

Supplementary Materials

Supported Gold Nanoparticle Catalyzed Selective Reduction of Multifunctional Aromatic Nitro Precursors into Amines and Synthesis of 3,4-Dihydroquinoxalin-2-ones

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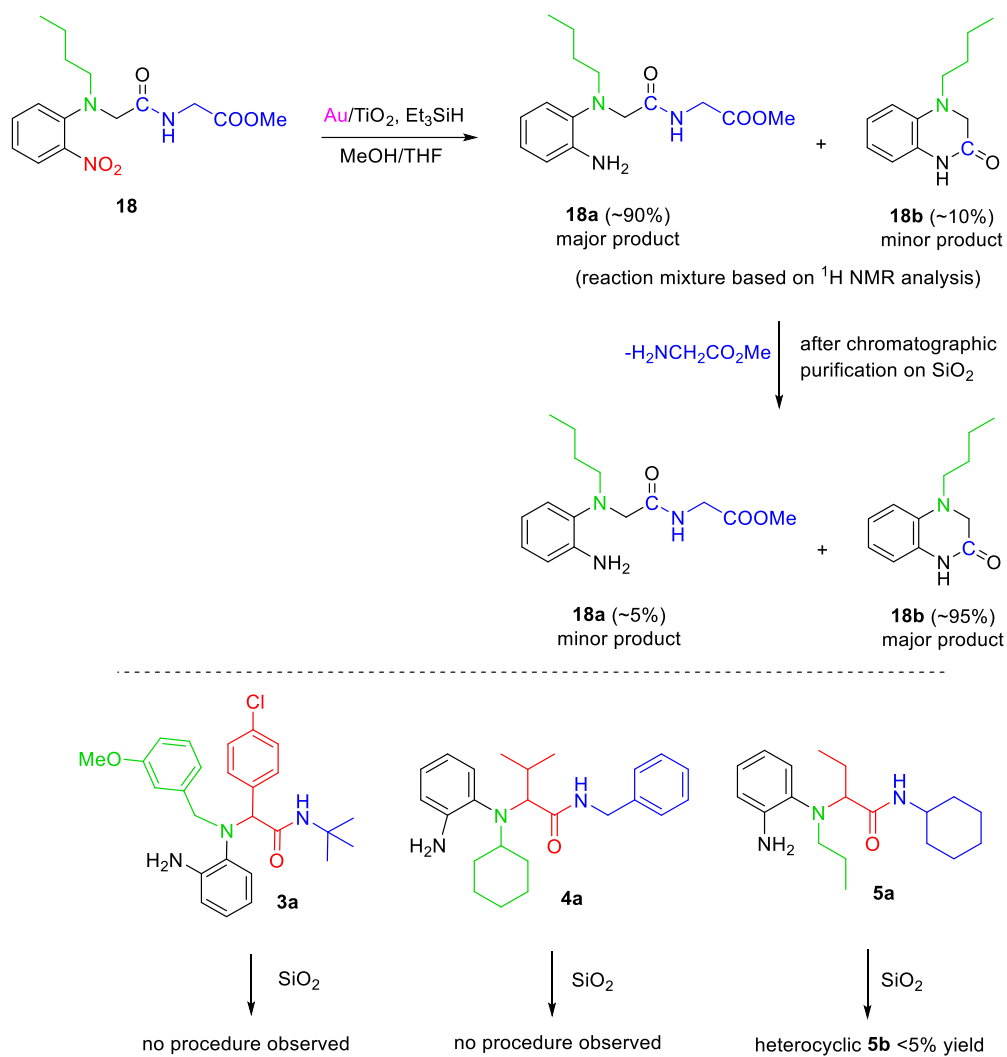


Figure S1. Study on the amines transformation into the corresponding 3,4-dehydroquinoxalinones on SiO_2

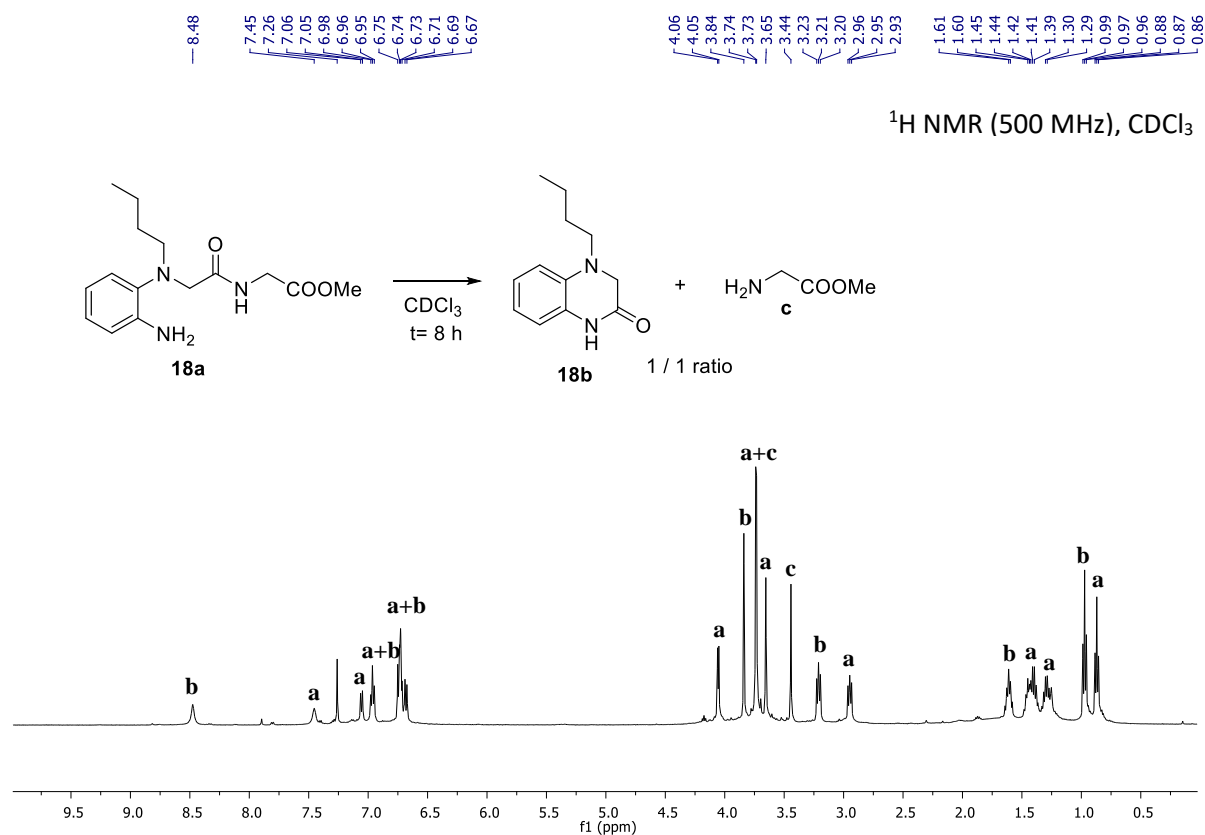
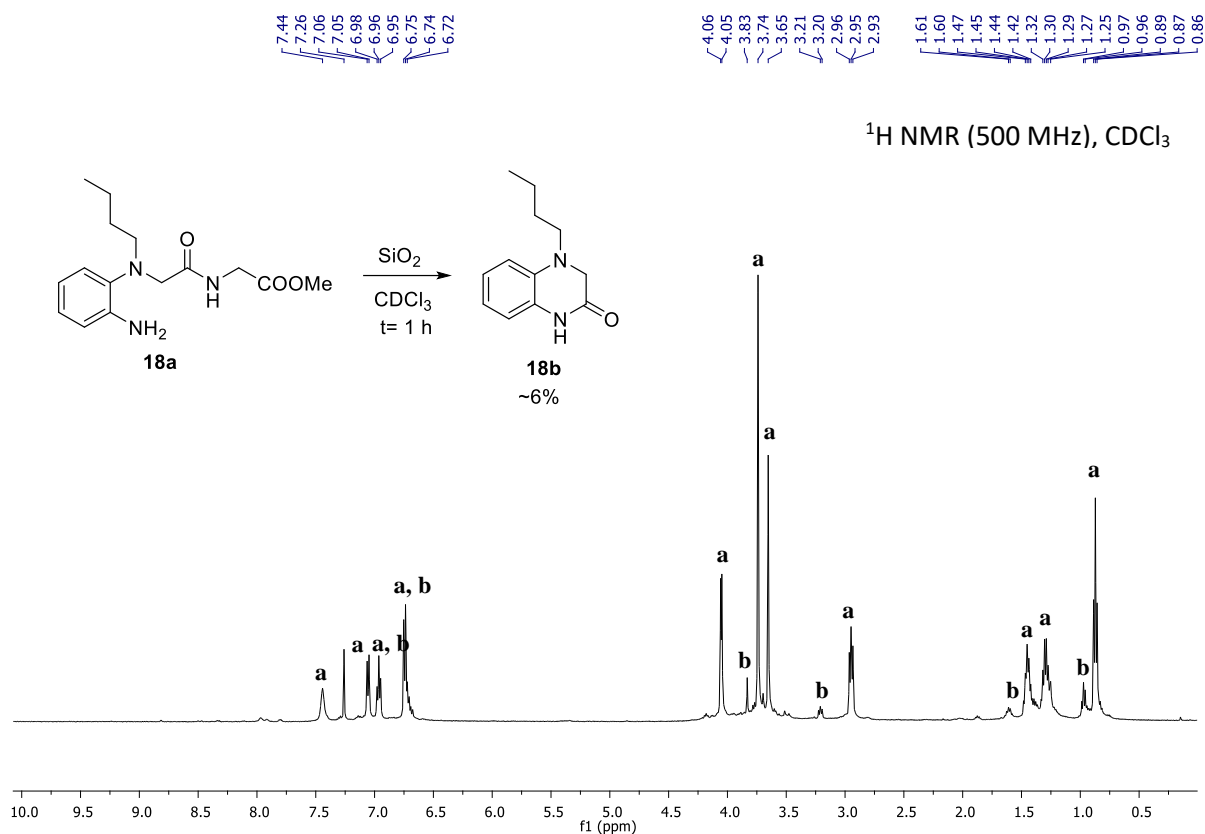
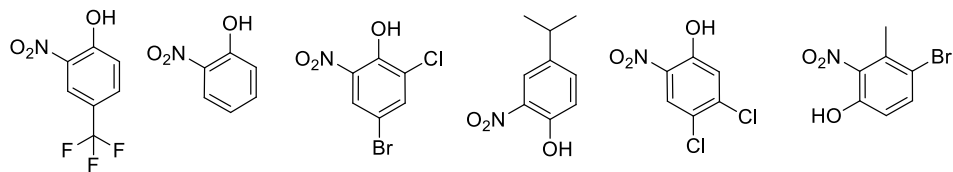


