

Visible Light–Mediated Ring–Ablative Functionalization of Oxazoles: Oxidative Azidation and Demethylative Amination

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1. General Information

Reagents were purchased at the highest commercial quality and used without further purification unless otherwise stated. Oxazole derivatives and aniline precursors^{1,2} were synthesized according to known procedures. Yields refer to chromatographically pure material. Reactions were monitored via thin-layer chromatography (TLC) performed on 0.25-mm Merck silica gel plates (60F-254) using UV light as the visualizing agent and anisaldehyde stain followed by heating as a developing agent. Merck silica gel (particle size 100–200 mesh) was used for flash column chromatography.

NMR spectra were recorded on Bruker Advance 500 (¹H: 500 MHz and ¹³C: 125 MHz) or 400 (¹H: 400 MHz and ¹³C: 100 MHz) in CDCl₃, with TMS 0.03% as internal standard. Mass spectrometric data were obtained using WATERS-Q-TOF Premier-ESI-MS.

The following abbreviations are used to explain the multiplicities: s = singlet, d = doublet, t = triplet, q = quartet, dd = doublet of doublet, ddd = doublet of a doublet of doublet.

The structure of derivative **5a** has been deposited in the CCDC database with the deposition number 2256652.

¹Huang, N.-Y.; Nie, Y.-B.; Ding, M.-W. New Efficient Synthesis of 5-Ethoxyoxazoles and Oxazolo[3,2-c]quinazolines via Aza-Wittig Reaction. *Synlett* **2009**, 2009 (04), 611-614.

²Cho, J.-H.; Jung, K.-Y.; Jung, Y.; Kim, M. H.; Ko, H.; Park, C.-S.; Kim, Y.-C. Design and synthesis of potent and selective P2X3 receptor antagonists derived from PPADS as potential pain modulators. *Eur. J. Med. Chem.* **2013**, 70, 811-830.

2. General Experimental Procedure, Photochemical Setup and Fluorescence Quenching Experiments

2.1. Synthesis of azido-glycine esters 2:

To a glass vial, oxazole derivative (1 equiv), TMSN_3 (2equiv), Rhodamine 6G (1 mol%), and 1,4-dioxane (2 mL) were added. The reaction mixture was irradiated with Blue LED's and stirred for 6–24 h under air atmosphere. After the completion of the reaction (monitored *via* TLC), the solvent was removed, and the product was purified using flash column chromatography (ethyl acetate: petroleum ether) to obtain the desired product.

2.2. Synthesis of amino-glycine esters 5:

To a glass vial, oxazole derivative (1 equiv), *N,N*-dimethylaniline derivative (3 equiv), Rose Bengal (1 mol%), and dioxane (2 mL) were added. The reaction mixture was irradiated with green LEDs and stirred for 24 h under air atmosphere. After the completion of reaction (monitored via TLC), the solvent was removed, and the product was purified using flash column chromatography (ethyl acetate: petroleum ether) to afford the desired product.

2.3 Photocatalytic device: The photocatalytic device was made by wrapping an LED strip around a 250 mL beaker. The emission of the LED was recorded and is shown below (425-500 nm). Total power was computed to be 18W.

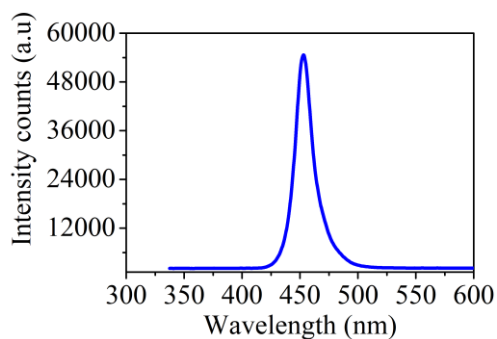


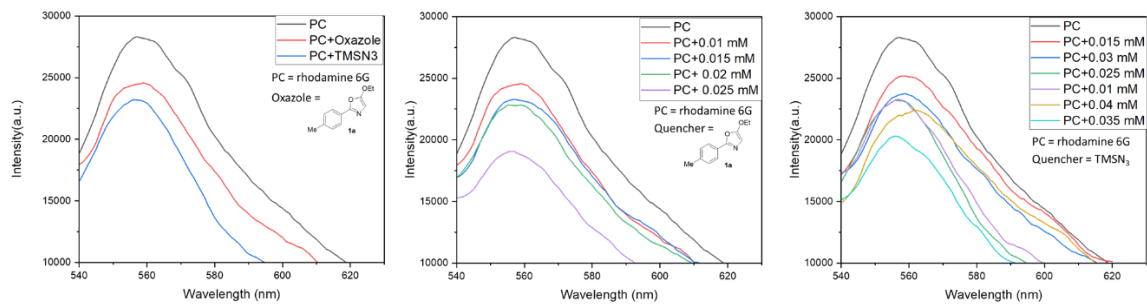
Figure S1. Emission profile of the LED's



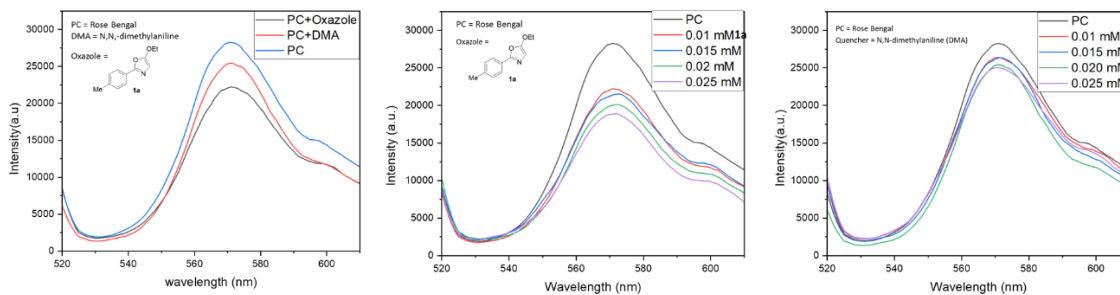
Figure S2. LED light setup

18 W blue LED strip wrapped
on a 250 mL beaker

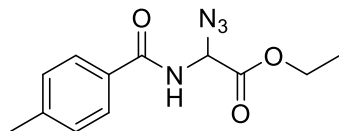
2.4. Fluorescence quenching experiments for azidation



2.5 Fluorescence quenching experiments for amination

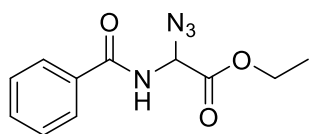


3. Analytical data of synthesized products

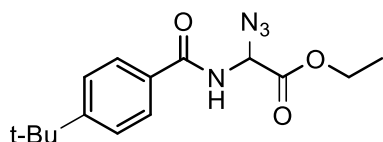


Ethyl 2-azido-2-(4-methylbenzamido)acetate (2a): According to the general procedure, oxazole derivative (50 mg, 0.25 mmol) provided **2a** after flash column chromatography (10% ethyl acetate in petroleum ether) as a white solid (55 mg, 85%). $R_f = 0.32$ (20% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\max}/\text{cm}^{-1}$ 3329, 2924, 2114, 1749, 1657, 1499, 1191, 752. ^1H NMR (400 MHz, Chloroform-*d*) δ 7.74 (d, $J = 8.2$ Hz, 2H), 7.27 (d, $J = 8.1$ Hz, 2H), 7.22 (d, $J = 8.5$ Hz, 1H), 5.99 (d, $J = 8.1$ Hz, 1H), 4.35 (q, $J = 7.1$ Hz, 2H), 2.42 (s, 3H), 1.38 (t, $J = 7.3$ Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 167.3, 167.1, 143.5, 129.8, 129.6, 127.5, 65.3, 63.4, 21.7, 14.2. Exact mass calculated for $\text{C}_{12}\text{H}_{14}\text{N}_4\text{NaO}_3^+[\text{M}+\text{Na}]^+$: 285.0958; found: 285.0968.

Gram scale synthesis: According to the general procedure, oxazole derivative (1g, 4.92 mmol), TMSN_3 (1.13g, 9.84mmol) and Rhodamine 6g (23mg, 49.2 mmol) in 40 mL 1,4-dioxane, provided **2a** after flash column chromatography (10% ethyl acetate in petroleum ether) as a white solid (1.14 g, 88%).

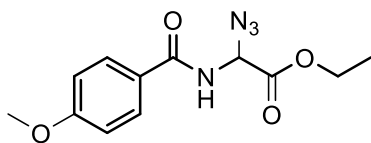


Ethyl 2-azido-2-benzamidoacetate (2b): According to the general procedure, oxazole derivative (50 mg, 0.29 mmol) provided **2b** after flash column chromatography (10% ethyl acetate in petroleum ether) as a colorless liquid (46 mg, 70%). $R_f = 0.32$ (20% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\max}/\text{cm}^{-1}$ 3329, 2927, 2114, 1748, 1660, 1519, 1487, 1243, 1206, 713, 691. ^1H NMR (400 MHz, Chloroform-*d*) δ 7.87–7.81 (m, 2H), 7.59–7.53 (m, 1H), 7.48 (t, $J = 7.6$ Hz, 2H), 7.30 (d, $J = 7.0$ Hz, 1H), 6.00 (d, $J = 8.1$ Hz, 1H), 4.36 (q, $J = 7.3$ Hz, 2H), 1.37 (t, $J = 7.1$ Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 167.4, 167.1, 132.8, 132.6, 128.9, 127.5, 65.3, 63.4, 14.2. Exact mass calculated for $\text{C}_{11}\text{H}_{12}\text{N}_4\text{NaO}_3^+[\text{M}+\text{Na}]^+$: 271.0802; found: 271.0818.

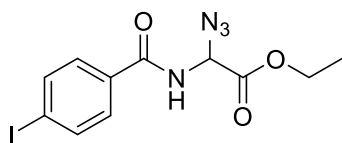


Ethyl 2-azido-2-(4-(tert-butyl)benzamido)acetate (2c): According to the general procedure, oxazole derivative (50 mg, 0.20 mmol) provided **2c** after flash column chromatography

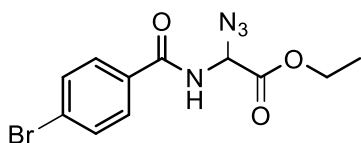
(10% ethyl acetate in petroleum ether) as a white solid (58 mg, 94%). $R_f = 0.42$ (25% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\max}/\text{cm}^{-1}$ 3319, 2963, 2112, 1749, 1655, 1497, 1202, 851, 773. ^1H NMR (400 MHz, Chloroform-*d*) δ 7.78 (d, $J = 8.4$ Hz, 2H), 7.48 (d, $J = 8.4$ Hz, 2H), 7.31 (s, 1H), 6.00 (d, $J = 8.0$ Hz, 1H), 4.34 (q, $J = 7.2$ Hz, 2H), 1.37 (t, $J = 7.1$ Hz, 3H), 1.34 (s, 9H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 167.3, 167.1, 156.4, 129.7, 127.4, 125.9, 65.2, 63.3, 35.2, 31.2, 14.2. Exact mass calculated for $\text{C}_{15}\text{H}_{21}\text{N}_4\text{O}_3^+[\text{M}+\text{Na}]^+$: 305.1608; found: 305.1611.



Ethyl 2-azido-2-(4-methoxybenzamido)acetate (2d): According to the general procedure, oxazole derivative (60 mg, 0.27 mmol) provided **2d** after flash column chromatography (30% ethyl acetate in petroleum ether) as a pale-yellow liquid (74 mg, 97%). $R_f = 0.34$ (20% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\max}/\text{cm}^{-1}$ 3331, 2922, 2110, 1745, 1650, 1497, 1253, 1176, 1024, 767. ^1H NMR (400 MHz, Chloroform-*d*) δ 7.80 (d, $J = 8.8$ Hz, 2H), 7.28 (d, $J = 7.6$ Hz, 1H), 6.93 (dd, $J = 8.8, 1.9$ Hz, 2H), 5.98 (d, $J = 8.0$ Hz, 1H), 4.32 (q, $J = 7.1$ Hz, 2H), 3.84 (s, 3H), 1.35 (t, $J = 7.1$ Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 167.1, 166.8, 163.1, 129.4, 124.8, 114.1, 65.3, 63.3, 55.6, 14.1. Exact mass calculated for $\text{C}_{12}\text{H}_{14}\text{N}_4\text{NaO}_4^+[\text{M}+\text{Na}]^+$: 301.0913; found: 301.0914.

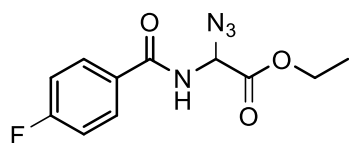


Ethyl 2-azido-2-(4-iodobenzamido)acetate (2e): According to the general procedure, oxazole derivative (50 mg, 0.16 mmol) provided **2e** after flash column chromatography (10% ethyl acetate in petroleum ether) as a white solid (51 mg, 86%). $R_f = 0.58$ (25% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\max}/\text{cm}^{-1}$ 3300, 2955, 2107, 1744, 1647, 1514, 1209, 758. ^1H NMR (400 MHz, Chloroform-*d*) δ 7.83 (d, $J = 8.4$ Hz, 2H), 7.56 (d, $J = 8.5$ Hz, 2H), 7.24 (s, 1H), 5.96 (d, $J = 7.9$ Hz, 1H), 4.35 (q, $J = 7.1$ Hz, 2H), 1.37 (t, $J = 7.1$ Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 166.9, 166.7, 138.2, 132.0, 128.9, 100.1, 65.3, 63.5, 14.2. Exact mass calculated for $\text{C}_{11}\text{H}_{10}\text{N}_4\text{O}_3^-[\text{M}-\text{H}]^-$: 372.9803; found: 372.9798.

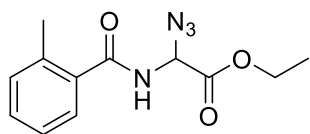


Ethyl 2-azido-2-(4-bromobenzamido)acetate (2f): According to the general procedure, oxazole derivative (50 mg, 0.18 mmol) provided **2f** after flash column chromatography (20% ethyl acetate

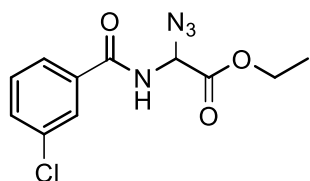
in petroleum ether) as a colorless liquid (40 mg, 66%). $R_f = 0.34$ (20% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\max}/\text{cm}^{-1}$ 3304, 2922, 2106, 1745, 1647, 1514, 1209, 846, 761. ^1H NMR (400 MHz, Chloroform-*d*) δ 7.71 (d, $J = 8.5$ Hz, 2H), 7.61 (d, $J = 8.5$ Hz, 2H), 7.31 (d, $J = 7.7$ Hz, 1H), 5.97 (d, $J = 7.9$ Hz, 1H), 4.35 (q, $J = 7.1$ Hz, 2H), 1.37 (t, $J = 7.1$ Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 166.9, 166.5, 132.2, 131.4, 129.1, 127.6, 65.3, 63.5, 14.2. Exact mass calculated for $\text{C}_{11}\text{H}_{10}\text{BrN}_4\text{O}_3^-[\text{M}-\text{H}]^-$: 324.9942; found: 324.9922.



Ethyl 2-azido-2-(4-fluorobenzamido)acetate (2g): According to the general procedure, oxazole derivative (50 mg, 0.24 mmol) provided **2g** after flash column chromatography (10% ethyl acetate in petroleum ether) as a white solid (41 mg, 64%). $R_f = 0.54$ (25% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\max}/\text{cm}^{-1}$ 3327, 2963, 2104, 1746, 1645, 1526, 1248, 854, 765. ^1H NMR (400 MHz, Chloroform-*d*) δ 7.87 (dd, $J = 8.8, 5.2$ Hz, 2H), 7.23 (d, $J = 6.6$ Hz, 1H), 7.15 (t, $J = 8.5$ Hz, 2H), 5.97 (d, $J = 7.9$ Hz, 1H), 4.36 (q, $J = 7.2$ Hz, 2H), 1.38 (t, $J = 7.1$ Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 167.03, 166.27, 165.52 (d, $J = 253.8$ Hz), 129.97 (d, $J = 9.1$ Hz), 128.8 (d, $J = 2.6$ Hz), 116.1 (d, $J = 22.1$ Hz), 65.3, 63.5, 14.2. Exact mass calculated for $\text{C}_{11}\text{H}_{10}\text{FN}_4\text{O}_3^-[\text{M}-\text{H}]^-$: 265.0742; found: 265.0746.

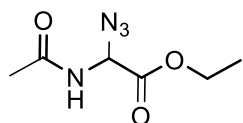


Ethyl 2-azido-2-(2-methylbenzamido)acetate (2h): According to the general procedure, oxazole derivative (50 mg, 0.23 mmol) provided **2h** after flash column chromatography (10% ethyl acetate in petroleum ether) as a white solid (28 mg, 43%). $R_f = 0.32$ (20% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\max}/\text{cm}^{-1}$ 3300, 2925, 2112, 1737, 1458, 1166, 832. ^1H NMR (400 MHz, Chloroform-*d*) δ 7.45 (d, $J = 7.5$ Hz, 1H), 7.37 (t, $J = 7.5$ Hz, 1H), 7.26–7.22 (m, 2H), 7.01 (d, $J = 7.6$ Hz, 1H), 5.97 (d, $J = 8.3$ Hz, 1H), 4.33 (q, $J = 7.1$ Hz, 2H), 2.48 (s, 3H), 1.37 (t, $J = 7.2$ Hz, 3H). ^{13}C NMR (100MHz, Chloroform-*d*) δ 169.7, 166.8, 137.0, 134.1, 131.5, 131.1, 127.2, 126.0, 64.9, 63.3, 20.1, 14.2. Exact mass calculated for $\text{C}_{12}\text{H}_{14}\text{N}_4\text{NaO}_3^+[\text{M}+\text{Na}]^+$: 285.0958; found: 285.0962.



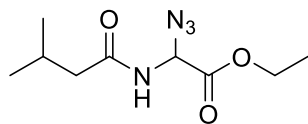
Ethyl 2-azido-2-(3-chlorobenzamido)acetate (2i): According to the general procedure, oxazole derivative (50 mg, 0.22 mmol) provided **2i** after flash column chromatography (15% ethyl acetate in petroleum

ether) as a white solid (39 mg, 62%). $R_f = 0.38$ (25% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\max}/\text{cm}^{-1}$ 3312, 2920, 2111, 1748, 1664, 1518, 1242, 1097, 804, 748. ^1H NMR (400 MHz, Chloroform-*d*) δ 7.83 (t, $J = 1.9$ Hz, 1H), 7.73–7.68 (m, 1H), 7.53 (dt, $J = 7.8, 1.2$ Hz, 1H), 7.41 (t, $J = 7.8$ Hz, 1H), 7.30 (d, $J = 7.7$ Hz, 1H), 5.97 (d, $J = 7.9$ Hz, 1H), 4.35 (q, $J = 7.2$ Hz, 2H), 1.38 (t, $J = 7.1$ Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 166.9, 166.1, 135.2, 134.4, 132.8, 130.3, 127.9, 125.5, 65.3, 63.5, 14.2. Exact mass calculated for $\text{C}_{11}\text{H}_{11}\text{ClN}_4\text{NaO}_3^+[\text{M}+\text{Na}]^+$: 305.0417; found: 305.0412.

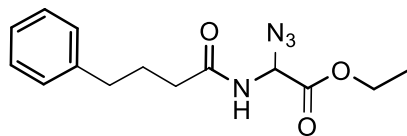


Ethyl 2-acetamido-2-azidoacetate (2j): According to the general procedure, oxazole derivative (50 mg, 0.39 mmol) provided **2j** after flash column chromatography (15% ethyl acetate in petroleum ether) as a white solid (50 mg, 68%). $R_f = 0.26$ (30% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\max}/\text{cm}^{-1}$ 3301,

2924, 2112, 1748, 1674, 1520, 1373, 1203, 1023. ^1H NMR (400 MHz, Chloroform-*d*) δ 6.65–6.55 (m, 1H), 5.78 (d, $J = 8.2$ Hz, 1H), 4.32 (q, $J = 7.1$ Hz, 2H), 2.11 (s, 3H), 1.35 (t, $J = 7.2$ Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 170.3, 166.9, 64.7, 63.3, 23.1, 14.2. Exact mass calculated for $\text{C}_6\text{H}_{10}\text{N}_4\text{NaO}_3^+[\text{M}+\text{Na}]^+$: 206.0645; found: 206.0650.



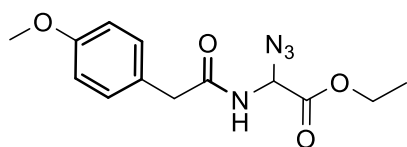
Ethyl 2-azido-2-(3-methylbutanamido)acetate (2k): According to the general procedure, oxazole derivative (50 mg, 0.18 mmol) provided **2k** after flash column chromatography (15% ethyl acetate in petroleum ether) as a colorless liquid (36 mg, 59%). $R_f = 0.46$ (25% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\max}/\text{cm}^{-1}$ 3295, 2925, 1735, 1458, 1260, 1092, 799. ^1H NMR (400 MHz, Chloroform-*d*) δ 6.56 (d, $J = 7.1$ Hz, 1H), 5.81 (d, $J = 8.3$ Hz, 1H), 4.31 (q, $J = 7.1$ Hz, 2H), 2.19–2.13 (m, 3H), 1.35 (t, $J = 7.2$ Hz, 3H), 0.99 (dt, $J = 6.3, 3.7$ Hz, 6H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 172.8, 166.9, 64.6, 63.2, 45.6, 26.1, 22.5, 22.5, 14.2. Exact mass calculated for $\text{C}_9\text{H}_{16}\text{N}_4\text{NaO}_3^+[\text{M}+\text{Na}]^+$: 251.1115; found: 251.114.



Ethyl 2-azido-2-(4-phenylbutanamido)acetate (2l):

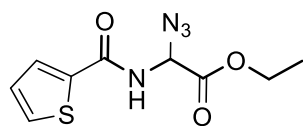
According to the general procedure, oxazole derivative (50 mg, 0.22 mmol) provided **2l** after flash column chromatography (15% ethyl acetate in petroleum ether) as a white solid (27 mg, 43%). $R_f = 0.50$ (35% ethyl

acetate in petroleum ether). **IR** (neat): $\nu_{\max}/\text{cm}^{-1}$ 3313, 2925, 2111, 1748, 1693, 1496, 1200, 1019, 700. ^1H NMR (500 MHz, Chloroform-*d*) δ 7.28 (t, $J = 7.4$ Hz, 2H), 7.23 – 7.14 (m, 3H), 6.56 (d, $J = 7.8$ Hz, 1H), 5.78 (d, $J = 8.2$ Hz, 1H), 4.29 (q, $J = 7.2$ Hz, 2H), 2.66 (t, $J = 7.5$ Hz, 2H), 2.32 – 2.25 (m, 2H), 2.00 (p, $J = 7.9$ Hz, 2H), 1.33 (t, $J = 7.1$ Hz, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 173.0, 166.9, 141.2, 128.6, 128.6, 126.2, 64.6, 63.2, 35.3, 35.0, 26.7, 14.1. Exact mass calculated for $\text{C}_{14}\text{H}_{18}\text{N}_4\text{NaO}_3^+[\text{M}+\text{Na}]^+$: 313.1277; found: 313.1277.



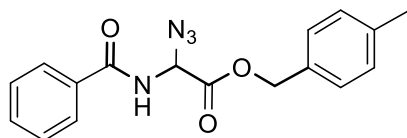
Ethyl 2-azido-2-(2-(4-methoxyphenyl)acetamido)acetate

(2m): According to the general procedure, oxazole derivative (50 mg, 0.21 mmol) provided **2m** after flash column chromatography (20% ethyl acetate in petroleum ether) as a pale yellow liquid (50 mg, 80%). $R_f = 0.38$ (30% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\max}/\text{cm}^{-1}$ 3299, 2924, 2111, 1747, 1693, 1512, 1178, 801. ^1H NMR (500 MHz, Chloroform-*d*) δ 7.18 (d, $J = 8.6$ Hz, 2H), 6.89 (d, $J = 8.7$ Hz, 2H), 6.54 (d, $J = 7.7$ Hz, 1H), 5.74 (d, $J = 8.2$ Hz, 1H), 4.24 (q, $J = 7.2$ Hz, 2H), 3.80 (s, 3H), 3.60 (s, 2H), 1.29 (t, $J = 7.2$ Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*D*) δ 171.7, 166.6, 159.2, 130.6, 125.5, 114.7, 64.6, 63.2, 55.4, 42.5, 14.1. Exact mass calculated for $\text{C}_{13}\text{H}_{16}\text{N}_4\text{NaO}_4^+[\text{M}+\text{Na}]^+$: 315.1064; found: 315.1077.



Ethyl 2-azido-2-(thiophene-2-carboxamido)acetate (2n): According

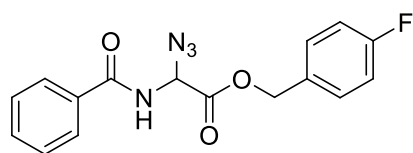
to the general procedure, oxazole derivative (50 mg, 0.17 mmol) provided **2n** after flash column chromatography (15% ethyl acetate in petroleum ether) as a white solid (47 mg, 72%). $R_f = 0.25$ (20% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\max}/\text{cm}^{-1}$ 3316, 2924, 2112, 1746, 1646, 1530, 1244, 720. ^1H NMR (500 MHz, Chloroform-*d*) δ 7.64 – 7.54 (m, 2H), 7.11 (dd, $J = 5.0, 3.8$ Hz, 2H), 5.95 (d, $J = 8.0$ Hz, 1H), 4.34 (q, $J = 7.1$ Hz, 2H), 1.36 (t, $J = 7.1$ Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 166.9, 161.8, 136.9, 131.9, 129.7, 128.1, 65.3, 63.5, 14.2. Exact mass calculated for $\text{C}_9\text{H}_{10}\text{N}_4\text{NaO}_3\text{S}^+[\text{M}+\text{Na}]^+$: 277.0371; found: 277.0379.



