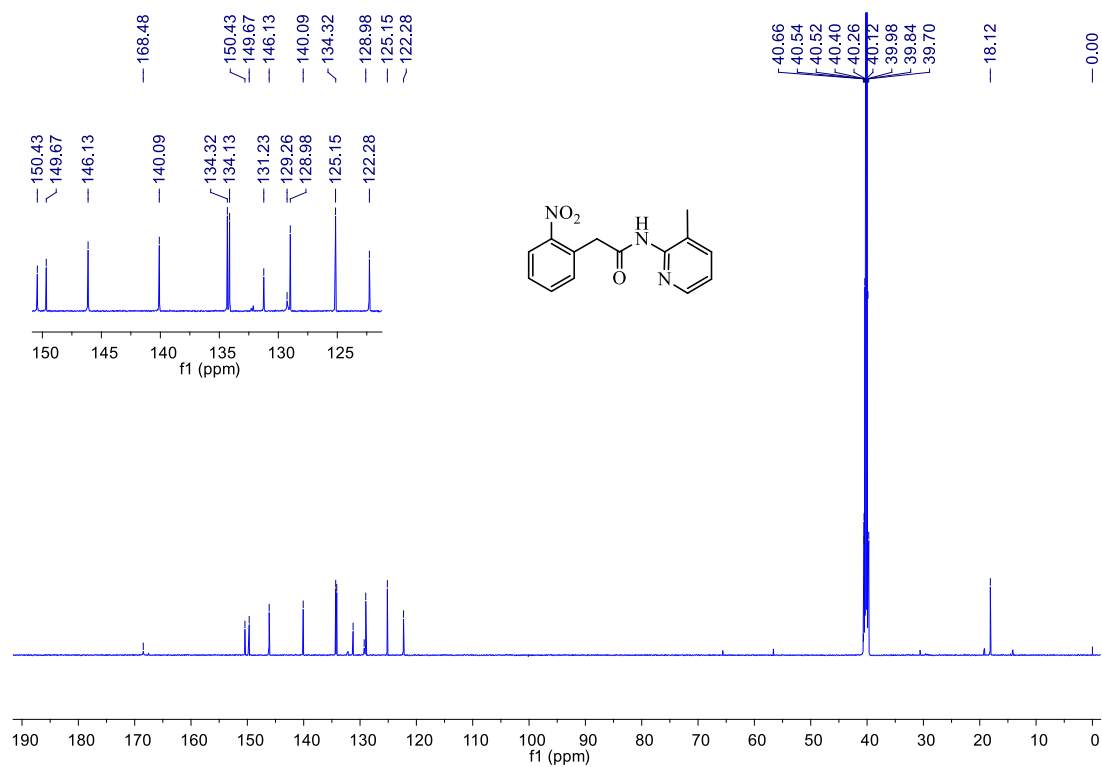
¹³C NMR of N-(3-methylpyridin-2-yl)-2-(2-(trifluoromethyl)phenyl)acetamide **1j**



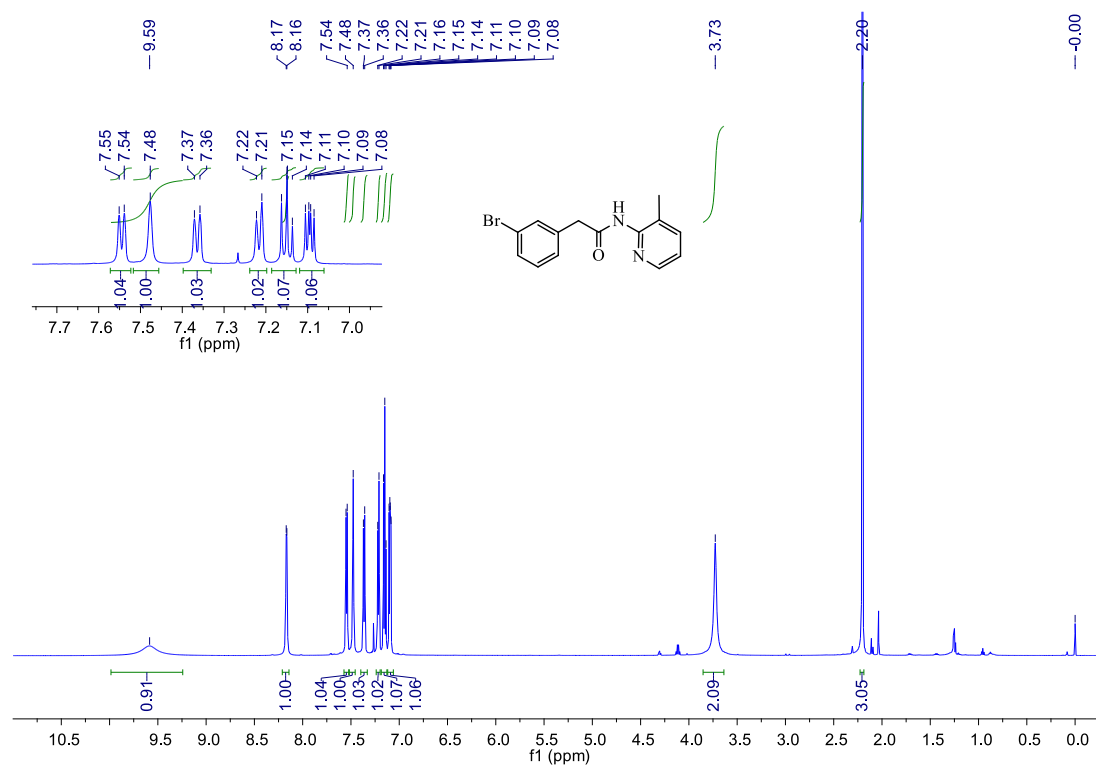
¹H NMR of N-(3-methylpyridin-2-yl)-2-(2-nitrophenyl)acetamide **1k**



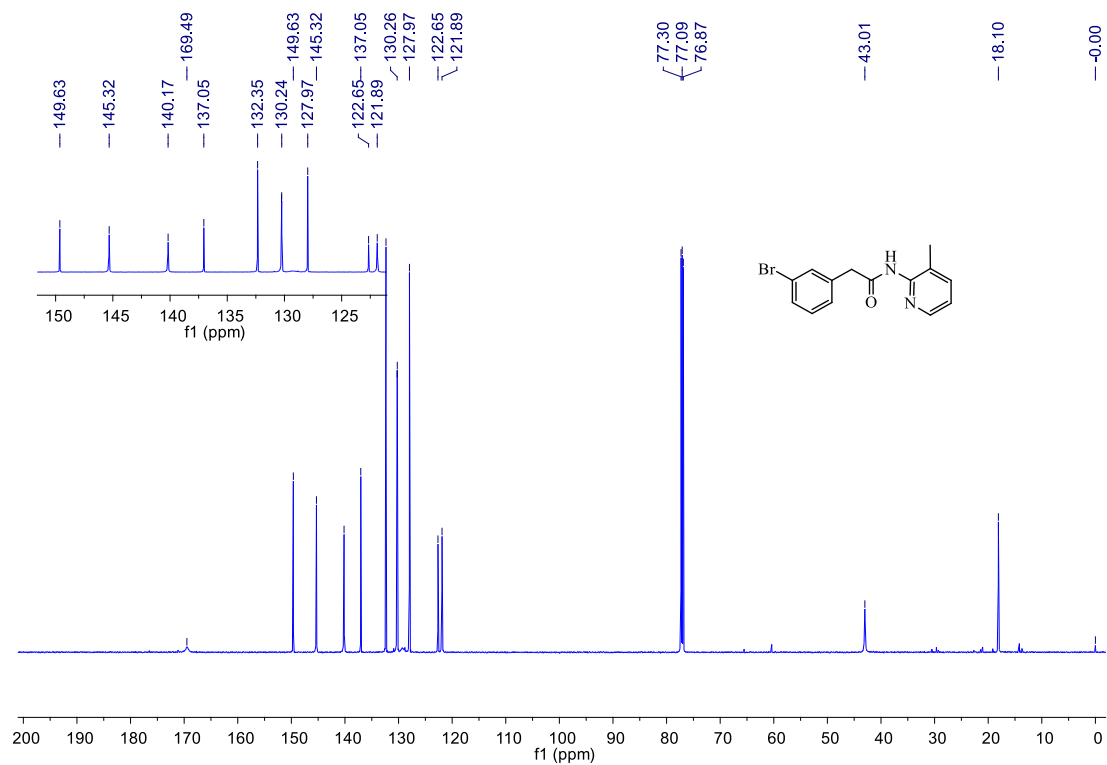
¹³C NMR of N-(3-methylpyridin-2-yl)-2-(2-nitrophenyl)acetamide **1k**



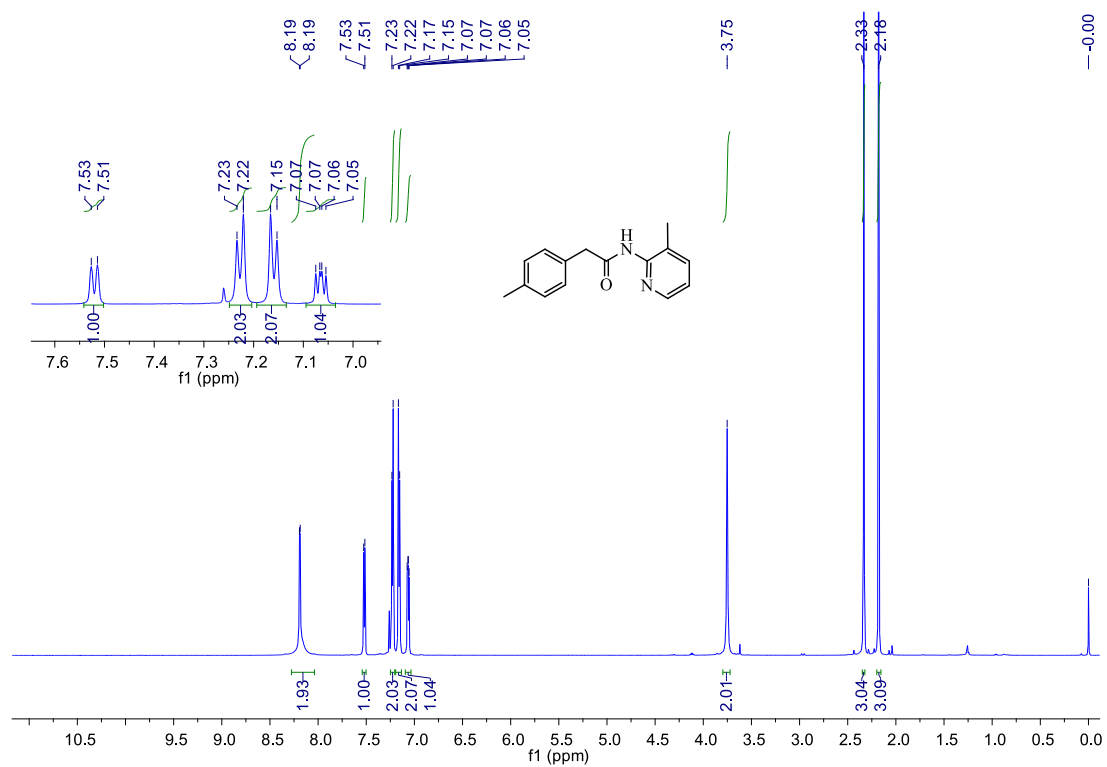
¹H NMR of 2-(3-bromophenyl)-N-(3-methylpyridin-2-yl)acetamide **11**



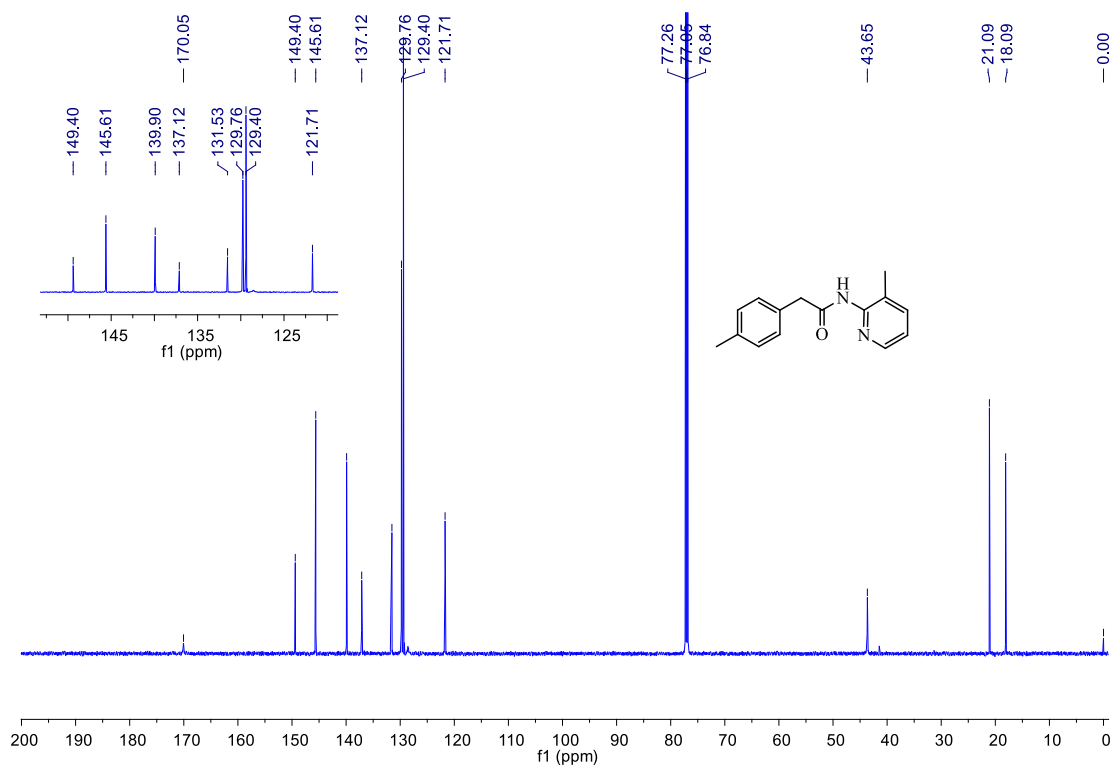
¹³C NMR of 2-(3-bromophenyl)-N-(3-methylpyridin-2-yl)acetamide **11**



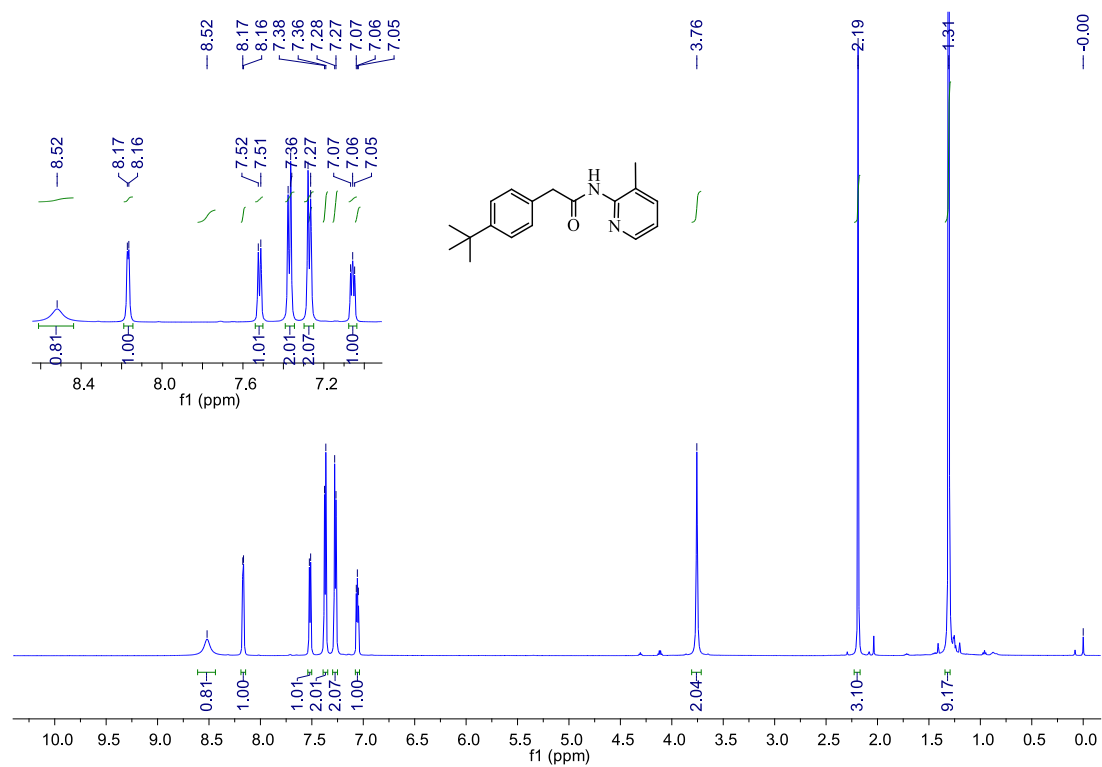
¹H NMR of N-(3-methylpyridin-2-yl)-2-(p-tolyl)acetamide **1m**



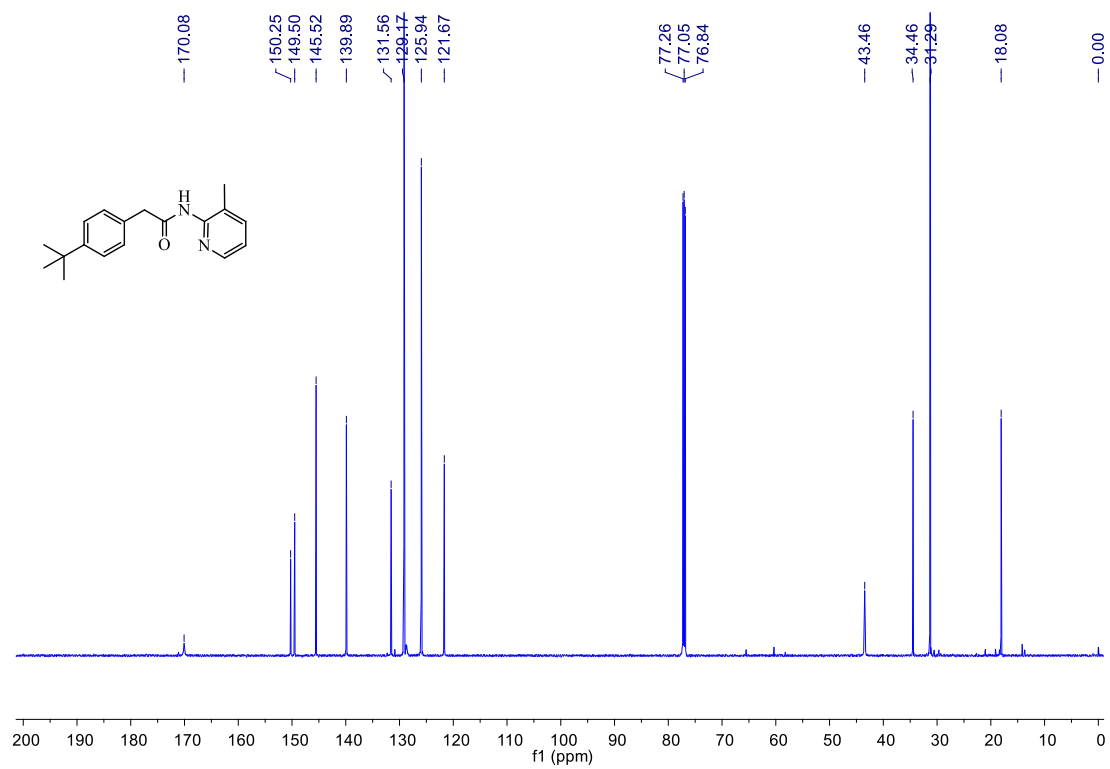
¹³C NMR of N-(3-methylpyridin-2-yl)-2-(p-tolyl)acetamide **1m**



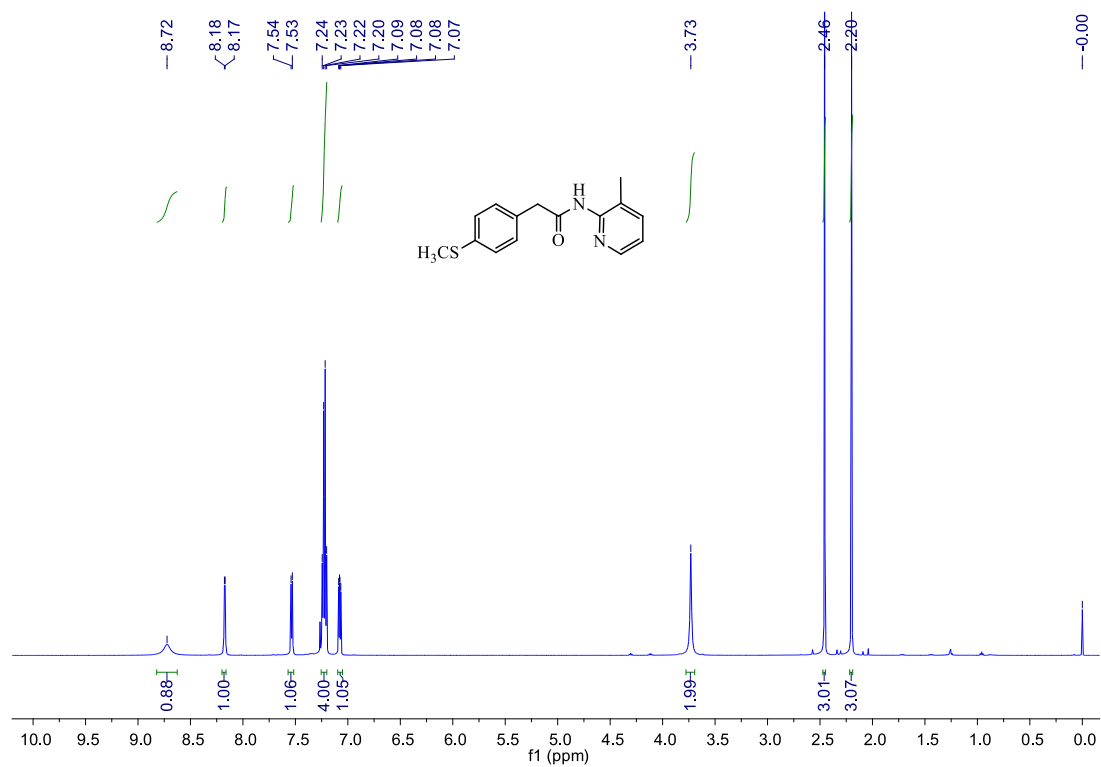
¹H NMR of 2-(4-(tert-butyl)phenyl)-N-(3-methylpyridin-2-yl)acetamide **1n**



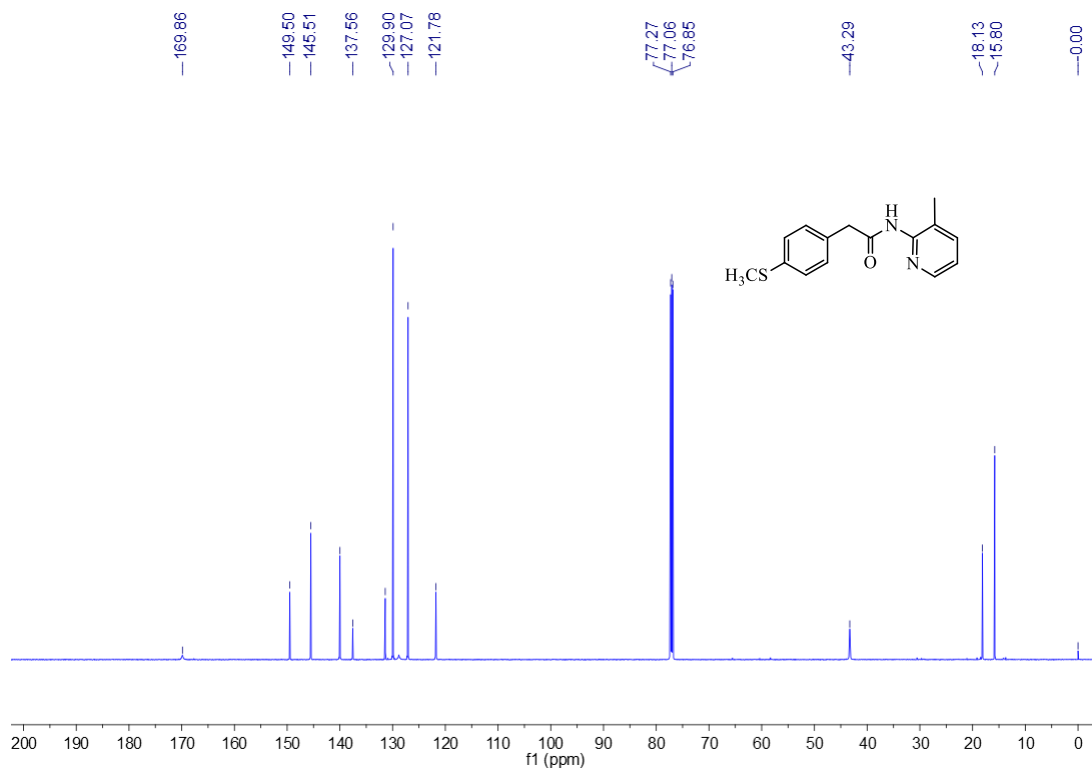
¹³C NMR of 2-(4-(tert-butyl)phenyl)-N-(3-methylpyridin-2-yl)acetamide **1n**



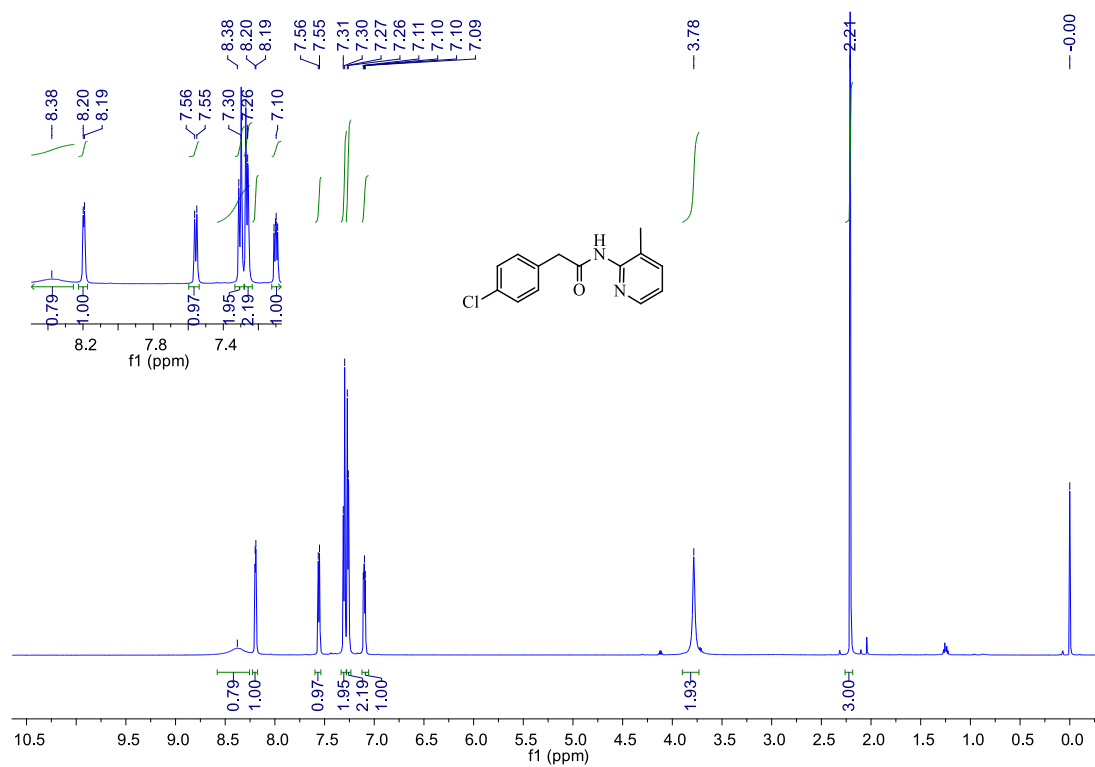
¹H NMR of N-(3-methylpyridin-2-yl)-2-(4-(methylthio)phenyl)acetamide **10**



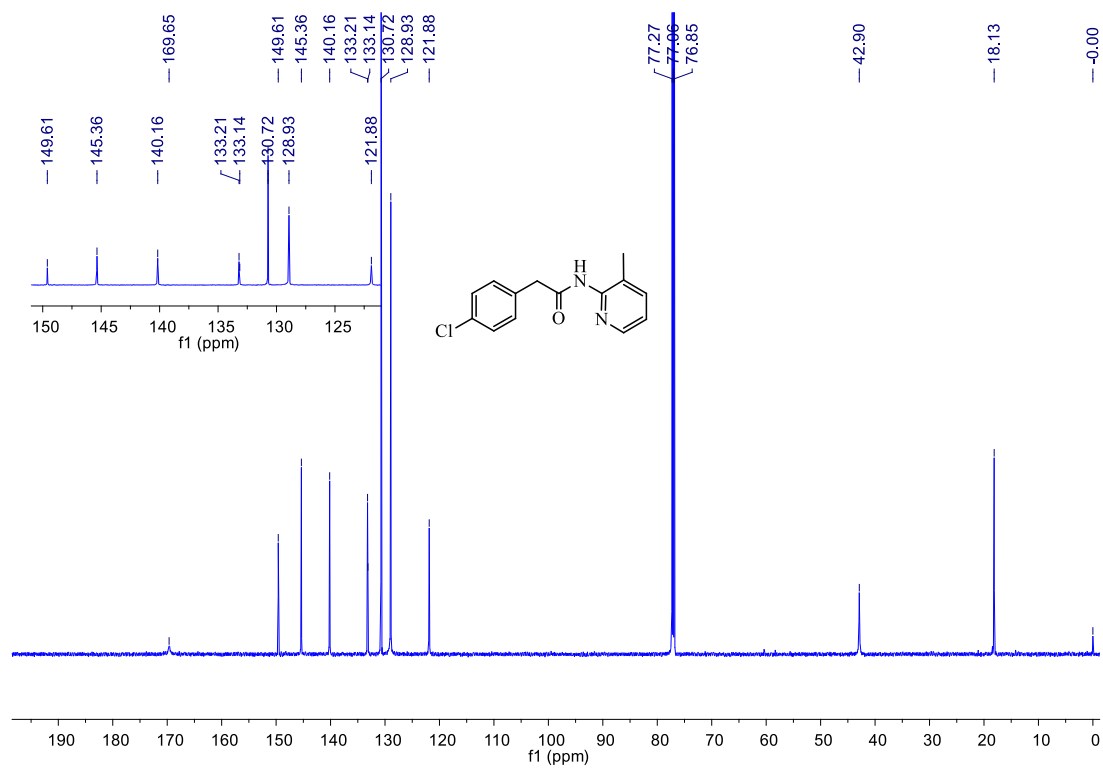
¹³C NMR of N-(3-methylpyridin-2-yl)-2-(4-(methylthio)phenyl)acetamide **10**



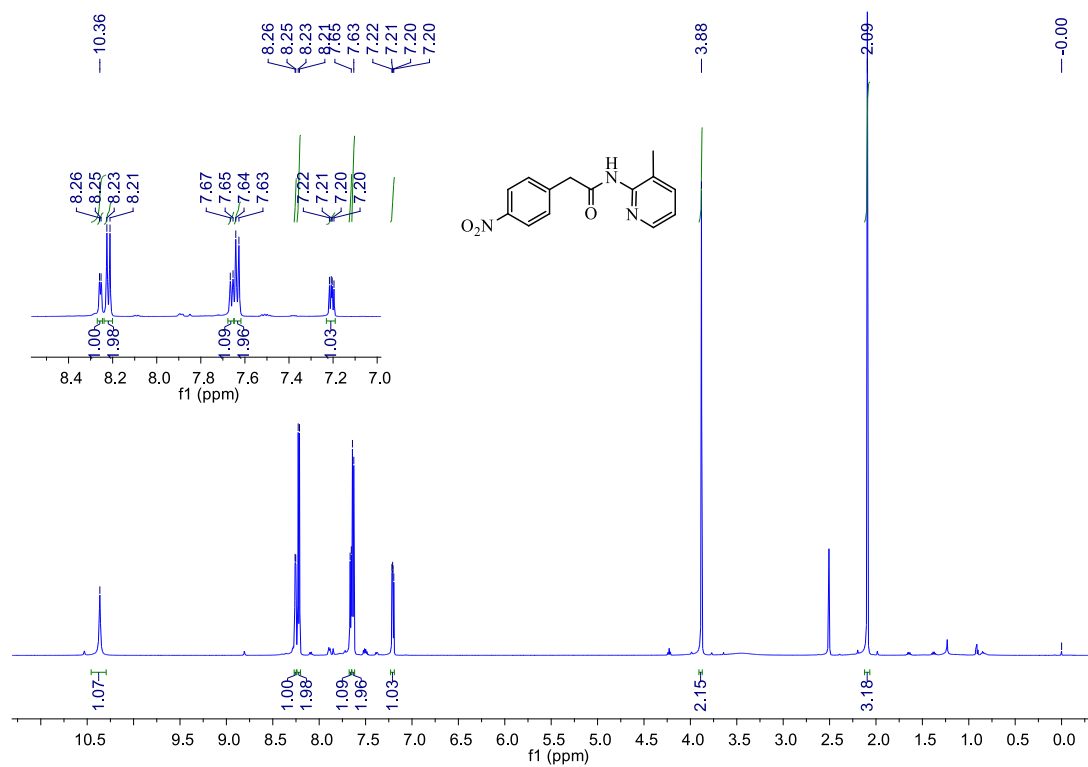
¹H NMR of 2-(4-chlorophenyl)-N-(3-methylpyridin-2-yl)acetamide **1p**



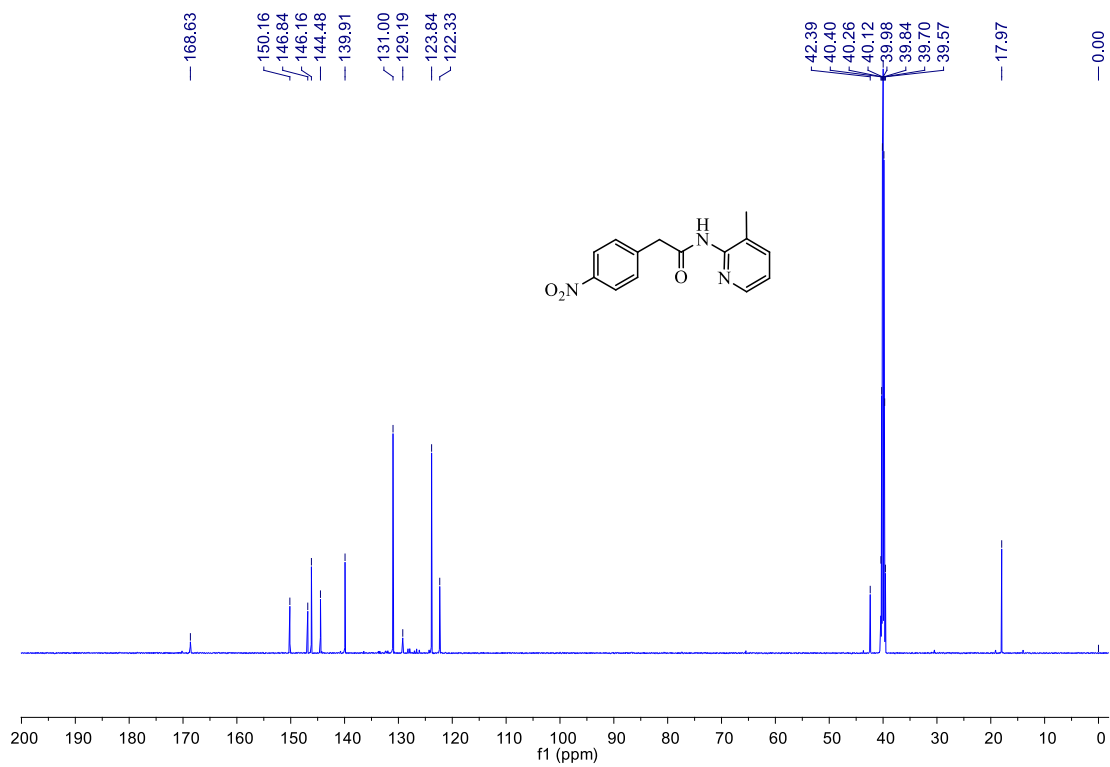
¹³C NMR of 2-(4-chlorophenyl)-N-(3-methylpyridin-2-yl)acetamide **1p**



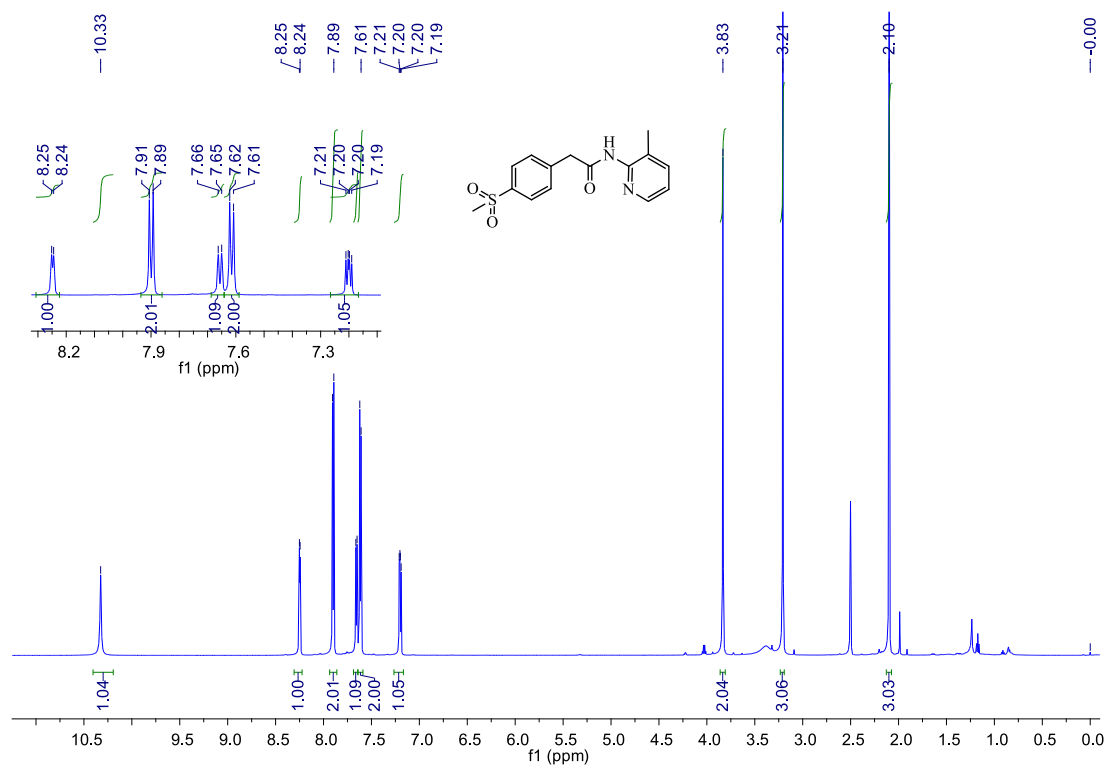
¹H NMR of N-(3-methylpyridin-2-yl)-2-(4-nitrophenyl)acetamide **1q**



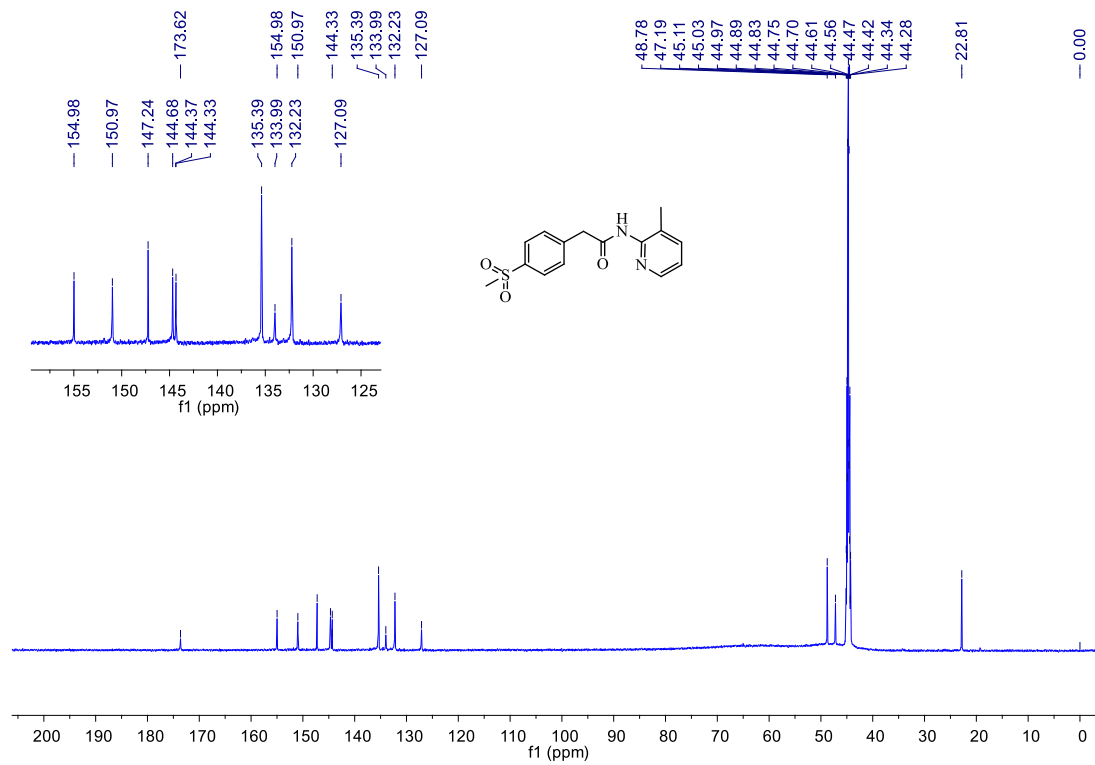
¹³C NMR of N-(3-methylpyridin-2-yl)-2-(4-nitrophenyl)acetamide **1q**



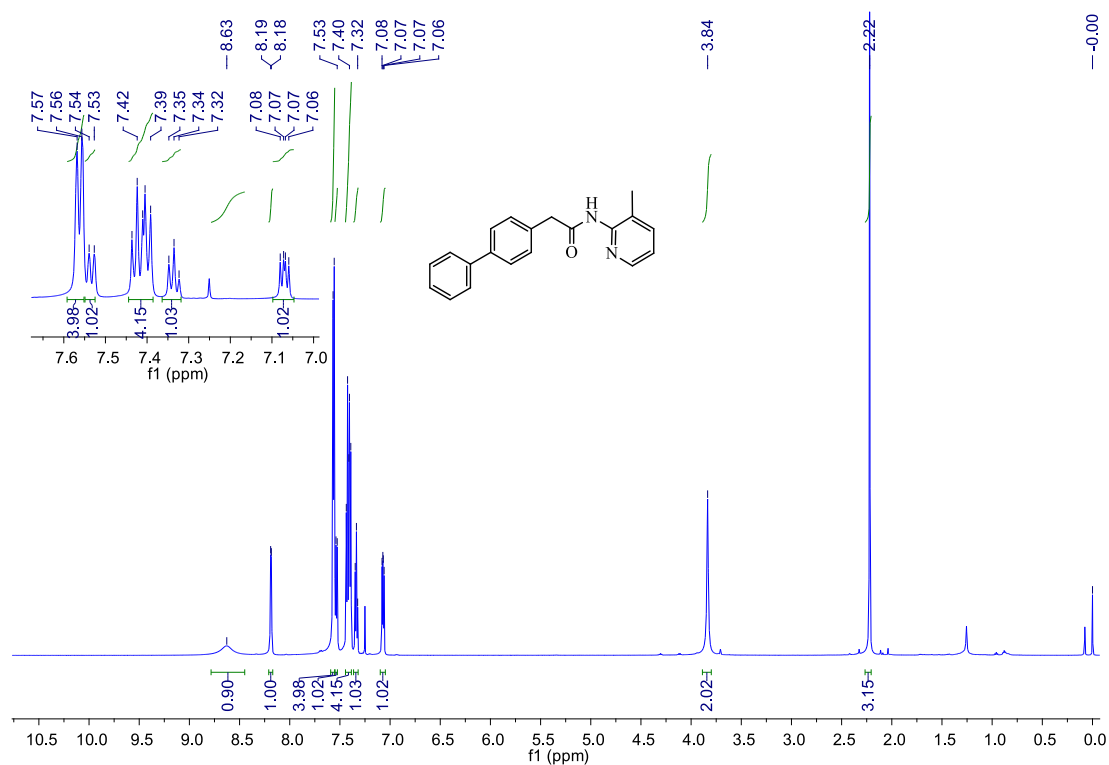
¹H NMR of N-(3-methylpyridin-2-yl)-2-(4-(methylsulfonyl)phenyl)acetamide **1r**



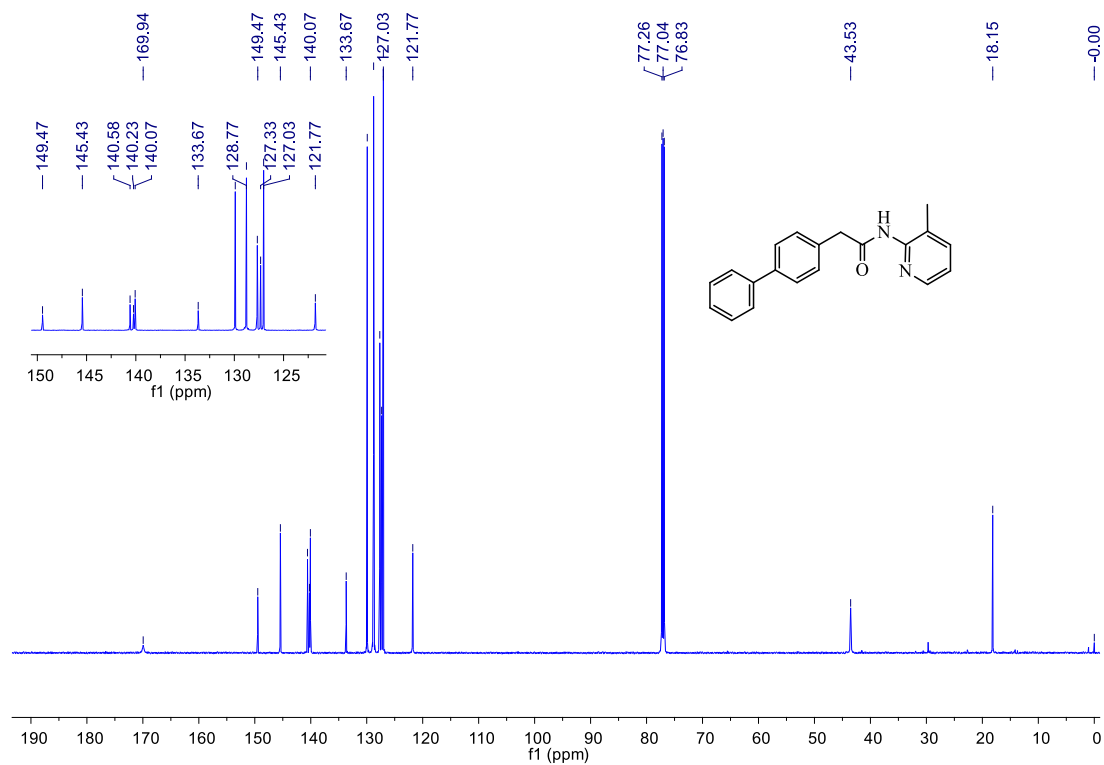
¹³C NMR of N-(3-methylpyridin-2-yl)-2-(4-(methylsulfonyl)phenyl)acetamide **1r**



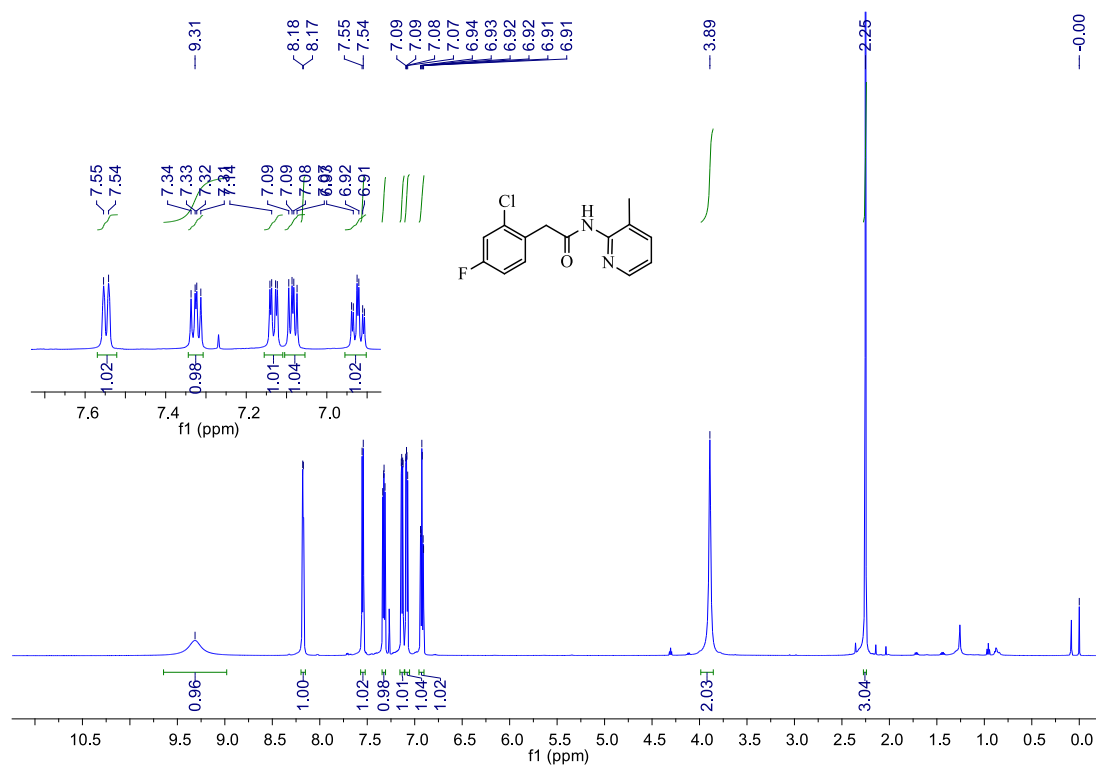
¹H NMR of 2-([1,1'-biphenyl]-4-yl)-N-(3-methylpyridin-2-yl)acetamide **1s**



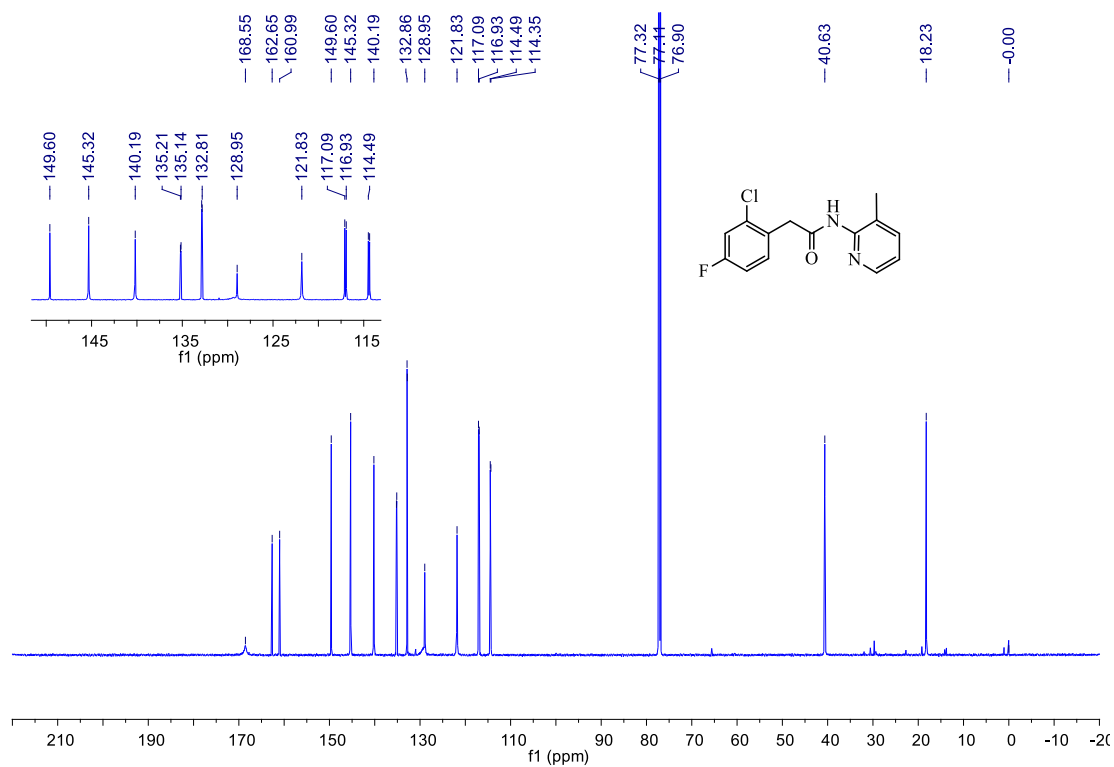
¹³C NMR of 2-([1,1'-biphenyl]-4-yl)-N-(3-methylpyridin-2-yl)acetamide **1s**



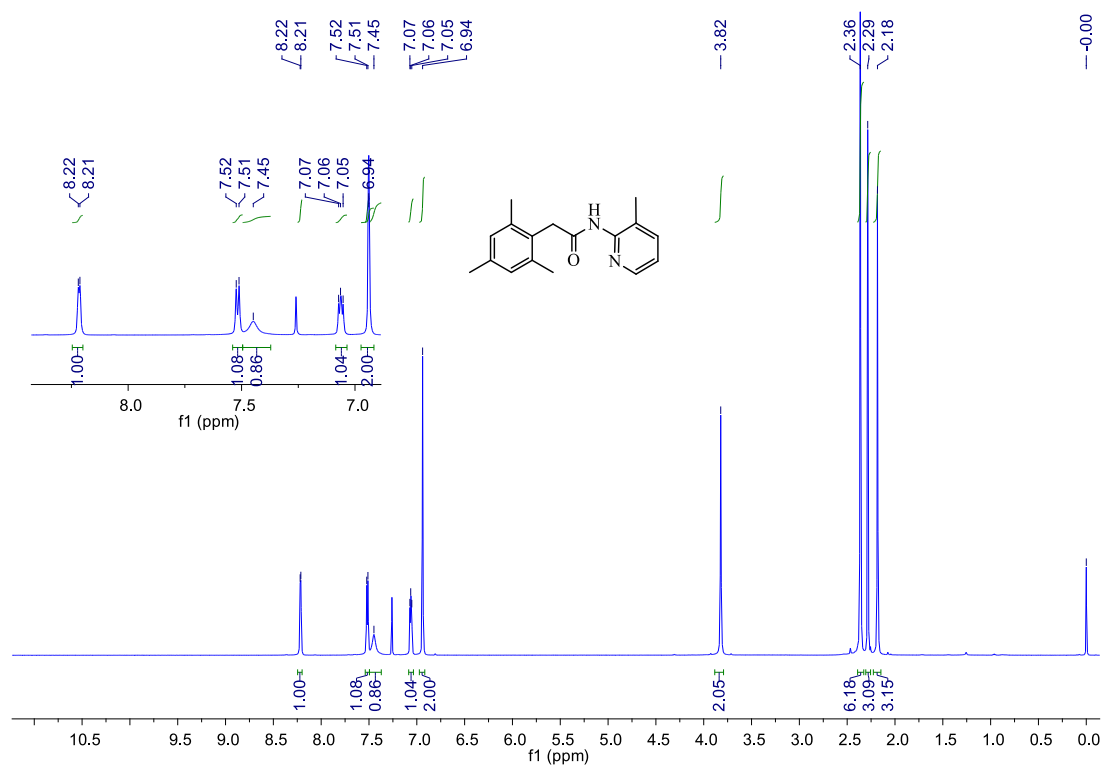
¹H NMR of 2-(2-chloro-4-fluorophenyl)-N-(3-methylpyridin-2-yl)acetamide **1t**



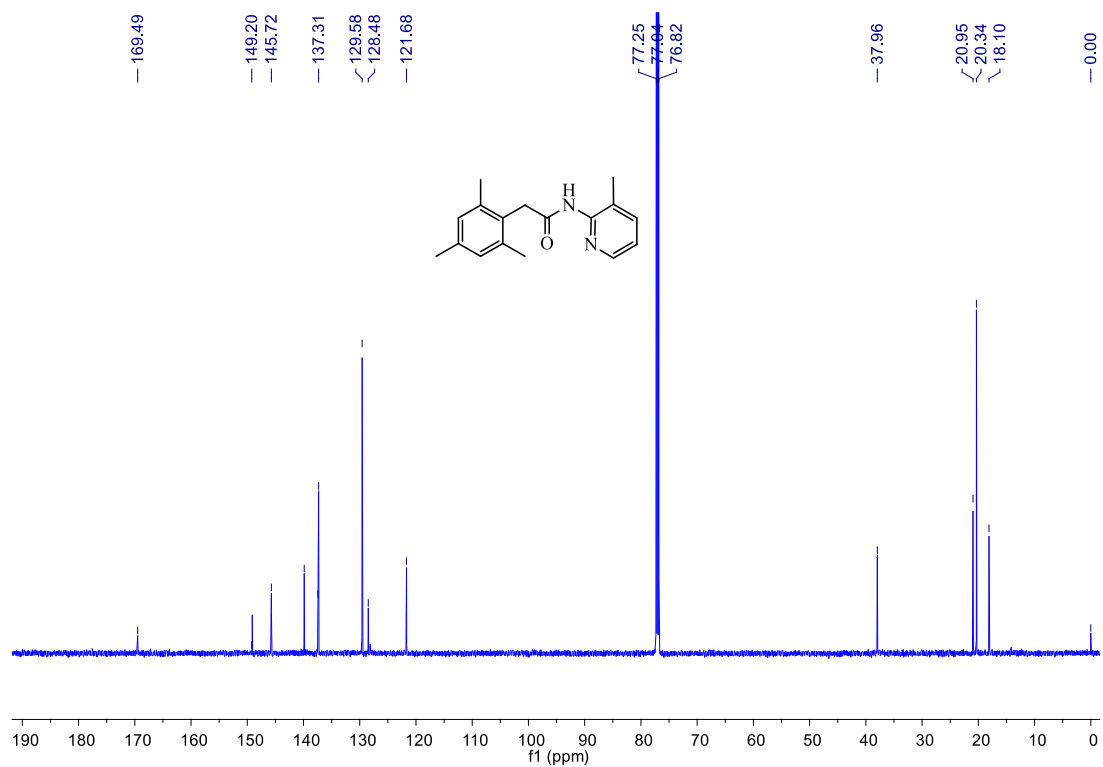
¹³C NMR of 2-(2-chloro-4-fluorophenyl)-N-(3-methylpyridin-2-yl)acetamide **1t**



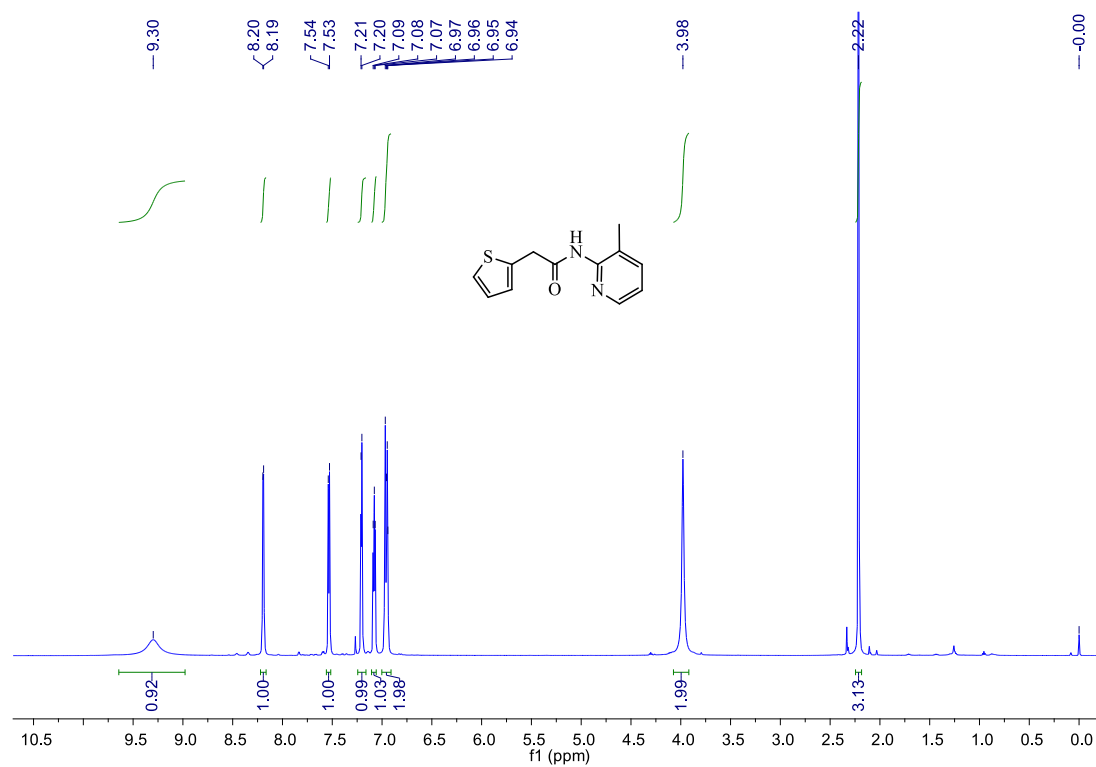
¹H NMR of 2-mesityl-N-(3-methylpyridin-2-yl)acetamide **1u**



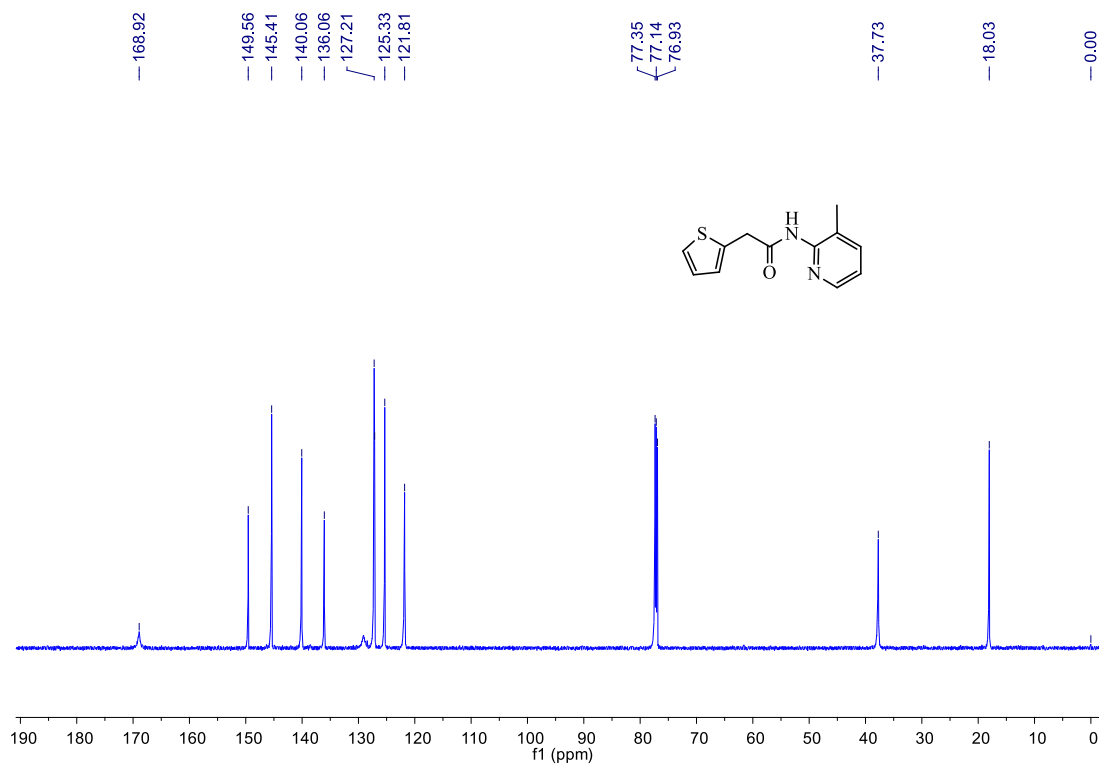
¹³C NMR of 2-mesityl-N-(3-methylpyridin-2-yl)acetamide **1u**



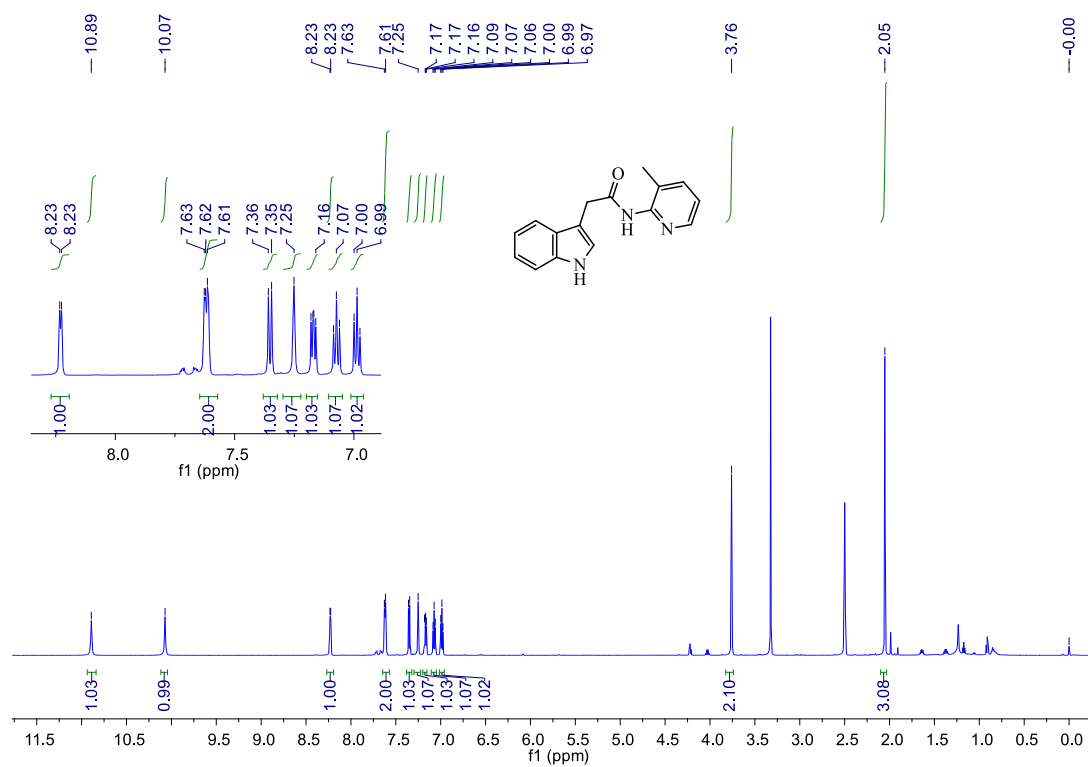
¹H NMR of N-(3-methylpyridin-2-yl)-2-(thiophen-2-yl)acetamide **1v**



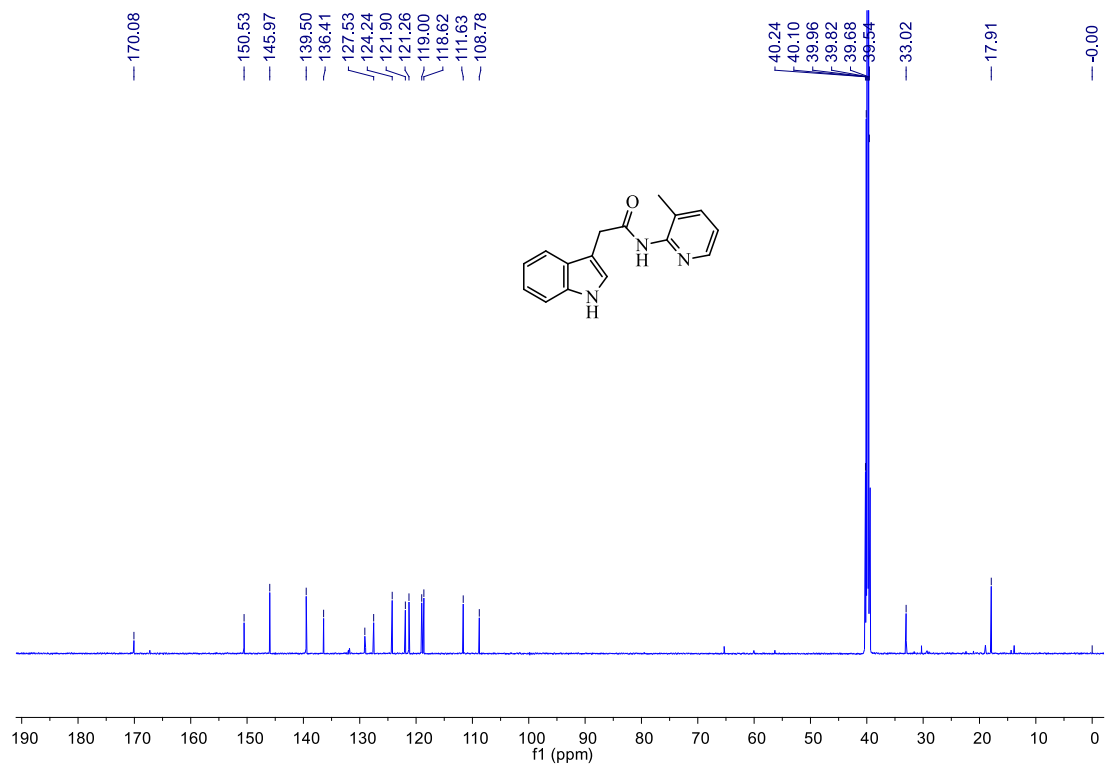
¹³C NMR of N-(3-methylpyridin-2-yl)-2-(thiophen-2-yl)acetamide **1v**



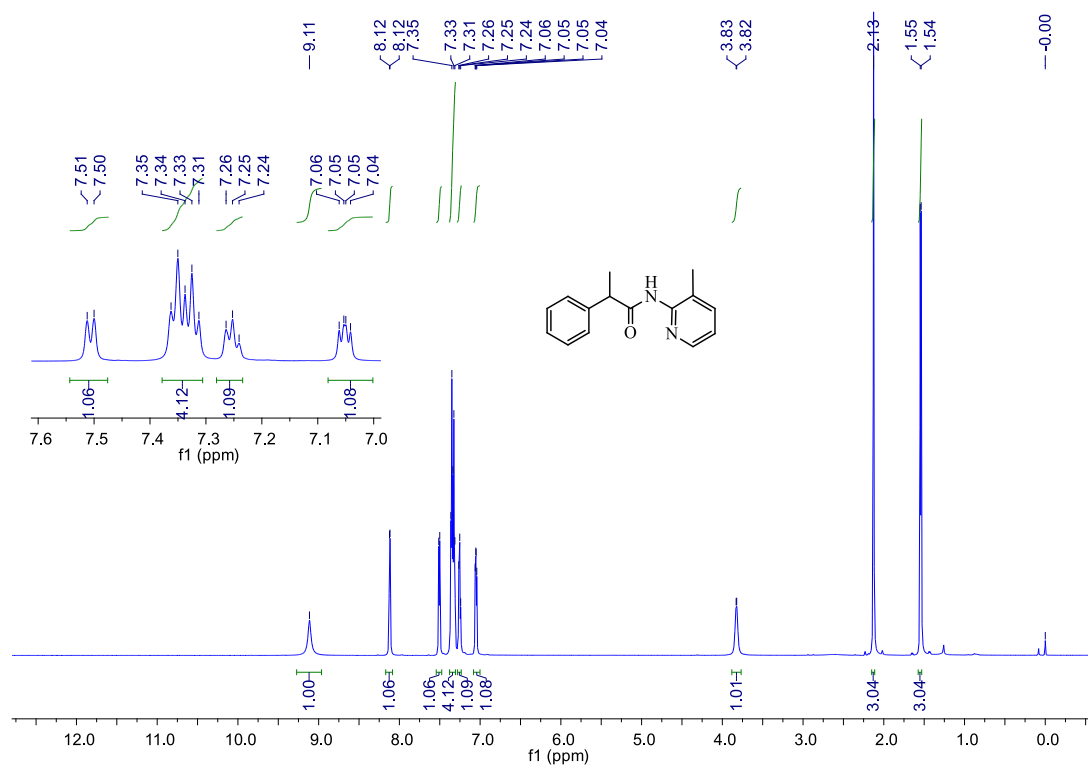
¹H NMR of 2-(1H-indol-3-yl)-N-(3-methylpyridin-2-yl)acetamide **1w**



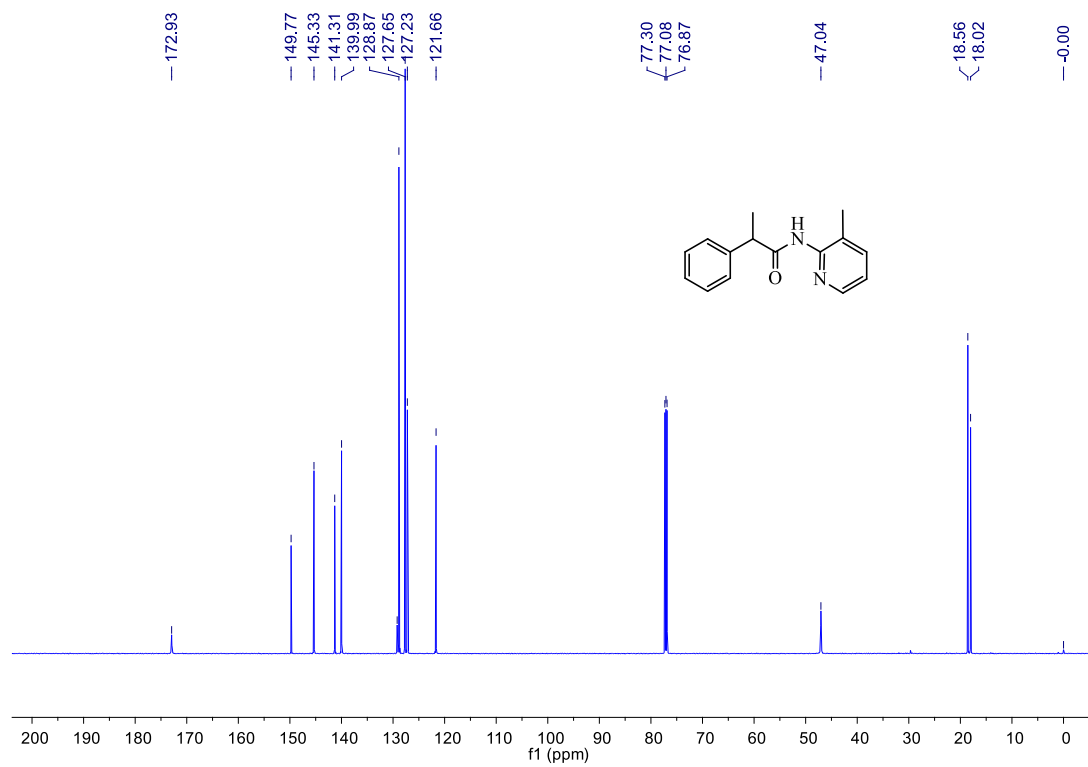
¹³C NMR of 2-(1H-indol-3-yl)-N-(3-methylpyridin-2-yl)acetamide **1w**



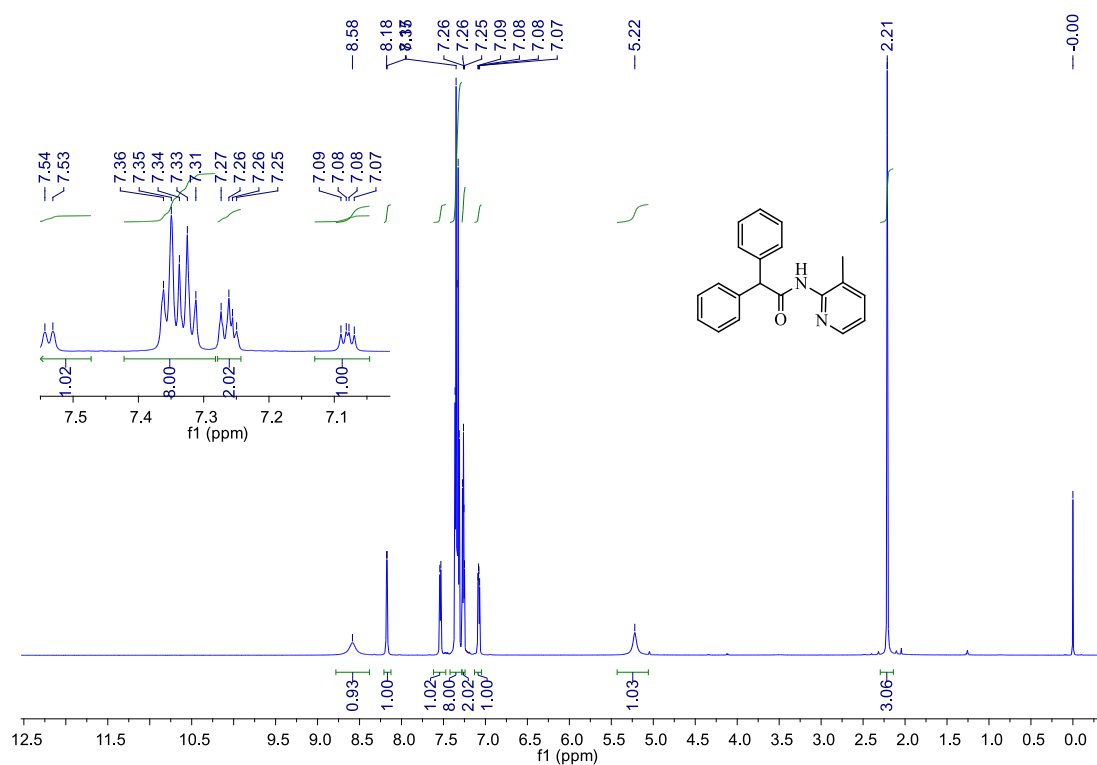
¹H NMR of N-(3-methylpyridin-2-yl)-2-phenylpropanamide **1x**



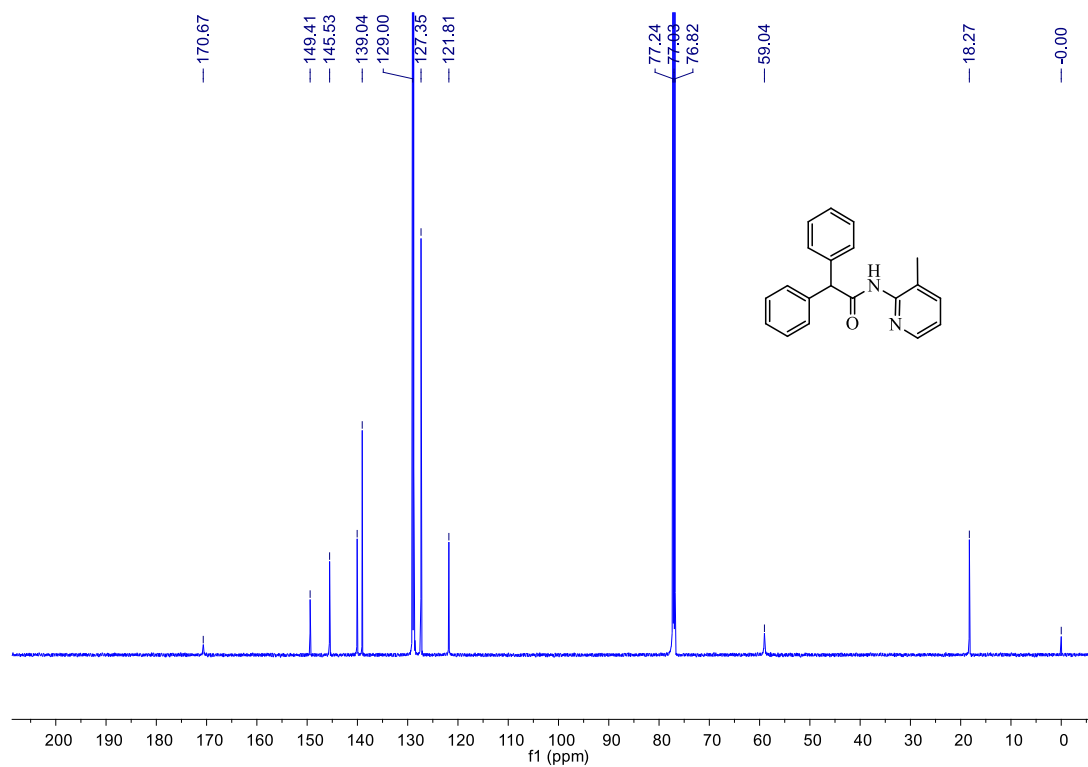
¹³C NMR of N-(3-methylpyridin-2-yl)-2-phenylpropanamide **1x**