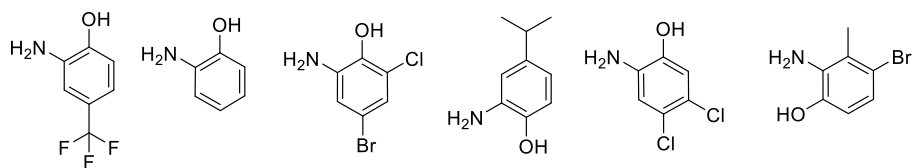
Figure S2. ¹H NMR spectra of the crude mixture of the *in situ* C-N cyclization process of amine **18a** into the corresponding dihydroquinoxalinone **18b**, in CDCl₃ (t=1 and 8h)

Via the software DataWarrior, we enumerate a combinatorial library of 210 dihydroquinoxalines. We employed 6, 6, 8 different, commercially available phenols, amines and aldehydes (Enamine, > 80 USD), respectively.

Phenols



Amines



Aldehydes

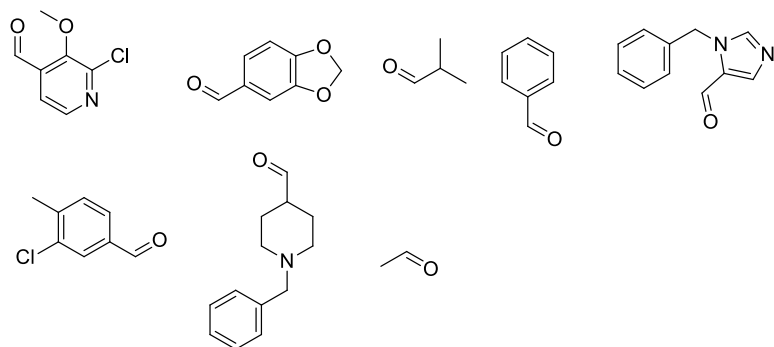
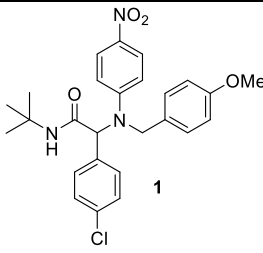
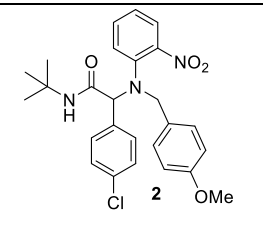
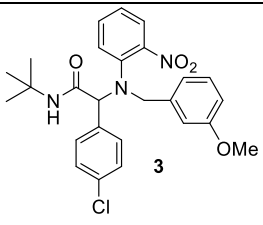
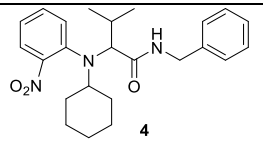
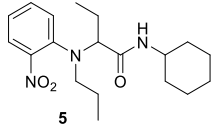
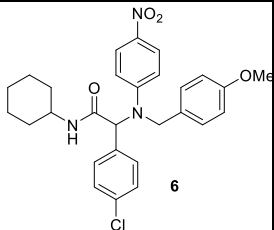
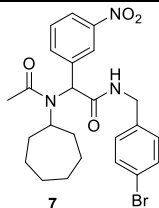
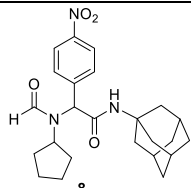
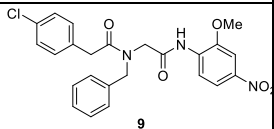
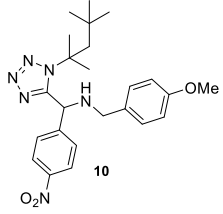
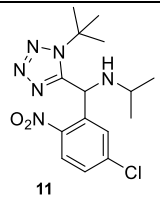
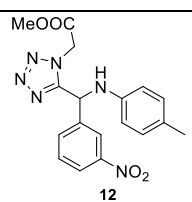
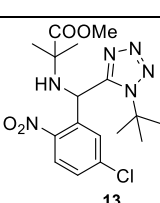
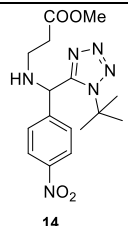


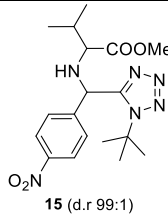
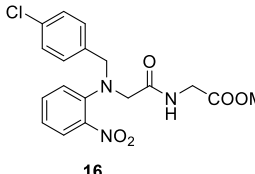
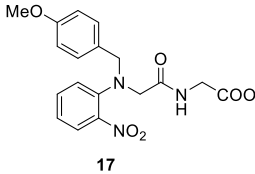
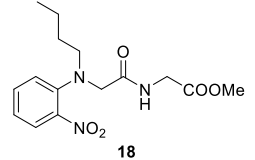
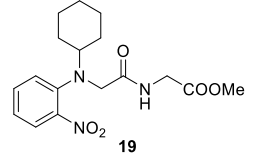
Figure S3. Library analysis