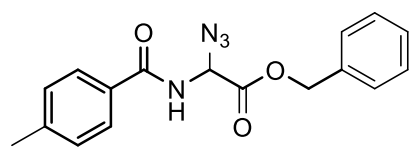
4-Methylbenzyl 2-azido-2-benzamidoacetate (2o):

According to the general procedure, oxazole derivative (50 mg,

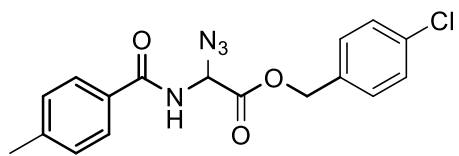
0.18 mmol) provided **2o** after flash column chromatography (15% ethyl acetate in petroleum ether) as a colorless liquid (36 mg, 59%). $R_f = 0.46$ (25% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\max}/\text{cm}^{-1}$ 3319, 2924, 2111, 1748, 1660, 1518, 1242, 1181, 804. ^1H NMR (400 MHz, Chloroform-*d*) δ 7.83 (d, $J = 7.4$ Hz, 2H), 7.57 (t, $J = 7.5$ Hz, 1H), 7.47 (t, $J = 7.6$ Hz, 2H), 7.29 (d, $J = 8.0$ Hz, 3H), 7.20 (d, $J = 7.9$ Hz, 2H), 6.03 (d, $J = 8.0$ Hz, 1H), 5.27 (d, $J = 2.8$ Hz, 3H), 2.37 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 167.3, 166.9, 139.1, 132.7, 132.6, 131.4, 129.6, 128.9, 128.8, 127.5, 68.9, 65.4, 21.4. Exact mass calculated for $\text{C}_{17}\text{H}_{16}\text{N}_4\text{NaO}_3^+[\text{M}+\text{Na}]^+$: 347.1115; found: 347.1121.



4-fluorobenzyl 2-azido-2-benzamidoacetate (2p): According to the general procedure, oxazole derivative (75 mg, 0.28 mmol) provided **2r** after flash column chromatography (15% ethyl acetate in petroleum ether) as a colorless liquid (64 mg, 70%). $R_f = 0.25$ (20% ethyl acetate in petroleum ether). ^1H NMR (500 MHz, Chloroform-*d*) δ 7.81 (dd, $J = 8.3, 1.2$ Hz, 2H), 7.57 – 7.52 (m, 1H), 7.45 (t, $J = 7.7$ Hz, 2H), 7.36 (dd, $J = 8.6, 5.3$ Hz, 3H), 7.06 (t, $J = 8.7$ Hz, 2H), 6.03 (d, $J = 8.1$ Hz, 1H), 5.25 (s, 2H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 167.3, 166.6, 163.1 (d, $J = 248.0$ Hz), 132.7, 132.4, 130.7 (d, $J = 8.2$ Hz), 130.2, 128.1 (d, $J = 145.0$ Hz), 116.0, 115.7, 68.0, 65.3. Exact mass calculated for $\text{C}_{16}\text{H}_{13}\text{FN}_4\text{NaO}_3^+[\text{M}+\text{Na}]^+$: 351.0864; found: 351.0860.

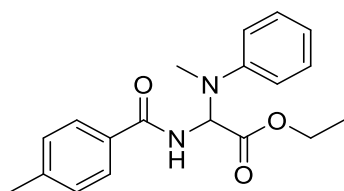


Benzyl 2-azido-2-(4-methylbenzamido)acetate (2q): According to the general procedure, oxazole derivative (60 mg, 0.23 mmol) provided **2p** after flash column chromatography (20% ethyl acetate in petroleum ether) as a colorless liquid (51 mg, 70%). $R_f = 0.34$ (20% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\max}/\text{cm}^{-1}$ 3321, 2956, 2111, 1752, 1660, 1498, 1190, 750, 697. ^1H NMR (400 MHz, Chloroform-*d*) δ 7.73 (d, $J = 8.3$ Hz, 2H), 7.39 (d, $J = 3.2$ Hz, 5H), 7.26 (d, $J = 8.1$ Hz, 2H), 6.05 (d, $J = 8.0$ Hz, 1H), 5.30 (s, 2H), 2.41 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 167.3, 167.0, 143.4, 134.4, 129.9, 129.7, 129.6, 129.0, 128.9, 128.6, 128.0, 127.5, 68.8, 65.3, 21.7. Exact mass calculated for $\text{C}_{17}\text{H}_{16}\text{N}_4\text{NaO}_3^+[\text{M}+\text{Na}]^+$: 347.1115; found: 347.1122.



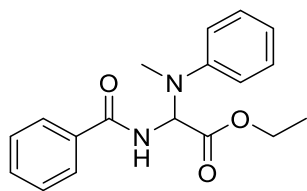
4-Chlorobenzyl 2-azido-2-(4-methylbenzamido)acetate

(2r): According to the general procedure, oxazole derivative (50 mg, 0.17 mmol) provided **2q** after flash column chromatography (15% ethyl acetate in petroleum ether) as a white solid (47 mg, 79%). $R_f = 0.25$ (20% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\max}/\text{cm}^{-1}$ 3321, 2925, 1752, 1657, 1494, 1189, 1092, 808. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.72 (d, $J = 8.2$ Hz, 2H), 7.38–7.30 (m, 4H), 7.28–7.23 (m, 3H), 6.04 (d, $J = 8.0$ Hz, 1H), 5.26 (s, 2H), 2.41 (s, 3H). $^{13}\text{C NMR}$ (100 MHz, Chloroform-*d*) δ 167.3, 166.9, 143.5, 135.1, 132.9, 129.9, 129.7, 129.6, 129.2, 127.5, 67.9, 65.3, 21.7. Exact mass calculated for $\text{C}_{17}\text{H}_{14}\text{N}_4\text{ClO}_3^-[\text{M}-\text{H}]^-$: 357.0760; found: 357.0751.



Ethyl 2-(methyl(phenyl)amino)-2-(4-methylbenzamido)acetate

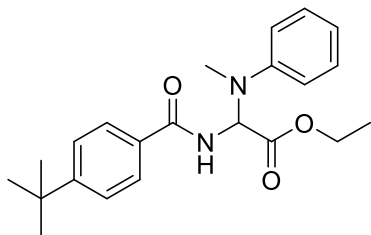
(5a): According to the general procedure, oxazole derivative (50 mg, 0.25 mmol) provided **5a** after flash column chromatography (15% ethyl acetate in petroleum ether) as an off white solid (74 mg, 92%). $R_f = 0.38$ (20% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\max}/\text{cm}^{-1}$ 3323, 2980, 1739, 1643, 1600, 1326, 1210, 752, 694. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.73 (d, $J = 8.1$ Hz, 2H), 7.33–7.26 (m, 3H), 7.24 (s, 1H), 7.08 (d, $J = 8.0$ Hz, 2H), 6.86 (d, $J = 7.3$ Hz, 1H), 6.24 (d, $J = 6.9$ Hz, 1H), 4.31–4.20 (m, 2H), 2.91 (s, 3H), 2.40 (s, 3H), 1.23 (t, $J = 7.1$ Hz, 3H). $^{13}\text{C NMR}$ (100 MHz, Chloroform-*d*) δ 169.7, 167.3, 148.5, 142.7, 130.8, 129.4, 129.3, 127.3, 119.7, 115.3, 68.0, 62.4, 34.1, 21.6, 14.3. Exact mass calculated for $\text{C}_{19}\text{H}_{23}\text{N}_2\text{O}_3^+[\text{M}+\text{H}]^+$: 327.1703; found: 327.1707.



Ethyl 2-benzamido-2-(methyl(phenyl)amino)acetate **(5b):**

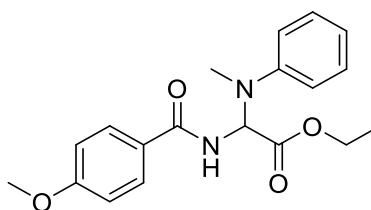
According to the general procedure, oxazole derivative (50mg, 0.26 mmol) provided **5b** after flash column chromatography (15% ethyl acetate in petroleum ether) as an off white solid (50 mg, 61%). $R_f = 0.40$ (25% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\max}/\text{cm}^{-1}$ 3317, 2927, 1741, 1664, 1504, 1311, 1212, 751, 693. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.83 (d, $J = 7.5$ Hz, 2H), 7.53 (t, $J = 7.3$ Hz, 1H), 7.45 (t, $J = 7.5$ Hz, 2H), 7.36 (d, $J = 6.4$ Hz, 1H), 7.29 (t, $J = 7.9$ Hz, 2H), 7.08 (d, J

= 8.3 Hz, 2H), 6.87 (t, $J = 7.3$ Hz, 1H), 6.25 (d, $J = 6.9$ Hz, 1H), 4.31–4.20 (m, 2H), 2.92 (s, 3H), 1.24 (t, $J = 7.1$ Hz, 3H). ^{13}C NMR (100 MHz, Chloroform- d) δ 169.7, 167.4, 148.4, 133.7, 132.2, 129.3, 128.8, 127.4, 119.7, 115.3, 68.1, 62.4, 34.2, 14.3. Exact mass calculated for $\text{C}_{18}\text{H}_{21}\text{N}_2\text{O}_3^+[\text{M}+\text{H}]^+$: 313.1547; found: 313.1558.



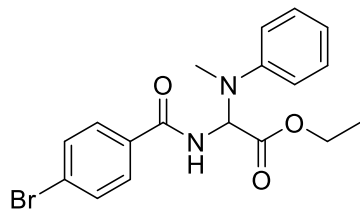
Ethyl 2-(4-(*tert*-Butyl)benzamido)-2-(methyl(phenyl)amino)acetate (5c): According to the general procedure, oxazole derivative (50 mg, 0.20 mmol) provided **5c** after flash column chromatography (15% ethyl acetate in petroleum ether) as a pale yellow solid (70 mg, 93%). $R_f = 0.45$ (25% ethyl acetate in

petroleum ether). **IR** (neat): $\nu_{\text{max}}/\text{cm}^{-1}$ 3321, 2962, 1741, 1650, 1494, 1266, 750. ^1H NMR (400 MHz, Chloroform- d) δ 7.78 (d, $J = 8.4$ Hz, 2H), 7.46 (d, $J = 8.4$ Hz, 2H), 7.34 (d, $J = 6.7$ Hz, 1H), 7.29 (d, $J = 8.6$ Hz, 1H), 7.08 (d, $J = 8.1$ Hz, 2H), 6.87 (t, $J = 7.4$ Hz, 1H), 6.25 (d, $J = 7.0$ Hz, 1H), 4.31–4.19 (m, 2H), 2.92 (s, 3H), 1.34 (s, 9H), 1.23 (t, $J = 7.1$ Hz, 3H). ^{13}C NMR (100 MHz, Chloroform- d) δ 169.7, 167.3, 155.8, 148.5, 130.8, 129.3, 127.40, 127.2, 125.7, 119.7, 115.4, 68.0, 62.4, 35.1, 34.2, 31.3, 14.3. Exact mass calculated for $\text{C}_{22}\text{H}_{29}\text{N}_2\text{O}_3^+[\text{M}+\text{H}]^+$: 369.2173; found: 369.2176.



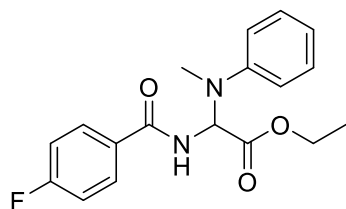
Ethyl 2-(4-methoxybenzamido)-2-(methyl(phenyl)amino)acetate (5d): According to the general procedure, oxazole derivative (50 mg, 0.23 mmol) provided **5d** after flash column chromatography (25% ethyl acetate in petroleum ether) as a

white solid (76 mg, 97%). $R_f = 0.42$ (35% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\text{max}}/\text{cm}^{-1}$ 3313, 2925, 1741, 1605, 1257, 1176, 845, 800, 752. ^1H NMR (400 MHz, Chloroform- d) δ 7.81 (d, $J = 8.8$ Hz, 2H), 7.32–7.27 (m, 2H), 7.09 (d, $J = 8.1$ Hz, 2H), 6.93 (d, $J = 8.7$ Hz, 2H), 6.87 (t, $J = 7.3$ Hz, 1H), 6.24 (d, $J = 7.0$ Hz, 1H), 4.32–4.18 (m, 2H), 3.85 (s, 3H), 2.91 (s, 3H), 1.23 (t, $J = 7.1$ Hz, 3H). ^{13}C NMR (100 MHz, Chloroform- d) δ 169.8, 166.9, 162.7, 148.5, 129.3, 129.2, 125.9, 119.6, 115.3, 113.9, 68.0, 62.4, 55.6, 34.1, 14.3. Exact mass calculated for $\text{C}_{19}\text{H}_{23}\text{N}_2\text{O}_4^+[\text{M}+\text{H}]^+$: 343.1652; found: 343.1657.



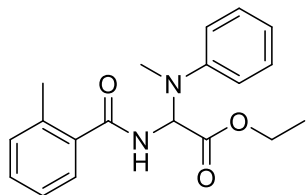
Ethyl 2-(4-bromobenzamido)-2-(methyl(phenyl)amino)acetate

(5e): According to the general procedure, oxazole derivative (50 mg, 0.19 mmol) provided **5f** after flash column chromatography (15% ethyl acetate in petroleum ether) as an off white solid (34 mg, 47%). $R_f = 0.38$ (25% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\max}/\text{cm}^{-1}$ 3316, 2923, 1732, 1650, 1504, 1209, 1011, 753. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.70 (d, $J = 8.3$ Hz, 2H), 7.58 (d, $J = 8.3$ Hz, 2H), 7.35 (d, $J = 6.1$ Hz, 1H), 7.29 (t, $J = 7.9$ Hz, 2H), 7.08 (d, $J = 8.1$ Hz, 2H), 6.89 (t, $J = 7.2$ Hz, 1H), 6.21 (d, $J = 6.8$ Hz, 1H), 4.32–4.19 (m, 2H), 2.92 (s, 3H), 1.23 (t, $J = 7.1$ Hz, 3H). $^{13}\text{C NMR}$ (126 MHz, Chloroform-*d*) δ 169.6, 166.4, 148.3, 132.0, 129.3, 128.9, 126.9, 119.8, 115.3, 68.2, 62.5, 34.3, 14.3. Exact mass calculated for $\text{C}_{18}\text{H}_{20}\text{BrN}_2\text{O}_3^+[\text{M}+\text{H}]^+$: 391.0652; found: 391.0655.



Ethyl 2-(4-fluorobenzamido)-2-(methyl(phenyl)amino)acetate

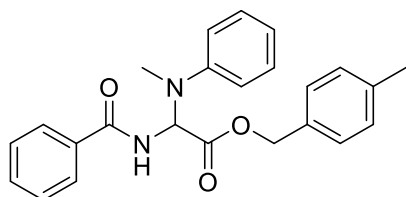
(5f): According to the general procedure, oxazole derivative (50 mg, 0.26 mmol) provided **5f** after flash column chromatography (15% ethyl acetate in petroleum ether) as an off white solid (36 mg, 41%). $R_f = 0.38$ (30% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\max}/\text{cm}^{-1}$ 3316, 2925, 1740, 1650, 1601, 1498, 1229, 851, 751, 694. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.85 (dd, $J = 8.7$, 5.3 Hz, 2H), 7.32–7.26 (m, 3H), 7.13 (d, $J = 8.6$ Hz, 2H), 7.10–7.06 (m, 2H), 6.88 (t, $J = 7.3$ Hz, 1H), 6.22 (d, $J = 6.8$ Hz, 1H), 4.30–4.21 (m, 2H), 2.92 (s, 3H), 1.24 (t, $J = 7.2$ Hz, 3H). $^{13}\text{C NMR}$ (100 MHz, Chloroform-*d*) δ 169.6, 166.3, 148.3, 129.7 (d, $J = 9.3$ Hz), 129.3, 117.8 (d, $J = 382.3$ Hz), 115.7, 115.3, 77.4, 77.1, 76.8, 68.1, 62.5, 34.2, 14.27. Exact mass calculated for $\text{C}_{18}\text{H}_{20}\text{FN}_2\text{O}_3^+[\text{M}+\text{H}]^+$: 331.1452; found: 331.1456.



Ethyl 2-(methyl(phenyl)amino)-2-(2-methylbenzamido)acetate

(5g): According to the general procedure, oxazole derivative (50 mg, 0.25 mmol) provided **5g** after flash column chromatography (15% ethyl acetate in petroleum ether) as a pale yellow solid (37 mg, 47%). $R_f = 0.34$ (25% ethyl acetate in petroleum ether). $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.42 (d, $J = 7.5$ Hz, 1H), 7.36–7.31 (m, 1H), 7.31–7.26 (m, 2H), 7.22 (d, $J = 7.7$ Hz, 2H), 7.05 (d, $J = 8.3$ Hz, 2H), 6.95 (d, $J = 7.4$ Hz, 1H), 6.88 (t, $J = 7.3$ Hz, 1H), 6.25 (d, $J = 7.4$ Hz, 1H), 4.32–4.19

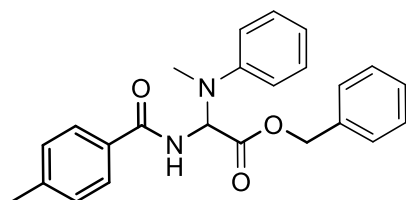
(m, 2H), 2.94 (s, 3H), 2.44 (s, 3H), 1.25 (t, $J = 7.1$ Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 169.8, 169.5, 148.3, 136.6, 135.4, 131.3, 130.5, 129.3, 127.2, 125.9, 119.7, 115.3, 67.8, 62.4, 34.7, 20.1, 14.3. Exact mass calculated for $\text{C}_{19}\text{H}_{23}\text{N}_2\text{O}_3^+[\text{M}+\text{H}]^+$: 327.1703; found: 327.1705.



4-Methylbenzyl 2-benzamido-2-(methyl(phenyl)amino)

acetate (5h): According to the general procedure, oxazole derivative (50 mg, 0.19 mmol) provided **5h** after flash column chromatography (15% ethyl acetate in petroleum ether) as a

pale yellow liquid (53 mg, 72%). $R_f = 0.39$ (20% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\text{max}}/\text{cm}^{-1}$ 3318, 2923, 1743, 1665, 1326, 1206, 752, 694. ^1H NMR (400 MHz, Chloroform-*d*) δ 7.86–7.81 (m, 2H), 7.52 (dt, $J = 6.5, 1.7$ Hz, 1H), 7.45 (t, $J = 7.4$ Hz, 2H), 7.34 (d, $J = 6.7$ Hz, 1H), 7.28 (dd, $J = 7.0, 1.7$ Hz, 1H), 7.25 (s, 1H), 7.13 (s, 3H), 7.05 (d, $J = 7.9$ Hz, 2H), 6.88 (t, $J = 7.3$ Hz, 1H), 6.29 (d, $J = 6.9$ Hz, 1H), 5.25–5.10 (m, 2H), 2.83 (s, 3H), 2.34 (s, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 169.6, 167.4, 148.4, 138.6, 133.7, 132.2, 129.4, 128.8, 128.6, 127.4, 119.77, 115.5, 68.2, 67.9, 34.2, 21.4. Exact mass calculated for $\text{C}_{24}\text{H}_{25}\text{N}_2\text{O}_3^+[\text{M}+\text{H}]^+$: 389.1860; found: 389.1865.

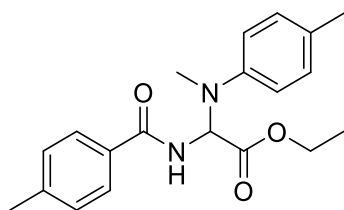


Benzyl 2-(methyl(phenyl)amino)-2-(4-methylbenzamido)

acetate (5i): According to the general procedure, oxazole derivative (50 mg, 0.19 mmol) provided **5i** after flash column chromatography (10% ethyl acetate in petroleum ether) as a

pale-yellow liquid (51 mg, 70%). $R_f = 0.39$ (20% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\text{max}}/\text{cm}^{-1}$ 3320, 2924, 1740, 1660, 1496, 1325, 1188, 750, 695. ^1H NMR (500 MHz, Chloroform-*d*) δ 7.86 – 7.78 (m, 2H), 7.51 (d, $J = 7.4$ Hz, 1H), 7.44 (t, $J = 7.6$ Hz, 2H), 7.28 – 7.25 (m, 2H), 7.24 (s, 1H), 7.12 (d, $J = 1.3$ Hz, 4H), 7.04 (d, $J = 8.7$ Hz, 2H), 6.90 – 6.83 (m, 1H), 6.27 (d, $J = 6.9$ Hz, 1H), 5.20 (d, $J = 12.1$ Hz, 1H), 5.13 (d, $J = 12.1$ Hz, 1H), 2.82 (s, 3H), 2.33 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 169.6, 167.4, 148.4, 138.6, 133.7, 132.2, 132.0, 129.4, 129.3, 128.8, 128.6, 127.4, 119.8, 115.5, 68.2, 67.9, 34.2, 21.4. Exact mass calculated for $\text{C}_{24}\text{H}_{25}\text{N}_2\text{O}_3^+[\text{M}+\text{H}]^+$: 389.1860; found: 389.1863.

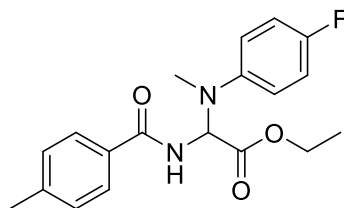
Gram Scale reaction: To a 250 mL round bottom flask, Rose Bengal (38 mg, 0.038 mmol, 1 mol%), oxazole derivative (1g, 3.77 mmol, 1 equiv) and aniline derivative (1.37 g, 11.31 mmol, 3 equiv) and dioxane (40 mL) were added. The reaction mixture was irradiated with a green LED and stirred for 24 h under air atmosphere. After the completion of reaction (Monitored by TLC), the solvent was removed, and the product was purified by flash column chromatography (10% Ethyl Acetate: Petroleum Ether) to afford **5i** as a pale-yellow liquid (916 mg, 63%).



Ethyl 2-((4-methylphenyl)(methyl)amino)-2-(4-methylbenzamido)acetate

(5j): According to the general procedure, oxazole derivative (50 mg, 0.25 mmol) provided **5j** after flash column chromatography (15% ethyl acetate in petroleum ether) as a pale brown solid (55 mg,

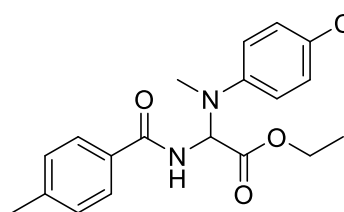
66%). $R_f = 0.34$ (20% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\max}/\text{cm}^{-1}$ 3318, 2923, 1742, 1667, 1329, 1264, 807, 753, 724. $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.73 (d, $J = 8.1$ Hz, 2H), 7.32–7.28 (m, 1H), 7.23 (dd, $J = 14.5, 8.0$ Hz, 2H), 7.12–7.04 (m, 2H), 7.01 (d, $J = 8.6$ Hz, 2H), 6.18 (d, $J = 7.1$ Hz, 1H), 4.30–4.19 (m, 2H), 2.88 (s, 3H), 2.40 (s, 3H), 2.27 (s, 3H), 1.23 (d, $J = 7.2$ Hz, 3H). $^{13}\text{C NMR}$ (100 MHz, Chloroform-*d*) δ 169.8, 167.3, 146.3, 142.7, 130.3, 129.8, 129.5, 129.4, 129.3, 127.5, 127.4, 125.5, 122.7, 116.0, 68.4, 62.3, 34.4, 21.6, 20.6, 14.4. Exact mass calculated for $\text{C}_{20}\text{H}_{25}\text{N}_2\text{O}_3^+[\text{M}+\text{H}]^+$: 341.1860; found: 341.1865.



Ethyl 2-((4-fluorophenyl)(methyl)amino)-2-(4-methylbenzamido)acetate

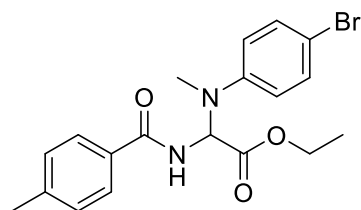
(5k): According to the general procedure, oxazole derivative (50 mg, 0.25 mmol) provided **5k** after flash

column chromatography (15% ethyl acetate in petroleum ether) as a white solid (17 mg, 21%). $R_f = 0.30$ (15% ethyl acetate in petroleum ether). $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.74 (d, $J = 8.2$ Hz, 2H), 7.36 (d, $J = 6.2$ Hz, 1H), 7.26 (d, $J = 7.9$ Hz, 2H), 7.10 (dd, $J = 9.0, 4.4$ Hz, 2H), 6.98 (t, $J = 8.7$ Hz, 2H), 6.11 (d, $J = 6.9$ Hz, 1H), 4.25 (dddd, $J = 17.9, 10.8, 7.2, 3.6$ Hz, 2H), 2.85 (s, 3H), 2.41 (s, 3H), 1.23 (d, $J = 7.2$ Hz, 3H). $^{13}\text{C NMR}$ (125 MHz, Chloroform-*d*) δ 168.3 (d, $J = 269.3$ Hz), 157.4 (d, $J = 238.6$ Hz), 145.2, 142.7, 130.8, 130.0, 129.4, 127.3, 117.6 (d, $J = 7.6$ Hz), 115.6 (d, $J = 22.6$ Hz), 68.8, 62.3, 34.2, 21.6, 14.3. Exact mass calculated for $\text{C}_{19}\text{H}_{21}\text{FNaN}_2\text{O}_3^+[\text{M}+\text{H}]^+$: 367.1428; found: 367.1414.