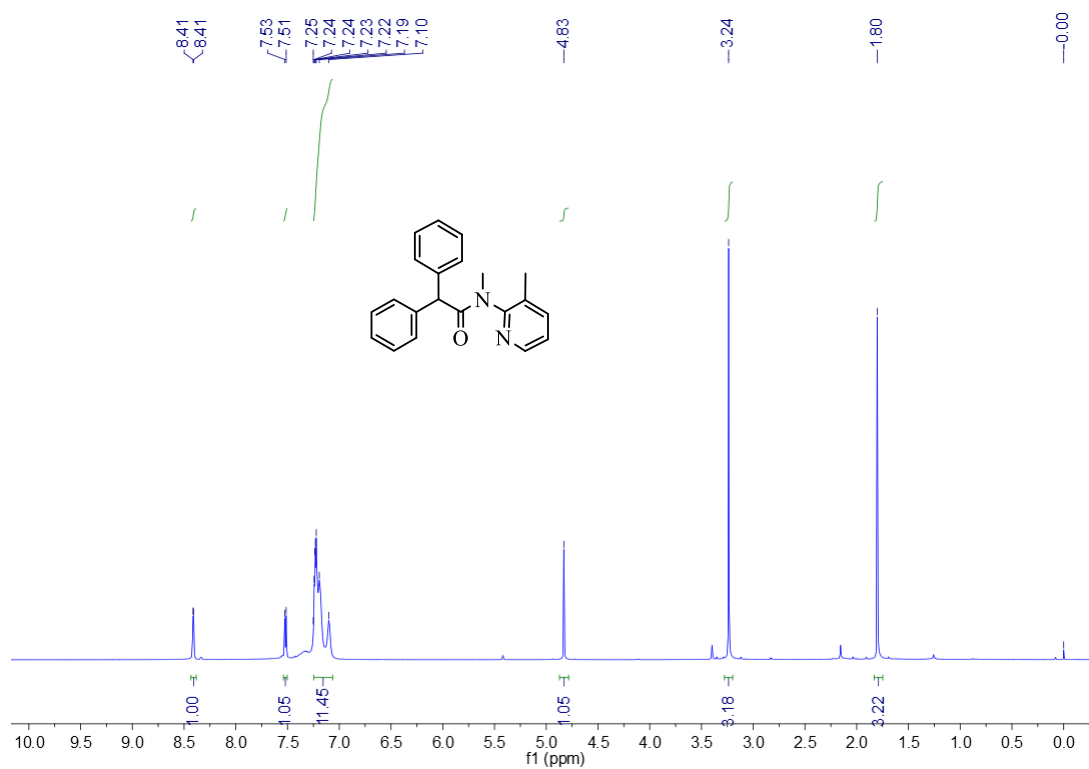
¹H NMR of N-(3-methylpyridin-2-yl)-2,2-diphenylacetamide **1y**



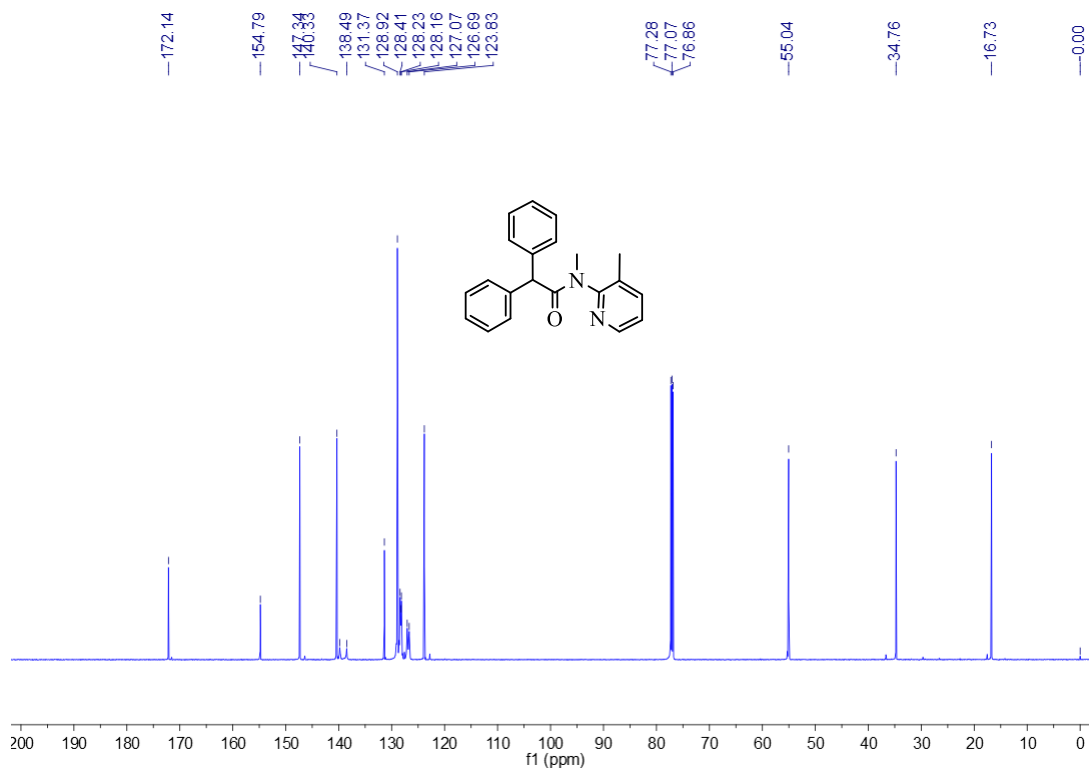
¹³C NMR of N-(3-methylpyridin-2-yl)-2,2-diphenylacetamide **1y**



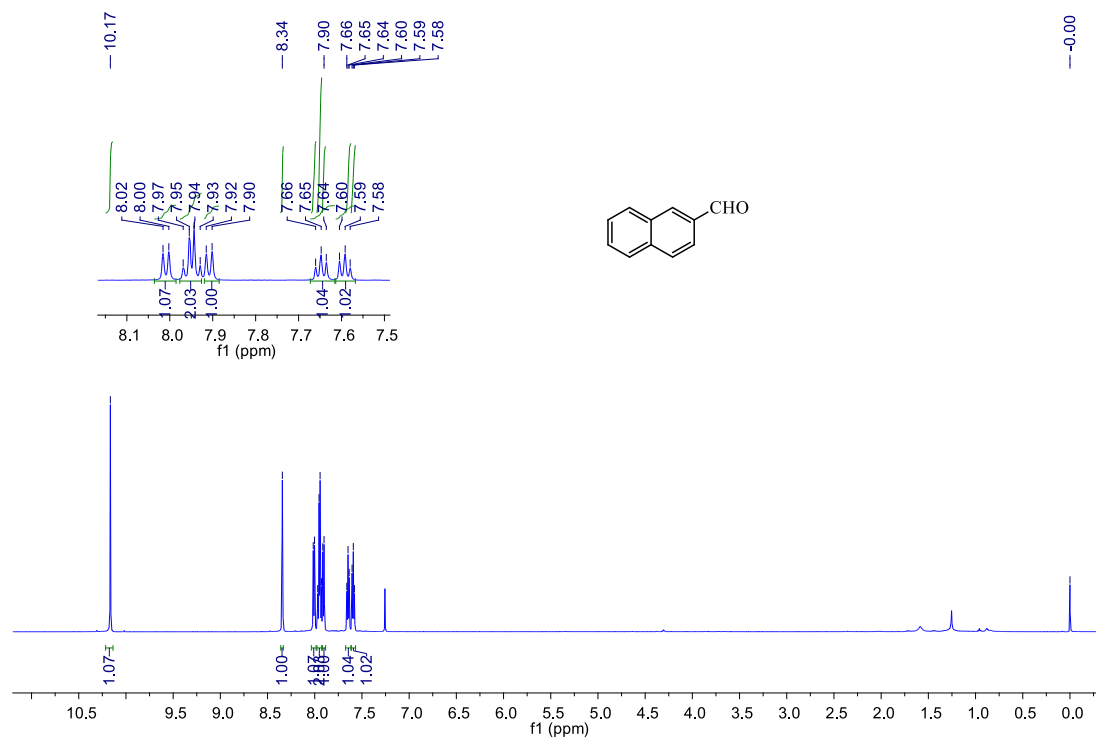
¹H NMR of N-methyl-N-(3-methylpyridin-2-yl)-2,2-diphenylacetamide **1z**



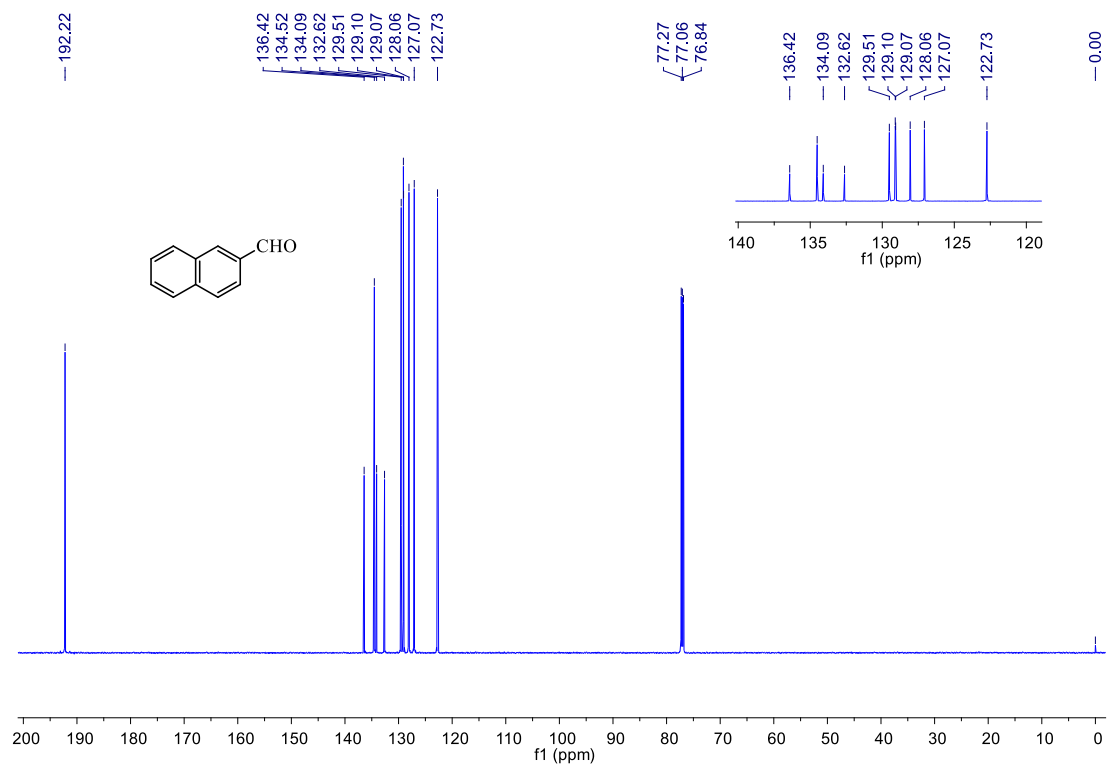
¹³C NMR of N-methyl-N-(3-methylpyridin-2-yl)-2,2-diphenylacetamide **1z**



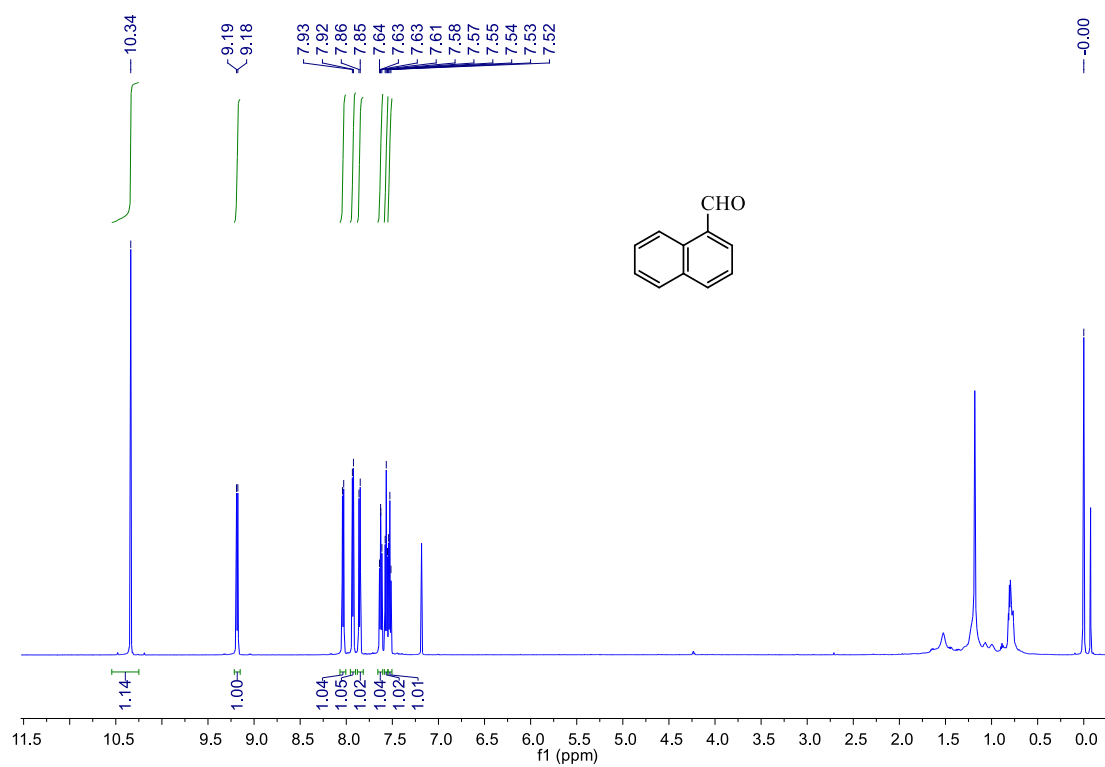
¹H NMR of 2-naphthaldehyde **2a**



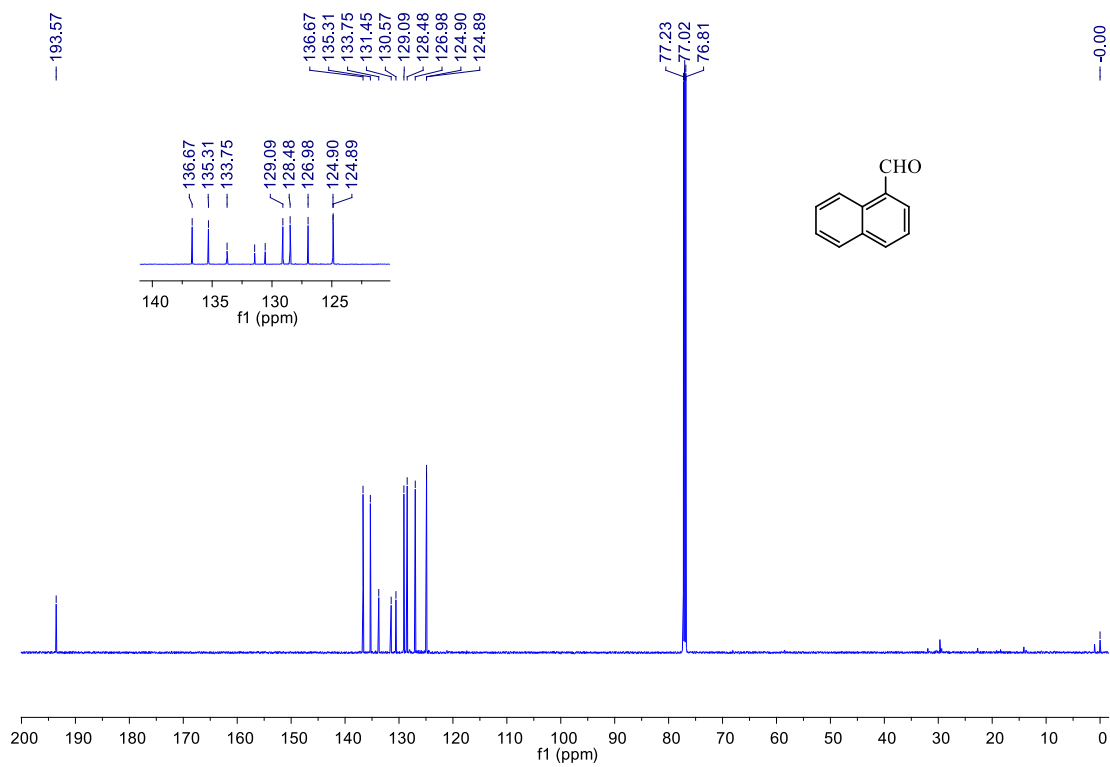
¹³C NMR of 2-naphthaldehyde **2a**



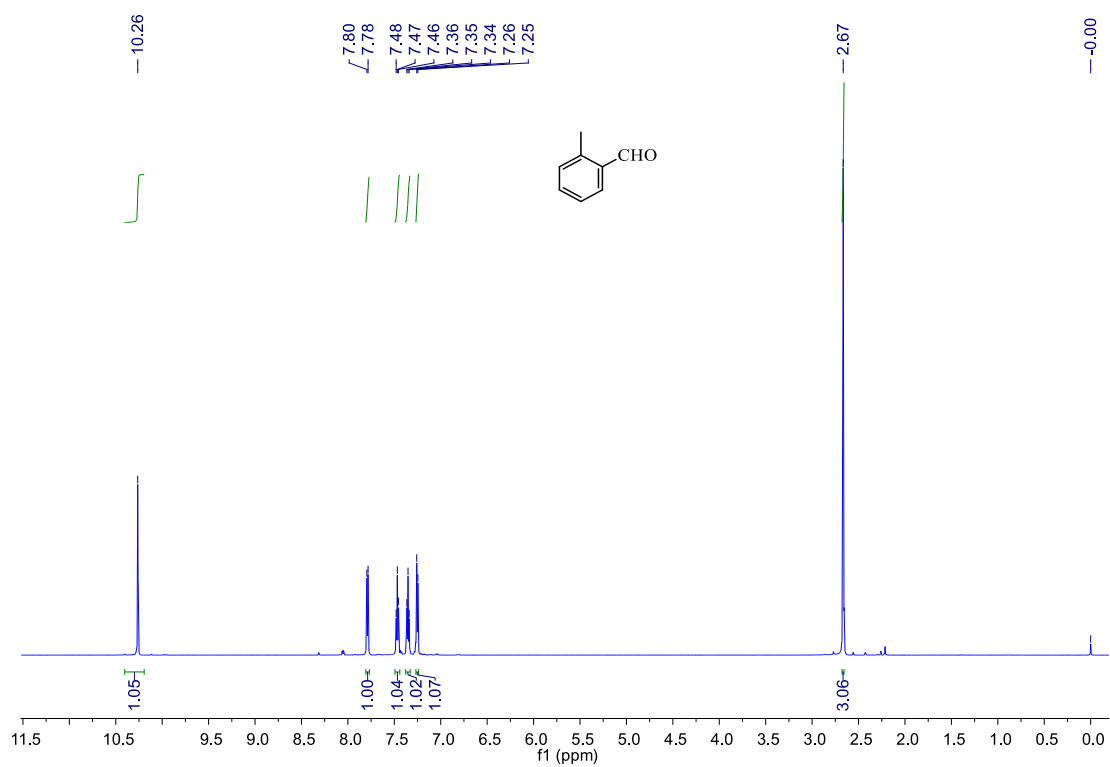
¹H NMR of 1-naphthaldehyde **2b**



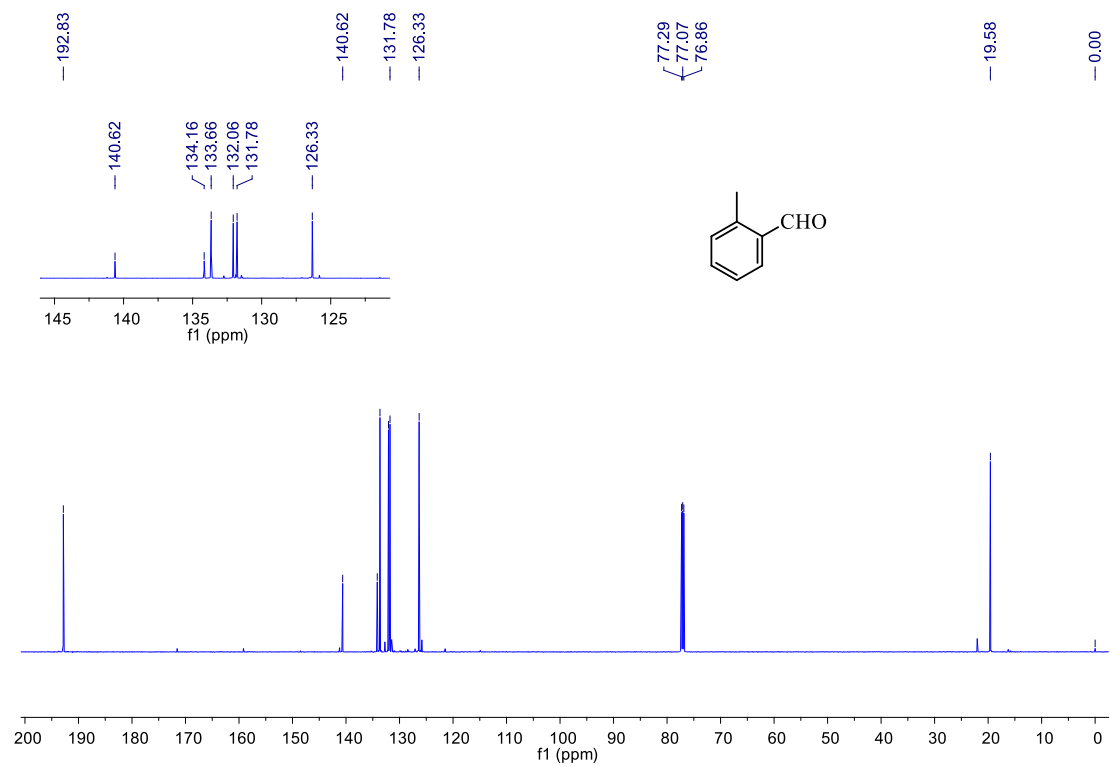
¹³C NMR of 1-naphthaldehyde **2b**



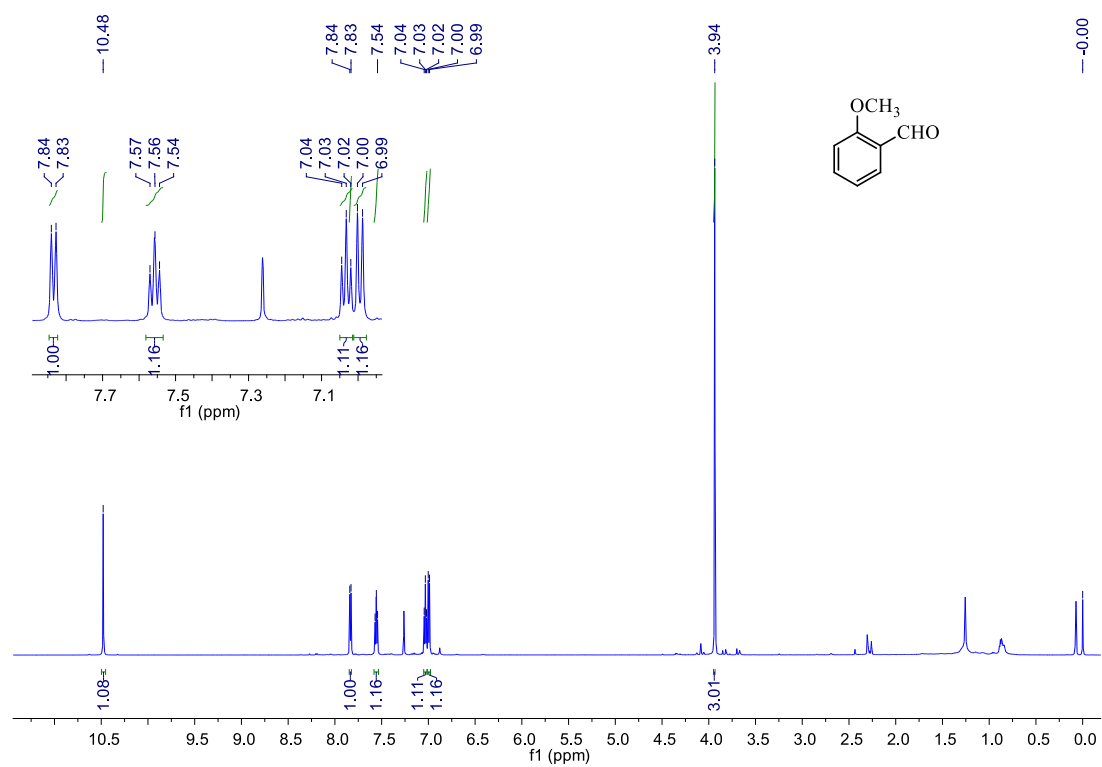
¹H NMR of 2-methylbenzaldehyde **2c**



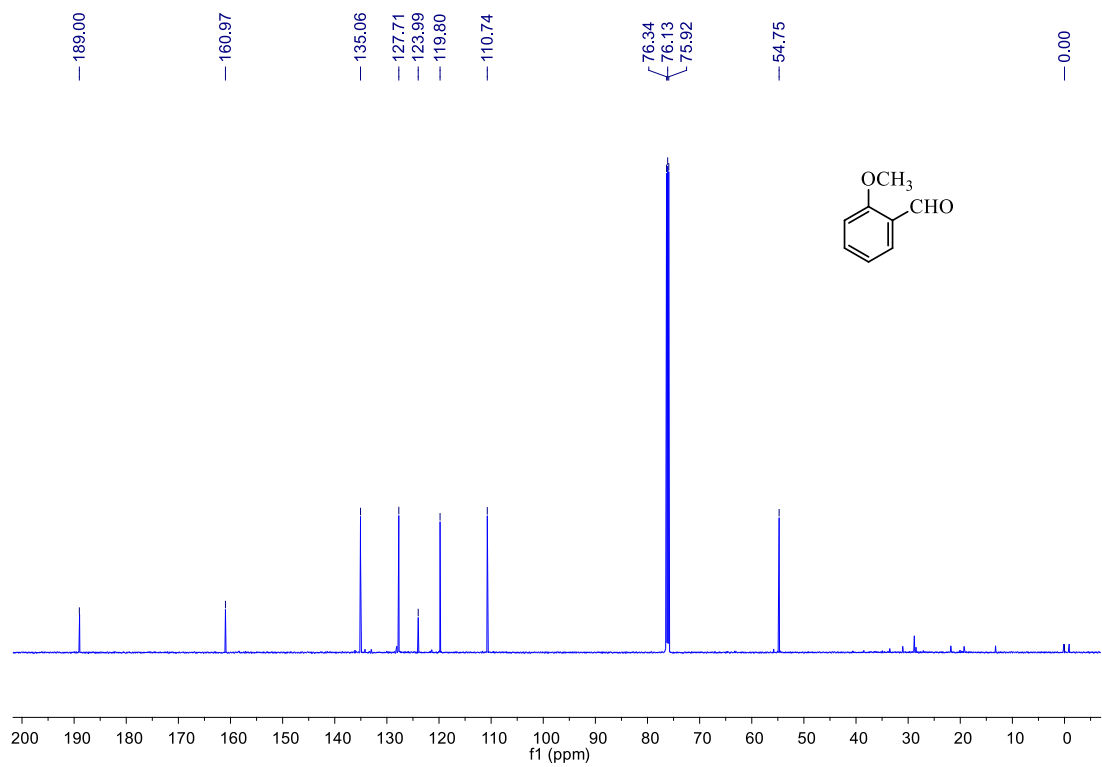
¹³C NMR of 2-methylbenzaldehyde **2c**



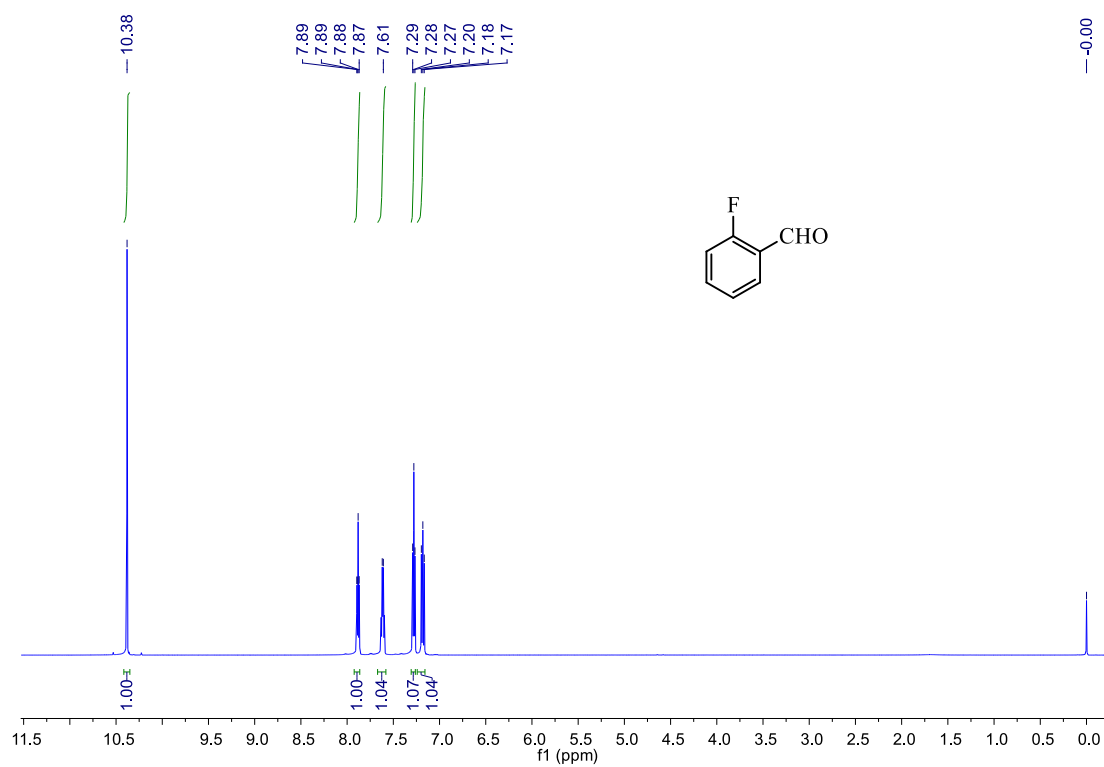
¹H NMR of 2-methoxybenzaldehyde **2d**



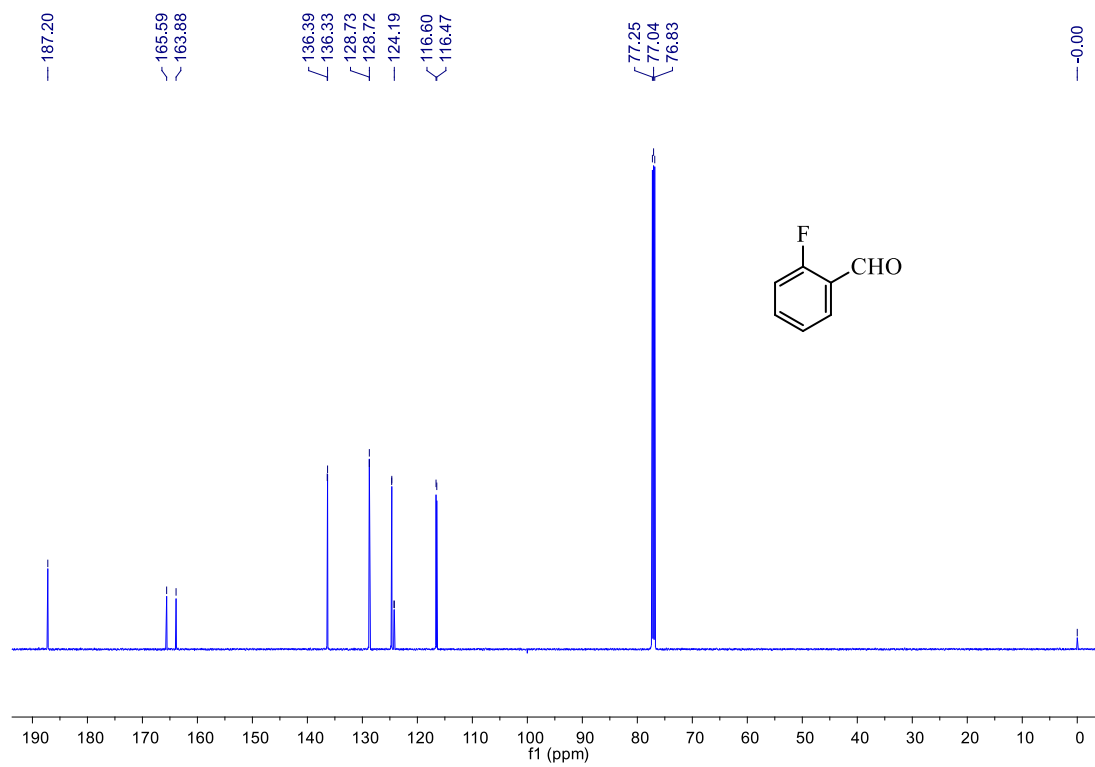
¹³C NMR of 2-methoxybenzaldehyde **2d**



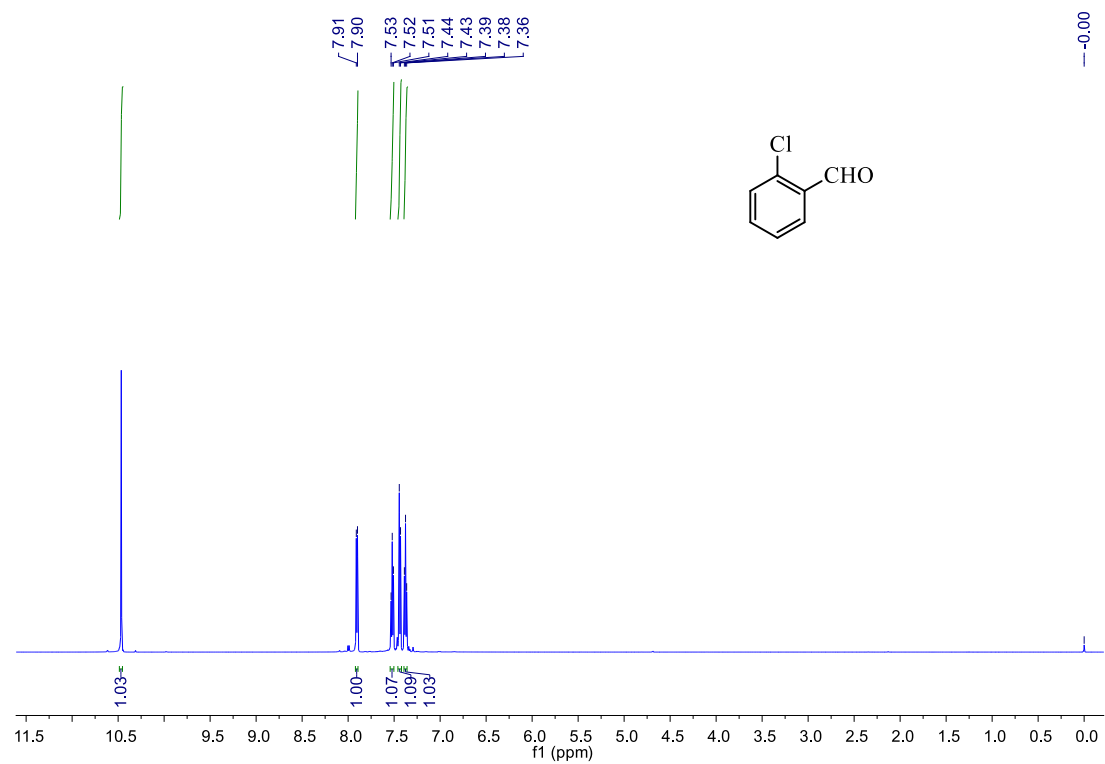
¹H NMR of 2-fluorobenzaldehyde **2e**



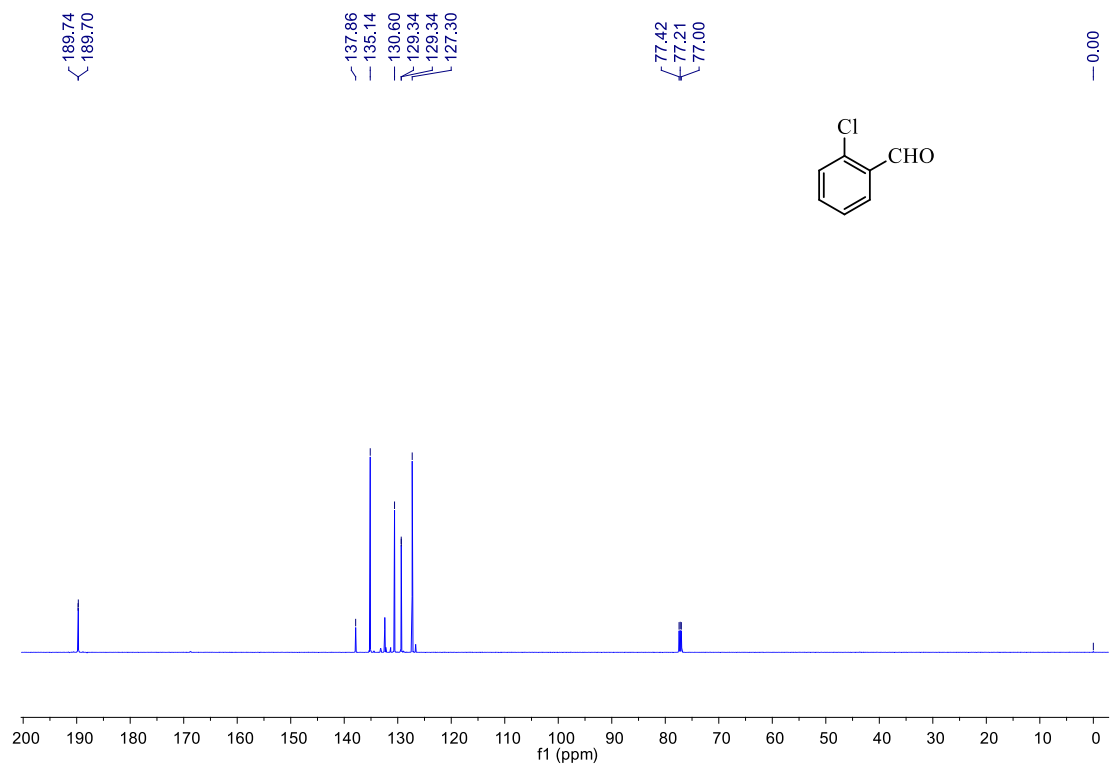
¹³C NMR of 2-fluorobenzaldehyde **2e**



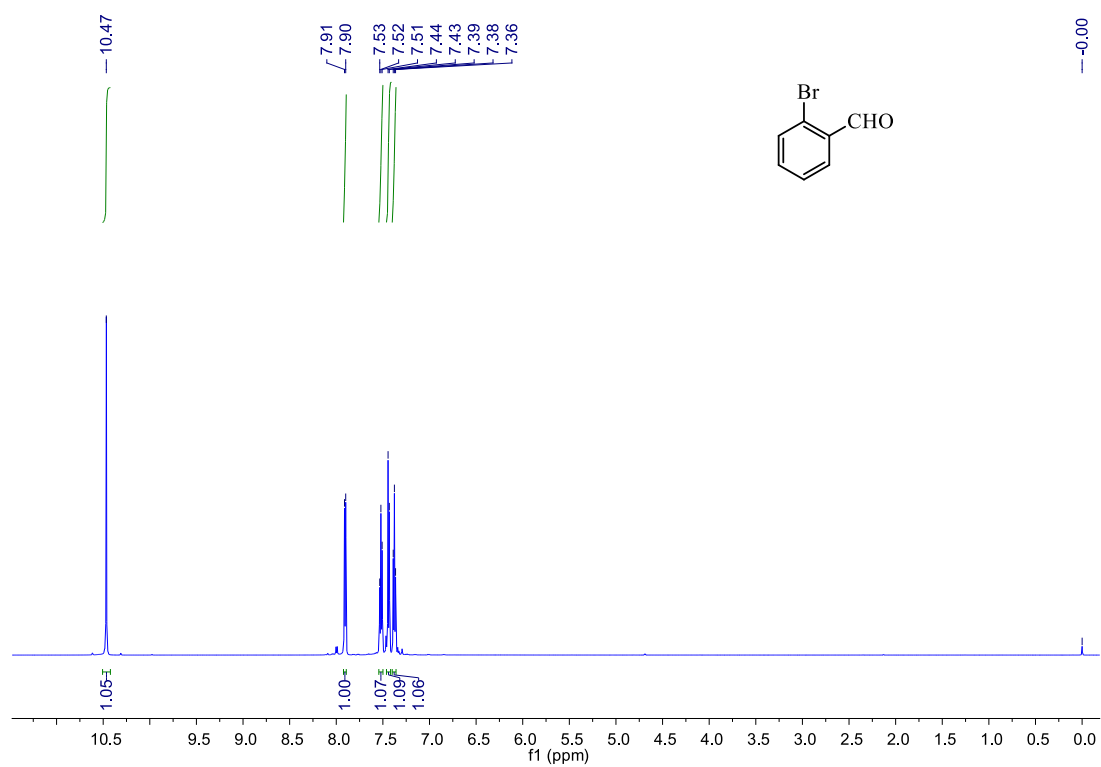
¹H NMR of 2-chlorobenzaldehyde **2f**



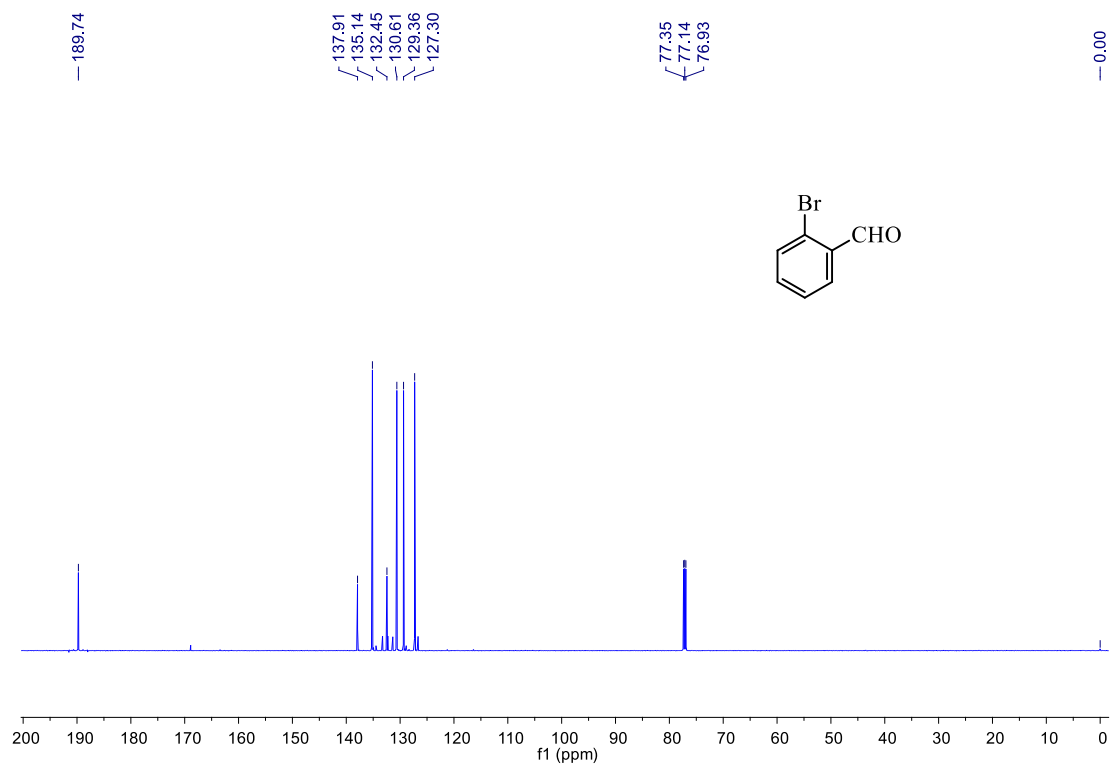
¹³C NMR of 2-chlorobenzaldehyde **2f**



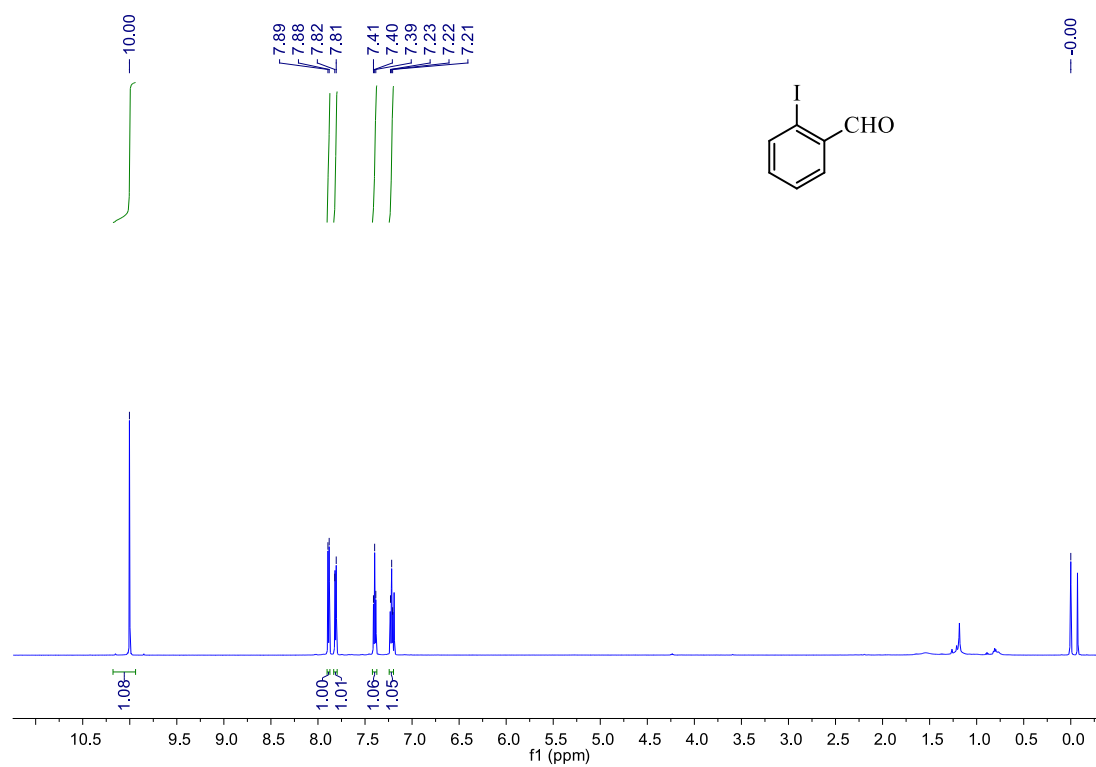
¹H NMR of 2-bromobenzaldehyde **2g**



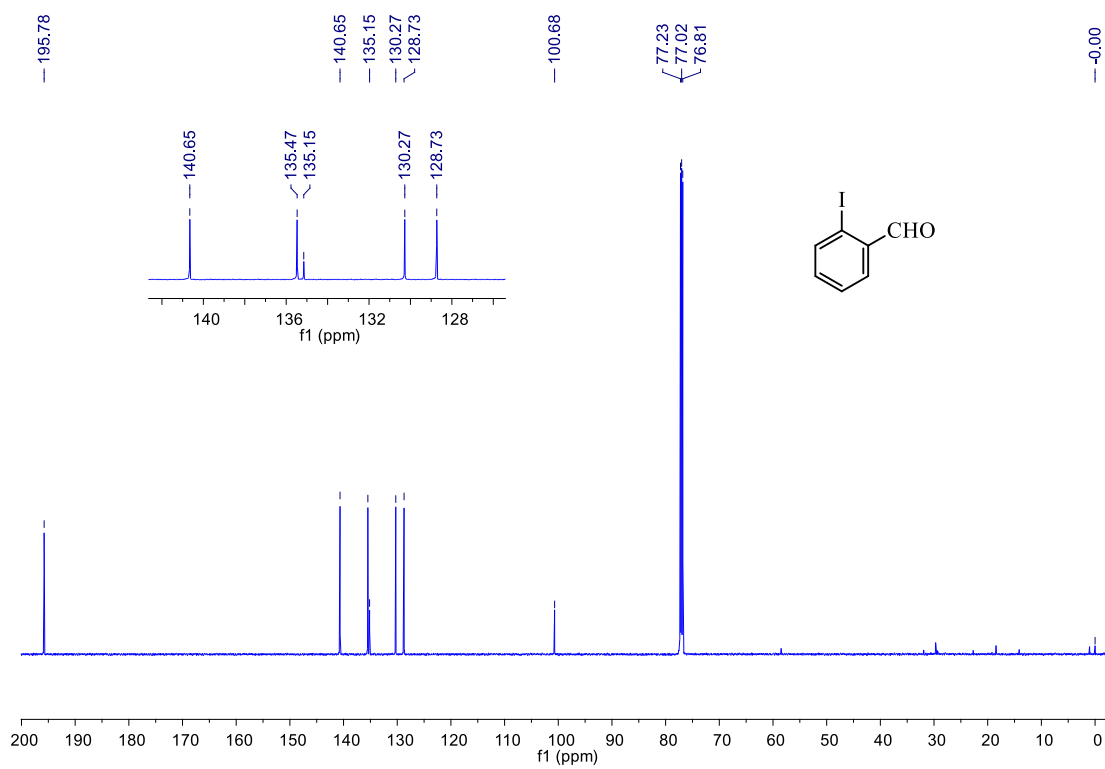
¹³C NMR of 2-bromobenzaldehyde **2g**



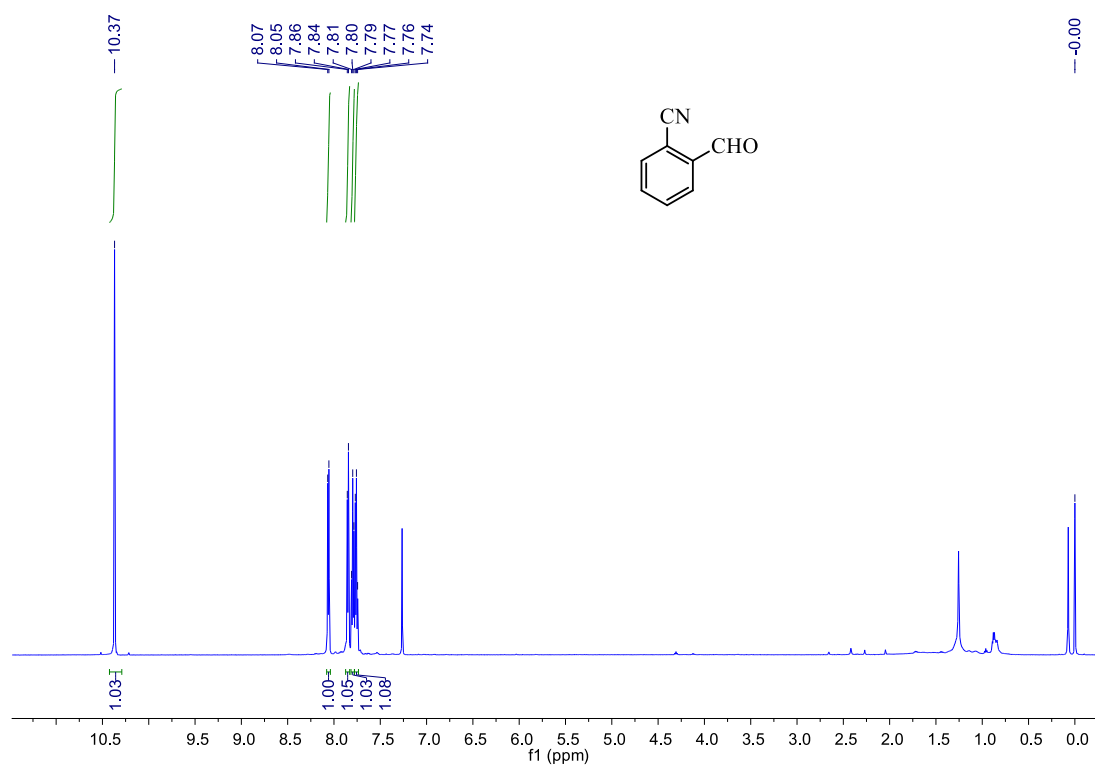
¹H NMR of 2-iodobenzaldehyde **2h**



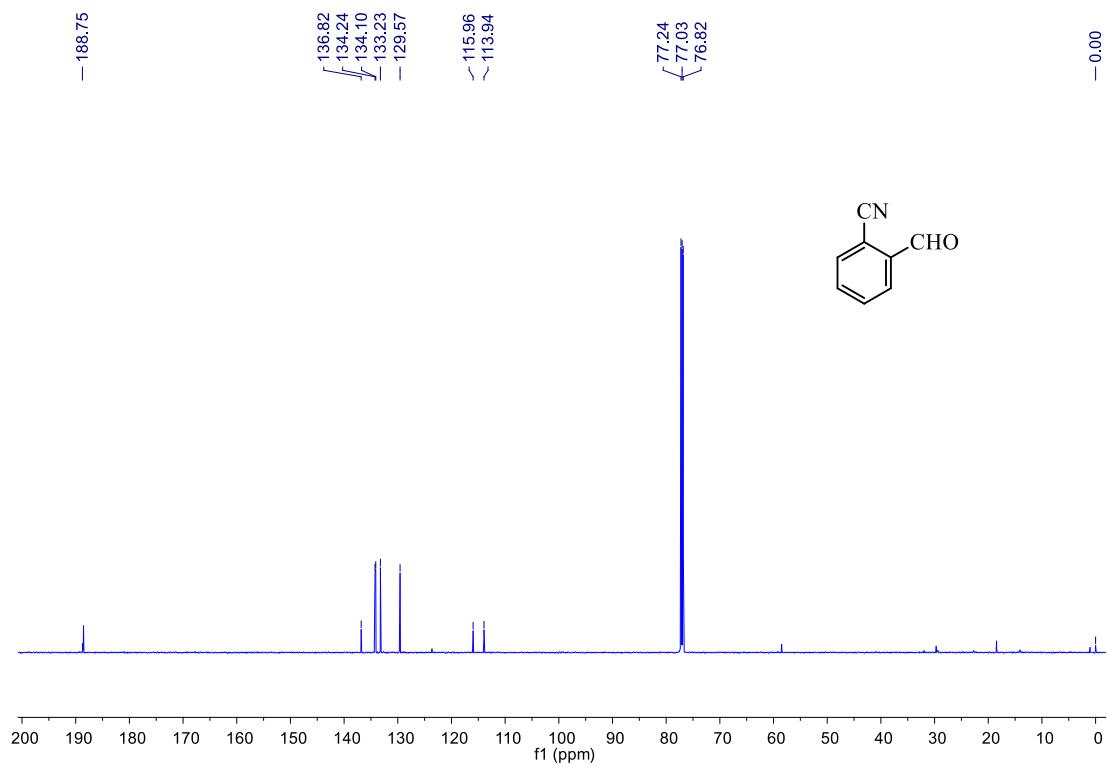
¹³C NMR spectrum of 2-iodobenzaldehyde **2h**



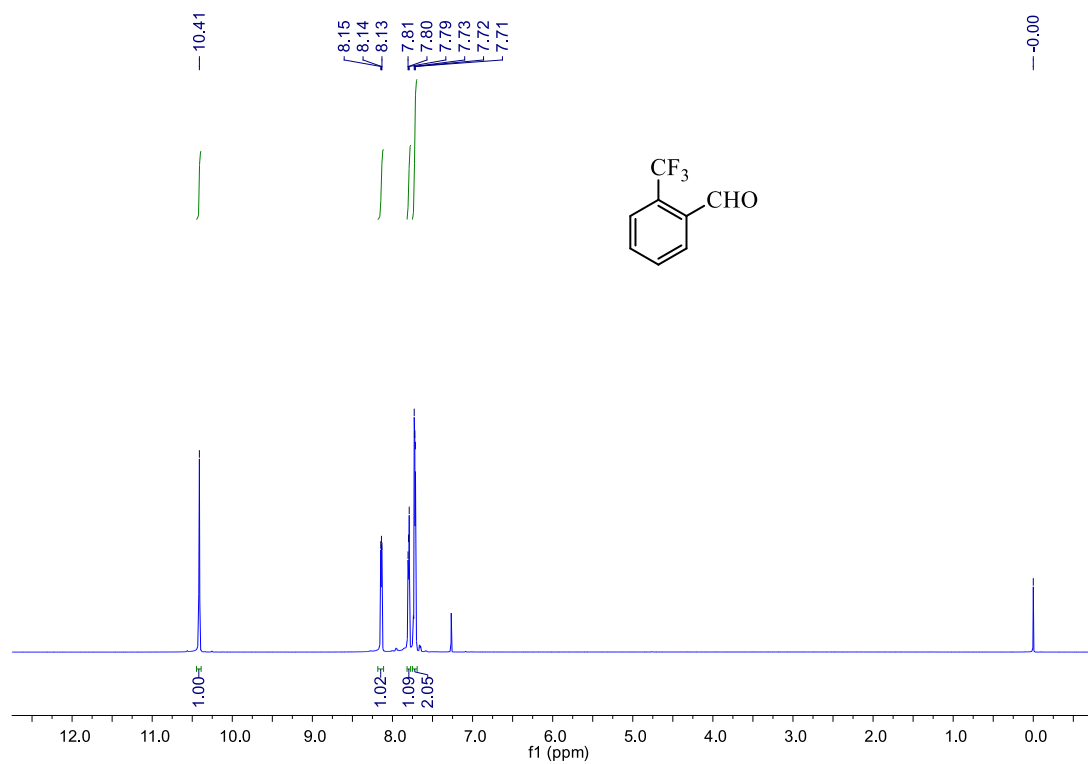
¹H NMR of 2-Cyanobenzaldehyde **2i**



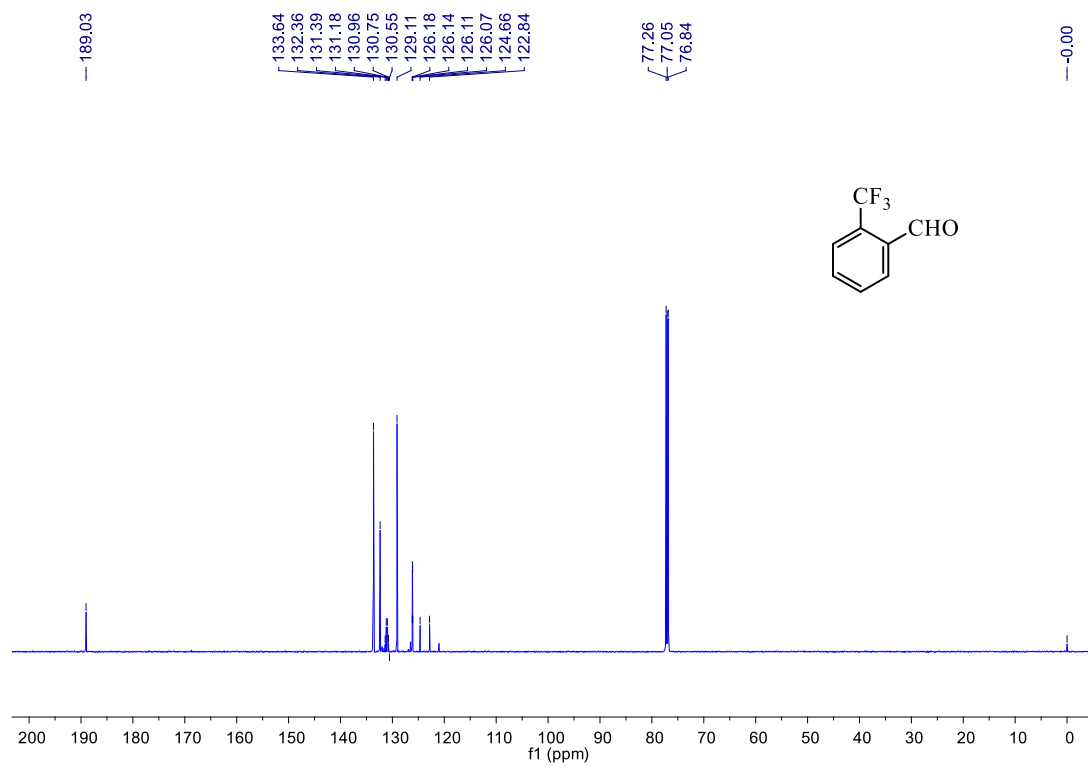
¹³C NMR of 2-Cyanobenzaldehyde **2i**



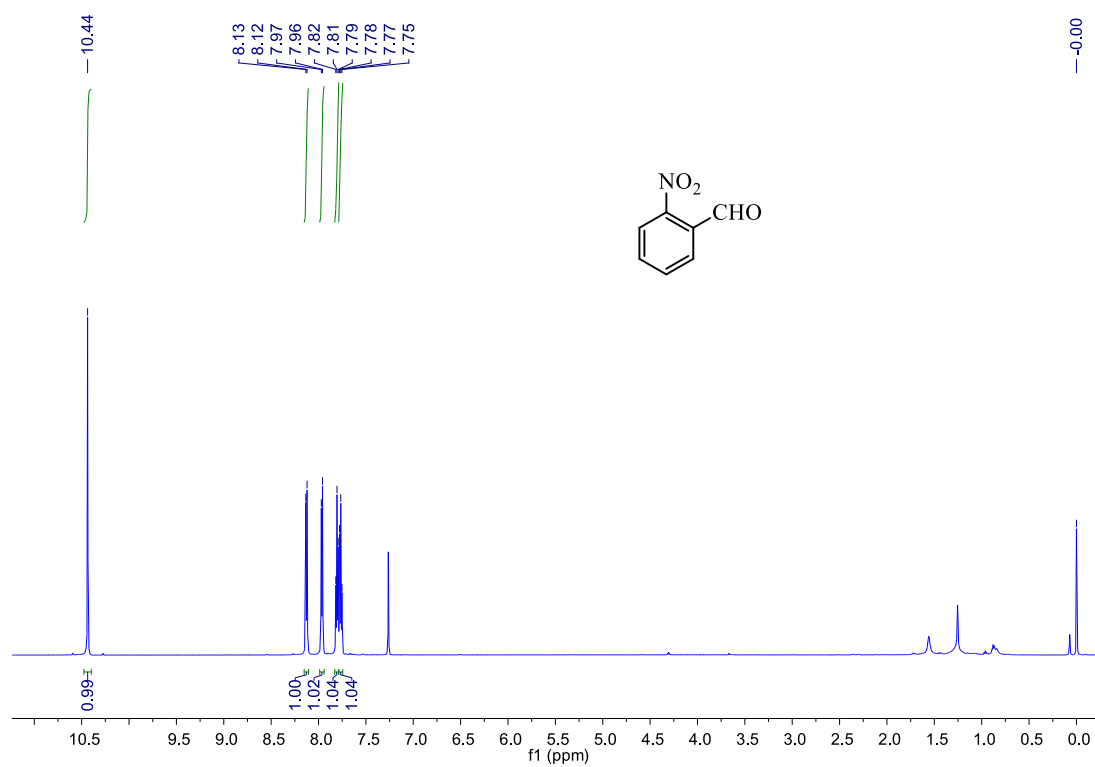
¹H NMR of 2-(trifluoromethyl)benzaldehyde **2j**



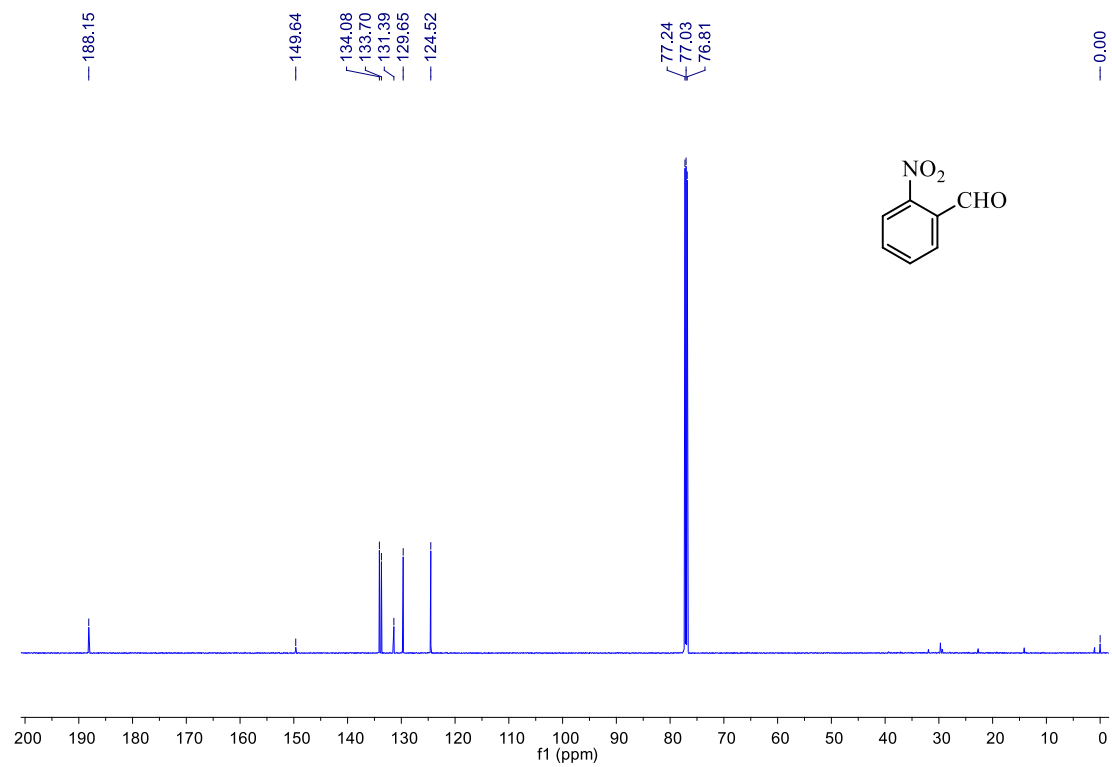
¹³C NMR of 2-(trifluoromethyl)benzaldehyde **2j**



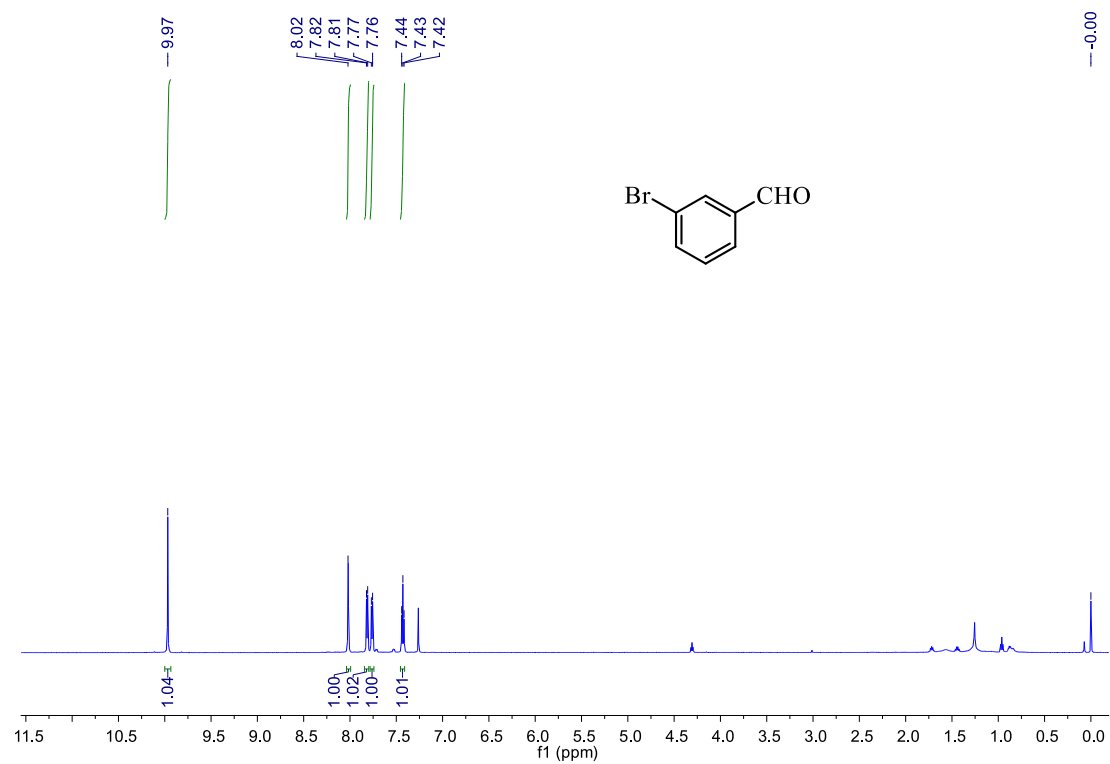
¹H NMR of 2-nitrobenzaldehyde **2k**



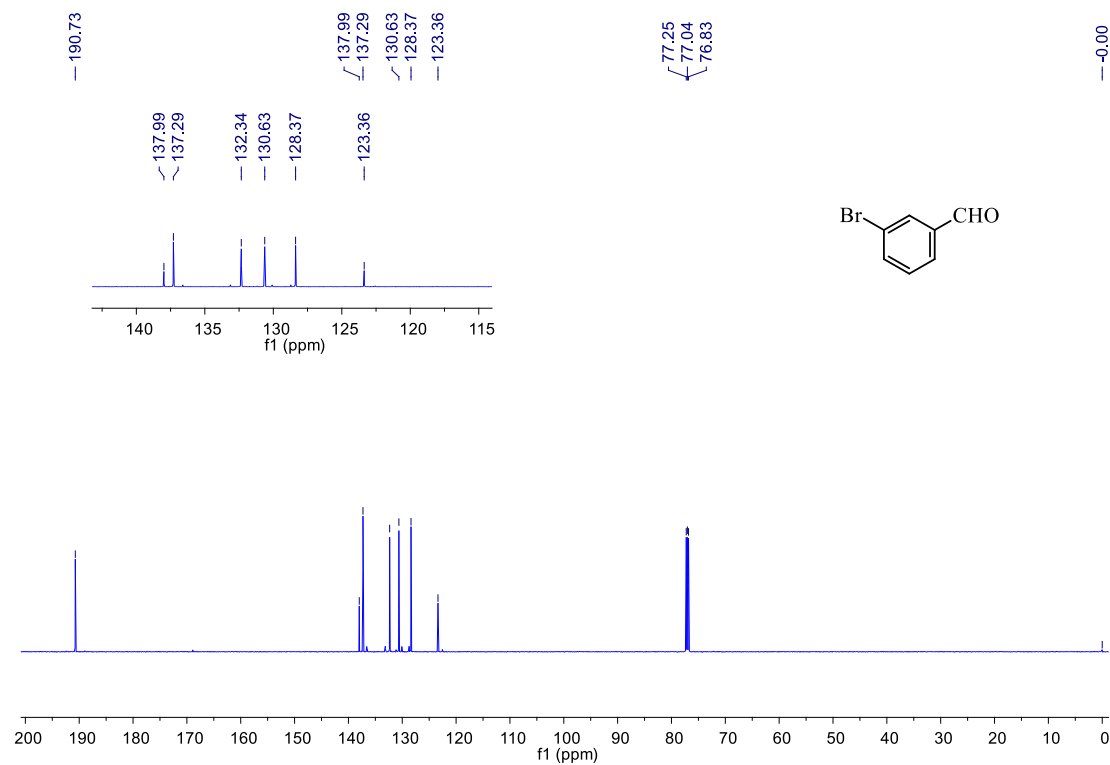
¹³C NMR of 2-nitrobenzaldehyde **2k**



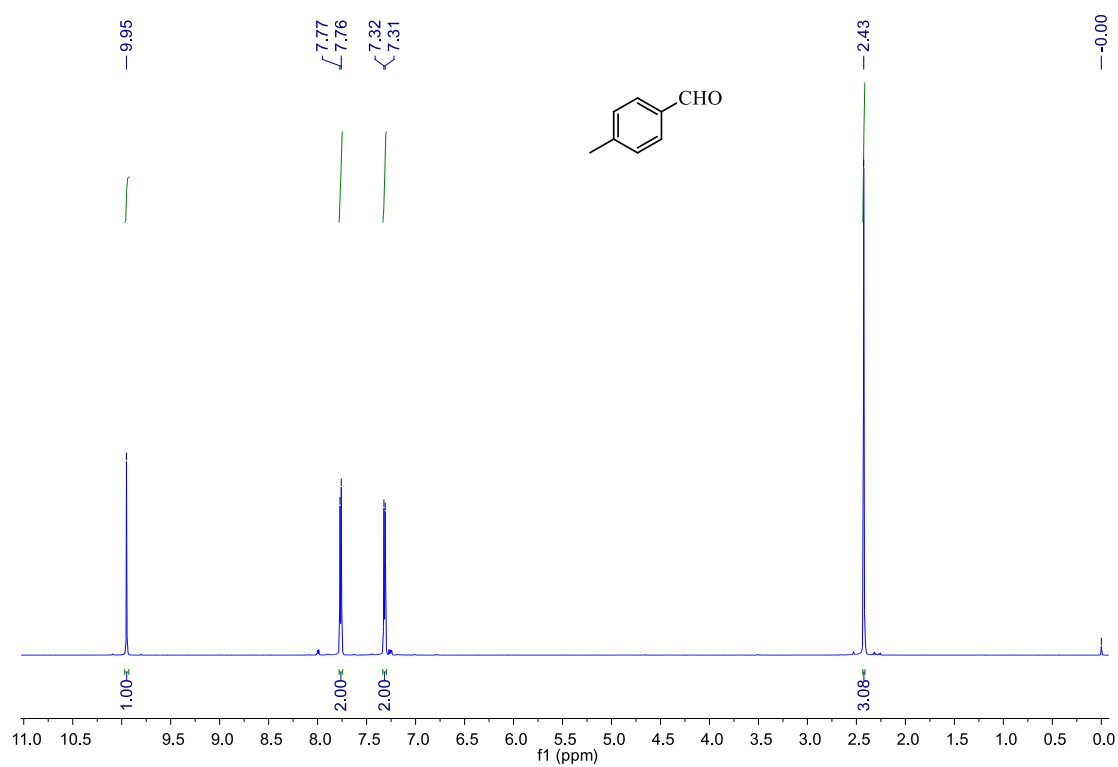
¹H NMR of 3-bromobenzaldehyde **2I**



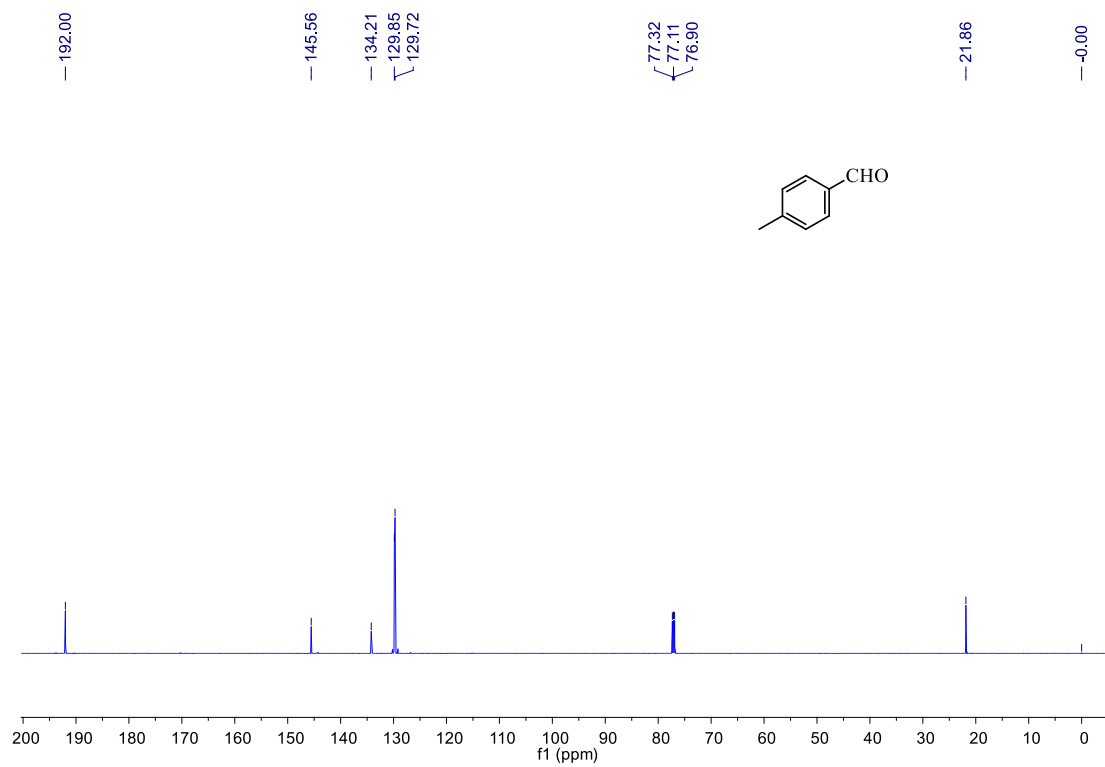
¹³C NMR of 3-bromobenzaldehyde **2I**



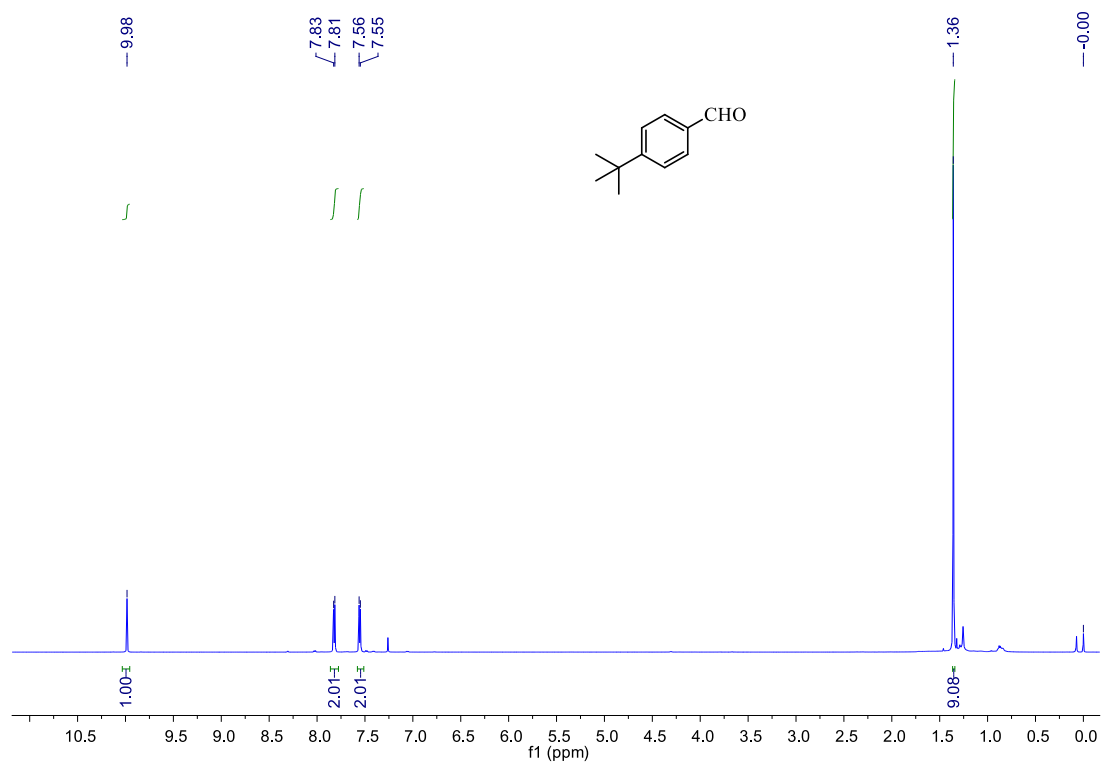
¹H NMR of 4-methylbenzaldehyde **2m**



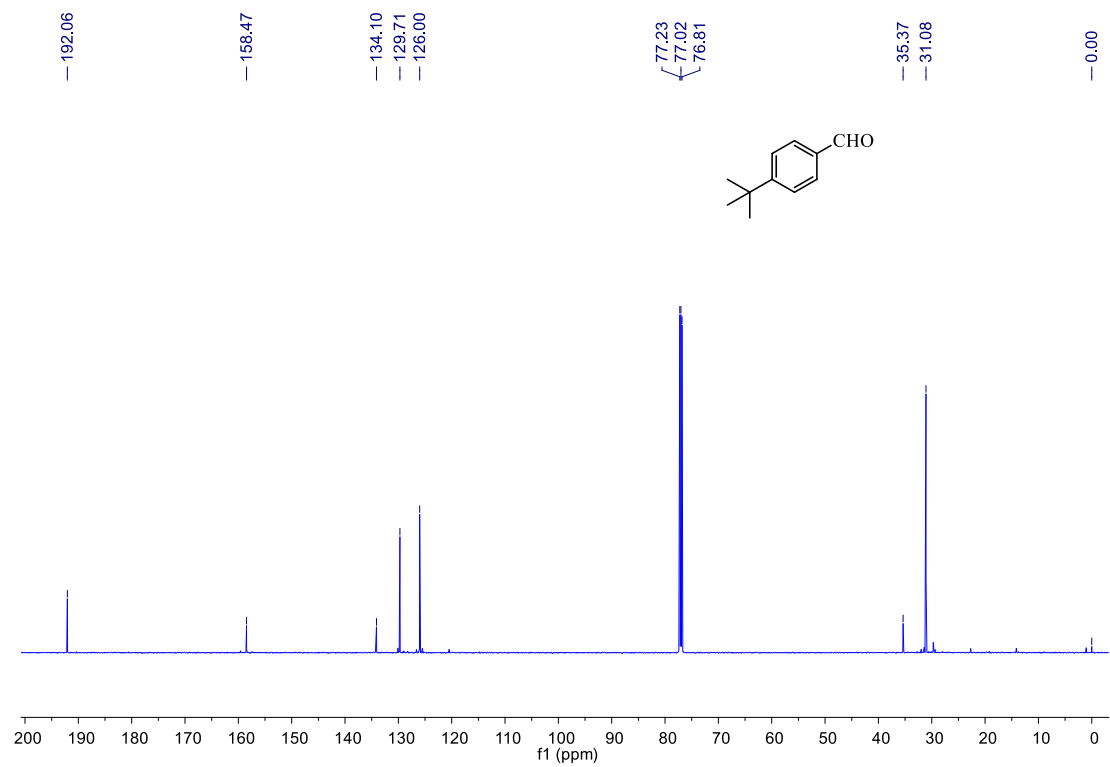
¹³C NMR of 4-methylbenzaldehyde **2m**



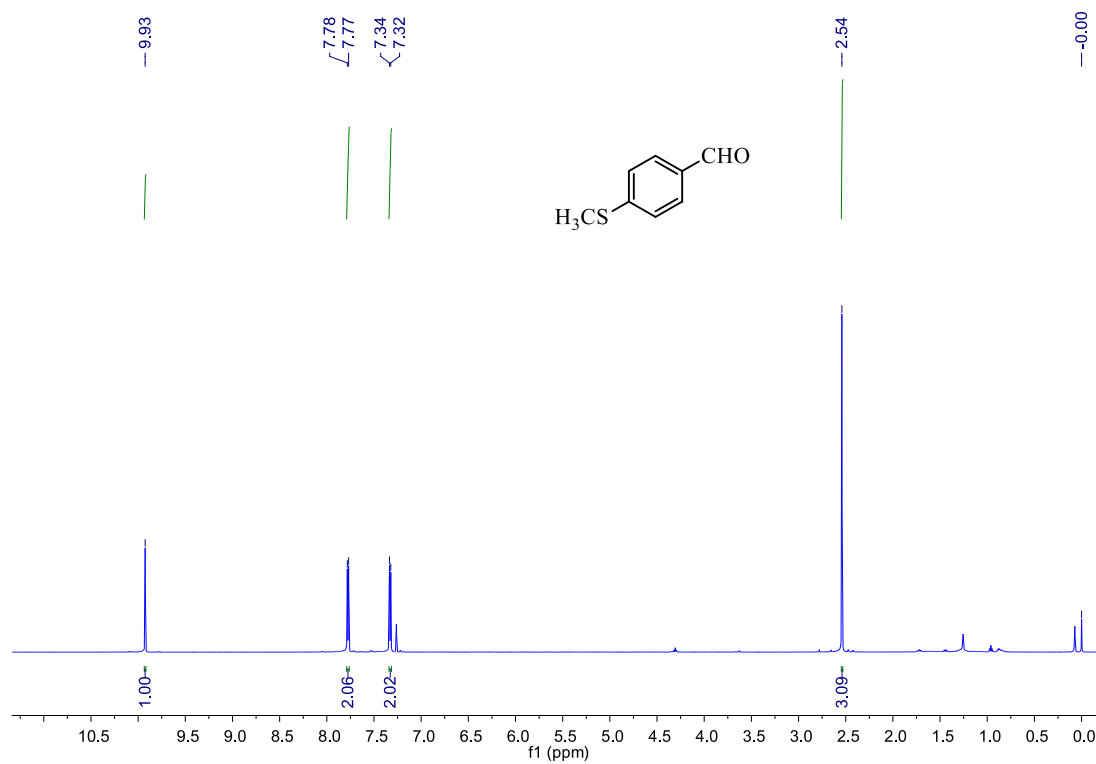
¹H NMR of 4-(tert-butyl)benzaldehyde **2n**