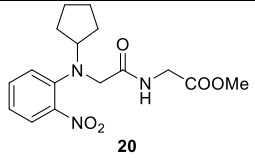
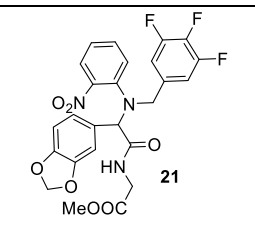
¹H, ¹³C{¹H} and ¹⁹F NMR data for nitro compounds 1-21

| | |
|---|---|
| <p><i>N</i>-(<i>tert</i>-butyl)-2-(4-chlorophenyl)-2-((4-methoxybenzyl)(4-nitrophenyl)amino)acetamide (1): Yellow solid, 1515 mg, yield 63%; ¹H NMR (500 MHz, CDCl₃): 8.07 (d, 2H, <i>J</i> = 9.3 Hz), 7.28 (d, 2H, <i>J</i> = 8.5 Hz), 7.20 (d, 2H, <i>J</i> = 8.6 Hz), 7.00 (d, 2H, <i>J</i> = 8.6 Hz), 6.80 (dd, 4H, <i>J</i>₁ = 9.1 Hz, <i>J</i>₂ = 2.5 Hz), 5.80 (s, 1H), 5.38 (s, 1H), 4.69 (d, 1H, <i>J</i> = 17.2 Hz), 4.49 (d, 1H, <i>J</i> = 17.2 Hz), 3.77 (s, 3H), 1.25 (s, 9H); ¹³C{¹H} NMR (125 MHz, CDCl₃): 168.1, 159.1, 153.7, 139.2, 134.8, 133.1, 130.7 (2C), 129.2, 129.1 (2C), 127.8 (2C), 125.9 (2C), 114.4 (2C), 113.2 (2C), 67.8, 55.5, 52.5, 52.2, 28.6 (3C); HRMS (ESI) <i>m/z</i>: [M+H]⁺ calcd for C₂₆H₂₉ClN₃O₄ 482.1847, found 482.1838.</p> |  |
| <p><i>N</i>-(<i>tert</i>-butyl)-2-(4-chlorophenyl)-2-((4-methoxybenzyl)(2-nitrophenyl)amino)acetamide (2): Orange oil, 1611 mg, yield 67%; ¹H NMR (500 MHz, CDCl₃): 7.64 (d, 1H, <i>J</i> = 8.0 Hz), 7.41 (d, 2H, <i>J</i> = 8.3 Hz), 7.31 (d, 3H, <i>J</i> = 8.3 Hz), 7.19 (t, 1H, <i>J</i> = 7.7 Hz), 7.14 (br s, 1H), 6.91 (d, 1H, <i>J</i> = 8.0 Hz), 6.68 (d, 2H, <i>J</i> = 8.4 Hz), 6.62 (d, 2H, <i>J</i> = 8.4 Hz), 4.68 (s, 1H), 3.93 (s, 2H), 3.74 (s, 3H), 1.12 (s, 9H); ¹³C{¹H} NMR (125 MHz, CDCl₃): 169.2, 159.4, 147.6, 142.0, 135.2, 134.2, 132.5, 130.9 (2C), 130.0 (2C), 129.0 (2C), 127.6, 126.2, 125.6, 124.5, 113.7 (2C), 71.7, 57.1, 55.3, 51.1, 28.4 (3C); HRMS (ESI) <i>m/z</i>: [M+H]⁺ calcd for C₂₆H₂₉ClN₃O₄ 482.1847, found 482.1838.</p> |  |
| <p><i>N</i>-(<i>tert</i>-butyl)-2-(4-chlorophenyl)-2-((3-methoxybenzyl)(2-nitrophenyl)amino)acetamide (3): Yellow solid, 1660 mg, yield 69%; ¹H NMR (500 MHz, CDCl₃): 7.66 (dd, 1H, <i>J</i>₁ = 8.0 Hz, <i>J</i>₂ = 1.3 Hz), 7.40 (d, 2H, <i>J</i> = 8.4 Hz), 7.32-7.35 (m, 3H), 7.19 (t, 1H, <i>J</i> = 7.7 Hz), 7.12 (br s, 1H), 7.07 (t, 1H, <i>J</i> = 7.9 Hz), 6.95 (d, 1H, <i>J</i> = 8.1 Hz), 6.75 (dd, 1H, <i>J</i>₁ = 8.3 Hz, <i>J</i>₂ = 2.3 Hz), 6.34 (d, 1H, <i>J</i> = 7.5 Hz), 6.28 (br t, 1H), 4.73 (s, 1H), 3.98 (q, 2H, <i>J</i> = 13.5 Hz), 3.66 (s, 3H), 1.14 (s, 9H); ¹³C{¹H} NMR (125 MHz, CDCl₃): 169.0, 159.6, 147.4, 142.0, 135.9, 134.8, 134.3, 132.6, 130.2 (2C), 129.4, 129.1 (2C), 127.3, 125.6, 124.7, 121.8, 114.7, 113.9, 71.9, 57.2, 55.2, 51.2, 28.4 (3C); HRMS (ESI) <i>m/z</i>: [M+H]⁺ calcd for C₂₆H₂₉ClN₃O₄ 482.1847, found 482.1840.</p> |  |
| <p><i>N</i>-benzyl-2-(cyclohexyl(2-nitrophenyl)amino)-3-methylbutanamide (4): Orange oil, 961 mg, yield 47%; ¹H NMR (500 MHz, CDCl₃): 7.74-7.77 (m, 1H), 7.48 (d, 1H, <i>J</i> = 8.0 Hz), 7.44 (t, 1H, <i>J</i> = 7.7 Hz), 7.31 (d, 1H, <i>J</i> = 8.0 Hz), 7.19 (t, 1H, <i>J</i> = 7.7 Hz), 7.14-7.16 (m, 3H), 7.01-7.03 (m, 1H), 4.47-4.51 (m, 1H), 4.10 (dd, 1H, <i>J</i>₁ = 14.4 Hz, <i>J</i>₂ = 4.8 Hz), 3.97 (d, 1H, <i>J</i> = 4.3 Hz), 2.78-2.84 (m, 1H), 2.16-2.22 (m, 1H), 1.75 (dt, 1H, <i>J</i>₁ = 11.9 Hz, <i>J</i>₂ = 3.2 Hz), 1.57-1.66 (m, 3H), 1.43 (dt, 1H, <i>J</i>₁ = 13.1 Hz, <i>J</i>₂ = 3.1 Hz), 1.08-1.15 (m, 1H), 1.05 (d, 3H, <i>J</i> = 6.9 Hz), 0.96-1.02 (m, 1H), 0.89 (d, 3H, <i>J</i> = 6.7 Hz), 0.65-0.78 (m, 3H); ¹³C{¹H} NMR (125 MHz, CDCl₃): 170.6, 149.8, 139.1, 138.3, 131.8, 131.1, 128.5 (2C), 127.9 (2C), 127.0, 126.3, 124.9, 69.9, 60.8, 42.9, 29.4, 28.4, 27.6, 26.1, 25.8, 25.5, 20.6, 16.4; HRMS (ESI) <i>m/z</i>: [M+H]⁺ calcd for C₂₄H₃₂N₃O₃ 410.2444, found 410.2442.</p> |  |