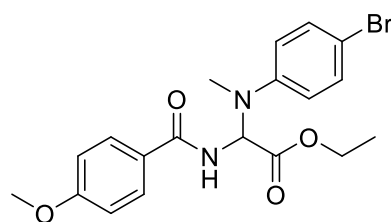
Ethyl 2-((4-chlorophenyl)(methyl)amino)-2-(4-methylbenzamido)acetate (5l):

According to the general procedure, oxazole derivative (50 mg, 0.25 mmol) provided **5l** after flash column chromatography (10% ethyl acetate in petroleum ether) as a white solid (47 mg, 53%). $R_f = 0.32$ (15% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\max}/\text{cm}^{-1}$ 3318, 2925, 1740, 1650, 1496, 1305, 1020, 815. ^1H NMR (400 MHz, Chloroform-*d*) δ 7.73 (d, $J = 8.2$ Hz, 2H), 7.34 (d, $J = 6.6$ Hz, 1H), 7.24 (s, 2H), 7.23–7.20 (m, 2H), 7.05–7.01 (m, 2H), 6.16 (d, $J = 6.7$ Hz, 1H), 4.32–4.16 (m, 2H), 2.85 (s, 3H), 2.40 (s, 3H), 1.23 (t, $J = 7.1$ Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 169.4, 167.4, 147.3, 142.9, 130.6, 129.5, 129.1, 127.4, 124.6, 116.6, 68.1, 62.5, 33.8, 21.6, 14.4. Exact mass calculated for $\text{C}_{19}\text{H}_{22}\text{ClN}_2\text{O}_3^+[\text{M}+\text{H}]^+$: 361.1313; found: 361.1310.



Ethyl 2-((4-bromophenyl)(methyl)amino)-2-(4-methylbenzamido)acetate (5m):

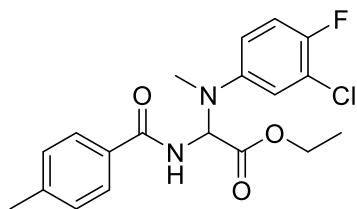
According to the general procedure, oxazole derivative (50 mg, 0.25 mmol) provided **5m** after flash column chromatography (10% ethyl acetate in petroleum ether) as a white solid (47 mg, 48%). $R_f = 0.32$ (15% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\max}/\text{cm}^{-1}$ 3319, 2924, 1739, 1649, 1493, 1328, 812. ^1H NMR (400 MHz, Chloroform-*d*) δ 7.73 (d, $J = 8.2$ Hz, 2H), 7.37 (s, 1H), 7.36–7.33 (m, 2H), 7.25 (d, $J = 7.9$ Hz, 2H), 7.02–6.94 (m, 2H), 6.16 (d, $J = 6.7$ Hz, 1H), 4.25 (qq, $J = 10.8, 7.1$ Hz, 2H), 2.85 (s, 3H), 2.40 (s, 3H), 1.24 (t, $J = 7.1$ Hz, 3H). ^{13}C NMR (125 MHz, Chloroform-*d*) δ 169.4, 167.4, 147.7, 142.8, 132.0, 130.6, 129.5, 127.3, 116.9, 111.9, 67.9, 62.5, 33.8, 21.6, 14.3. Exact mass calculated for $\text{C}_{19}\text{H}_{22}\text{BrN}_2\text{O}_3^+[\text{M}+\text{H}]^+$: 405.0808; found: 405.0815.



Ethyl 2-((4-bromophenyl)(methyl)amino)-2-(4-methoxybenzamido)acetate (5n):

According to the general procedure, oxazole derivative (50 mg, 0.25 mmol) provided **5n** after flash column chromatography (10% ethyl acetate in petroleum ether) as a white solid (37 mg, 48%). $R_f = 0.33$ (15% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\max}/\text{cm}^{-1}$ 3318, 2963, 1738, 1606, 1493, 1259, 1024,

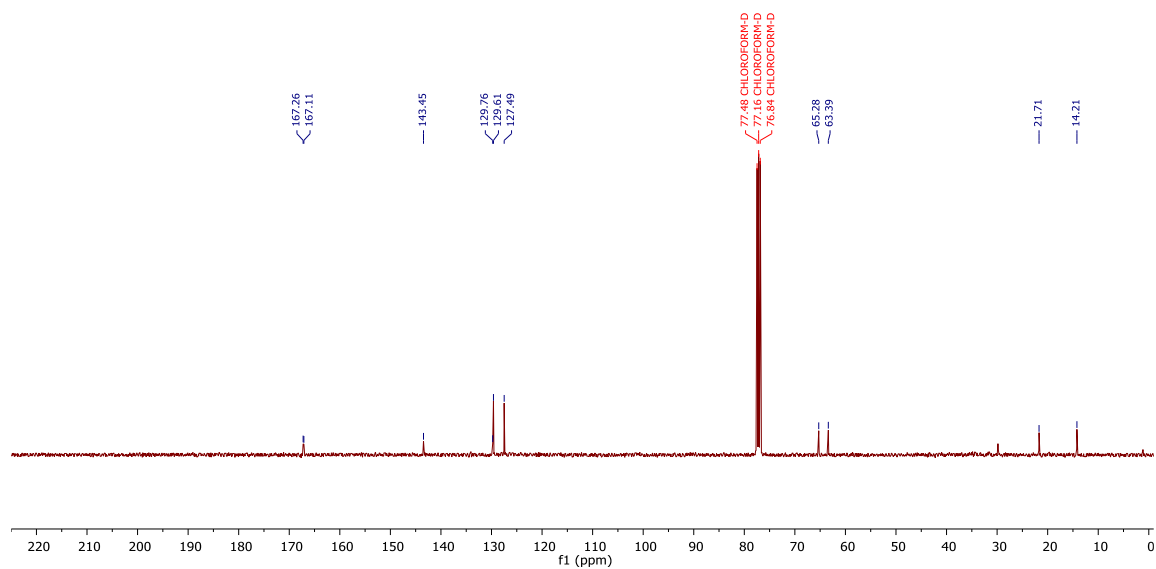
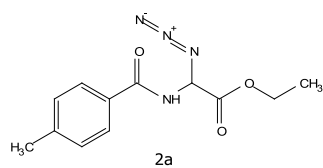
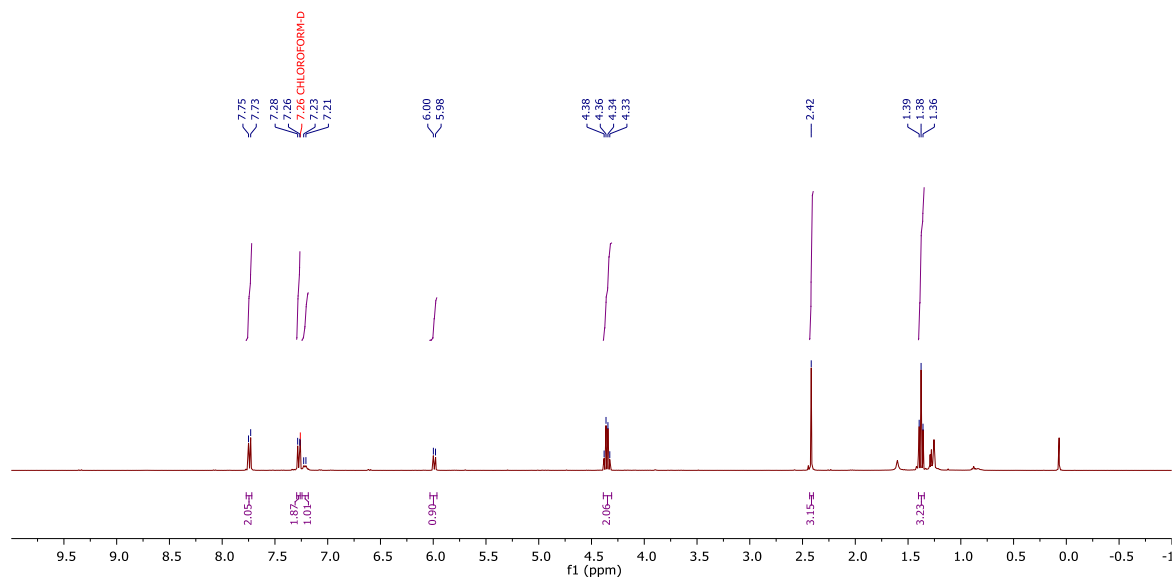
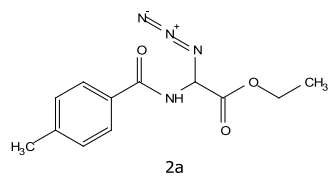
607. ^1H NMR (400 MHz, Chloroform-*d*) δ 7.83–7.77 (m, 2H), 7.38–7.33 (m, 2H), 7.29 (d, J = 5.6 Hz, 1H), 7.00–6.96 (m, 2H), 6.96–6.91 (m, 2H), 6.16 (d, J = 6.6 Hz, 1H), 4.32–4.19 (m, 2H), 3.85 (s, 3H), 2.85 (s, 3H), 1.24 (t, J = 7.1 Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 169.5, 166.9, 162.9, 147.8, 132.0, 129.3, 125.7, 116.9, 114.0, 111.9, 67.9, 62.6, 55.6, 33.8, 14.4. Exact mass calculated for $\text{C}_{19}\text{H}_{22}\text{BrN}_2\text{O}_4^+[\text{M}+\text{H}]^+$: 421.0757; found: 421.0761.

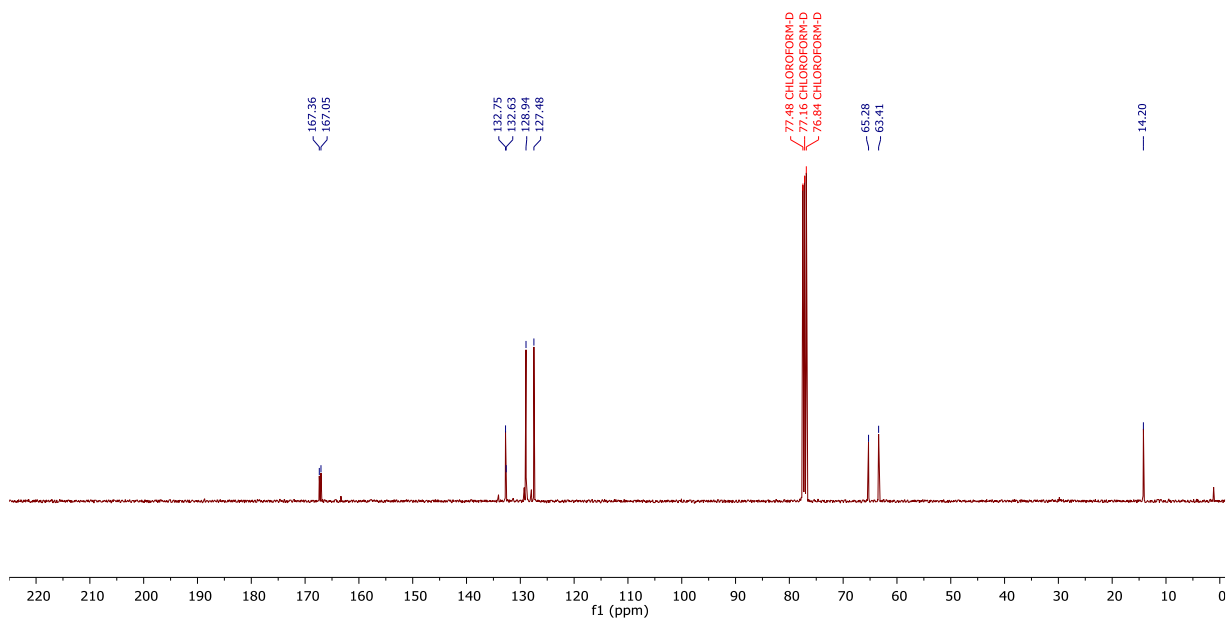
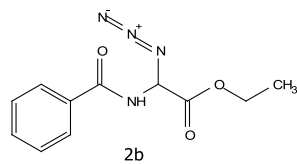
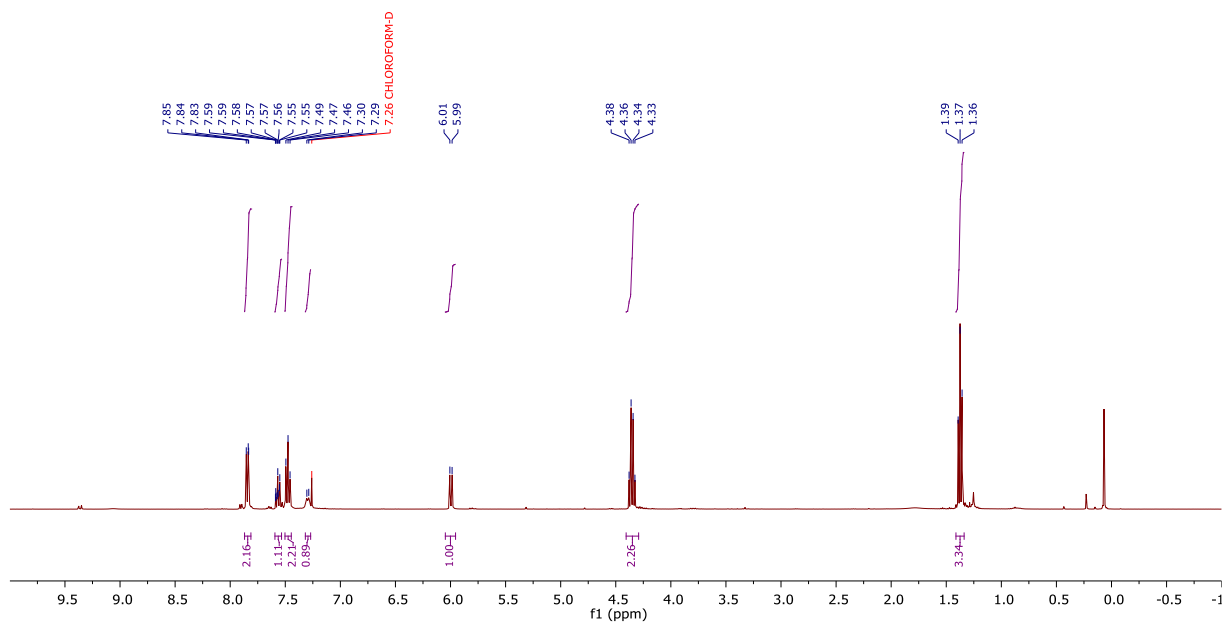
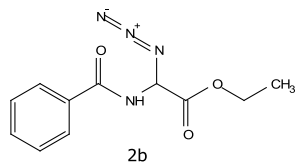


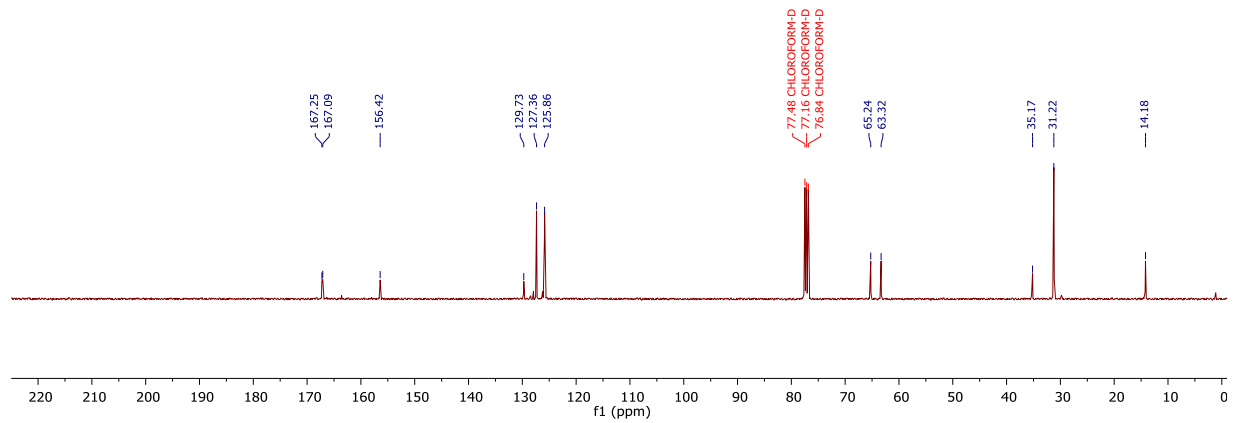
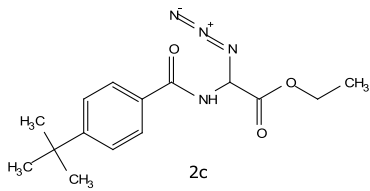
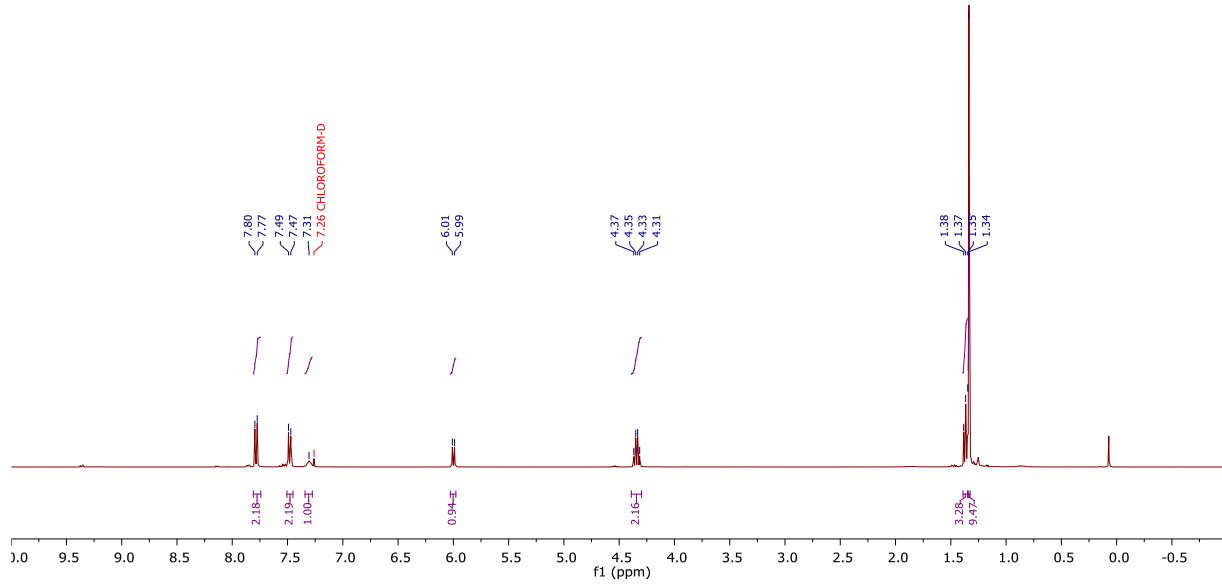
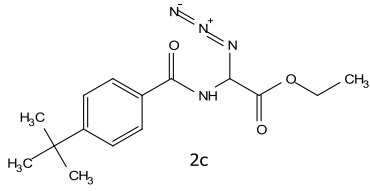
Ethyl 2-((3-chloro-4-fluorophenyl)(methylamino)-2-(4-methylbenzamido)acetate (5o): According to the general procedure, oxazole derivative (50 mg, 0.25 mmol) provided **5o** after flash column chromatography (10% ethyl acetate in

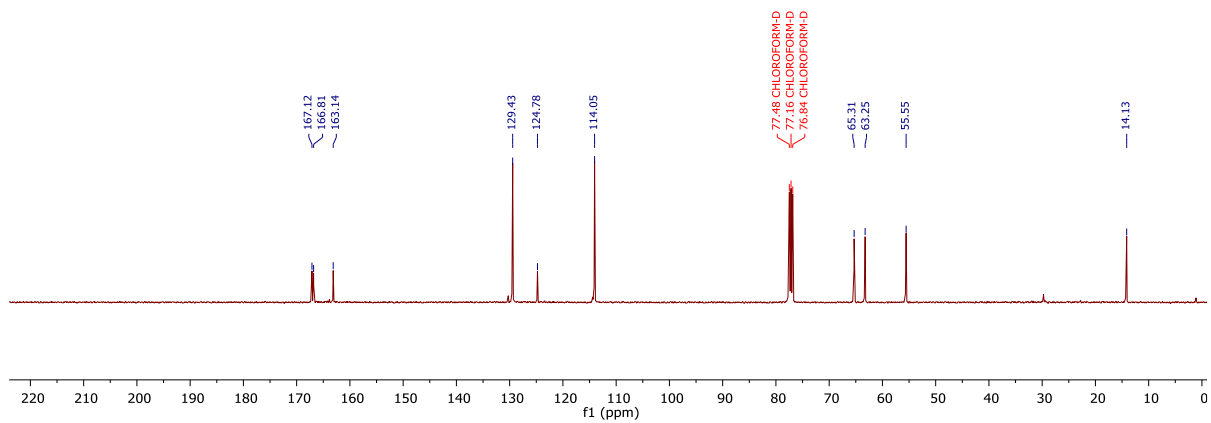
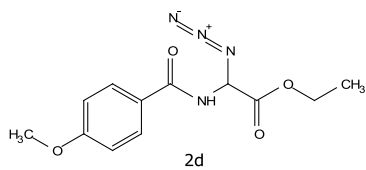
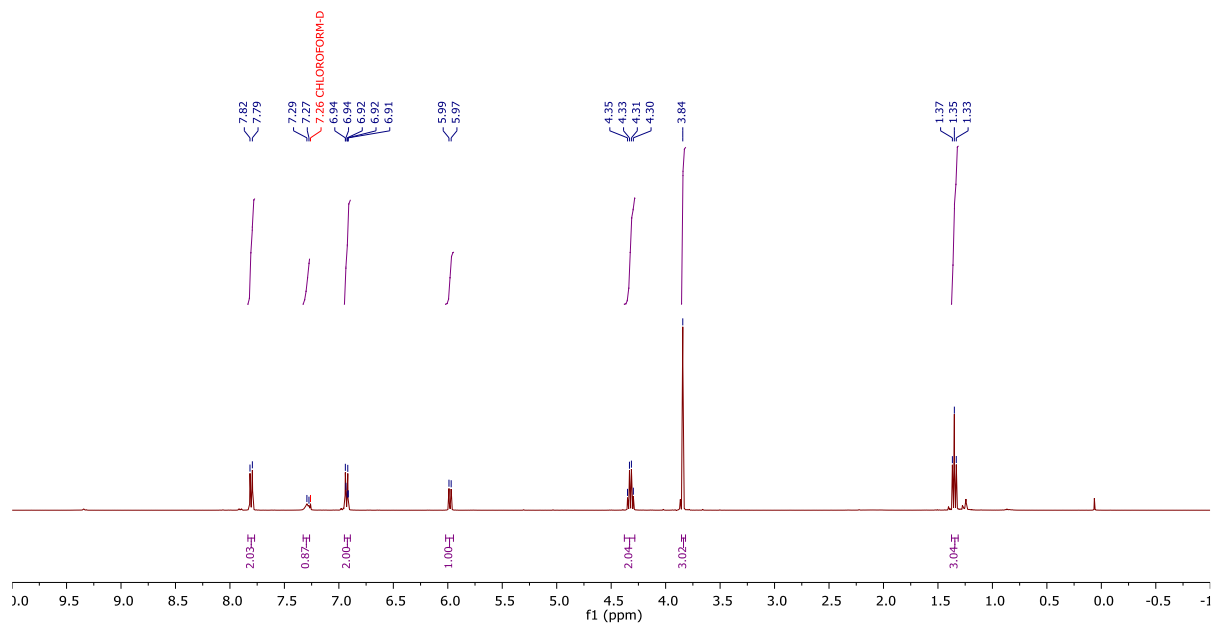
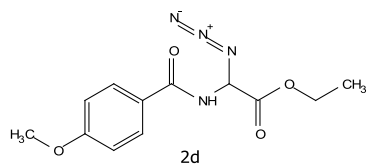
petroleum ether) as a white solid (25 mg, 25%). R_f = 0.32 (15% ethyl acetate in petroleum ether). **IR** (neat): $\nu_{\text{max}}/\text{cm}^{-1}$ 3420, 2924, 1738, 1669, 1512, 1316, 741. ^1H NMR (400 MHz, Chloroform-*d*) δ 7.73 (d, J = 8.1 Hz, 2H), 7.35 (d, J = 6.4 Hz, 1H), 7.26 (d, J = 8.0 Hz, 2H), 7.09–7.03 (m, 3H), 6.08 (d, J = 6.5 Hz, 1H), 4.27 (qq, J = 10.6, 7.1 Hz, 2H), 2.81 (s, 3H), 2.41 (s, 3H), 1.26 (t, J = 7.2 Hz, 3H). ^{13}C NMR (100 MHz, Chloroform-*d*) δ 169.2, 167.4, 152.4 (d, J = 240.8 Hz), 145.9, 142.9, 130.5, 129.5, 127.3, 121.0 (d, J = 18.5 Hz), 117.4, 116.8 (d, J = 21.9 Hz), 115.5 (d, J = 6.4 Hz), 68.4, 62.6, 33.6, 21.6, 14.3. Exact mass calculated for $\text{C}_{19}\text{H}_{21}\text{ClFN}_2\text{O}_3^+[\text{M}+\text{H}]^+$: 379.1219; found: 379.1226.