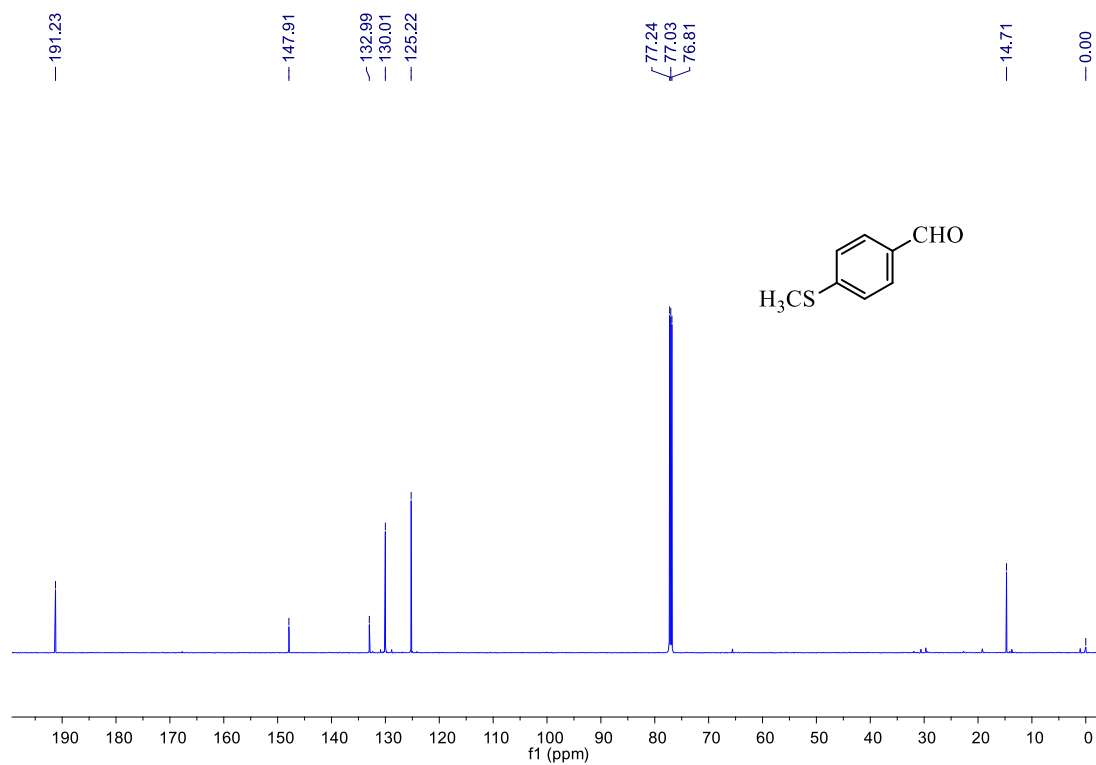
¹³C NMR of 4-(tert-butyl)benzaldehyde **2n**



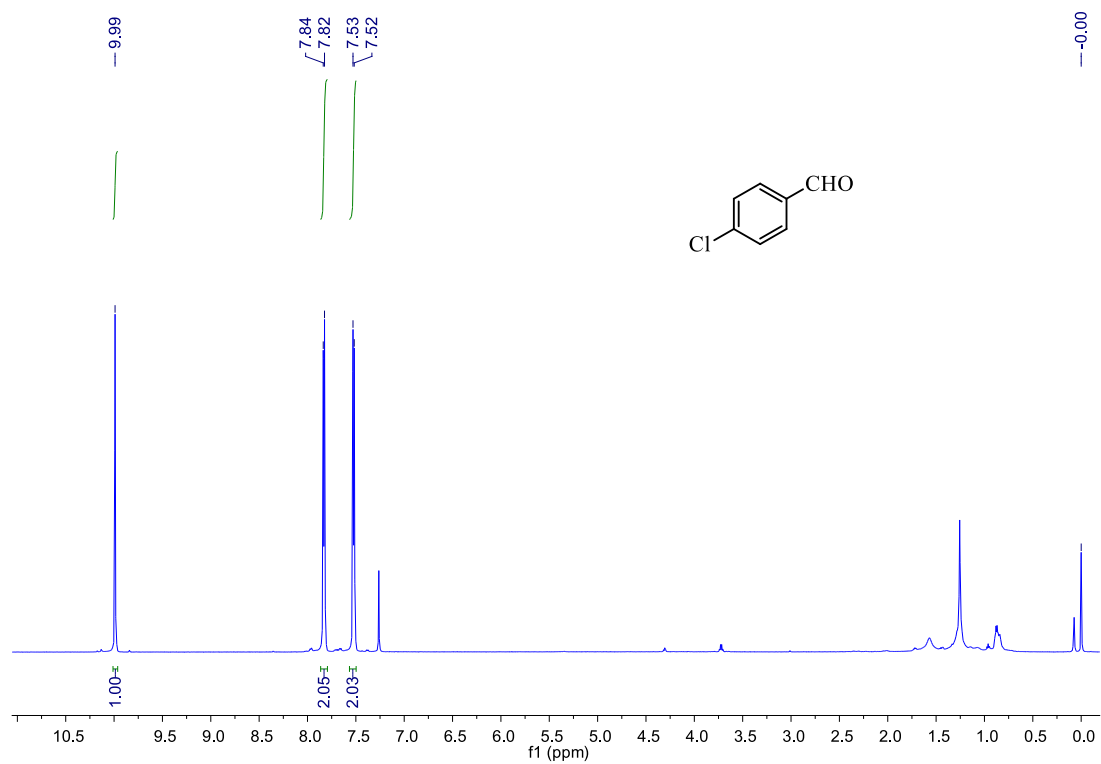
¹H NMR of 4-(methylthio)benzaldehyde **2o**



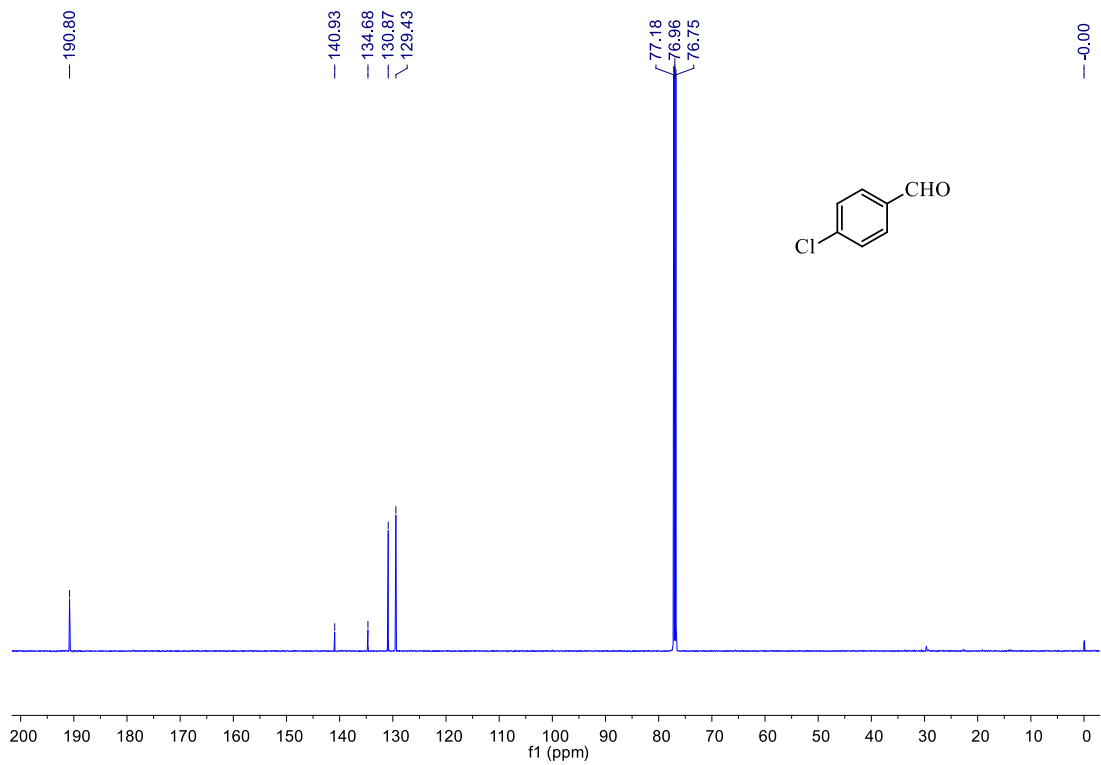
¹³C NMR of 4-(methylthio)benzaldehyde **2o**



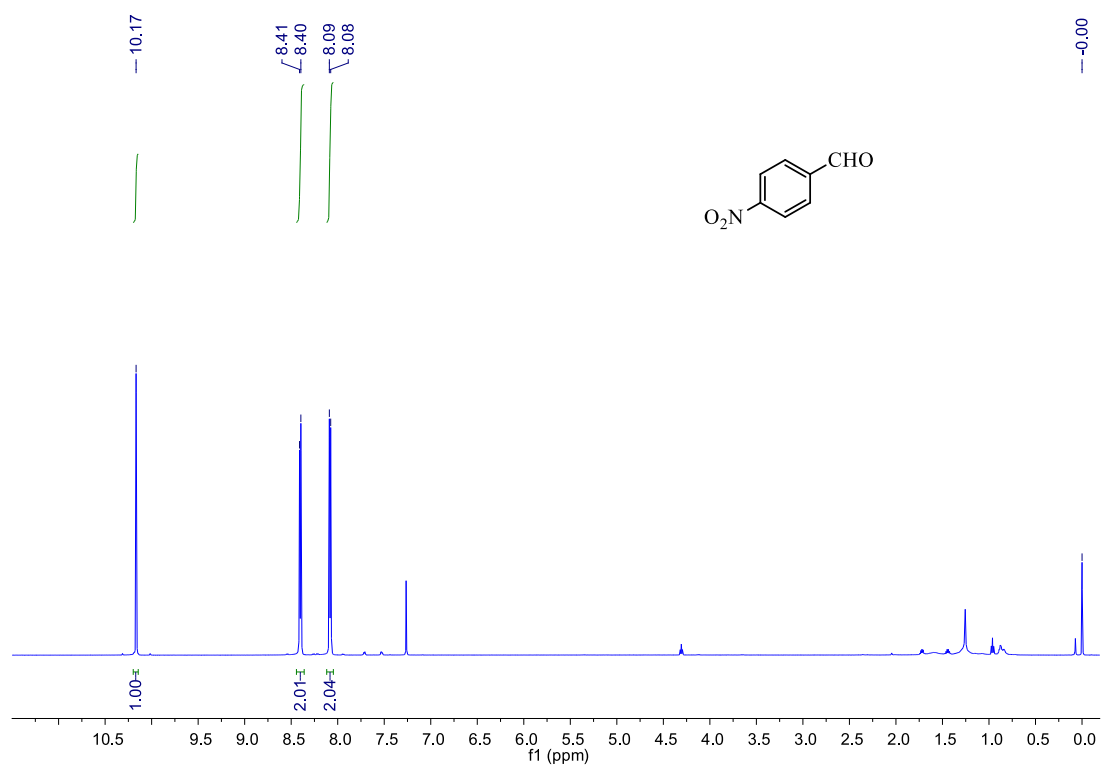
¹H NMR of 4-chlorobenzaldehyde **2p**



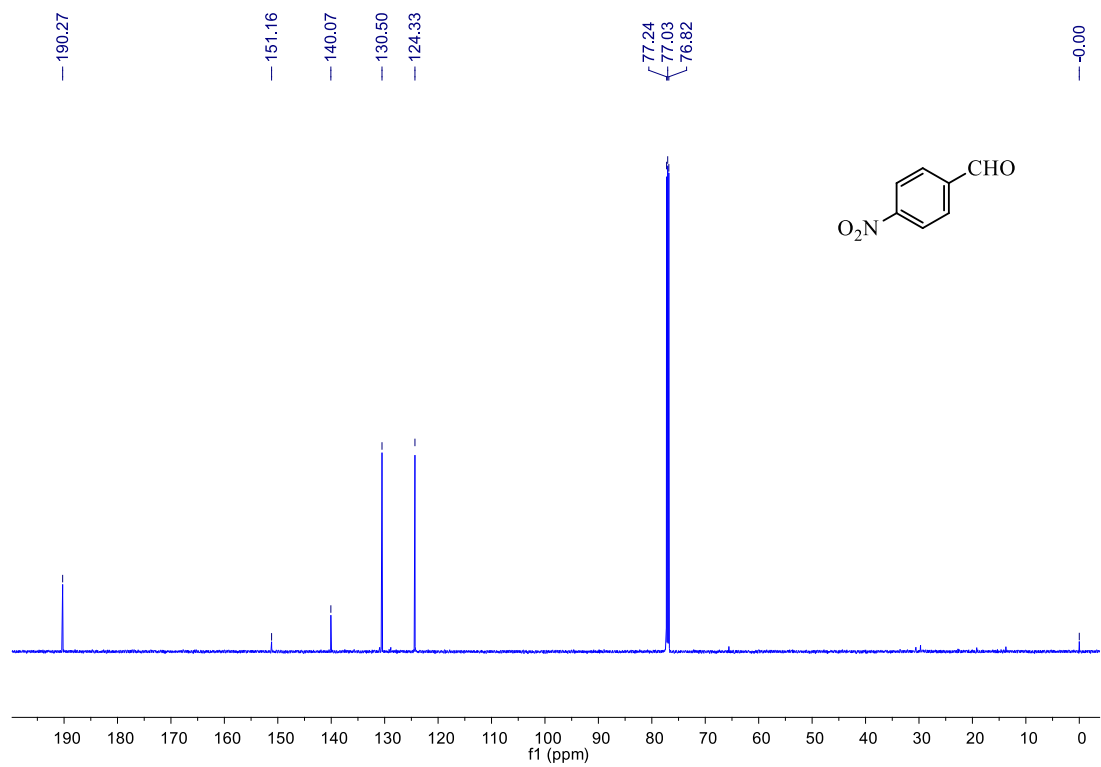
¹³C NMR of 4-chlorobenzaldehyde **2p**



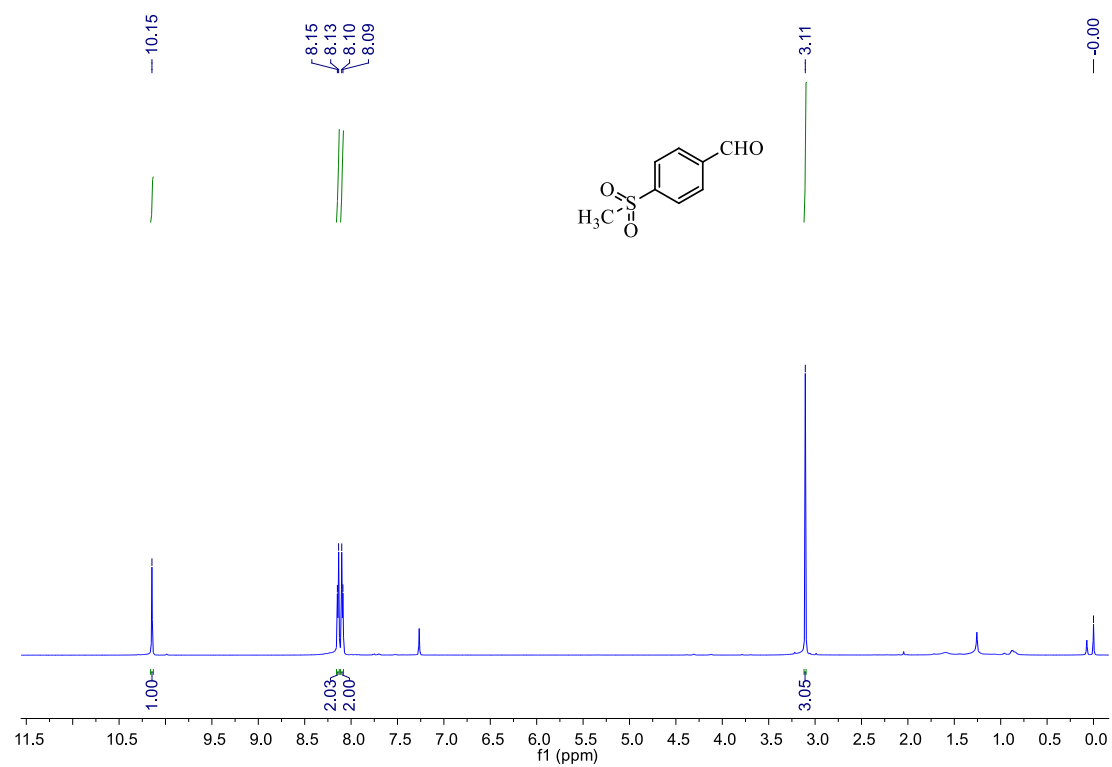
¹H NMR of 4-nitrobenzaldehyde **2q**



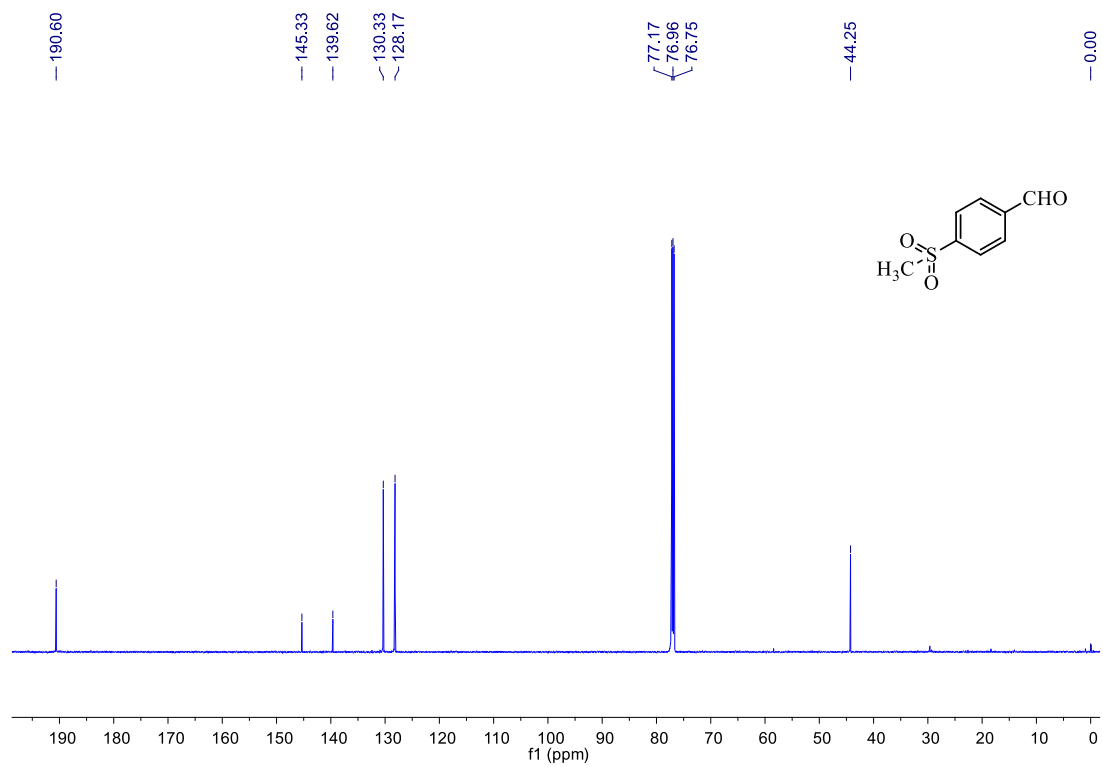
¹³C NMR of 4-nitrobenzaldehyde **2q**



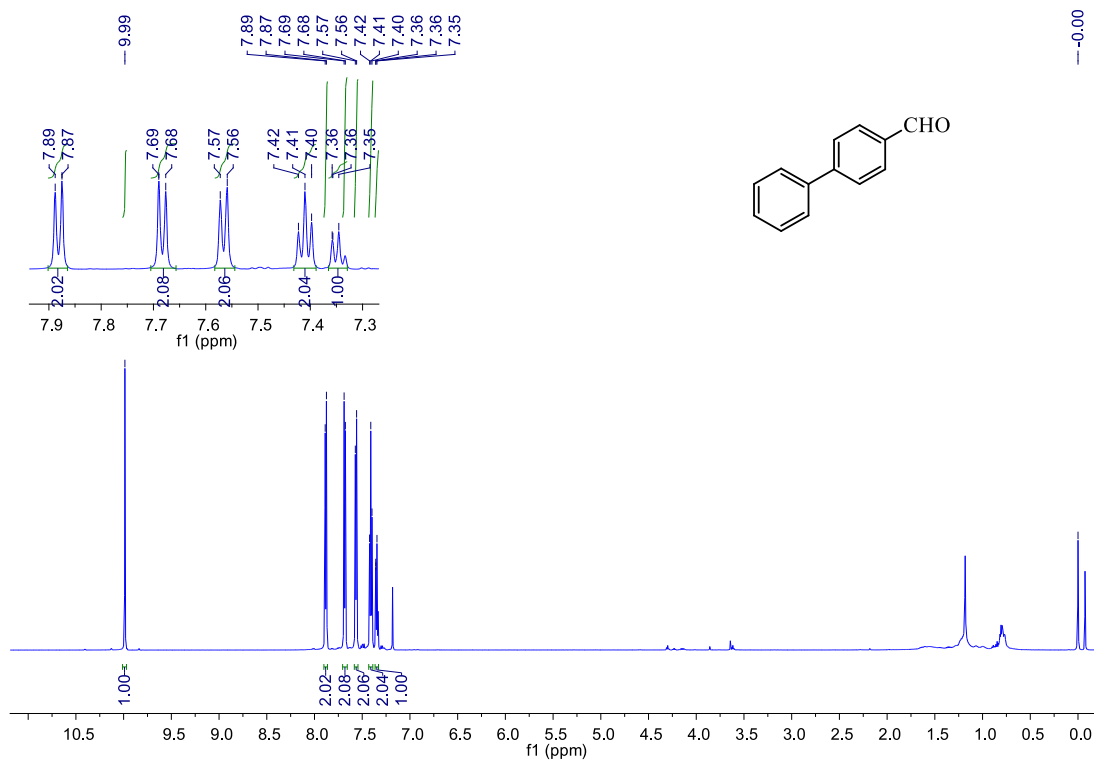
¹H NMR of 4-(methylsulfonyl)benzaldehyde **2r**



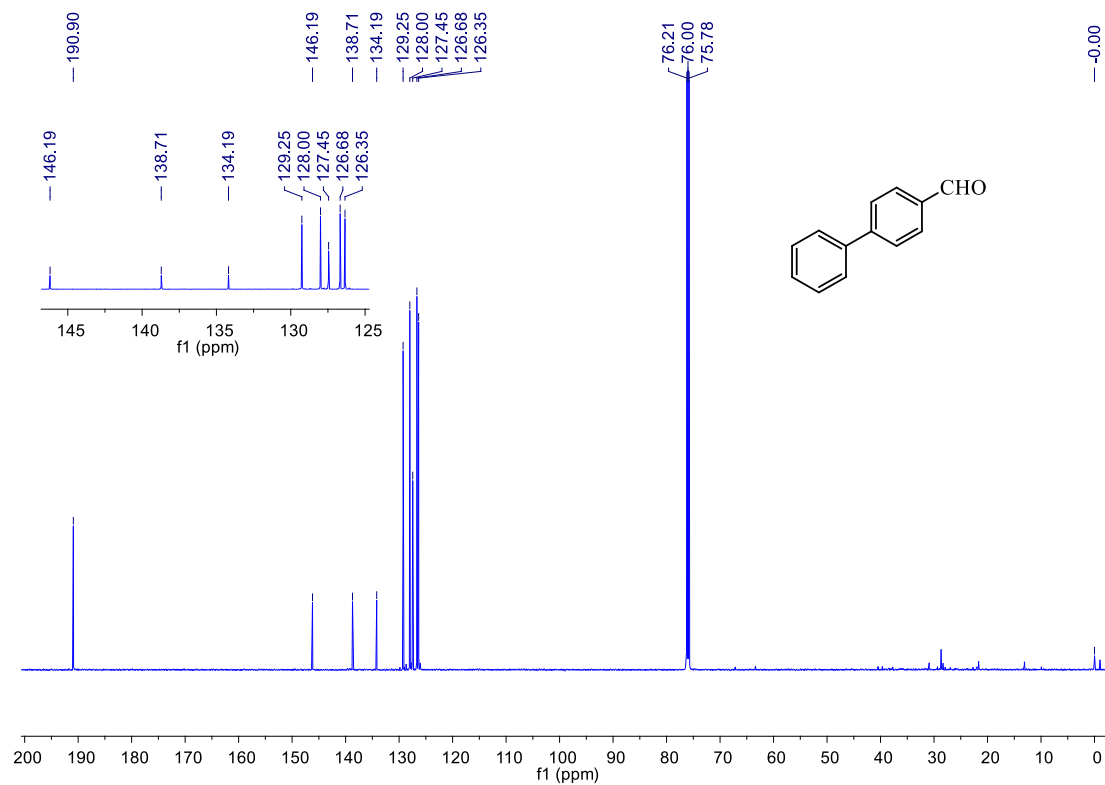
¹³C NMR of 4-(methylsulfonyl)benzaldehyde **2r**



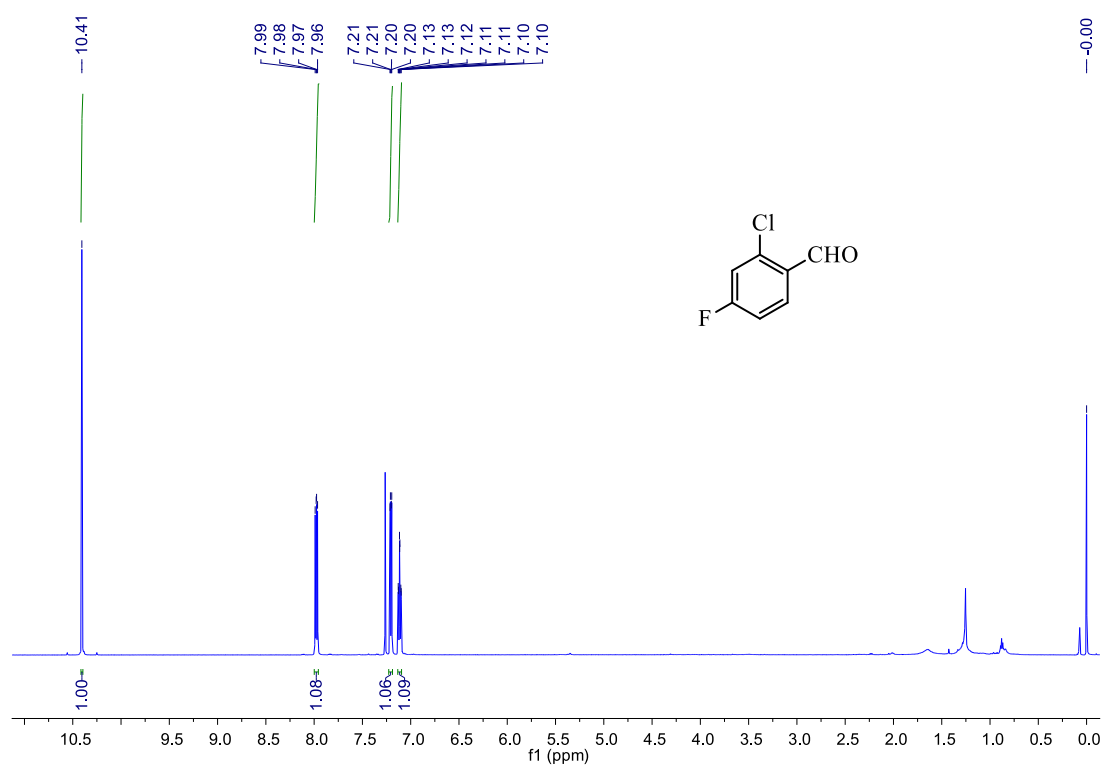
¹H NMR of 4-Biphenylcarboxaldehyde **2s**



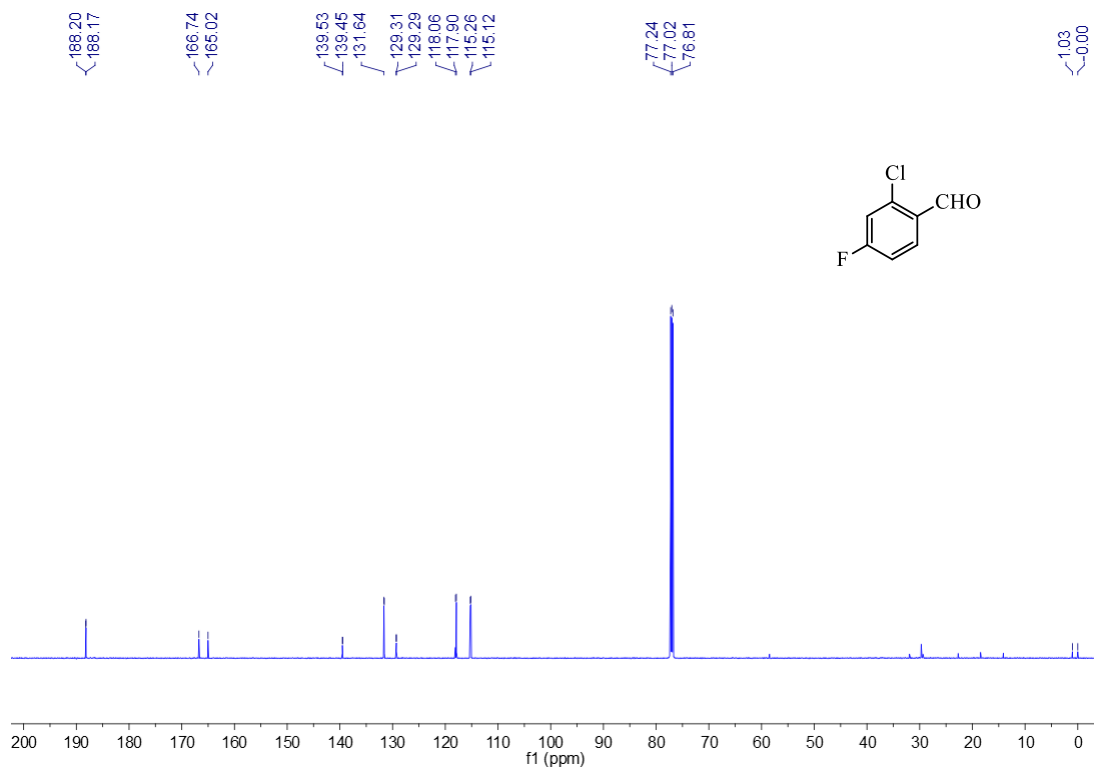
¹³C NMR of 4-Biphenylcarboxaldehyde **2s**



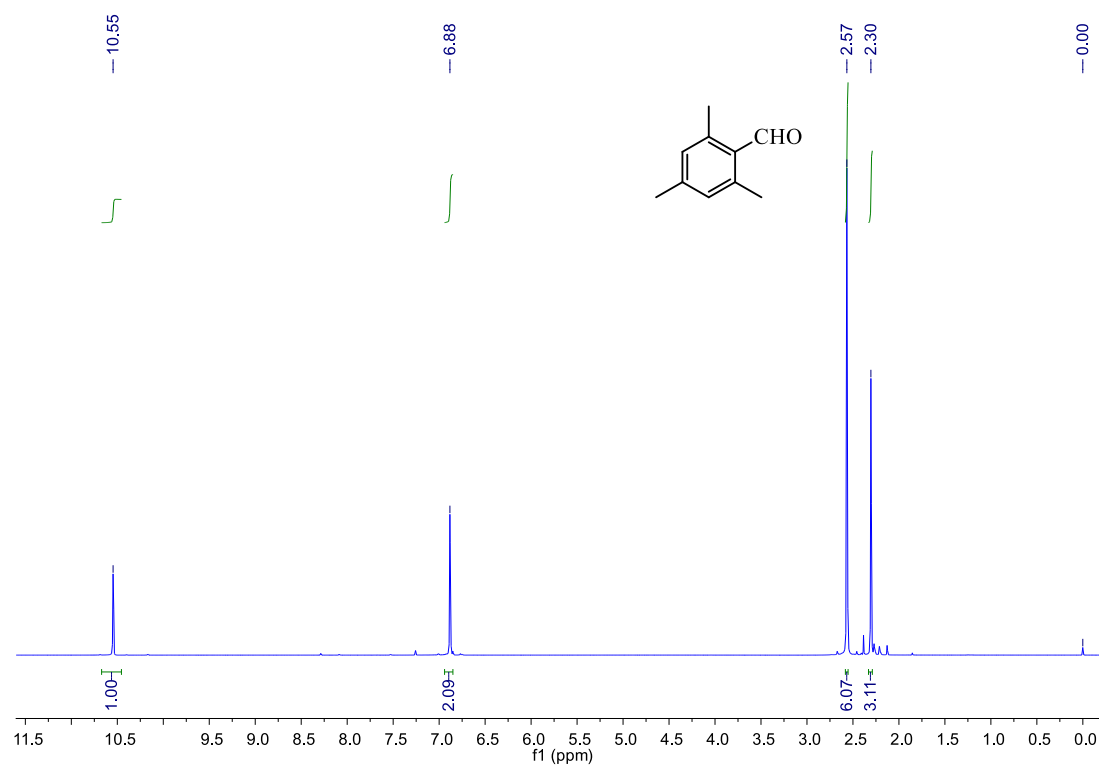
¹H NMR of 2-chloro-4-fluorobenzaldehyde **2t**



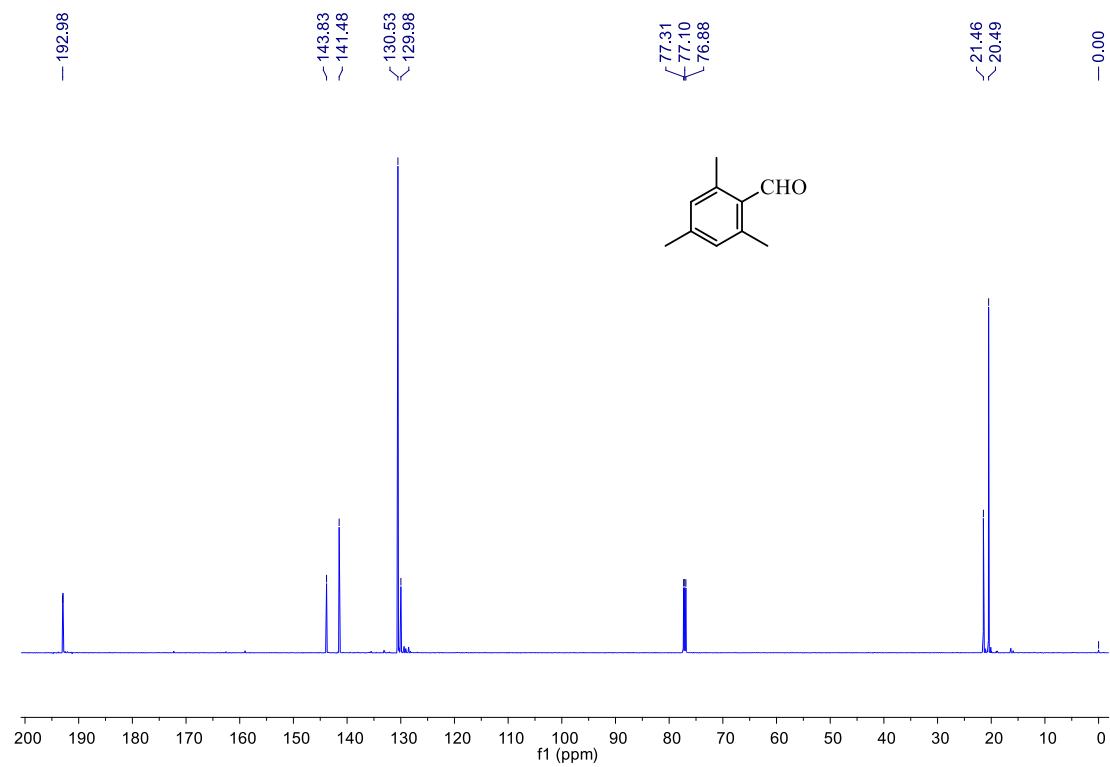
¹³C NMR of 2-chloro-4-fluorobenzaldehyde **2t**



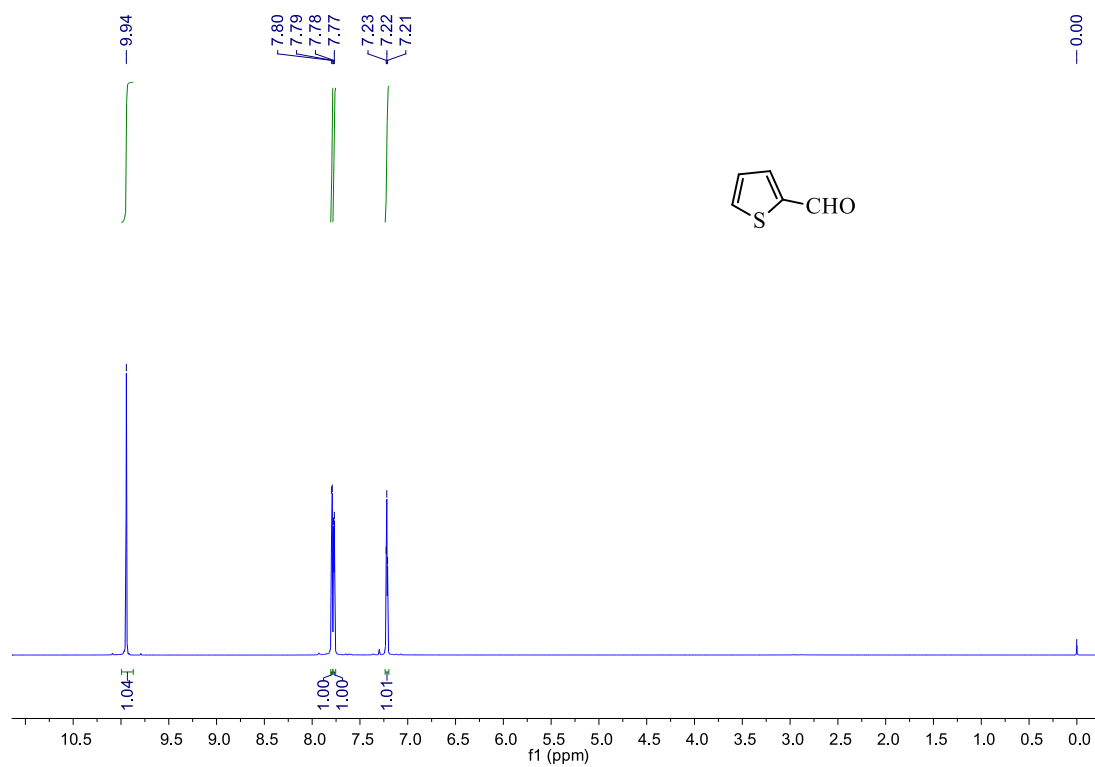
¹H NMR of 2,4,6-trimethylbenzaldehyde **2u**



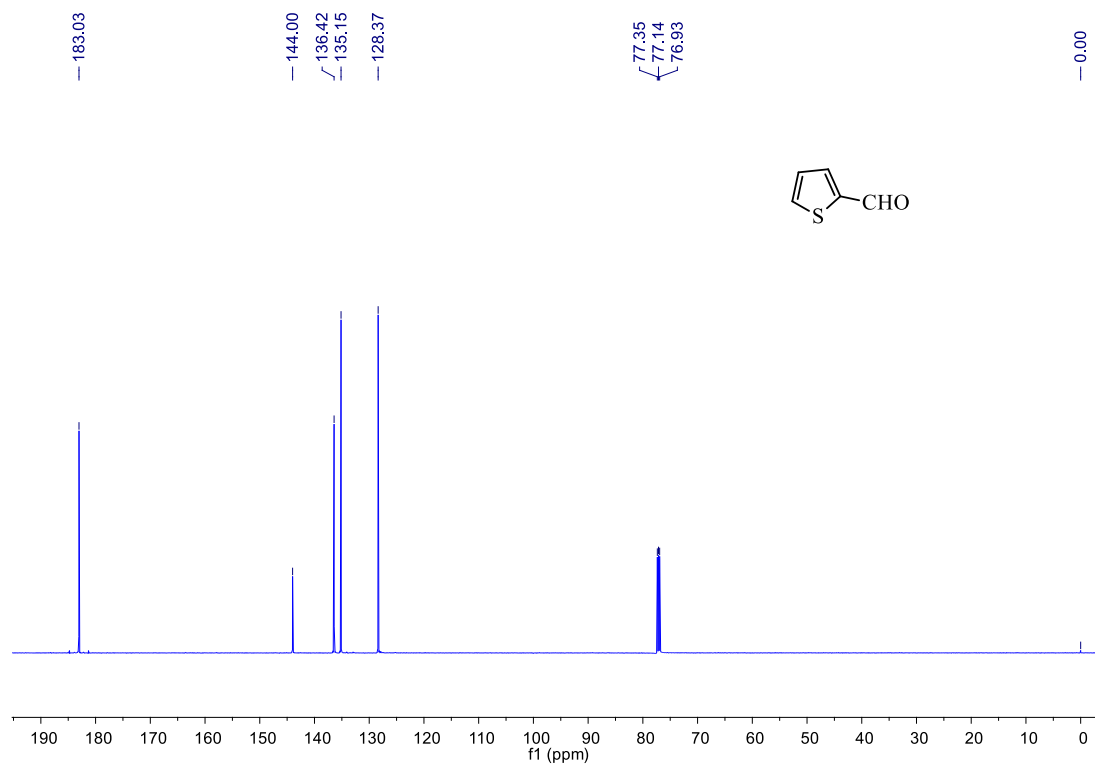
¹³C NMR of 2,4,6-trimethylbenzaldehyde **2u**



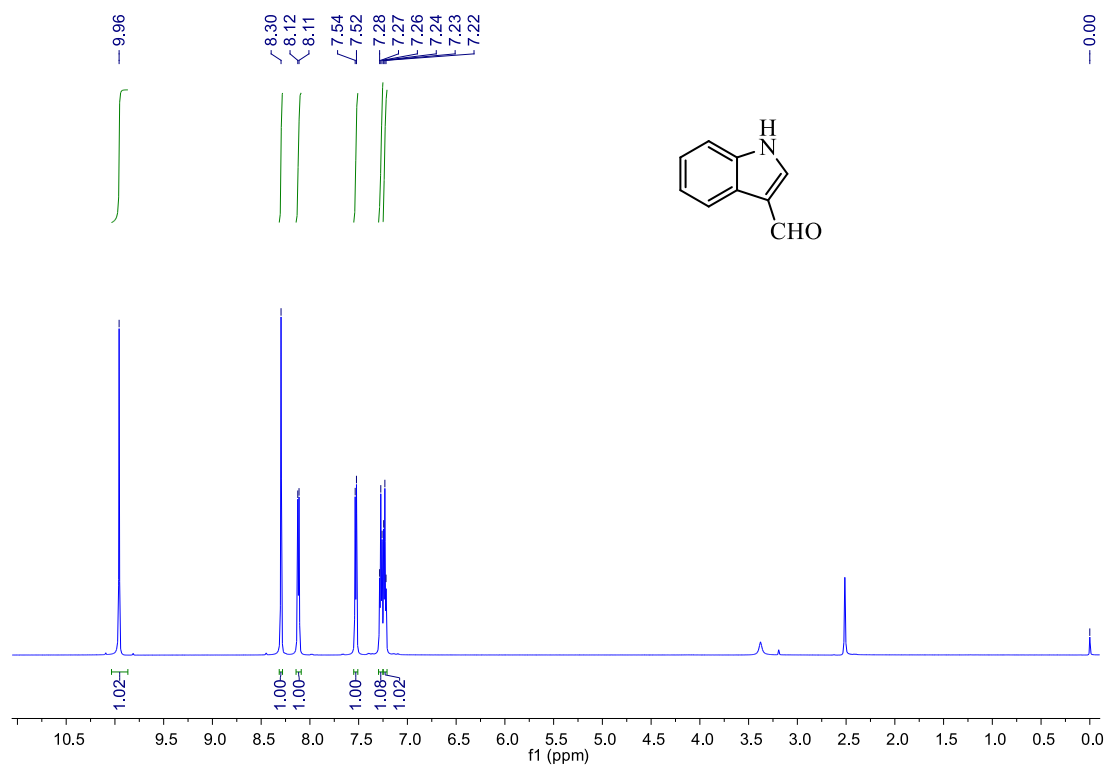
¹H NMR of thiophene-2-carbaldehyde **2v**



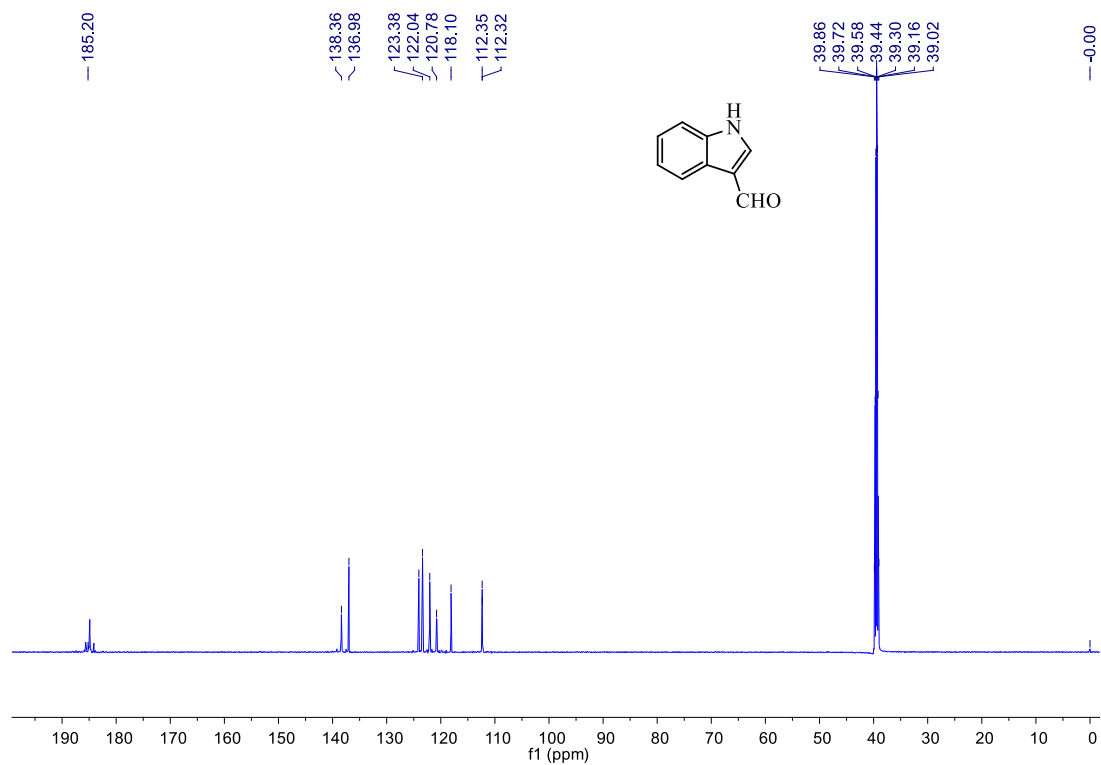
¹³C NMR of thiophene-2-carbaldehyde **2v**



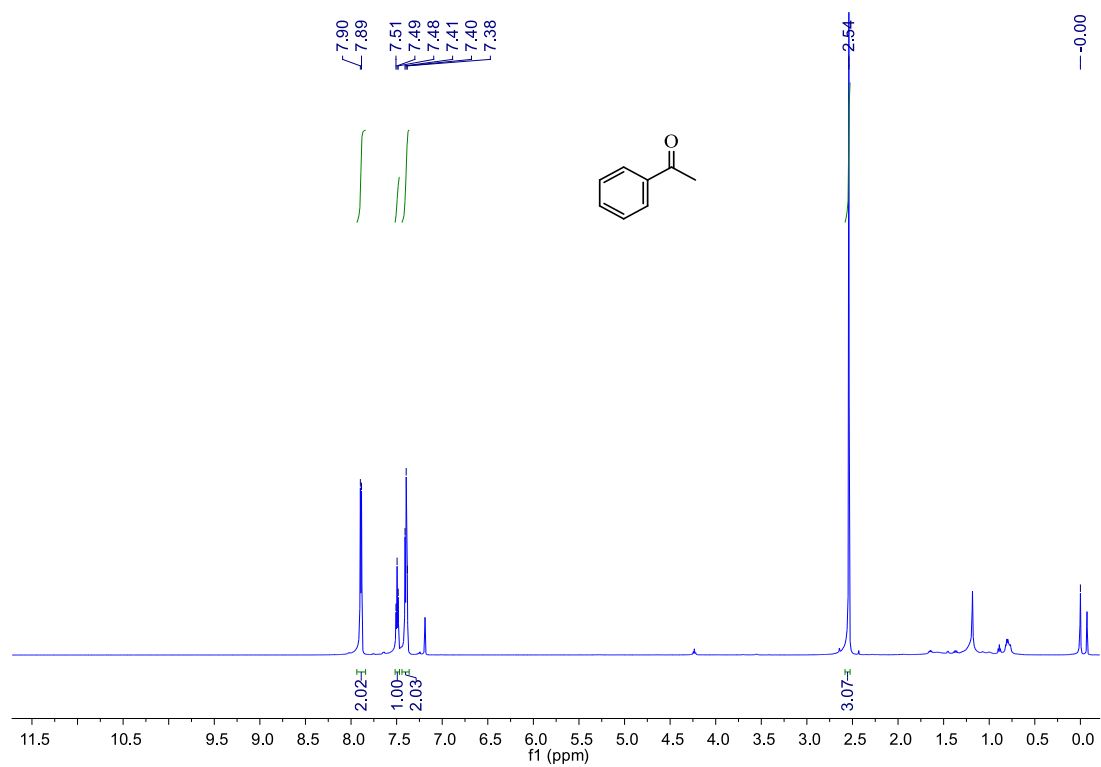
¹H NMR of Indole-3-carboxaldehyde **2w**



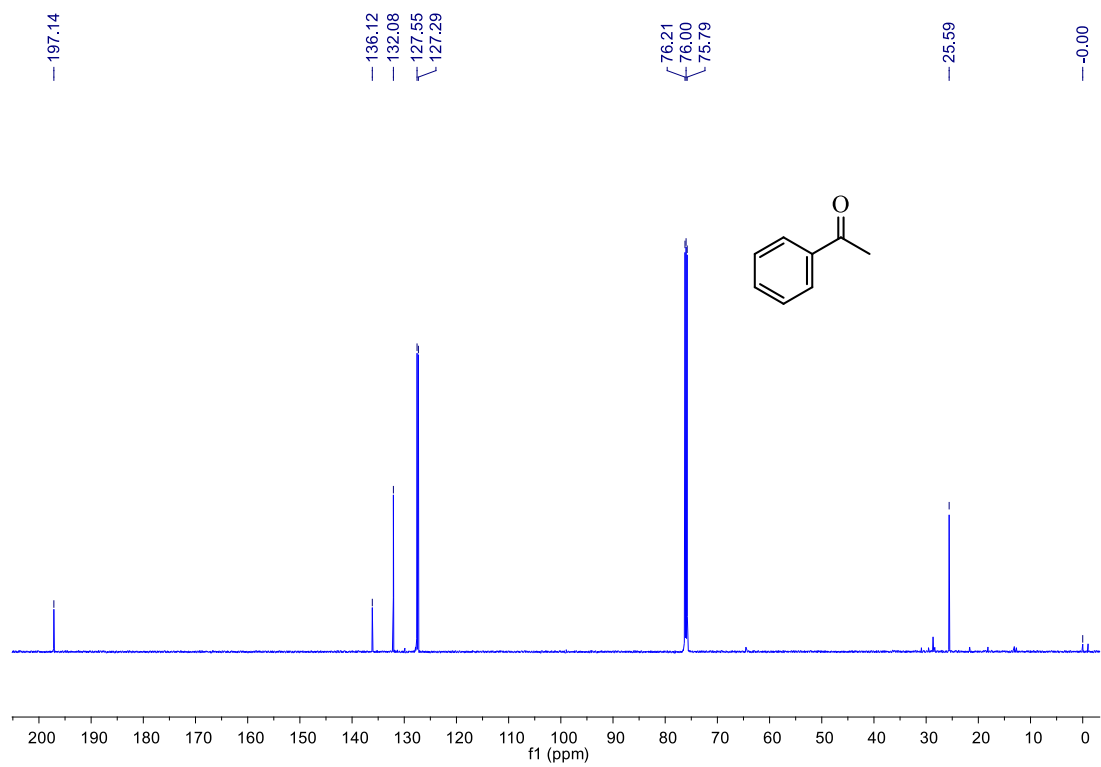
¹³C NMR of Indole-3-carboxaldehyde **2w**



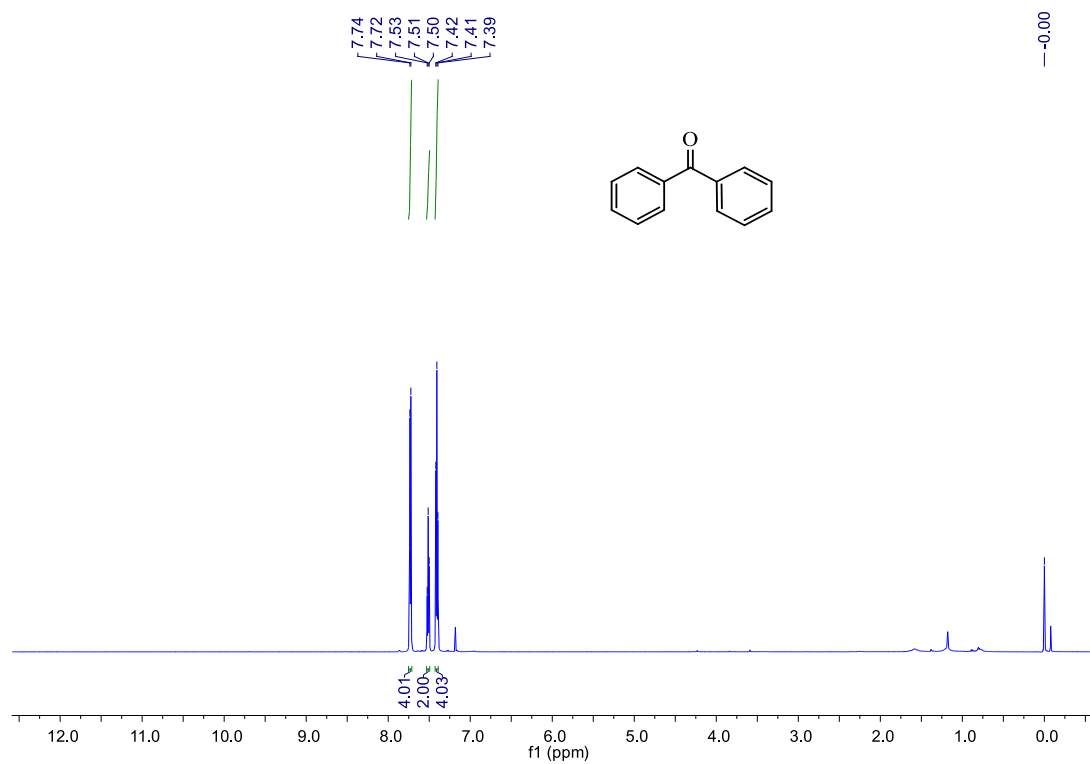
¹H NMR of acetophenone **2x**



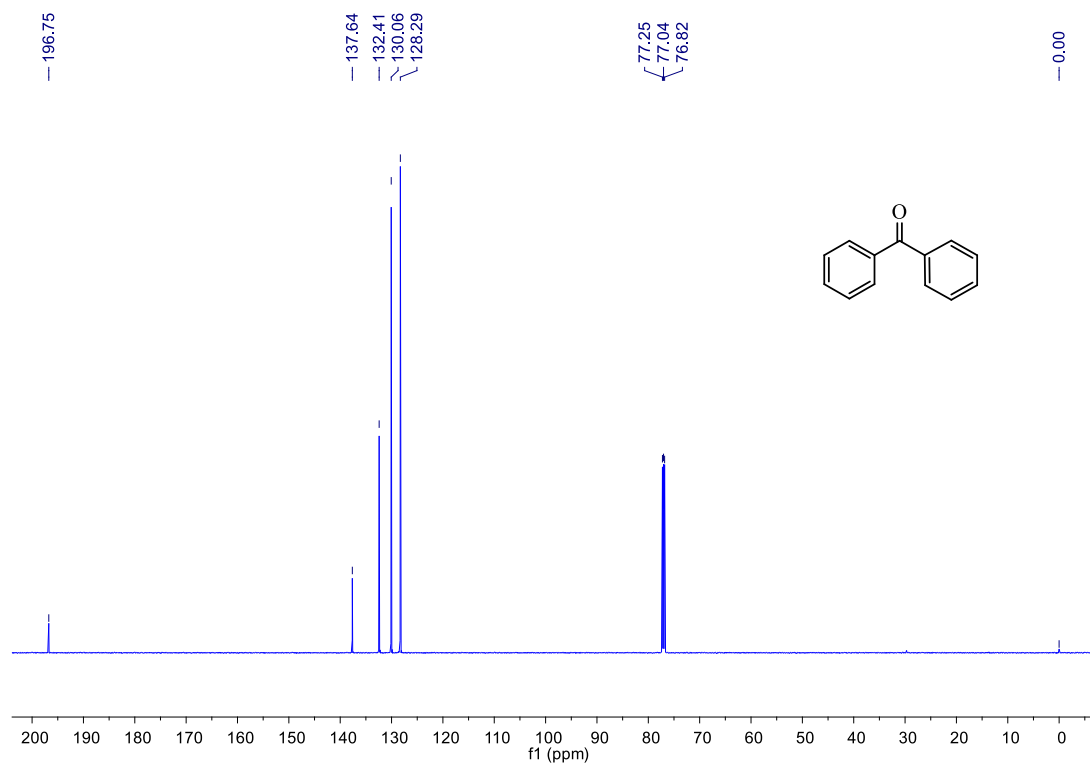
¹³C NMR of acetophenone **2x**



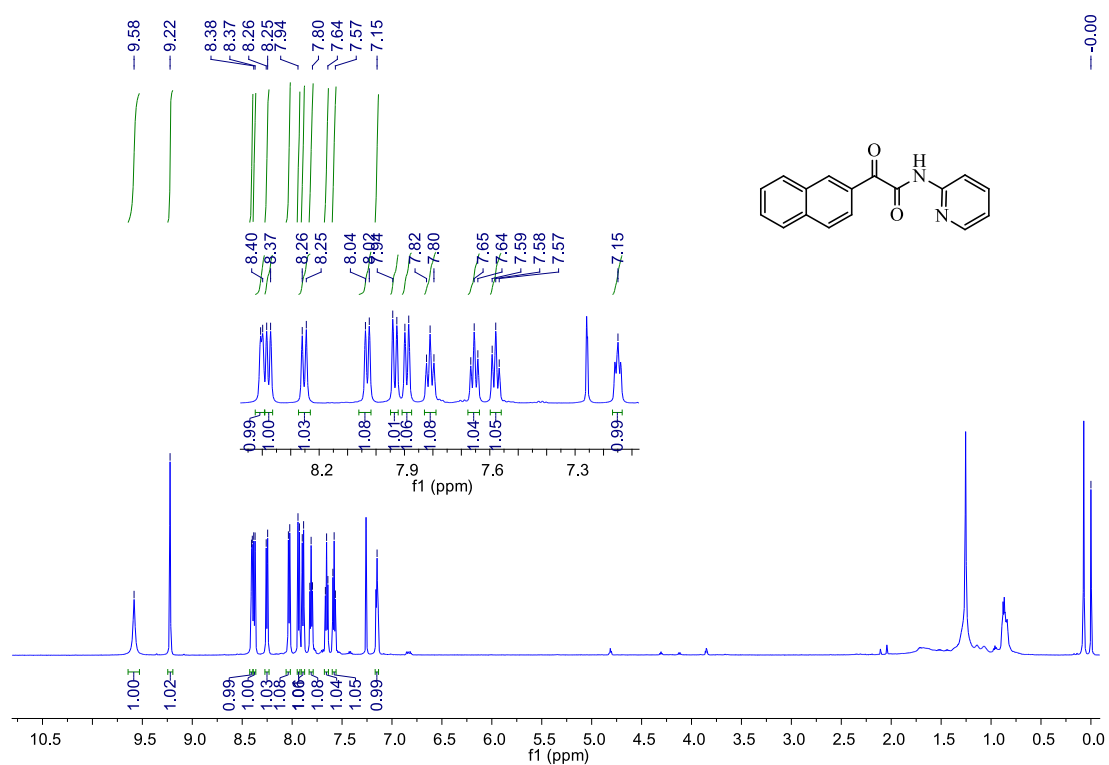
¹H NMR of benzophenone **2y**



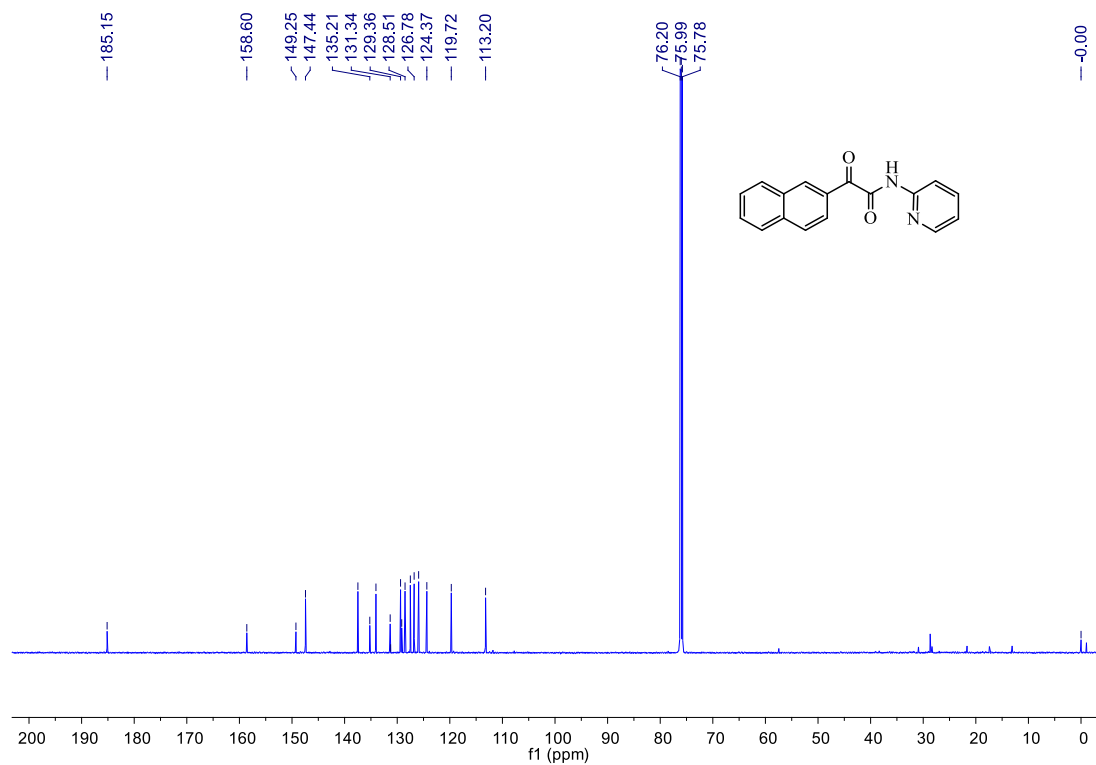
¹³C NMR of benzophenone **2y**



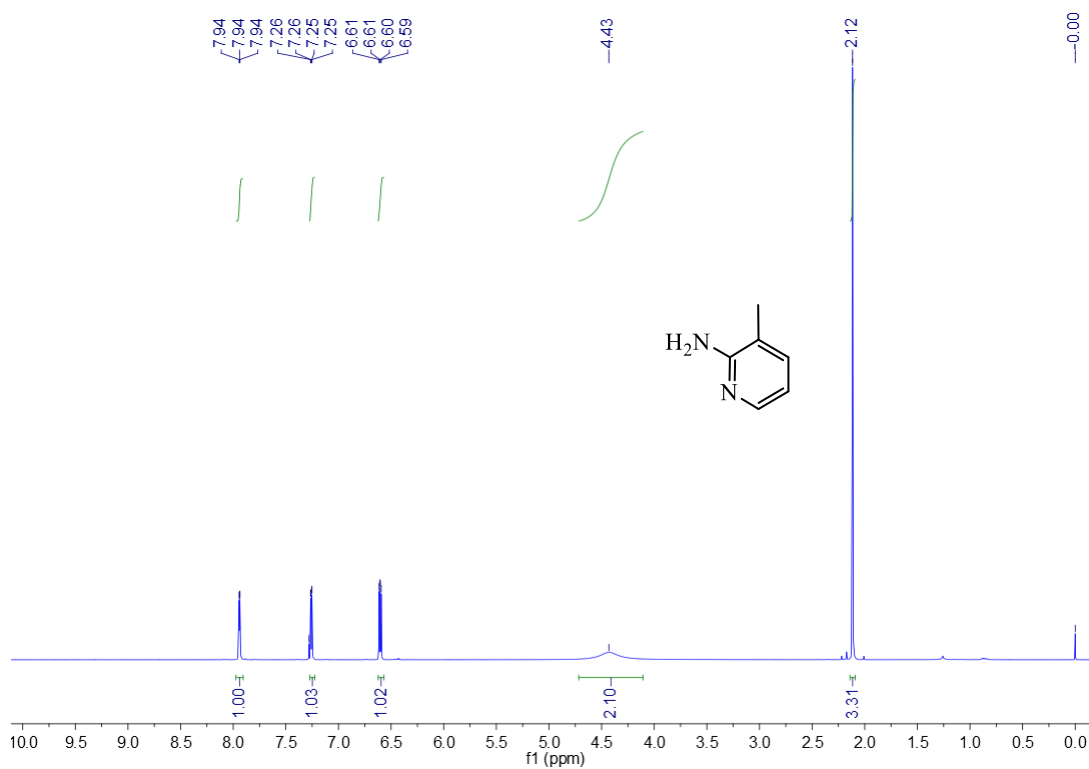
^1H NMR of 2-(naphthalen-2-yl)-2-oxo-N-(pyridin-2-yl)acetamide **3a₂**



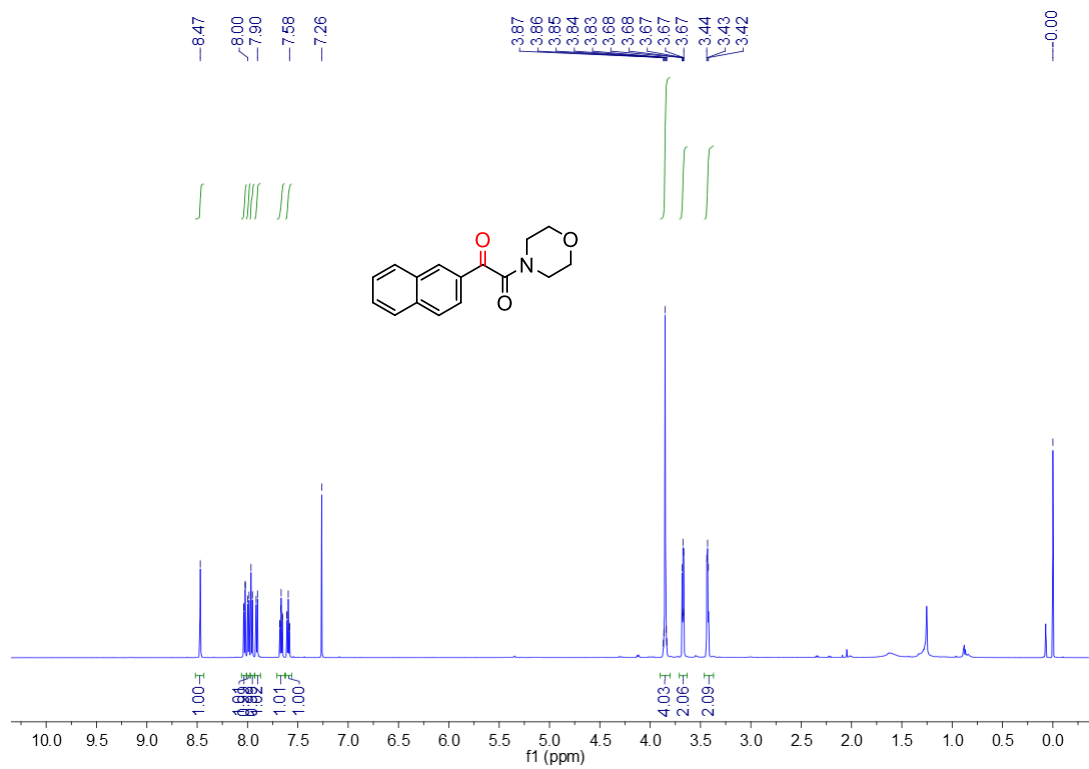
^{13}C NMR of 2-(naphthalen-2-yl)-2-oxo-N-(pyridin-2-yl)acetamide **3a₂**



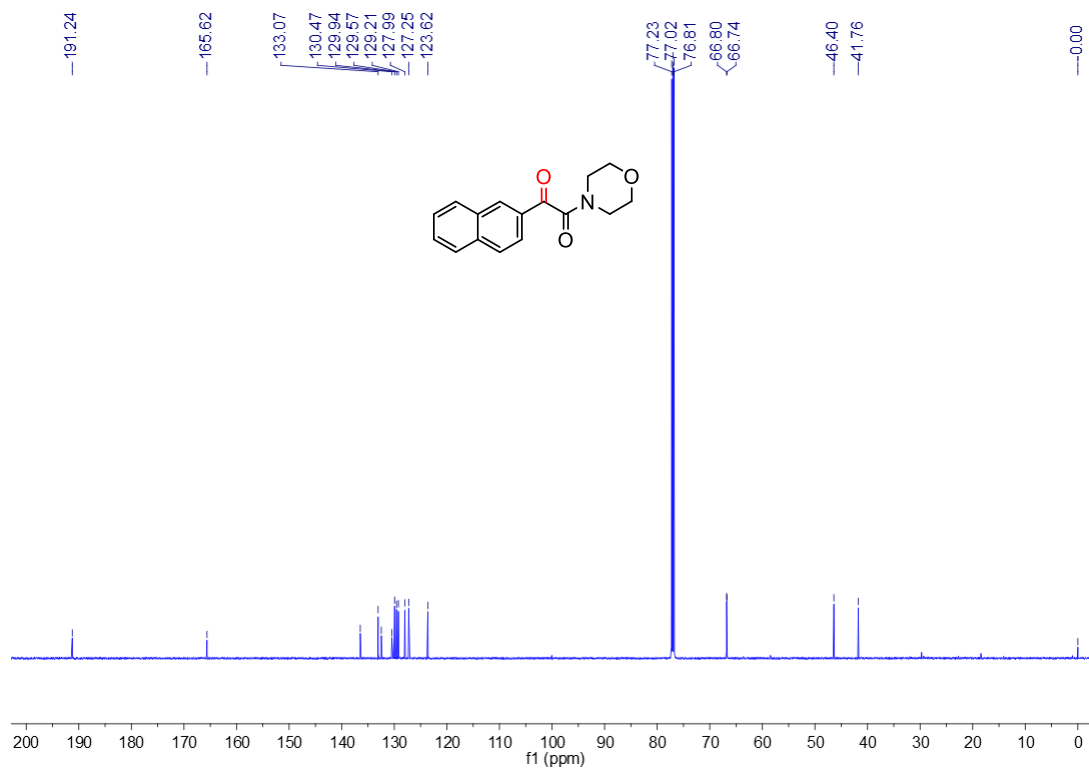
¹H NMR of 3-methylpyridin-2-amine **4**



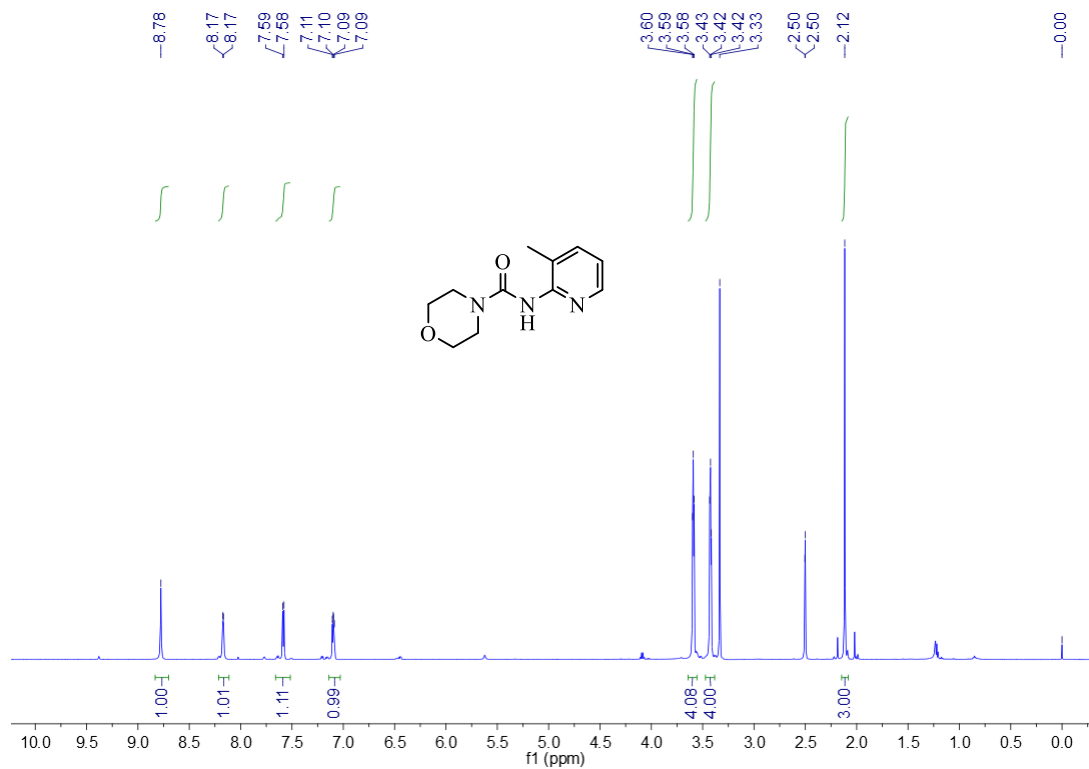
¹H NMR of α -oxoamide **5**



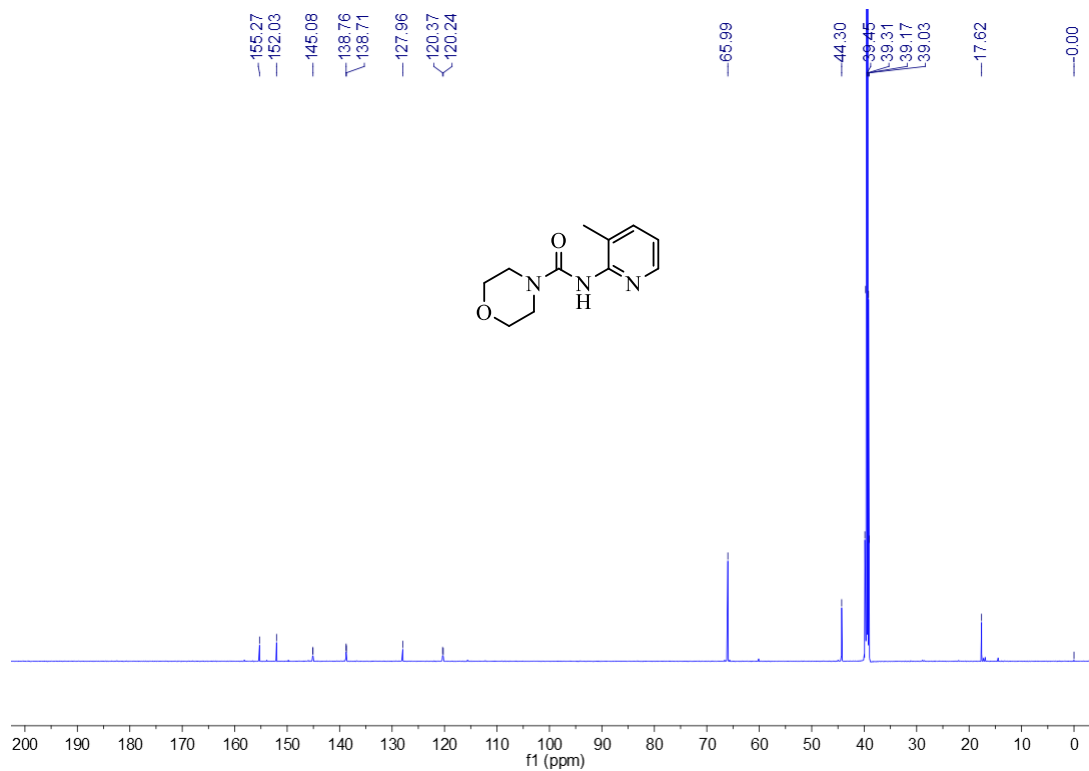
¹³C NMR of α -oxoamide **5**



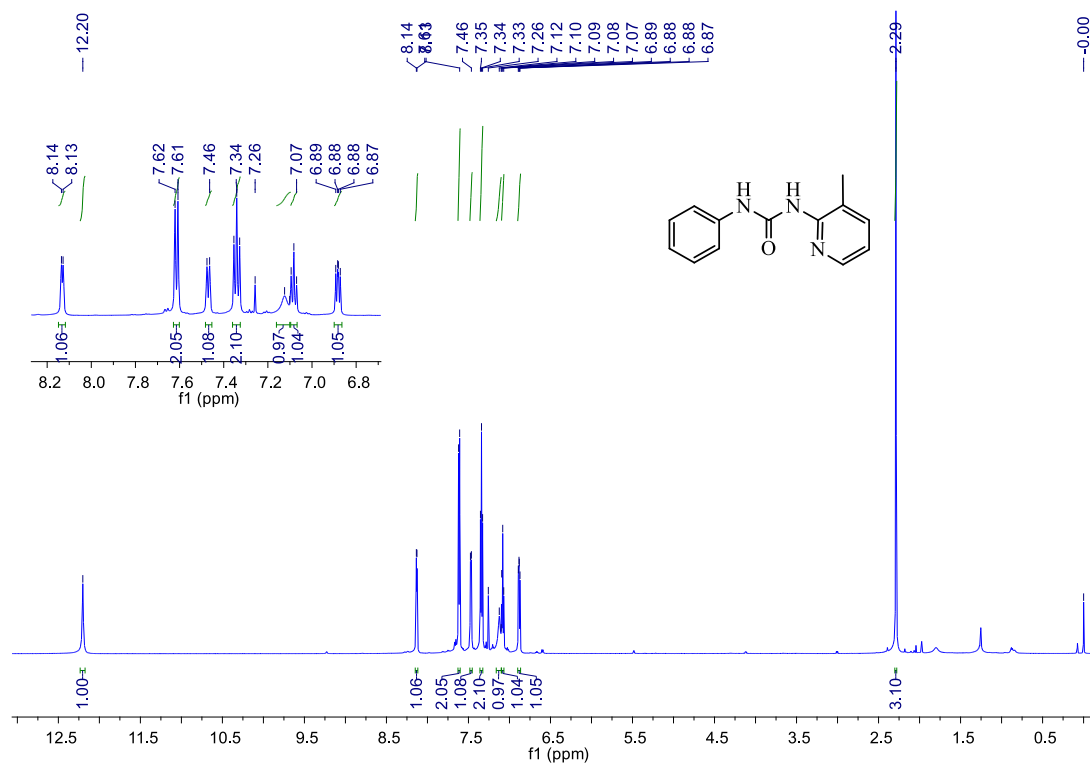
¹H NMR of N-(3-methylpyridin-2-yl)morpholine-4-carboxamide **6a**