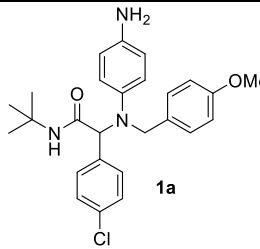
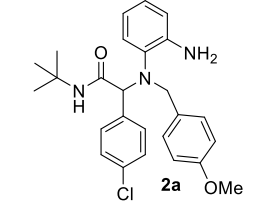
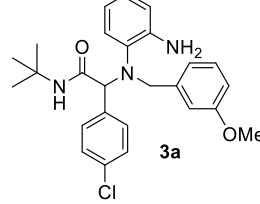
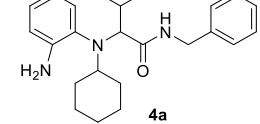
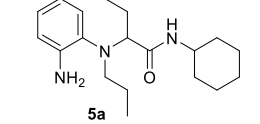
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|---|---|
| <p><i>N</i>-cyclohexyl-2-((2-nitrophenyl)(propyl)amino)butanamide (5): Red- orange oil, 902 mg, yield 52%; ¹H NMR (500 MHz, CDCl₃): 7.59 (d, 1H, <i>J</i> = 8.0 Hz), 7.43 (t, 1H, <i>J</i> = 7.6 Hz), 7.25 (d, 1H, <i>J</i> = 8.3 Hz), 7.11 (t, 1H, <i>J</i> = 7.6 Hz), 6.83 (d, 1H, <i>J</i> = 8.7 Hz), 3.62-3.69 (m, 1H), 3.50-3.54 (m, 1H), 2.92-2.99 (m, 1H), 2.71-2.78 (m, 1H), 1.74-1.83 (m, 2H), 1.57-1.66 (m, 5H), 0.97-1.32 (m, 7H), 0.82 (t, 3H, <i>J</i> = 7.3 Hz), 0.70 (t, 3H, <i>J</i> = 7.3 Hz); ¹³C{H} NMR (125 MHz, CDCl₃): 170.8, 147.0, 142.8, 132.7, 125.5, 124.9, 124.0, 69.0, 52.7, 47.7, 33.0, 32.6, 25.5, 24.72, 24.68, 22.9, 20.2, 11.4, 11.1; HRMS (ESI) <i>m/z</i>: [M+H]⁺ calcd for C₁₉H₃₀N₃O₃ 348.2287, found 348.2283.</p> |  |
| <p>2-(4-chlorophenyl)-<i>N</i>-cyclohexyl-2-((4-methoxybenzyl)(4-nitrophenyl)amino)acetamide (6): Yellow solid, 1724 mg, yield 68%; ¹H NMR (500 MHz, CDCl₃): 8.06 (d, 2H, <i>J</i> = 9.3 Hz), 7.27 (d, 2H, <i>J</i> = 8.6 Hz), 7.20 (d, 2H, <i>J</i> = 8.4 Hz), 7.00 (d, 2H, <i>J</i> = 8.5 Hz), 6.79 (d, 4H, <i>J</i> = 8.9 Hz), 5.93 (d, 1H, <i>J</i> = 8.0 Hz), 5.46 (s, 1H), 4.66 (d, 1H, <i>J</i> = 17.1 Hz), 4.50 (d, 1H, <i>J</i> = 17.1 Hz), 3.76 (s, 3H), 1.73-1.80 (m, 1H), 1.54-1.63 (m, 2H), 1.43 (s, 2H), 1.20-1.35 (m, 3H), 1.04-1.12 (m, 1H), 0.92-1.00 (m, 2H); ¹³C{H} NMR (125 MHz, CDCl₃): 167.9, 159.1, 153.7, 139.1, 134.8, 133.2, 130.7 (2C), 129.1 (2C), 127.8 (2C), 125.9 (2C), 125.6, 114.4 (2C), 113.2 (2C), 67.4, 55.4, 52.3, 48.8, 32.9, 32.8, 30.5, 25.5, 24.8; HRMS (ESI) <i>m/z</i>: [M+Na]⁺ calcd for C₂₈H₃₀ClN₃O₄Na 530.1817, found 530.1801.</p> |  |
| <p><i>N</i>-(4-bromobenzyl)-2-(<i>N</i>-cycloheptylacetamido)-2-(3-nitrophenyl)acetamide (7): Dark brown oil, 1979 mg, yield 79%; ¹H NMR (500 MHz, CDCl₃): 8.10-8.13 (m, 2H), 7.60 (d, 1H, <i>J</i> = 7.7 Hz), 7.48 (t, 1H, <i>J</i> = 8.0 Hz), 7.43 (d, 2H, <i>J</i> = 8.3 Hz), 7.14 (d, 2H, <i>J</i> = 8.3 Hz), 4.41 (d, 2H, <i>J</i> = 6.0 Hz), 3.83-3.9 (m, 1H), 2.24 (s, 3H), 1.44-1.97 (m, 12H); ¹³C{H} NMR (125 MHz, CDCl₃): 171.5, 170.3, 148.5, 138.8, 137.2, 133.2, 131.9 (2C), 129.7, 129.5 (2C), 122.8, 122.1, 121.4, 62.4, 53.6, 43.3, 34.1, 33.9, 27.3, 27.2, 25.4, 25.1, 23.1; HRMS (ESI) <i>m/z</i>: [M+H]⁺ calcd for C₂₄H₂₉BrN₃O₄ 502.1341, found 502.1335.</p> |  |
| <p><i>N</i>-((1<i>s</i>,3<i>s</i>)-adamantan-1-yl)-2-(<i>N</i>-cyclopentylformamido)-2-(4-nitrophenyl)acetamide (8): Pale brown solid, 1594 mg, yield 75%; ¹H NMR (500 MHz, CDCl₃): 8.37 (s, 1H), 8.19 (d, 2H, <i>J</i> = 8.7 Hz), 7.51 (d, 2H, <i>J</i> = 8.7 Hz), 6.38 (s, 1H), 5.43 (s, 1H), 3.92-3.98 (m, 1H), 1.99-2.12 (m, 10H), 1.46-1.79 (m, 14H); ¹³C{H} NMR (125 MHz, CDCl₃): 167.7, 163.2, 147.5, 143.1, 128.7 (2C), 123.8 (2C), 61.3, 59.6, 52.7, 41.4 (3C), 36.4 (3C), 32.5, 32.3, 29.5 (3C), 24.2, 24.1; HRMS (ESI) <i>m/z</i>: [M+H]⁺ calcd for C₂₄H₃₂N₃O₄ 426.2393, found 426.2385.</p> |  |
| <p><i>N</i>-benzyl-2-(4-chlorophenyl)-<i>N</i>-(2-((2-methoxy-4-nitrophenyl)amino)-2-oxoethyl)acetamide (9): Yellow solid, 1728 mg, yield 74%; ¹H NMR (500 MHz, CDCl₃): 8.87 (s, 1H), 8.45 (d, 1H, <i>J</i> = 8.6 Hz), 7.84 (d, 1H, <i>J</i> = 8.6 Hz), 7.69 (s, 1H), 7.34-7.39 (m, 3H), 7.29 (d, 2H, <i>J</i> = 8.0 Hz), 7.22 (d, 2H, <i>J</i> = 7.3 Hz), 7.17 (d, 2H, <i>J</i> = 6.8 Hz), 4.73 (s, 2H), 4.19 (s, 2H), 3.91 (s, 3H), 3.85 (s, 2H); ¹³C{H} NMR (125 MHz, CDCl₃): 172.4, 167.4, 147.5, 143.1, 135.2, 133.5, 133.0, 132.7, 130.3 (2C), 129.1 (2C), 128.8 (2C), 128.2, 126.7 (2C), 118.4, 117.4, 105.2, 56.3,</p> |  |