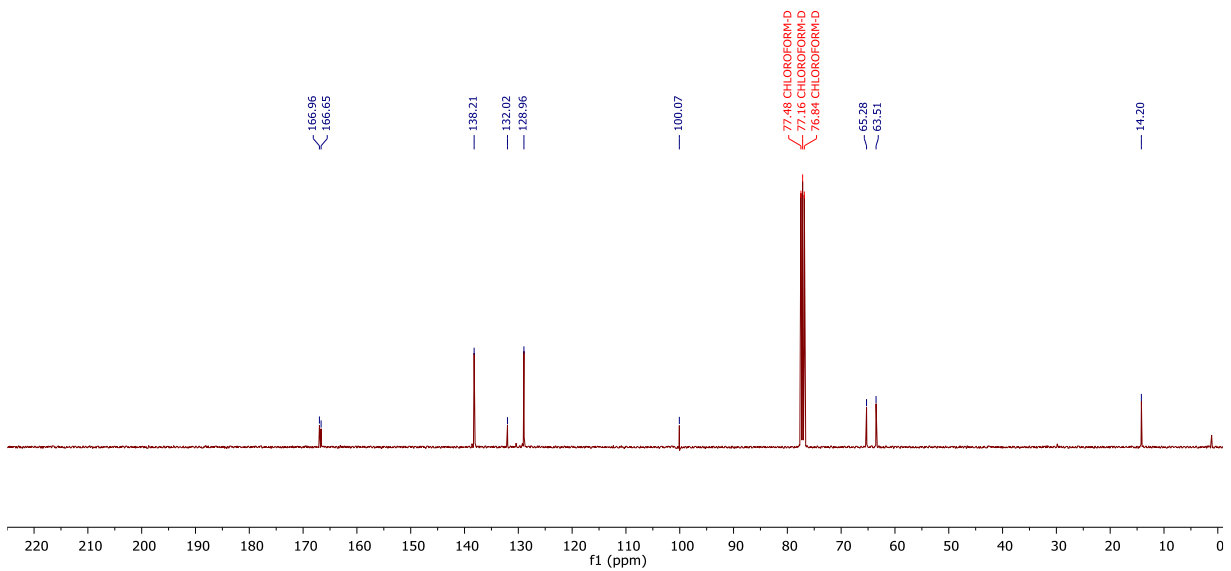
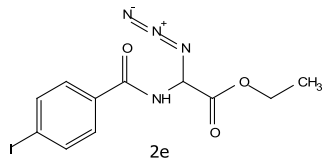
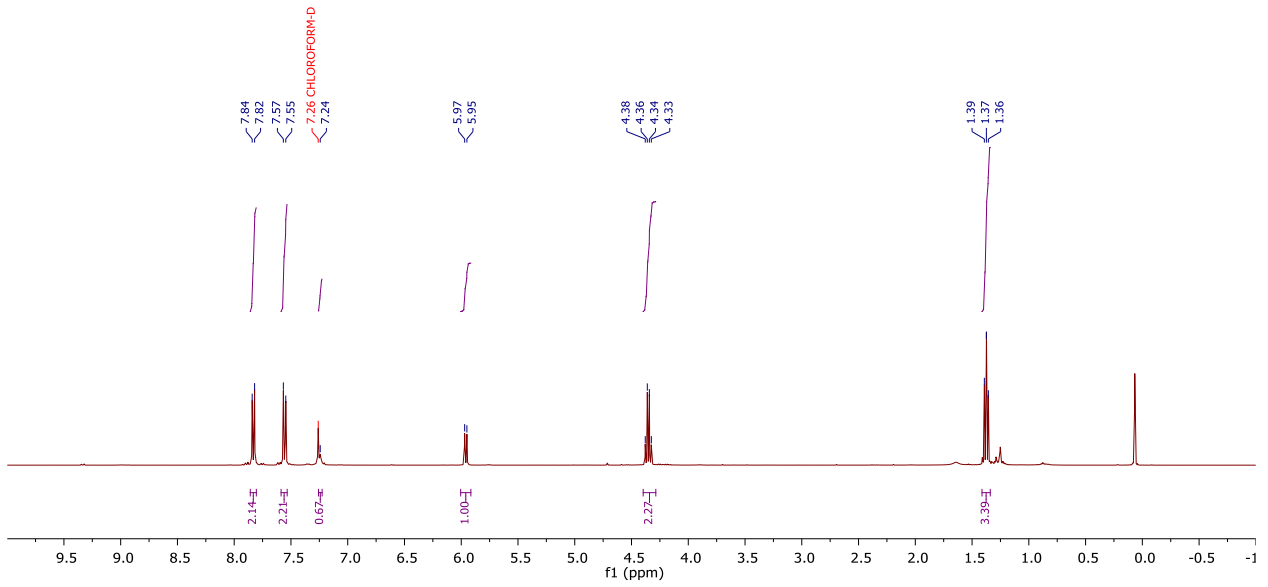
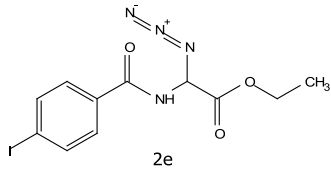
4. ^1H and ^{13}C NMR spectra:

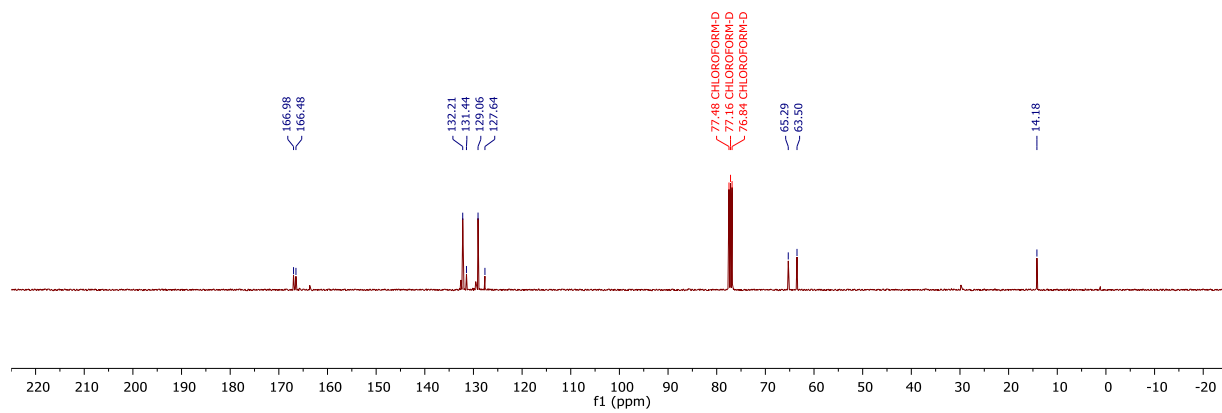
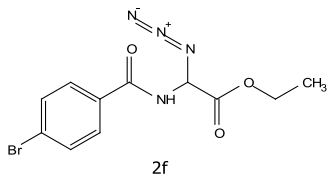
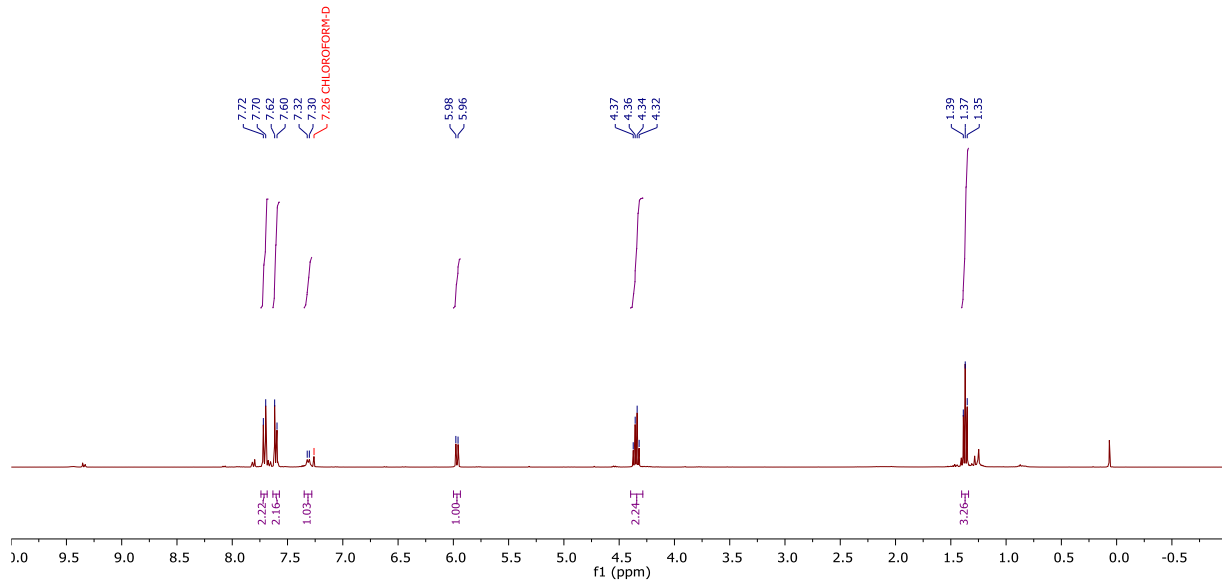
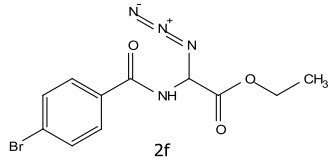


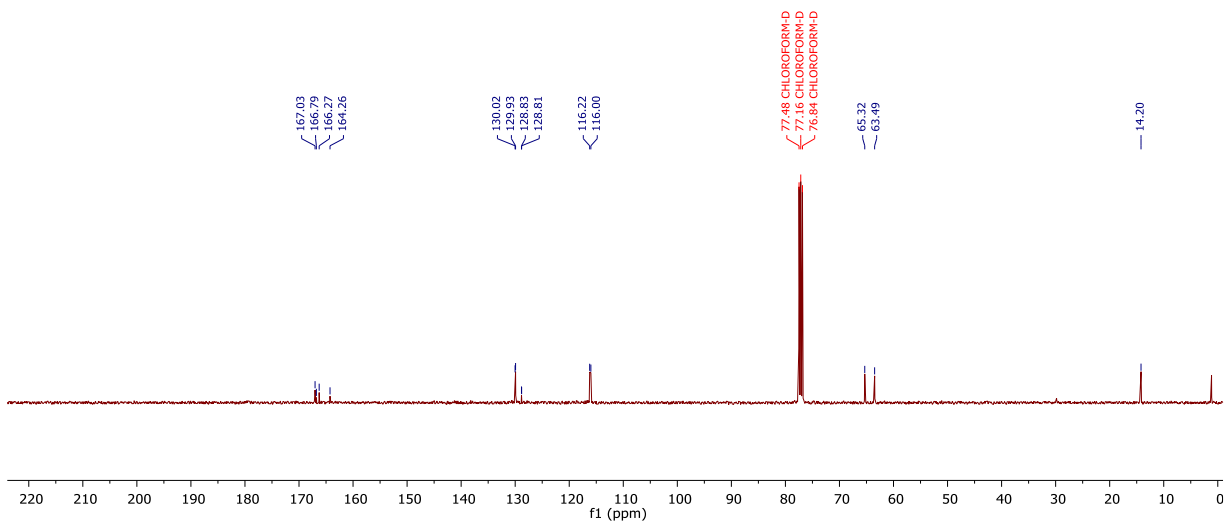
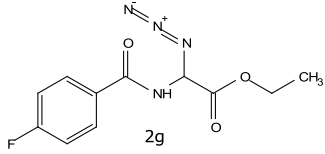
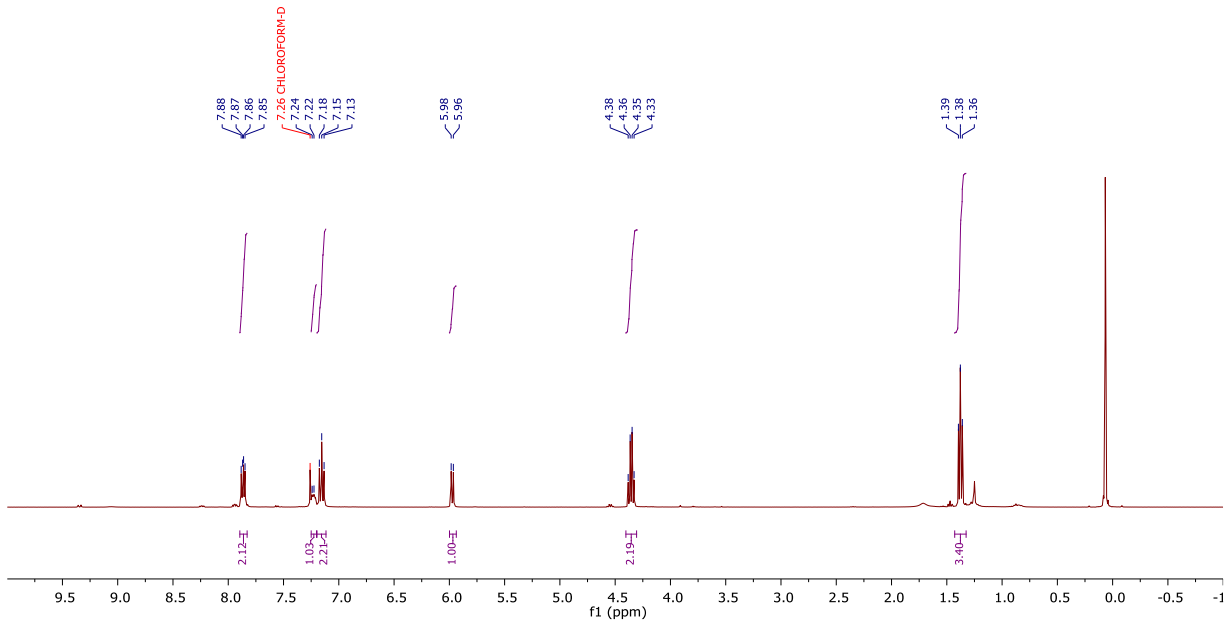
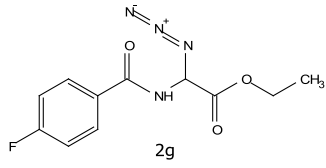


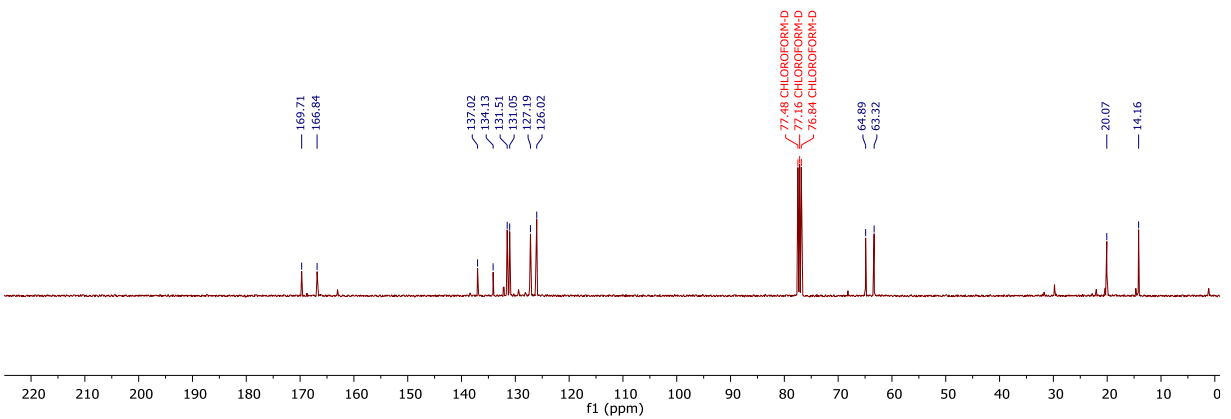
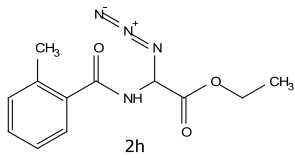
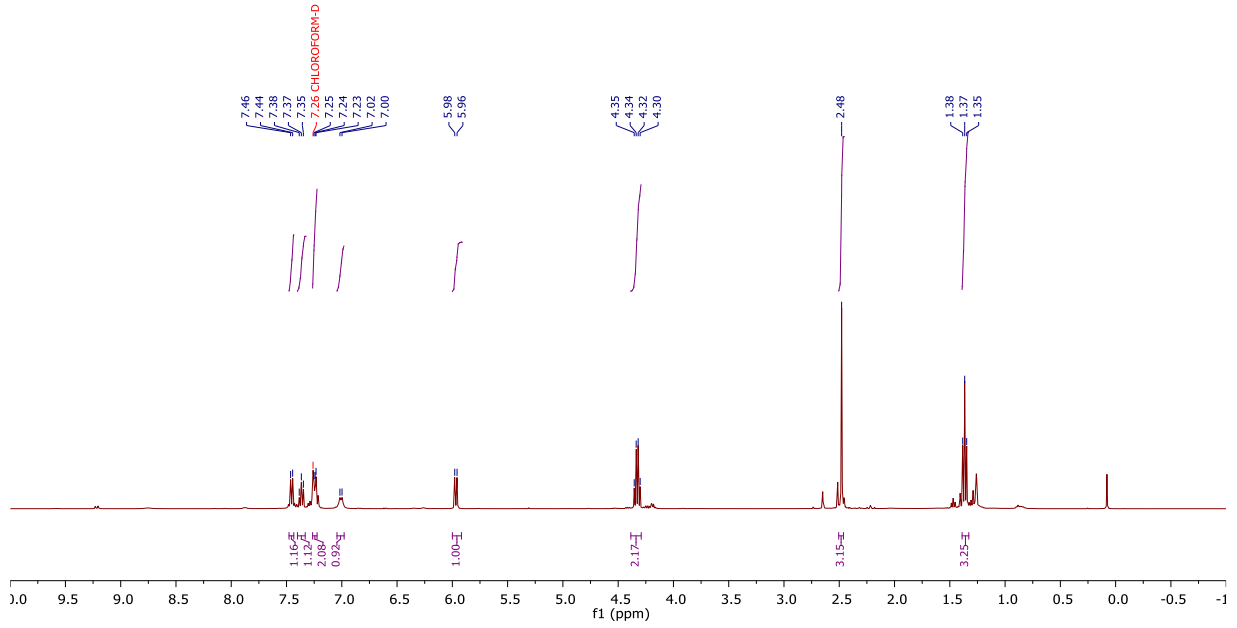
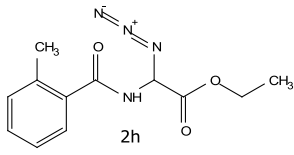


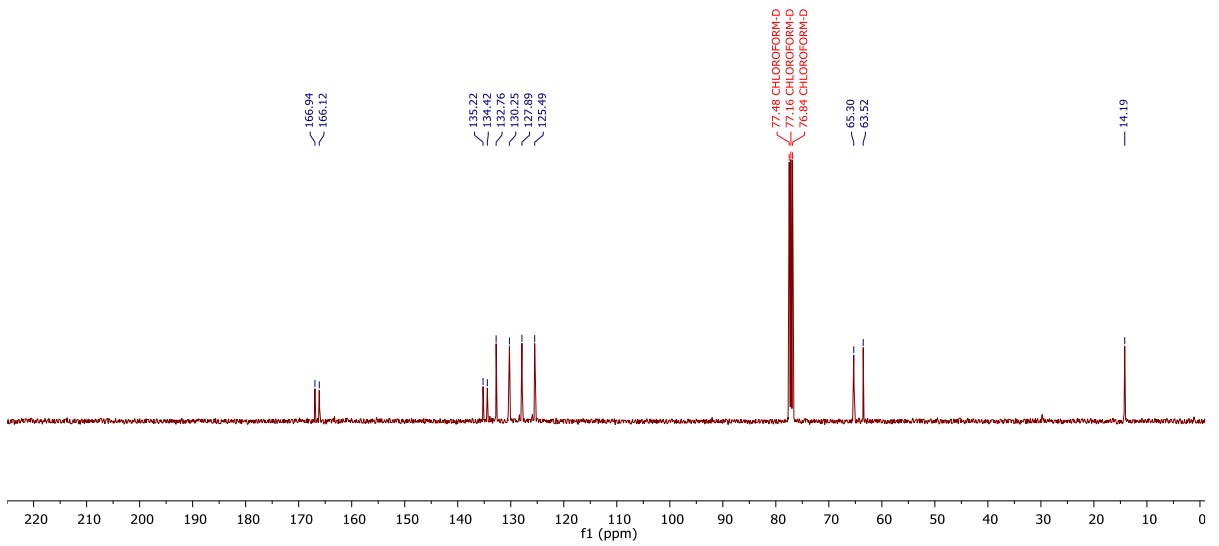
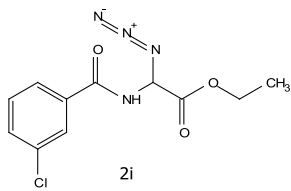
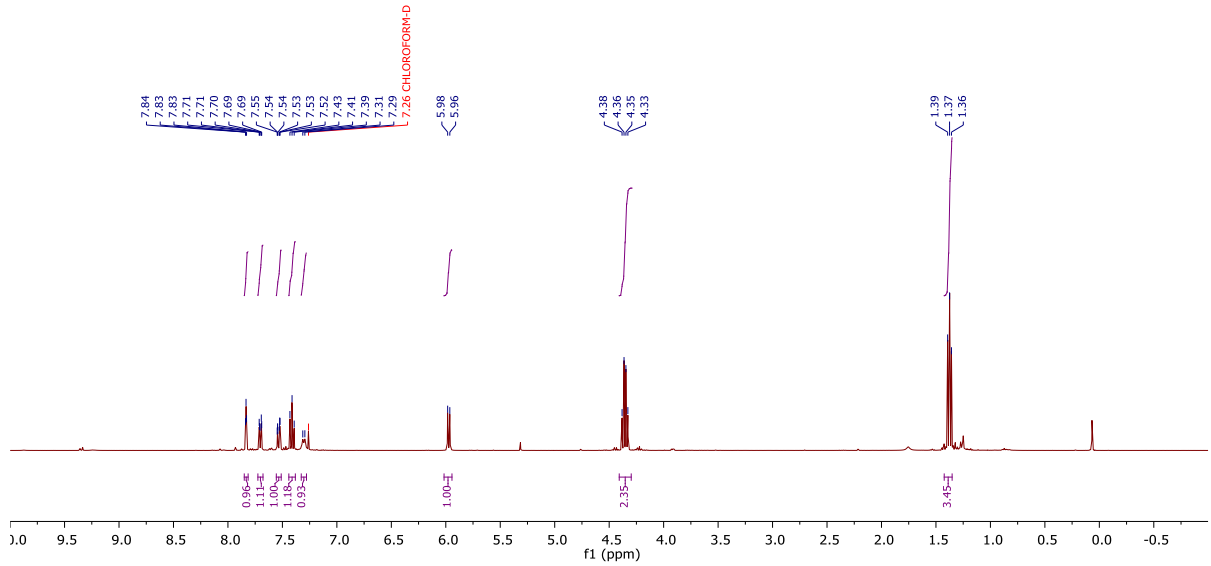
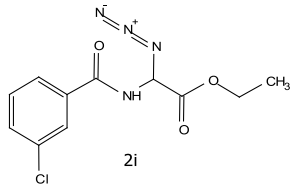


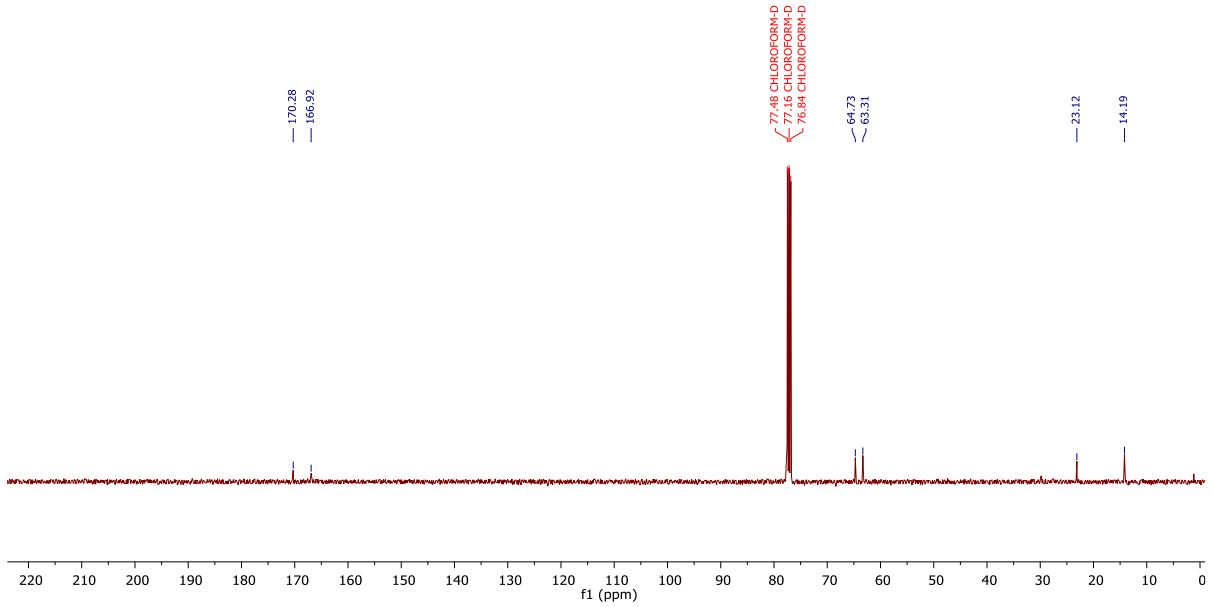
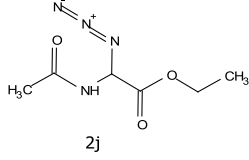
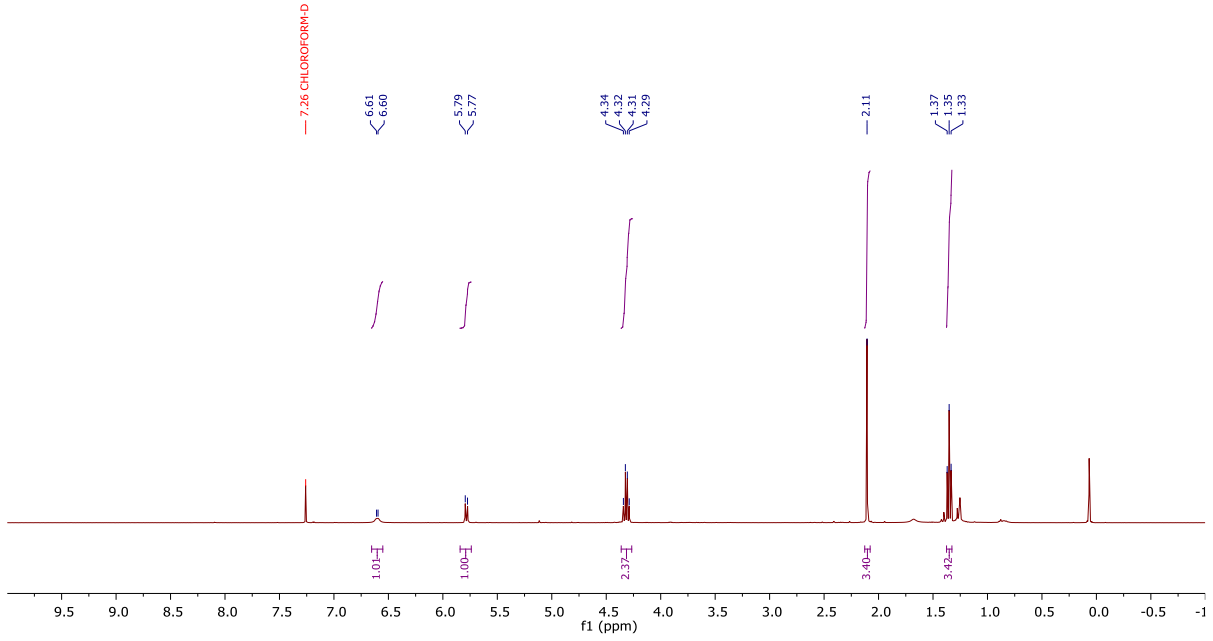
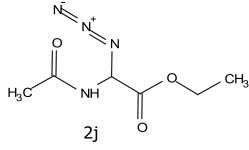