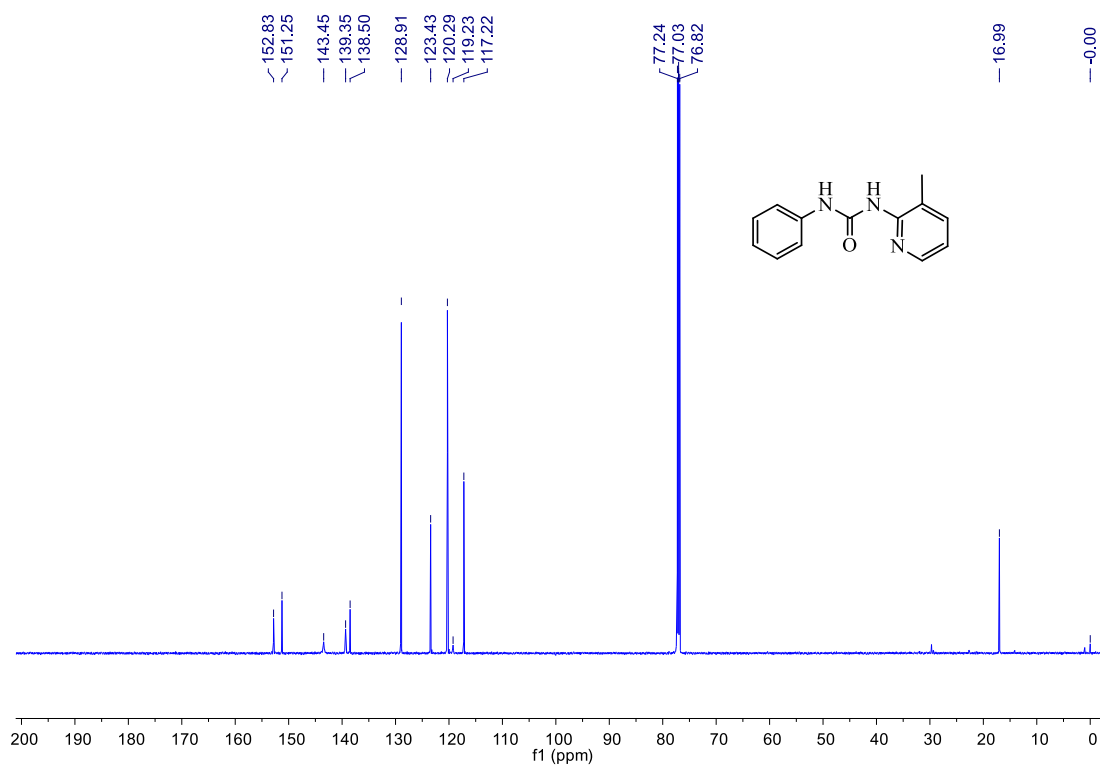
¹³C NMR of N-(3-methylpyridin-2-yl)morpholine-4-carboxamide **6a**



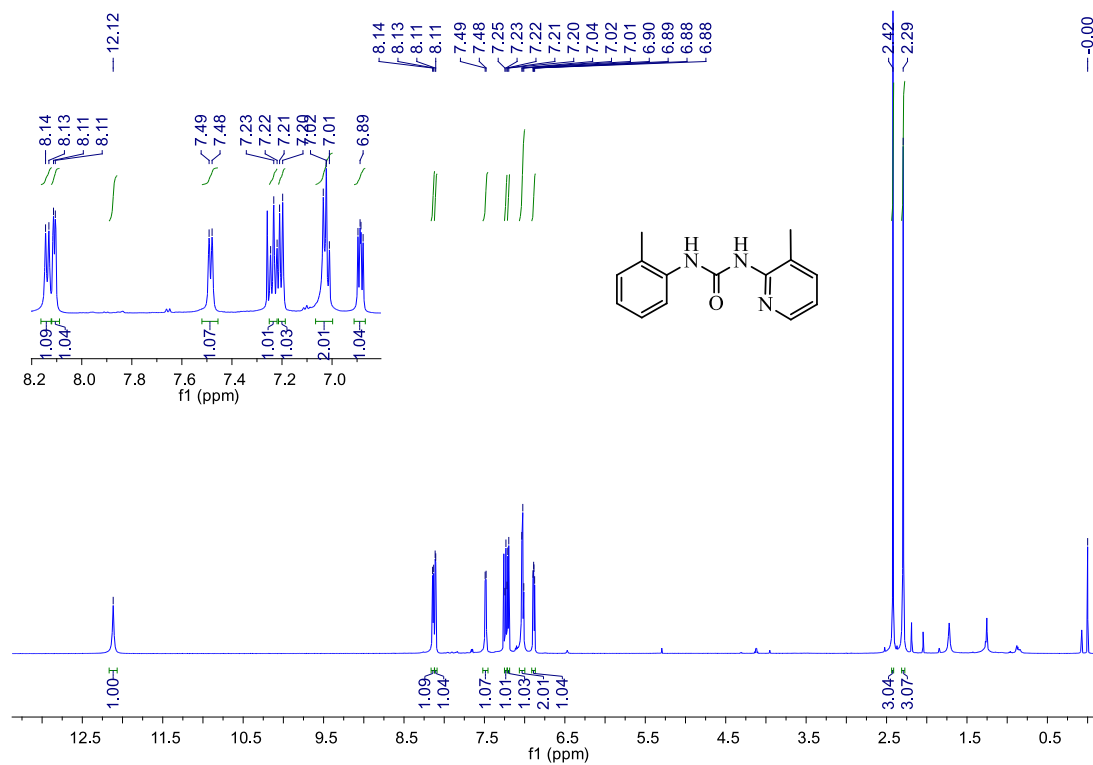
¹H NMR of 1-(3-methylpyridin-2-yl)-3-phenylurea **6b**



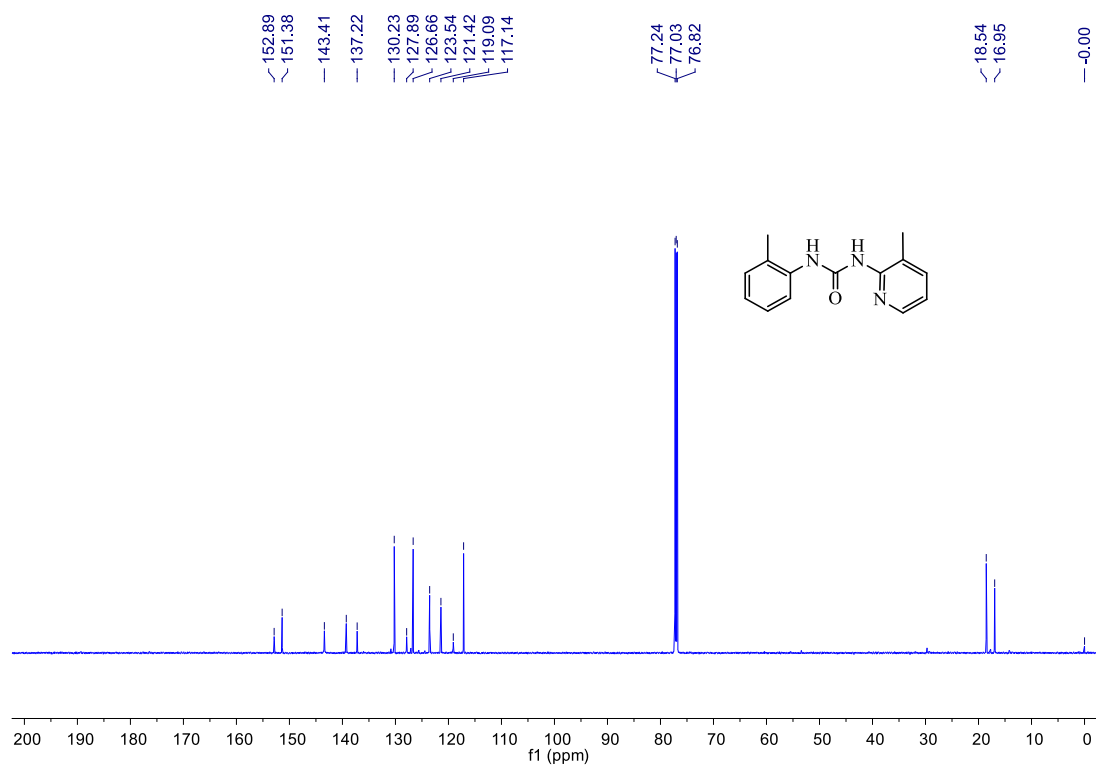
¹³C NMR of 1-(3-methylpyridin-2-yl)-3-phenylurea **6b**



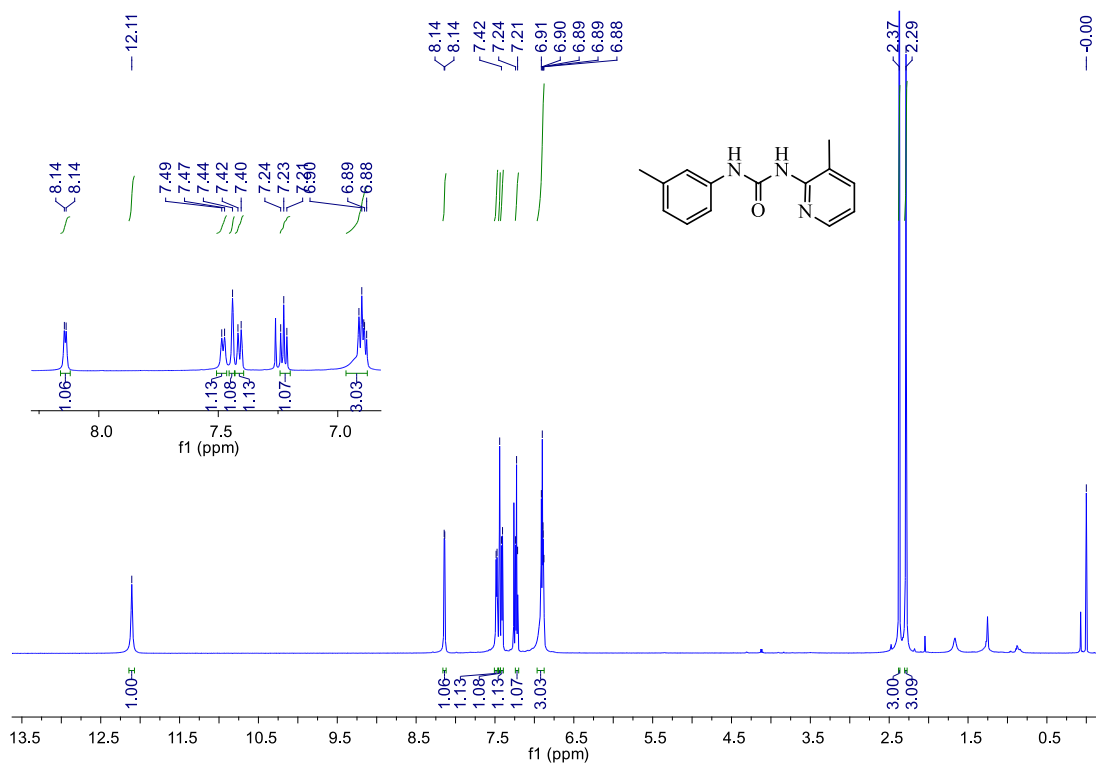
¹H NMR of 1-(3-methylpyridin-2-yl)-3-(o-tolyl)urea **6c**



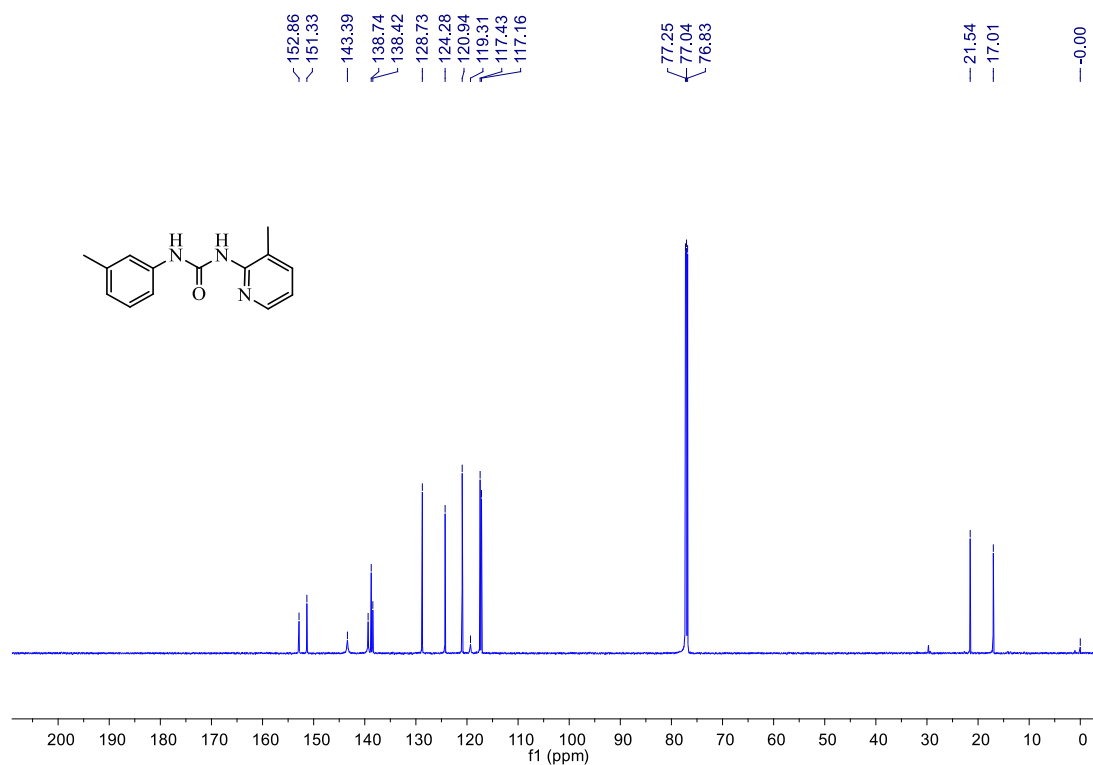
¹³C NMR of 1-(3-methylpyridin-2-yl)-3-(o-tolyl)urea **6c**



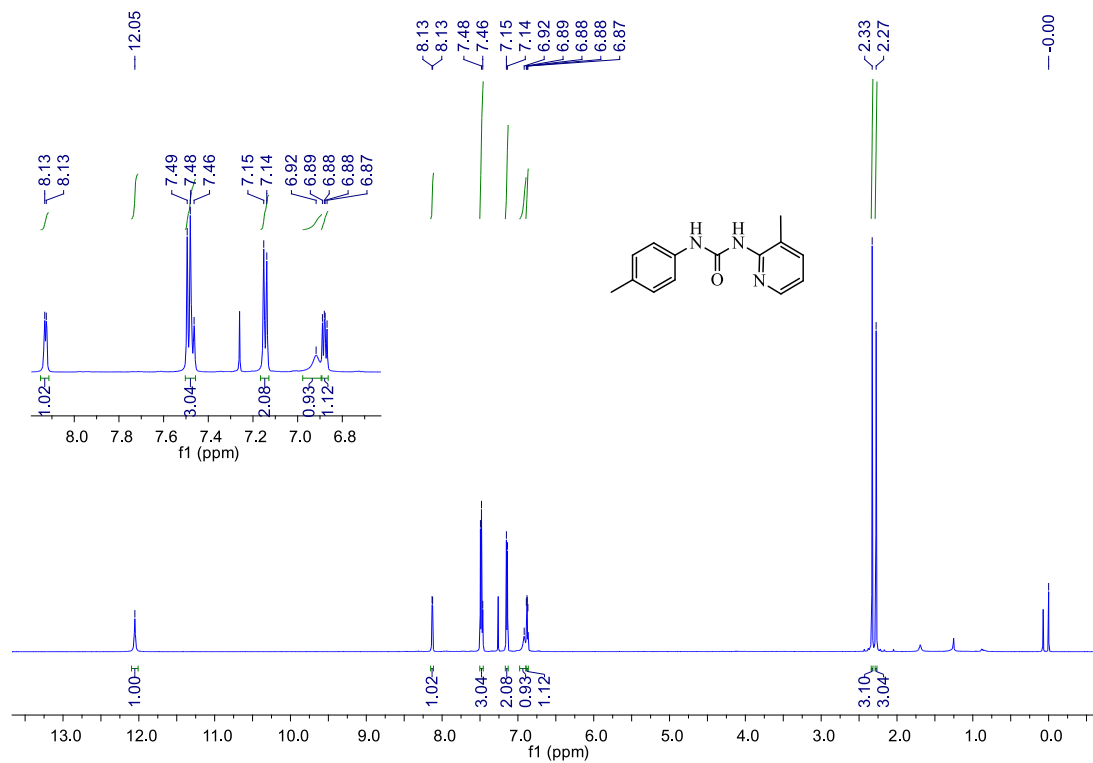
¹H NMR of 1-(3-methylpyridin-2-yl)-3-(m-tolyl)urea **6d**



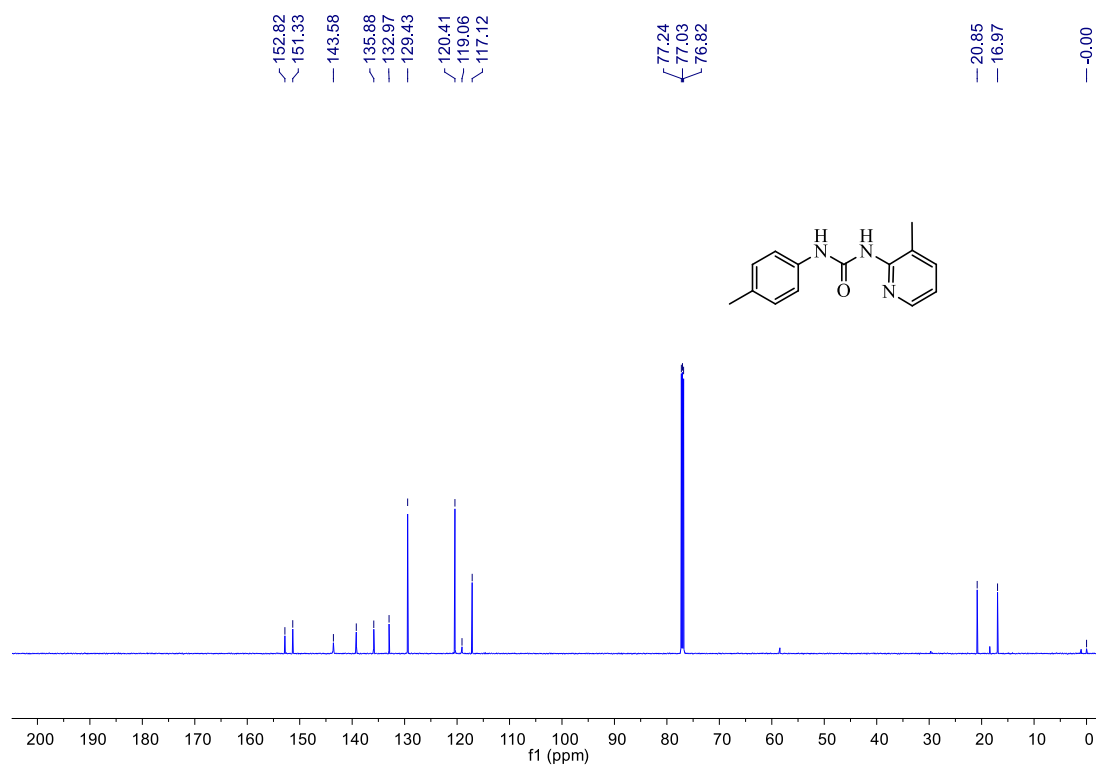
¹³C NMR of 1-(3-methylpyridin-2-yl)-3-(m-tolyl)urea **6d**



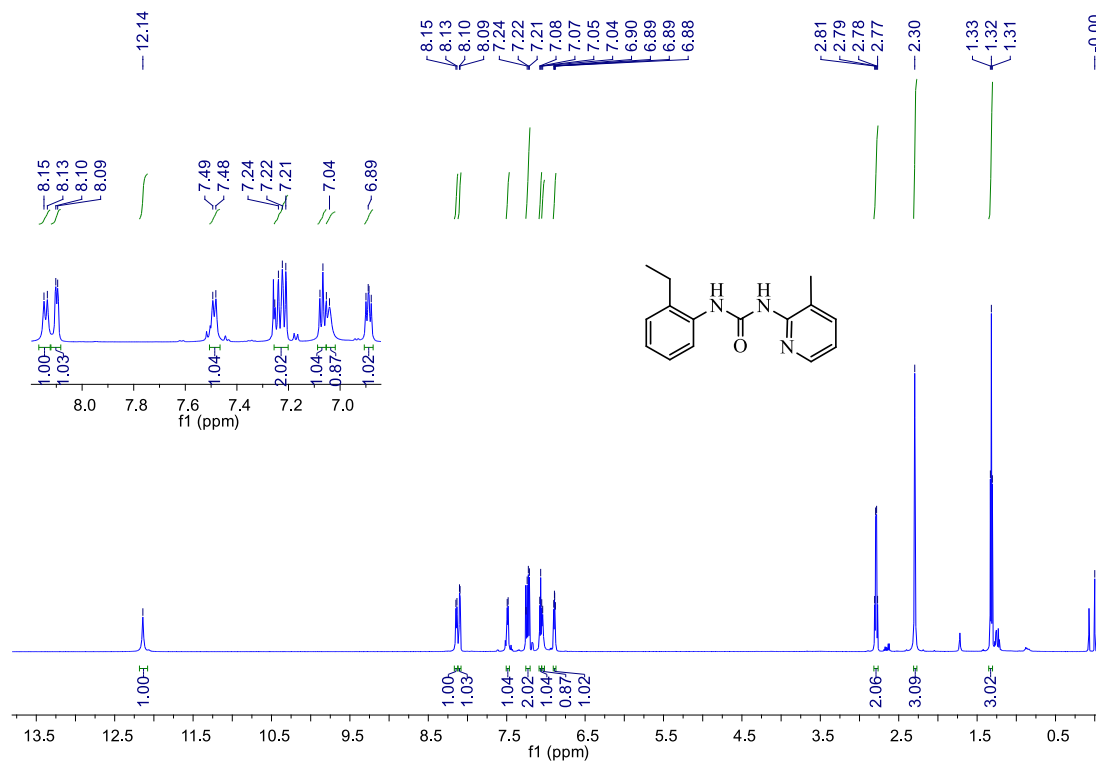
¹H NMR of 1-(3-methylpyridin-2-yl)-3-(p-tolyl)urea **6e**



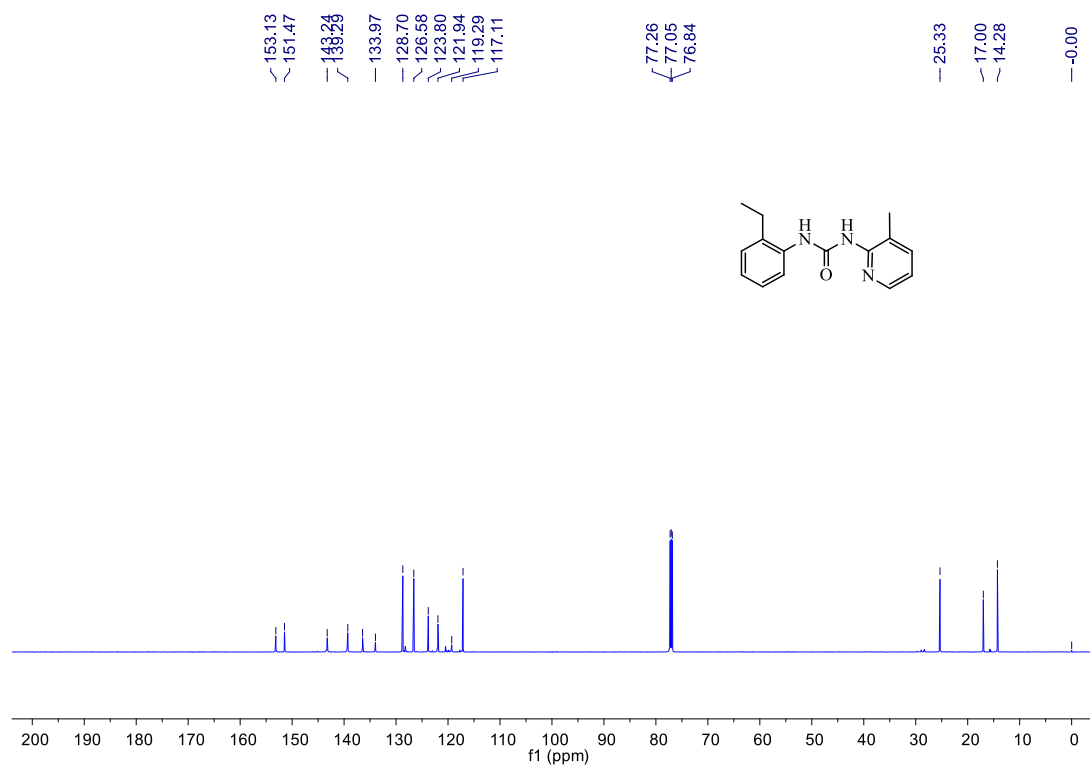
¹³C NMR of 1-(3-methylpyridin-2-yl)-3-(p-tolyl)urea **6e**



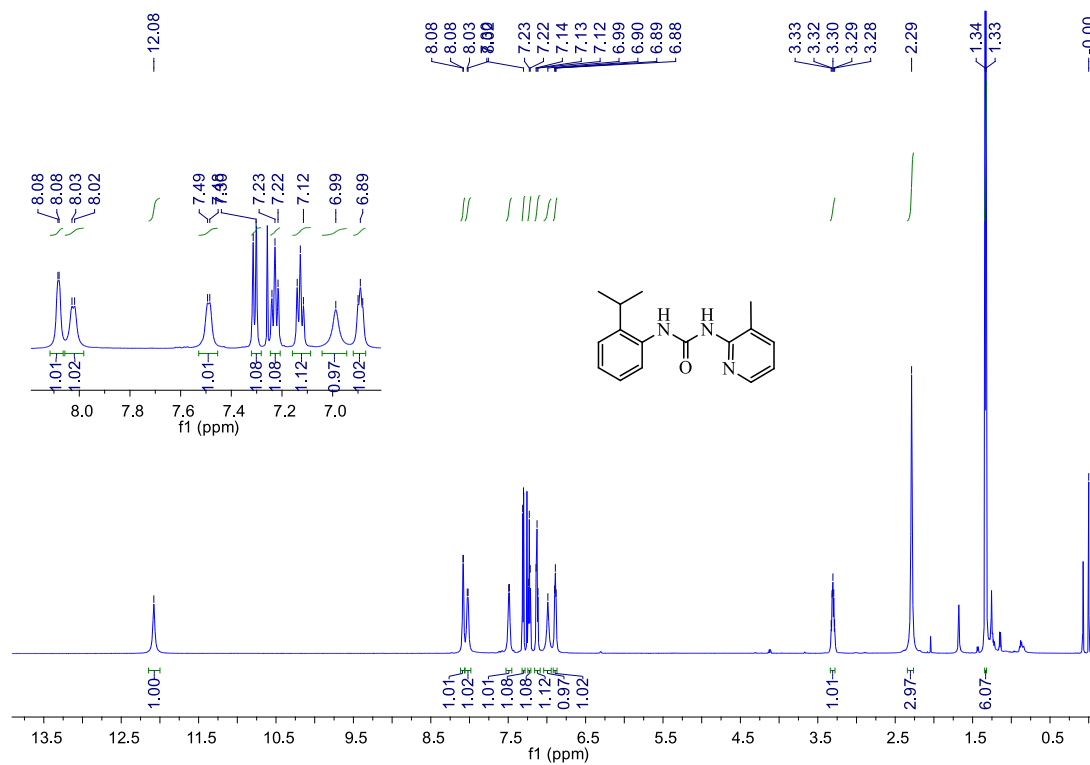
¹H NMR of 1-(2-ethylphenyl)-3-(3-methylpyridin-2-yl)urea **6f**



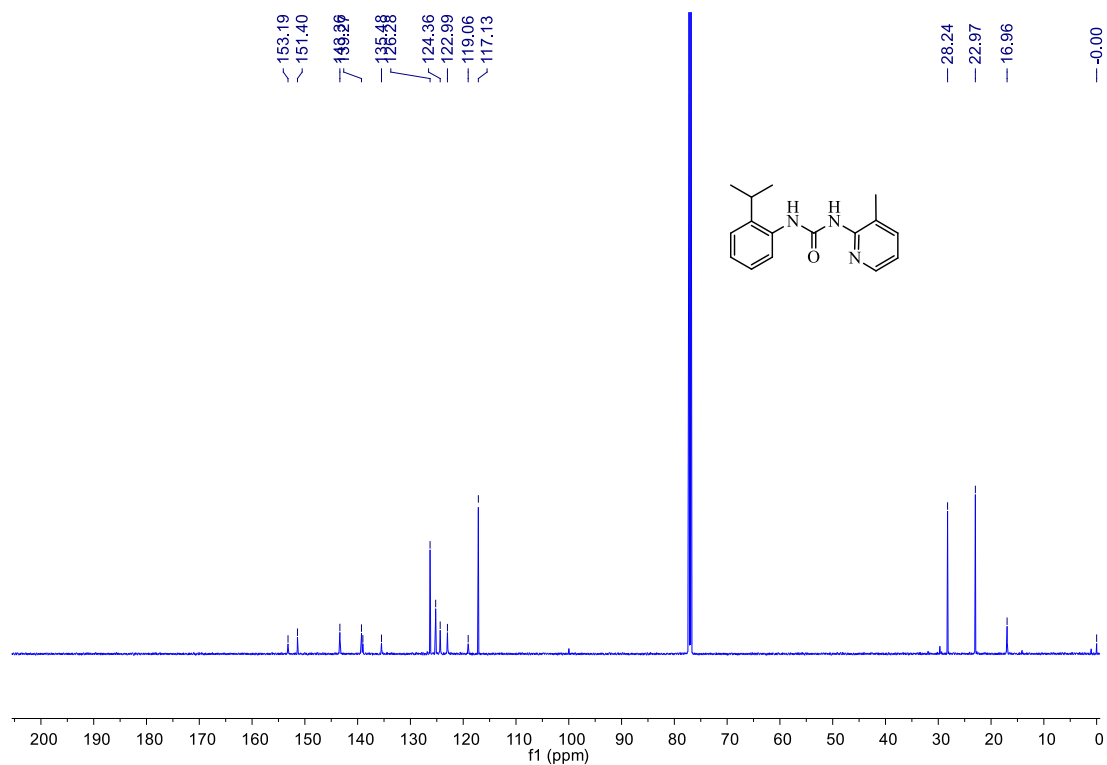
¹³C NMR of 1-(2-ethylphenyl)-3-(3-methylpyridin-2-yl)urea **6f**



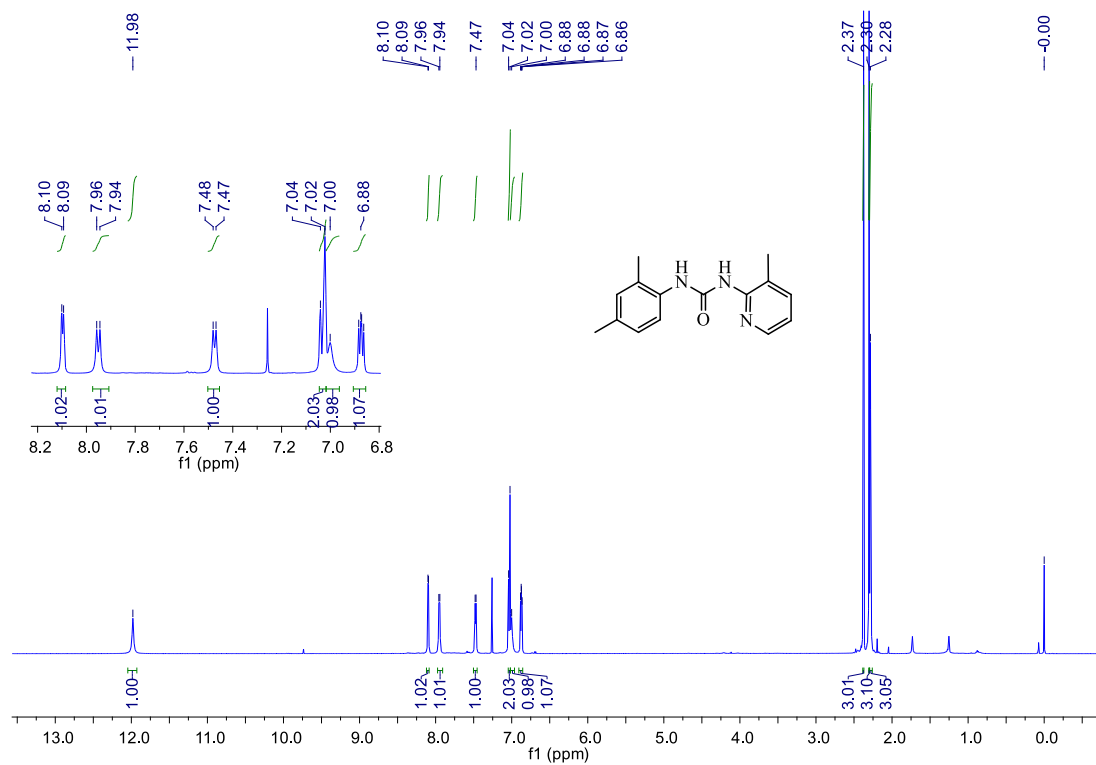
¹H NMR of 1-(2-isopropylphenyl)-3-(3-methylpyridin-2-yl)urea **6g**



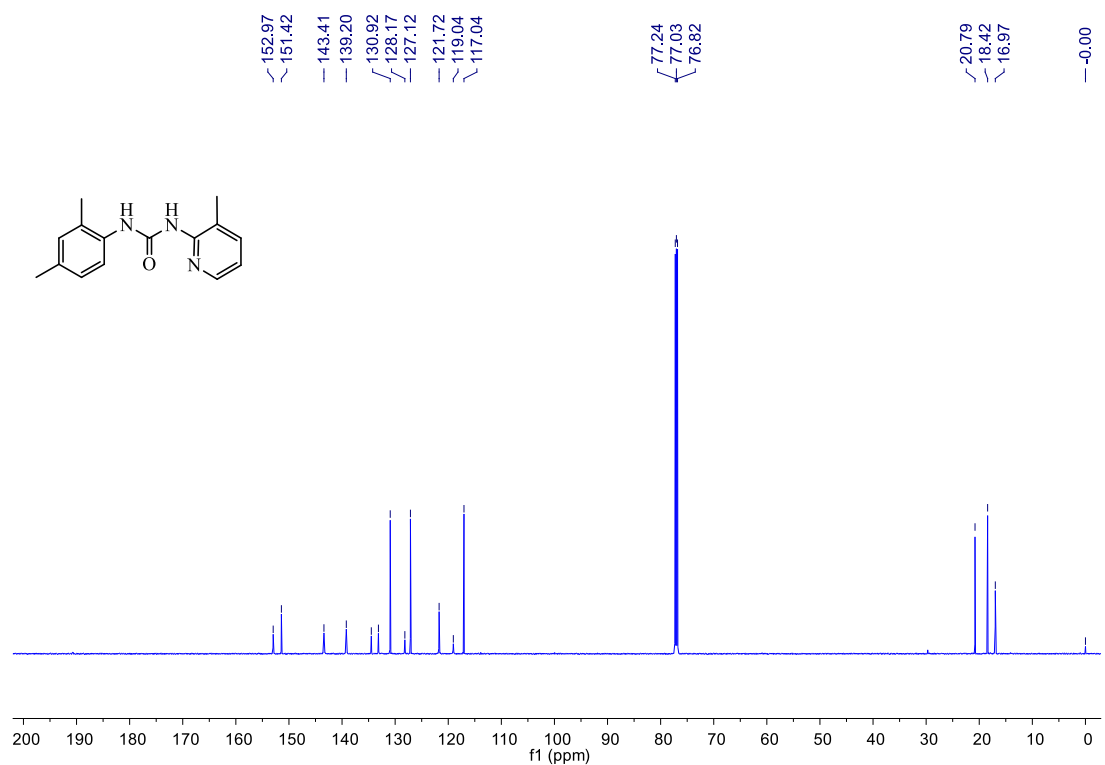
¹³C NMR of 1-(2-isopropylphenyl)-3-(3-methylpyridin-2-yl)urea **6g**



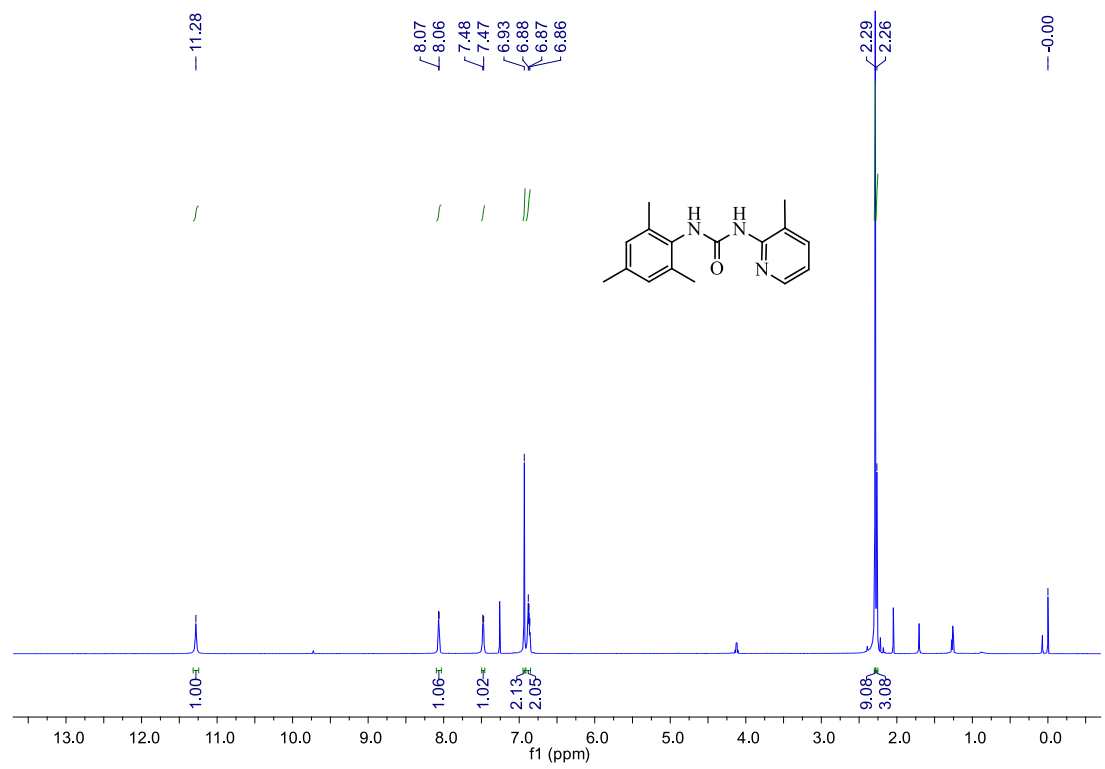
¹H NMR of 1-(2,4-dimethylphenyl)-3-(3-methylpyridin-2-yl)urea **6h**



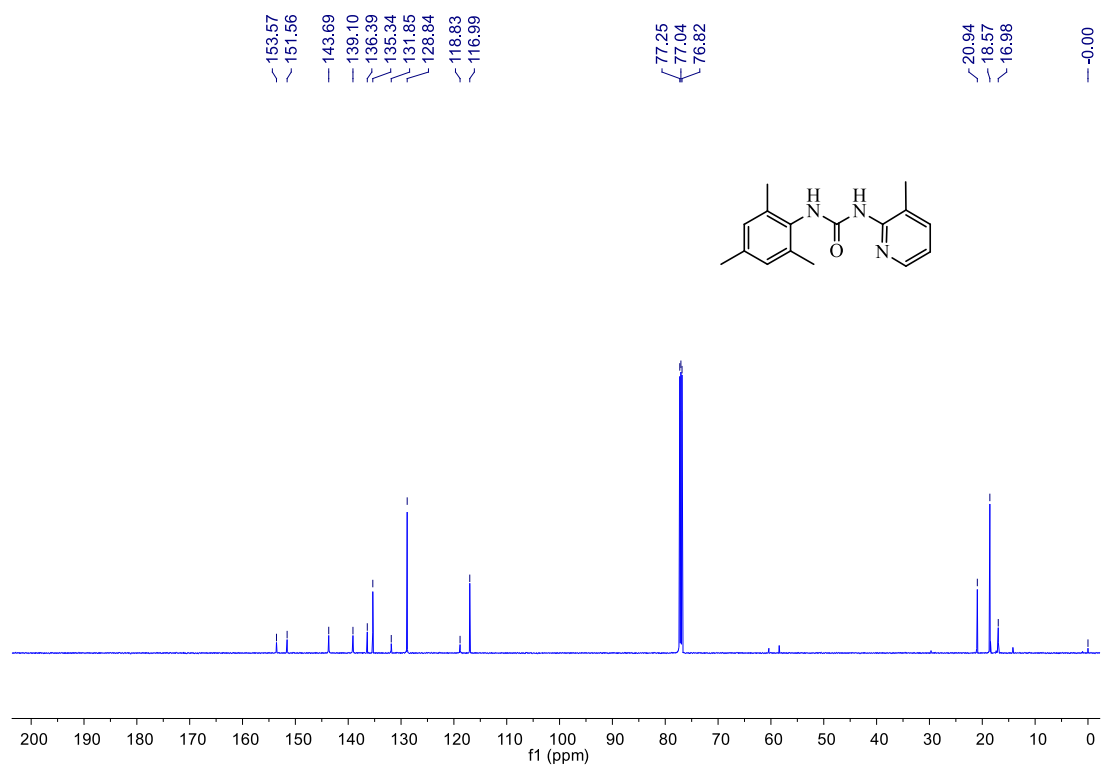
¹³C NMR of 1-(2,4-dimethylphenyl)-3-(3-methylpyridin-2-yl)urea **6h**



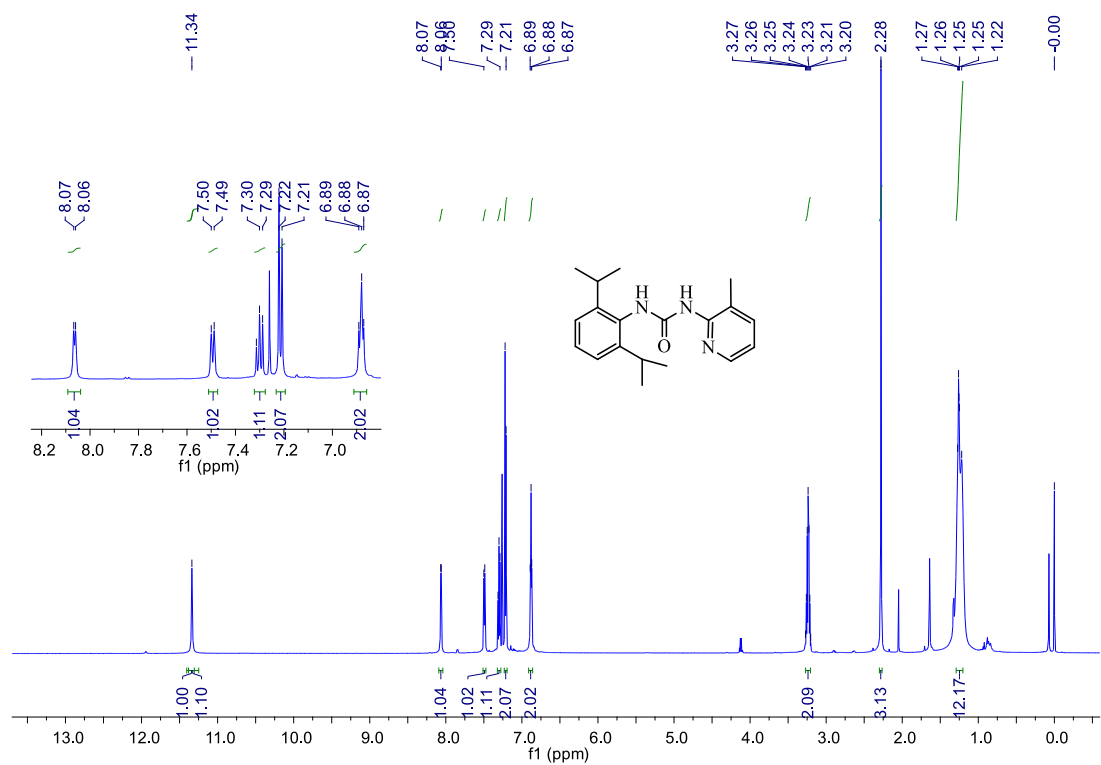
¹H NMR of 1-mesityl-3-(3-methylpyridin-2-yl)urea **6i**



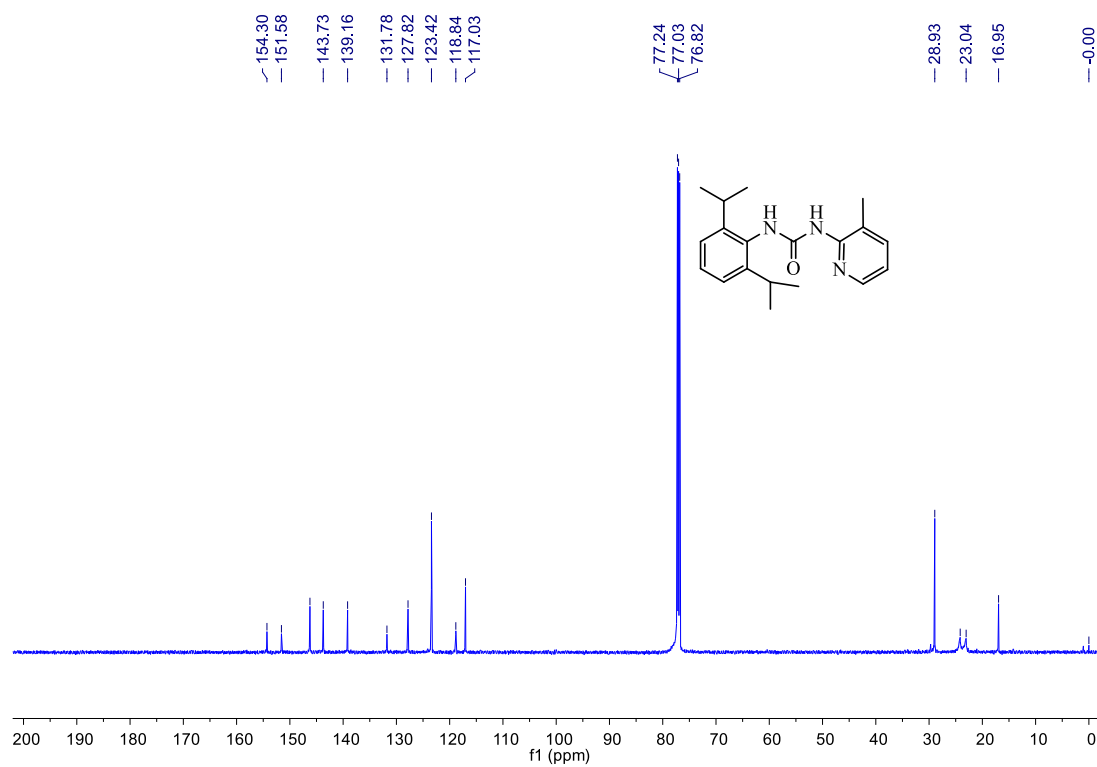
¹³C NMR of 1-mesityl-3-(3-methylpyridin-2-yl)urea **6i**



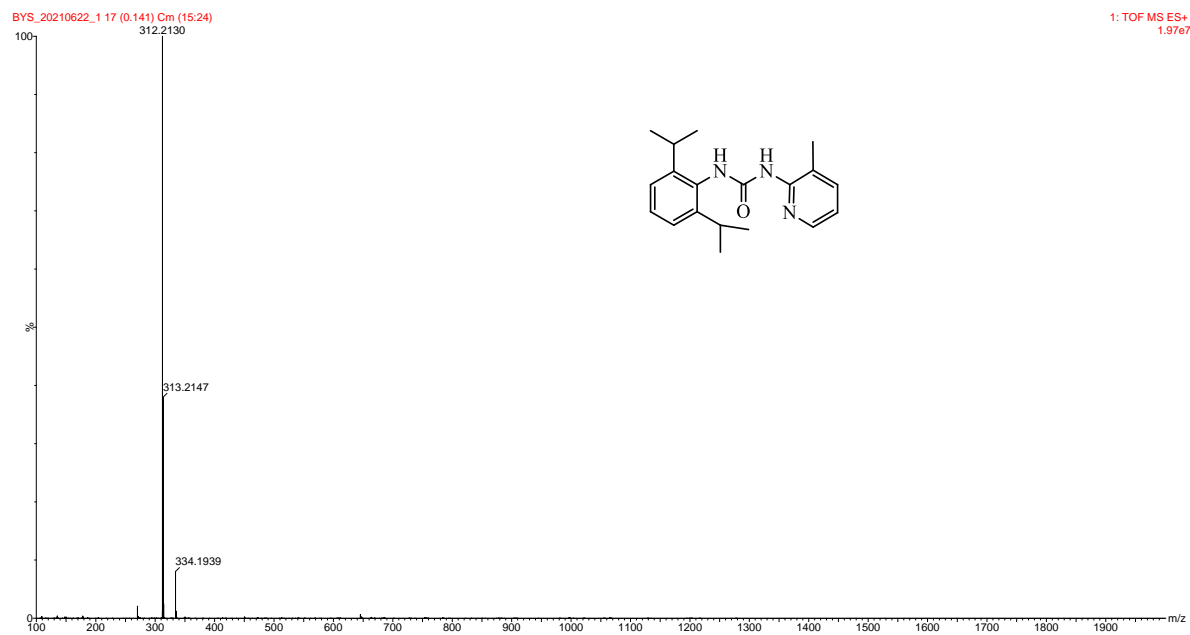
¹H NMR of 1-(2,6-diisopropylphenyl)-3-(3-methylpyridin-2-yl)urea **6j**



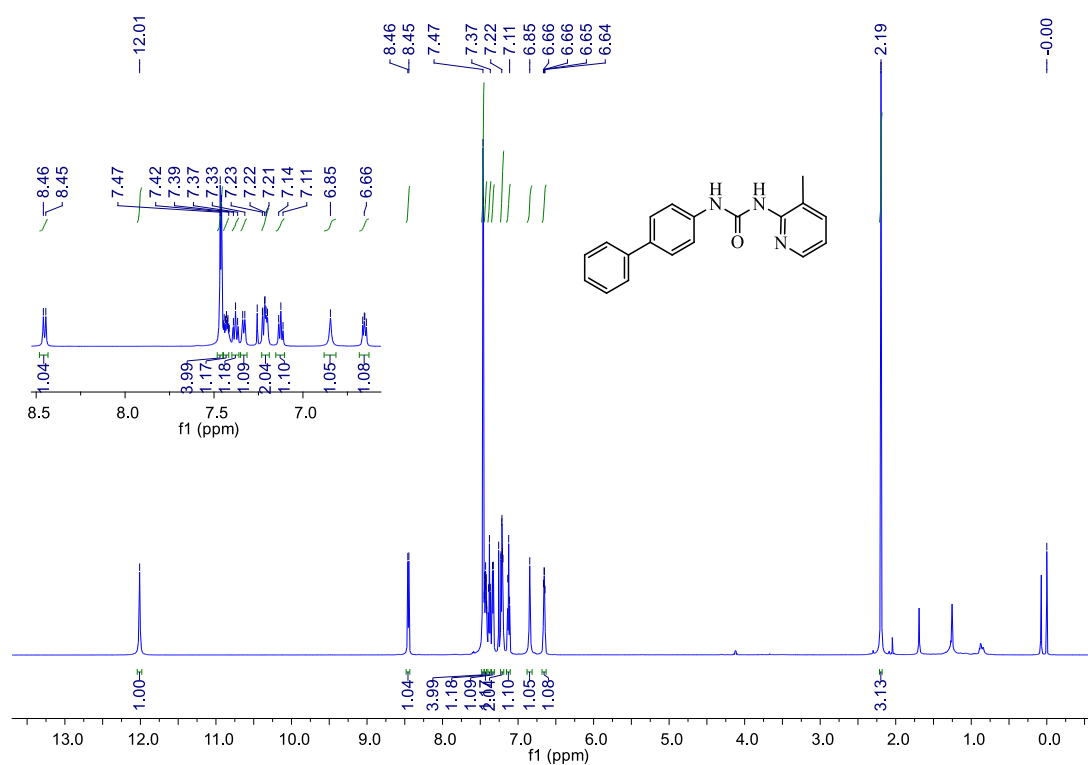
^{13}C NMR of 1-(2,6-diisopropylphenyl)-3-(3-methylpyridin-2-yl)urea **6j**



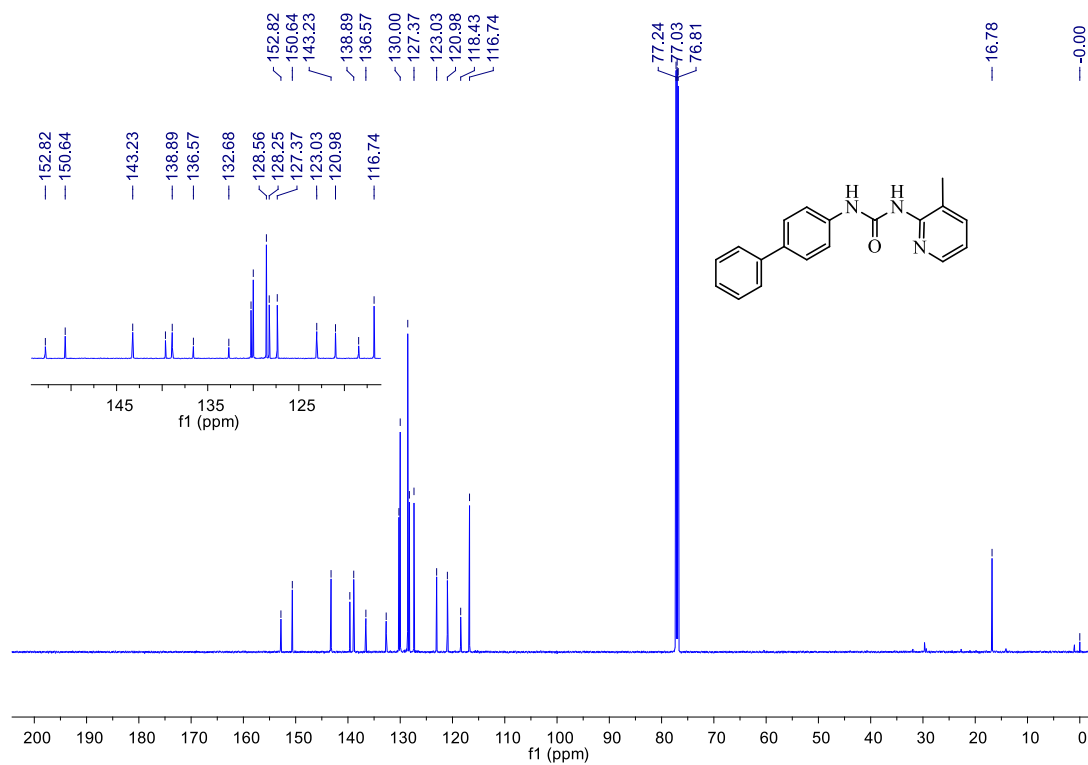
HRMS (ESI) of 1-(2,6-diisopropylphenyl)-3-(3-methylpyridin-2-yl)urea **6j**



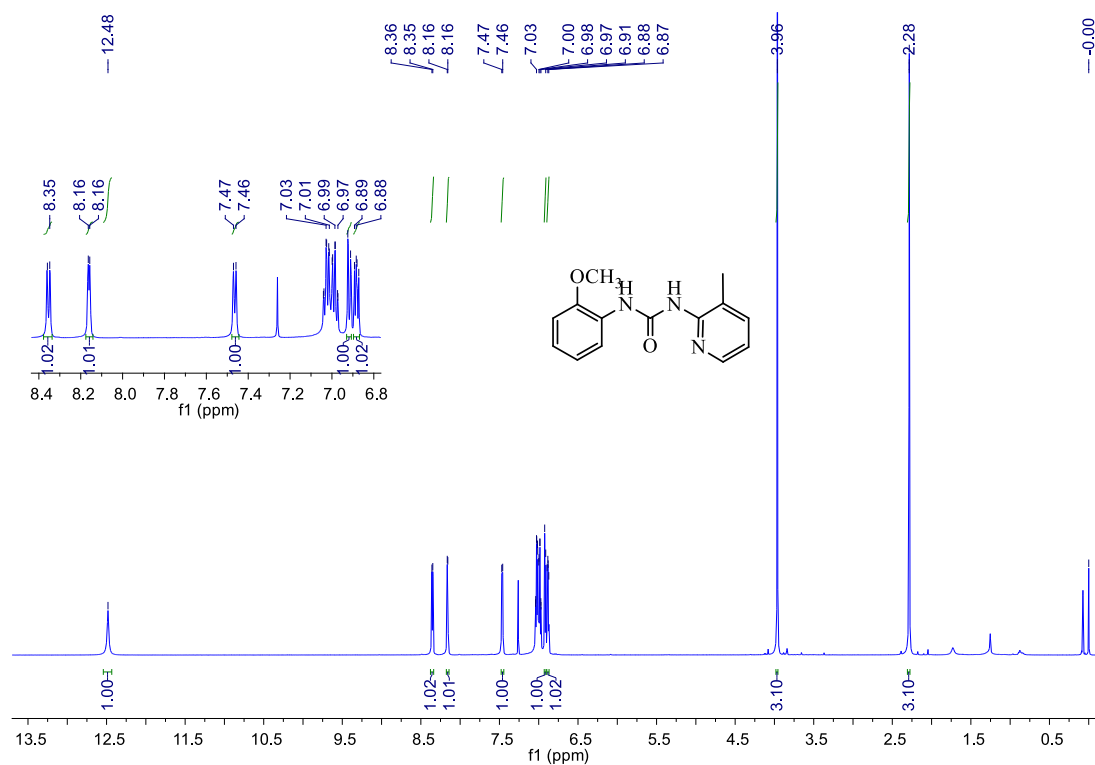
¹H NMR of 1-([1,1'-biphenyl]-4-yl)-3-(3-methylpyridin-2-yl)urea **6k**



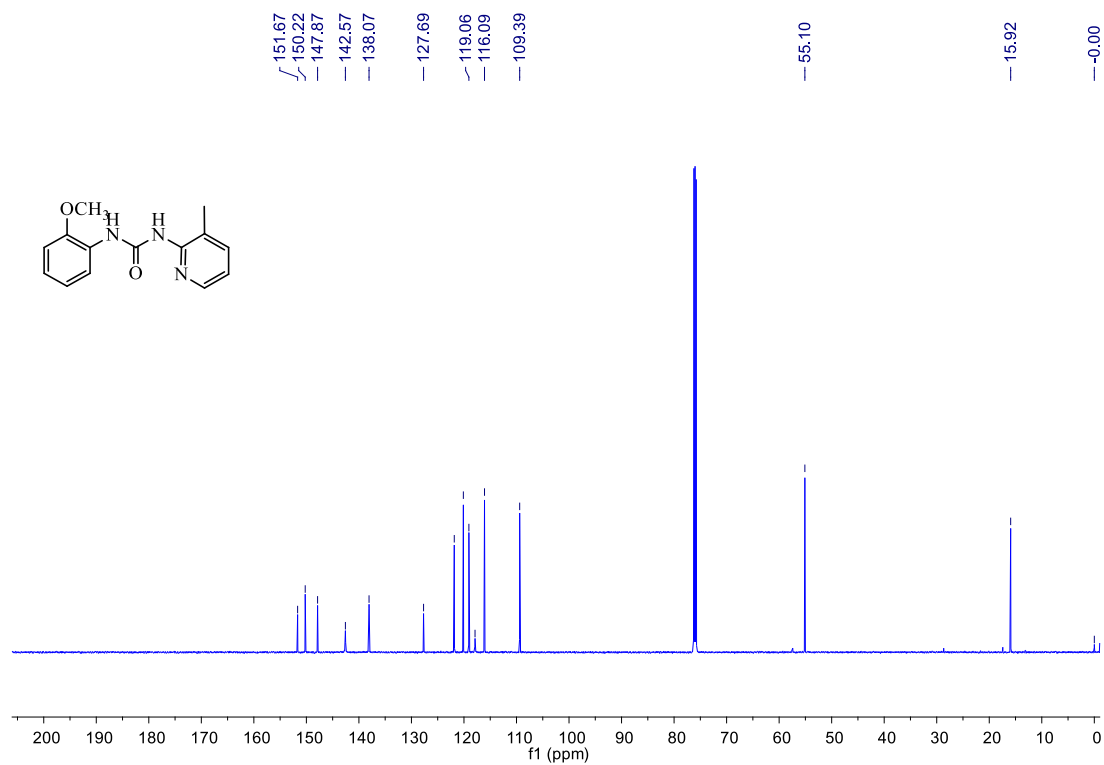
¹³C NMR of 1-([1,1'-biphenyl]-4-yl)-3-(3-methylpyridin-2-yl)urea **6k**



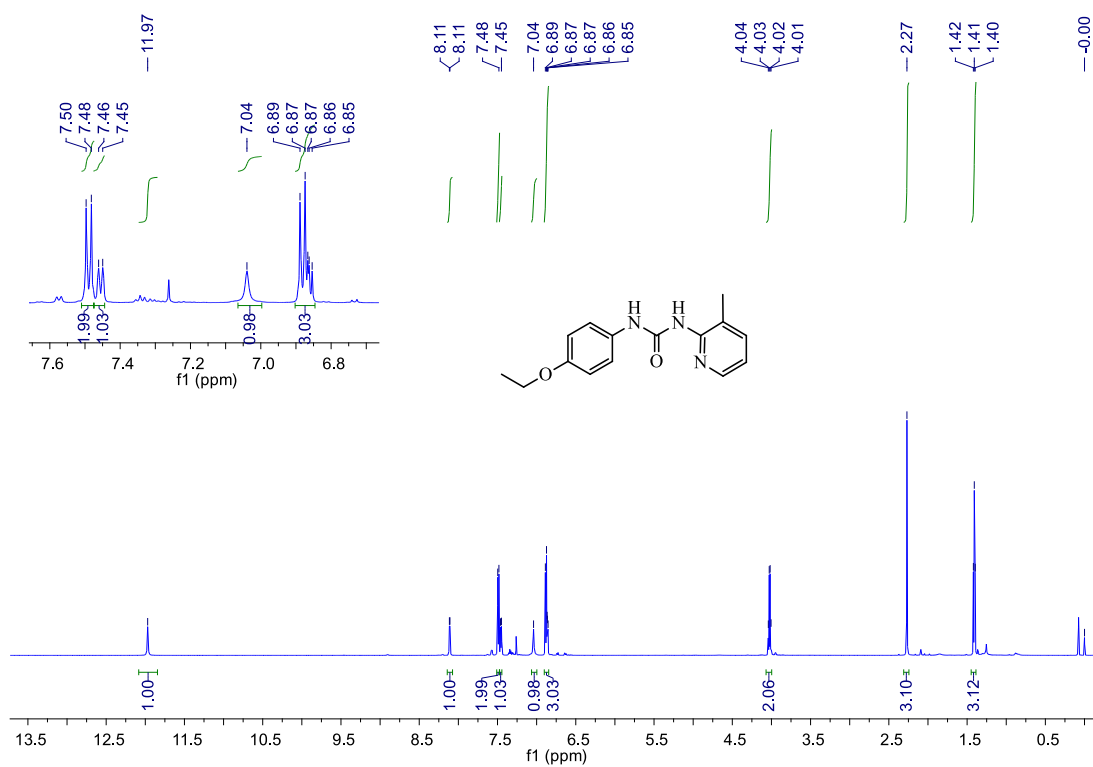
¹H NMR of 1-(2-methoxyphenyl)-3-(3-methylpyridin-2-yl)urea **61**



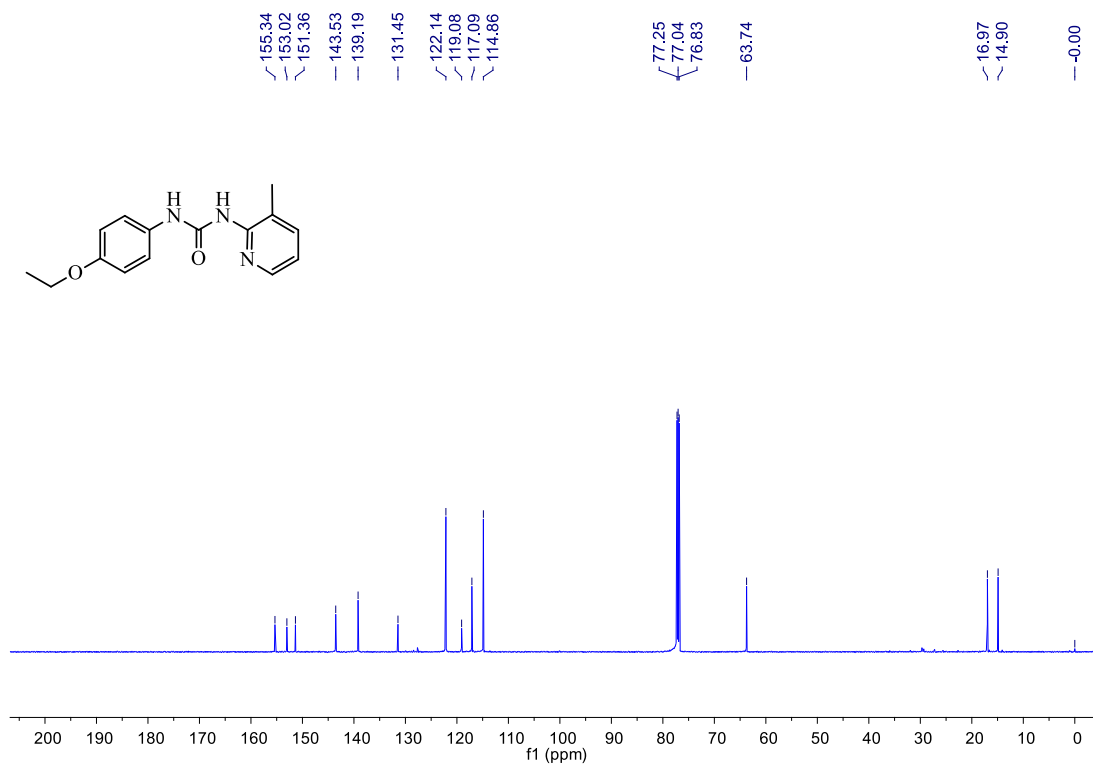
¹³C NMR of 1-(2-methoxyphenyl)-3-(3-methylpyridin-2-yl)urea **61**



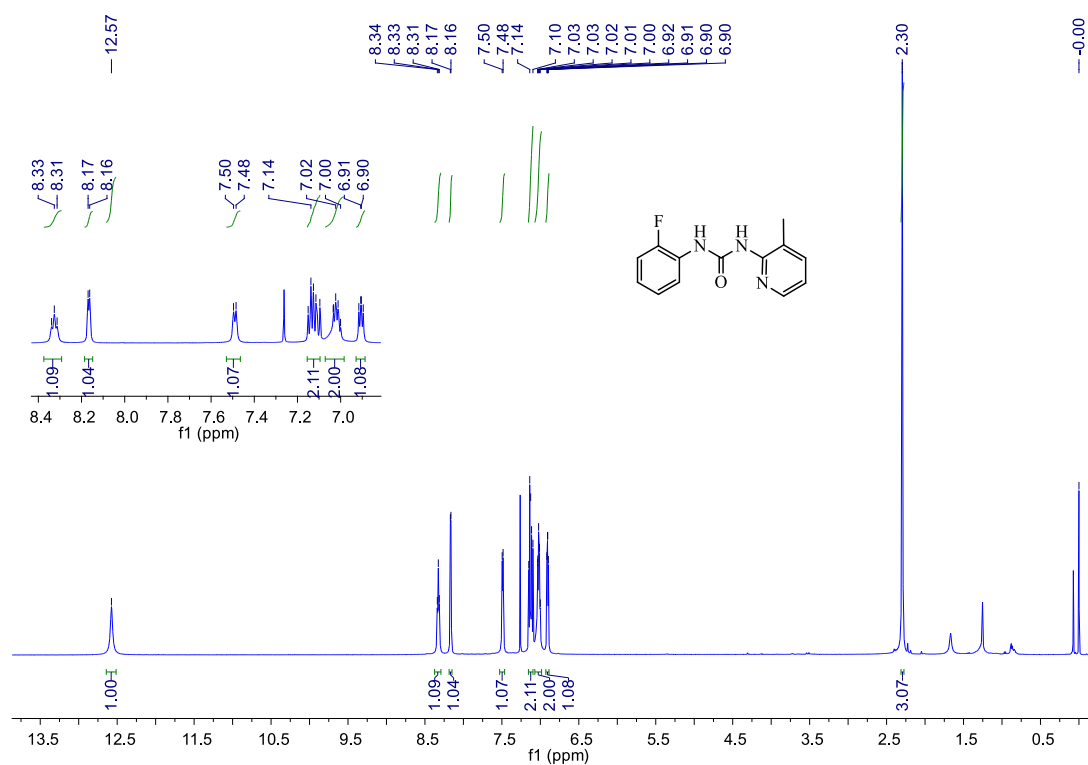
¹H NMR of 1-(4-ethoxyphenyl)-3-(3-methylpyridin-2-yl)urea **6m**



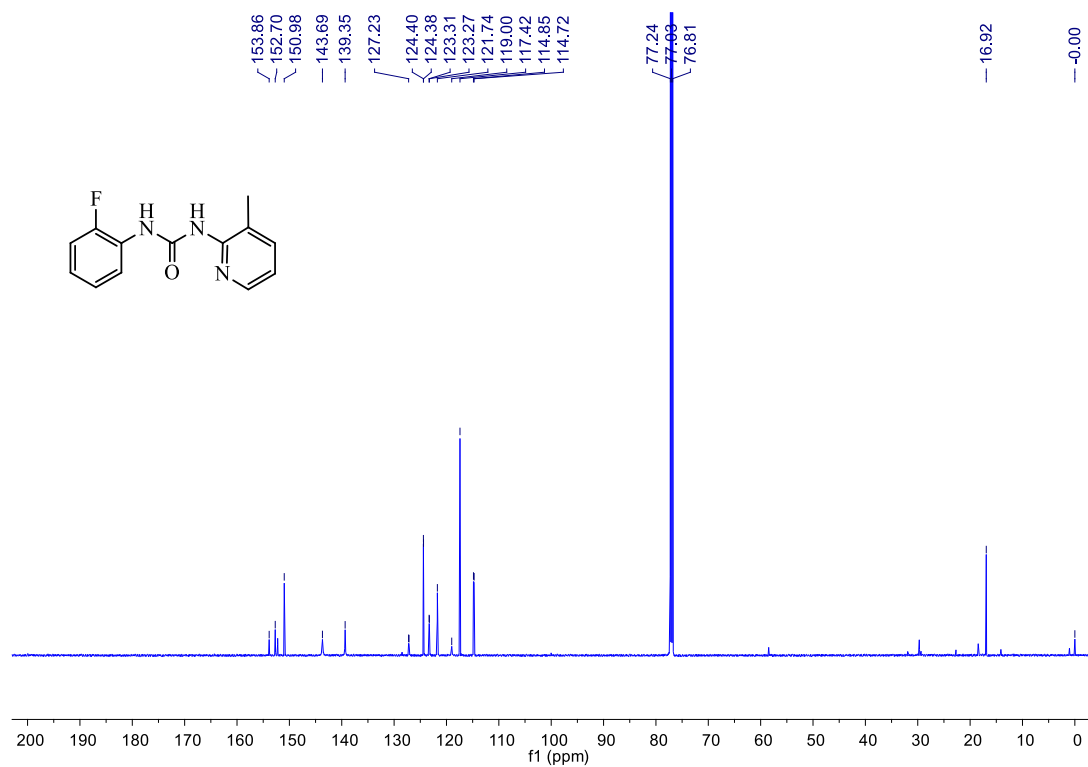
¹³C NMR of 1-(4-ethoxyphenyl)-3-(3-methylpyridin-2-yl)urea **6m**



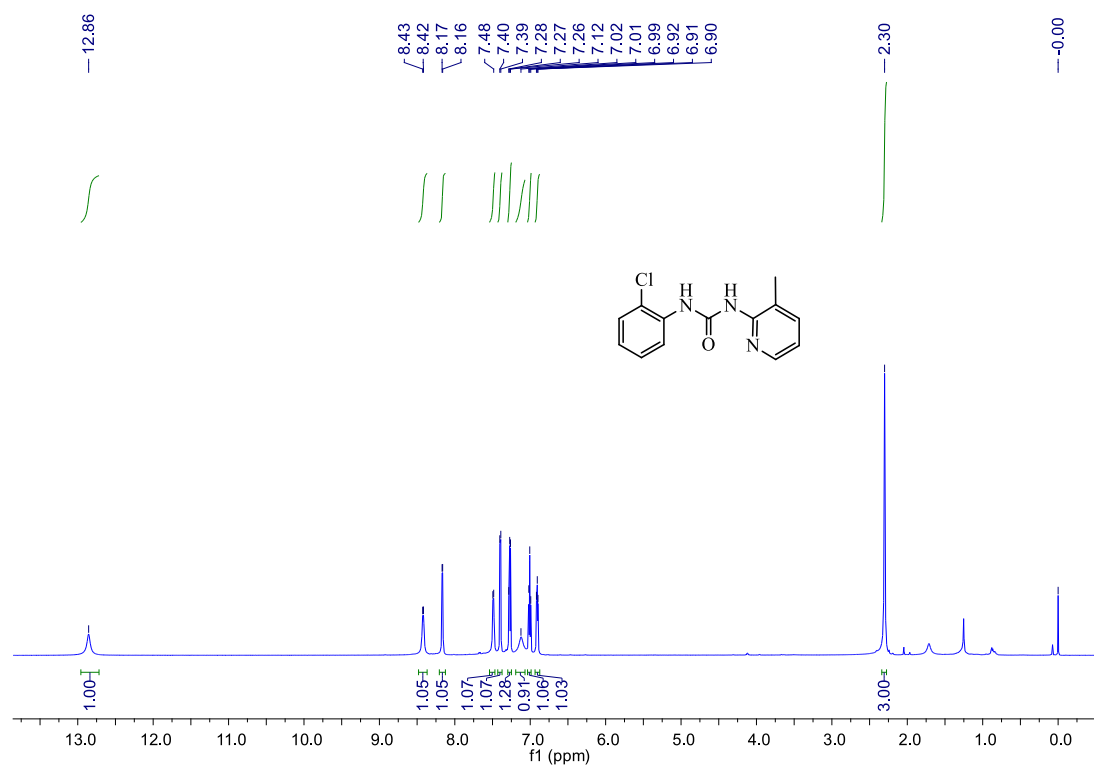
¹H NMR of 1-(2-fluorophenyl)-3-(3-methylpyridin-2-yl)urea **6n**



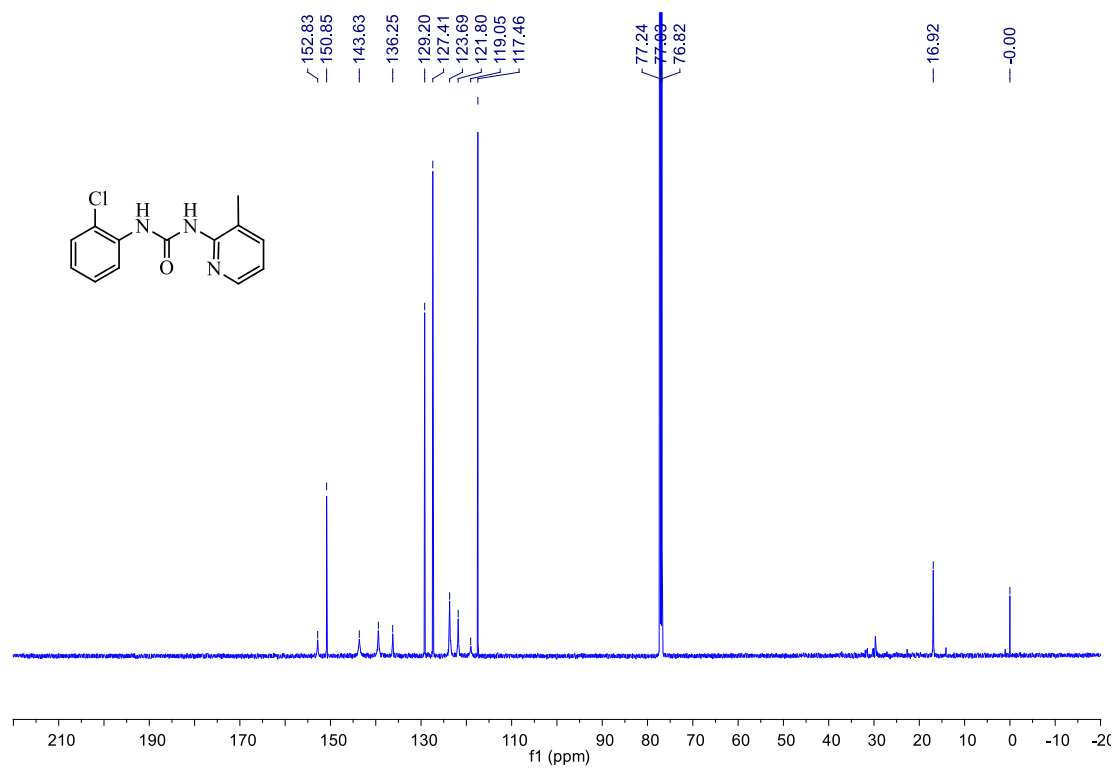
¹³C NMR of 1-(2-fluorophenyl)-3-(3-methylpyridin-2-yl)urea **6n**