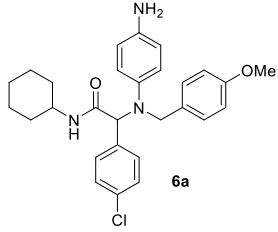
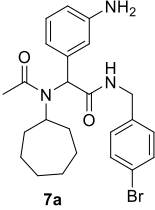
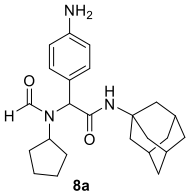
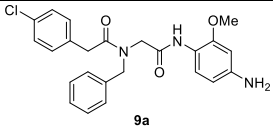
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| 52.9, 51.5, 39.5; HRMS (ESI) m/z : $[M+H]^+$ calcd for $C_{24}H_{23}ClN_3O_5$ 468.1326, found 468.1318. | |
| <p><i>N</i>-(4-methoxybenzyl)-1-(4-nitrophenyl)-1-(1-(2,4,4-trimethylpentan-2-yl)-1H-tetrazol-5-yl)methanamine (10): Pale brown solid, 1943 mg, yield 86%; 1H NMR (500 MHz, $CDCl_3$): 8.22 (d, 2H, $J = 8.7$ Hz), 7.57 (d, 2H, $J = 8.7$ Hz), 7.22 (d, 2H, $J = 8.6$ Hz), 6.87 (d, 2H, $J = 8.6$ Hz), 5.35 (s, 1H), 3.80 (s, 3H), 3.69 (d, 2H, $J = 6.8$ Hz), 1.80 (d, 2H, $J = 4.4$ Hz), 1.66 (s, 3H), 1.63 (s, 3H), 0.64 (s, 9H); $^{13}C\{H\}$ NMR (125 MHz, $CDCl_3$): 159.3, 154.9, 147.9, 130.2, 129.72 (2C), 129.65 (2C), 124.3 (2C), 114.2 (2C), 65.4, 56.6, 55.5, 54.0, 50.7, 31.7, 30.7 (3C), 30.5, 30.3; HRMS (ESI) m/z: $[M+H]^+$ calcd for $C_{24}H_{33}N_6O_3$ 453.2614, found 453.2607.</p> |  |
| <p><i>N</i>-((1-(tert-butyl)-1H-tetrazol-5-yl)(5-chloro-2-nitrophenyl)methyl)propan-2-amine (11): Pale white solid, 1619 mg, yield 92%; 1H NMR (500 MHz, $CDCl_3$): 7.91 (d, 1H, $J = 8.6$ Hz), 7.44 (dd, 1H, $J_1 = 8.6$ Hz, $J_2 = 1.8$ Hz), 7.20 (s, 1H), 6.34 (s, 1H), 2.60-2.67 (m, 1H), 1.74 (s, 9H), 1.04 (d, 3H, $J = 6.2$ Hz), 1.00 (d, 3H, $J = 6.2$ Hz); $^{13}C\{H\}$ NMR (125 MHz, $CDCl_3$): 154.4, 147.4, 139.9, 136.3, 129.4, 129.3, 126.9, 62.2, 50.8, 47.0, 30.0 (3C), 22.9, 22.1; HRMS (ESI) m/z: $[M+H]^+$ calcd for $C_{15}H_{22}ClN_6O_2$ 353.1493, found 353.1488.</p> |  |
| <p>Methyl 2-(5-((3-nitrophenyl)(p-tolylamino)methyl)-1H-tetrazol-1-yl)acetate (12): Dark brown oil, 1318 mg, yield 69%; 1H NMR (500 MHz, $CDCl_3$): 8.29 (br t, 1H), 8.14 (d, 1H, $J = 8.0$ Hz), 7.77 (d, 1H, $J = 7.7$ Hz), 7.52 (t, 1H, $J = 8.0$ Hz), 6.95 (d, 2H, $J = 8.0$ Hz), 6.55 (d, 2H, $J = 8.3$ Hz), 6.09 (d, 1H, $J = 7.0$ Hz), 5.25 (s, 2H), 3.66 (s, 3H), 2.20 (s, 3H); $^{13}C\{H\}$ NMR (125 MHz, $CDCl_3$): 166.1, 155.5, 148.5, 142.4, 139.3, 133.8, 130.2, 130.1 (2C), 129.5, 123.7, 122.6, 114.4 (2C), 53.3, 53.1, 48.9, 20.4; HRMS (ESI) m/z: $[M+H]^+$ calcd for $C_{18}H_{19}N_6O_4$ 383.1468, found 383.1463.</p> |  |
| <p>Methyl 2-(((1-(tert-butyl)-1H-tetrazol-5-yl)(5-chloro-2-nitrophenyl)methyl)amino)-2-methylpropanoate (13): Orange-brown solid, 1804 mg, yield 88%; 1H NMR (500 MHz, $CDCl_3$): 7.84 (d, 1H, $J = 8.6$ Hz), 7.41 (dd, 1H, $J_1 = 8.6$ Hz, $J_2 = 2.2$ Hz), 7.05 (d, 1H, $J = 2.1$ Hz), 6.52 (s, 1H), 3.40 (s, 3H), 1.71 (s, 9H), 1.29 (s, 3H), 1.24 (s, 3H); $^{13}C\{H\}$ NMR (125 MHz, $CDCl_3$): 174.9, 154.4, 147.3, 139.7, 136.4, 129.7, 129.3, 126.8, 62.2, 57.7, 52.1, 48.2, 30.0 (3C), 26.3, 24.4; HRMS (ESI) m/z: $[M+Na]^+$ calcd for $C_{17}H_{23}ClN_6O_4Na$ 403.1620, found 403.1624.</p> |  |
| <p>methyl 3-(((1-(tert-butyl)-1H-tetrazol-5-yl)(4-nitrophenyl)methyl)amino)propanoate (14): Yellow-brown solid, 1375 mg, yield 76%; 1H NMR (500 MHz, $CDCl_3$): 8.18 (d, 2H, $J = 8.6$ Hz), 7.53 (d, 2H, $J = 8.6$ Hz), 5.46 (s, 1H), 3.65 (s, 3H), 2.76-2.85 (m, 2H), 2.50 (t, 2H, $J = 6.3$ Hz), 1.68 (s, 9H); $^{13}C\{H\}$ NMR (125 MHz, $CDCl_3$): 172.8, 154.7, 147.9, 145.6, 129.2 (2C), 124.2 (2C), 61.8, 58.4, 51.9, 43.4, 34.8, 30.2 (3C); HRMS m/z (ESI) calcd for $C_{16}H_{23}N_6O_4$ (M+H)$^+$ 363.1781, found 363.1775.</p> |  |