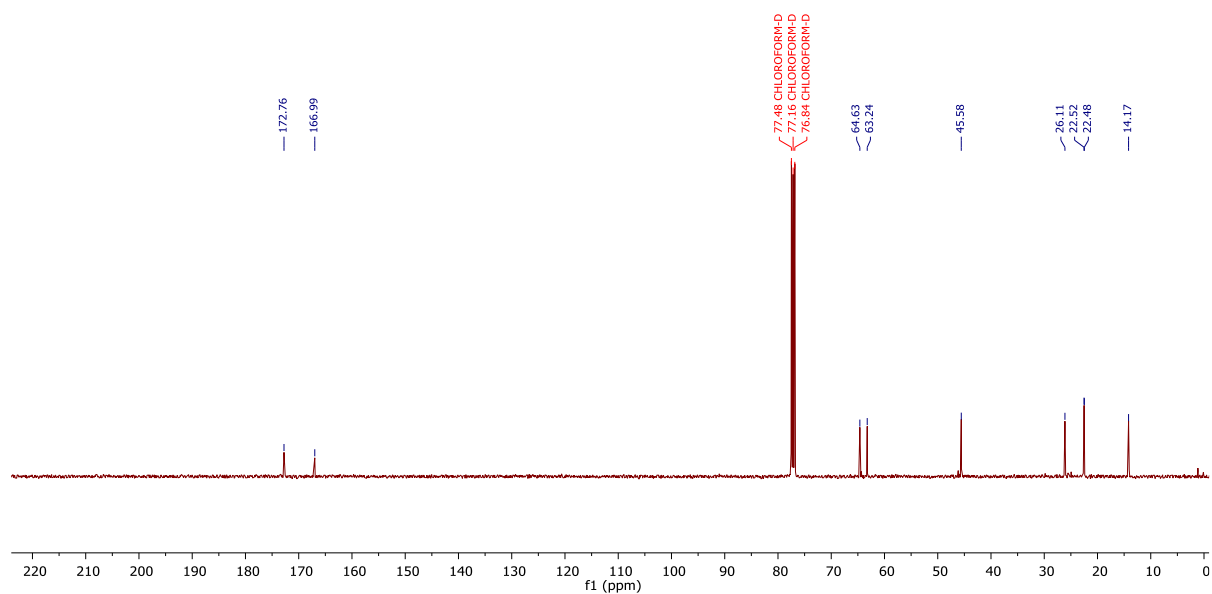
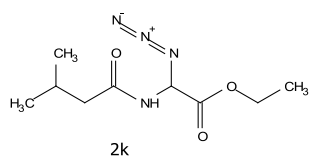
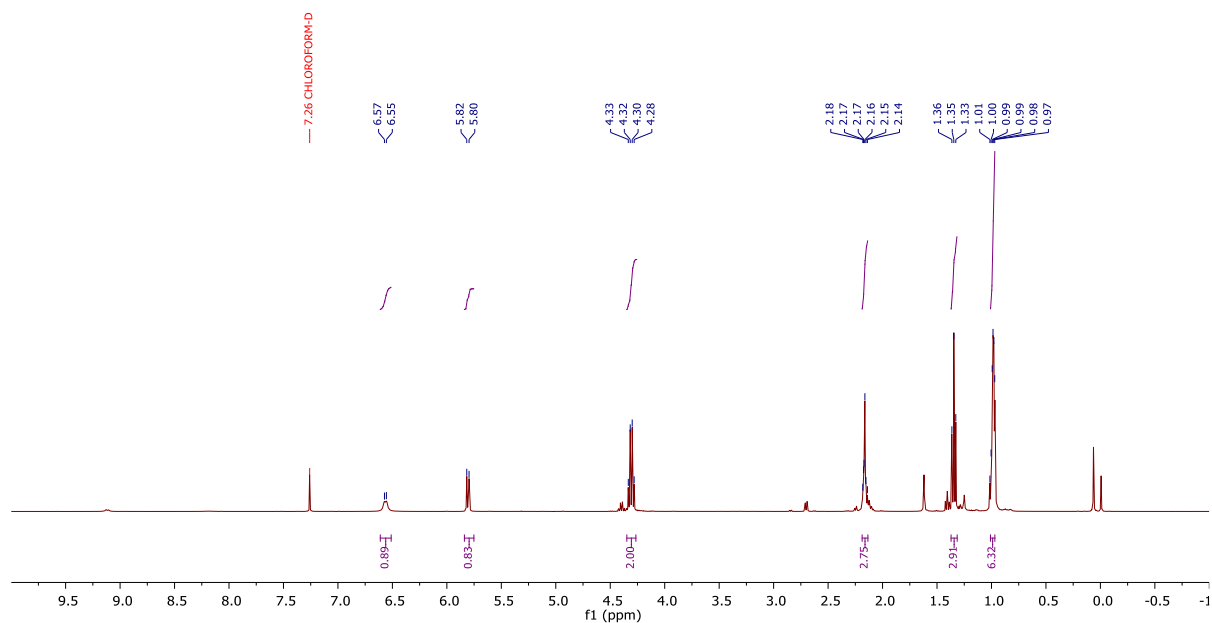
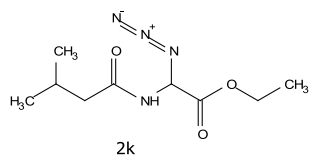


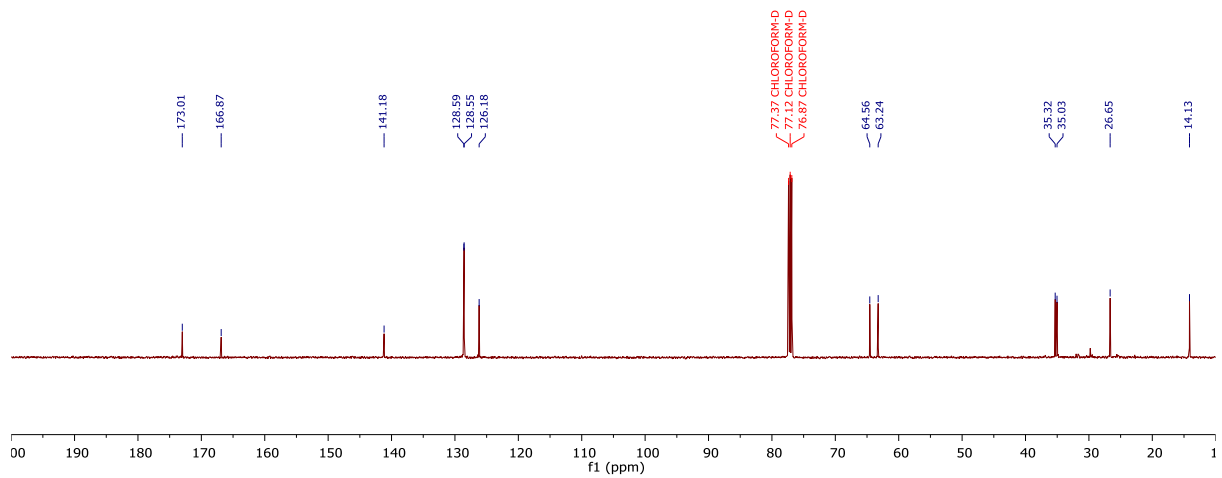
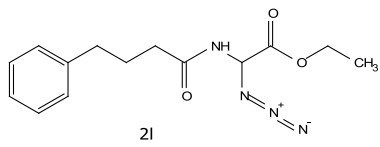
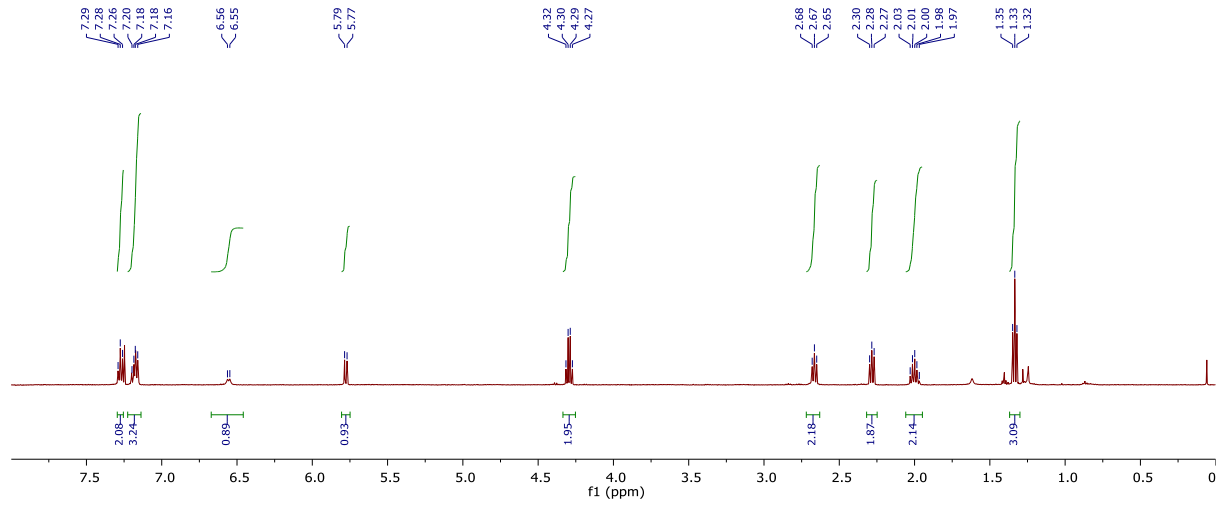
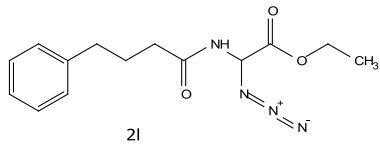


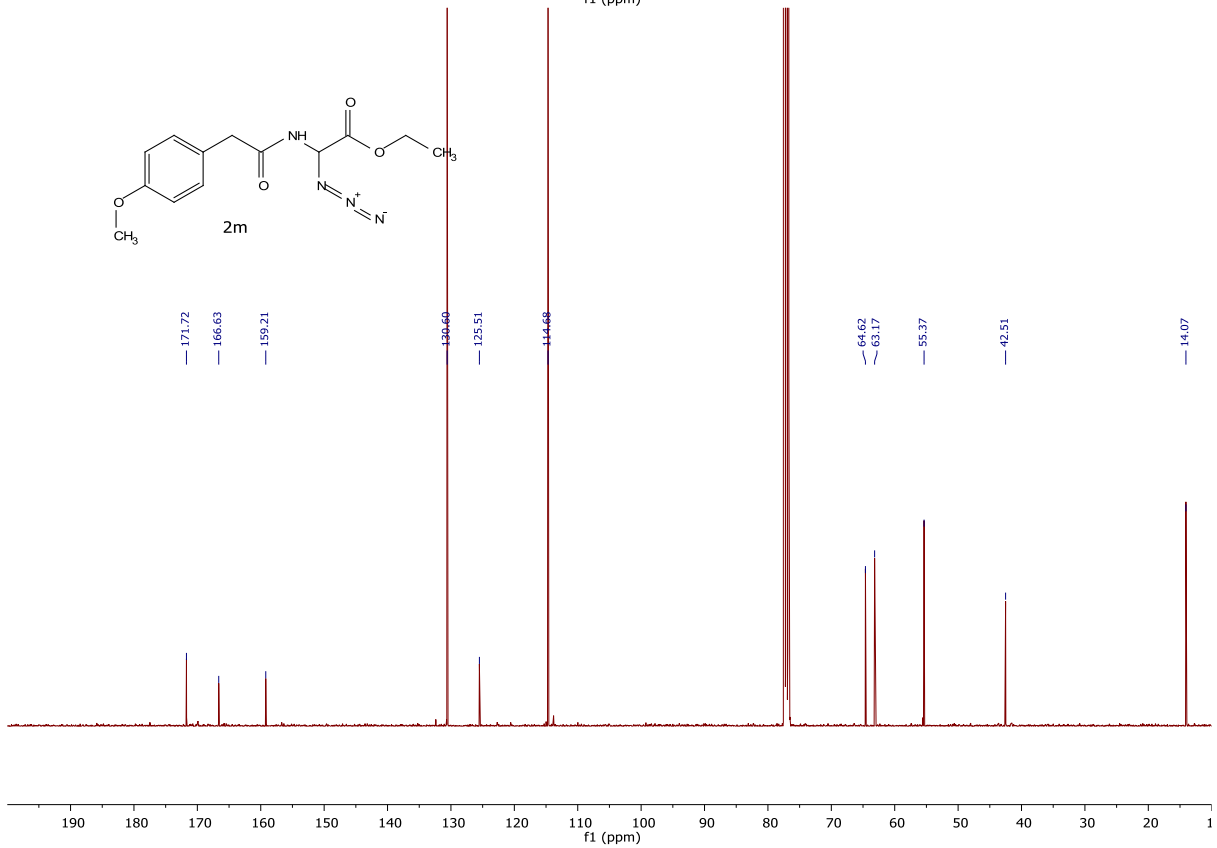
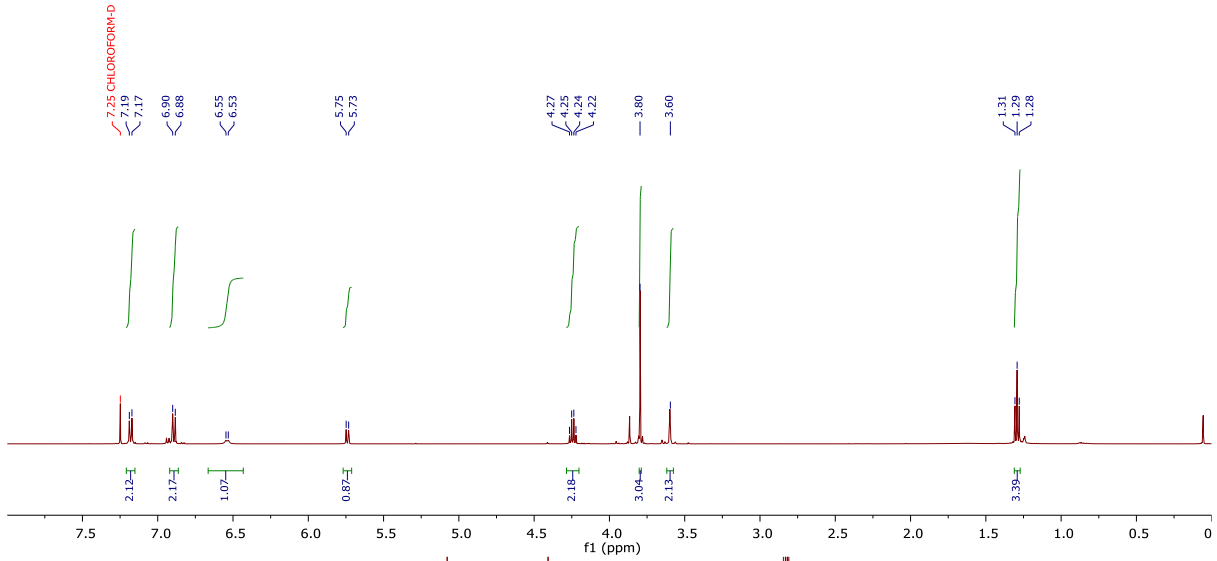
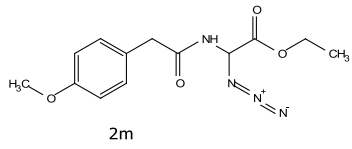


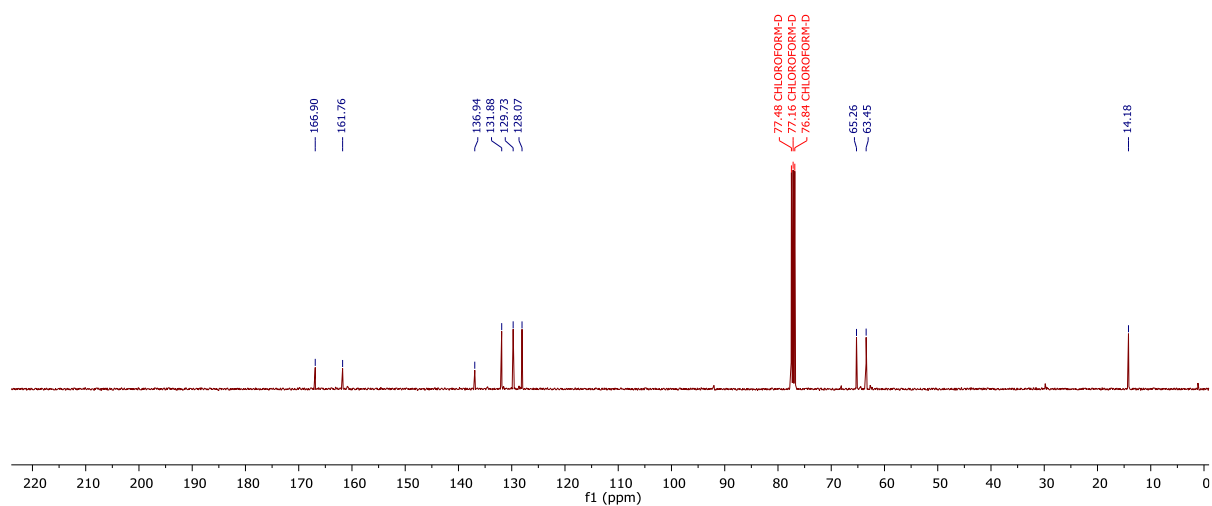
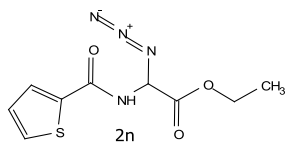
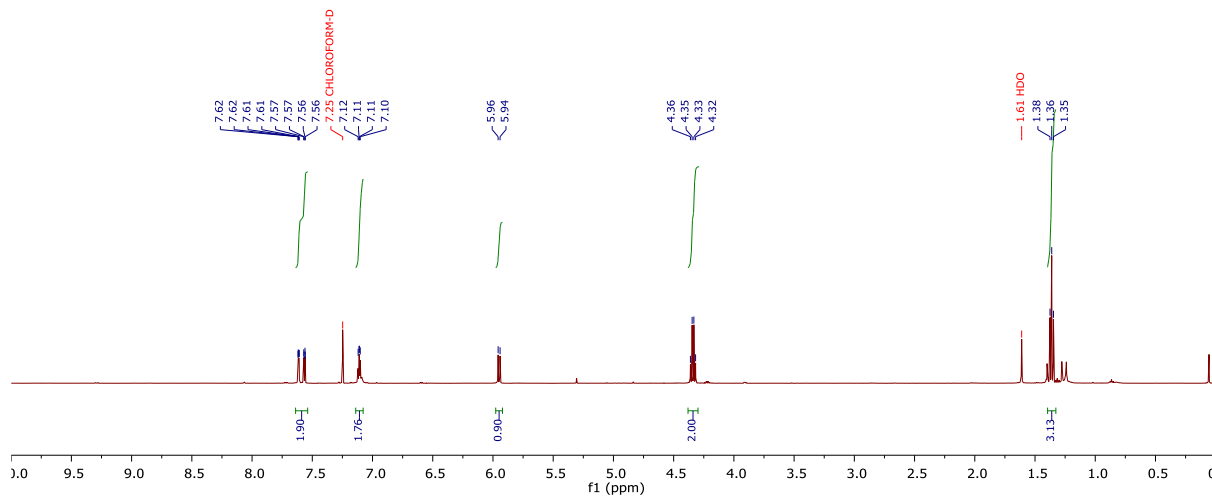
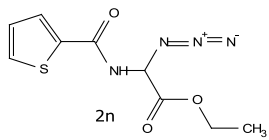


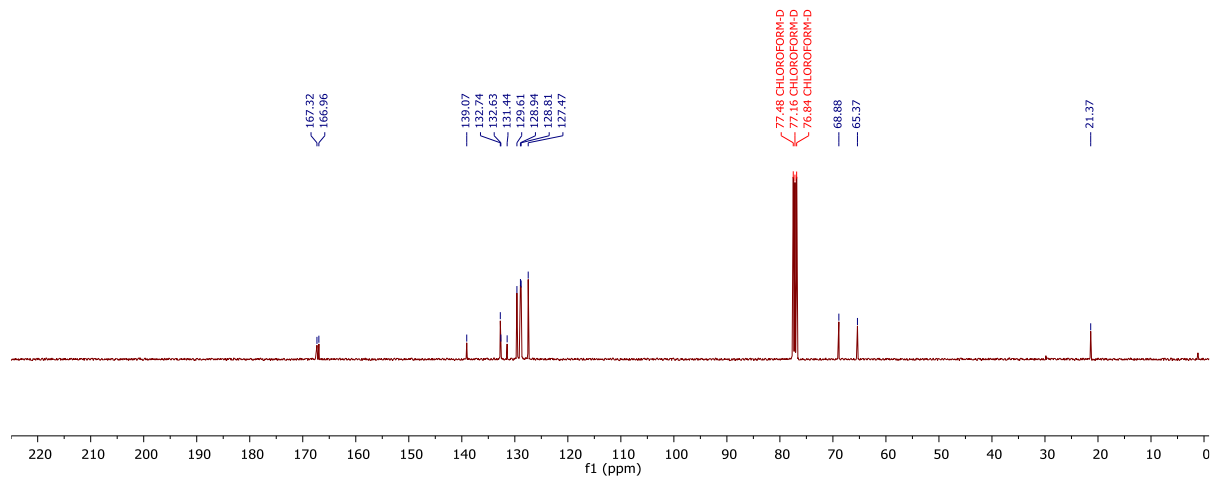
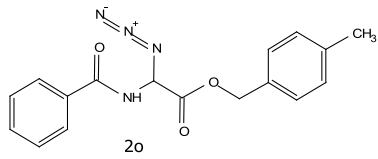
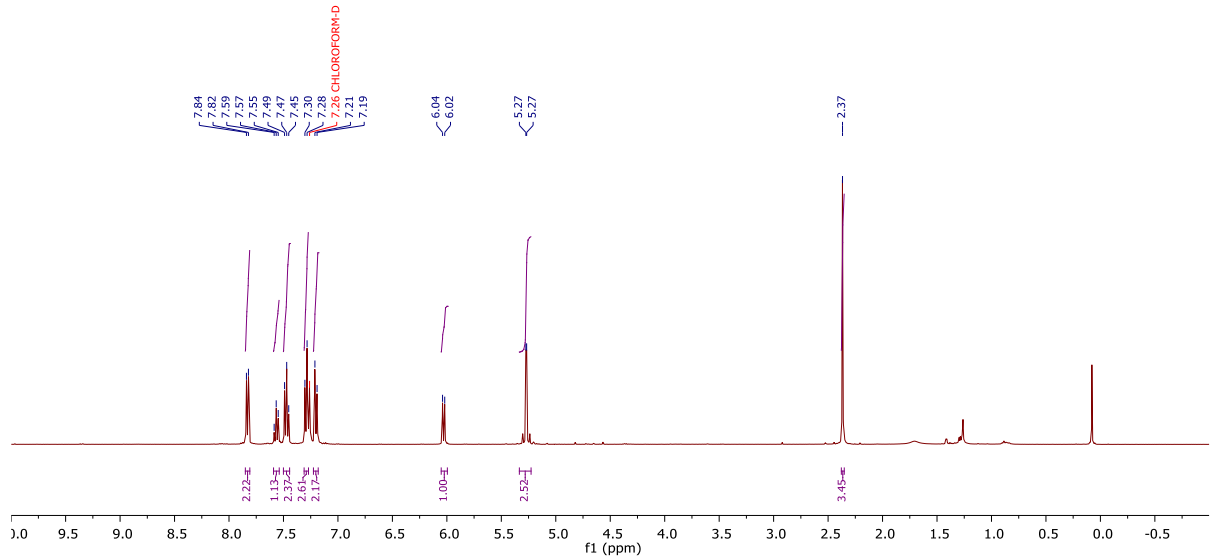
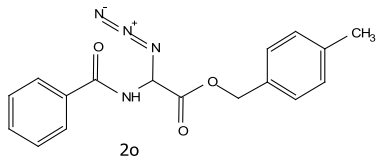