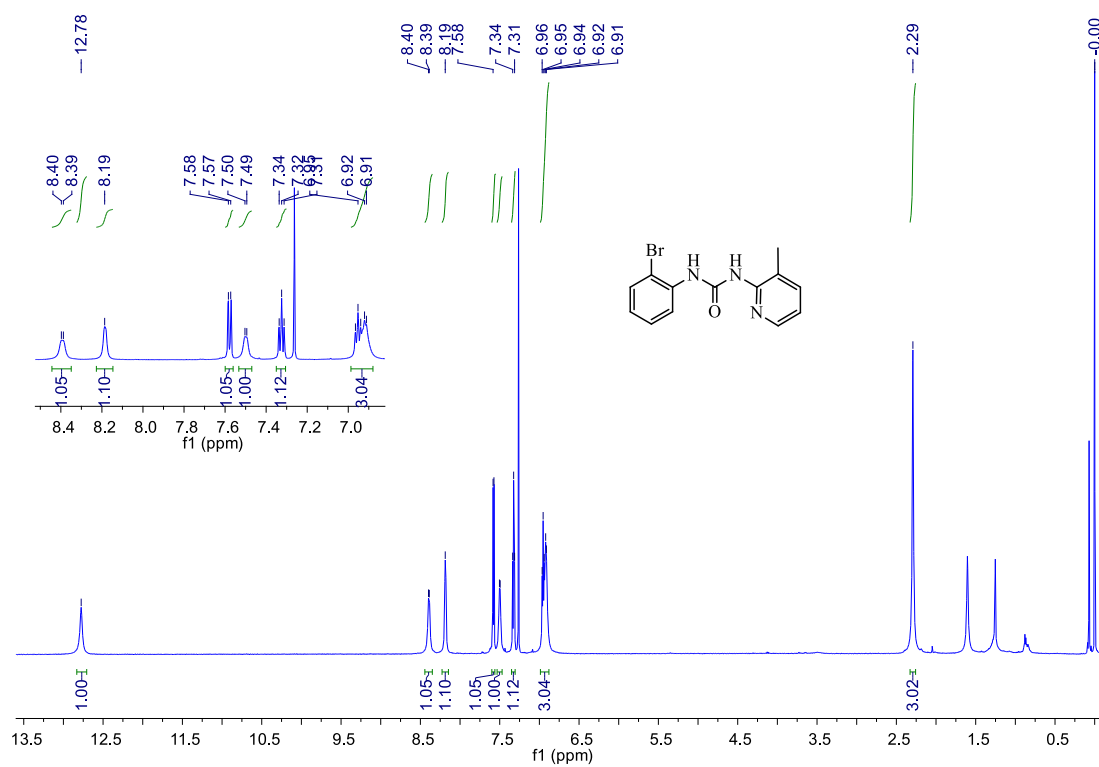
¹H NMR of 1-(2-chlorophenyl)-3-(3-methylpyridin-2-yl)urea **60**



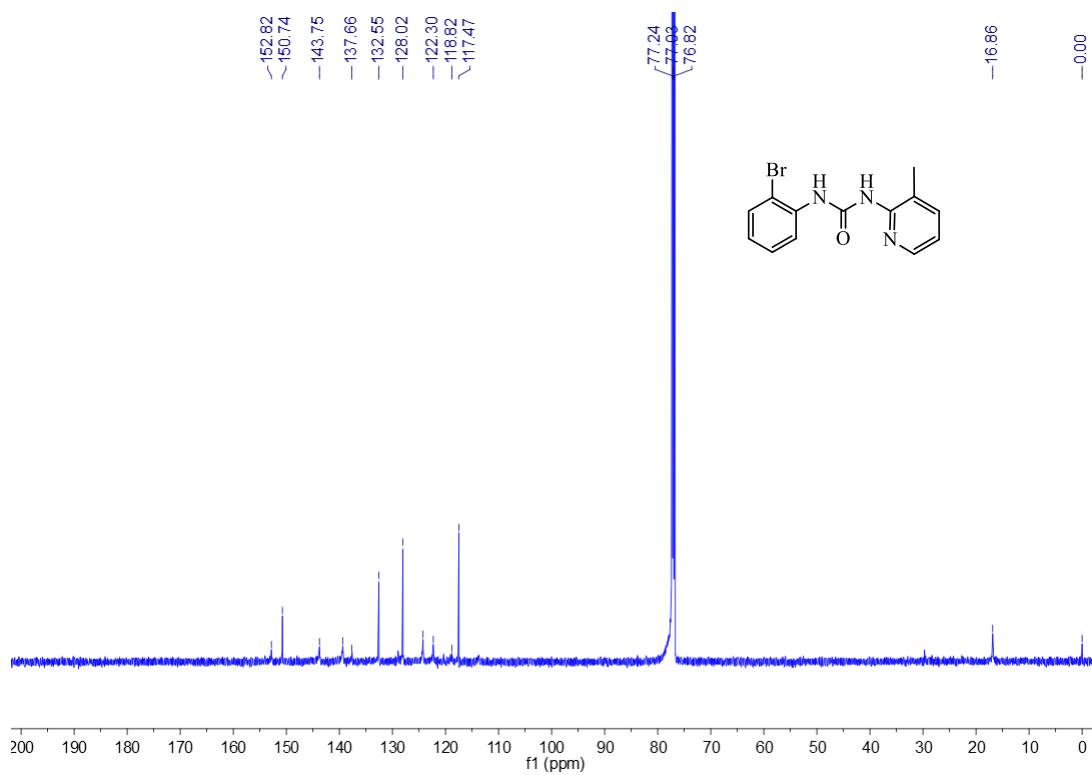
¹³C NMR of 1-(2-chlorophenyl)-3-(3-methylpyridin-2-yl)urea **60**



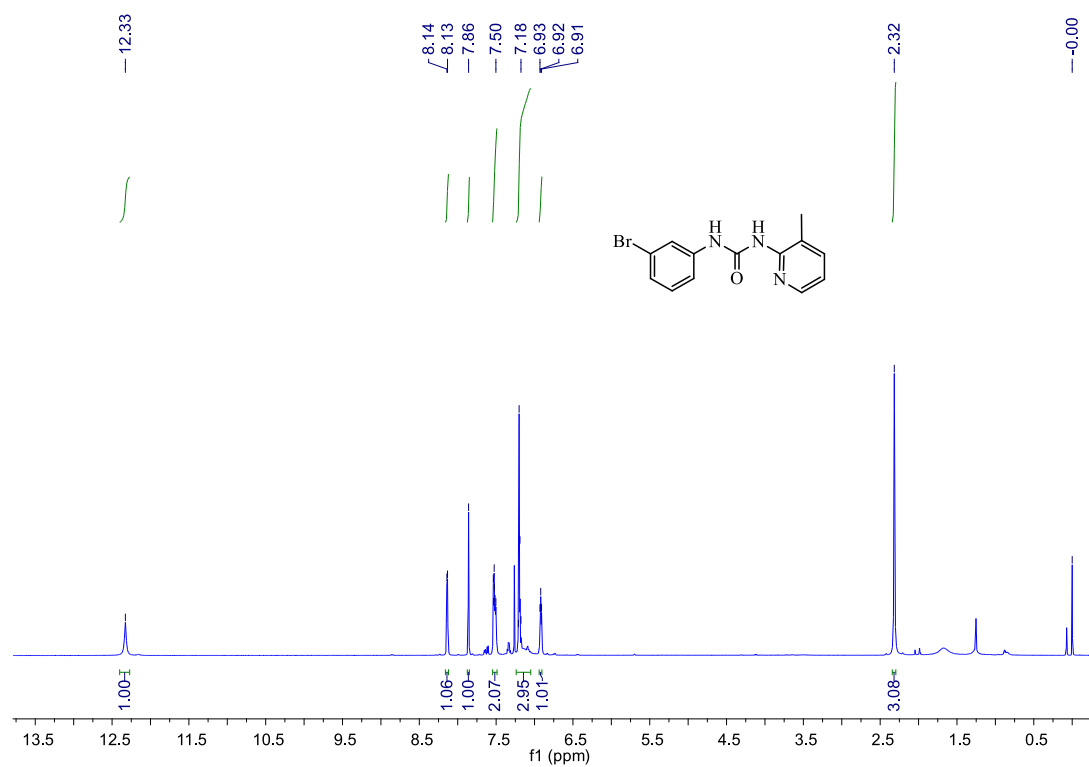
¹H NMR of 1-(2-bromophenyl)-3-(3-methylpyridin-2-yl)urea **6p**



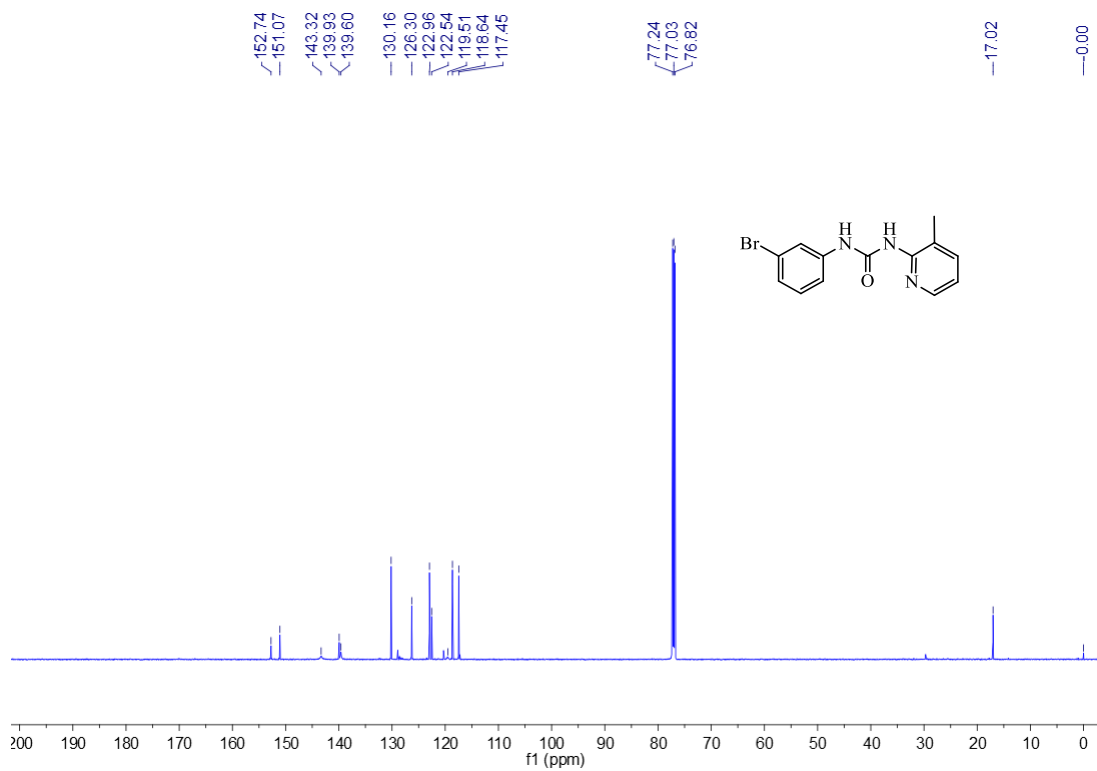
¹³C NMR of 1-(2-bromophenyl)-3-(3-methylpyridin-2-yl)urea **6p**



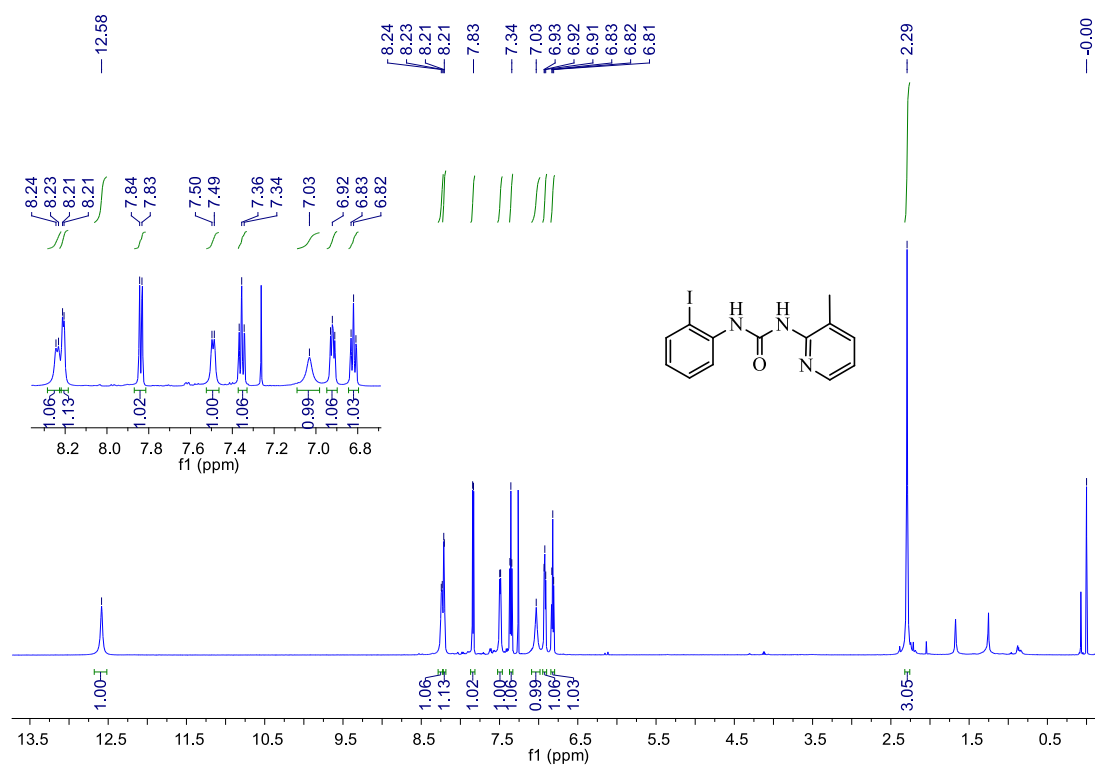
¹H NMR of 1-(3-bromophenyl)-3-(3-methylpyridin-2-yl)urea **6q**



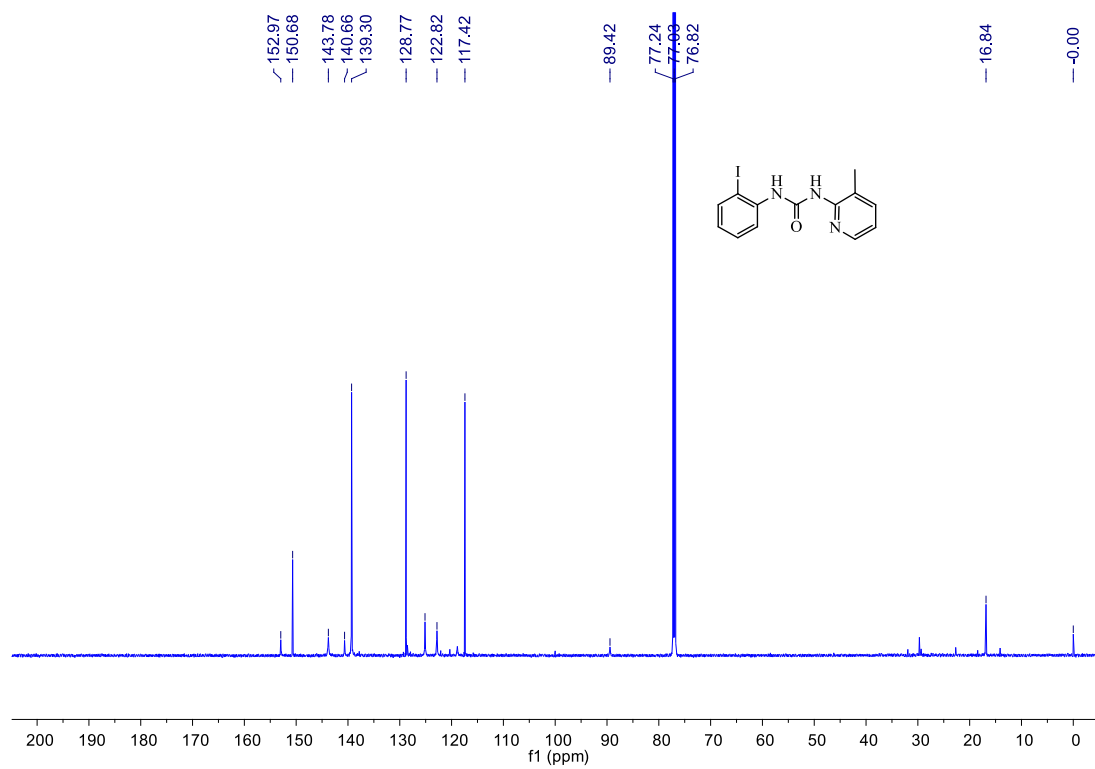
¹³C NMR of 1-(3-bromophenyl)-3-(3-methylpyridin-2-yl)urea **6q**



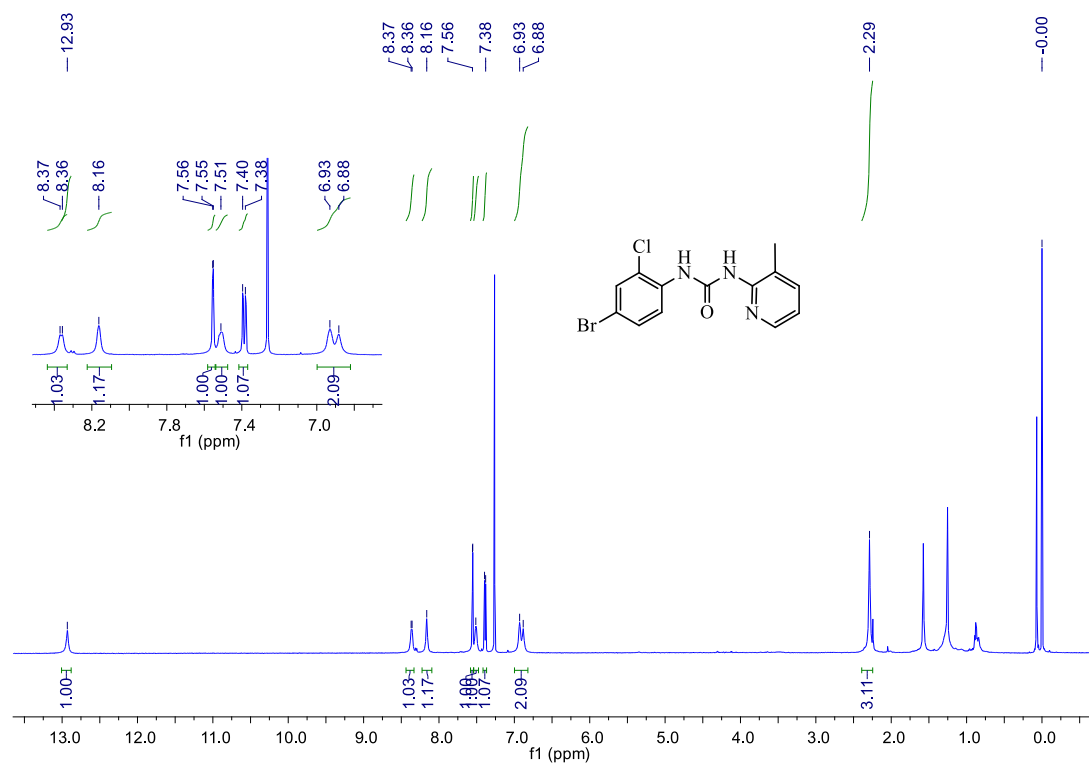
¹H NMR of 1-(2-iodophenyl)-3-(3-methylpyridin-2-yl)urea **6r**



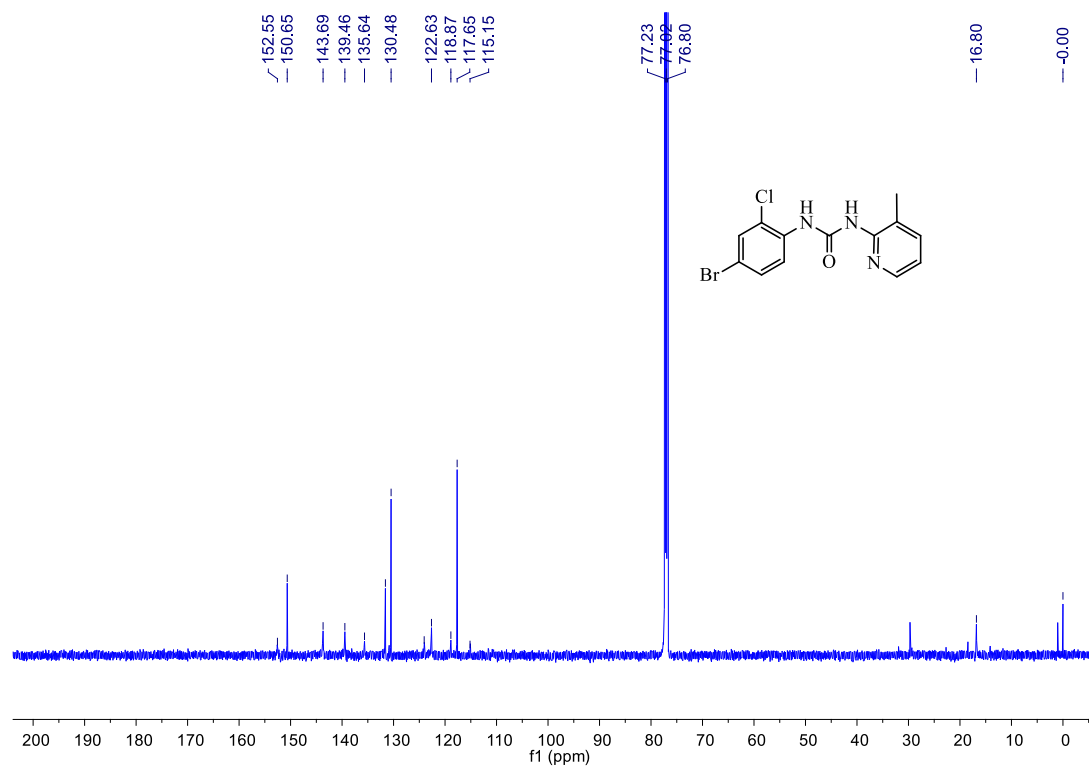
¹³C NMR of 1-(2-iodophenyl)-3-(3-methylpyridin-2-yl)urea **6r**



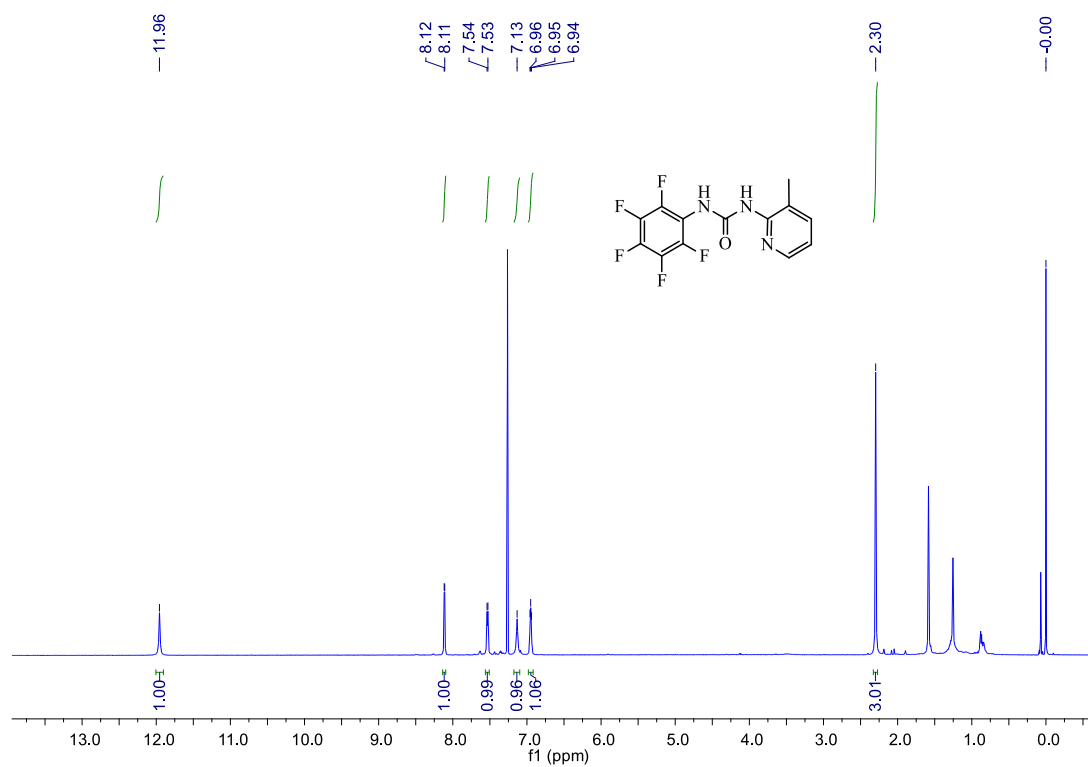
¹H NMR of 1-(4-bromo-2-chlorophenyl)-3-(3-methylpyridin-2-yl)urea **6s**



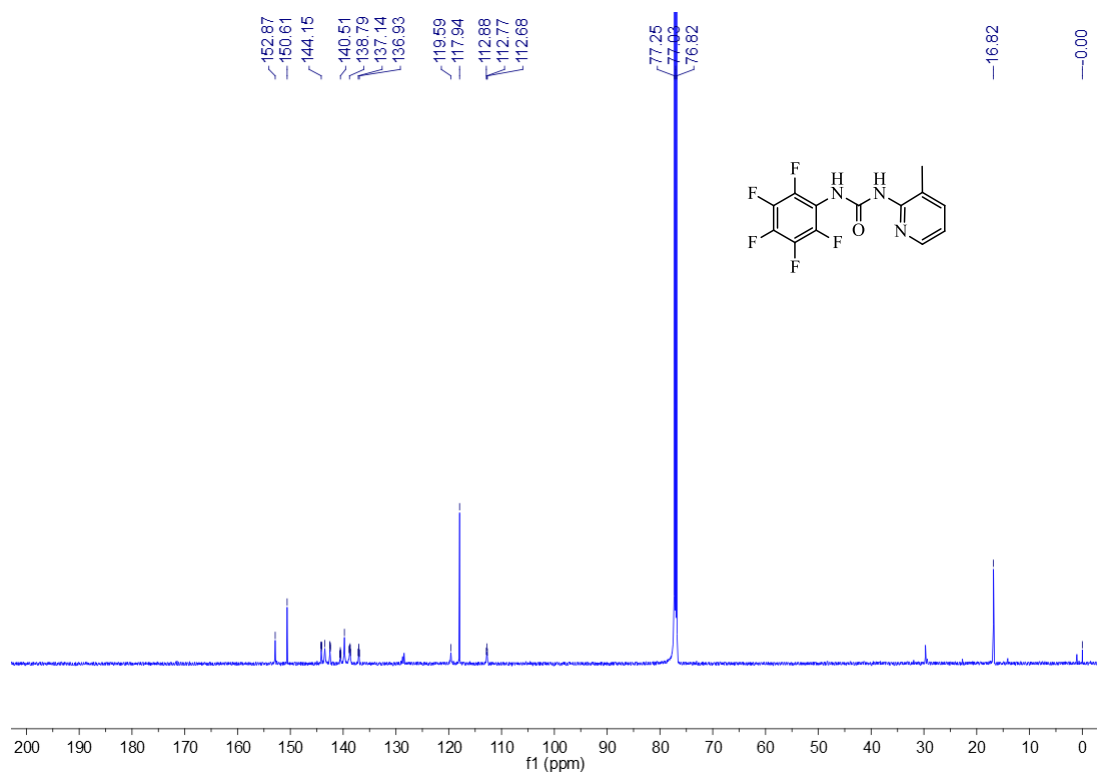
¹³C NMR of 1-(4-bromo-2-chlorophenyl)-3-(3-methylpyridin-2-yl)urea **6s**



¹H NMR of 1-(3-methylpyridin-2-yl)-3-(perfluorophenyl)urea **6t**

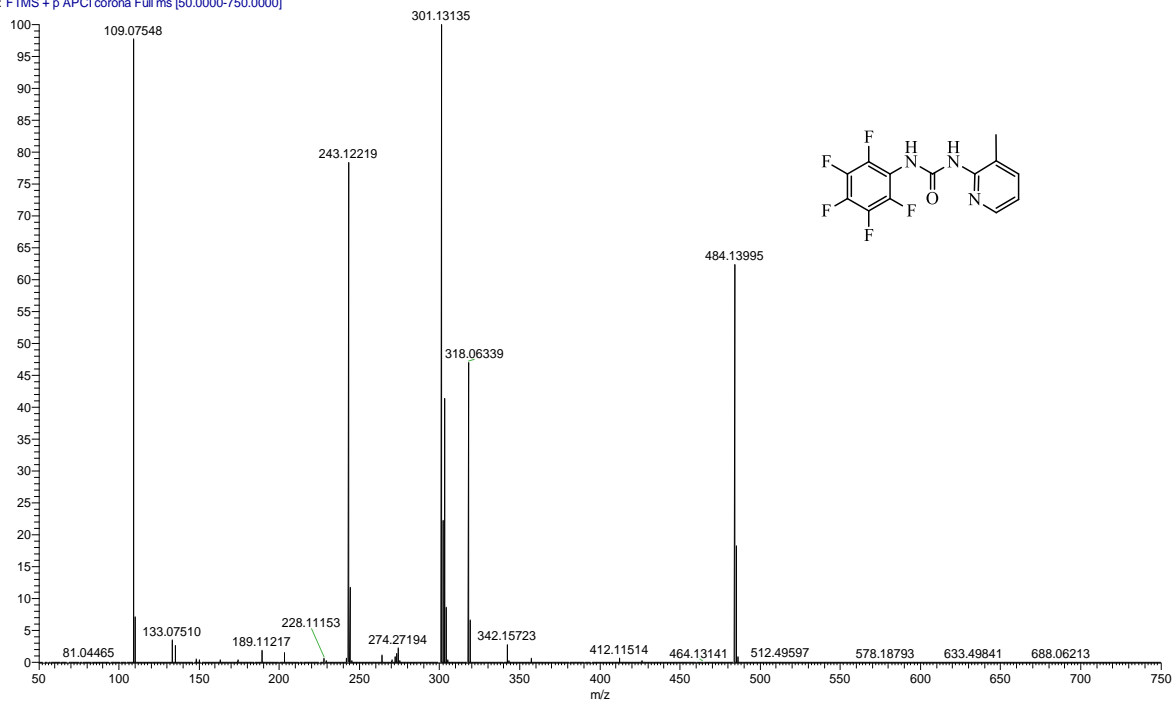


¹³C NMR of 1-(3-methylpyridin-2-yl)-3-(perfluorophenyl)urea **6t**

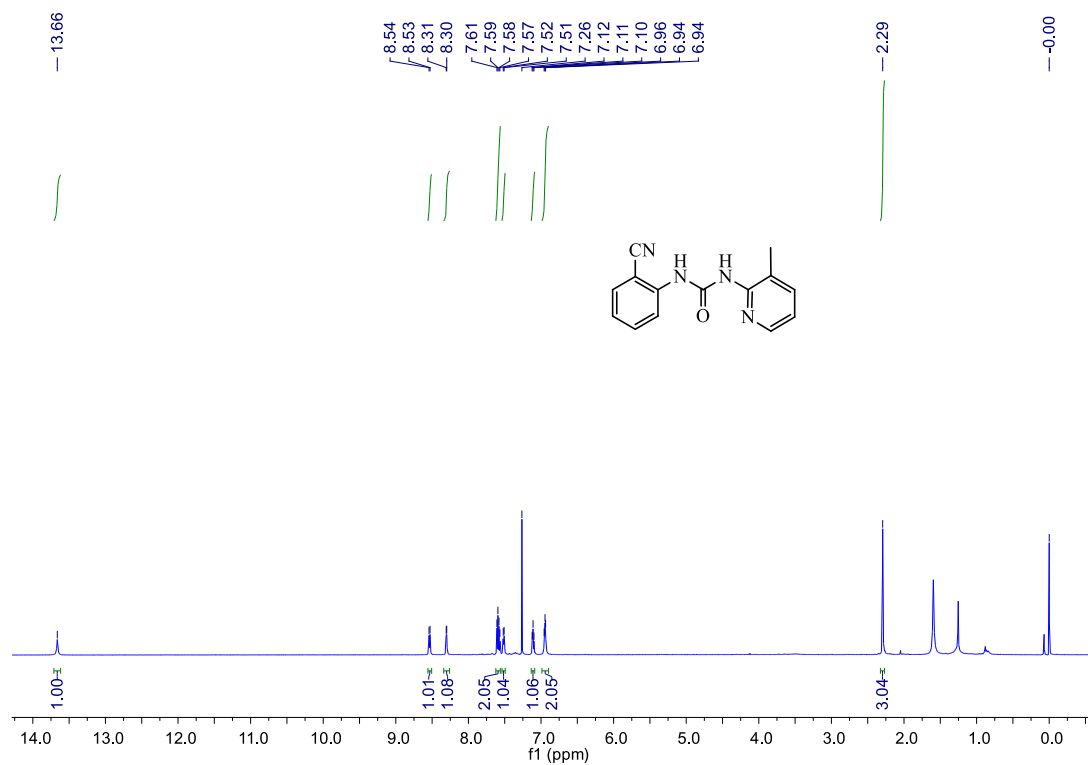


HRMS(ESI) of 1-(3-methylpyridin-2-yl)-3-(perfluorophenyl)urea **6t**

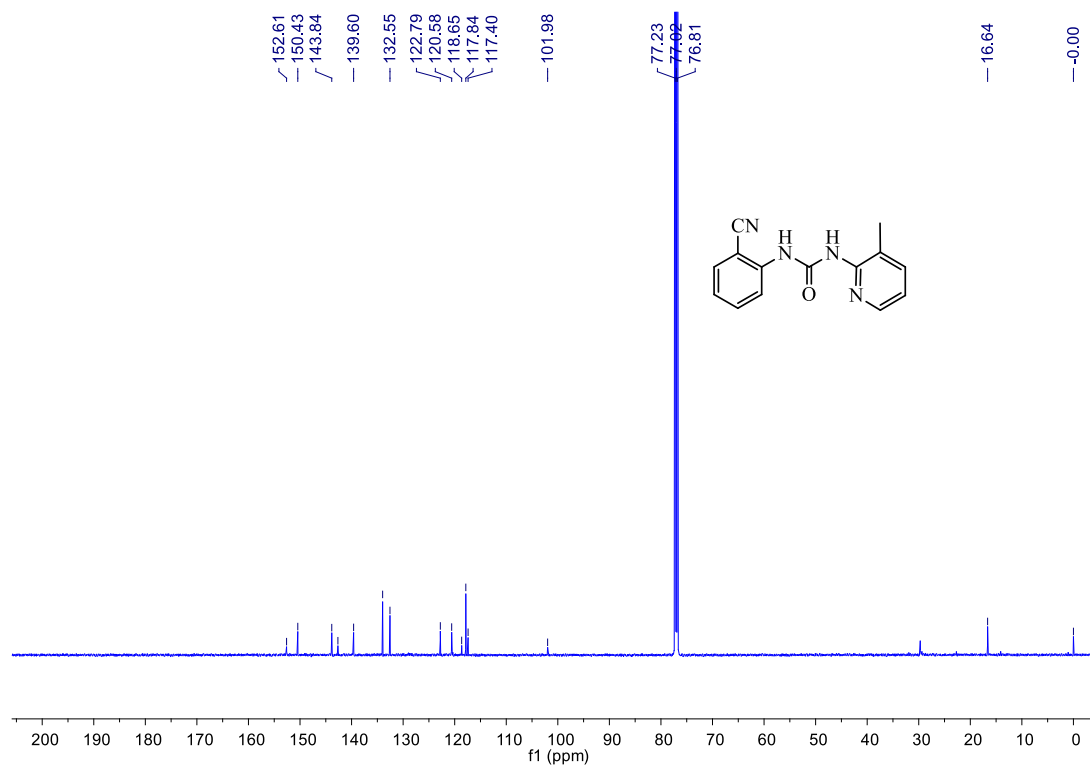
11-4 #10 RT: 0.10 AV: 1 NL: 1.44E8
T: FTMS + p APCI corona Full ms [50.0000-750.0000]



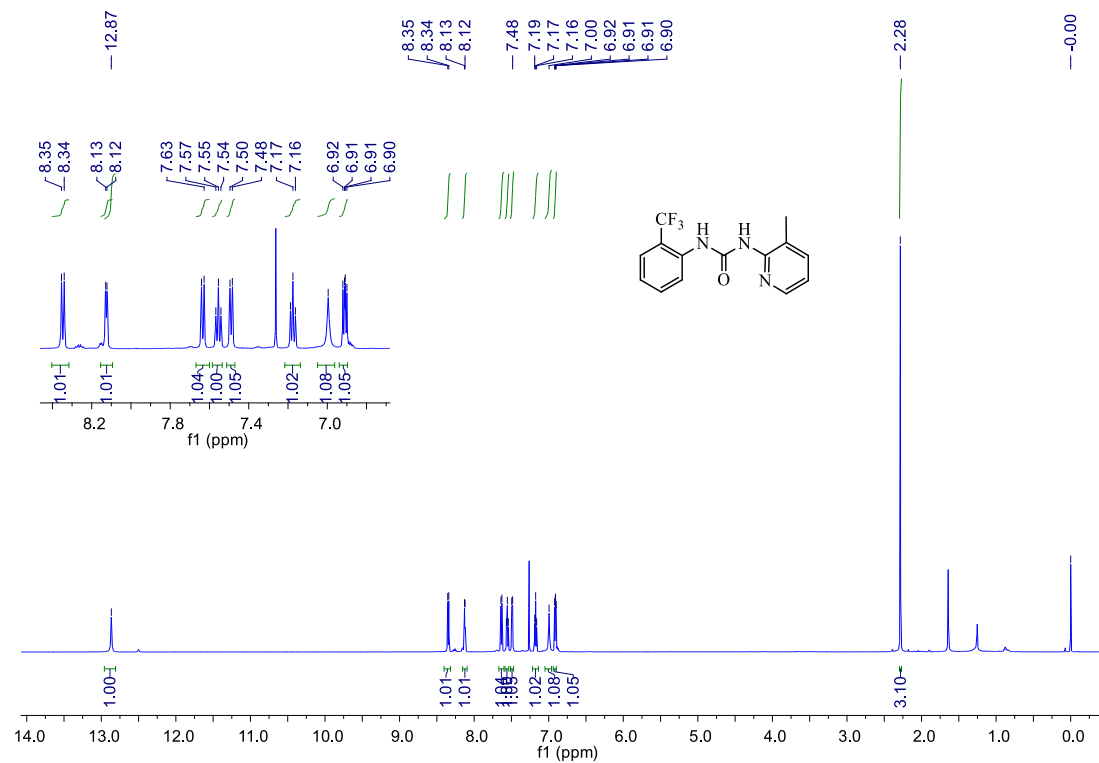
¹H NMR of 1-(2-cyanophenyl)-3-(3-methylpyridin-2-yl)urea **6u**



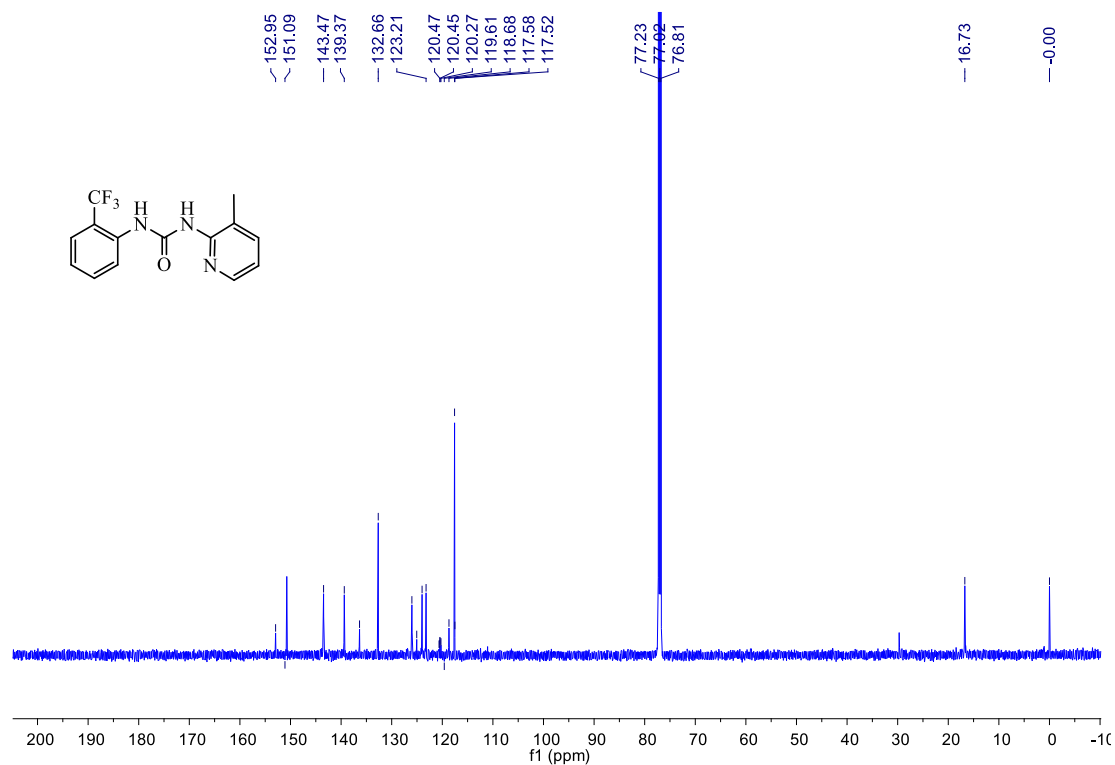
¹³C NMR of 1-(2-cyanophenyl)-3-(3-methylpyridin-2-yl)urea **6u**



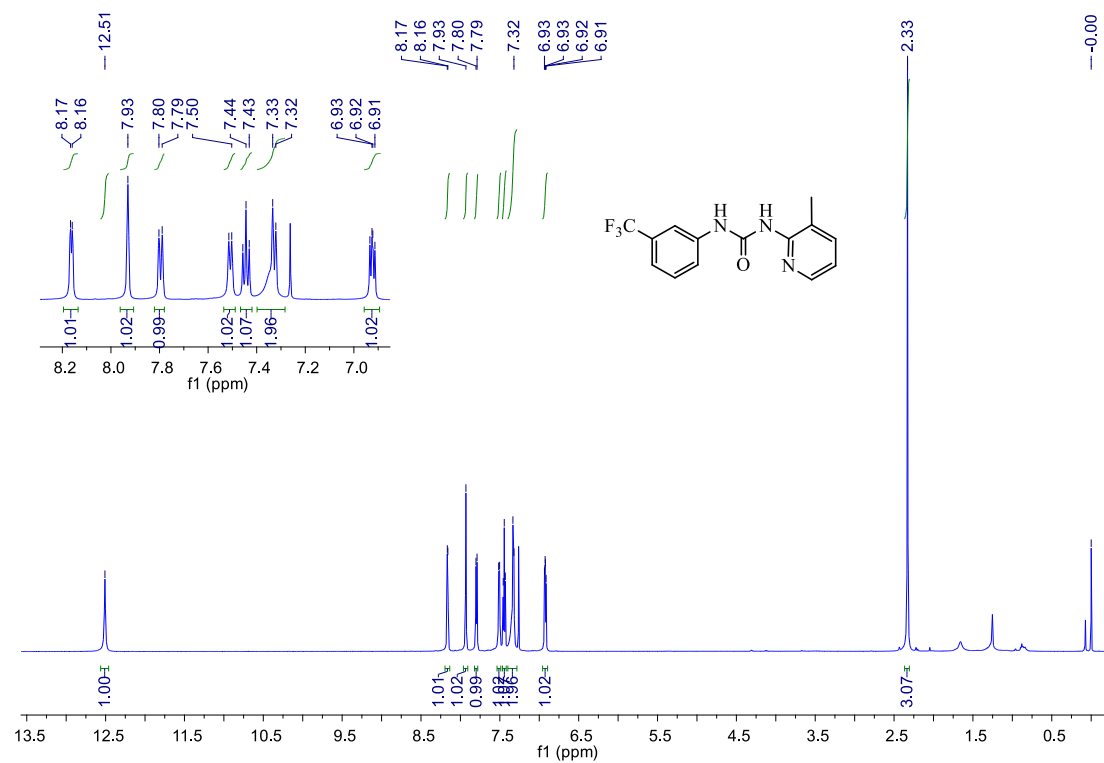
¹H NMR of 1-(3-methylpyridin-2-yl)-3-(2-(trifluoromethyl)phenyl)urea **6v**



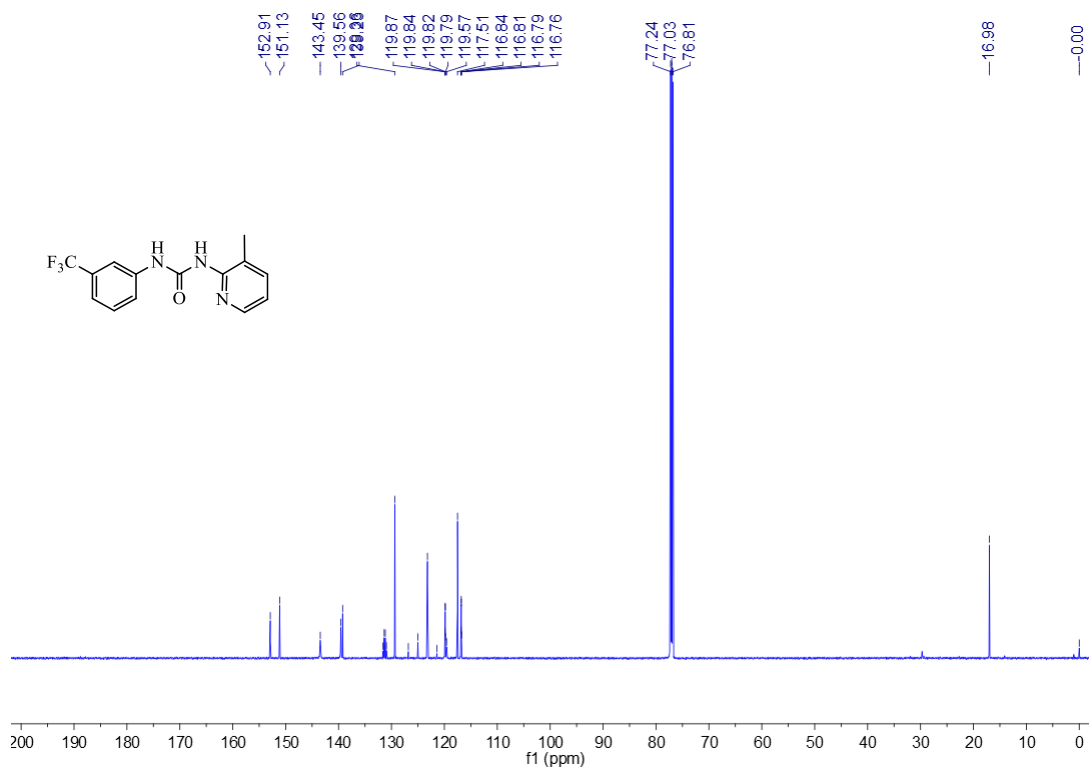
^{13}C NMR of 1-(3-methylpyridin-2-yl)-3-(2-(trifluoromethyl)phenyl)urea **6v**



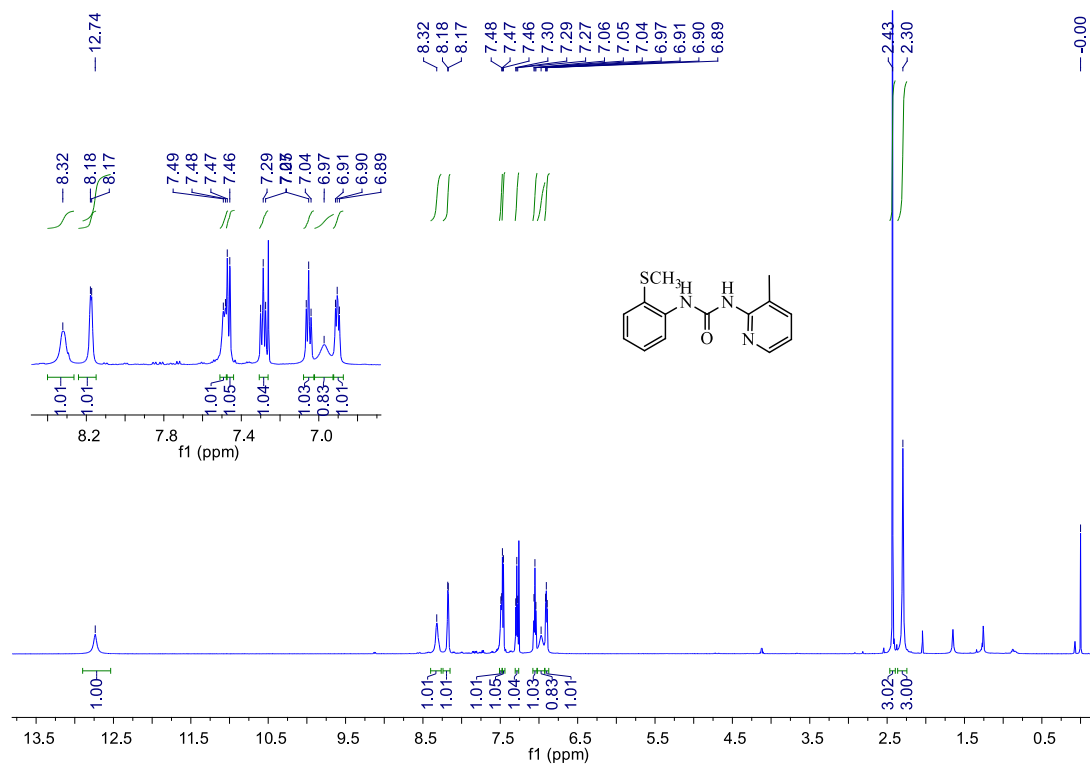
^1H NMR of 1-(3-methylpyridin-2-yl)-3-(3-(trifluoromethyl)phenyl)urea **6w**



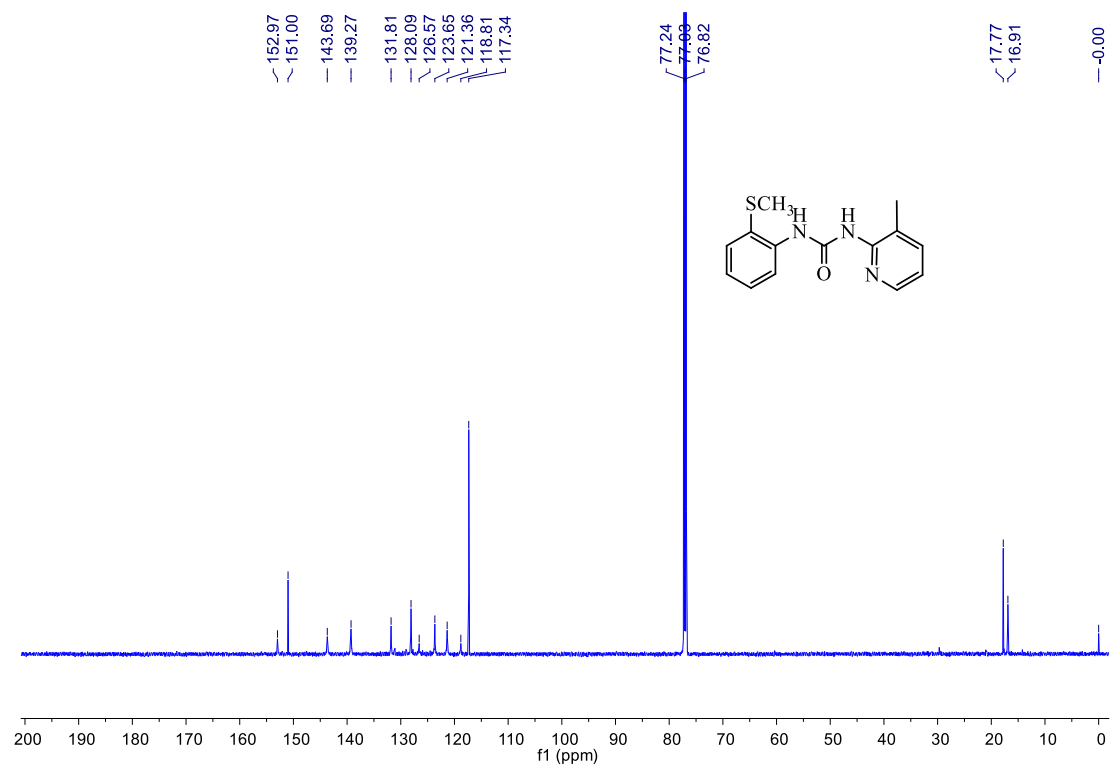
¹³C NMR of 1-(3-methylpyridin-2-yl)-3-(3-(trifluoromethyl)phenyl)urea **6w**



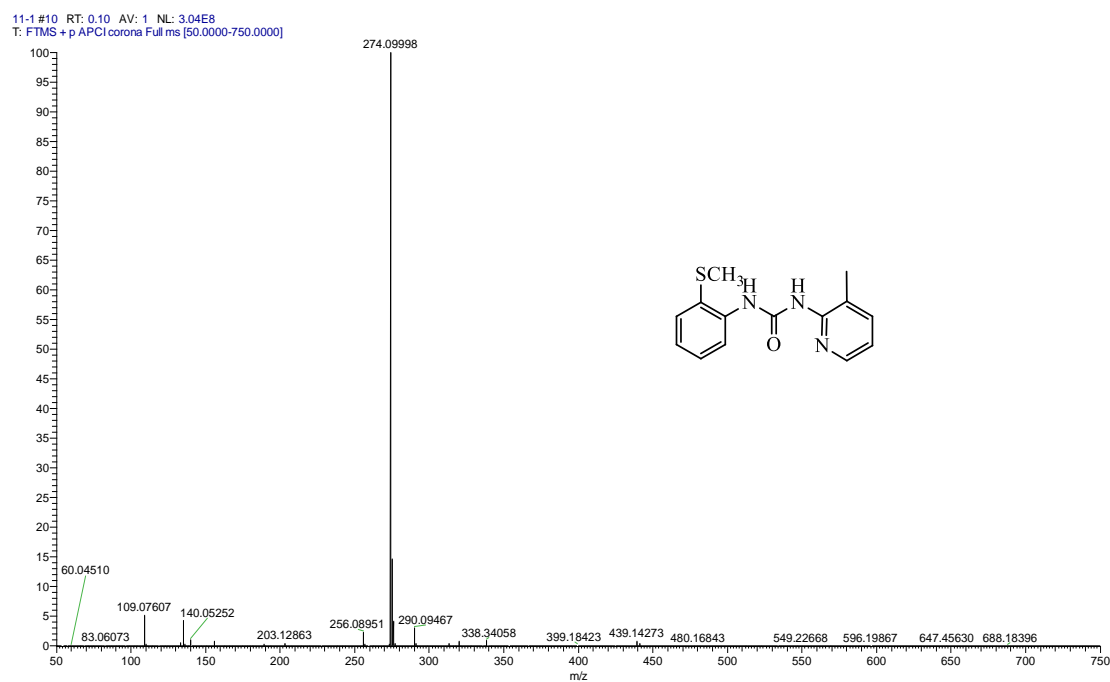
¹H NMR of 1-(3-methylpyridin-2-yl)-3-(2-(methylthio)phenyl)urea **6x**



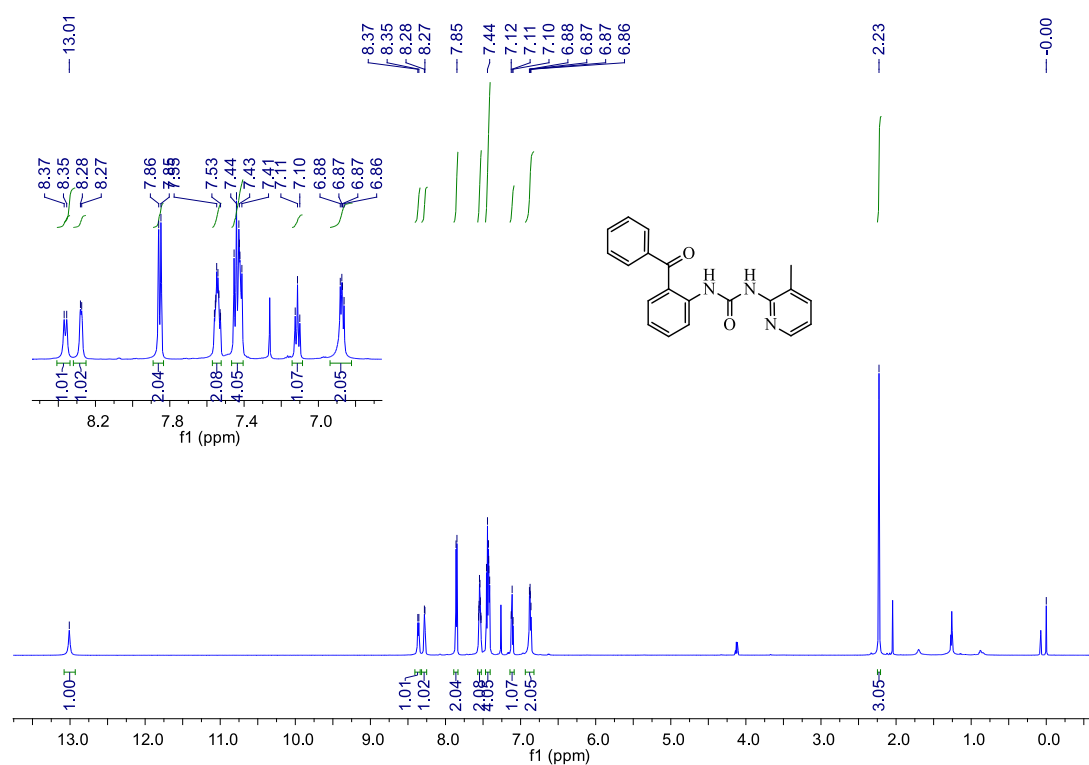
¹³C NMR of 1-(3-methylpyridin-2-yl)-3-(2-(methylthio)phenyl)urea **6x**



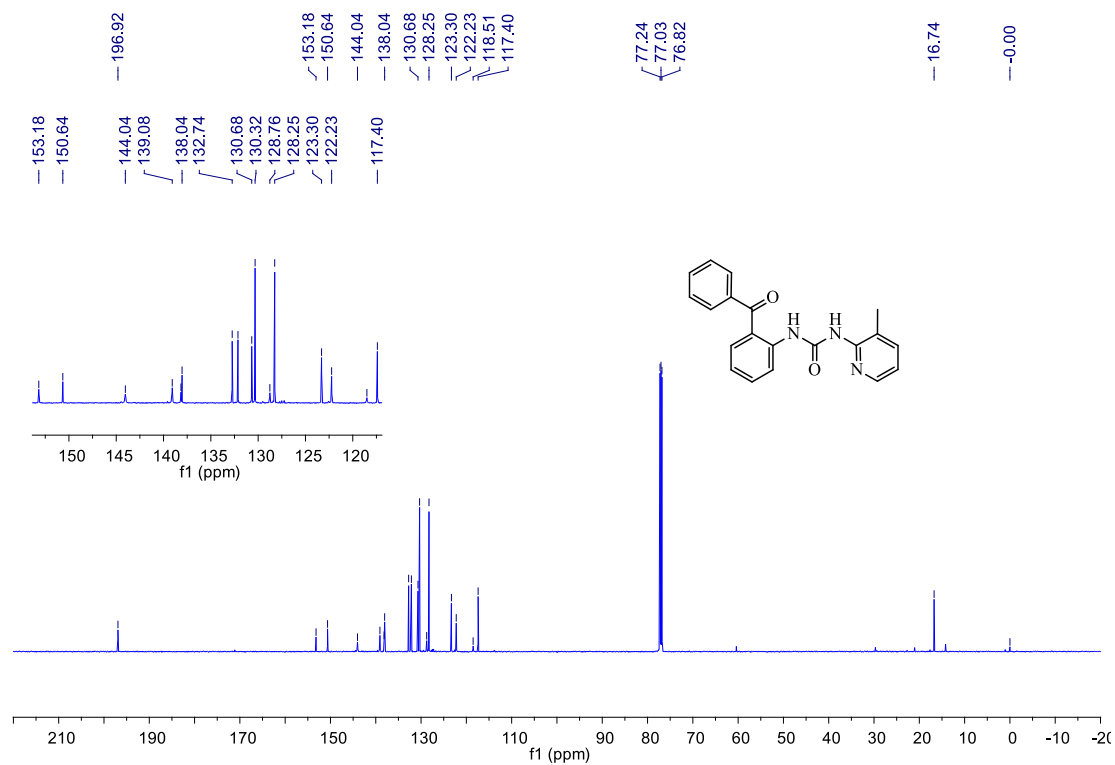
HRMS(ESI) of 1-(3-methylpyridin-2-yl)-3-(2-(methylthio)phenyl)urea **6x**



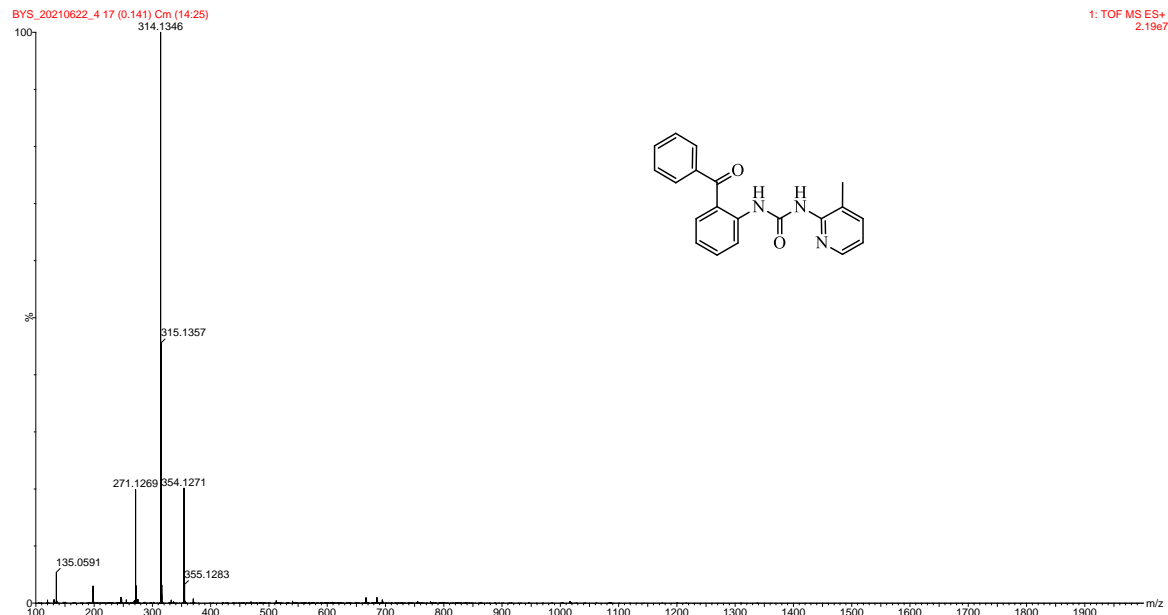
¹H NMR of 1-(2-benzoylphenyl)-3-(3-methylpyridin-2-yl)urea **6y**



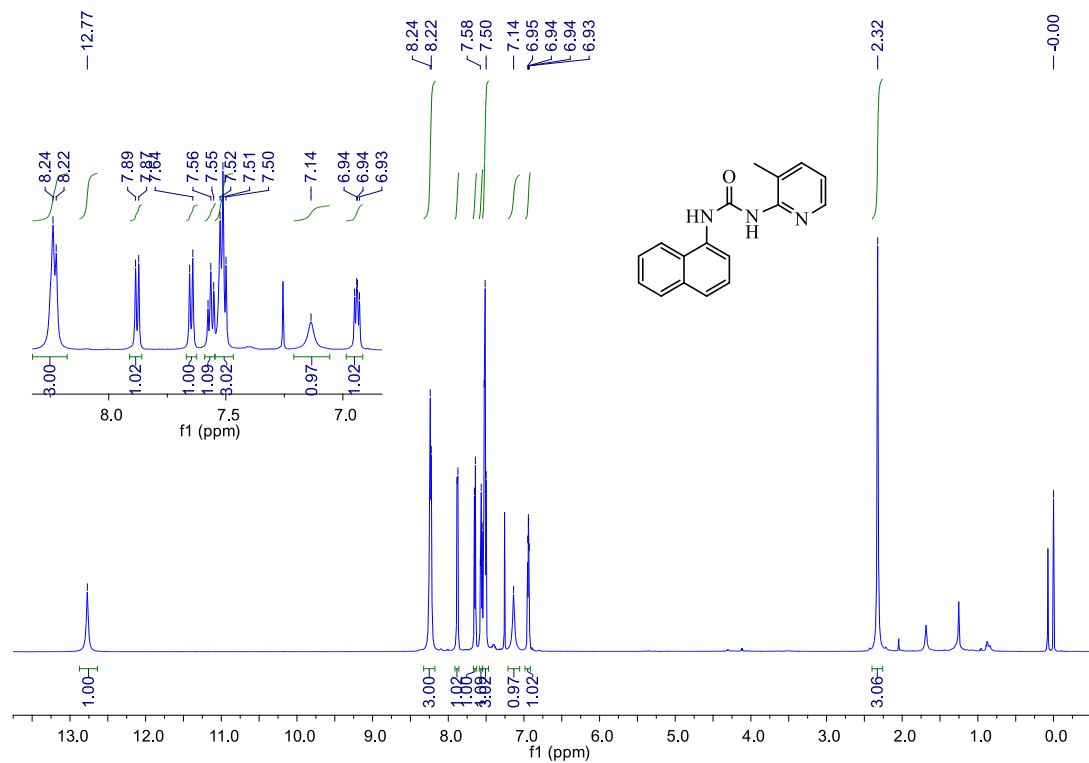
¹³C NMR of 1-(2-benzoylphenyl)-3-(3-methylpyridin-2-yl)urea **6y**



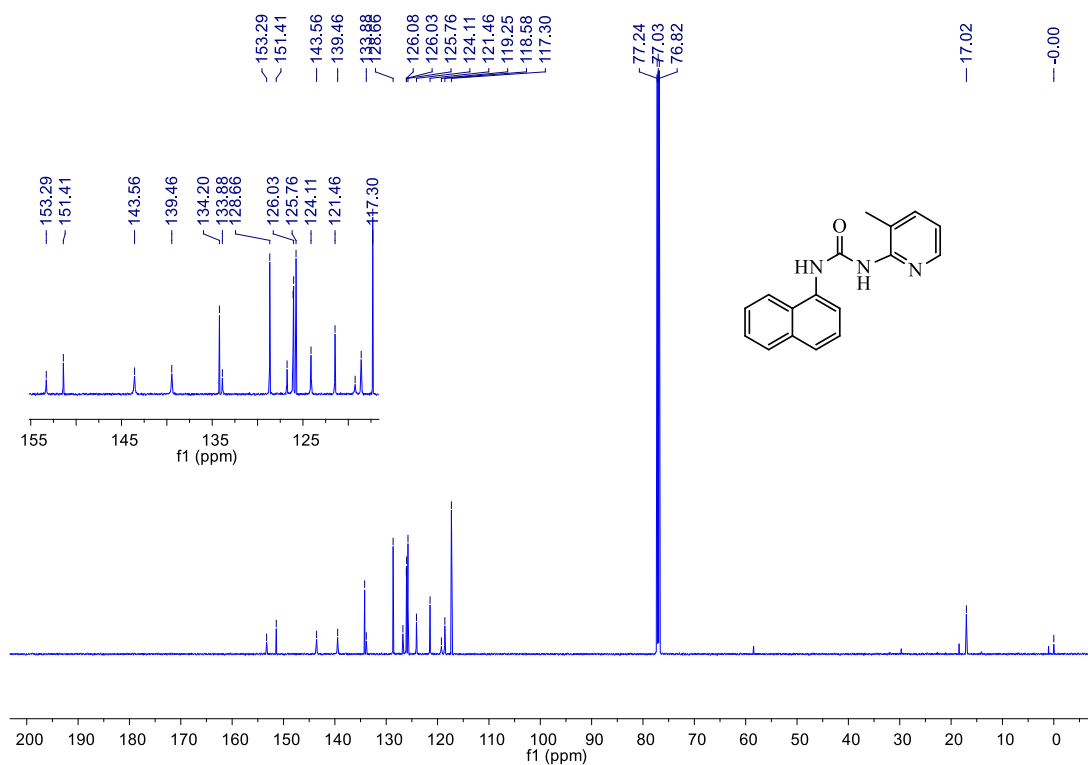
HRMS(ESI) of 1-(2-benzoylphenyl)-3-(3-methylpyridin-2-yl)urea **6y**



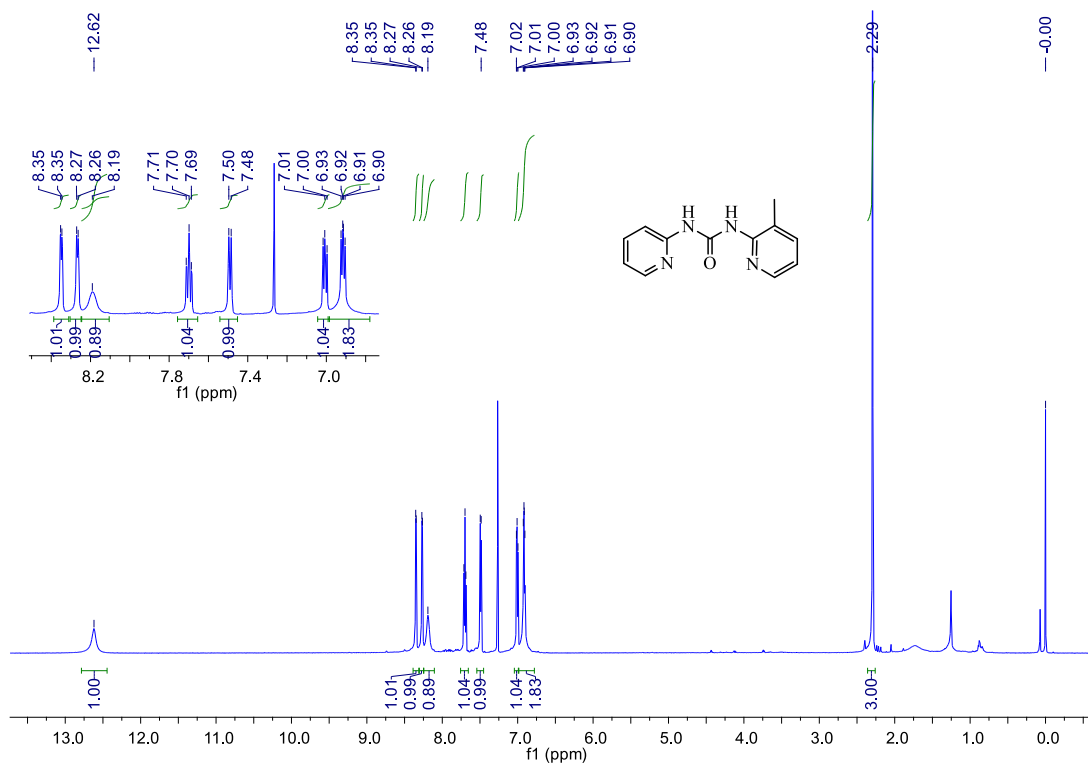
¹H NMR of 1-(3-methylpyridin-2-yl)-3-(naphthalen-1-yl)urea **6z**



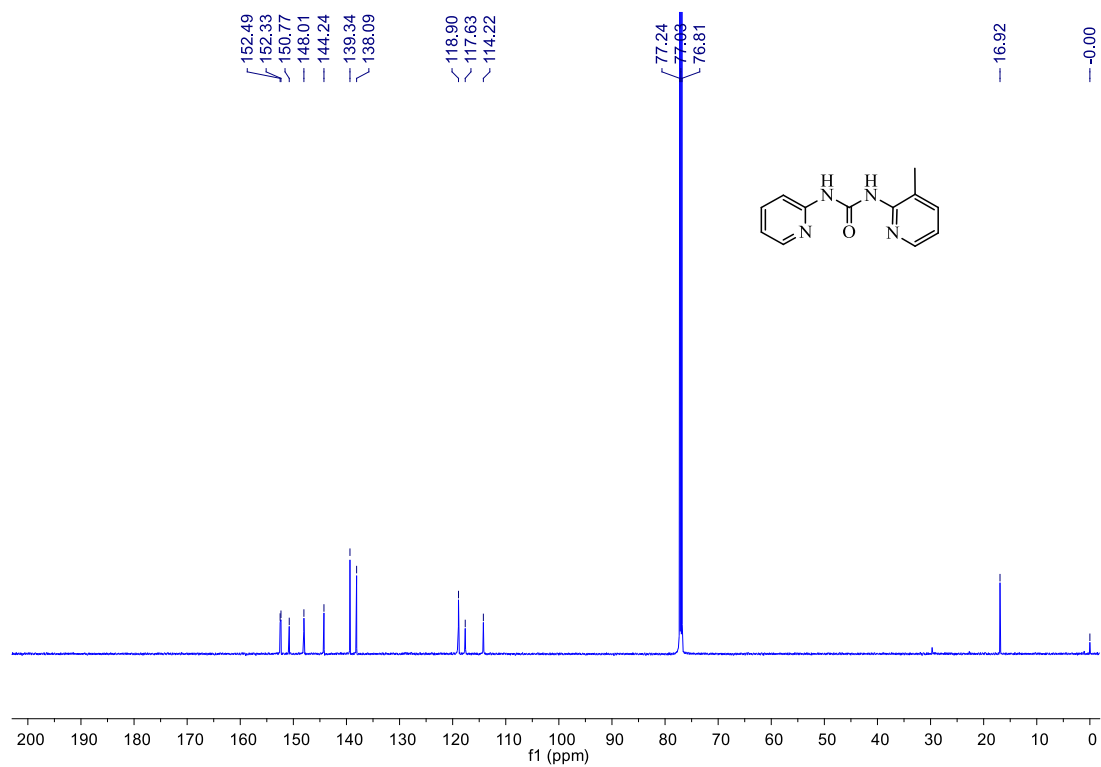
¹³C NMR of 1-(3-methylpyridin-2-yl)-3-(naphthalen-1-yl)urea **6z**



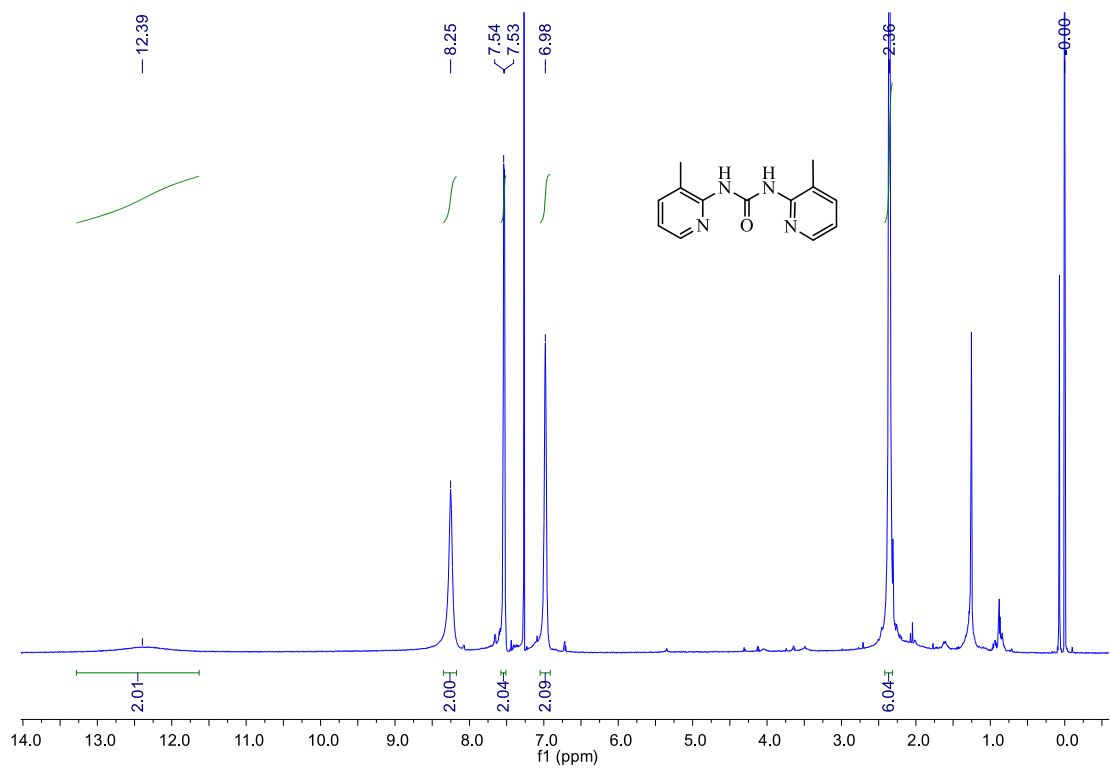
¹H NMR of 1-(3-methylpyridin-2-yl)-3-(pyridin-2-yl)urea **6A**



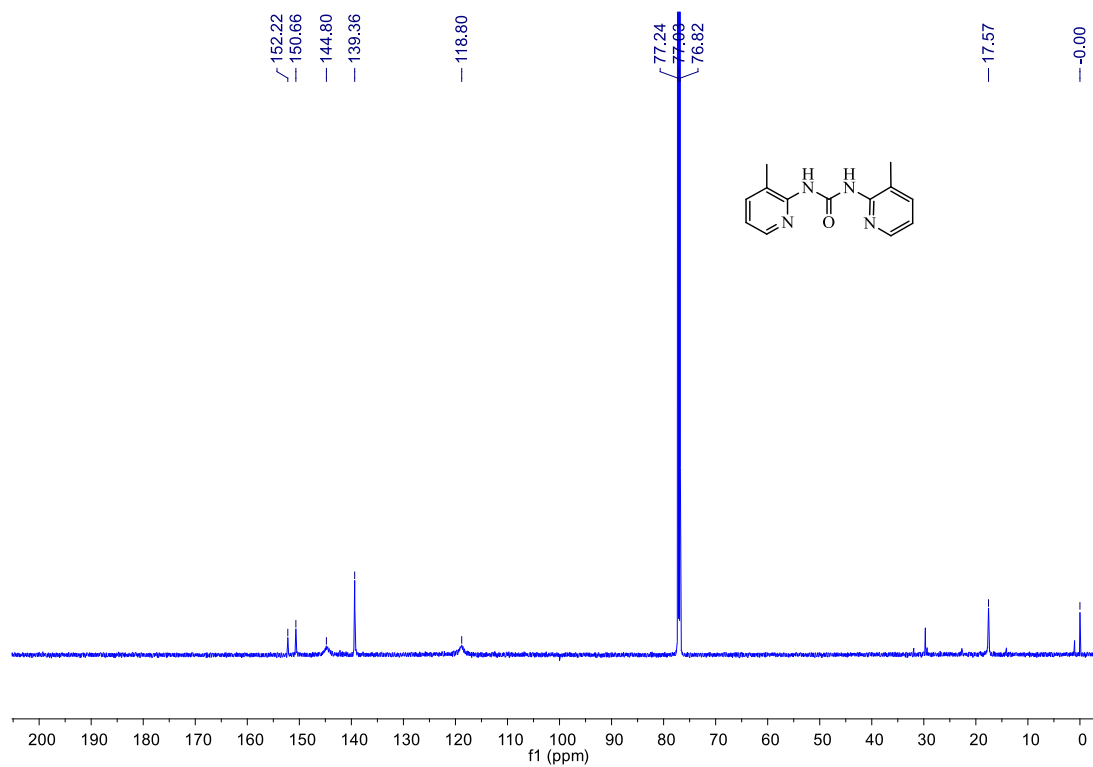
¹³C NMR of 1-(3-methylpyridin-2-yl)-3-(pyridin-2-yl)urea **6A**



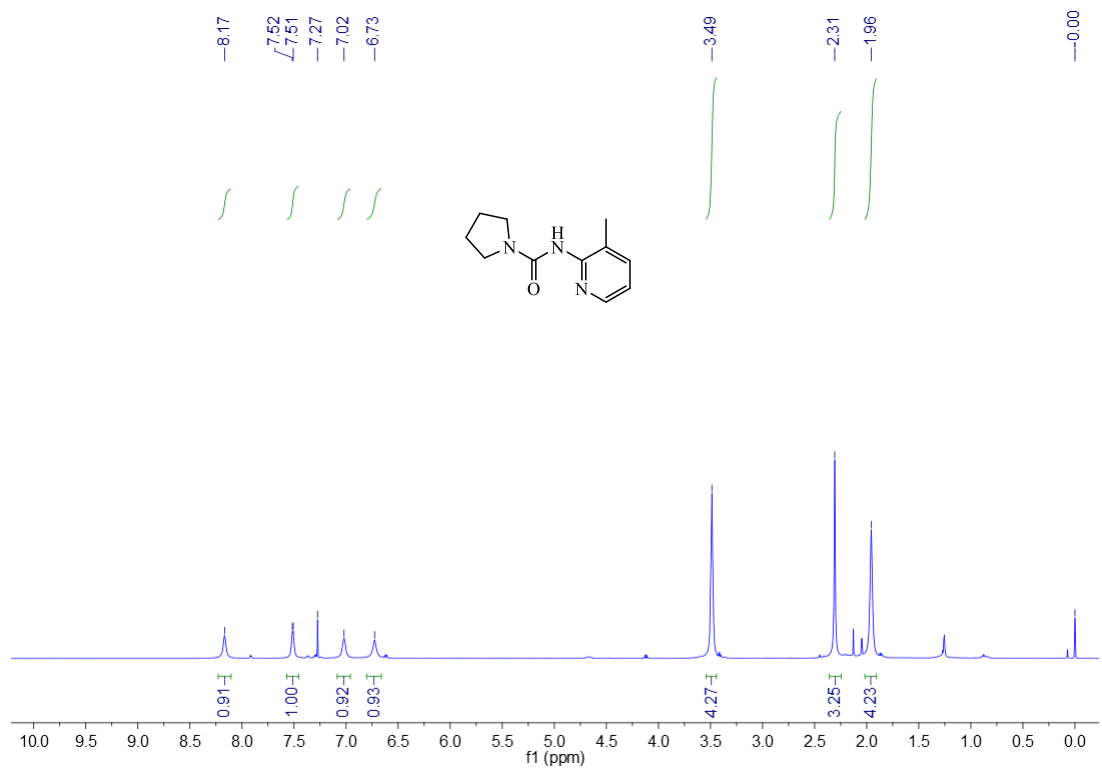
¹H NMR of 1,3-bis(3-methylpyridin-2-yl)urea **6B**