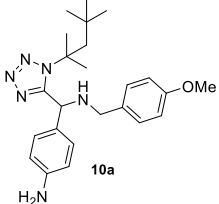
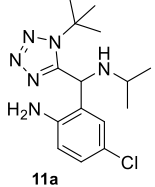
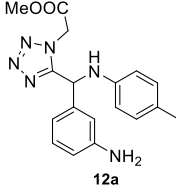
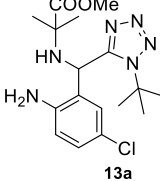
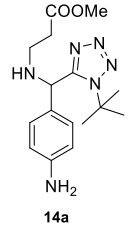
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| <p><i>Methyl 2-(((1-(tert-butyl)-1H-tetrazol-5-yl)(4-nitrophenyl)methyl)amino)-3-methylbutanoate (15)</i>: Yellow oil, 1657 mg, yield 85%; Mainly the one diastereomer in ratio <i>d.r.</i> =99/1; ^1H NMR (500 MHz, CDCl_3): 8.14 (d, 2H, J = 8.7 Hz), 7.60 (d, 2H, J = 8.7 Hz), 5.47 (s, 1H), 3.59 (s, 3H), 2.87 (d, 1H, J = 5.8 Hz), 1.89-1.93 (m, 1H), 1.67 (s, 9H), 0.86 (d, 3H, J = 6.7 Hz), 0.83 (d, 3H, J = 6.7 Hz); $^{13}\text{C}\{\text{H}\}$ NMR (125 MHz, CDCl_3): 173.9, 154.8, 147.9, 145.4, 129.6 (2C), 123.9 (2C), 65.0, 61.7, 56.6, 51.8, 31.5, 30.1 (3C), 19.2, 18.2; HRMS (ESI) m/z: $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{18}\text{H}_{27}\text{N}_6\text{O}_4\text{Na}$ 413.1908, found 413.1897.</p> |  <p>15 (<i>d.r.</i> 99:1)</p> |
| <p><i>Methyl 2-(2-((4-chlorobenzyl)(2-nitrophenyl)amino)acetamido)acetate (16)</i>: Brown oil, 1388 mg, yield 71%; ^1H NMR (500 MHz, CDCl_3): 7.77 (d, 1H, J = 8.1 Hz), 7.72 (br t, 1H), 7.48 (t, 1H, J = 7.8 Hz), 7.23 (d, 2H, J = 8.3 Hz), 7.14-7.19 (m, 2H), 7.03 (d, 2H, J = 8.3 Hz), 4.14 (s, 2H), 4.04 (d, 2H, J = 5.8 Hz), 3.78 (s, 2H), 3.70 (s, 3H); $^{13}\text{C}\{\text{H}\}$ NMR (125 MHz, CDCl_3): 169.9, 169.7, 145.0, 143.6, 134.1, 133.9, 133.7, 130.3 (2C), 128.9 (2C), 125.9, 124.3, 124.2, 59.0, 55.5, 52.4, 41.0; HRMS (ESI) m/z: $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{18}\text{H}_{19}\text{ClN}_3\text{O}_5$ 392.1013, found 392.1008.</p> |  <p>16</p> |
| <p><i>Methyl 2-(2-((4-methoxybenzyl)(2-nitrophenyl)amino)acetamido)acetate (17)</i>: Orange oil, 1528 mg, yield 79%; ^1H NMR (500 MHz, CDCl_3): 7.83 (br t, 1H), 7.78 (dd, 1H, J_1 = 8.1 Hz, J_2 = 1.4 Hz), 7.47 (t, 1H, J = 7.8 Hz), 7.16 (t, 1H, J = 7.8 Hz), 7.13 (d, 1H, J = 8.2 Hz), 6.99 (d, 2H, J = 8.6 Hz), 6.78 (d, 2H, J = 8.6 Hz), 4.09 (s, 2H), 4.04 (d, 2H, J = 5.8 Hz), 3.79 (s, 2H), 3.76 (s, 3H), 3.71 (s, 3H); $^{13}\text{C}\{\text{H}\}$ NMR (125 MHz, CDCl_3): 170.1, 169.9, 159.5, 144.9, 144.0, 133.7, 130.3 (2C), 127.3, 125.9, 124.2, 124.0, 114.1 (2C), 59.5, 55.3, 54.9, 52.4, 41.1; HRMS (ESI) m/z: $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{19}\text{H}_{21}\text{N}_3\text{O}_6$ 388.1509, found 388.1502.</p> |  <p>17</p> |
| <p><i>Methyl 2-(2-(butyl(2-nitrophenyl)amino)acetamido)acetate (18)</i>: Orange oil, 1065 mg, yield 66%; ^1H NMR (500 MHz, CDCl_3): 7.79 (br s, 1H), 7.74 (d, 1H, J = 8.1 Hz), 7.50 (t, 1H, J = 7.8 Hz), 7.26 (d, 1H, J = 7.1 Hz), 7.15 (t, 1H, J = 7.7 Hz), 4.06 (d, 2H, J = 5.8 Hz), 3.88 (s, 2H), 3.70 (s, 3H), 3.01 (t, 2H, J = 7.7 Hz), 1.37-1.43 (m, 2H), 1.16-1.24 (m, 2H), 0.82 (t, 3H, J = 7.3 Hz); $^{13}\text{C}\{\text{H}\}$ NMR (125 MHz, CDCl_3): 170.4, 169.9, 145.0, 144.0, 133.7, 125.8, 123.7 (2C), 56.4, 55.6, 52.4, 41.1, 29.1, 20.1, 13.9; HRMS (ESI) m/z: $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{15}\text{H}_{22}\text{N}_3\text{O}_5$ 324.1559, found 324.1548.</p> |  <p>18</p> |
| <p><i>Methyl 2-(2-(cyclohexyl(2-nitrophenyl)amino)acetamido)acetate (19)</i>: Red oil, 1361 mg, yield 78%; ^1H NMR (500 MHz, CDCl_3): 8.11 (br s, 1H), 7.73 (d, 1H, J = 8.0 Hz), 7.50 (t, 1H, J = 7.8 Hz), 7.28 (d, 1H, J = 8.2 Hz), 7.18 (t, 1H, J = 7.7 Hz), 4.01 (d, 2H, J = 5.7 Hz), 3.93 (s, 2H), 3.66 (s, 3H), 2.78-2.83 (m, 1H), 1.83 (d, 2H, J = 11.3 Hz), 1.76 (d, 2H, J = 12.6 Hz), 1.59 (d, 1H, J = 12.9 Hz), 1.13-1.31 (m, 4H), 1.01-1.06 (m, 1H); $^{13}\text{C}\{\text{H}\}$ NMR (125 MHz, CDCl_3): 171.4, 169.8, 145.9, 143.6, 133.3, 125.7, 124.6, 124.0, 66.1, 52.2, 50.4, 41.0, 29.7 (2C), 25.8 (2C), 25.5; HRMS (ESI) m/z: $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{17}\text{H}_{24}\text{N}_3\text{O}_5$ 350.1716, found 350.1708.</p> |  <p>19</p> |

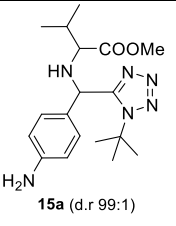
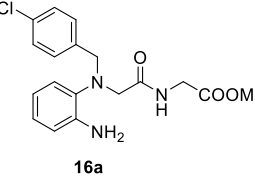
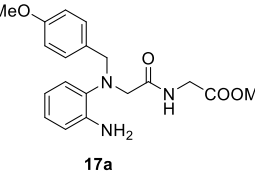
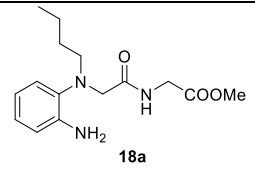
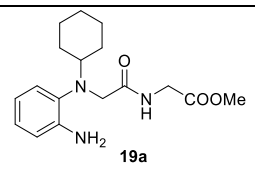
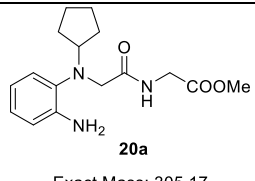
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| <p><i>Methyl 2-(2-(cyclopentyl(2-nitrophenyl)amino)acetamido)acetate (20):</i> Orange oil, 1373 mg, yield 82%; ^1H NMR (500 MHz, CDCl_3): 8.02 (br s, 1H), 7.73 (d, 1H, $J = 8.0$ Hz), 7.50 (t, 1H, $J = 7.3$ Hz), 7.29 (d, 1H, $J = 8.2$ Hz), 7.17 (t, 1H, $J = 7.7$ Hz), 4.01 (d, 2H, $J = 5.8$ Hz), 3.88 (s, 2H), 3.67 (s, 3H), 3.38-3.45 (m, 1H), 1.74-1.77 (m, 2H), 1.62-1.63 (m, 2H), 1.42-1.48 (m, 4H); $^{13}\text{C}\{^1\text{H}\}$ NMR (125 MHz, CDCl_3): 171.2, 169.8, 145.8, 144.6, 133.6, 125.7, 124.6, 124.2, 68.3, 52.3, 52.0, 41.0, 28.9 (2C), 23.5 (2C); HRMS (ESI) m/z: $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{16}\text{H}_{22}\text{Cl}_2\text{N}_3\text{O}_5$ 336.1559, found 336.1550.</p> |  <p style="text-align: center;">20</p> |
| <p><i>Methyl 2-(2-(benzo[d][1,3]dioxol-5-yl)-2-((2-nitrophenyl)(3,4,5-trifluorobenzyl)amino) acetamido) acetate (21):</i> Orange oil, 1566 mg, yield 59%; ^1H NMR (500 MHz, CDCl_3): 7.65 (d, 1H, $J = 8.0$ Hz), 7.47 (br s, 1H), 7.38 (t, 1H, $J = 7.7$ Hz), 7.20 (t, 1H, $J = 7.8$ Hz), 7.03 (d, 1H, $J = 8.1$ Hz), 6.93 (s, 1H), 6.83 (d, 1H, $J = 8.0$ Hz), 6.72 (d, 1H, $J = 8.0$ Hz), 6.59 (t, 2H, $J = 7.1$ Hz), 5.93 (s, 2H), 4.86 (s, 1H), 4.24 (d, 1H, $J = 14.4$ Hz), 4.03-4.10 (m, 2H), 3.94 (dd, 1H, $J_1 = 18.1$ Hz, $J_2 = 5.3$ Hz), 3.69 (s, 3H); $^{13}\text{C}\{^1\text{H}\}$ NMR (125 MHz, CDCl_3): 170.8, 169.9, 152.0 (ddd, $J_1 = 250.3$ Hz, $J_2 = 10.5$ Hz, $J_3 = 3.8$ Hz), 148.2, 148.1, 147.6, 140.3 (dt, $J_1 = 251.8$ Hz, $J_2 = 15.5$ Hz), 132.9, 132.0 (dd, $J_1 = 16.7$ Hz, $J_2 = 6.0$ Hz), 128.4, 127.3, 126.1, 125.1, 123.3, 113.5 (dd, $J_1 = 16.4$ Hz, $J_2 = 5.4$ Hz), 109.2, 108.5, 101.5, 71.5, 55.5, 52.5, 41.2; ^{19}F (188 MHz, CDCl_3): -133.98 (m, 2F), -161.16 (m, 1F); HRMS (ESI) m/z: $[\text{M}+\text{Na}]^+$ calcd for $\text{C}_{25}\text{H}_{20}\text{F}_3\text{N}_3\text{O}_7\text{Na}$ 554.1146, found 554.1132.</p> |  <p style="text-align: center;">21</p> |