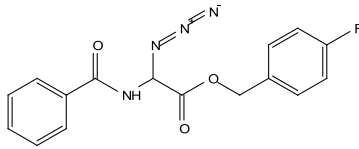




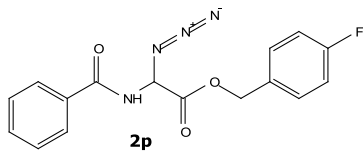
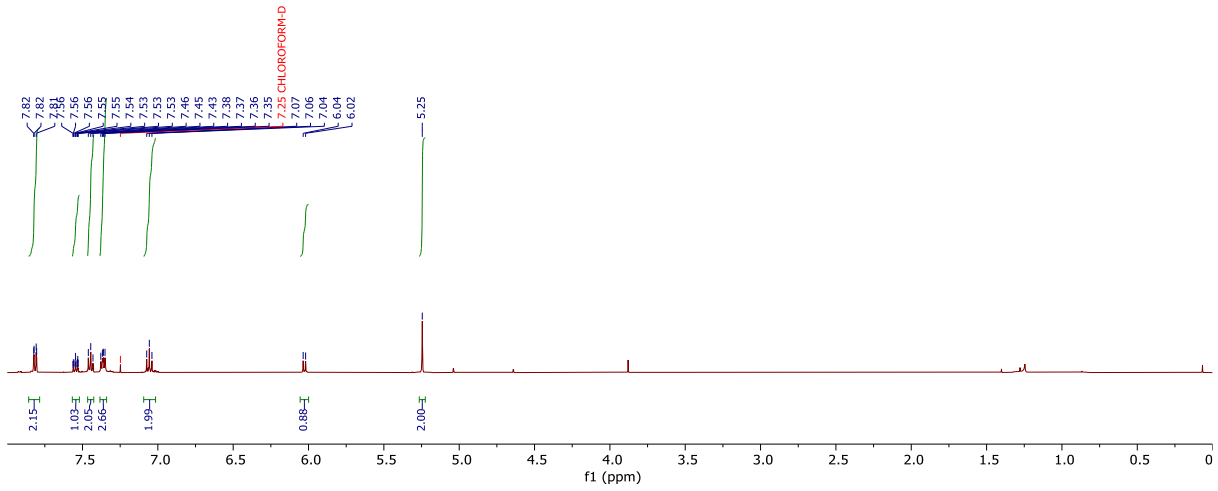




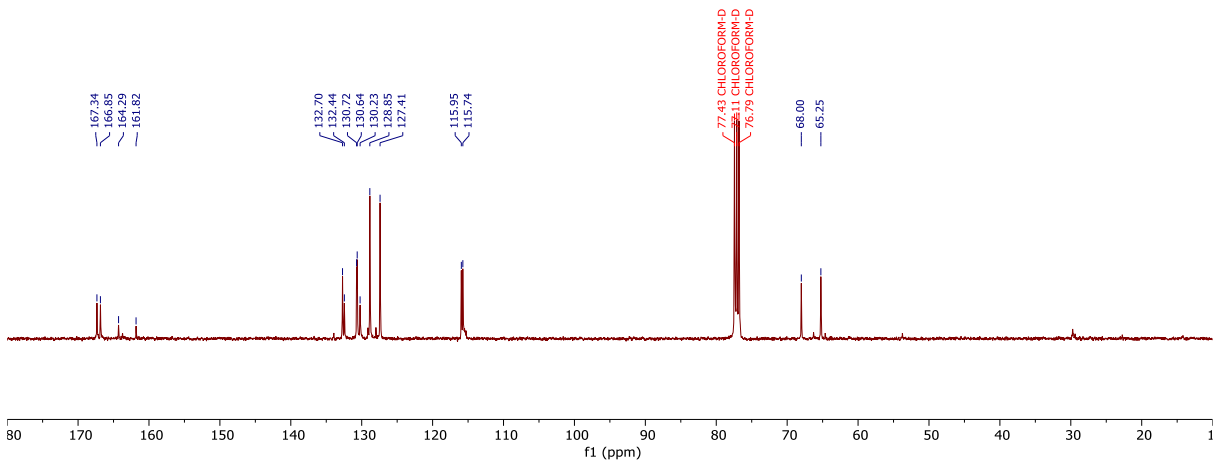


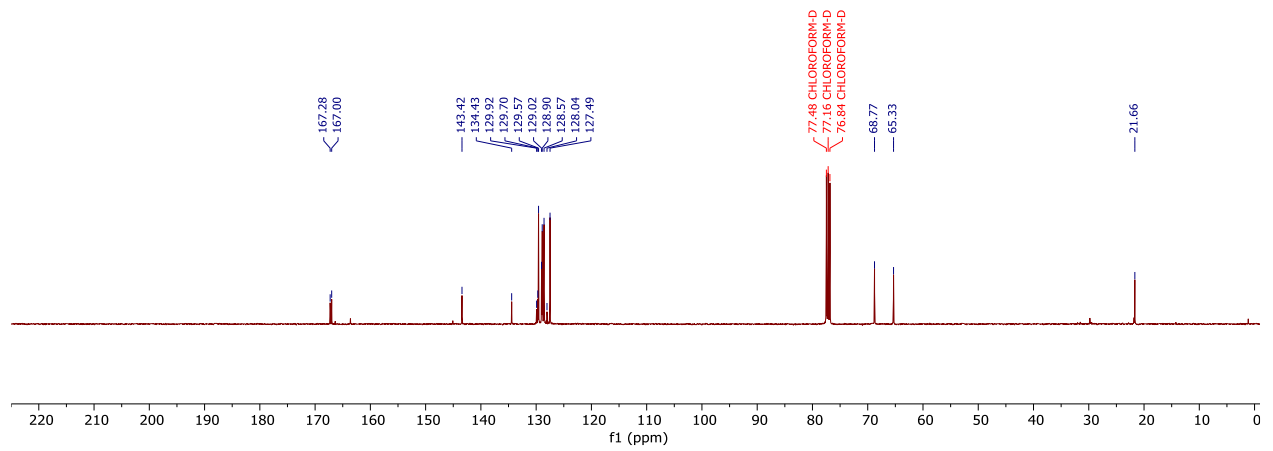
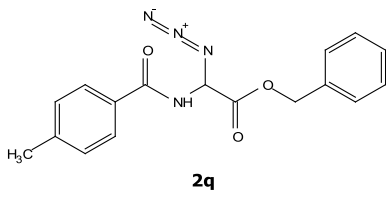
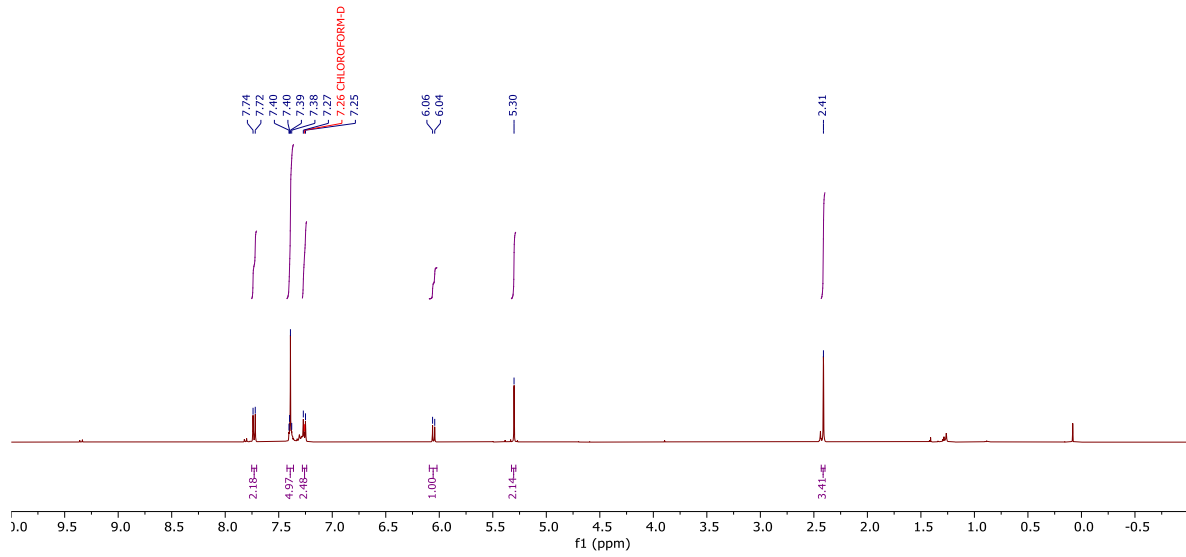
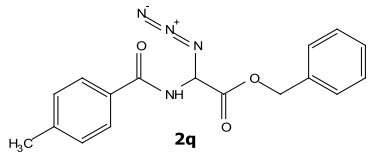


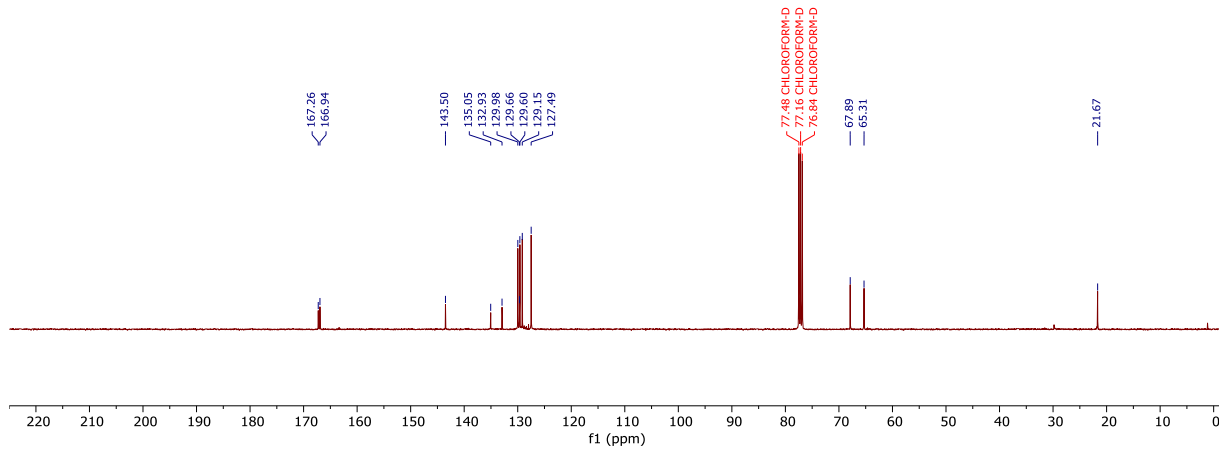
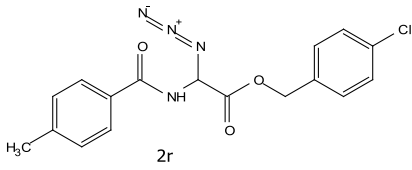
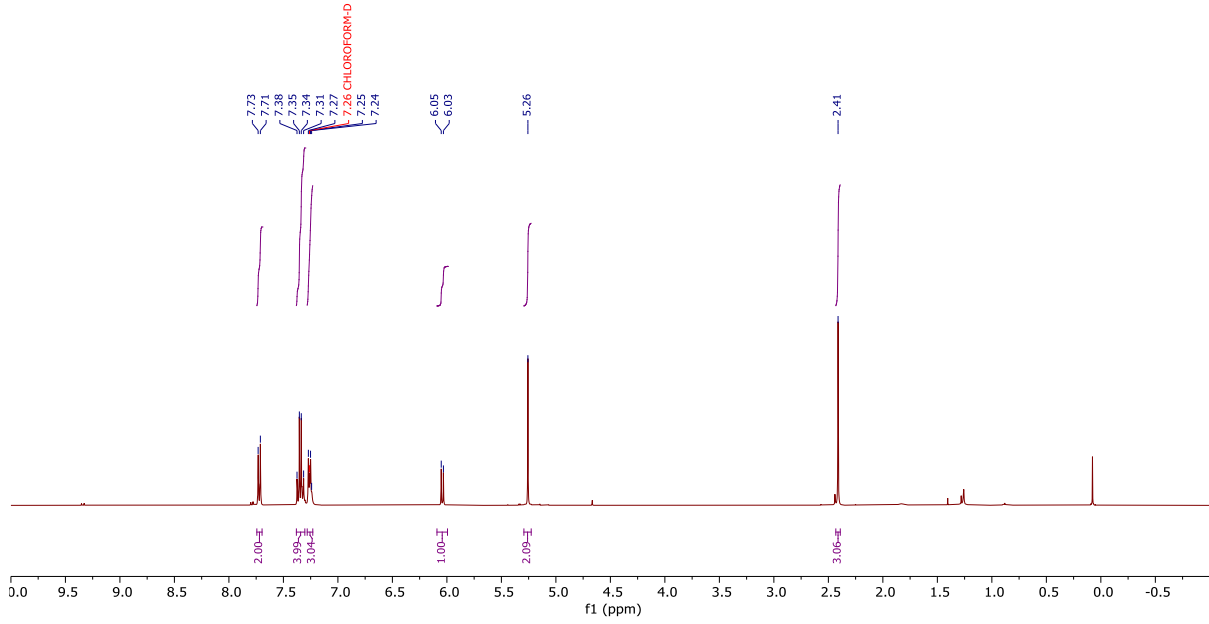
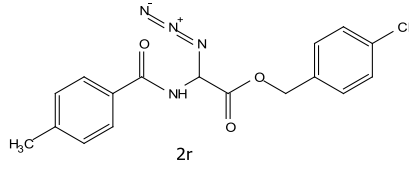
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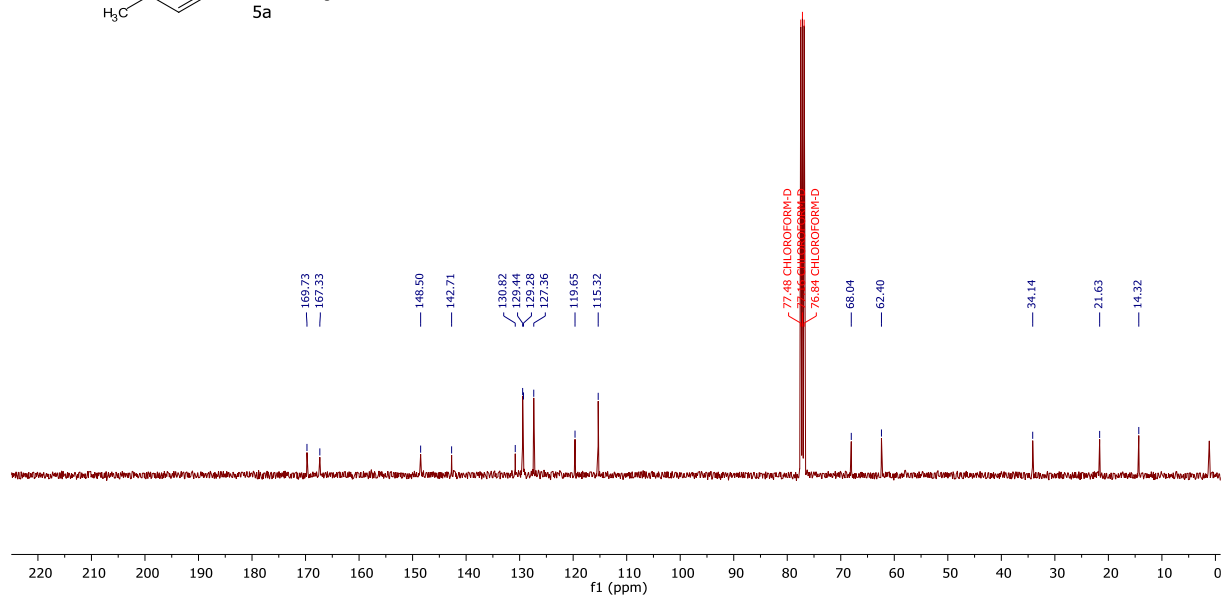
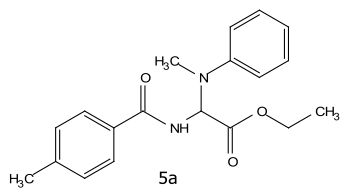
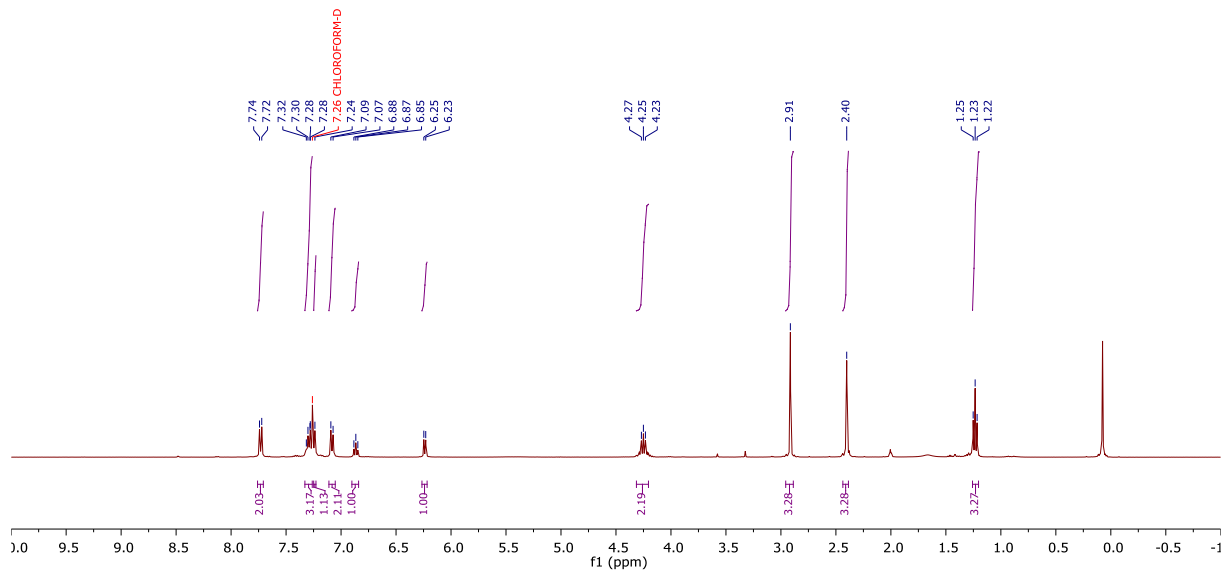
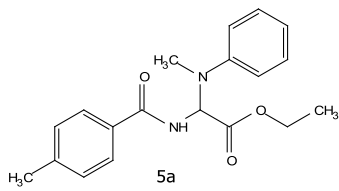


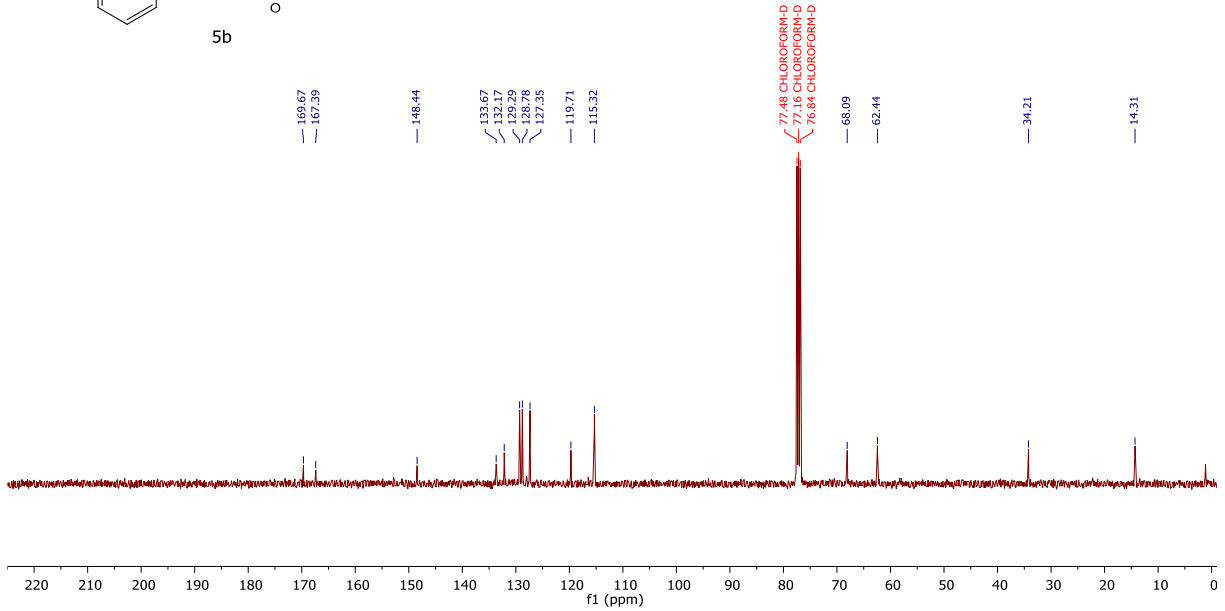
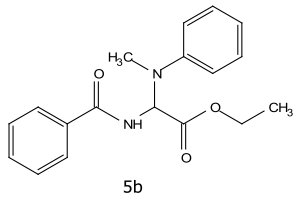
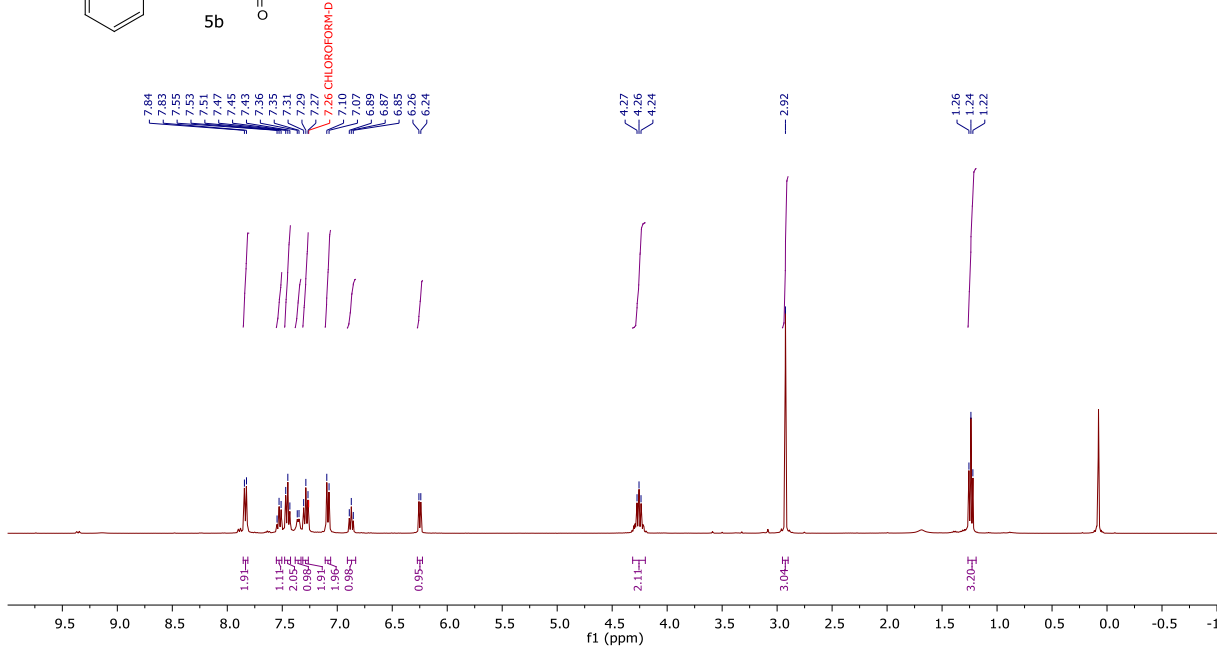
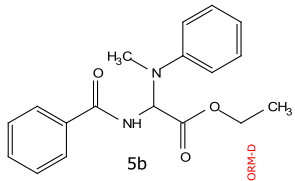
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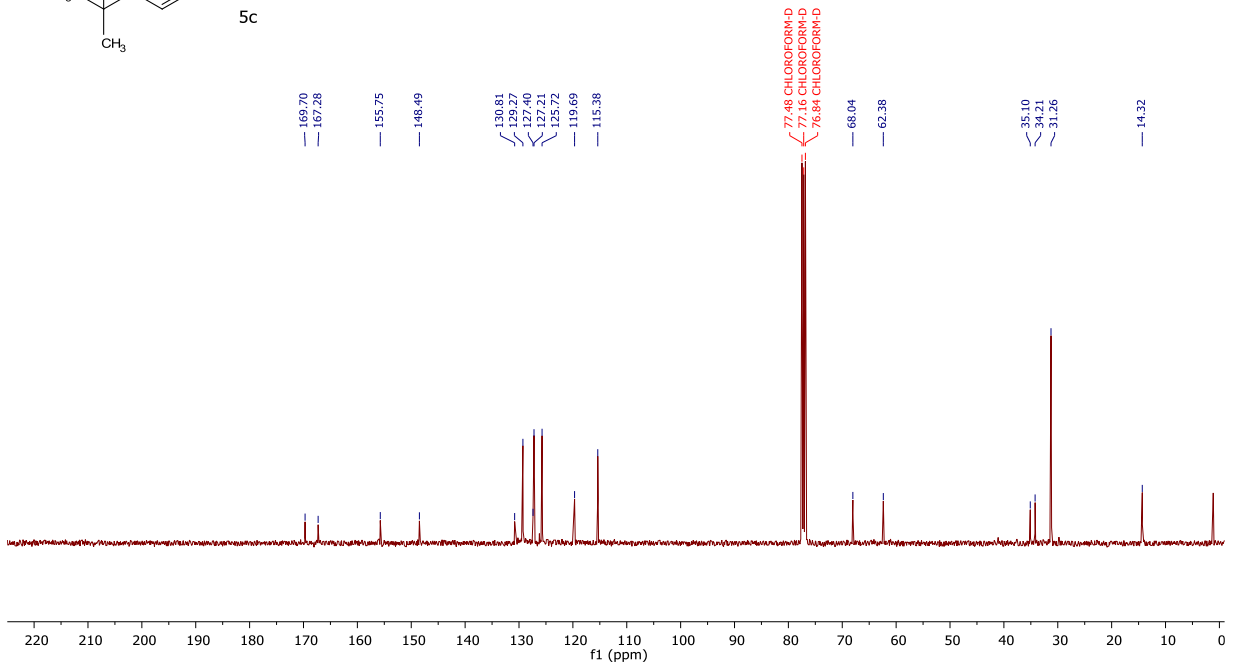
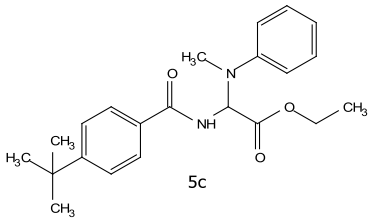
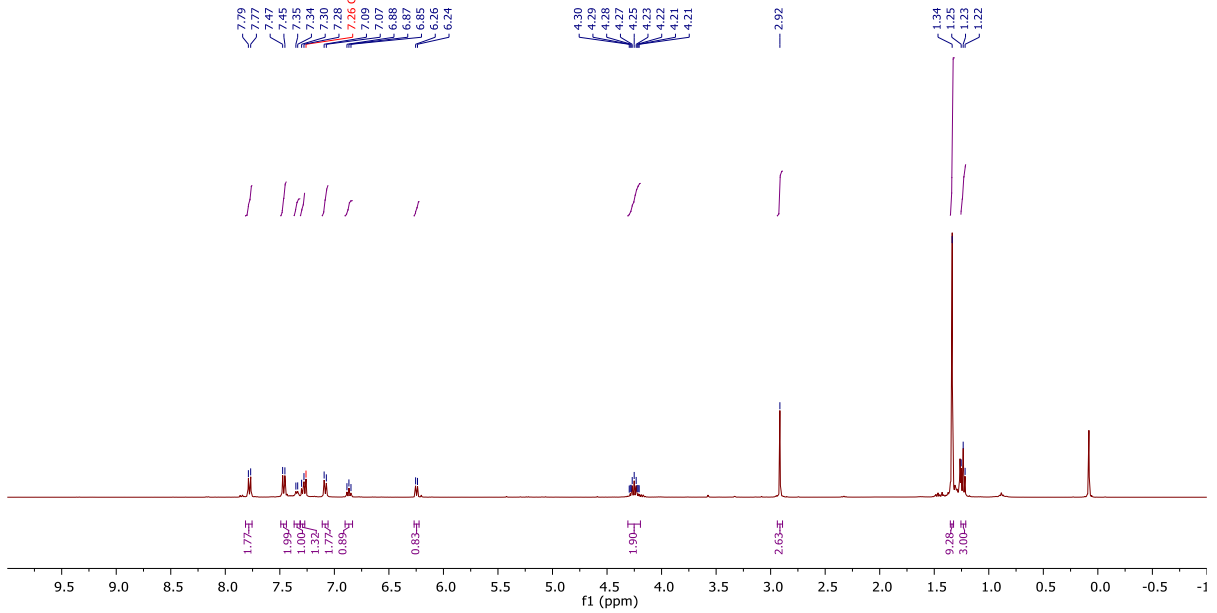
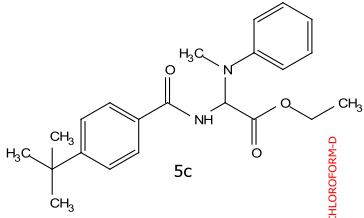