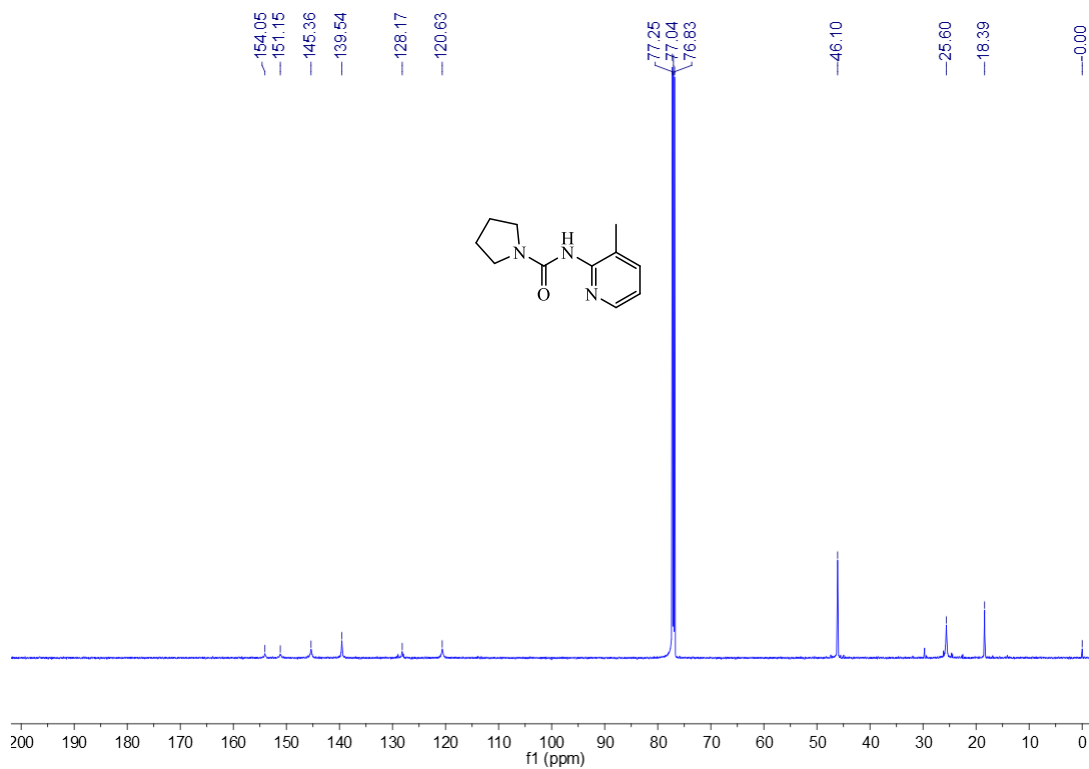
¹³C NMR of 1,3-bis(3-methylpyridin-2-yl)urea **6B**



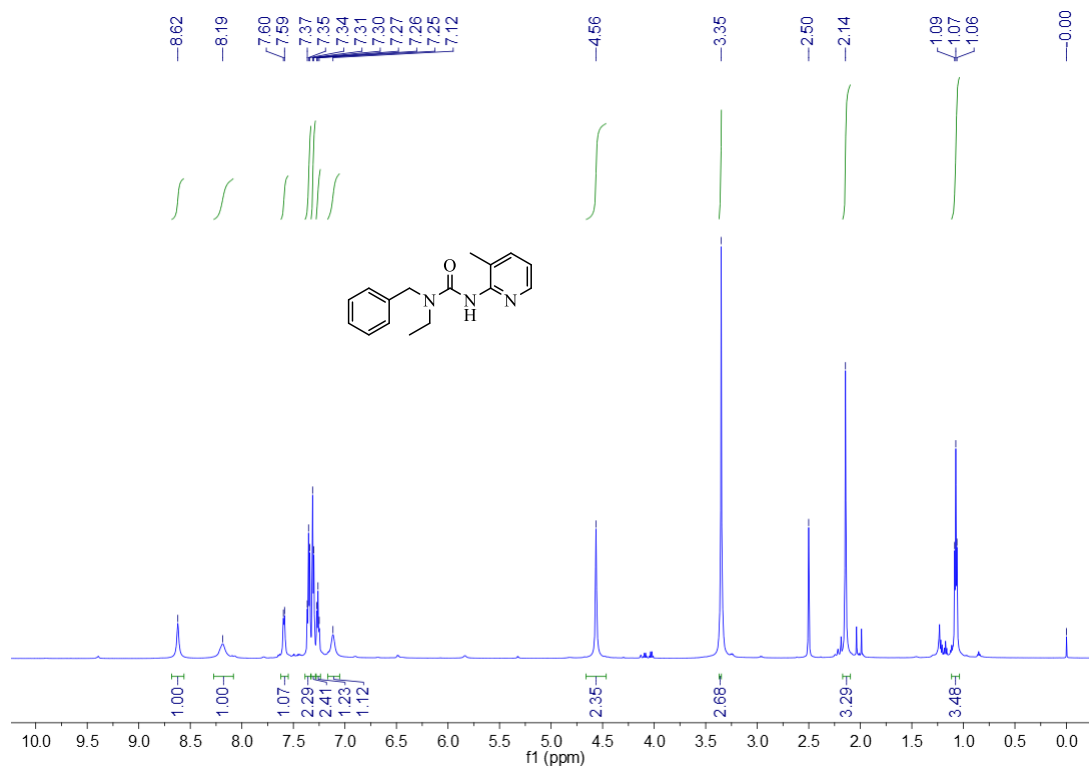
¹H NMR of N-(3-methylpyridin-2-yl)pyrrolidine-1-carboxamide **6C**



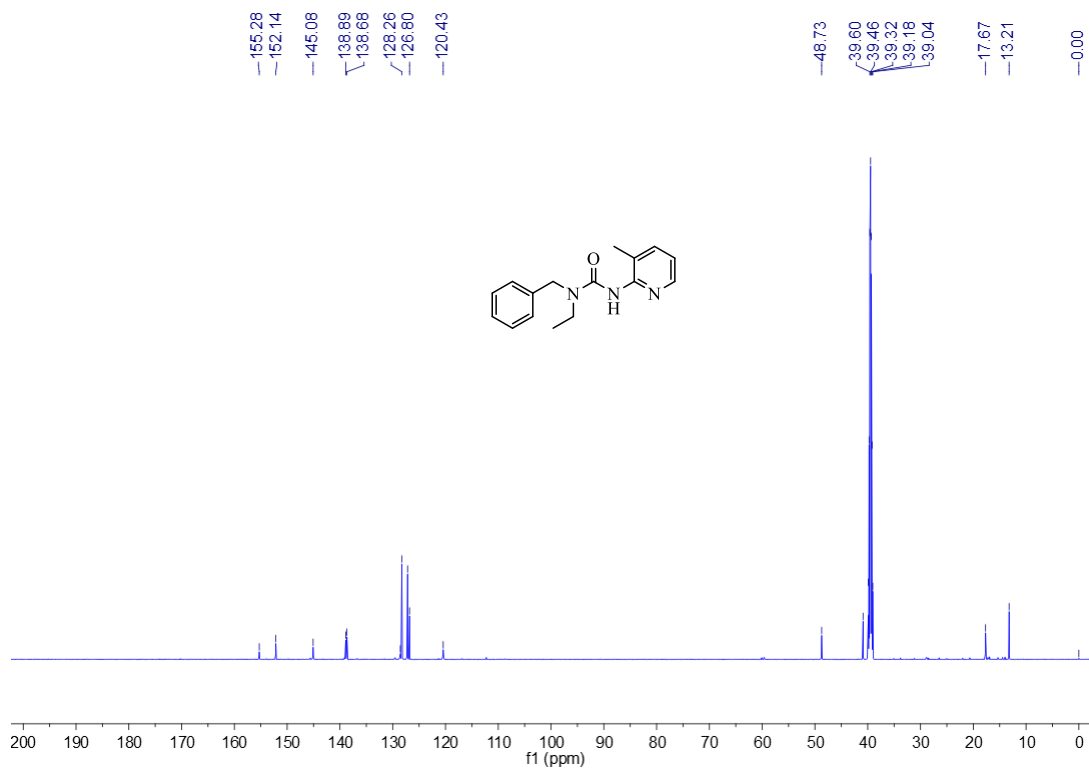
¹³C NMR of N-(3-methylpyridin-2-yl)pyrrolidine-1-carboxamide **6C**



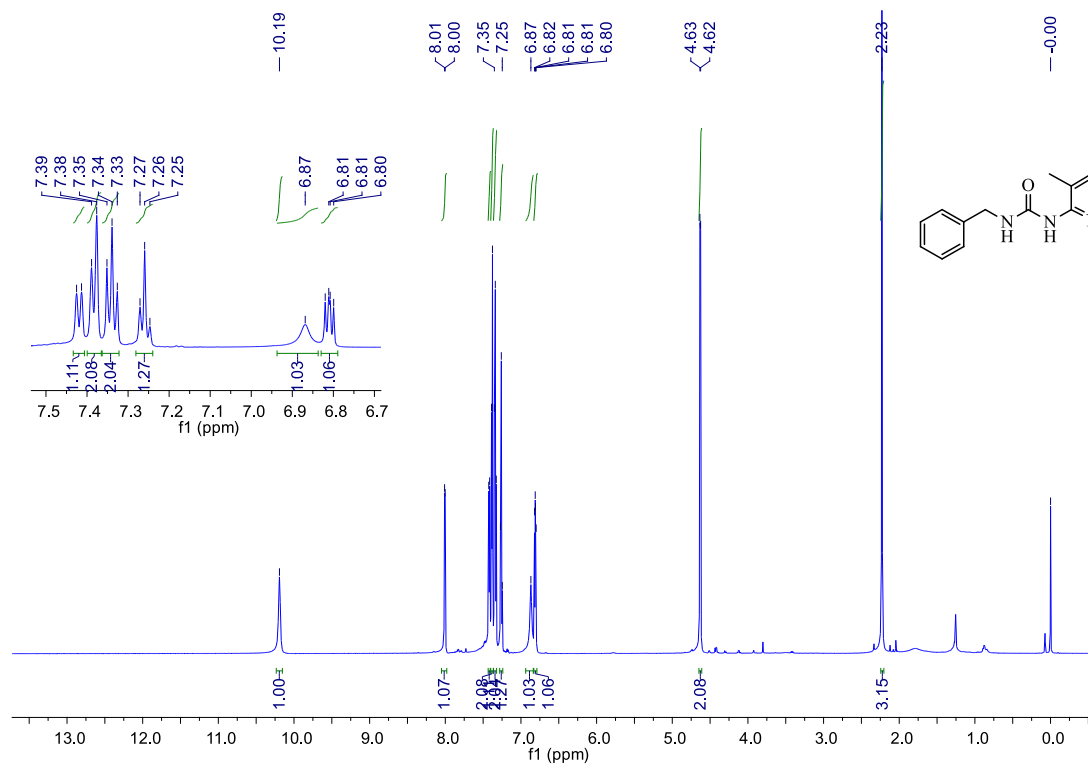
¹H NMR of 1-benzyl-1-ethyl-3-(3-methylpyridin-2-yl)urea **6D**



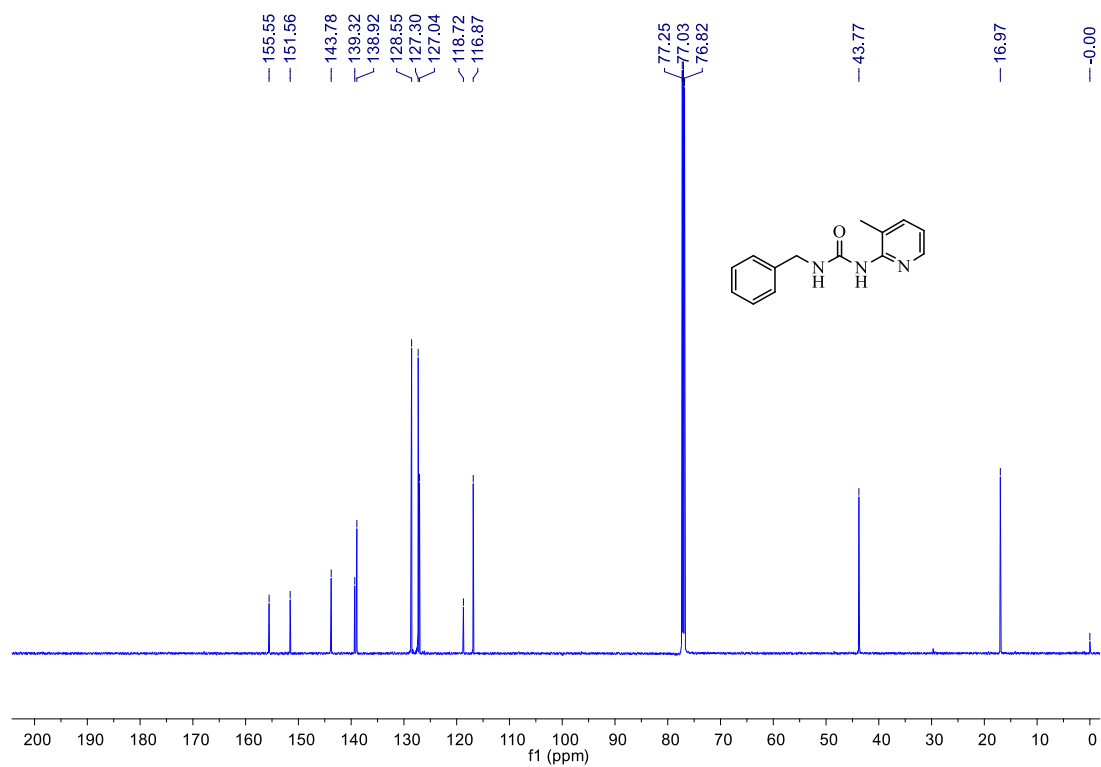
¹³C NMR of 1-benzyl-1-ethyl-3-(3-methylpyridin-2-yl)urea **6D**



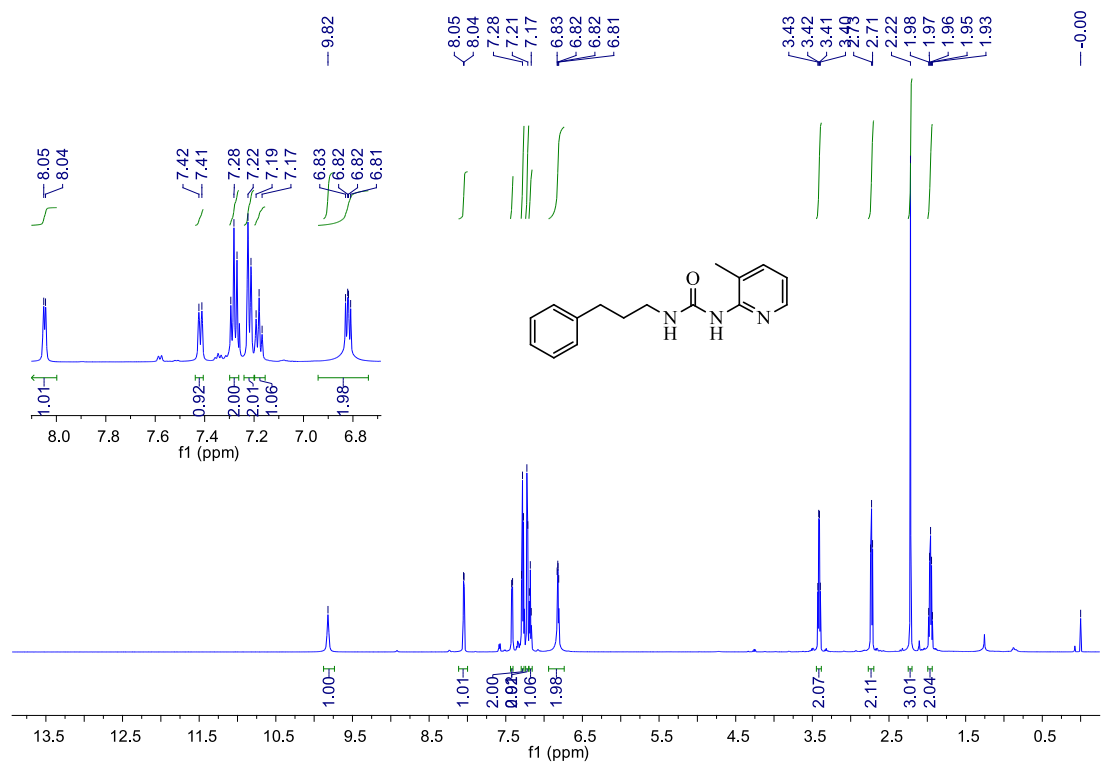
¹H NMR of 1-benzyl-3-(3-methylpyridin-2-yl)urea **6E**



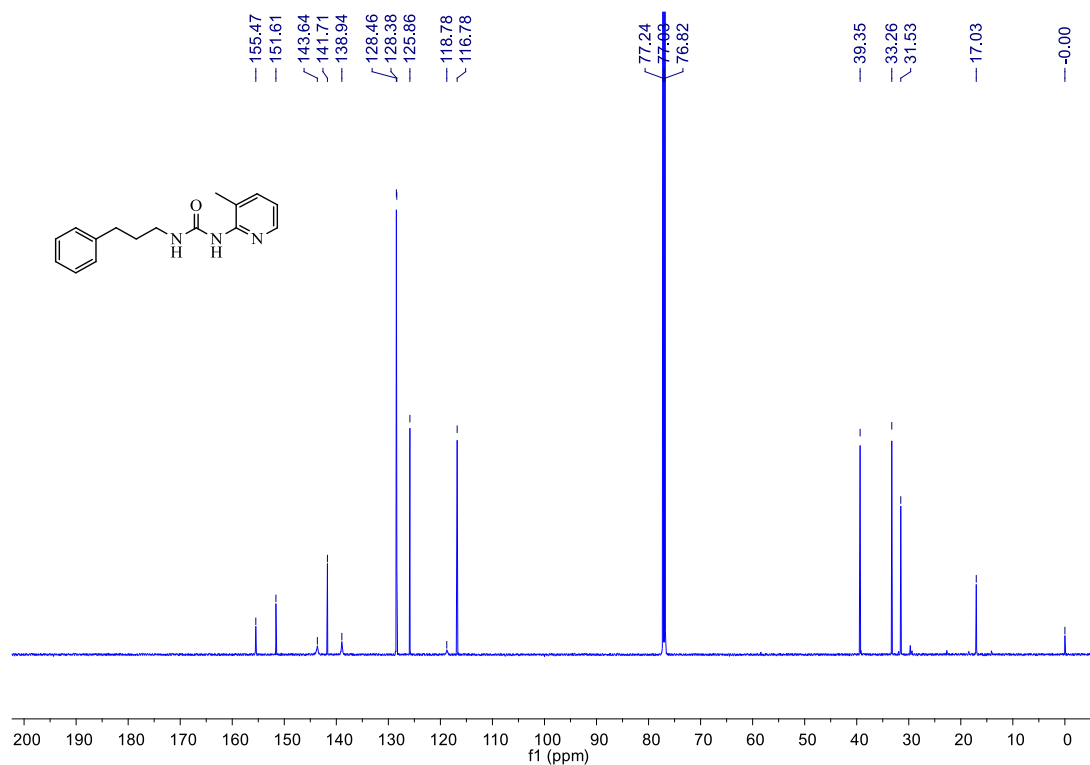
¹³C NMR of 1-benzyl-3-(3-methylpyridin-2-yl)urea **6E**



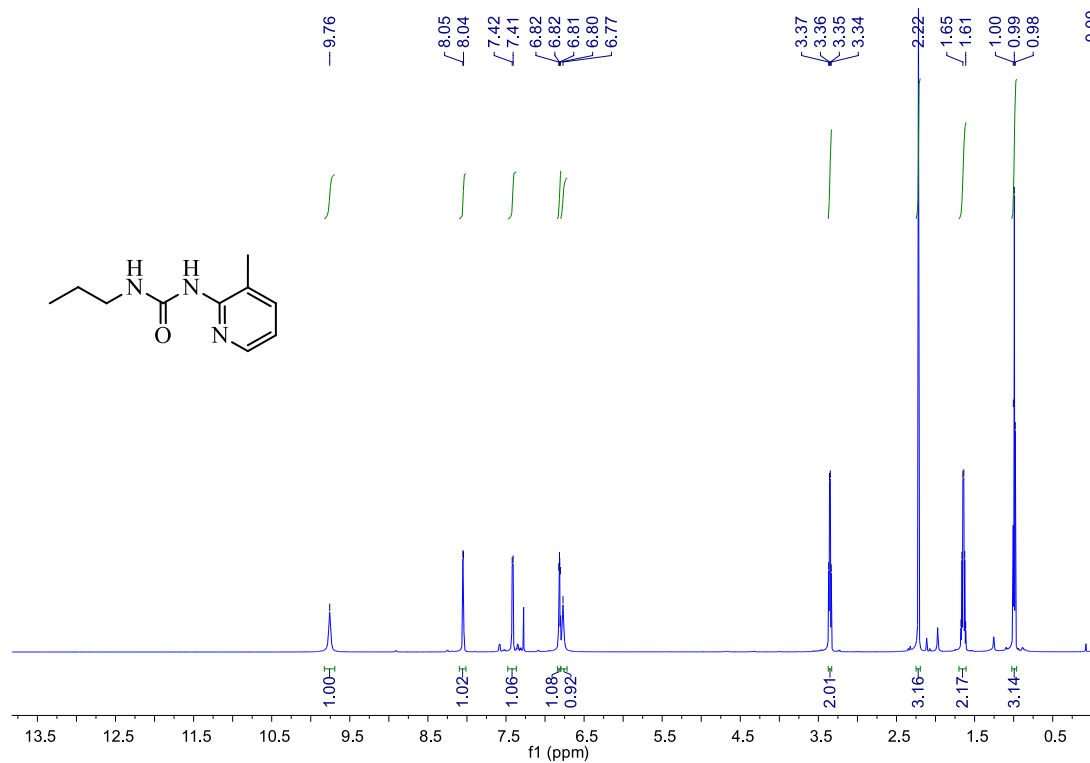
¹H NMR of 1-(3-methylpyridin-2-yl)-3-(3-phenylpropyl)urea **6F**



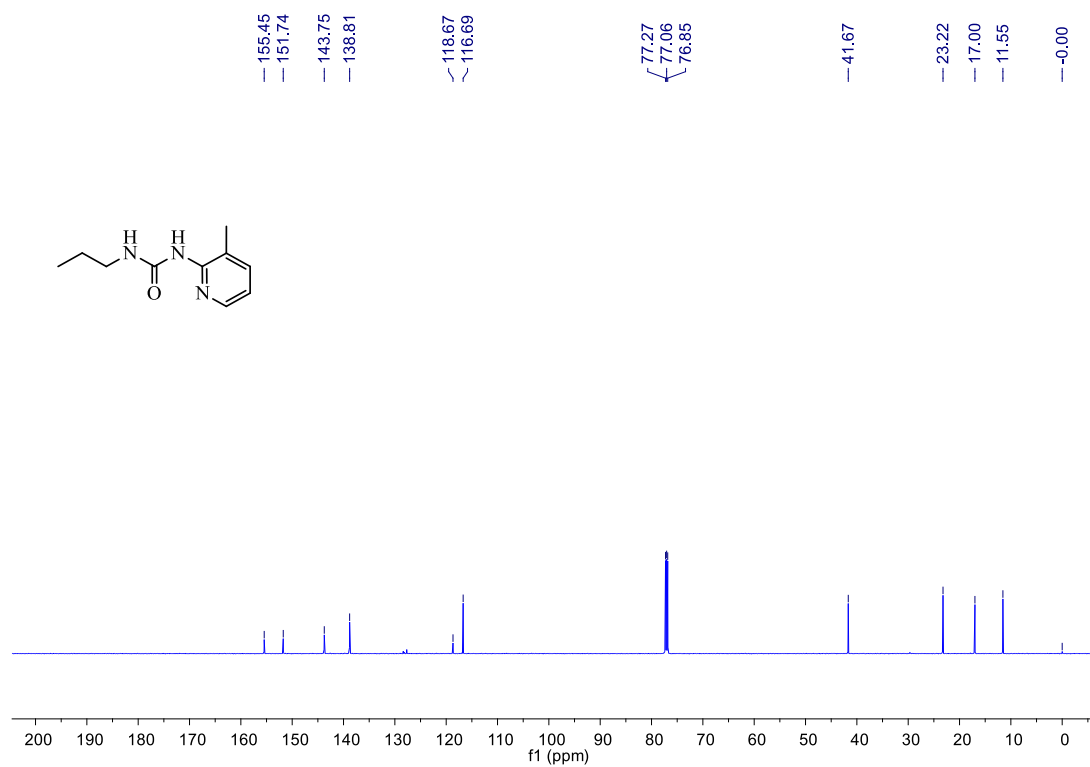
¹³C NMR of 1-(3-methylpyridin-2-yl)-3-(3-phenylpropyl)urea **6F**



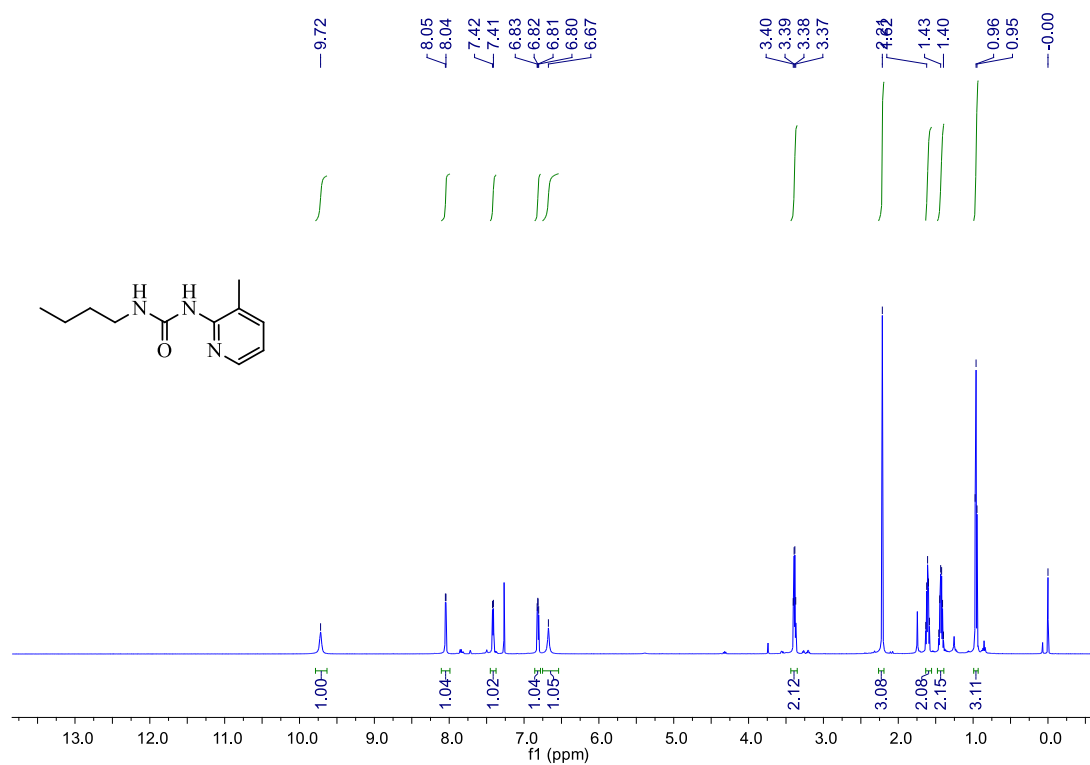
¹H NMR of 1-(3-methylpyridin-2-yl)-3-propylurea **6G**



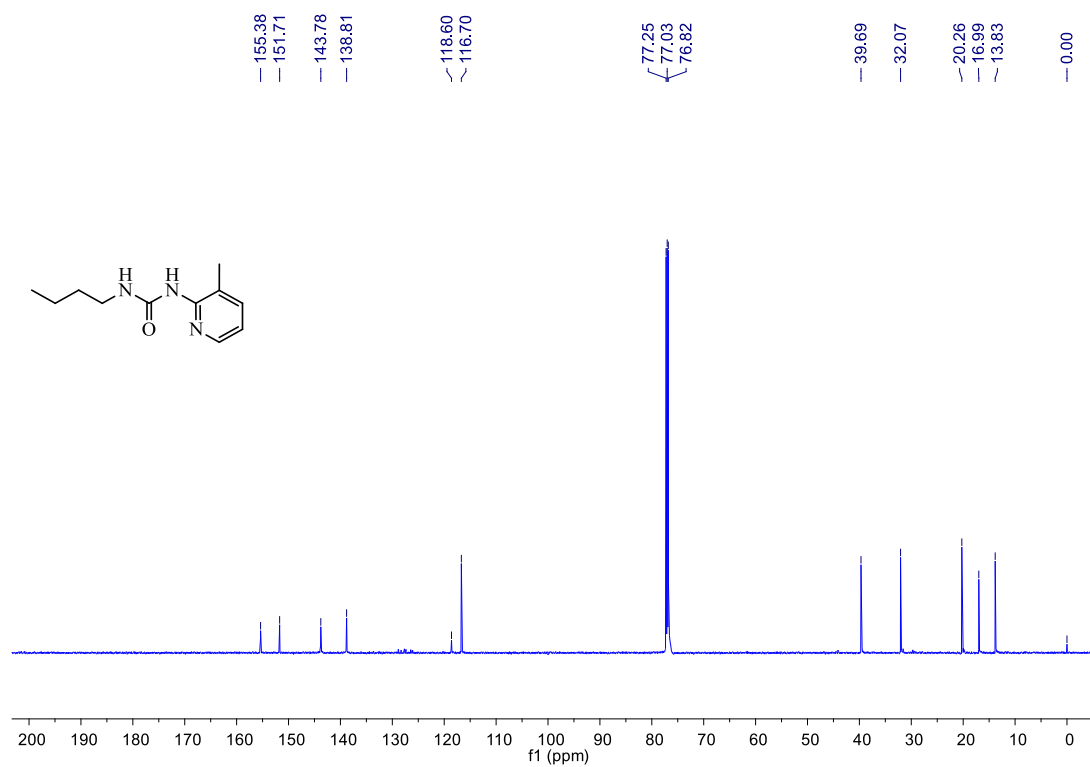
¹³C NMR of 1-(3-methylpyridin-2-yl)-3-propylurea **6G**



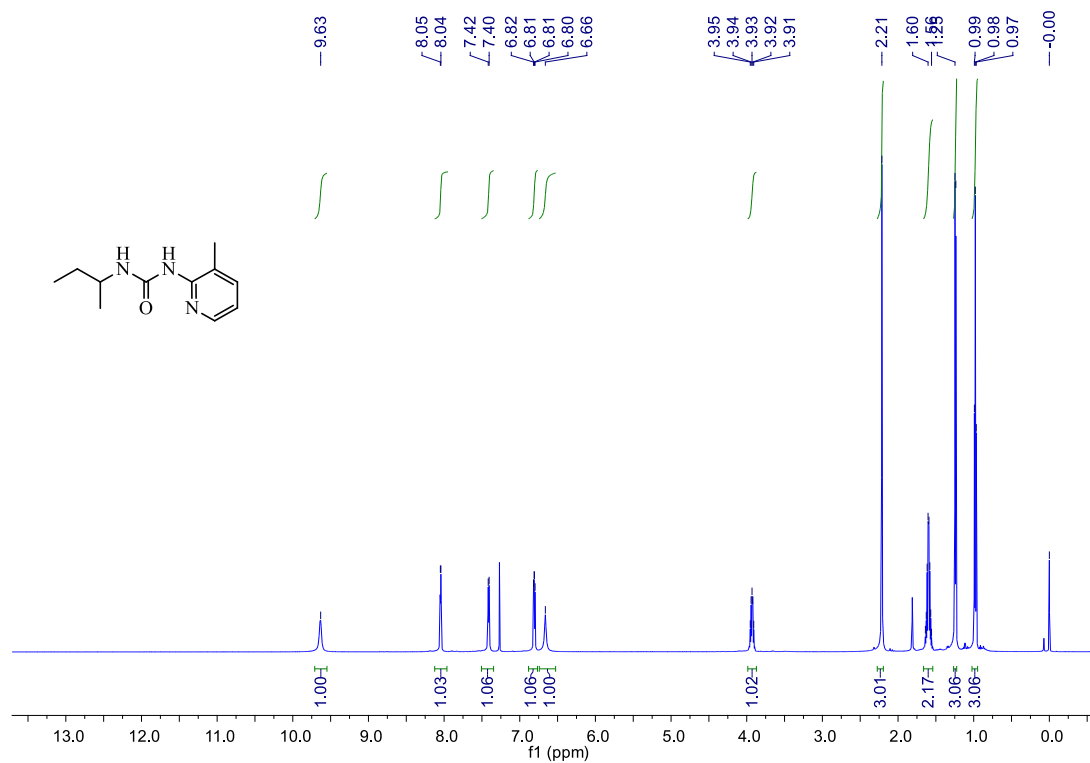
¹H NMR of 1-butyl-3-(3-methylpyridin-2-yl)urea **6H**



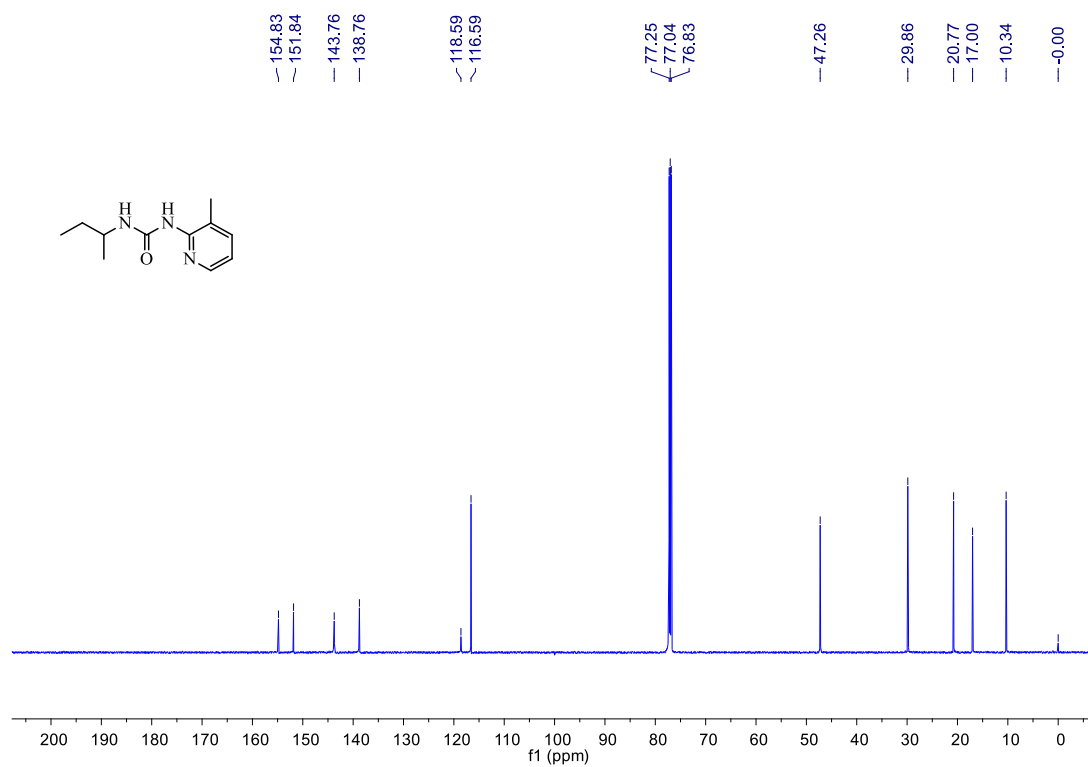
¹³C NMR of 1-butyl-3-(3-methylpyridin-2-yl)urea **6H**



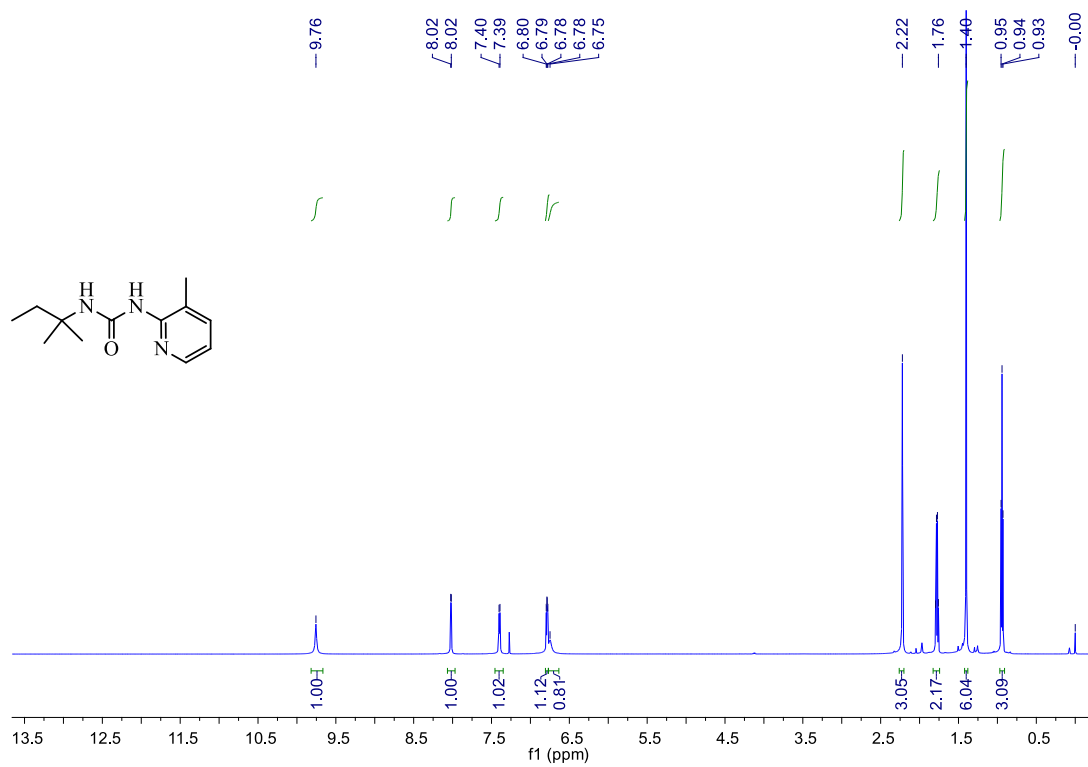
¹H NMR of 1-(sec-butyl)-3-(3-methylpyridin-2-yl)urea **6I**



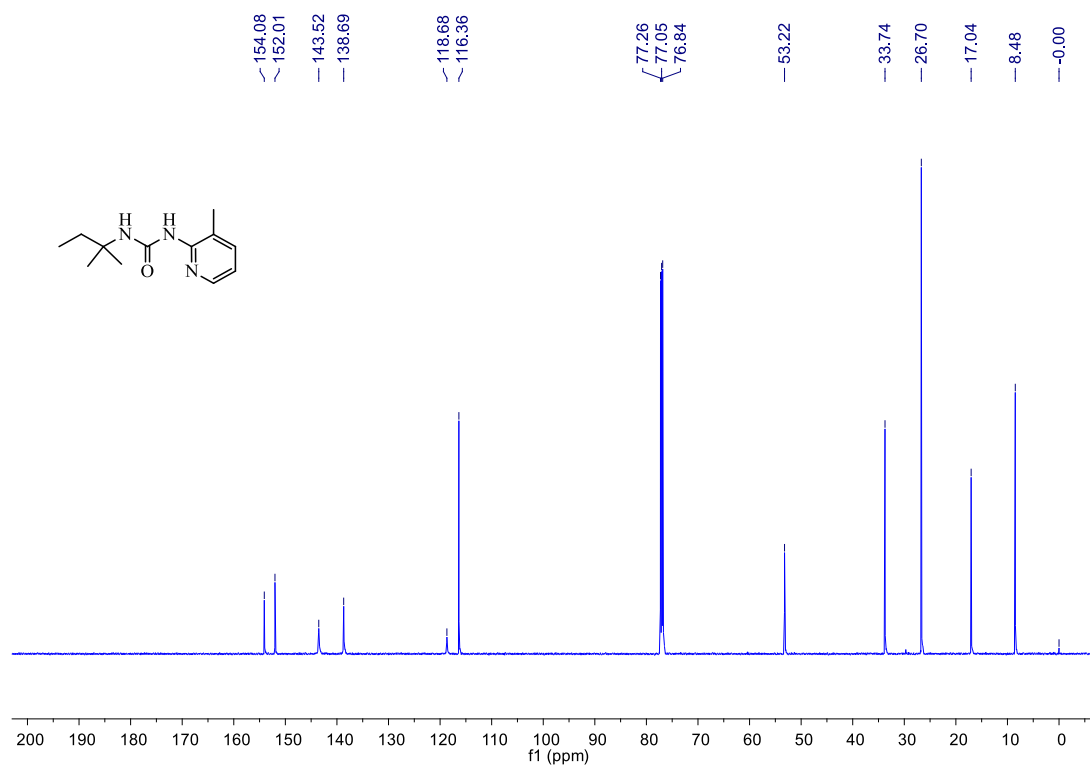
¹³C NMR of 1-(sec-butyl)-3-(3-methylpyridin-2-yl)urea **6I**



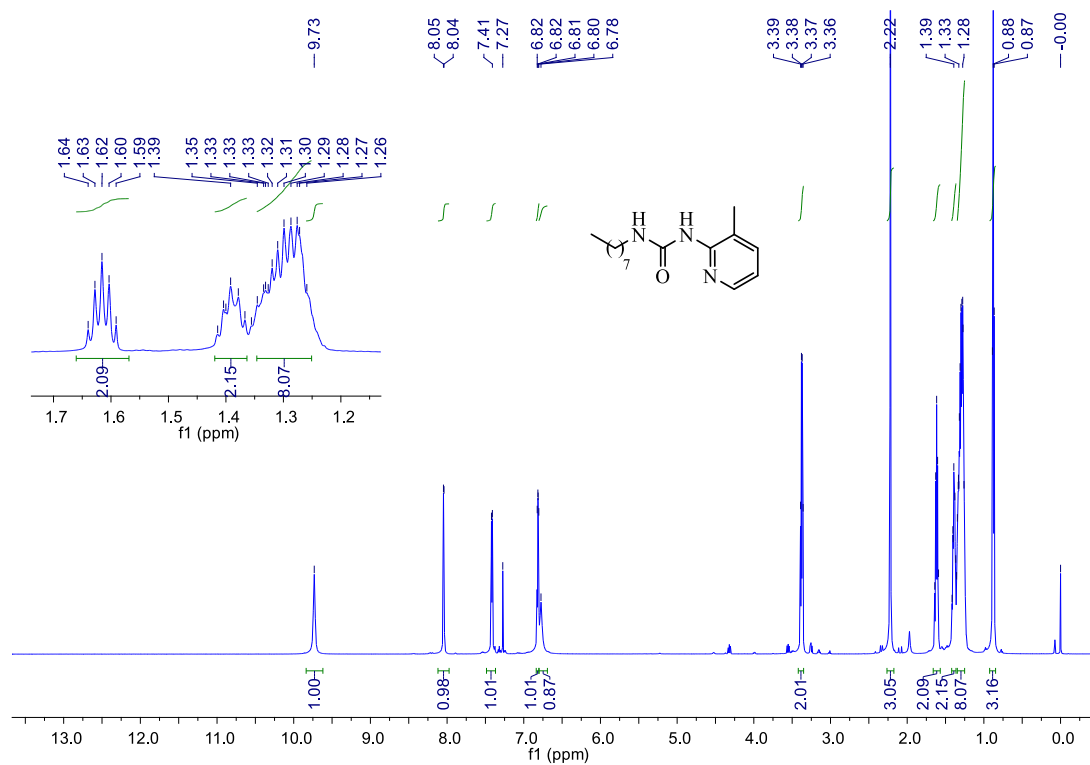
¹H NMR of 1-(3-methylpyridin-2-yl)-3-(tert-pentyl)urea **6J**



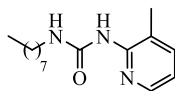
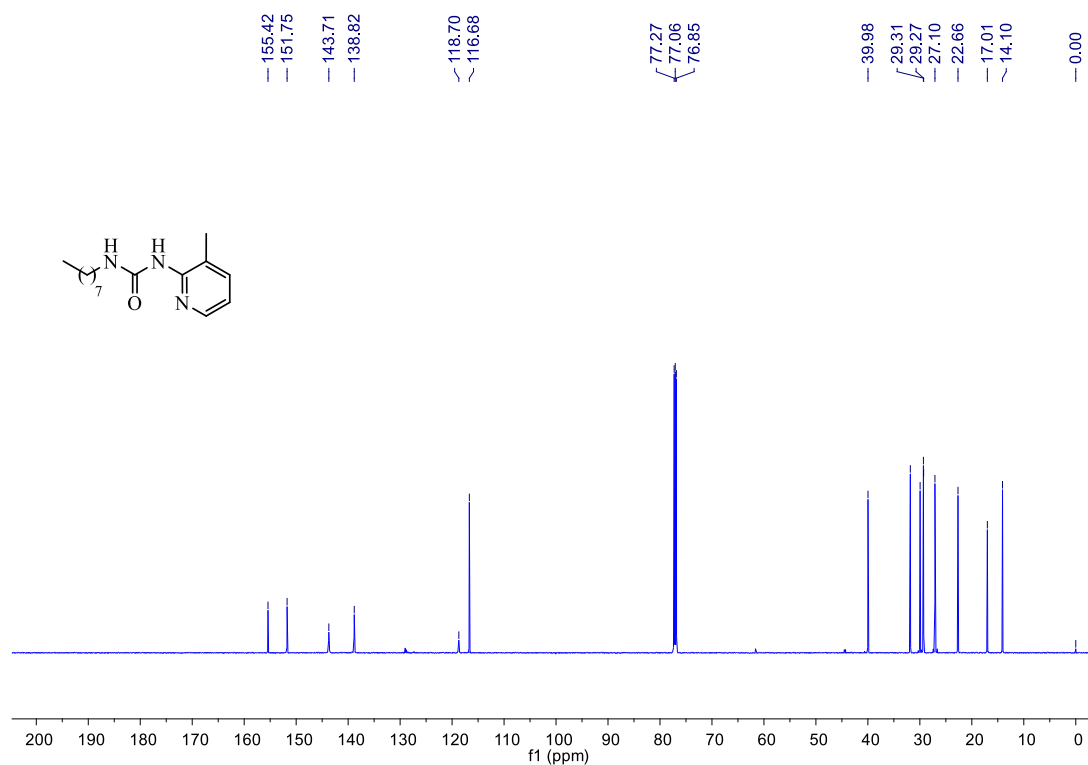
¹³C NMR of 1-(3-methylpyridin-2-yl)-3-(tert-pentyl)urea **6J**



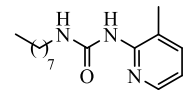
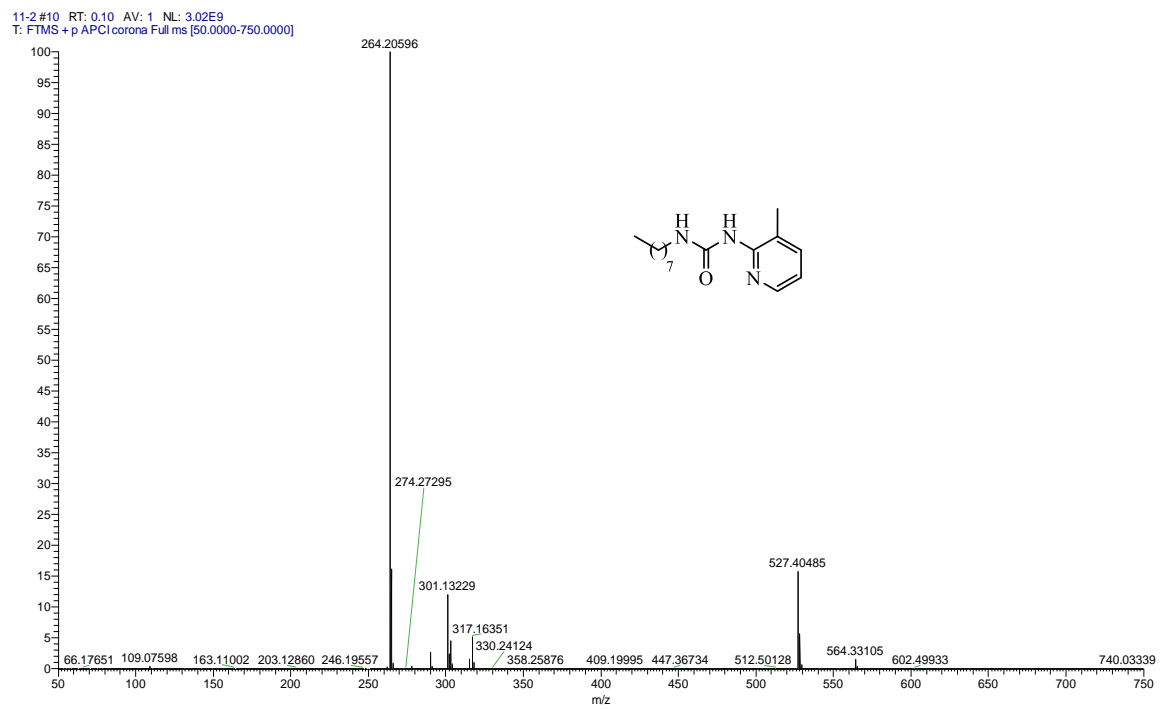
¹H NMR of 1-(3-methylpyridin-2-yl)-3-octylurea **6K**



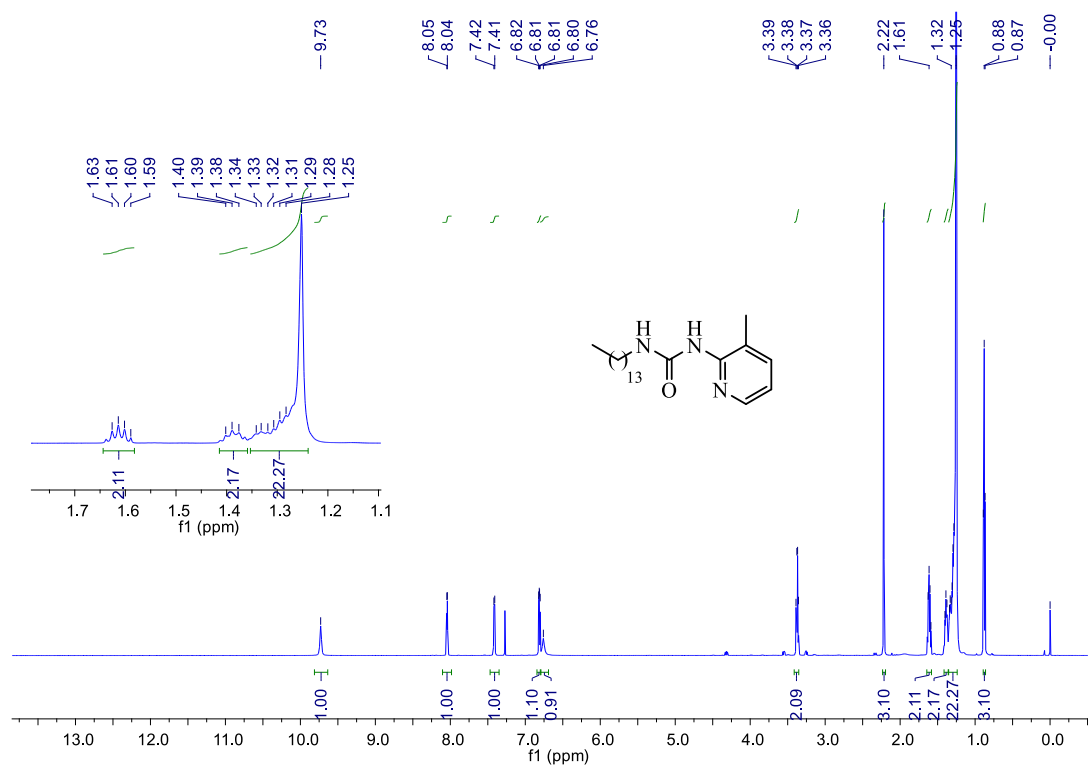
¹³C NMR of 1-(3-methylpyridin-2-yl)-3-octylurea **6K**



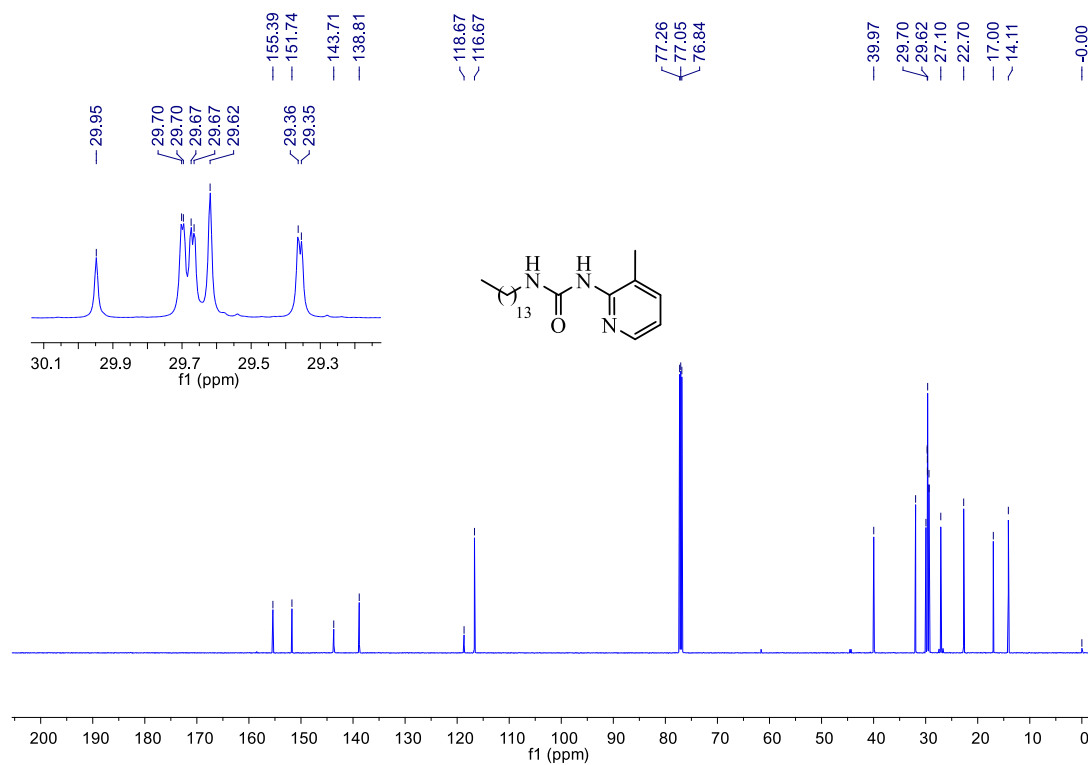
HRMS(ESI) of 1-(3-methylpyridin-2-yl)-3-octylurea **6K**



¹H NMR of 1-(3-methylpyridin-2-yl)-3-tetradecylurea **6L**

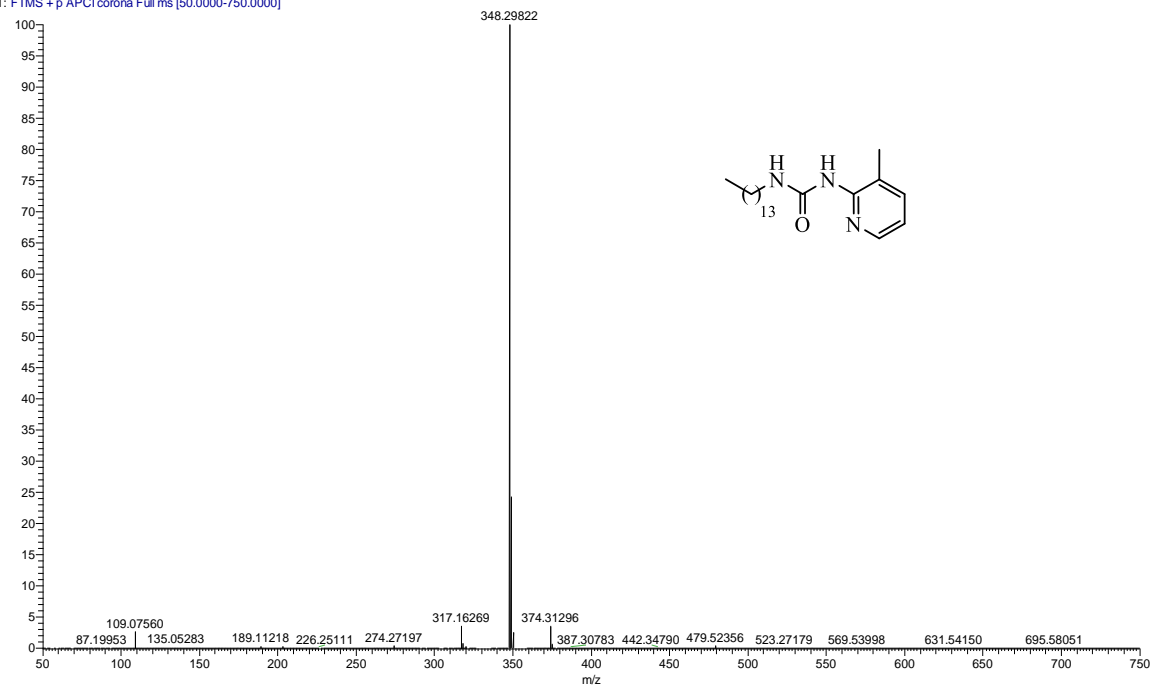


¹³C NMR of 1-(3-methylpyridin-2-yl)-3-tetradecylurea **6L**

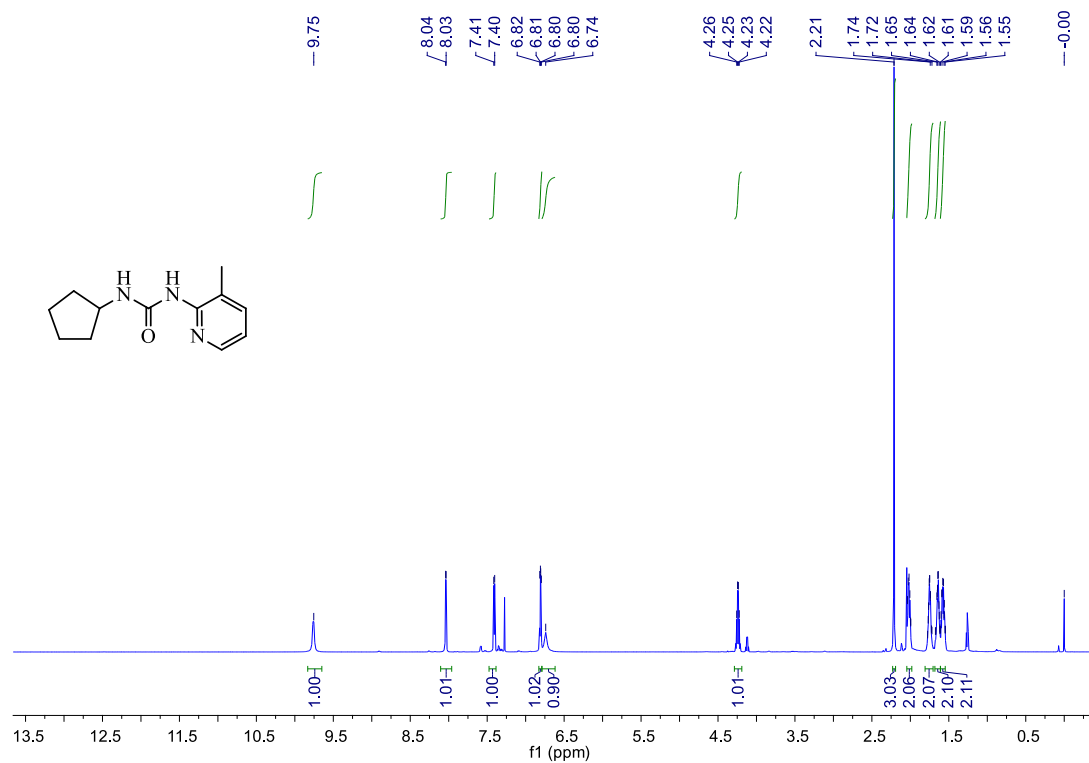


HRMS(ESI) of 1-(3-methylpyridin-2-yl)-3-tetradecylurea **6L**

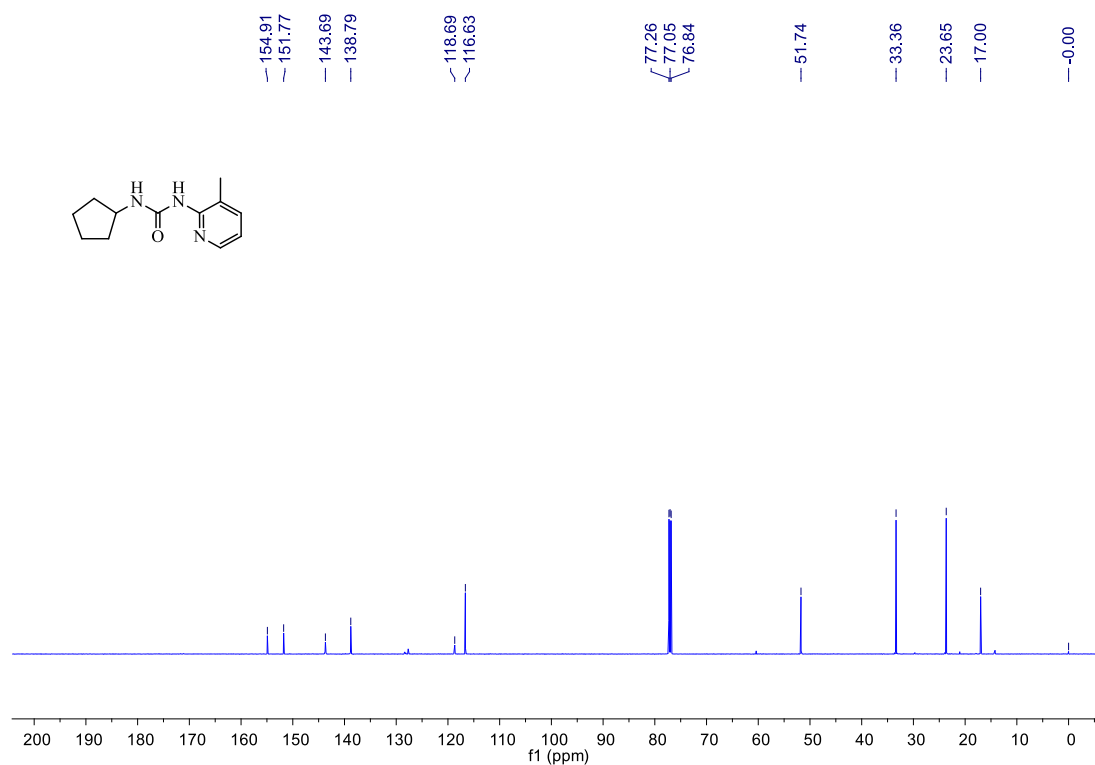
11-3 #10 RT: 0.10 AV: 1 NL: 2.51E8
T: FTMS + p APCI corona Full ms [50.0000-750.0000]



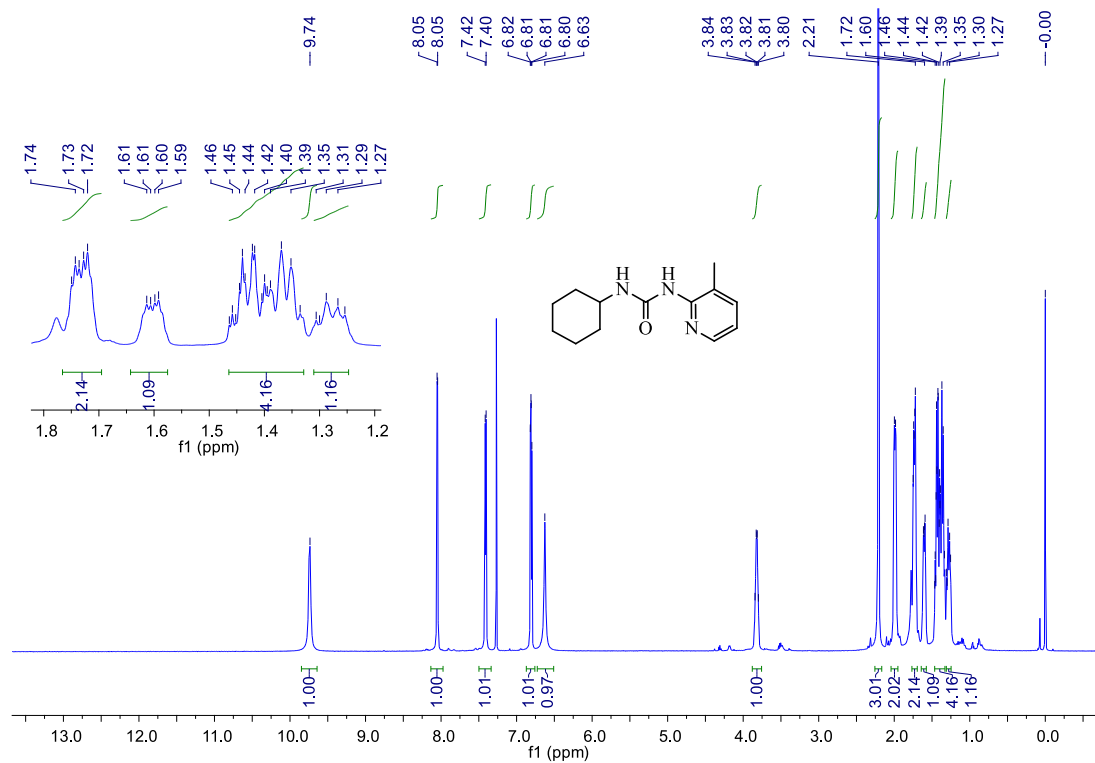
^1H NMR of 1-cyclopentyl-3-(3-methylpyridin-2-yl)urea **6M**



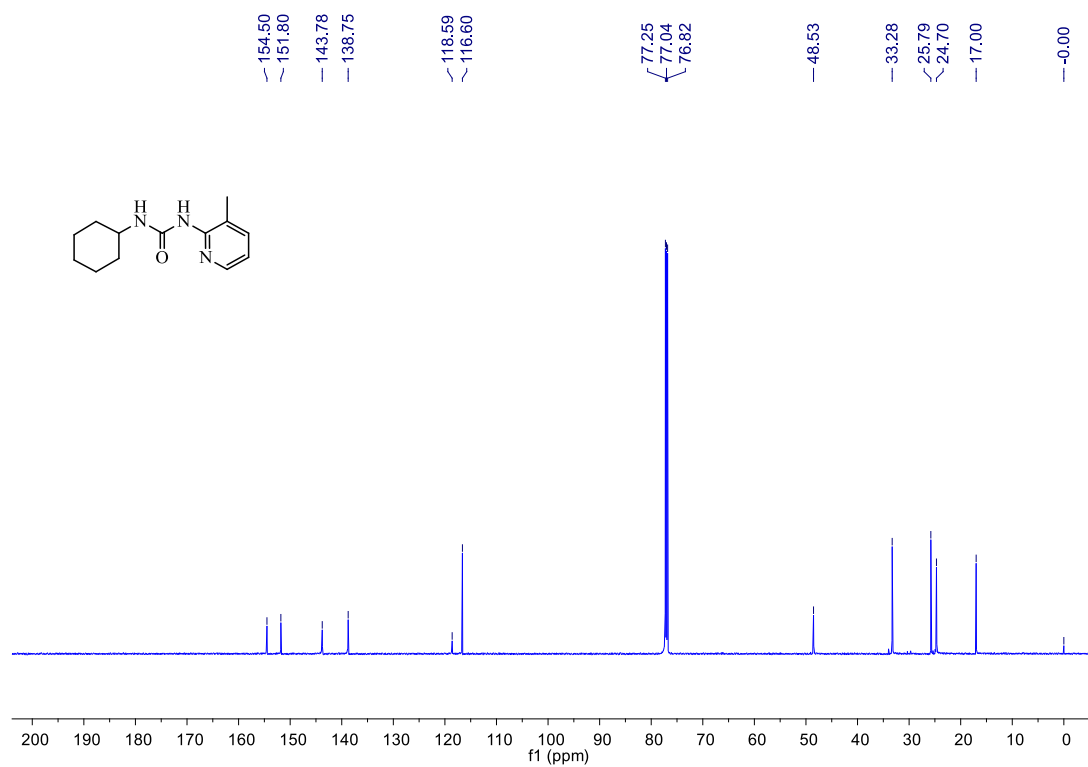
¹³C NMR of 1-cyclopentyl-3-(3-methylpyridin-2-yl)urea **6M**



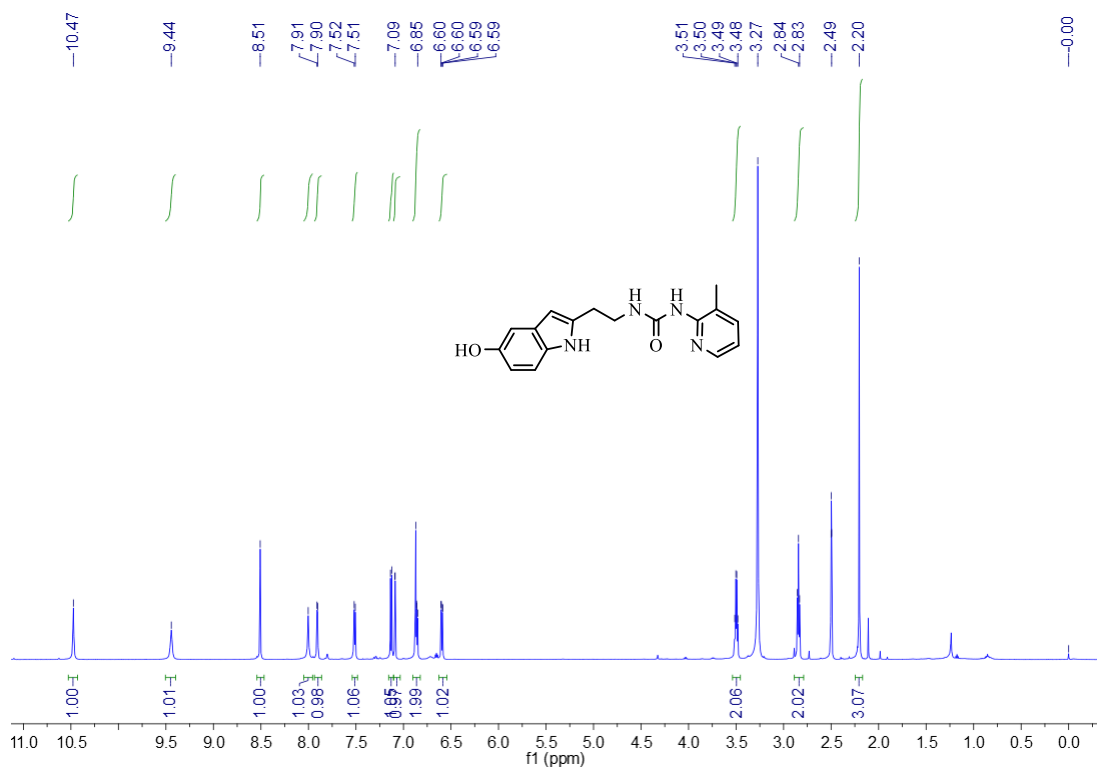
¹H NMR of 1-(sec-butyl)-3-(3-methylpyridin-2-yl)urea **6N**



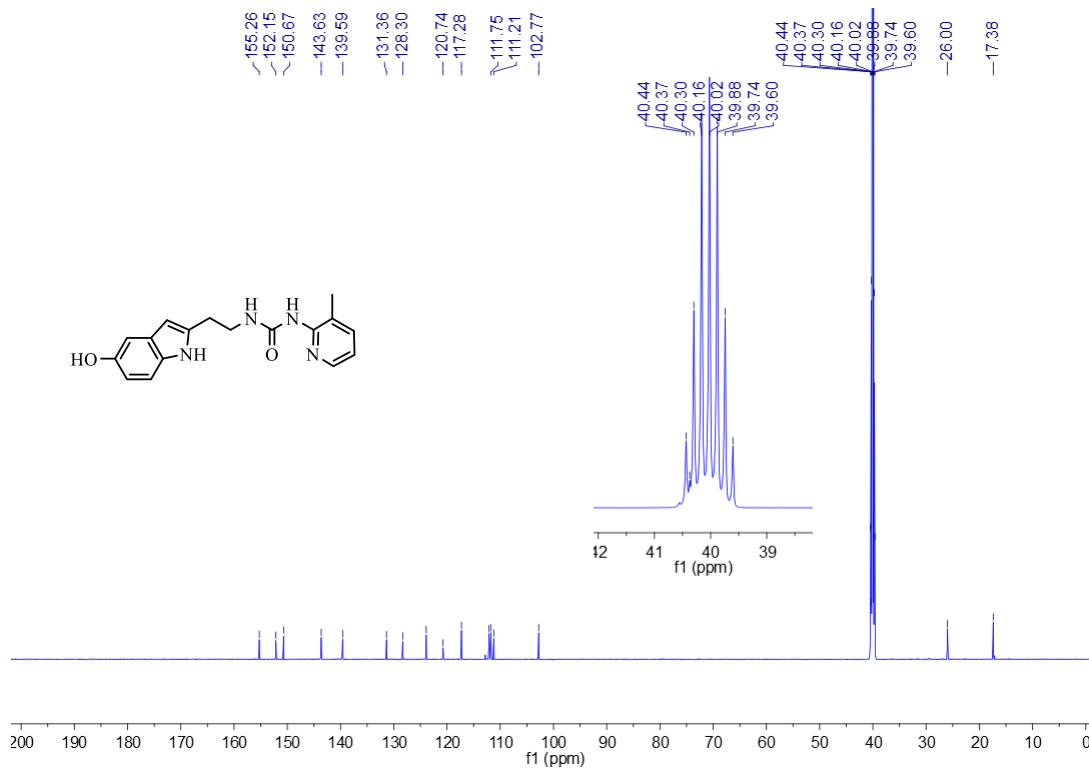
¹³C NMR of 1-(sec-butyl)-3-(3-methylpyridin-2-yl)urea **6N**



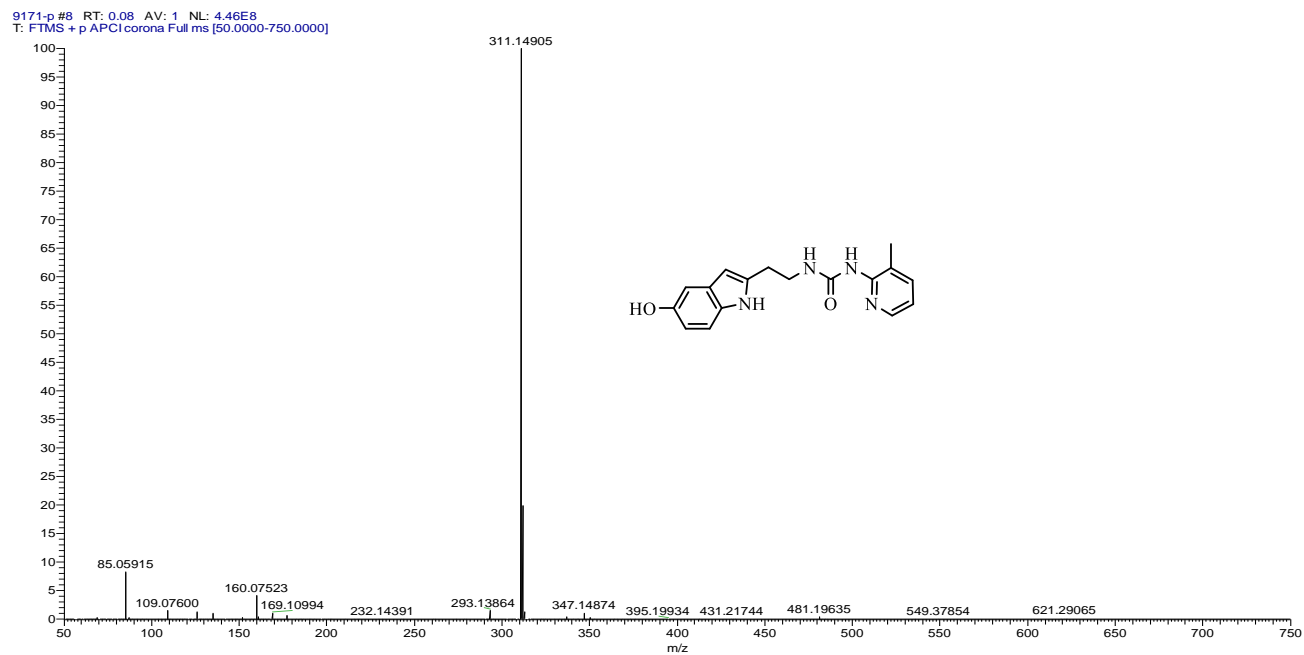
¹H NMR of 1-(2-(5-hydroxy-1H-indol-2-yl)ethyl)-3-(3-methylpyridin-2-yl)urea **6O**



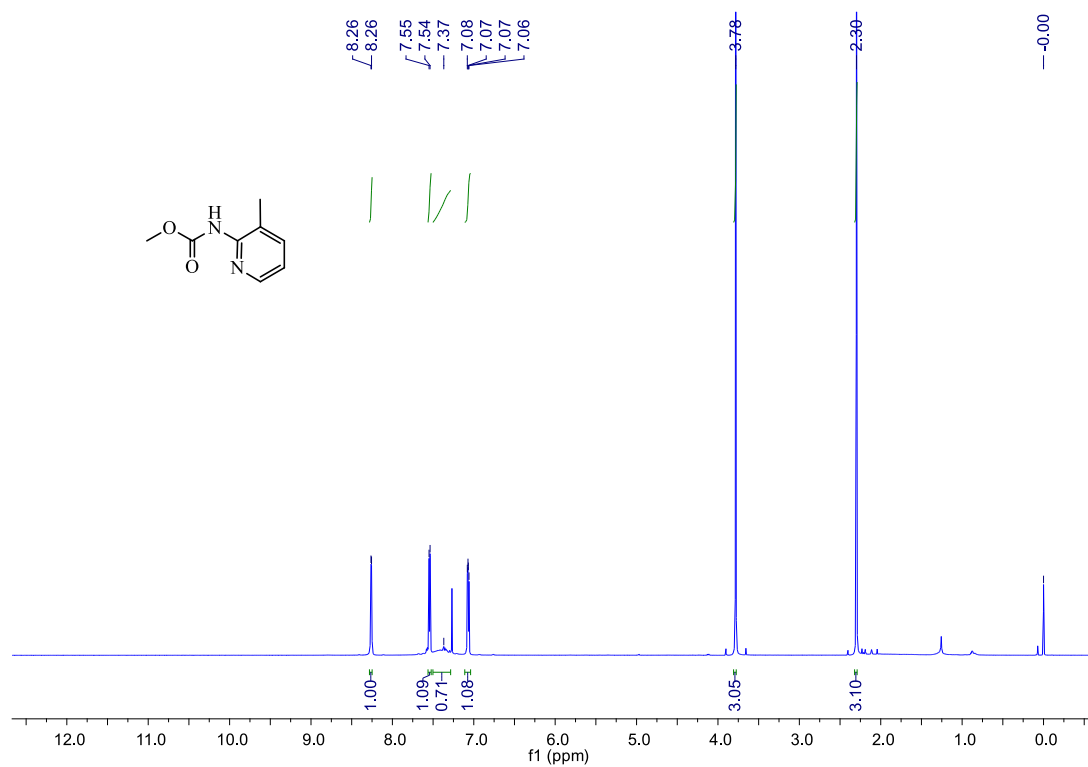
¹³C NMR of 1-(2-(5-hydroxy-1H-indol-2-yl)ethyl)-3-(3-methylpyridin-2-yl)urea **60**