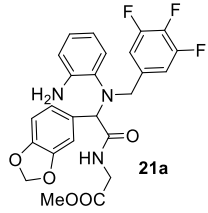
¹H and ¹³C NMR data for amines 1-21

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| <p>2-((4-aminophenyl)(4-methoxybenzyl)amino)-N-(tert-butyl)-2-(4-chlorophenyl)acetamide (1a): Yellow oil, 83 mg, yield 92%; ¹H NMR (500 MHz, CDCl₃): 7.24-7.28 (m, 4H), 6.88 (d, 2H, <i>J</i> = 8.4 Hz), 6.74 (d, 2H, <i>J</i> = 8.4 Hz), 6.70 (d, 2H, <i>J</i> = 8.5 Hz), 6.53 (d, 2H, <i>J</i> = 8.5 Hz), 4.76 (s, 1H), 4.10 (d, 1H, <i>J</i> = 14.6 Hz), 3.94 (d, 1H, <i>J</i> = 14.6 Hz), 3.76 (s, 3H), 1.20 (s, 9H); ¹³C{H} NMR (125 MHz, CDCl₃): 170.2, 158.8, 141.9, 140.6, 135.4, 133.6, 130.5 (2C), 129.8 (2C), 129.6, 128.6 (2C), 123.7 (2C), 115.9 (2C), 113.6 (2C), 72.0, 55.8, 55.3, 51.0, 28.6 (3C); HRMS (ESI) <i>m/z</i>: [M+H]⁺ calcd for C₂₆H₃₁ClN₃O₂ 452.2105, found 452.2100.</p> |  <p style="text-align: center;">1a</p> |
| <p>2-((2-aminophenyl)(4-methoxybenzyl)amino)-N-(tert-butyl)-2-(4-chlorophenyl)acetamide (2a): Yellow oil, 81 mg, yield 90%; ¹H NMR (500 MHz, CDCl₃): 7.53 (d, 2H, <i>J</i> = 7.4 Hz), 7.37 (d, 2H, <i>J</i> = 7.6 Hz), 6.99 (d, 1H, <i>J</i> = 7.6 Hz), 6.94 (t, 1H, <i>J</i> = 7.6 Hz), 6.74 (d, 2H, <i>J</i> = 7.8 Hz), 6.68 (d, 3H, <i>J</i> = 8.5 Hz), 6.59 (d, 1H, <i>J</i> = 7.8 Hz), 6.46 (s, 1H), 4.63 (s, 1H), 3.90 (d, 1H, <i>J</i> = 12.3 Hz), 3.77 (d, 1H, <i>J</i> = 12.3 Hz), 3.73 (s, 3H), 1.02 (s, 9H); ¹³C{H} NMR (125 MHz, CDCl₃): 169.8, 159.1, 143.6, 137.0, 134.8, 133.9, 131.1 (2C), 129.7 (2C), 129.0 (2C), 128.5, 126.6, 125.1, 119.3, 115.6, 113.5 (2C), 73.6, 56.1, 55.3, 51.1, 28.3 (3C); HRMS (ESI) <i>m/z</i>: [M+H]⁺ calcd for C₂₆H₃₁ClN₃O₂ 452.2403, found 452.2093.</p> |  <p style="text-align: center;">2a</p> |
| <p>2-((2-aminophenyl)(3-methoxybenzyl)amino)-N-(tert-butyl)-2-(4-chlorophenyl)acetamide (3a): Yellow oil, 83 mg, yield 92%; ¹H NMR (500 MHz, CDCl₃): 7.52 (d, 2H, <i>J</i> = 8.1 Hz), 7.37 (d, 2H, <i>J</i> = 8.1 Hz), 7.08 (t, 1H, <i>J</i> = 7.9 Hz), 7.00 (d, 1H, <i>J</i> = 7.6 Hz), 6.94 (t, 1H, <i>J</i> = 7.8 Hz), 6.74 (dd, 1H, <i>J</i>₁ = 8.2 Hz, <i>J</i>₂ = 2.4 Hz), 6.69 (t, 1H, <i>J</i> = 7.5 Hz), 6.60 (d, 1H, <i>J</i> = 7.8 Hz), 6.48 (m, 2H), 6.31 (br s, 1H), 4.65 (s, 1H), 3.92 (d, 1H, <i>J</i> = 12.8 Hz), 3.71 (d, 1H, <i>J</i> = 14.3 Hz), 3.61 (s, 3H), 1.04 (s, 9H); ¹³C{H} NMR (125 MHz, CDCl₃): 169.8, 159.3, 143.5, 138.0, 136.8, 134.8, 134.0, 129.8 (2C), 129.1, 129.0 (2C), 126.7, 125.0, 122.1, 119.2, 115.6, 115.2, 113.4, 56.5, 55.1, 51.1, 50.8, 28.3 (3C); HRMS (ESI) <i>m/z</i>: [M+Na]⁺ calcd for C₂₆H₃₀ClN₃O₂Na 474.1924, found 474.1920.</p> |  <p style="text-align: center;">3a</p> |
| <p>N-benzyl-2-(cyclohexyl(2-nitrophenyl)amino)-3-methylbutanamide (4a): Yellow oil, 69 mg, yield 91%; ¹H NMR (500 MHz, CDCl₃): 7.20-7.24 (m, 2H), 7.13 (m, 2H), 7.02 (t, 1H, <i>J</i> = 6.3 Hz), 6.87 (t, 1H, <i>J</i> = 7.6 Hz), 6.82 (d, 1H, <i>J</i> = 7.5 Hz), 6.74 (t, 1H, <i>J</i> = 7.5 Hz), 6.62 (d, 1H, <i>J</i> = 7.8 Hz), 4.51 (dd, 1H, <i>J</i>₁ = 14.9 Hz, <i>J</i>₂ = 6.3 Hz), 4.32 (dd, 1H, <i>J</i>₁ = 14.9 Hz, <i>J</i>₂ = 5.6 Hz), 3.64 (d, 1H, <i>J</i> = 4.7 Hz), 2.33-2.40 (m, 1H), 1.06 (m, 6H); ¹³C{H} NMR (125 MHz, CDCl₃): 172.9, 138.4, 137.7, 128.7 (2C), 127.8 (2C), 127.4, 122.8, 120.0, 118.7, 113.5, 65.2, 43.2, 31.6, 19.9, 18.2; HRMS (ESI) <i>m/z</i>: [M+H]⁺ calcd for C₂₄H₃₄N₃O 380.2702, found 380.2695.</p> |  <p style="text-align: center;">4a</p> |
| <p>2-((2-aminophenyl)(propyl)amino)-N-cyclohexylbutanamide (5a): Yellow oil, 57 mg, yield 90%; ¹H NMR (500 MHz, CDCl₃): 7.05 (d, 1H, <i>J</i> = 7.8 Hz), 6.95 (t, 1H, <i>J</i> = 7.5 Hz), 6.70-6.74 (m, 2H), 5.95 (br s, 1H), 4.12 (br s, 2H), 3.75 (m, 1H), 3.34 (br t, 1H), 2.96 (q, 1H, <i>J</i> = 7.2 Hz), 1.85 (d, 1H, <i>J</i> = 11.0 Hz), 1.56-1.76 (m, 6H), 1.33 (m, 4H, <i>J</i> = 12.0 Hz), 1.00-1.14 (m, 4H), 0.89 (t, 3H, <i>J</i> = 7.4 Hz), 0.80 (t, 3H, <i>J</i> = 7.3 Hz); ¹³C{H} NMR (125 MHz, CDCl₃): 171.3, 143.6, 135.1, 125.8,</p> |  <p style="text-align: center;">5a</p> |