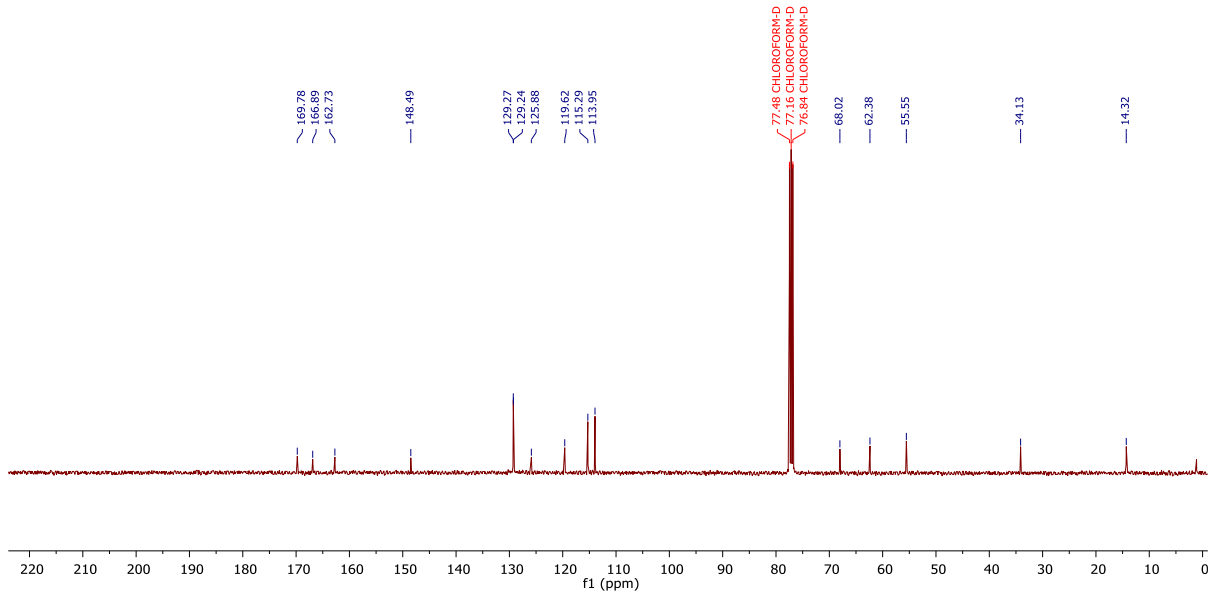
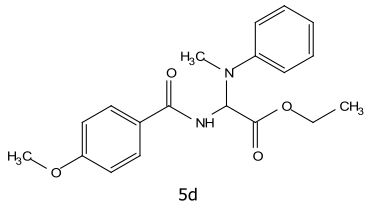
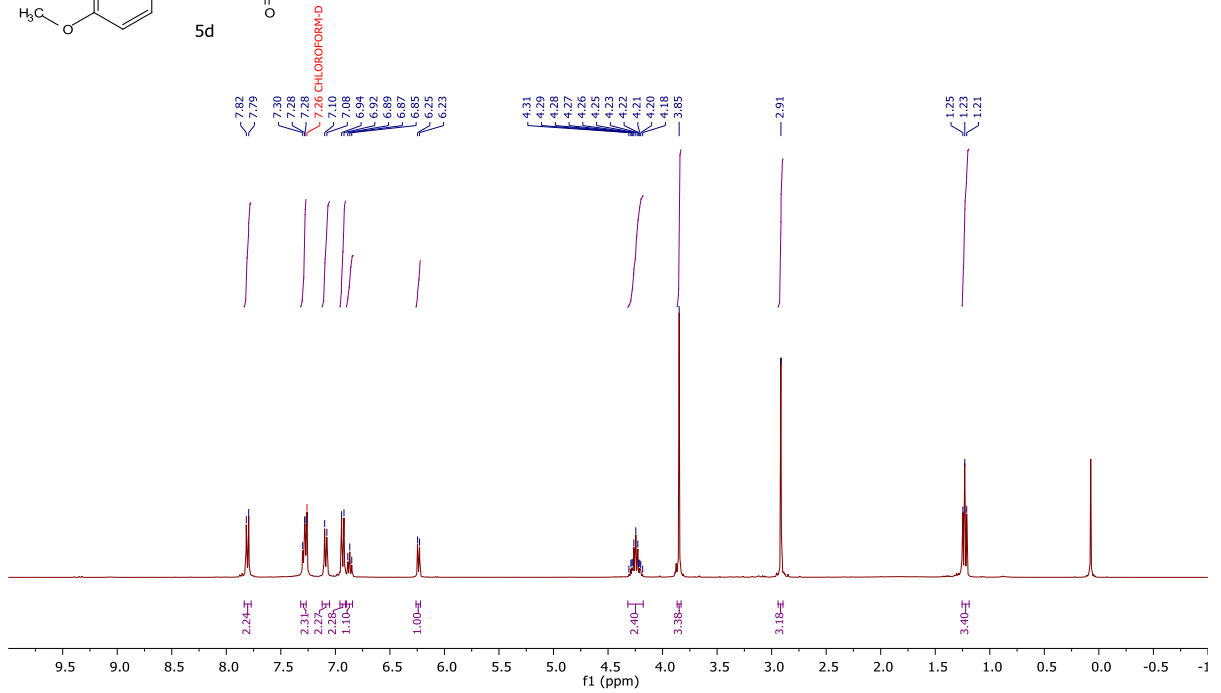
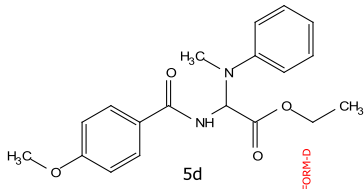


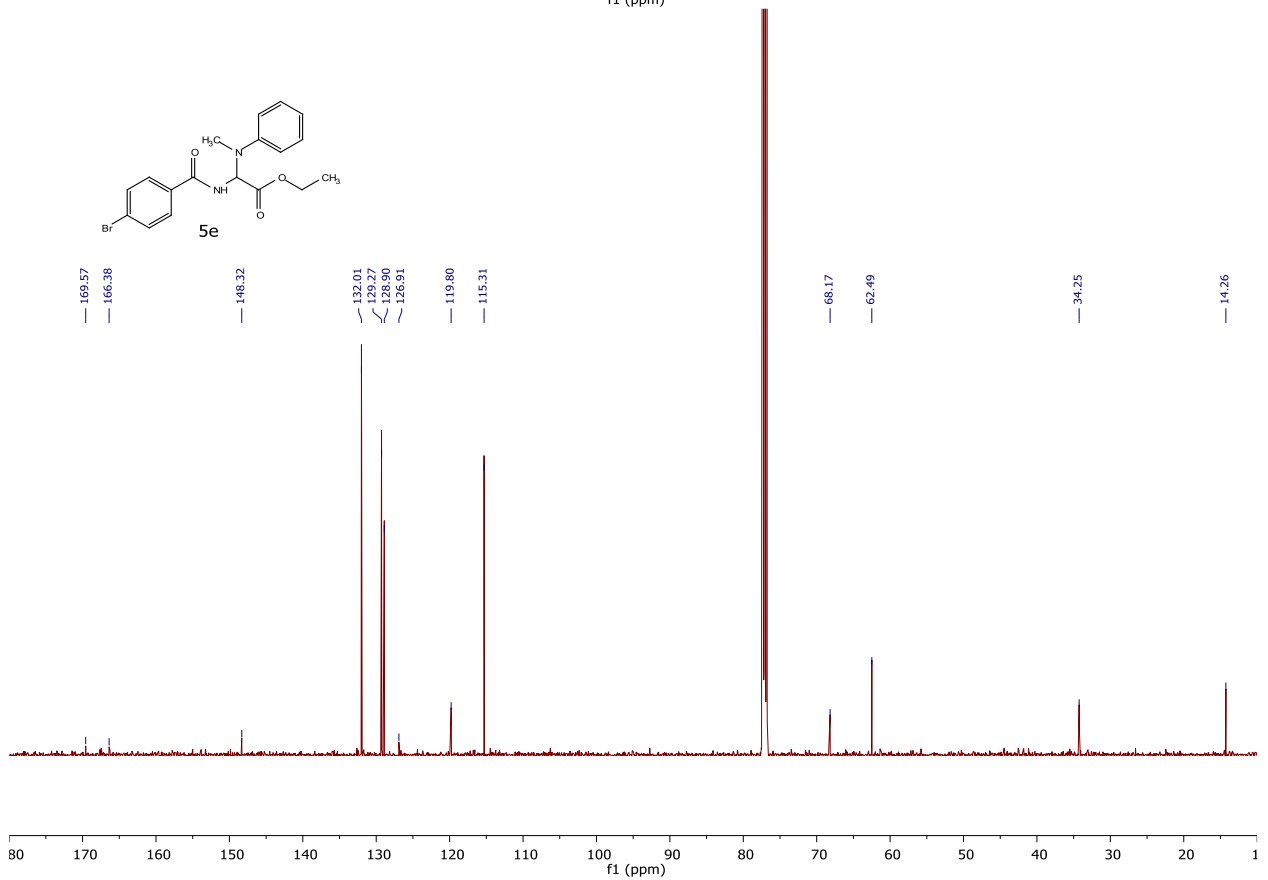
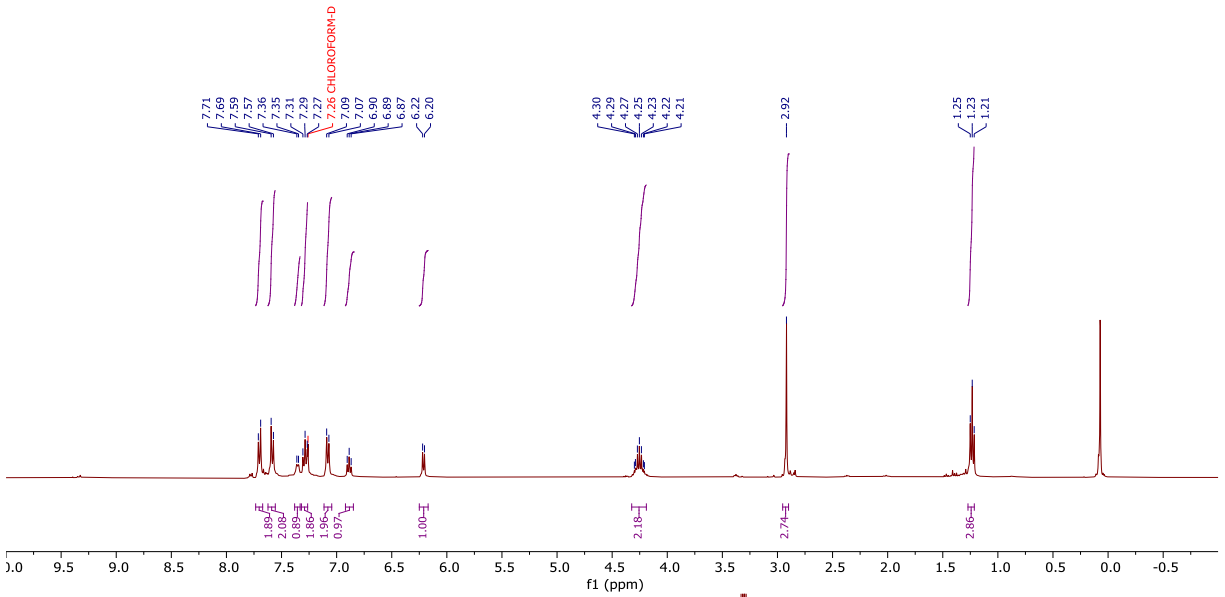
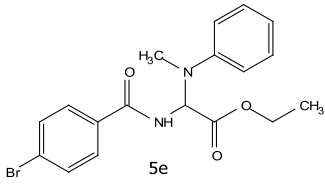


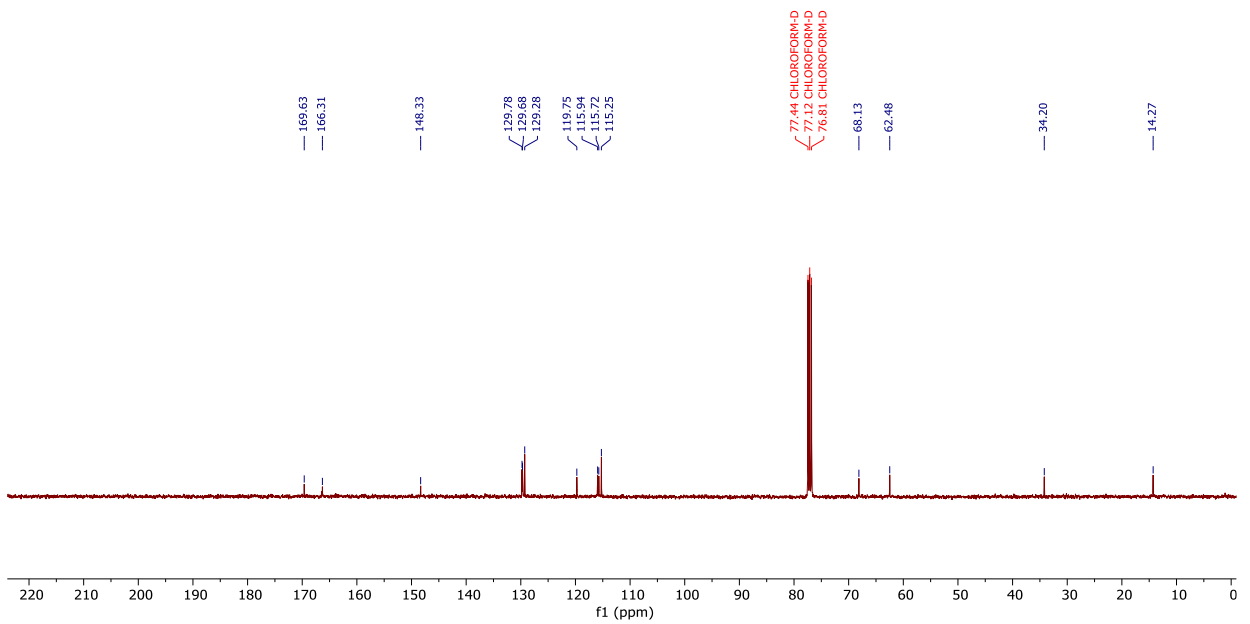
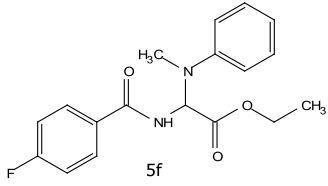
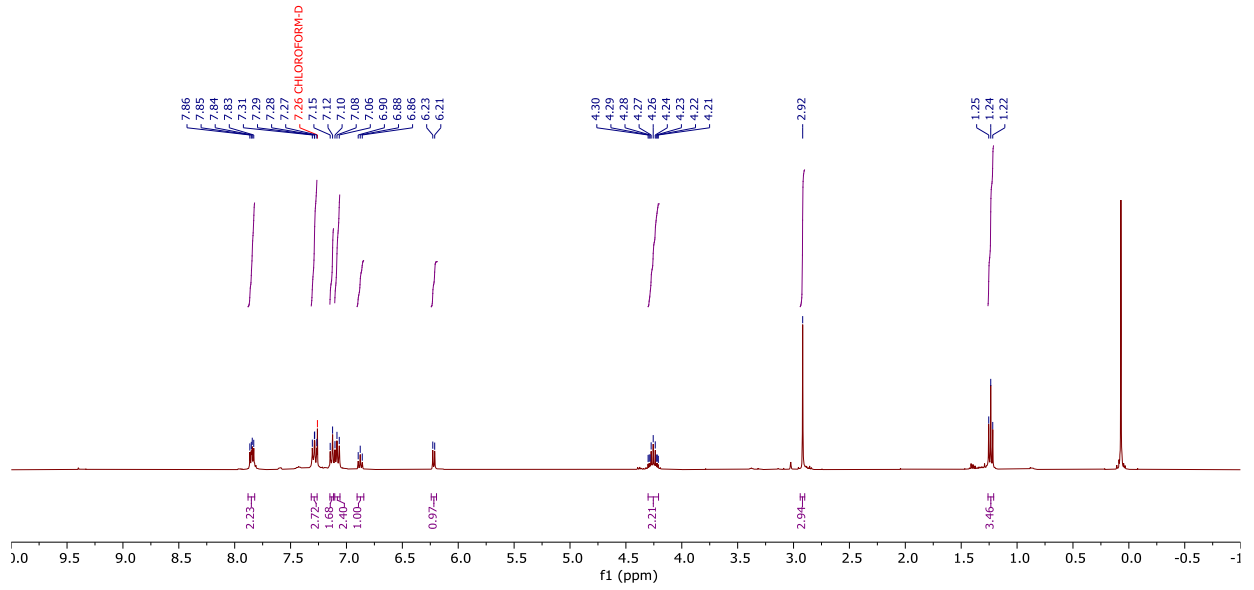
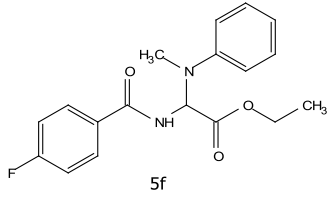


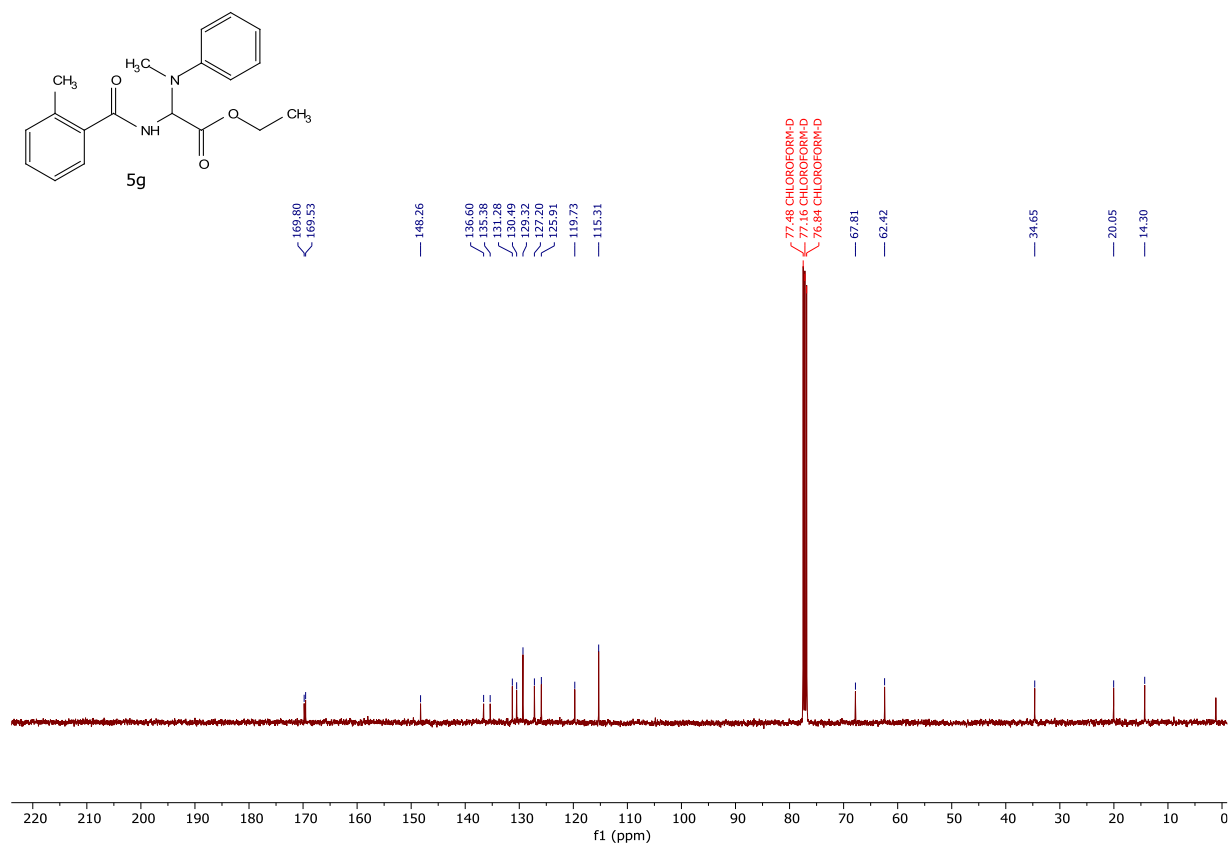
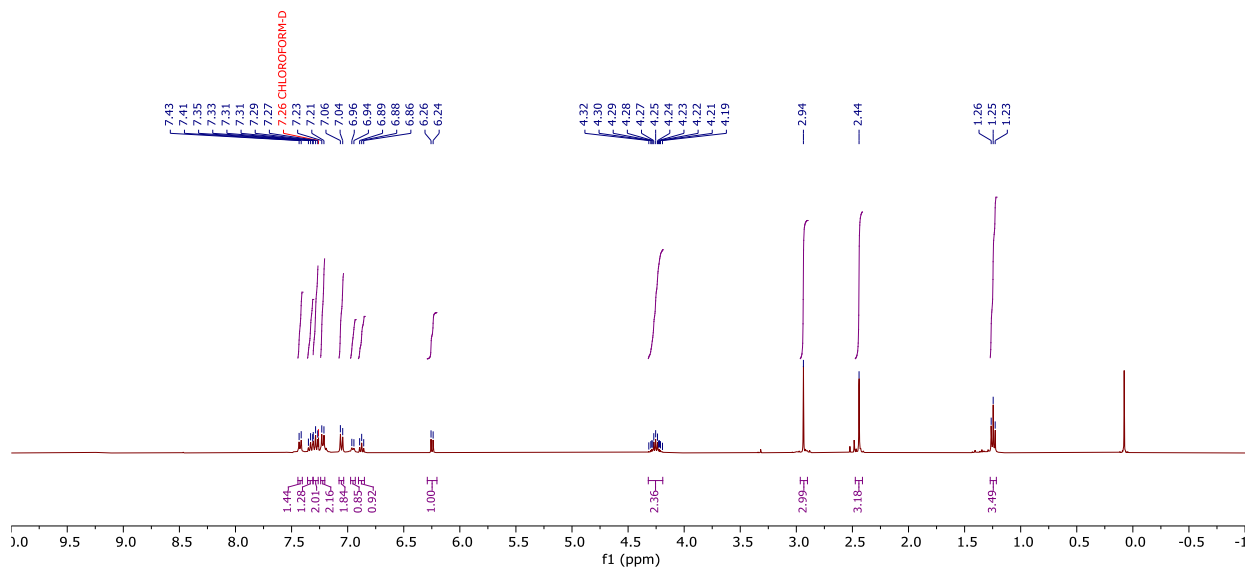
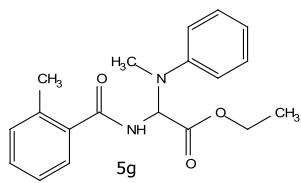