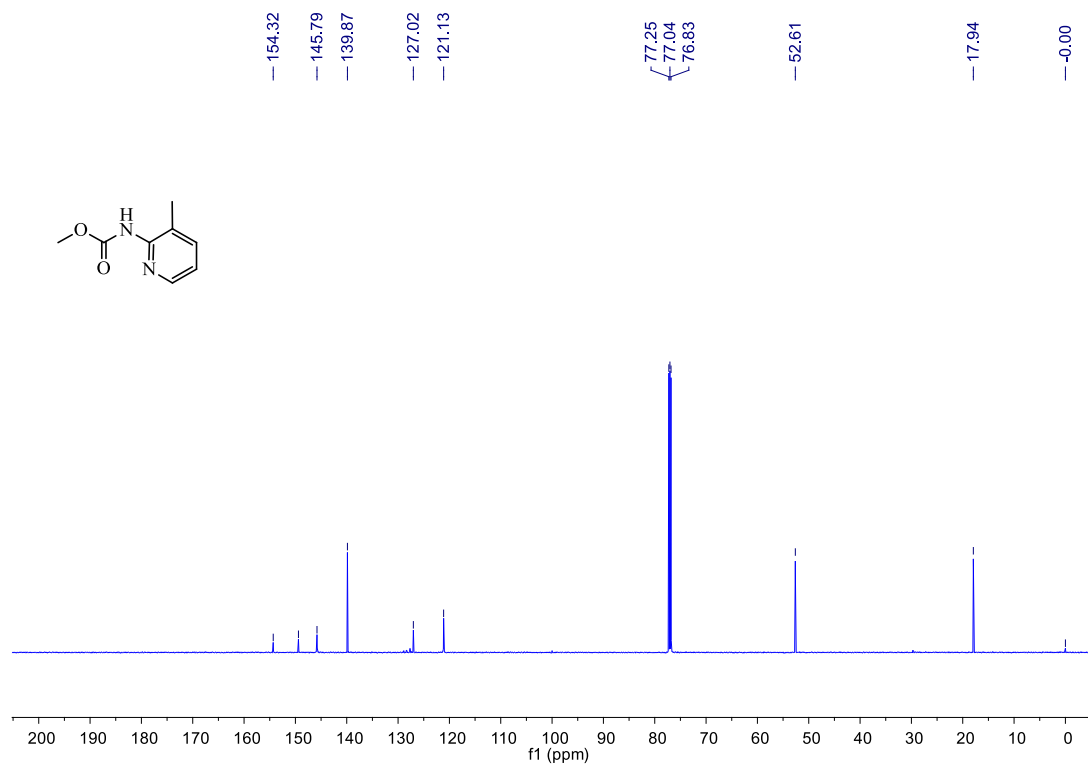
HRMS(ESI) of 1-(2-(5-hydroxy-1H-indol-2-yl)ethyl)-3-(3-methylpyridin-2-yl)urea **60**



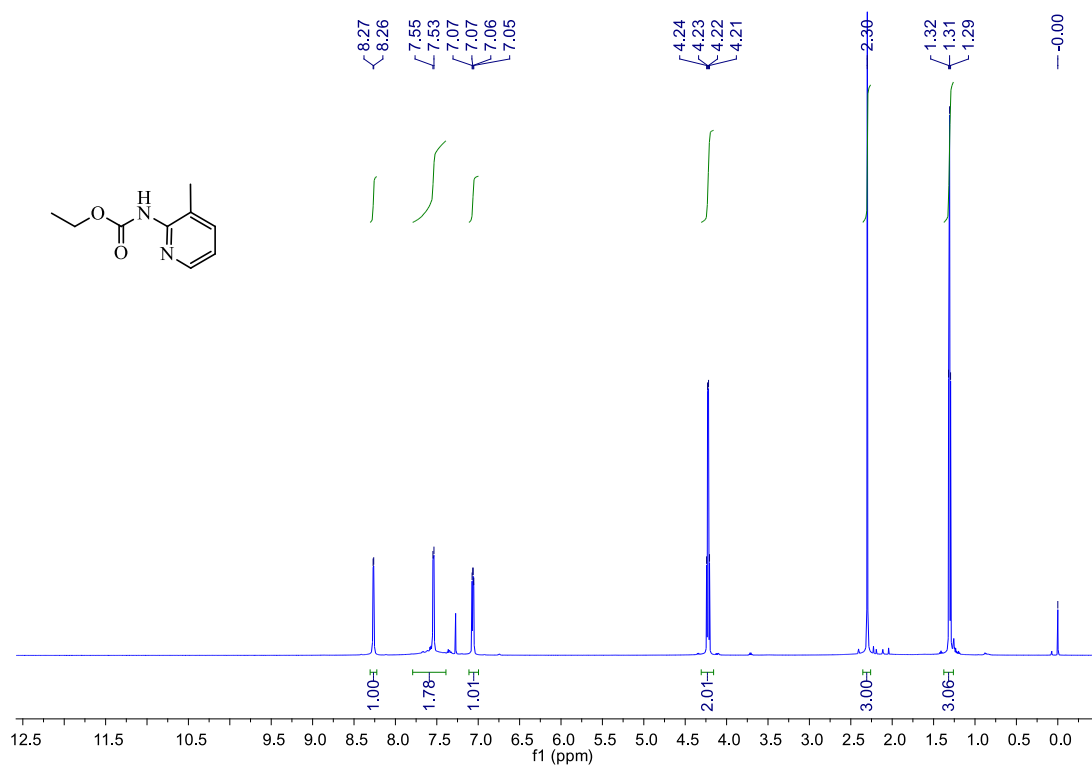
¹H NMR of methyl (3-methylpyridin-2-yl)carbamate **7a**



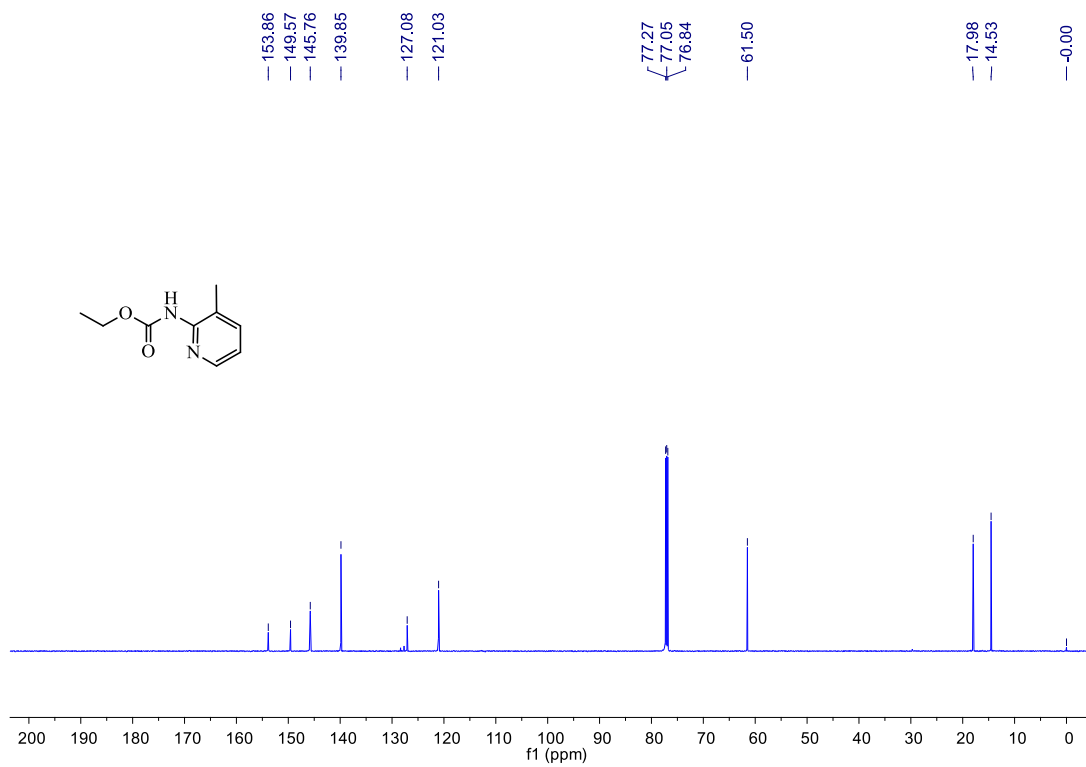
¹³C NMR of methyl (3-methylpyridin-2-yl)carbamate **7a**



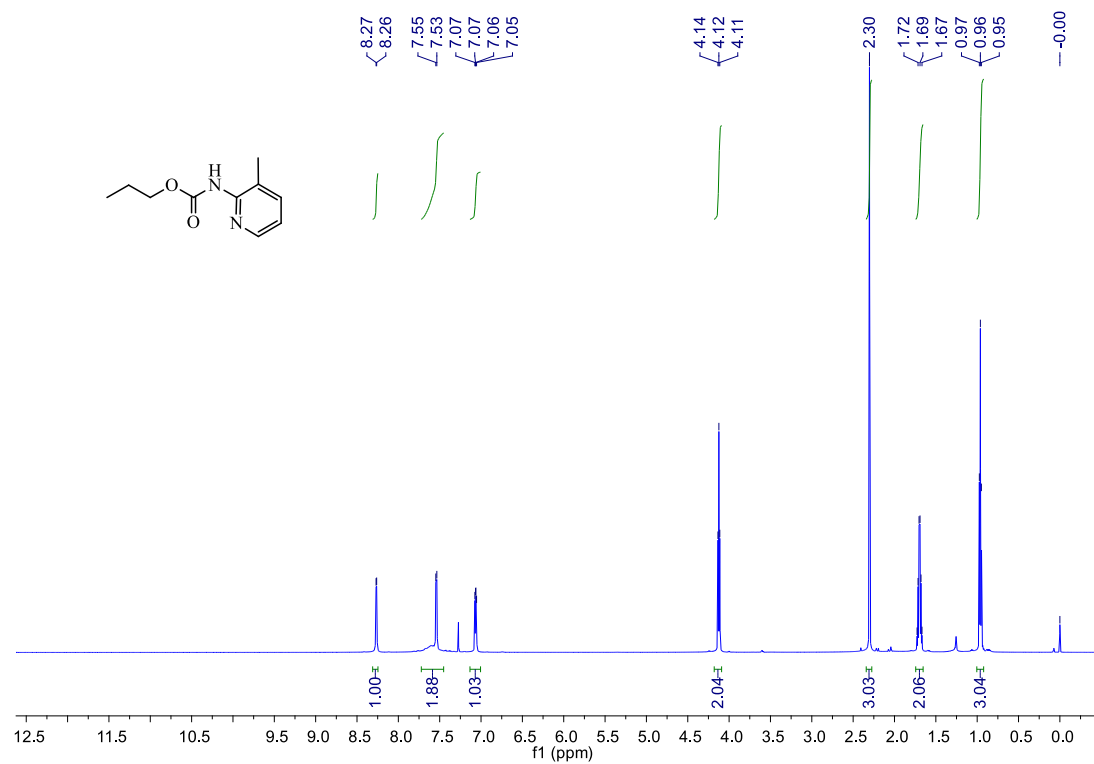
¹H NMR of ethyl (3-methylpyridin-2-yl)carbamate **7b**



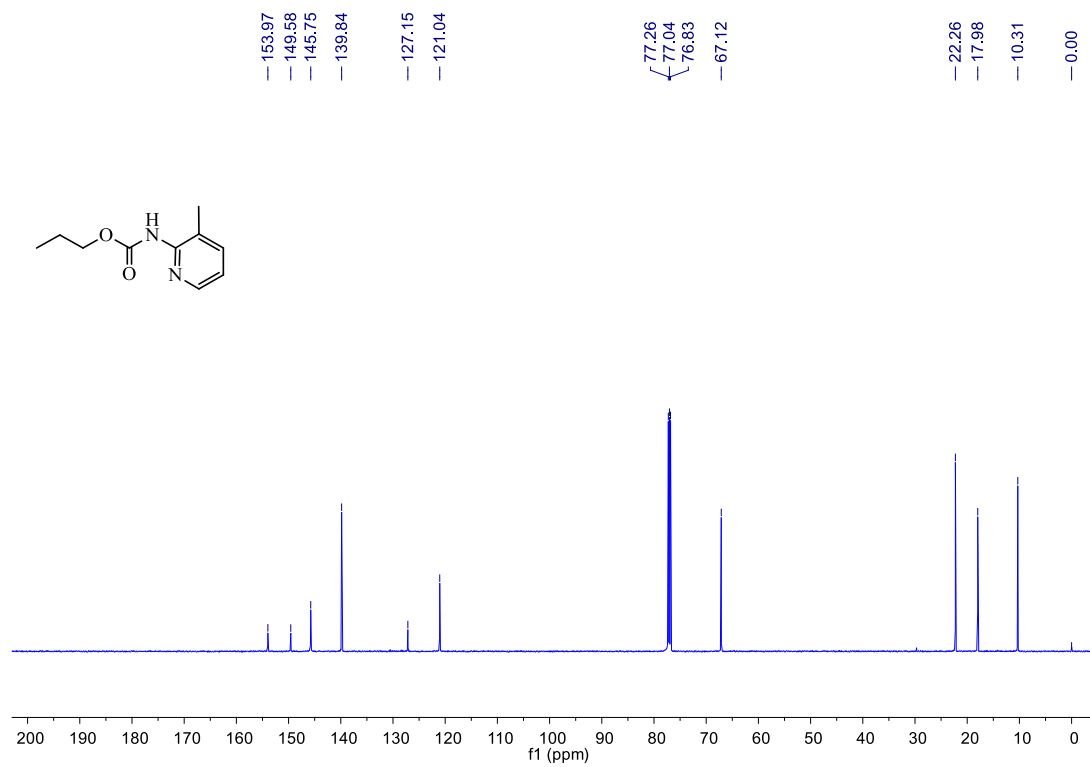
¹³C NMR of ethyl (3-methylpyridin-2-yl)carbamate **7b**



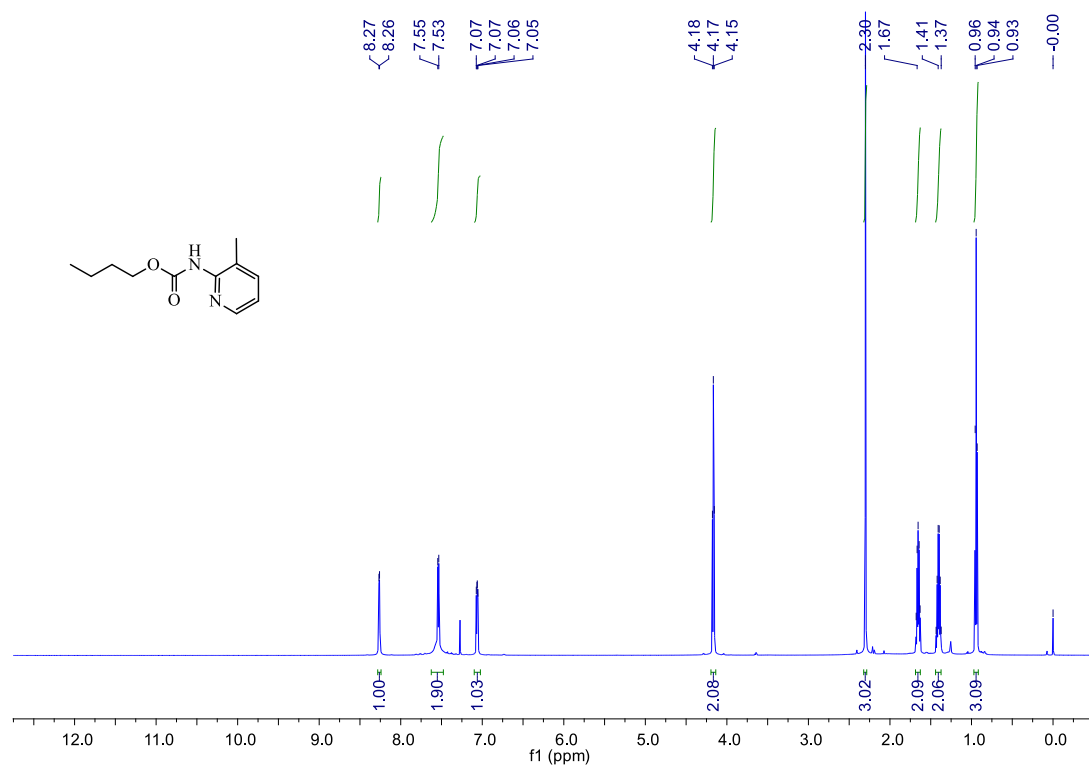
¹H NMR of propyl (3-methylpyridin-2-yl)carbamate **7c**



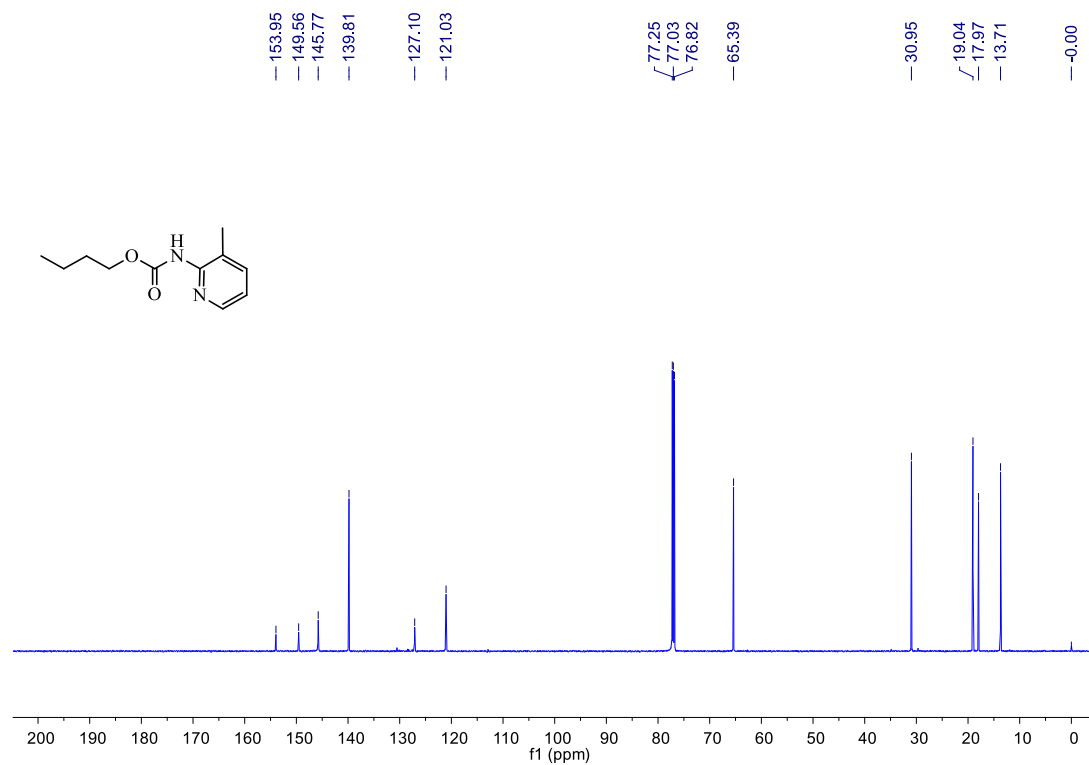
¹³C NMR of propyl (3-methylpyridin-2-yl)carbamate **7c**



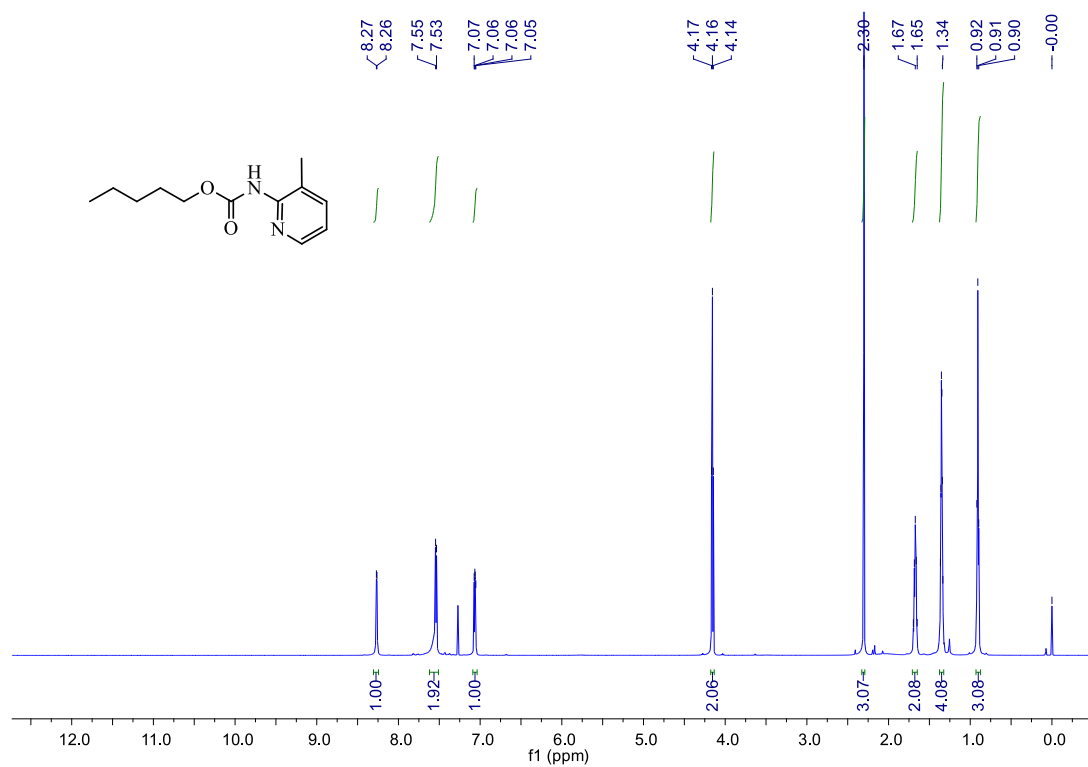
¹H NMR of butyl (3-methylpyridin-2-yl)carbamate **7d**



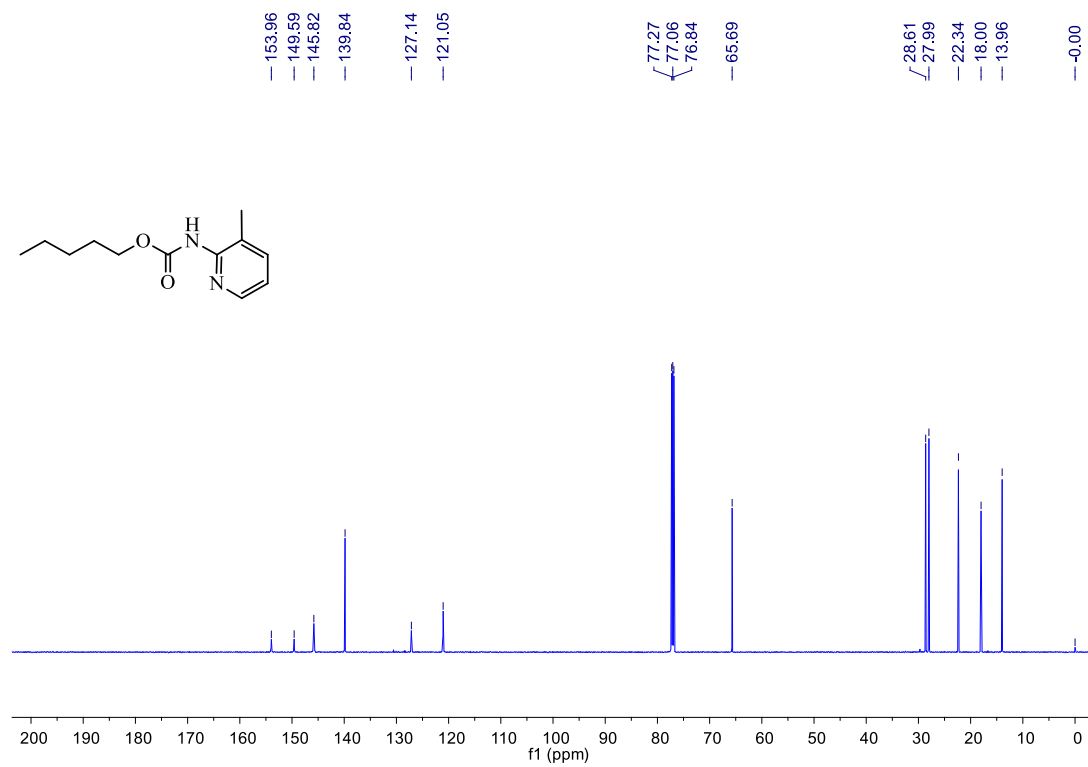
¹³C NMR of butyl (3-methylpyridin-2-yl)carbamate **7d**



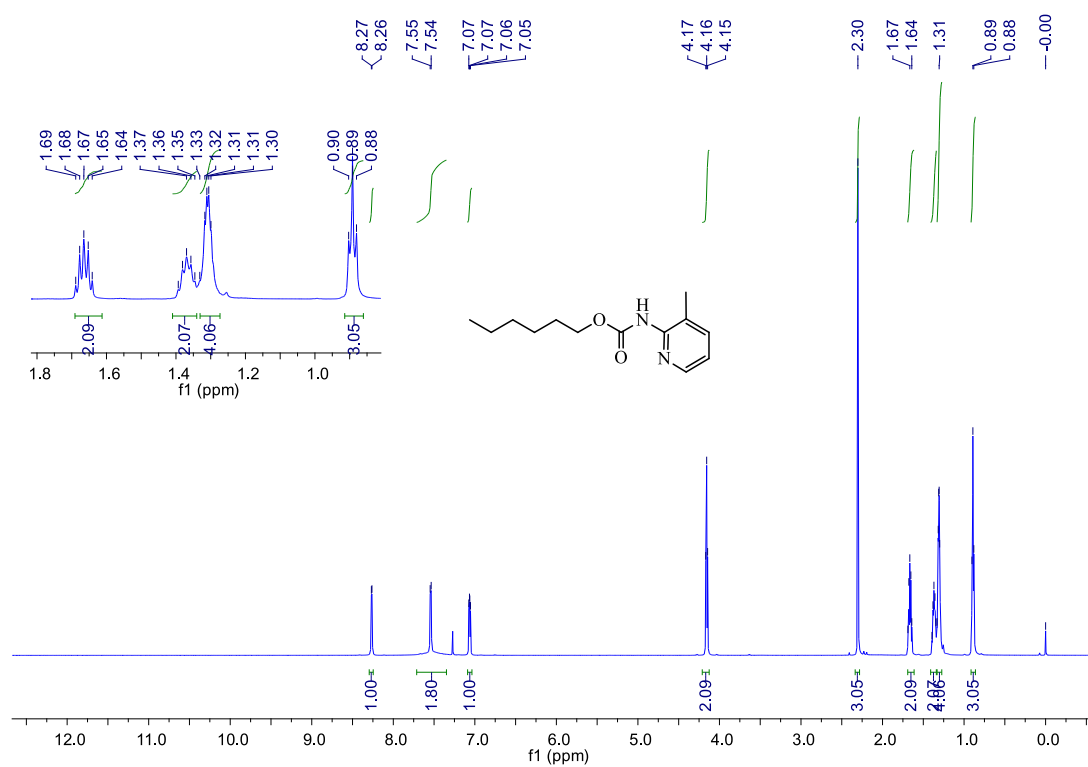
¹H NMR of pentyl (3-methylpyridin-2-yl)carbamate **7e**



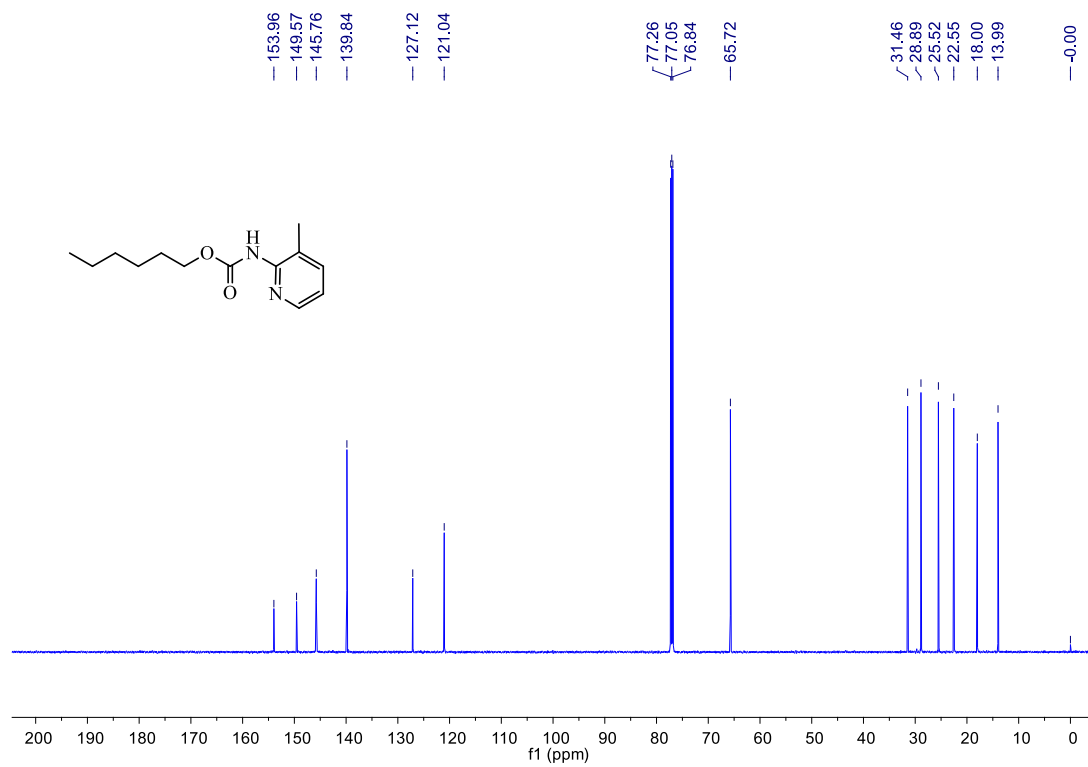
¹³C NMR of pentyl (3-methylpyridin-2-yl)carbamate **7e**



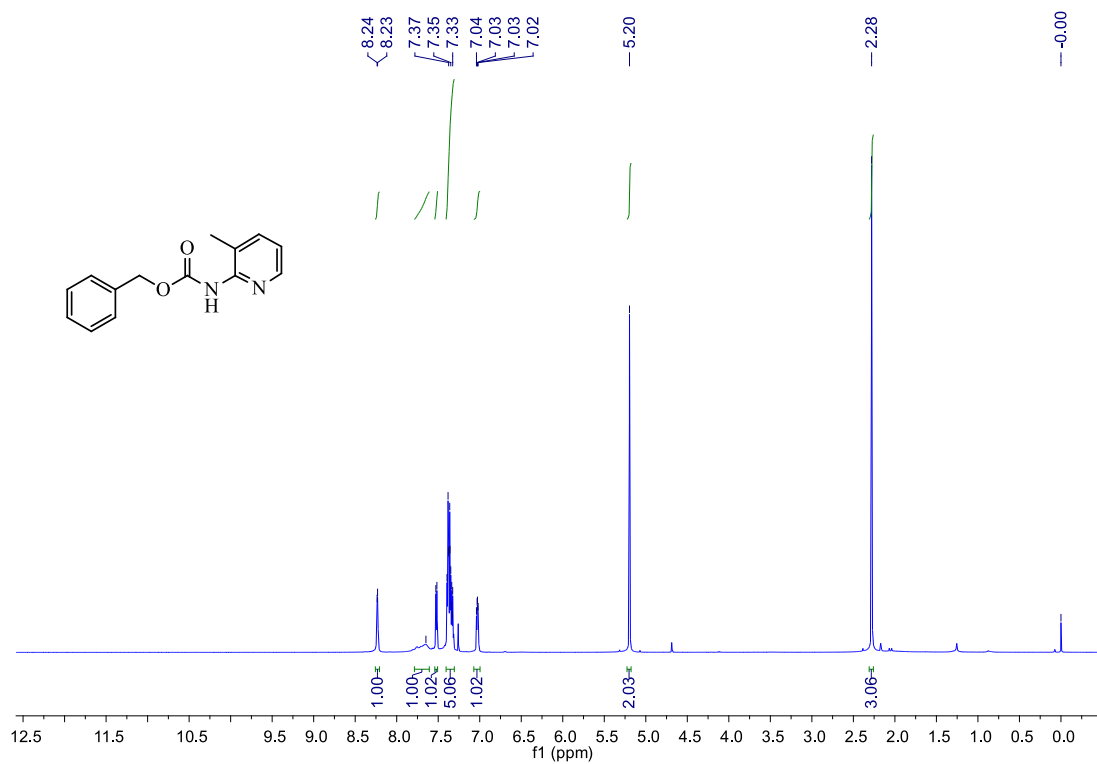
¹H NMR of hexyl (3-methylpyridin-2-yl)carbamate **7f**



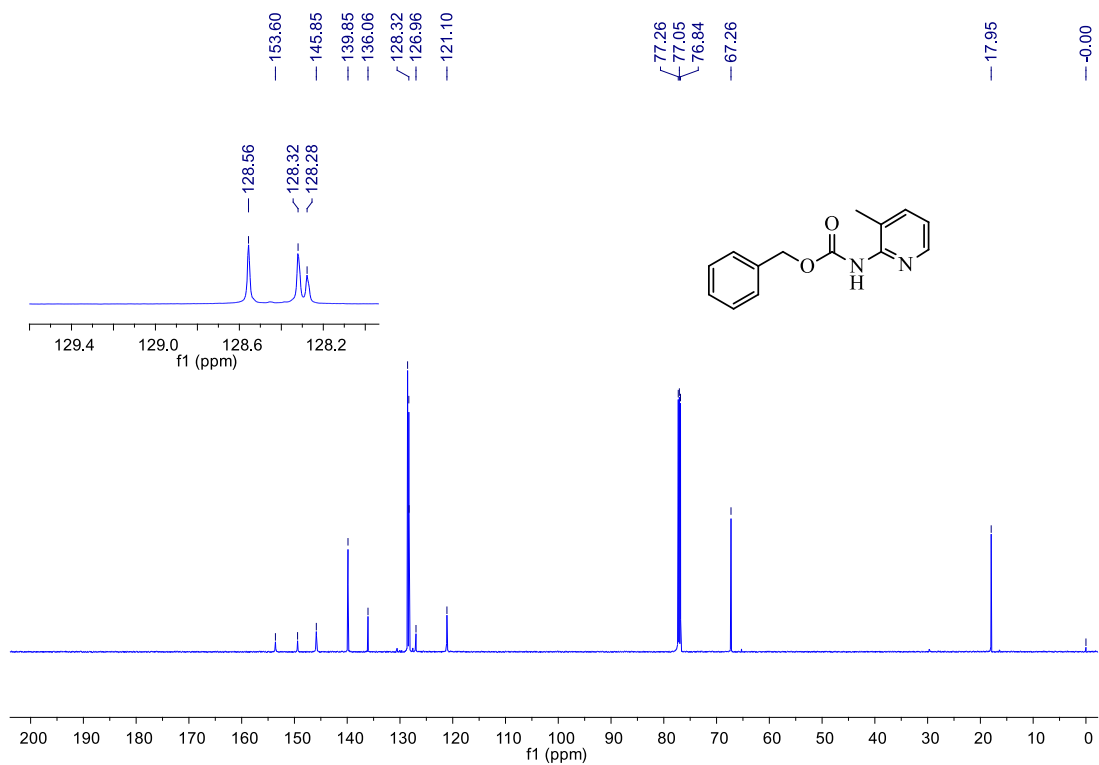
¹³C NMR of hexyl (3-methylpyridin-2-yl)carbamate **7f**



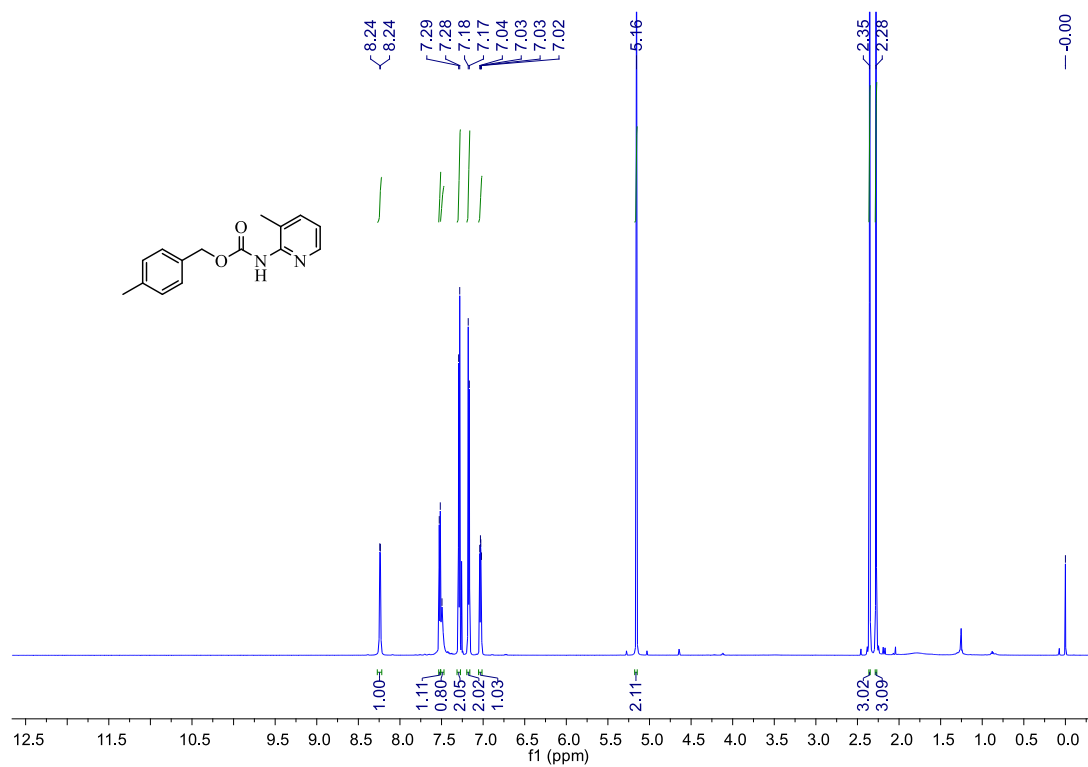
¹H NMR of benzyl (3-methylpyridin-2-yl)carbamate **7g**



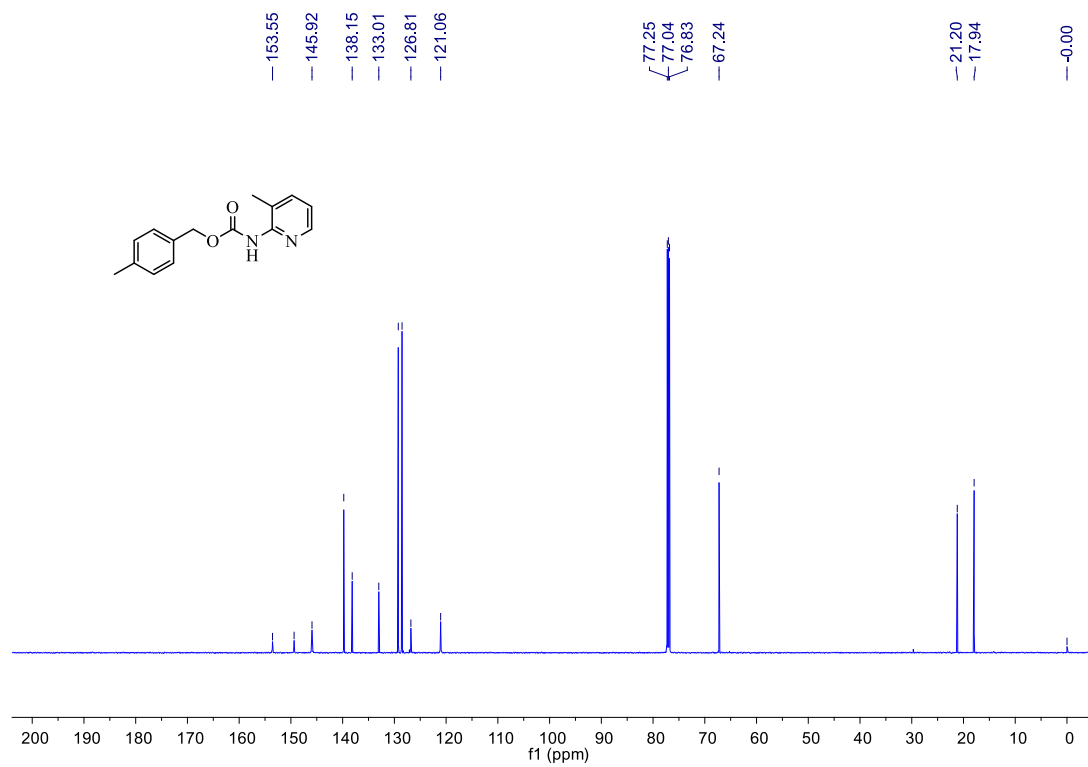
¹³C NMR of benzyl (3-methylpyridin-2-yl)carbamate **7g**



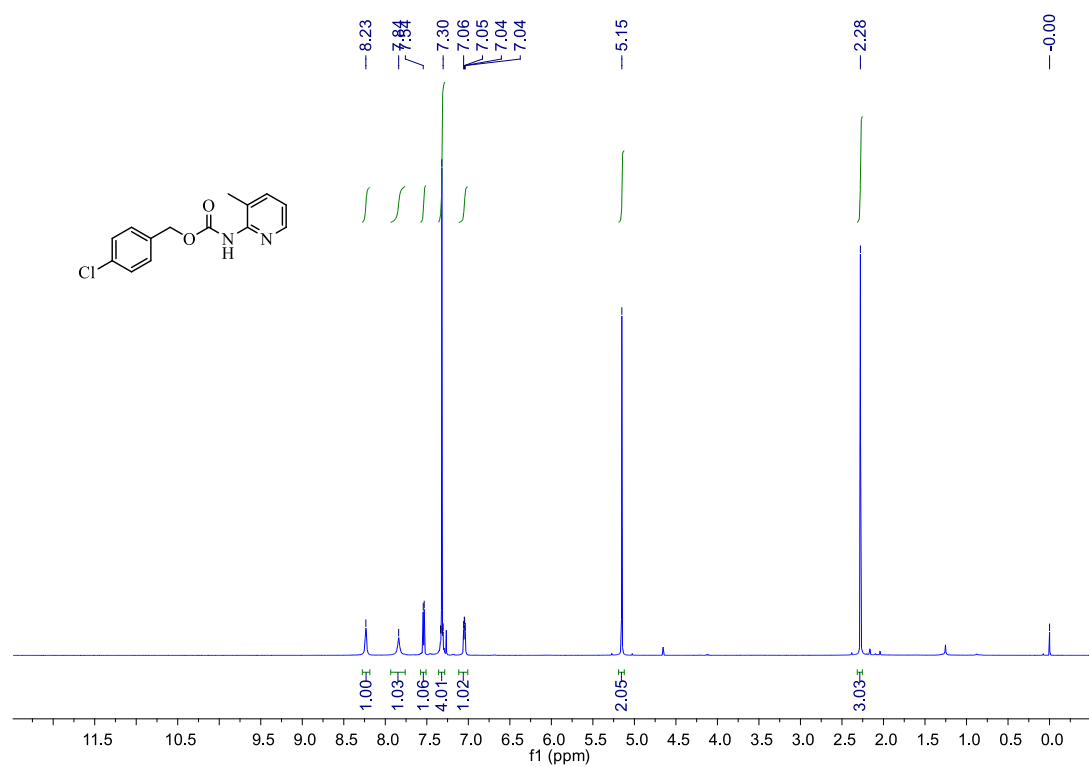
¹H NMR of 4-methylbenzyl (3-methylpyridin-2-yl)carbamate **7h**



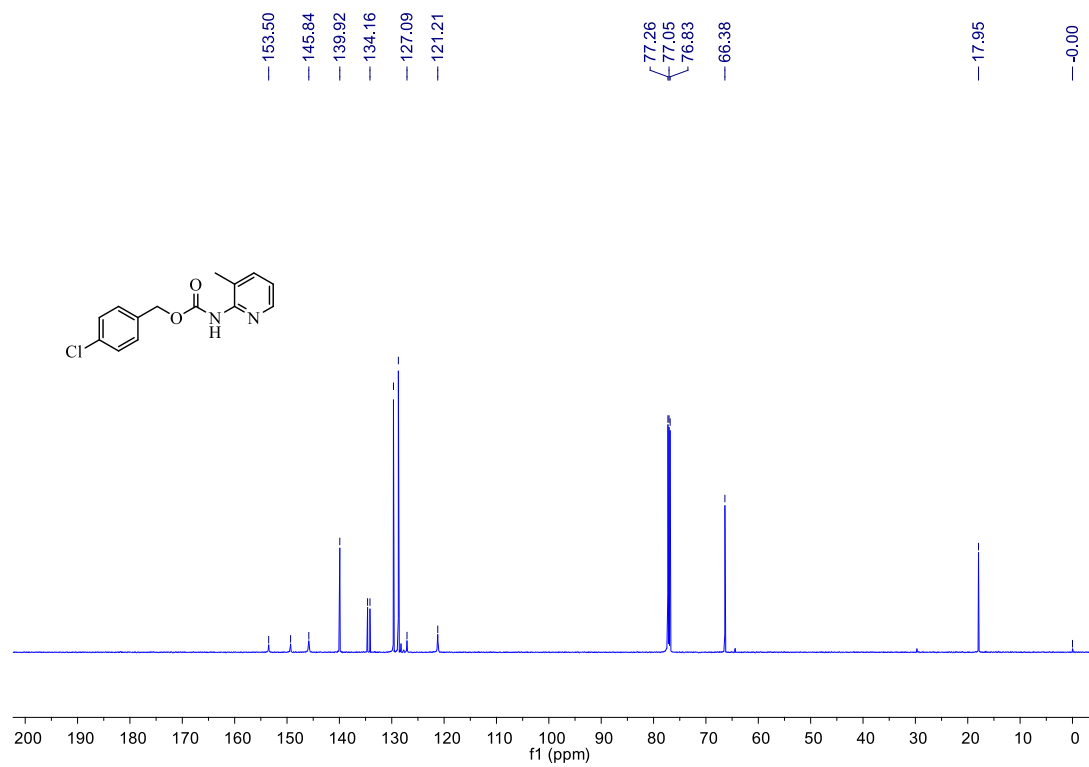
¹³C NMR of 4-methylbenzyl (3-methylpyridin-2-yl)carbamate **7h**



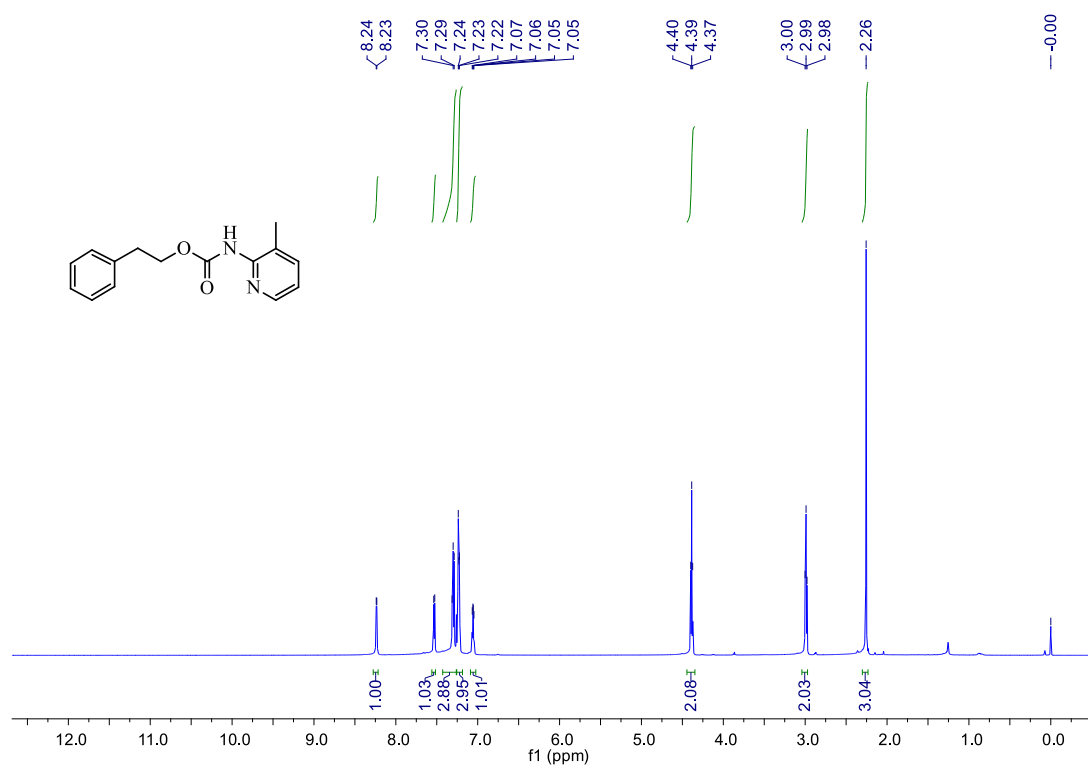
¹H NMR of 4-chlorobenzyl (3-methylpyridin-2-yl)carbamate **7i**



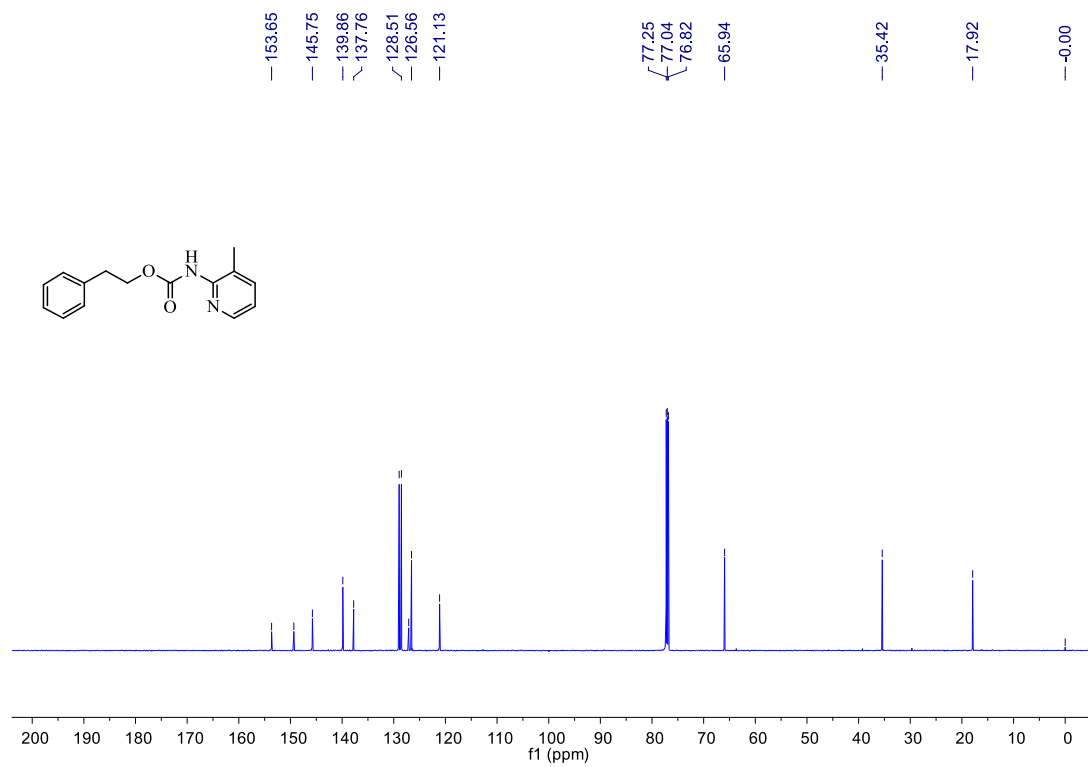
¹³C NMR of 4-chlorobenzyl (3-methylpyridin-2-yl)carbamate **7i**



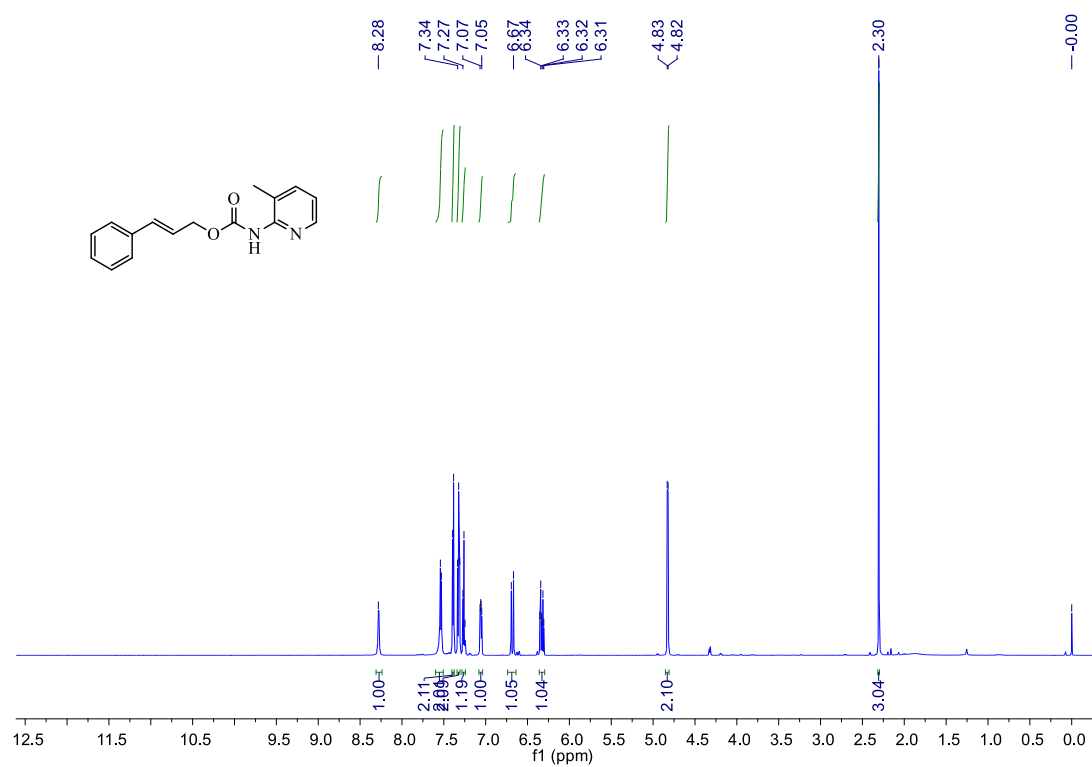
¹H NMR of phenethyl (3-methylpyridin-2-yl)carbamate **7j**



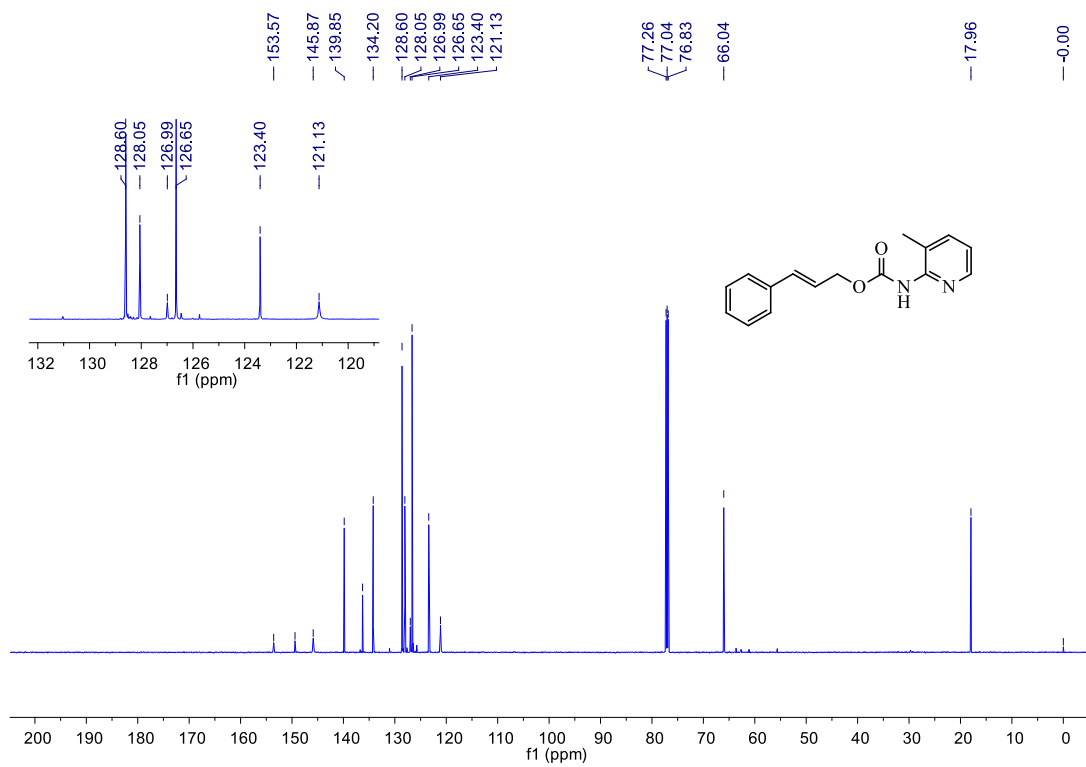
¹³C NMR of phenethyl (3-methylpyridin-2-yl)carbamate **7j**



¹H NMR of cinnamyl (3-methylpyridin-2-yl)carbamate **7k**

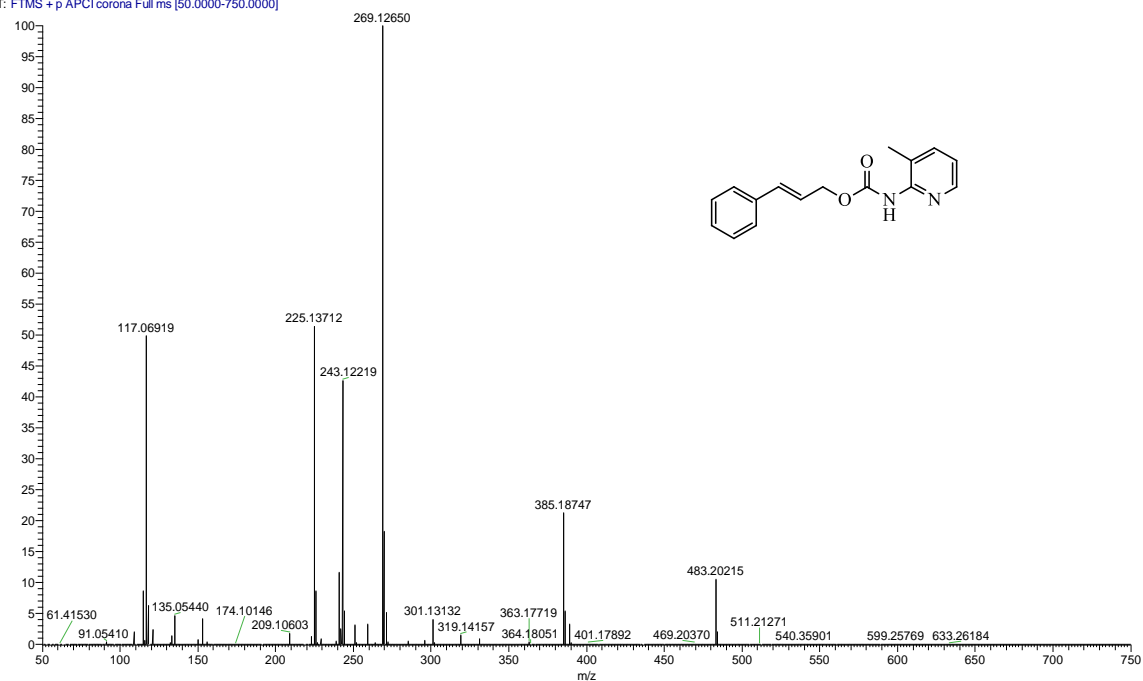


¹³C NMR of cinnamyl (3-methylpyridin-2-yl)carbamate **7k**

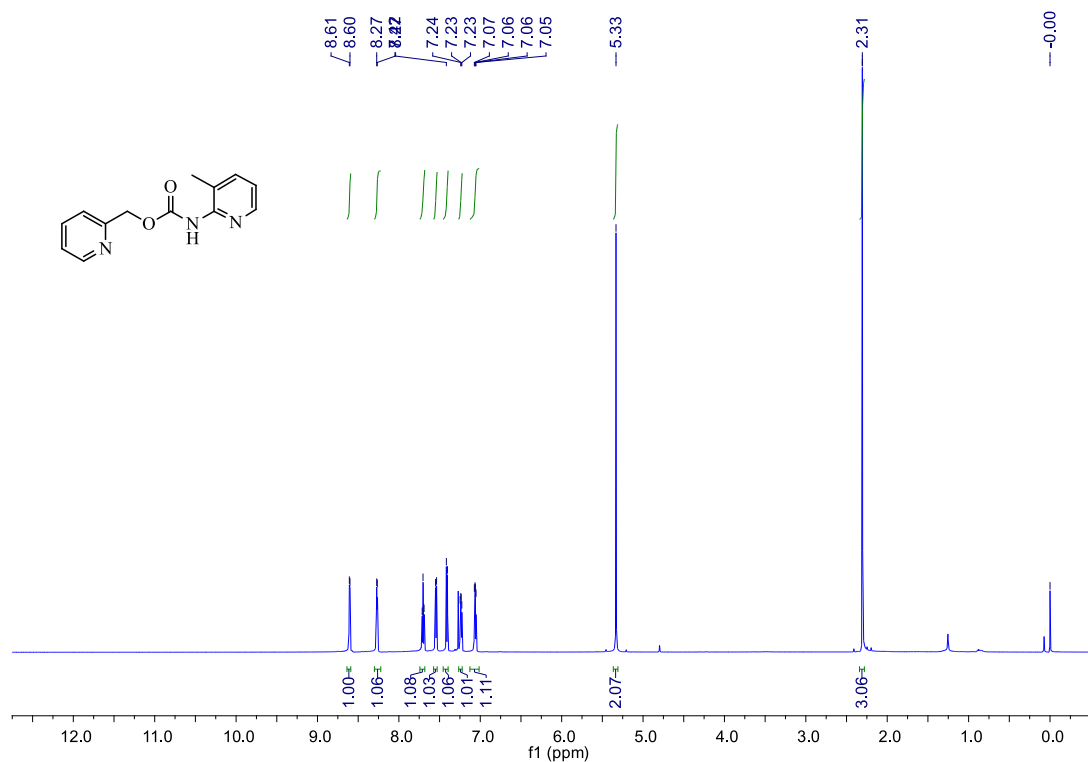


HRMS(ESI) of cinnamyl (3-methylpyridin-2-yl)carbamate **7k**

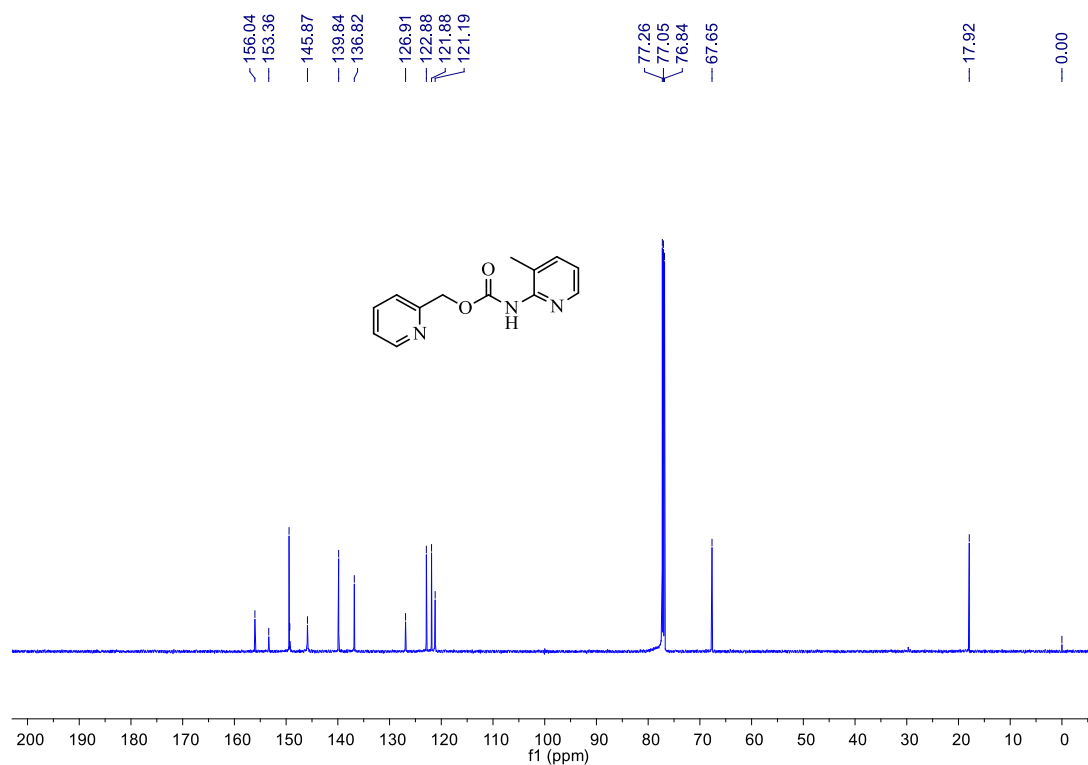
11-5 #10 RT: 0.10 AV: 1 NL: 6.45E8
T: FTMS + p APCI corona Full ms [50.0000-750.0000]



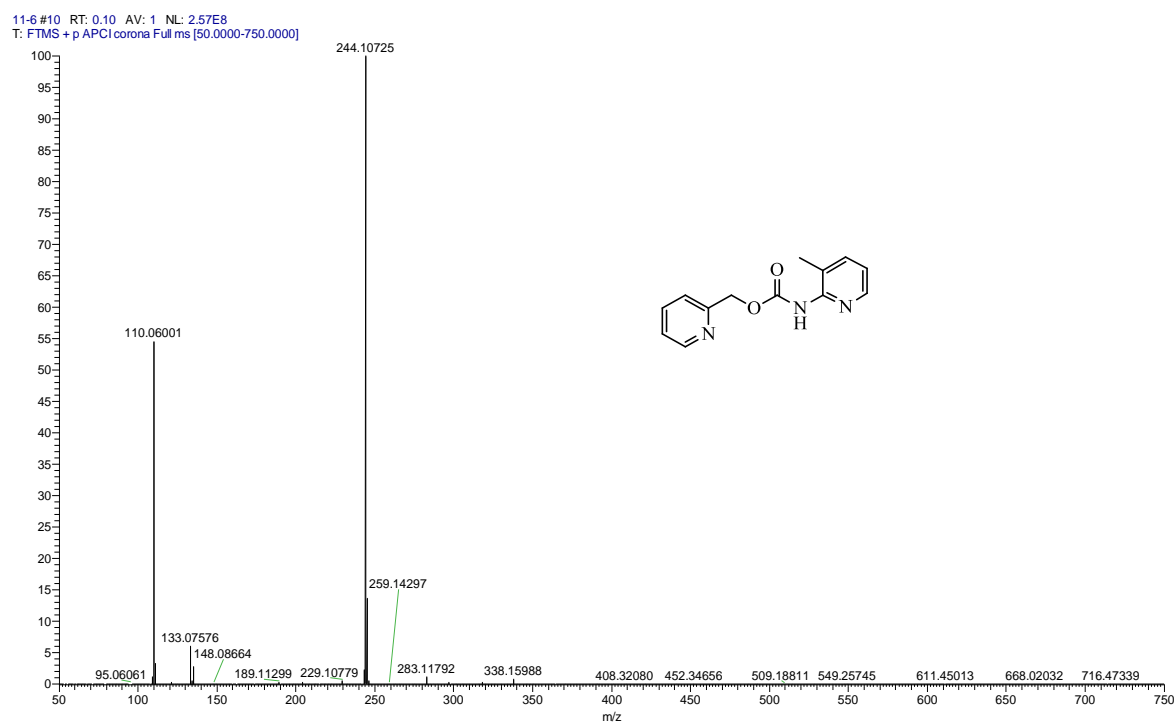
¹H NMR of pyridin-2-ylmethyl (3-methylpyridin-2-yl)carbamate **7l**



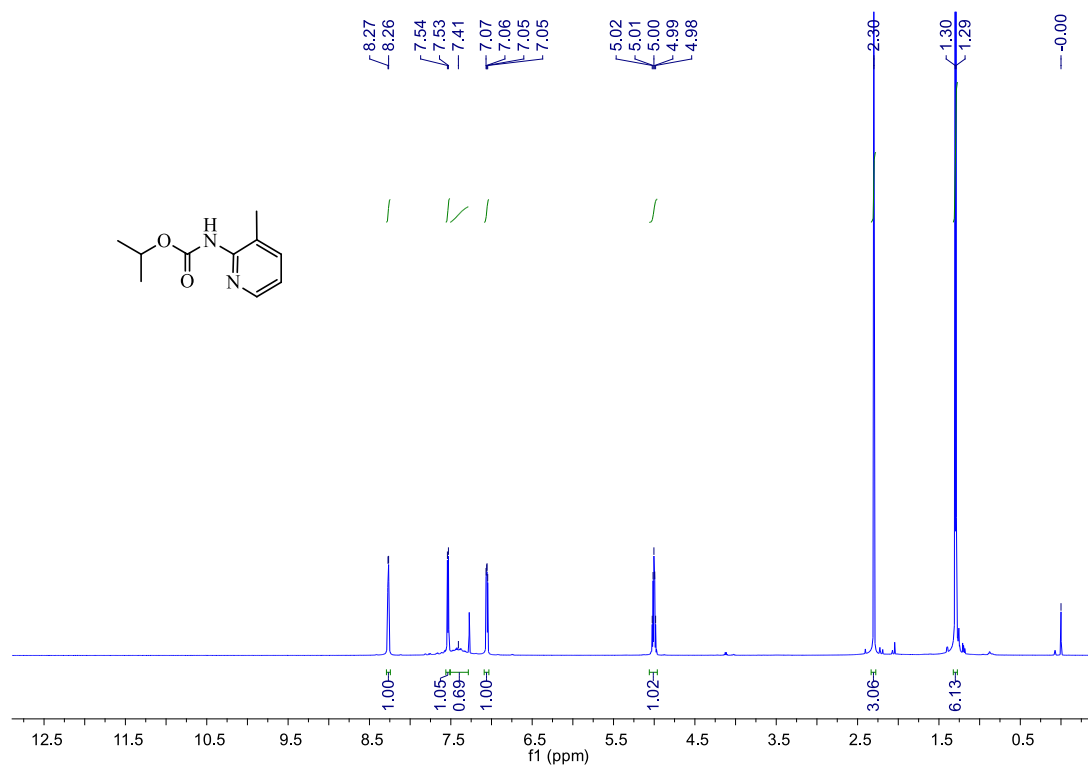
¹³C NMR of pyridin-2-ylmethyl (3-methylpyridin-2-yl)carbamate **71**



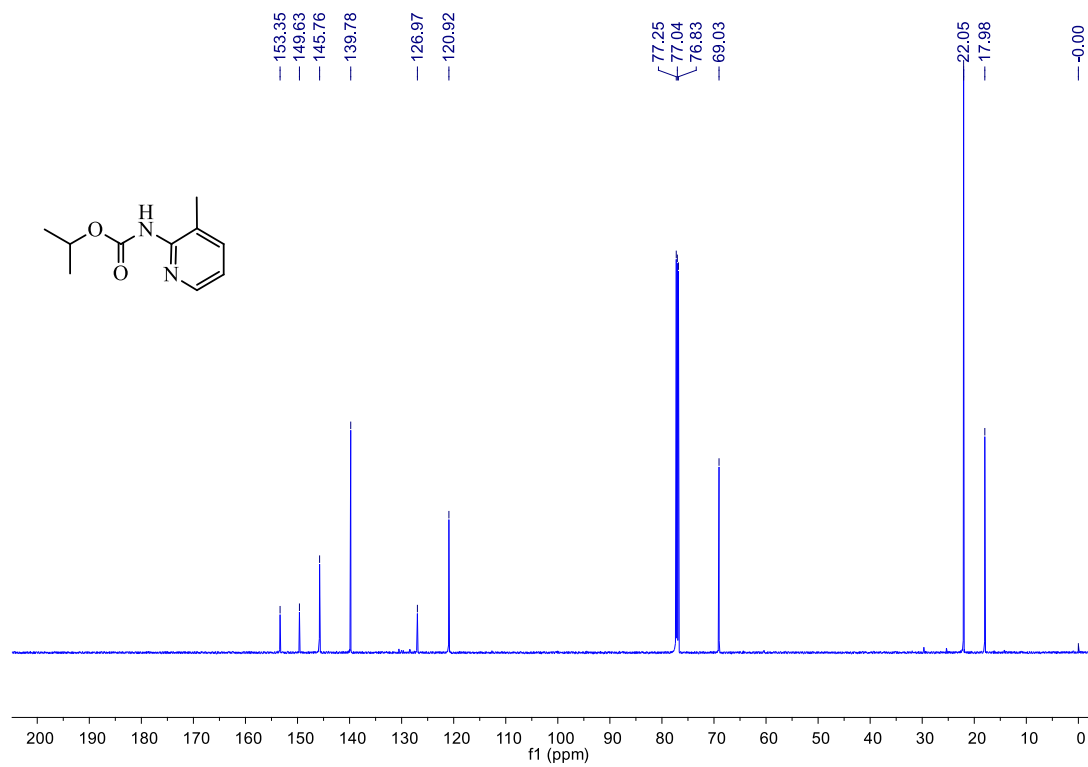
HRMS(ESI) of pyridin-2-ylmethyl (3-methylpyridin-2-yl)carbamate **71**



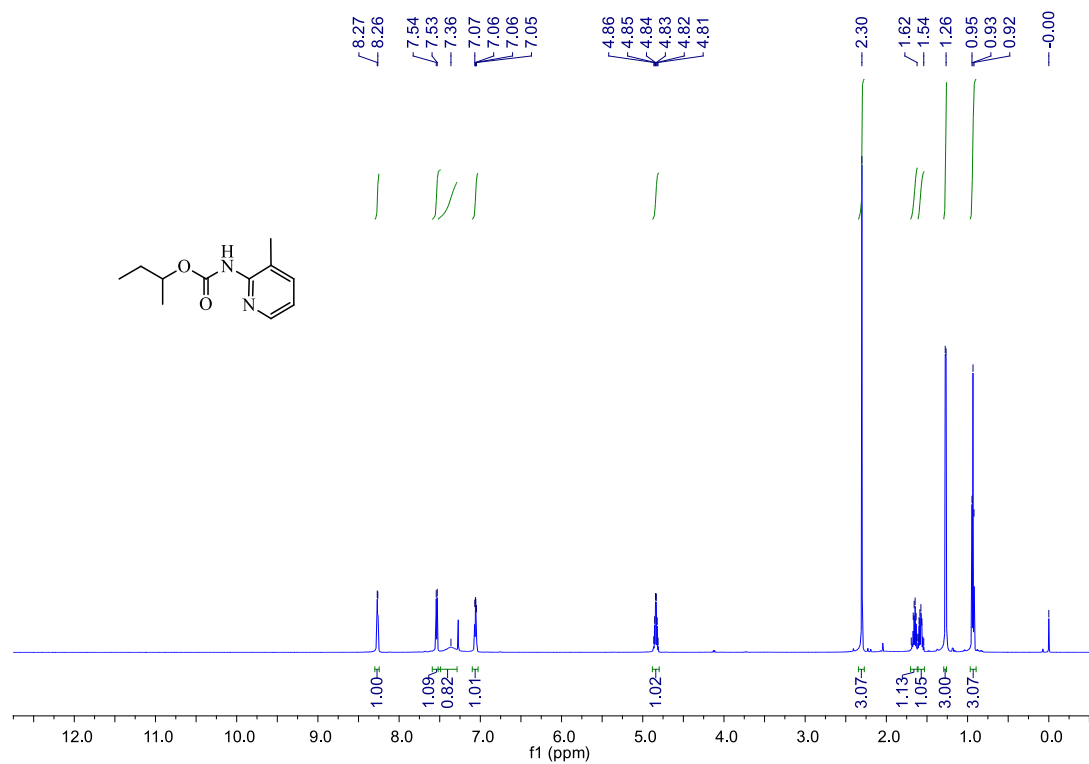
¹H NMR of isopropyl (3-methylpyridin-2-yl)carbamate **7m**



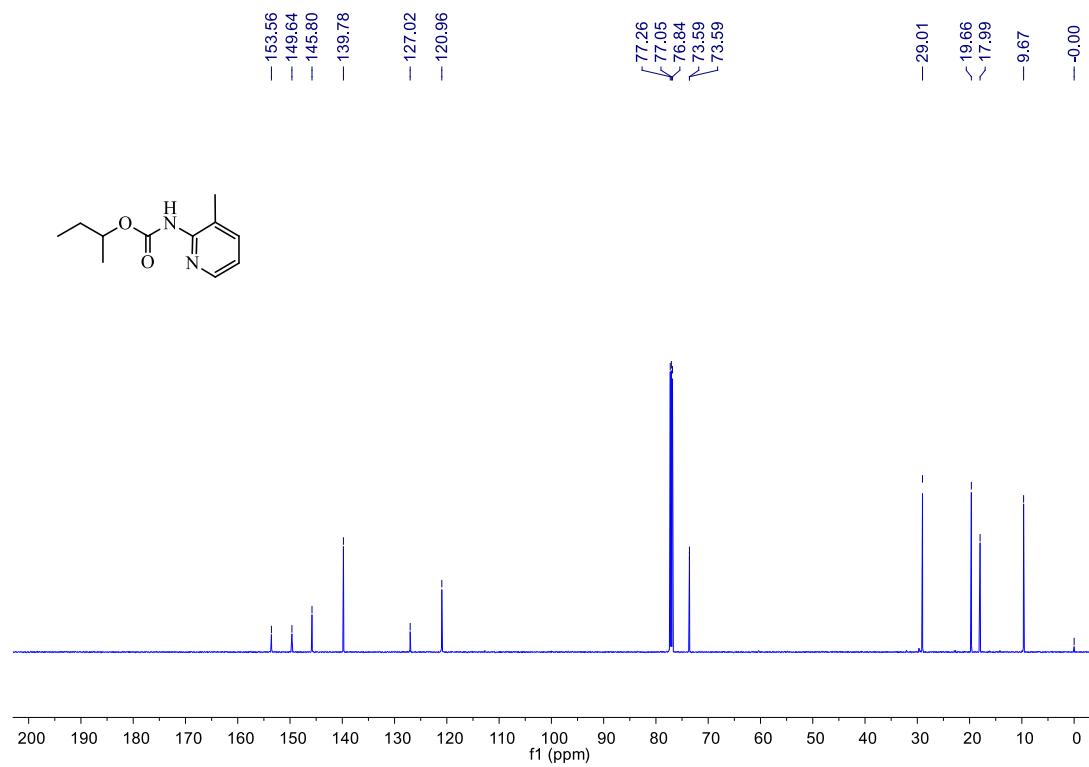
¹³C NMR of isopropyl (3-methylpyridin-2-yl)carbamate **7m**



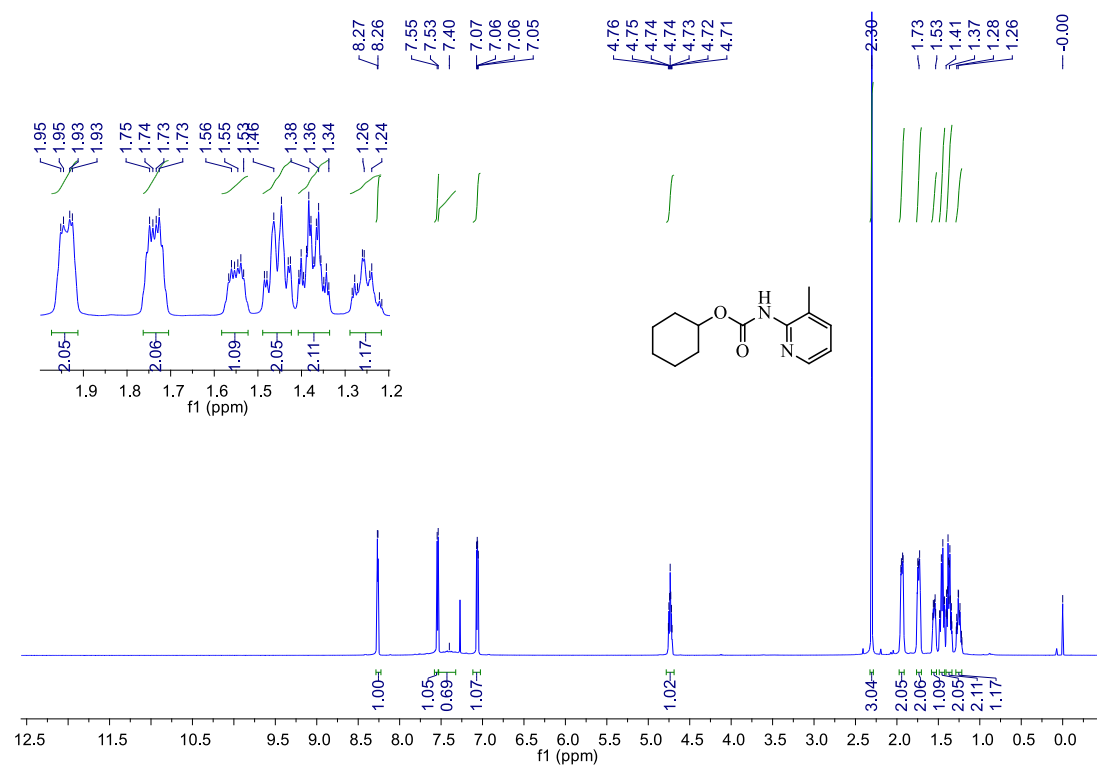
¹H NMR of sec-butyl (3-methylpyridin-2-yl)carbamate **7n**



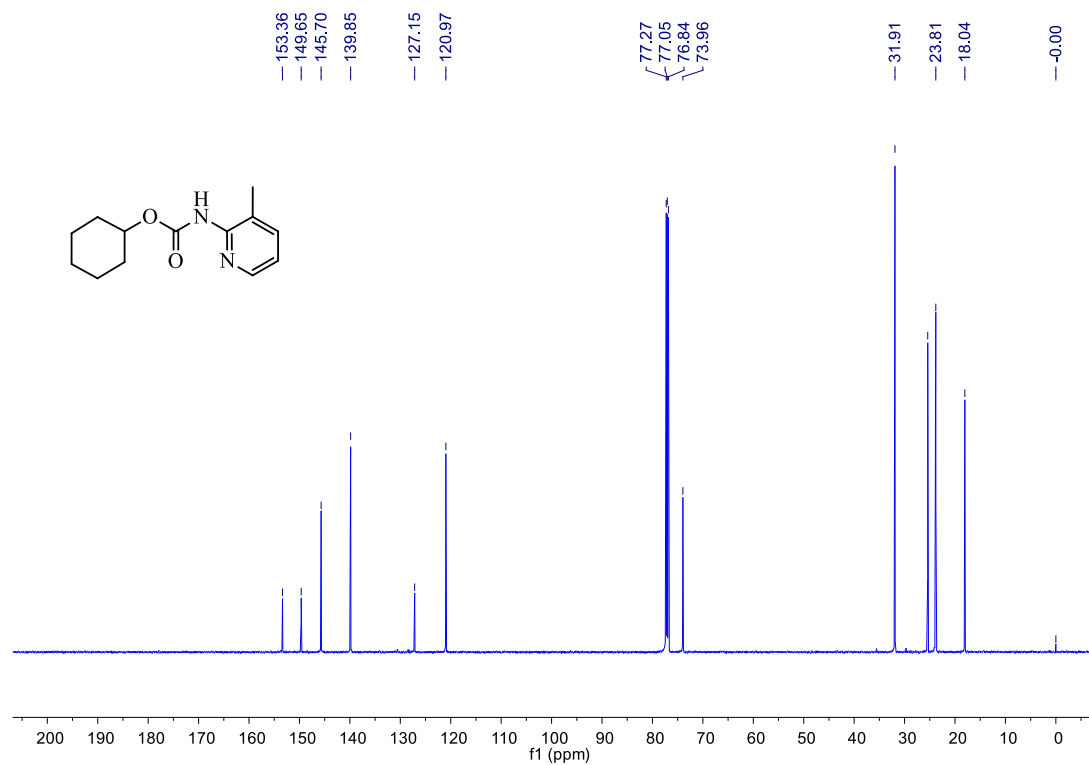
¹³C NMR of sec-butyl (3-methylpyridin-2-yl)carbamate **7n**



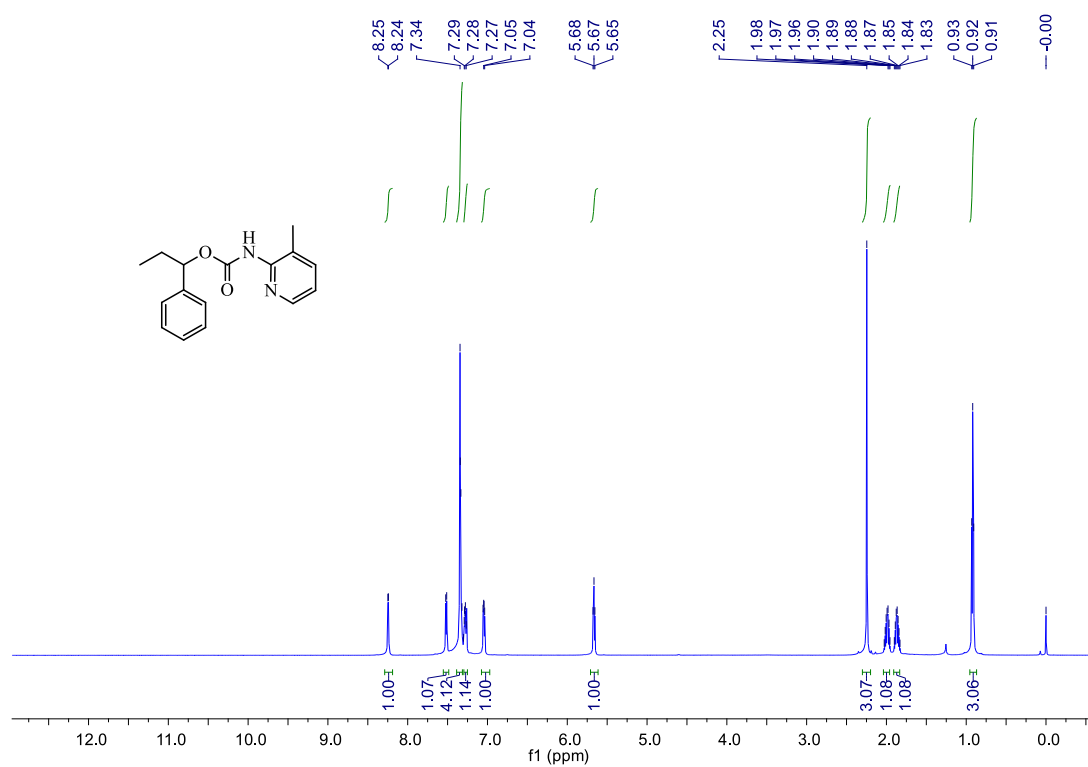
¹H NMR of cyclohexyl (3-methylpyridin-2-yl)carbamate **7o**



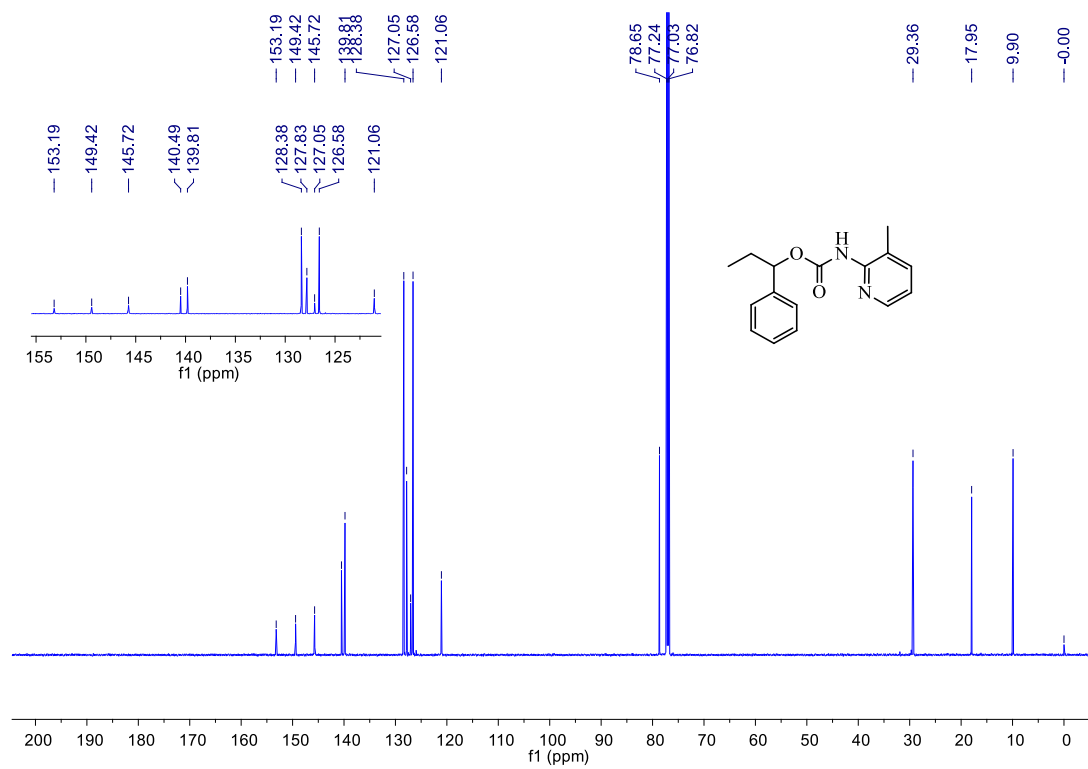
¹³C NMR of cyclohexyl (3-methylpyridin-2-yl)carbamate **7o**



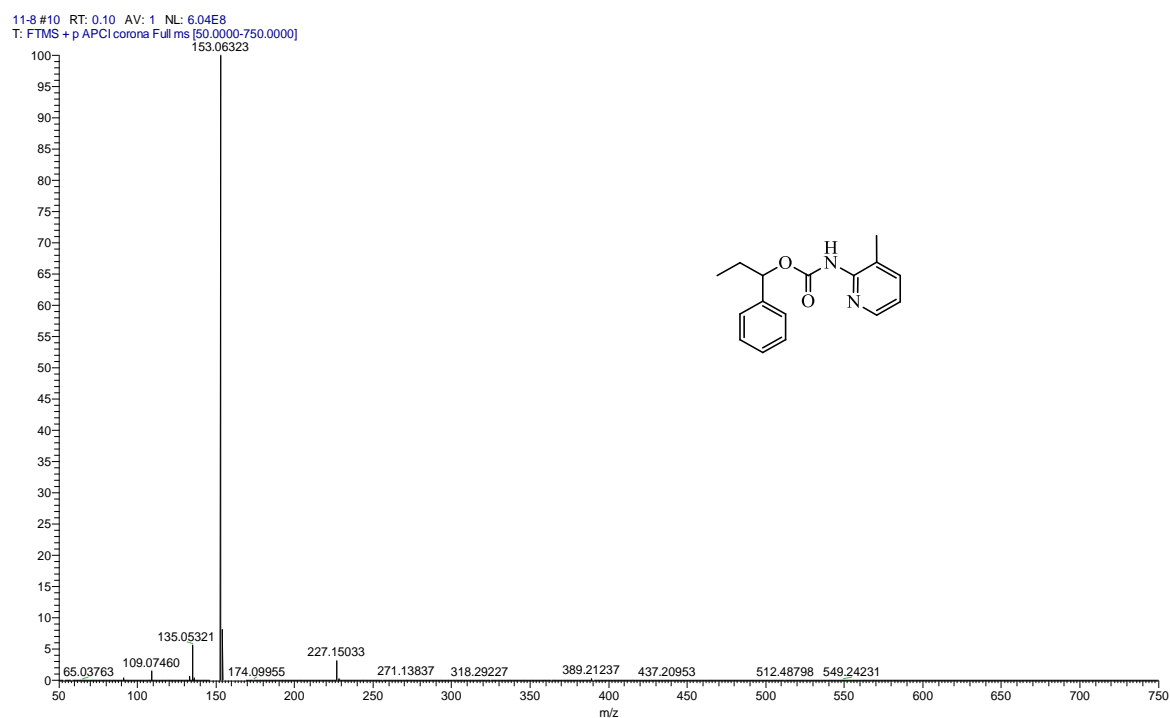
¹H NMR of 1-phenylpropyl (3-methylpyridin-2-yl)carbamate **7p**



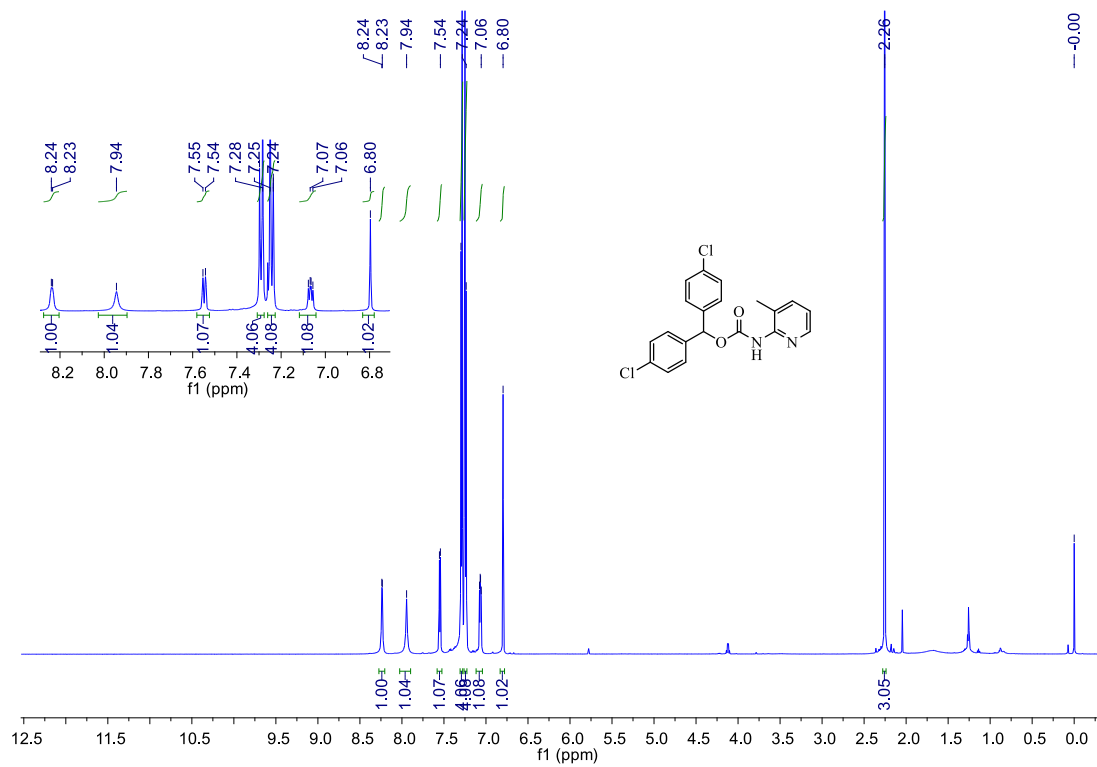
¹³C NMR spectrum of 1-phenylpropyl (3-methylpyridin-2-yl)carbamate **7p**



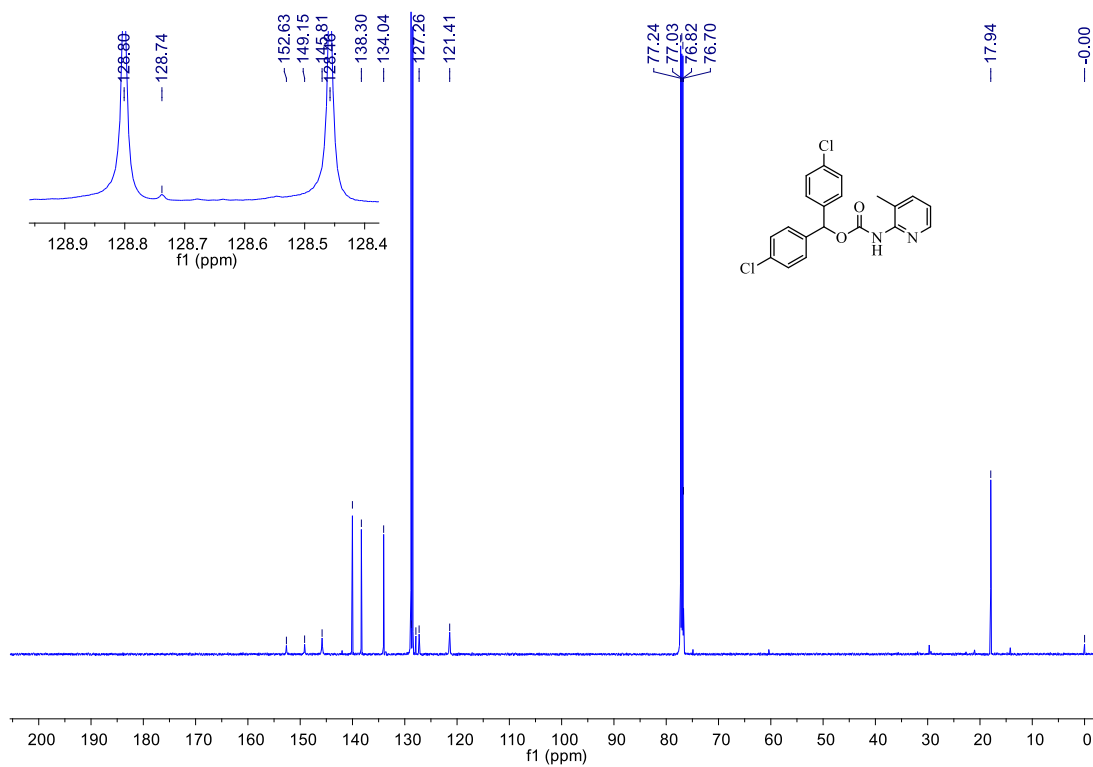
HRMS(ESI) of 1-phenylpropyl (3-methylpyridin-2-yl)carbamate **7p**



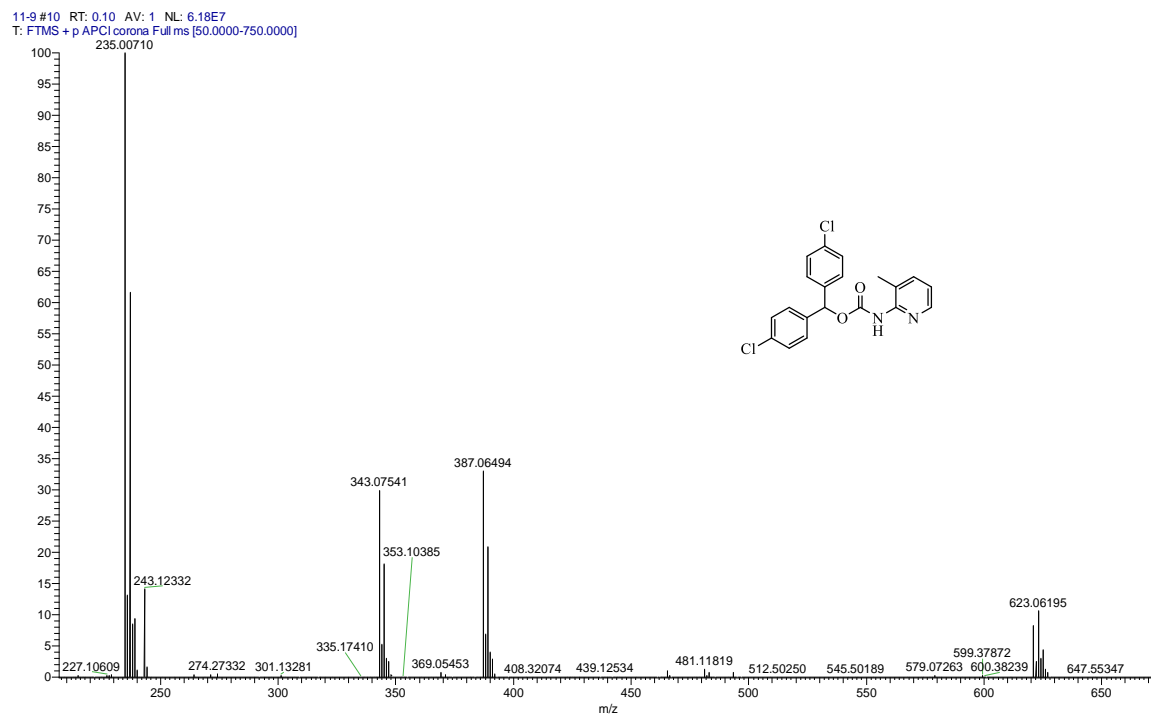
¹H NMR of bis(4-chlorophenyl)methyl (3-methylpyridin-2-yl)carbamate **7q**



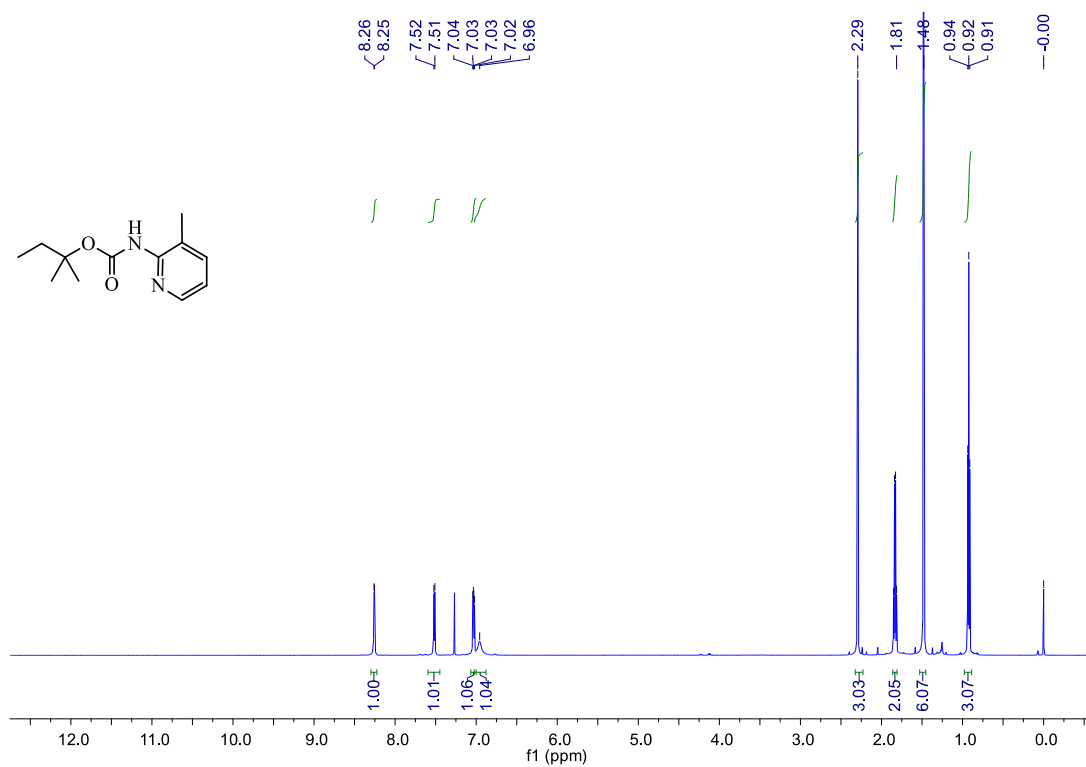
¹³C NMR of bis(4-chlorophenyl)methyl (3-methylpyridin-2-yl)carbamate **7q**



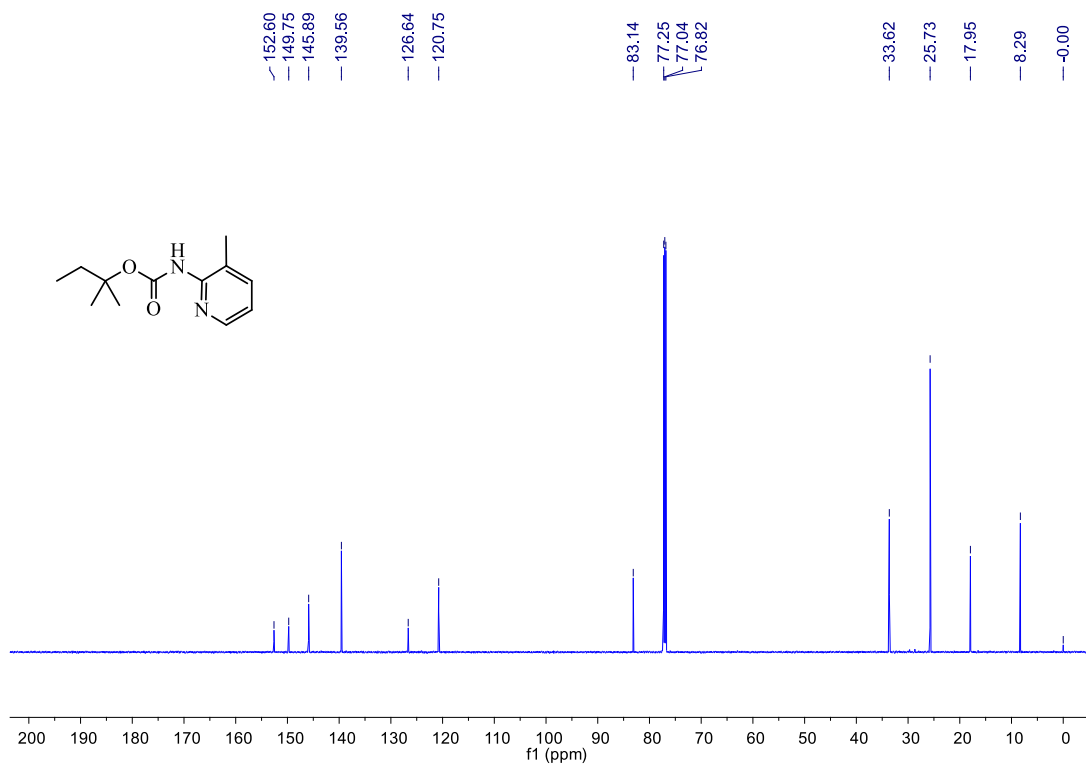
HRMS(ESI) of bis(4-chlorophenyl)methyl (3-methylpyridin-2-yl)carbamate **7q**



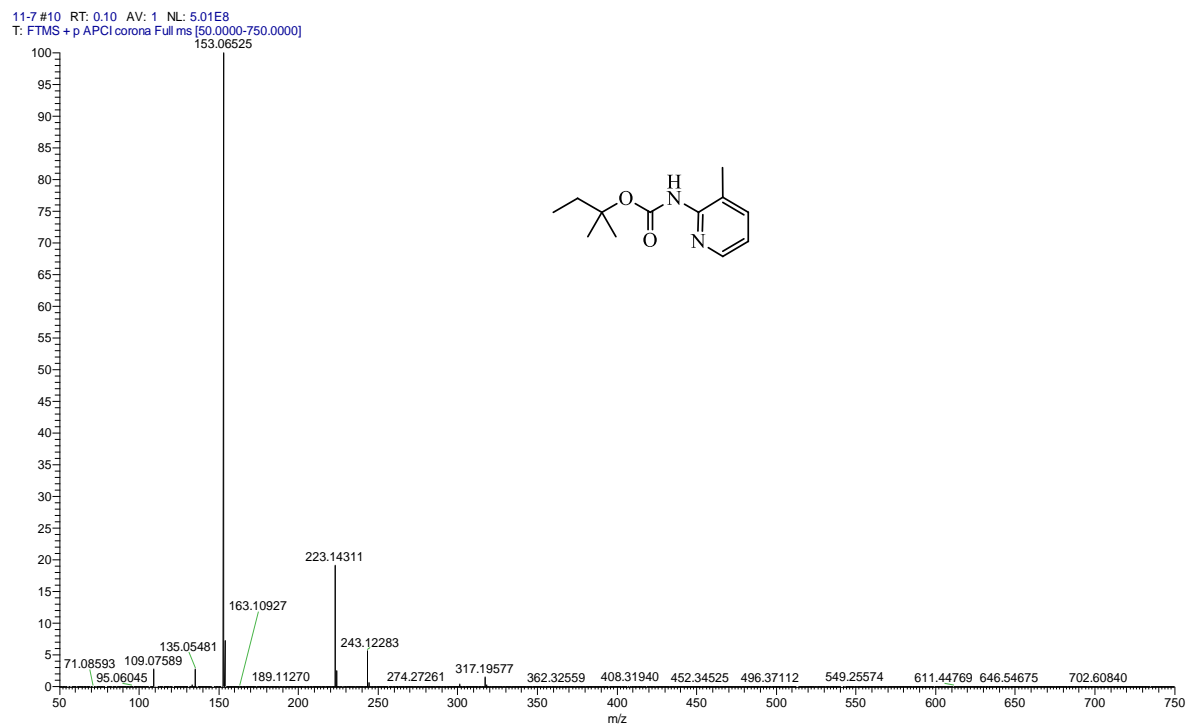
¹H NMR of tert-pentyl (3-methylpyridin-2-yl)carbamate **7r**



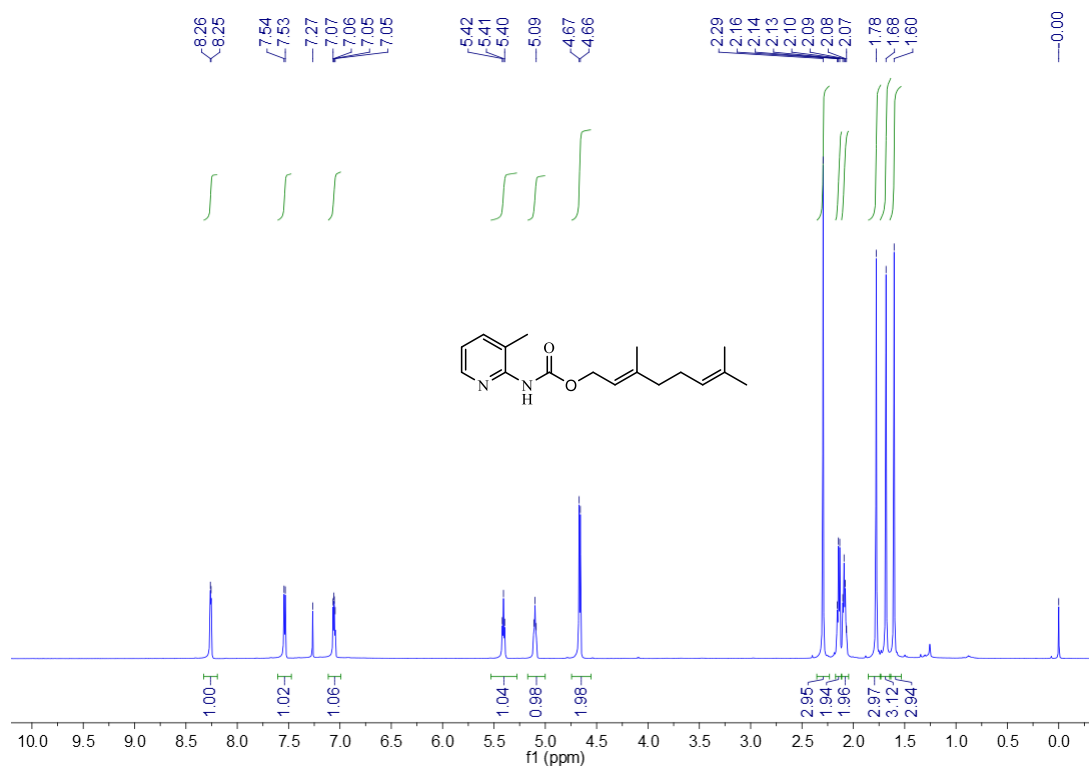
¹³C NMR of tert-pentyl (3-methylpyridin-2-yl)carbamate **7r**



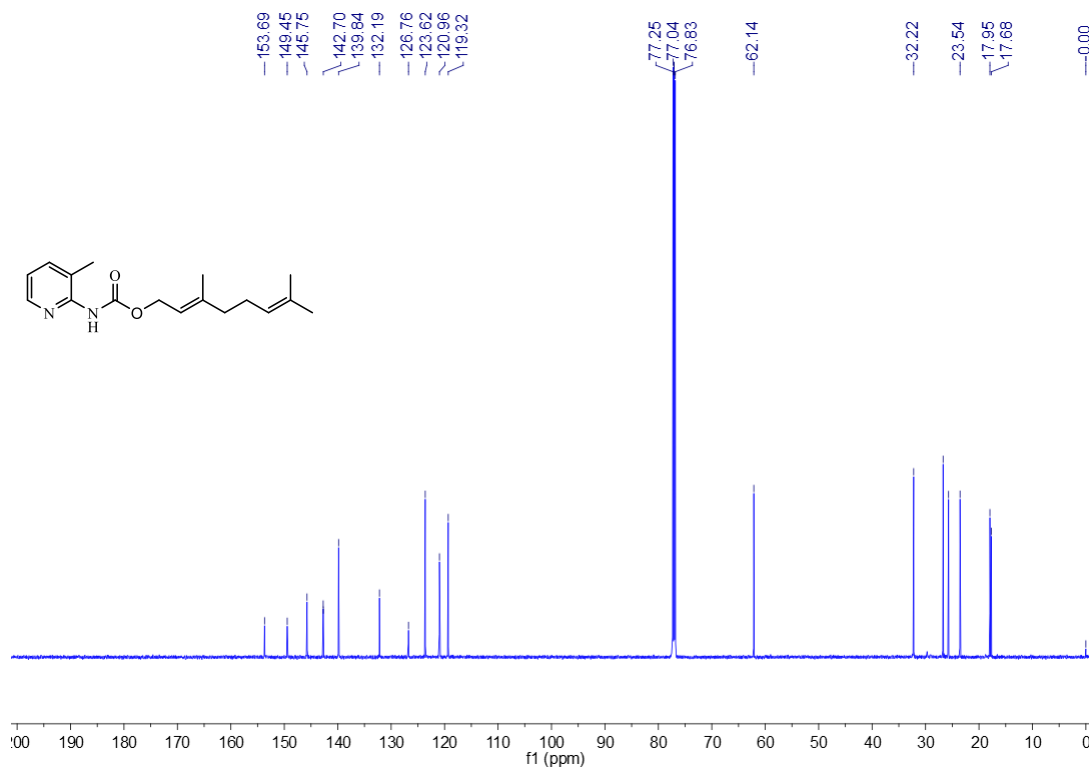
HRMS(ESI) of tert-pentyl (3-methylpyridin-2-yl)carbamate **7r**



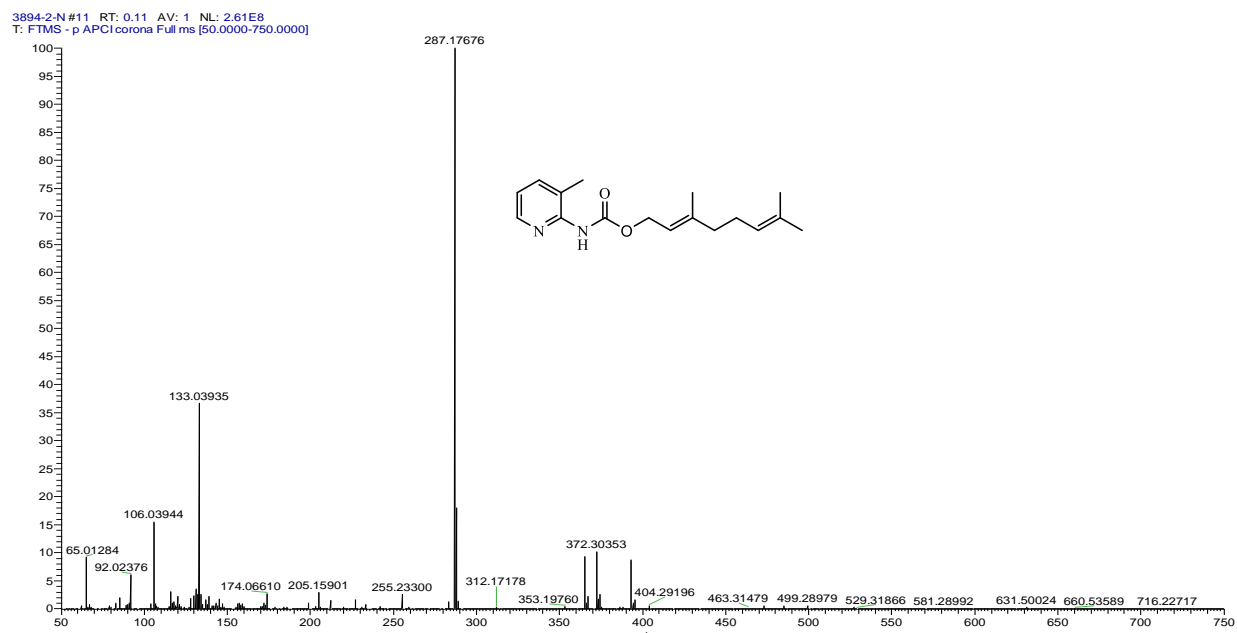
¹H NMR of (*E*)-3,7-dimethylocta-2,6-dien-1-yl (3-methylpyridin-2-yl)carbamate **7s**



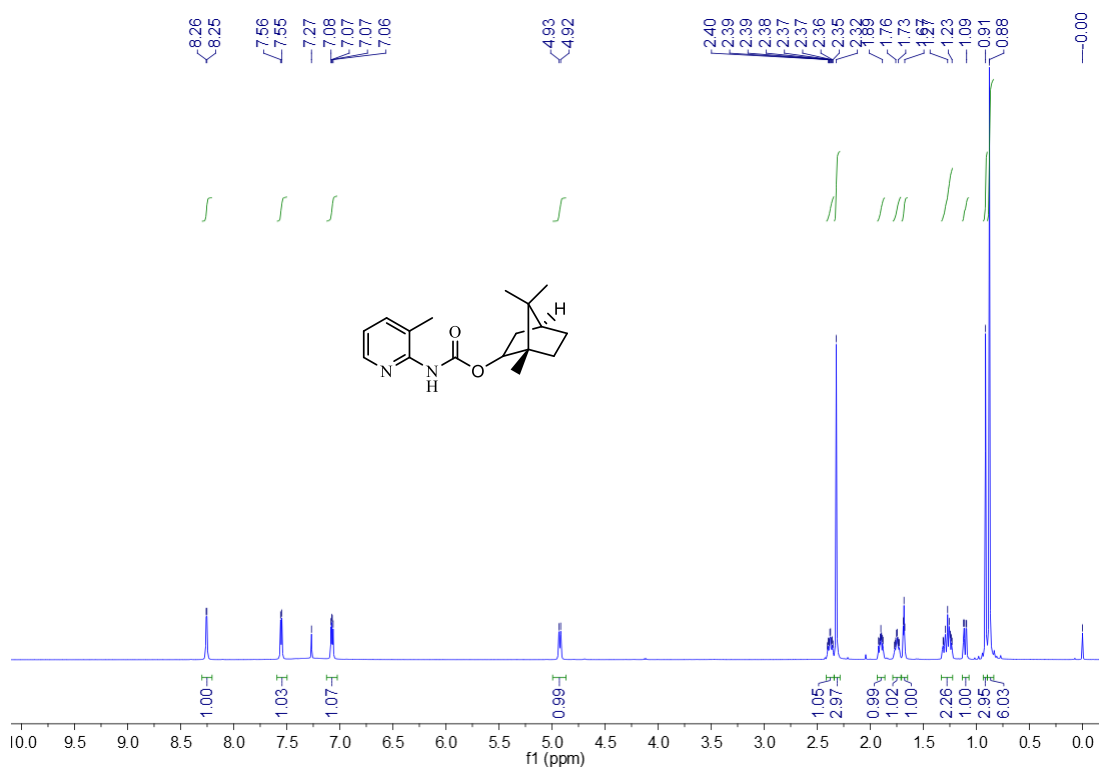
¹³C NMR of (*E*)-3,7-dimethylocta-2,6-dien-1-yl (3-methylpyridin-2-yl)carbamate **7s**



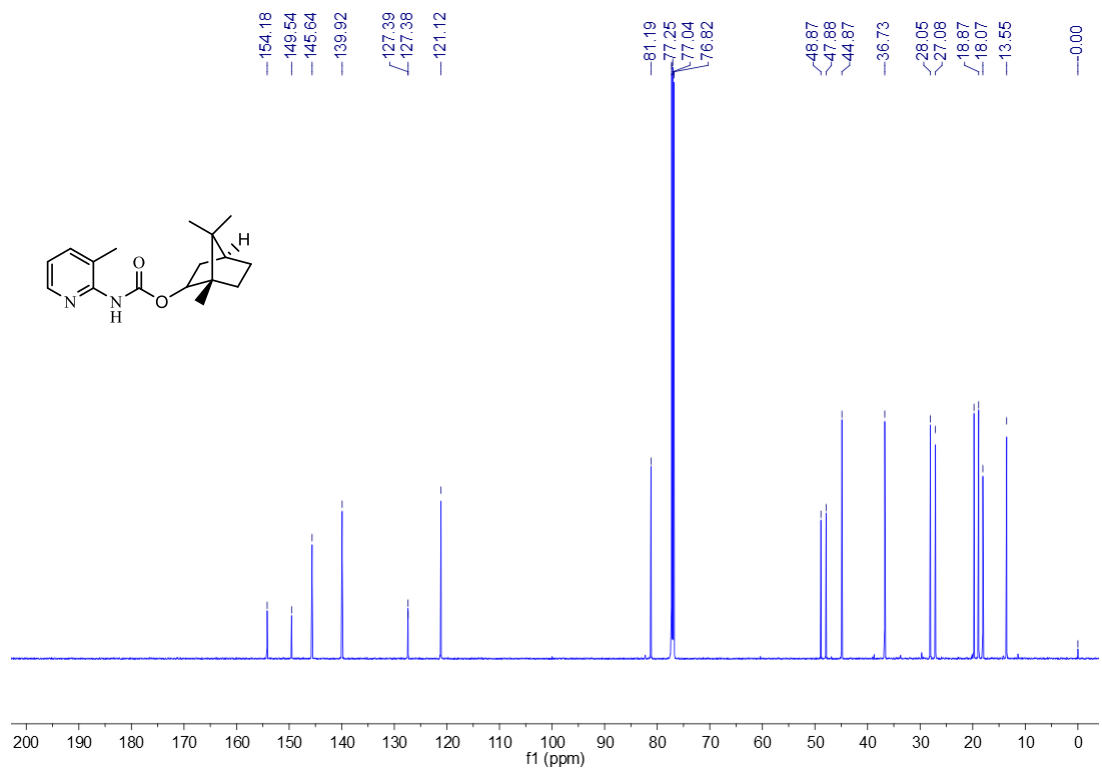
HRMS(ESI) of (*E*)-3,7-dimethylocta-2,6-dien-1-yl (3-methylpyridin-2-yl)carbamate **7s**



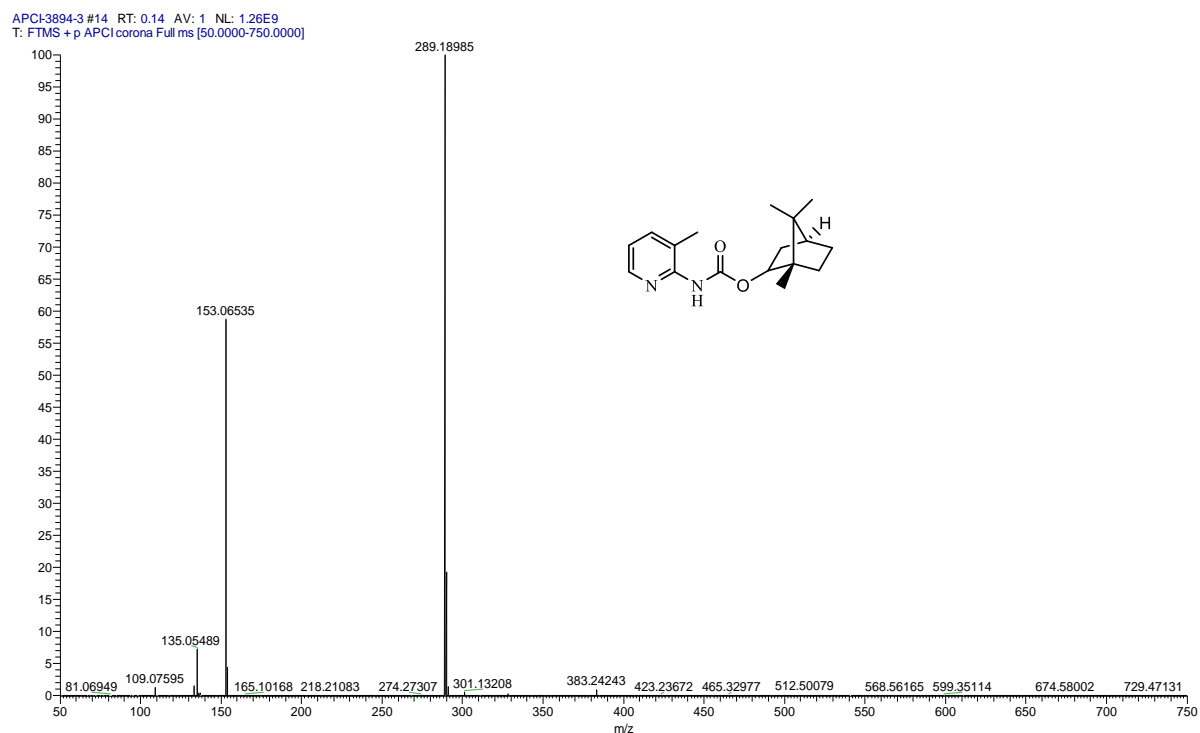
¹H NMR of (1S,4S)-1,7,7-trimethylbicyclo[2.2.1]heptan-2-yl (3-methylpyridin-2-yl)carbamate **7t**



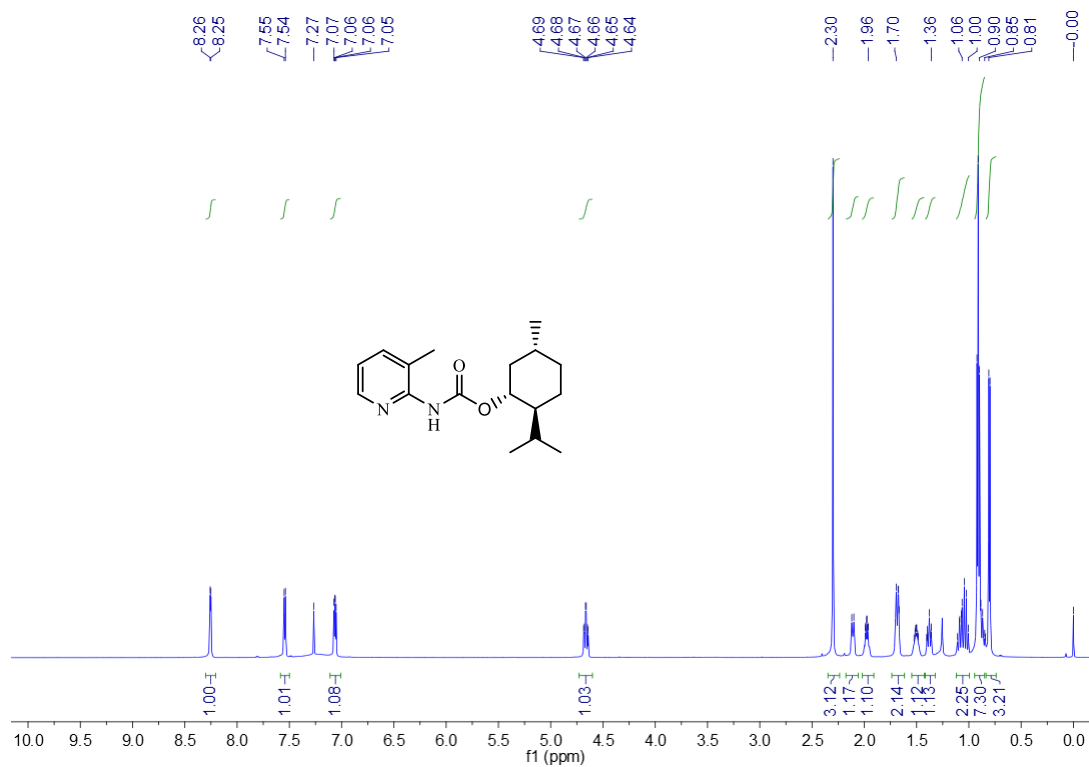
¹³C NMR of (1S,4S)-1,7,7-trimethylbicyclo[2.2.1]heptan-2-yl (3-methylpyridin-2-yl)carbamate **7t**



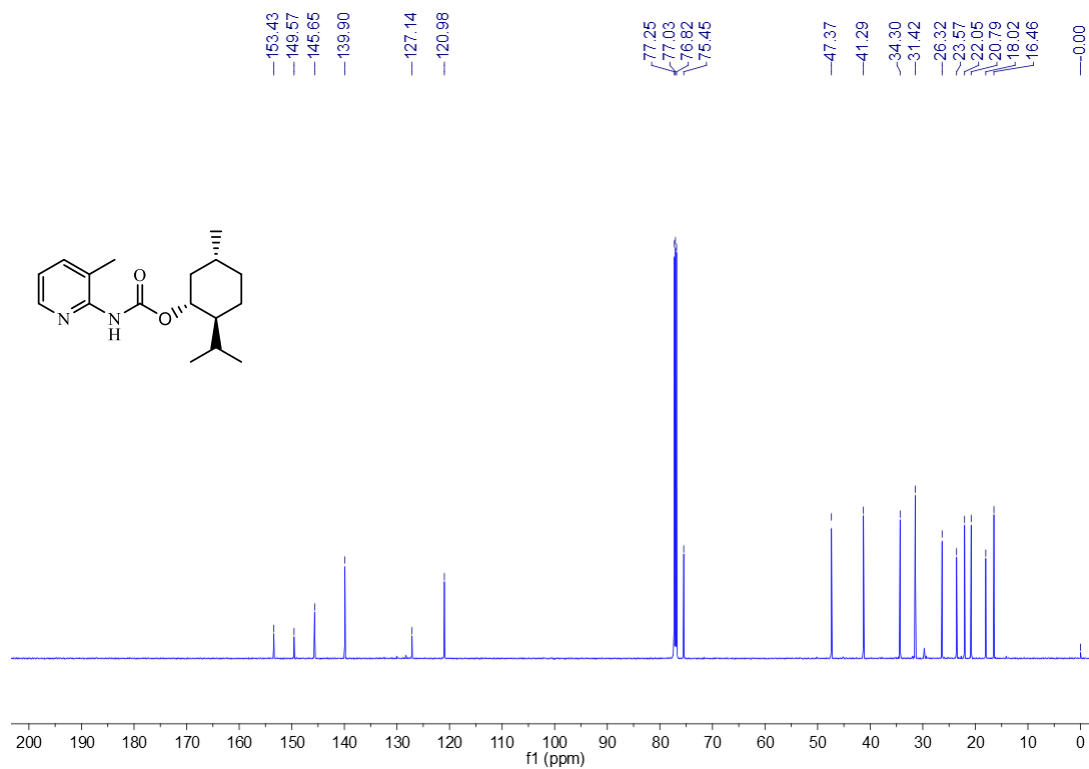
HRMS(ESI) of (1S,4S)-1,7,7-trimethylbicyclo[2.2.1]heptan-2-yl (3-methylpyridin-2-yl)carbamate
7t



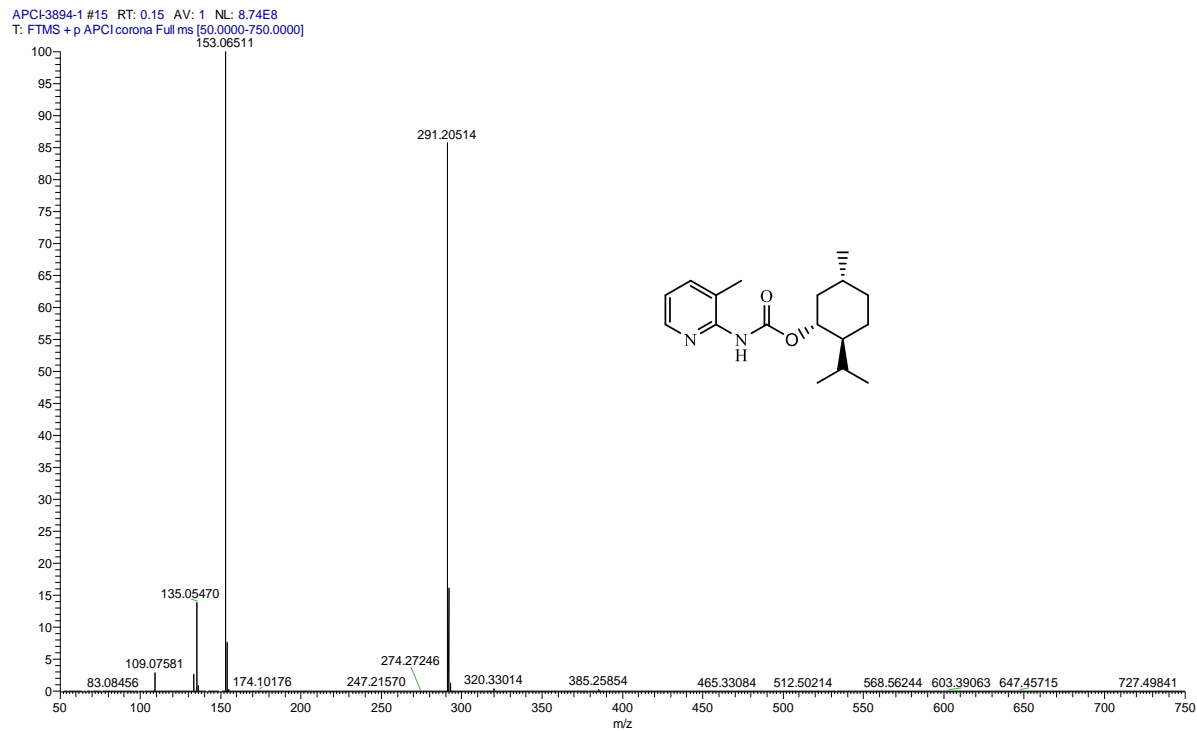
¹H NMR of (1R,2S,5R)-2-isopropyl-5-methylcyclohexyl (3-methylpyridin-2-yl)carbamate **7u**



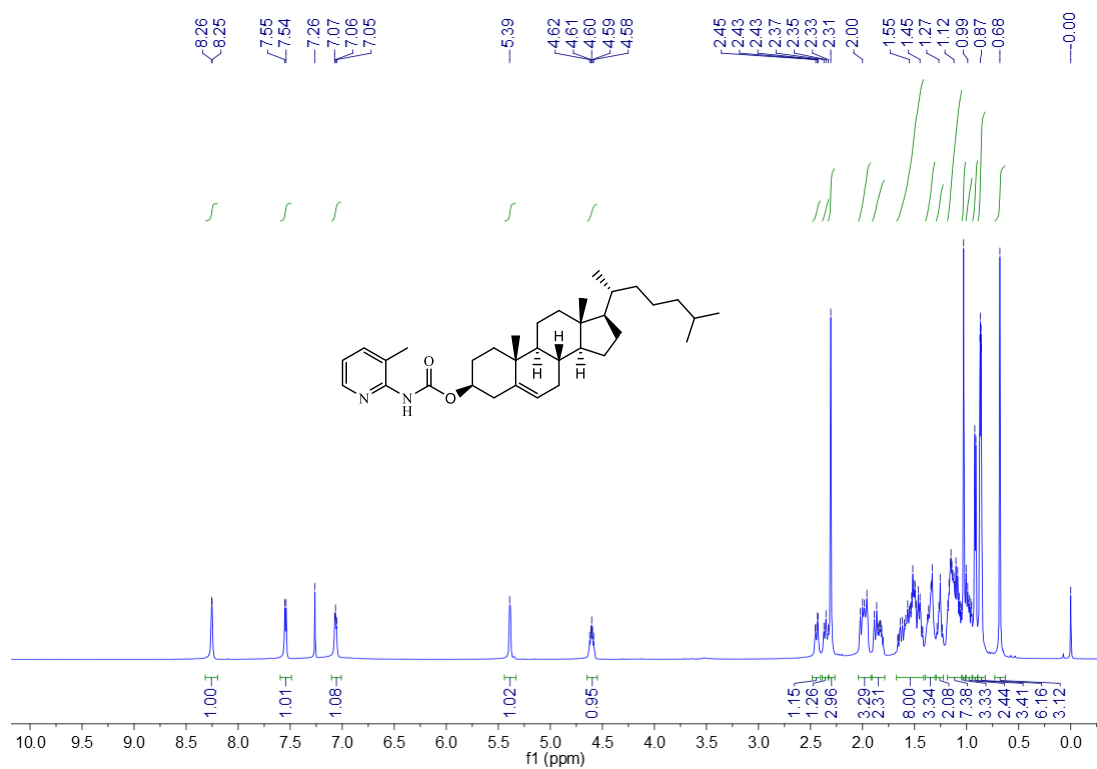
¹³C NMR of (1R,2S,5R)-2-isopropyl-5-methylcyclohexyl (3-methylpyridin-2-yl)carbamate **7u**



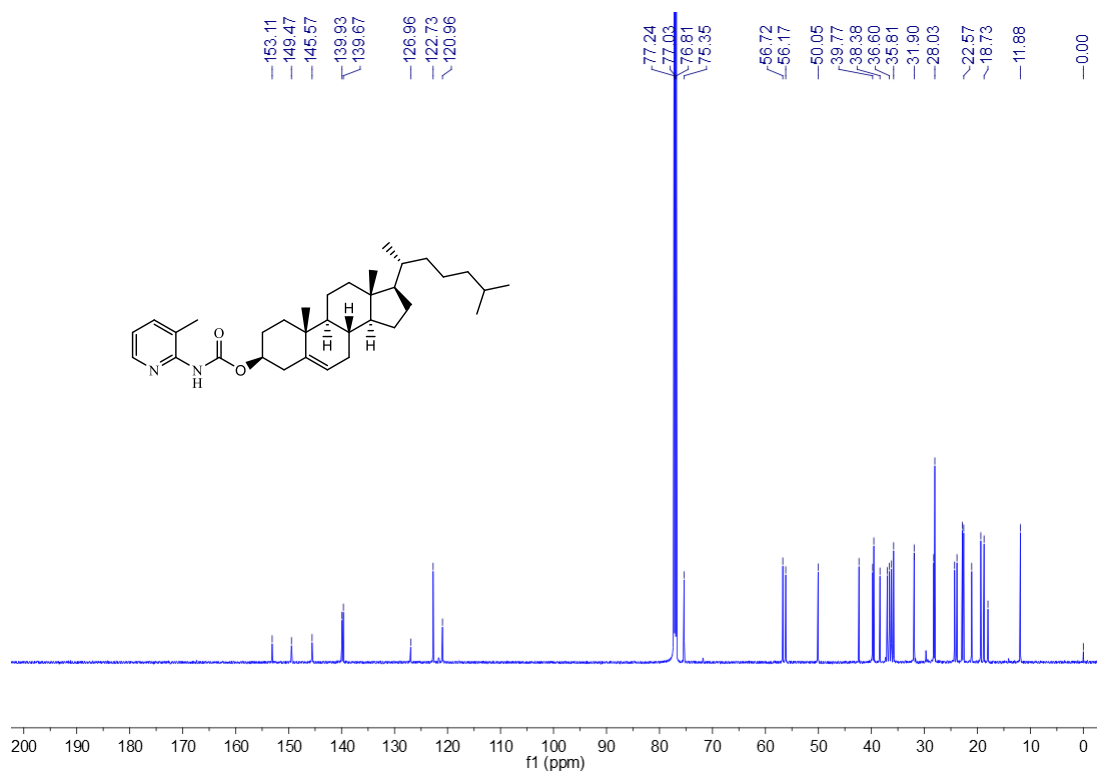
HRMS(ESI) of (1R,2S,5R)-2-isopropyl-5-methylcyclohexyl (3-methylpyridin-2-yl)carbamate **7u**



¹H NMR of 7v

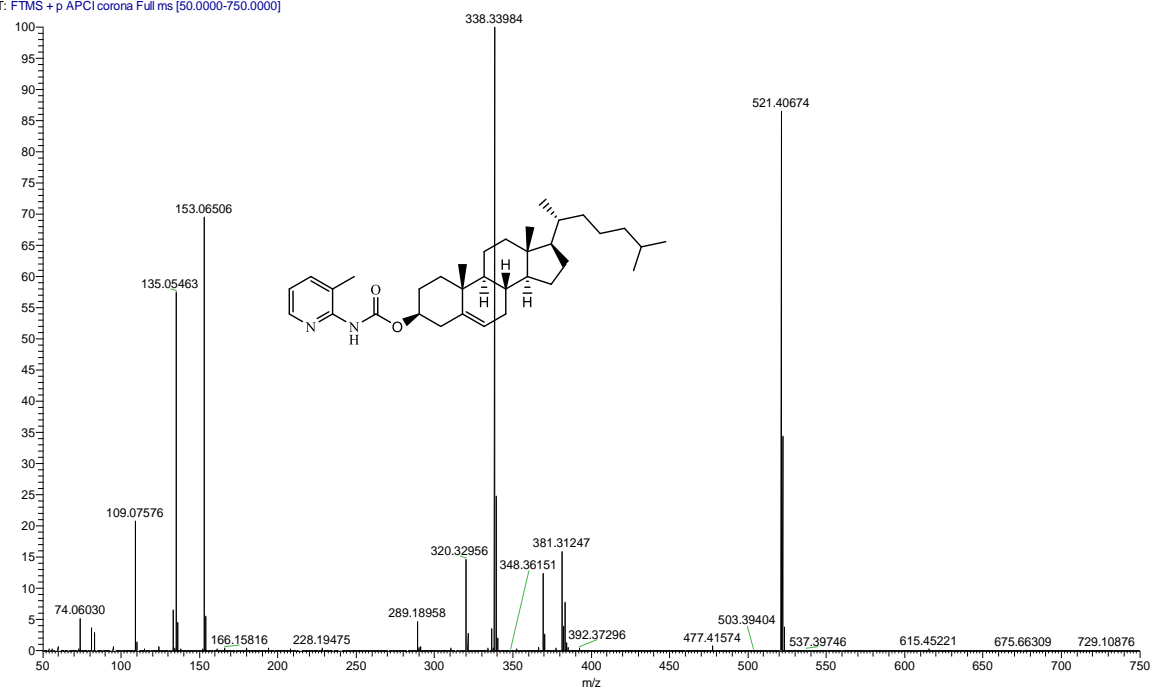


¹³C NMR of 7v

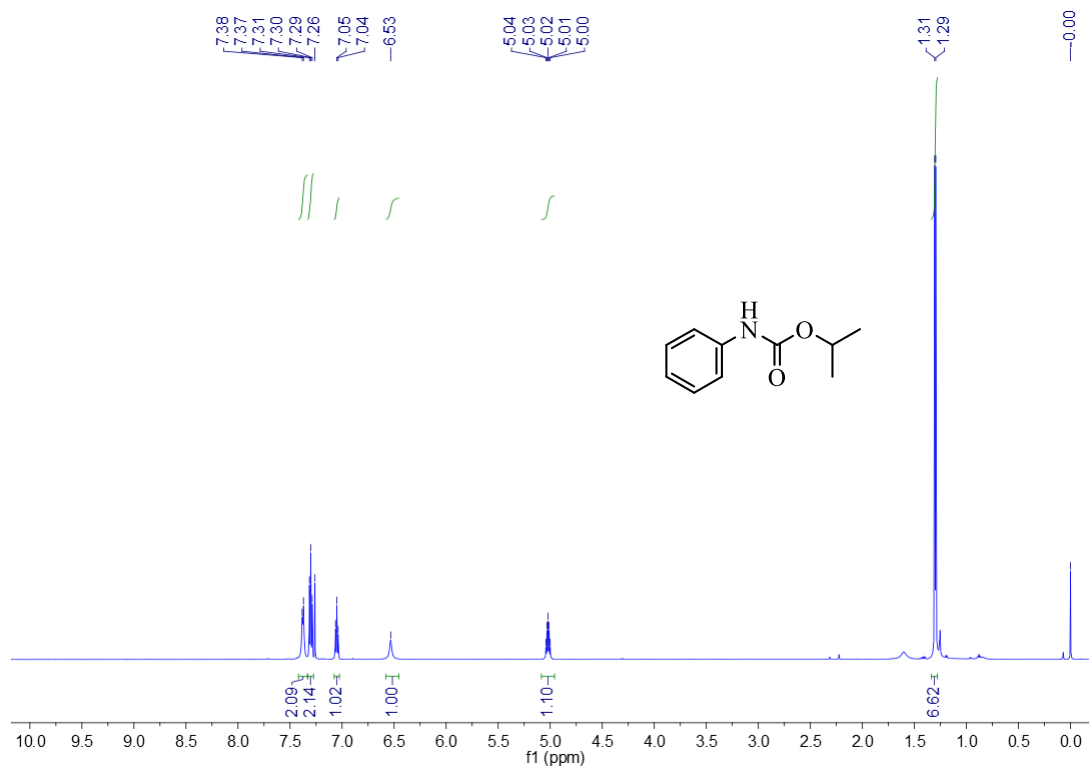


HRMS(ESI) of 7v

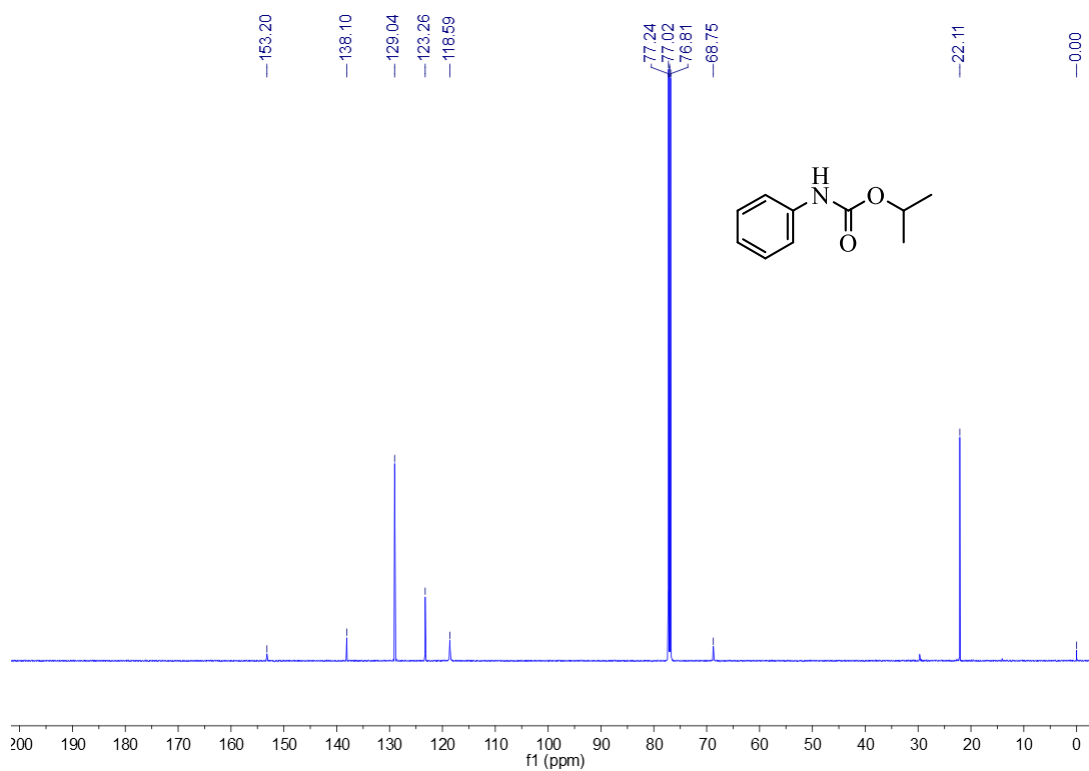
APCI-3894-4 #13 RT: 0.13 AV: 1 NL: 6.80E7
T: FTMS + p APCI corona Full ms [50.0000-750.0000]



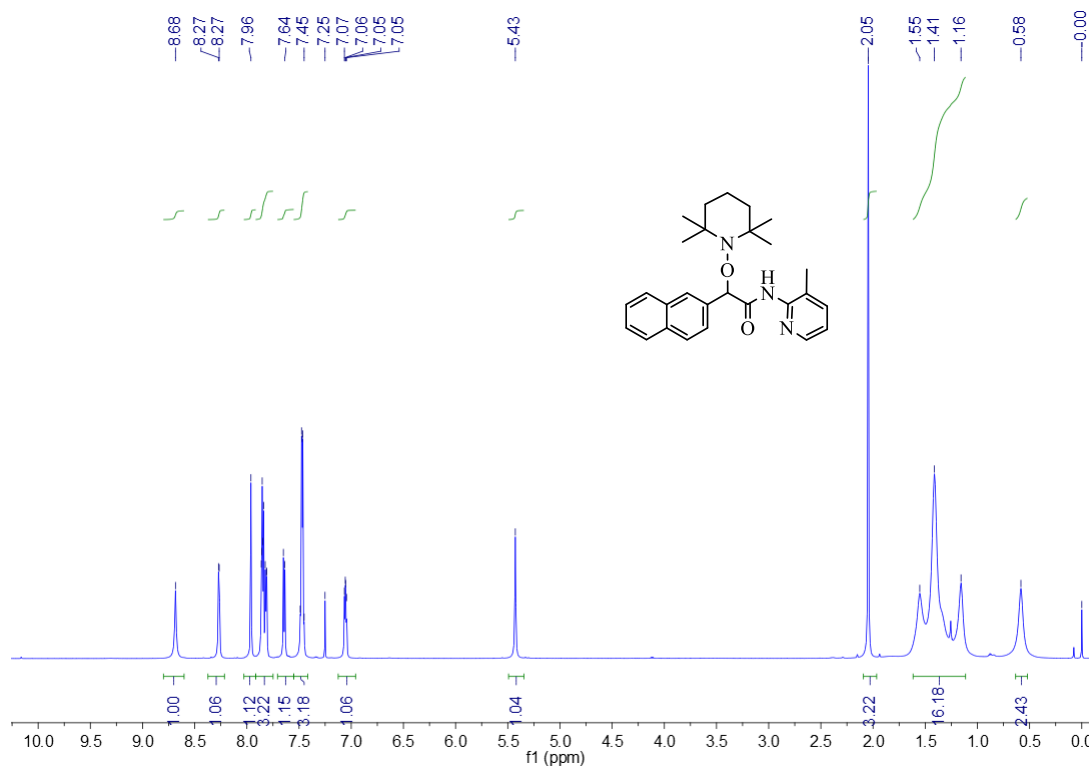
¹H NMR of isopropyl phenylcarbamate 8



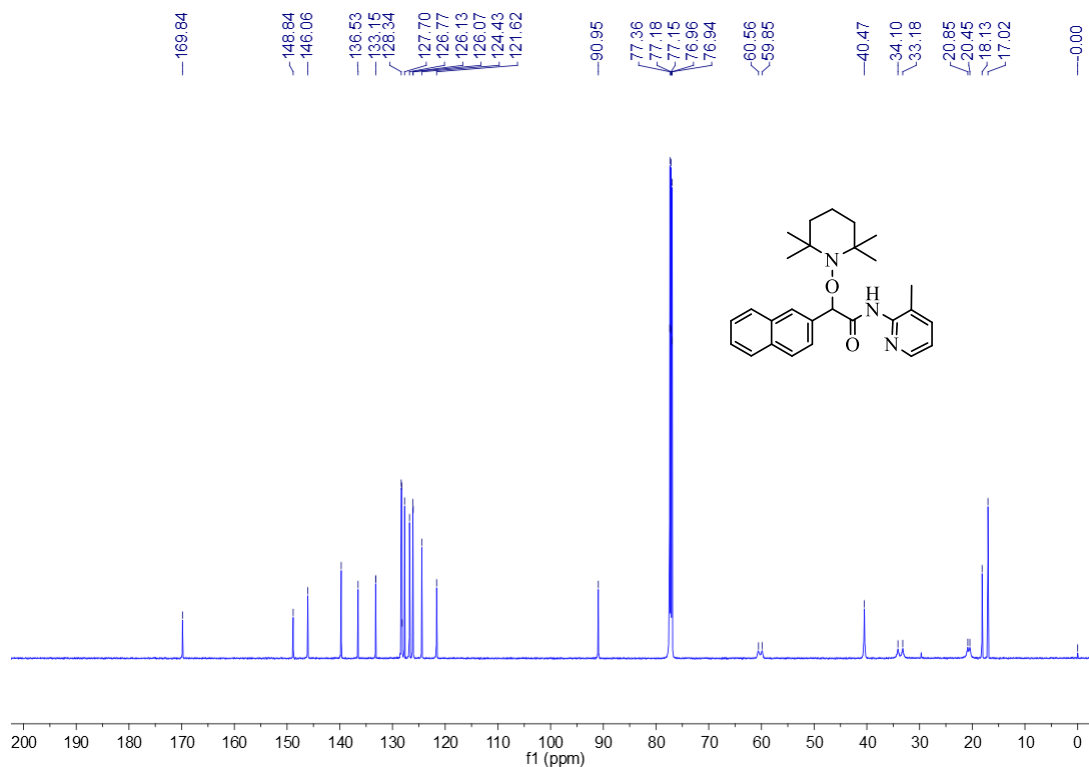
¹³C NMR of isopropyl phenylcarbamate **8**



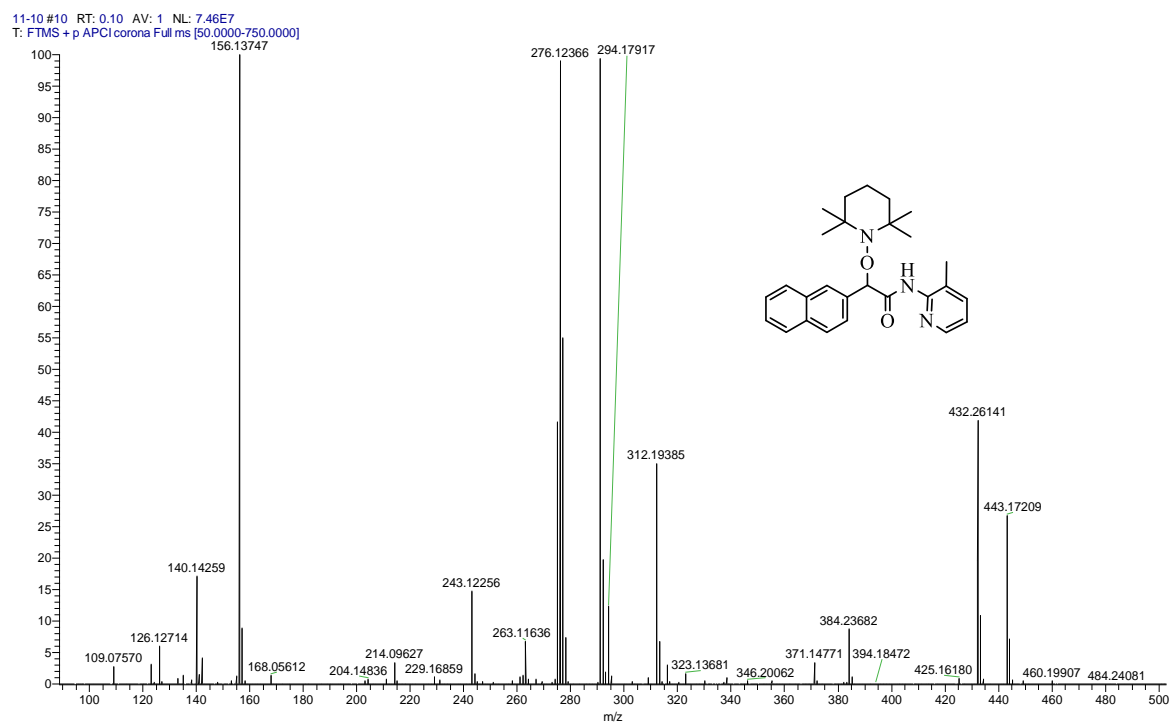
¹H NMR of N-(3-methylpyridin-2-yl)-2-(naphthalen-2-yl)-2-((2,2,6,6-tetramethylpiperidin-1-yl)oxy)acetamide **9**



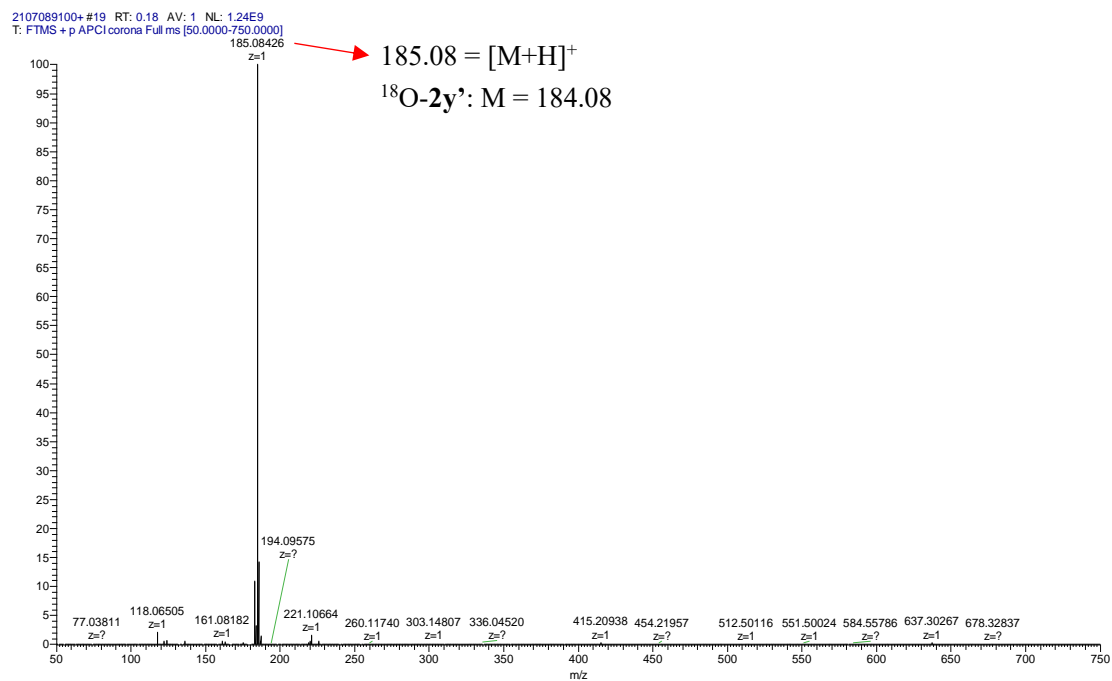
¹³C NMR of N-(3-methylpyridin-2-yl)-2-(naphthalen-2-yl)-2-((2,2,6,6-tetramethylpiperidin-1-yl)oxy)acetamide **9**



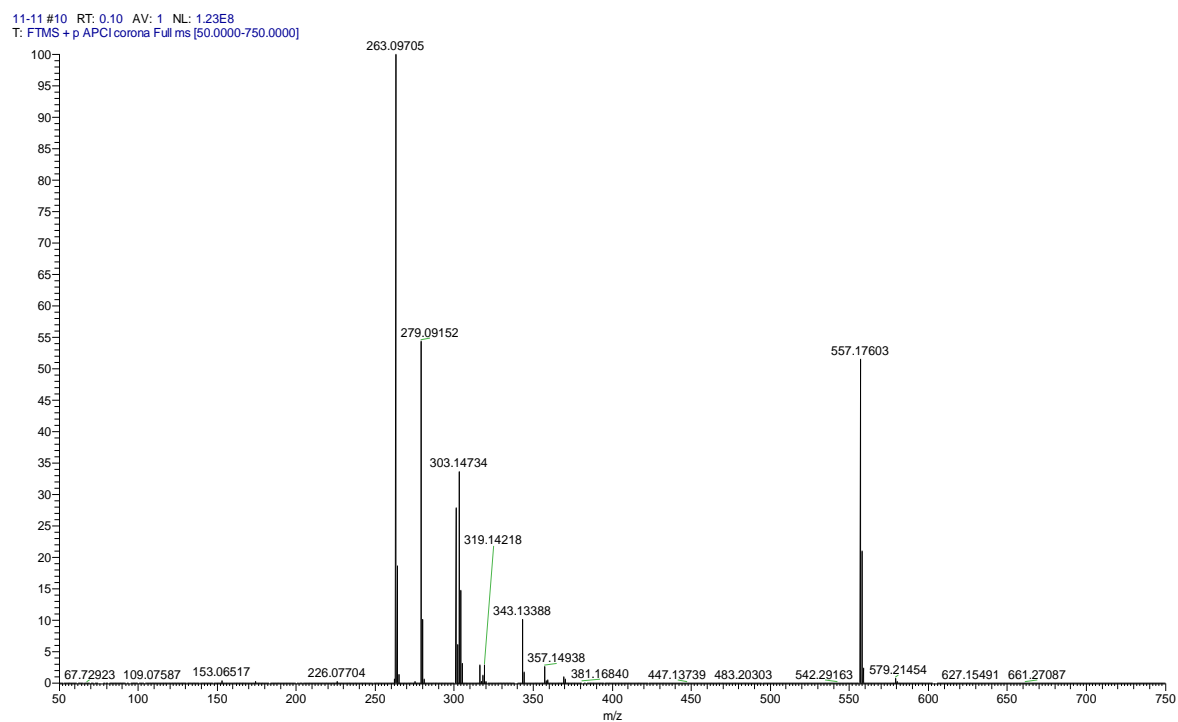
HRMS(ESI) of N-(3-methylpyridin-2-yl)-2-(naphthalen-2-yl)-2-((2,2,6,6-tetramethylpiperidin-1-yl)oxy)acetamide **9**



HRMS (ESI) spectrum of ^{18}O - benzophenone **2y'**



HRMS(ESI) of copper-cycle intermediate



11:11:10 RT: 0.10 Av: 1 N: 9.41E5
T: FTMS - p-APCI cosine Full.ms [50.0000-750.0000]