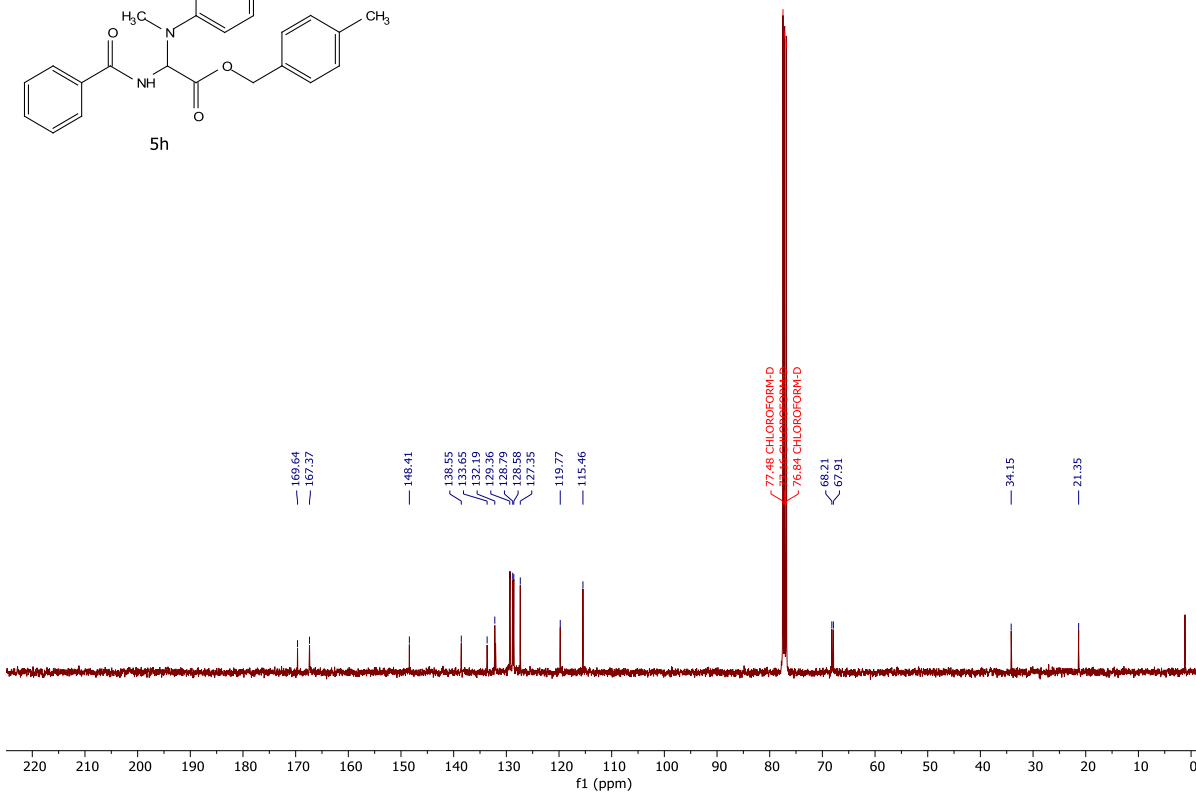
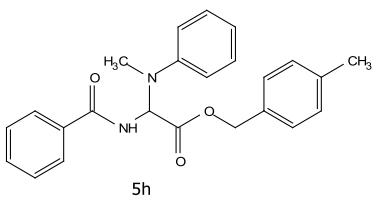
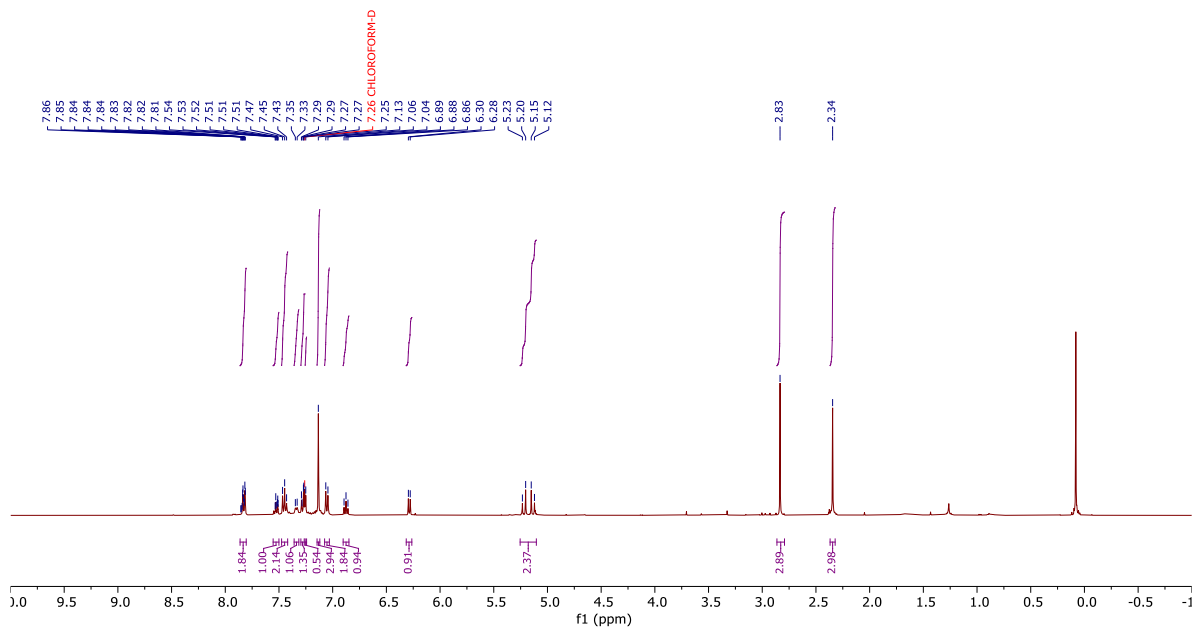
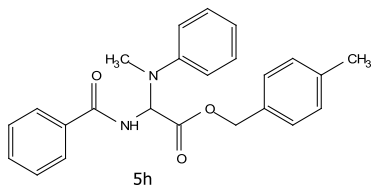


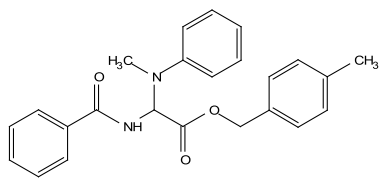




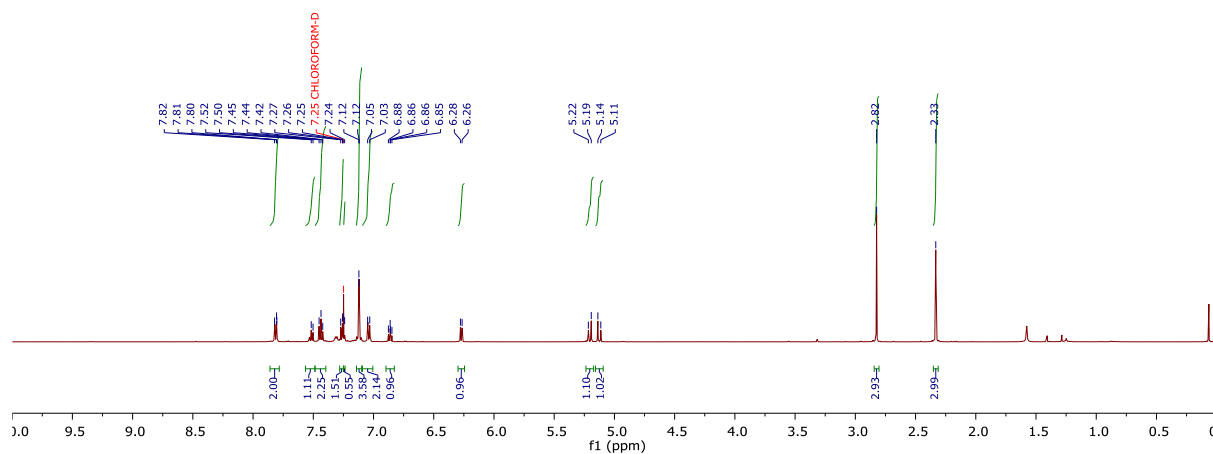




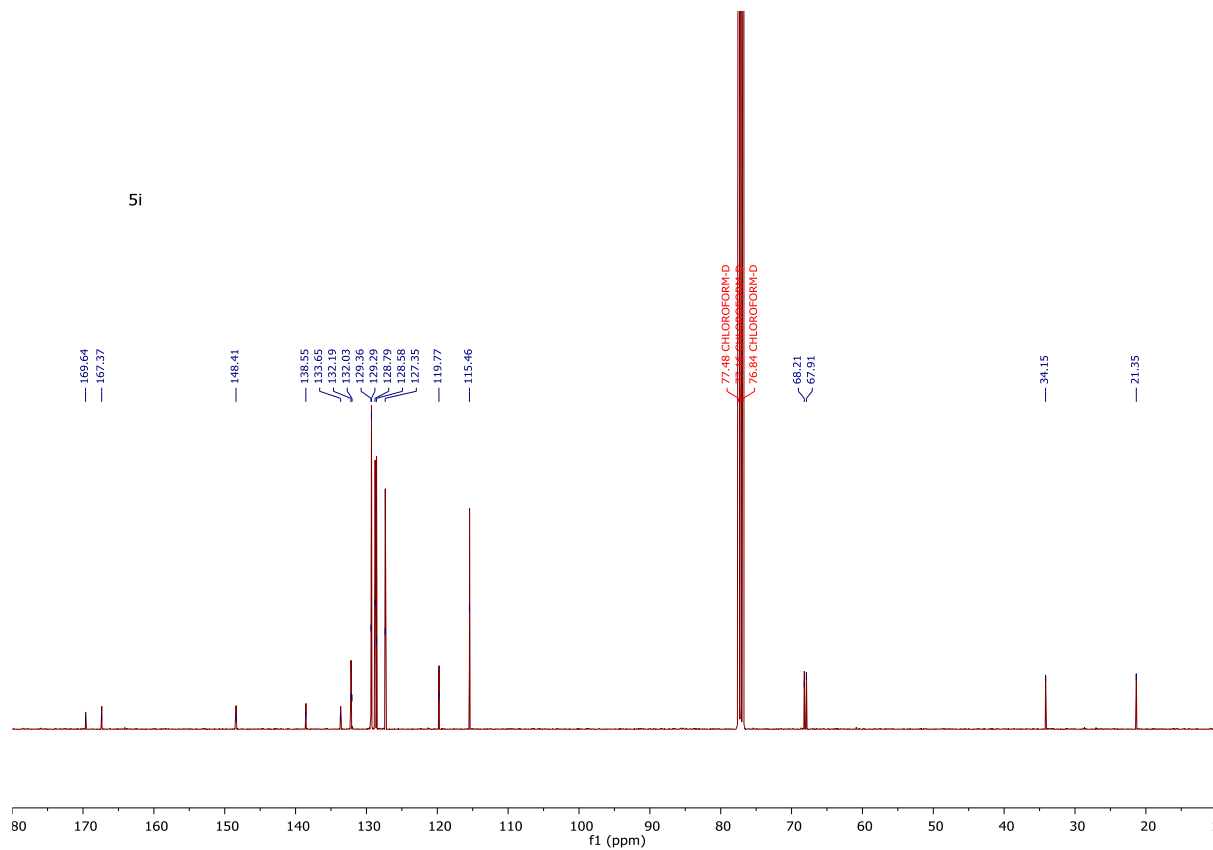


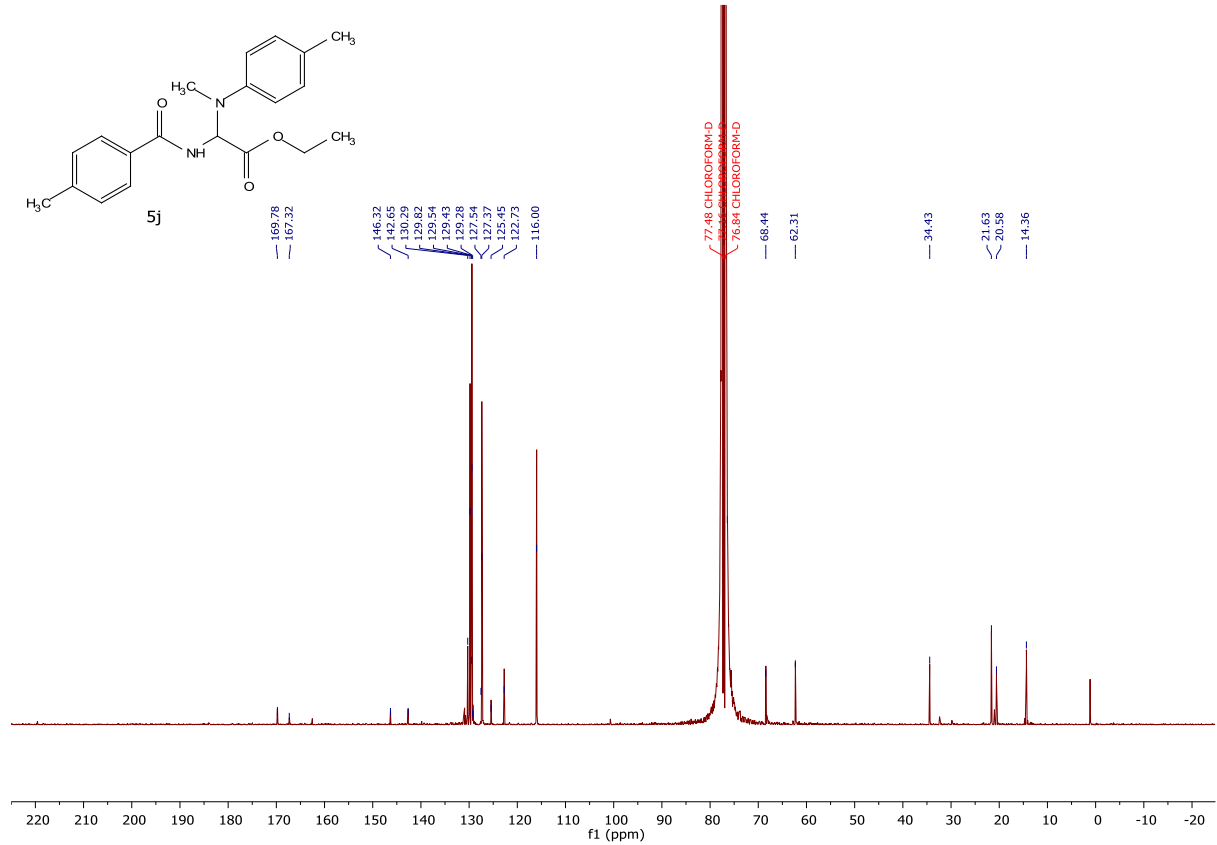
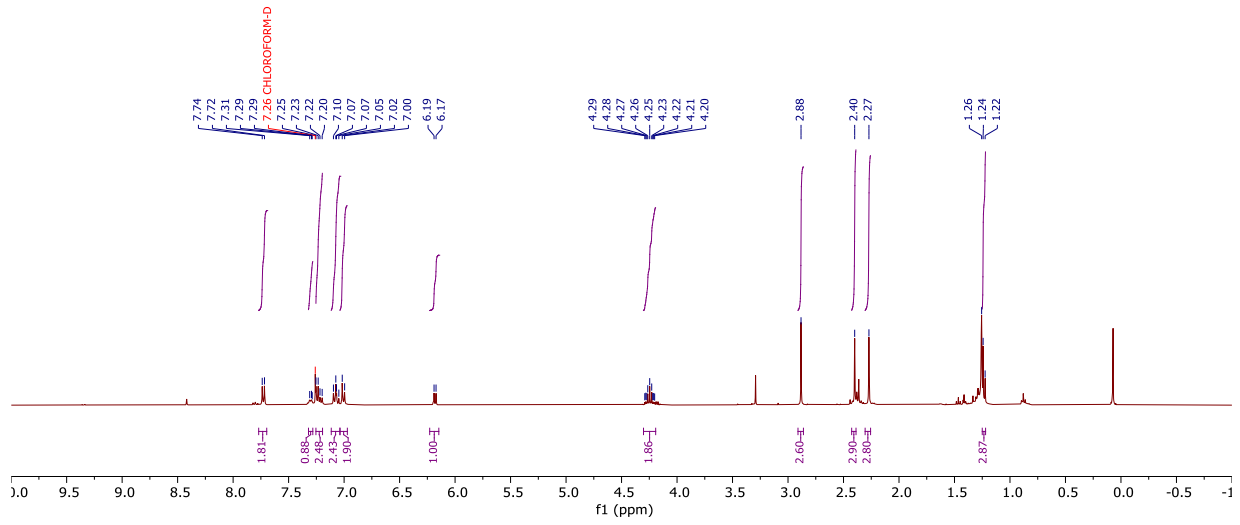
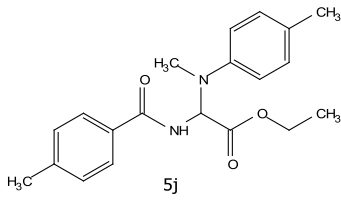


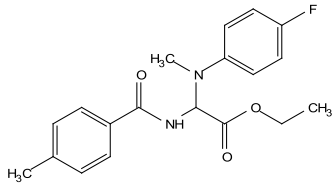
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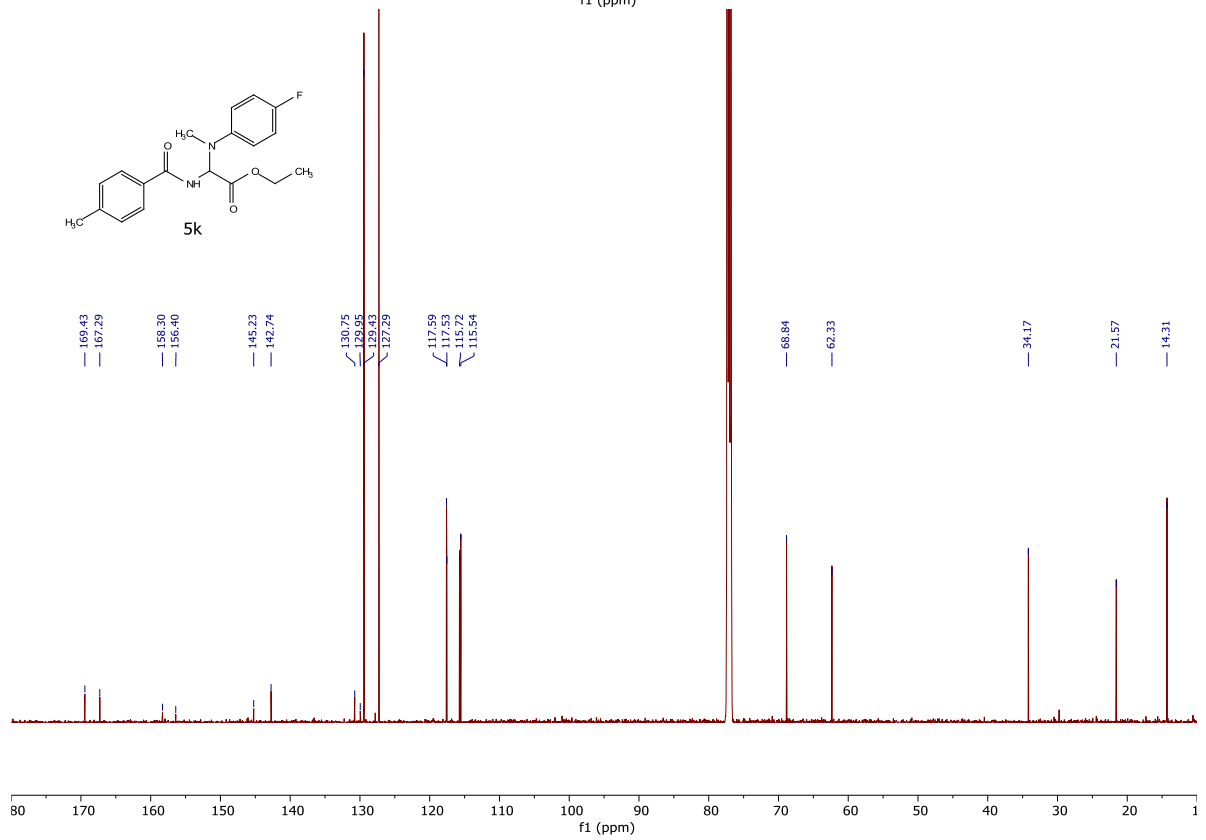
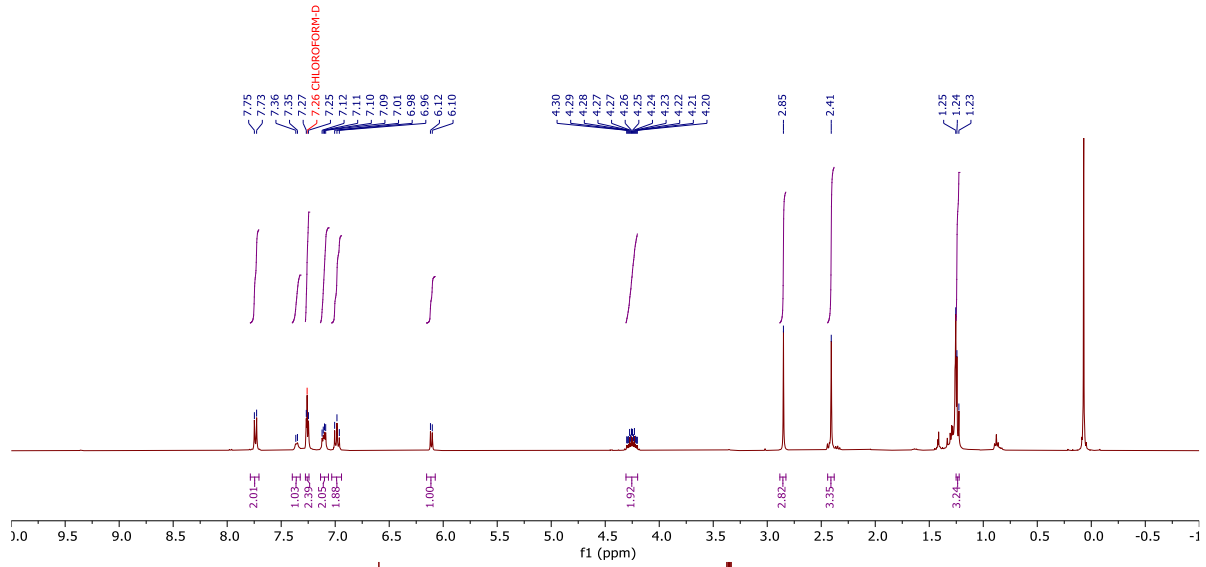
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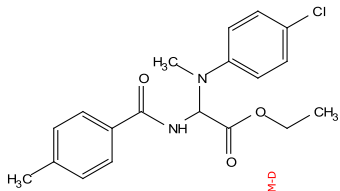




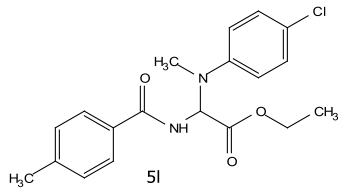
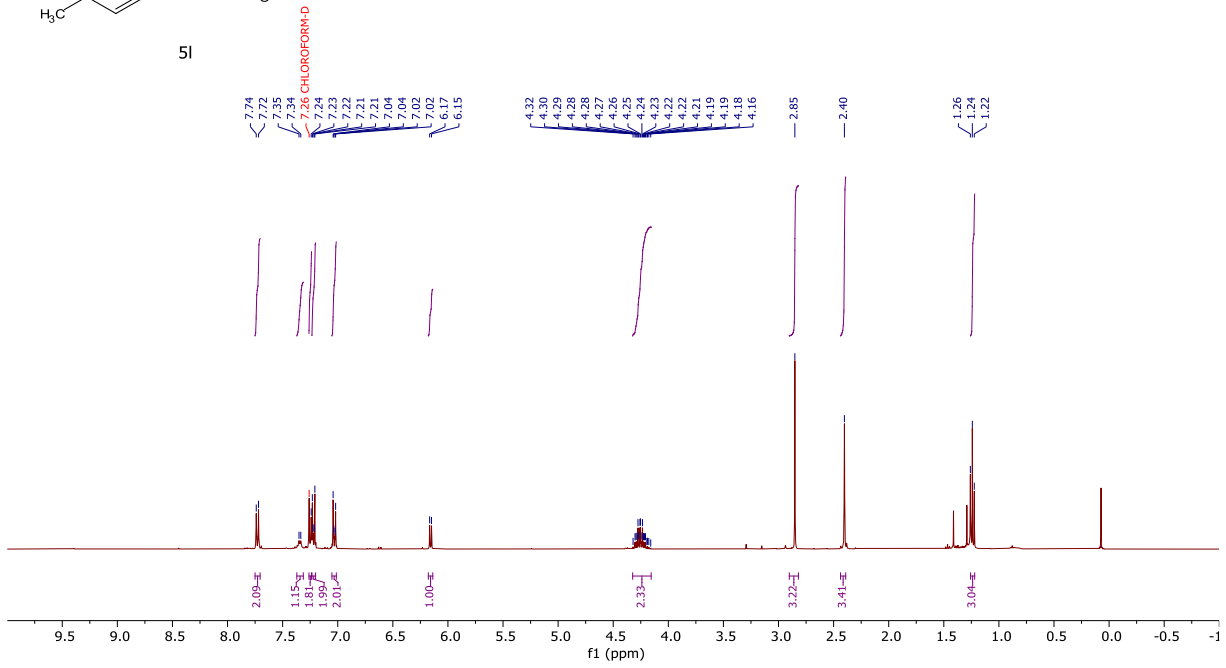


5k





5I



5I