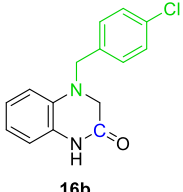
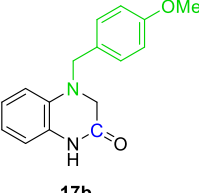
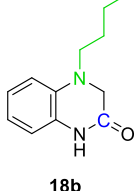
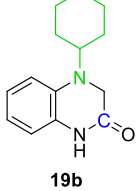
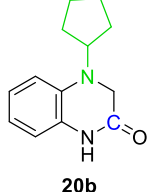
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| 124.5, 118.7, 115.8, 68.8, 52.1, 47.8, 33.4, 33.0, 25.6, 24.95, 24.92, 23.3, 20.5, 11.9, 10.6; HRMS (ESI) m/z : $[M+H]^+$ calcd for $C_{19}H_{32}N_3O$ 318.2545, found 318.2538. | |
| 2-((4-aminophenyl)(4-methoxybenzyl)amino)-2-(4-chlorophenyl)-N-cyclohexylacetamide (6a): Yellow oil, 88 mg, yield 92%; 1H NMR (500 MHz, $CDCl_3$): 6.86 (d, 3H, $J = 8.0$ Hz), 6.69-6.77 (m, 7H), 6.53 (d, 2H, $J = 7.9$ Hz), 4.83 (s, 1H), 4.09 (d, 1H, $J = 14.5$ Hz), 3.95 (d, 1H, $J = 14.5$ Hz), 3.76 (s, 3H), 3.65-3.69 (m, 1H), 1.53-1.76 (m, 4H), 1.44 (s, 1H), 1.22-1.34 (m, 3H), 1.12-1.17 (m, 1H), 0.92-1.06 (m, 1H); $^{13}C\{H\}$ NMR (125 MHz, $CDCl_3$): 170.1, 158.8, 142.0, 140.5, 135.4, 133.7, 130.5 (2C), 129.9 (2C), 129.4, 128.6 (2C), 123.7 (2C), 115.9 (2C), 113.6 (2C), 71.2, 55.9, 55.3, 50.9, 47.8, 33.0, 30.4, 25.6, 24.7; HRMS (ESI) m/z : $[M+H]^+$ calcd for $C_{28}H_{33}ClN_3O_2$ 478.2261, found 478.2254. |  |
| 2-(3-aminophenyl)-N-(4-bromobenzyl)-2-(N-cycloheptylacetyl)acetamide (7a): Yellow oil, 78 mg, yield 84%; 1H NMR (500 MHz, $CDCl_3$): 7.39 (d, 2H, $J = 8.2$ Hz), 7.13 (d, 2H, $J = 8.2$ Hz), 7.09 (t, 1H, $J = 7.8$ Hz), 6.72 (s, 1H), 6.68 (d, 1H, $J = 7.5$ Hz), 6.59 (d, 1H, $J = 7.8$ Hz), 6.46 (t, 1H, $J = 6.4$ Hz), 4.71 (br s, 2H), 4.40 (dd, 1H, $J_1 = 15.3$ Hz, $J_2 = 6.2$ Hz), 4.30 (dd, 1H, $J_1 = 15.3$ Hz, $J_2 = 5.6$ Hz), 3.79 (br t, 1H, $J = 9.9$ Hz), 2.21 (s, 3H), 2.10-2.16 (m, 1H), 1.91-1.93 (m, 1H), 1.74-1.76 (m, 1H), 1.45-1.63 (m, 9H), 1.32-1.34 (m, 1H); $^{13}C\{H\}$ NMR (125 MHz, $CDCl_3$): 170.72, 170.65, 170.57, 147.1, 138.5, 137.7, 137.7, 131.6 (2C), 129.8, 129.3 (2C), 125.6, 121.0, 118.5, 114.9, 114.6, 63.5, 61.8, 43.1, 43.0, 33.9, 33.7, 30.4, 29.8, 27.6, 27.5, 25.6, 25.5, 22.9; HRMS (ESI) m/z : $[M+H]^+$ calcd for $C_{24}H_{31}BrN_3O_2$ 472.1600, found 472.1593. |  |
| N-((1s,3s)-adamantan-1-yl)-2-(4-aminophenyl)-2-(N-cyclopentylformamido)acetamide (8a): Yellow oil, 69 mg, yield 88%; Mixture of topoisomers a and b in ratio of a/b=3/1: 1H NMR (500 MHz, $CDCl_3$): 8.34 (s, 1H, a), 8.06 (s, 1H, b), 7.13 (d, 2H, $J = 8.1$ Hz, a), 7.03 (d, 2H, $J = 8.1$ Hz, b), 6.65 (d, 4H, $J = 8.1$ Hz, a and b), 5.68 (s, 1H, a), 5.52 (s, 1H, b), 5.43 (s, 1H, a), 4.81 (s, 1H, b), 4.47 (q, 1H, $J = 8.3$ Hz, b), 3.82 (q, 1H, $J = 8.4$ Hz, a), 3.46 (s, 1H, a), 2.21 (s, 1H, b), 2.10-2.15 (m, 1H, a and b), 2.05 (d, 8H, $J = 17.8$ Hz, b), 1.98 (d, 8H, $J = 12.0$ Hz, a), 1.75-1.81 (m, 4H, a and b), 1.65 (d, 16H, $J = 12.2$ Hz, a and b), 1.50-1.58 (m, 4H, a and b), 1.40-1.44 (m, 2H, a and b), 1.24-1.32 (m, 4H, a and b); $^{13}C\{H\}$ NMR (125 MHz, $CDCl_3$): 168.9 (b), 168.8 (a), 164.1 (b), 162.7 (a), 146.8 (b), 146.5 (a), 129.9 (2C, a), 129.5 (2C, b), 125.7 (b), 125.5 (a), 115.4 (2C, b), 115.2 (2C, a), 62.9 (a and b), 60.5 (a), 58.5 (a), 54.8 (b), 52.7 (b), 52.3 (a), 41.5 (3C, b), 41.4 (3C, a), 36.4 (3C, a), 33.1 (a), 32.7 (a), 29.5 (3C, a and b), 24.3 (a), 36.3 (3C, b), 30.8 (b), 30.4 (b), 29.1 (b), 24.2 (2C, a and b), 24.1 (b); HRMS (ESI) m/z : $[M+H]^+$ calcd for $C_{24}H_{34}N_3O_2$ 396.2651, found 396.2647. |  |
| N-(2-((4-amino-2-methoxyphenyl)amino)-2-oxoethyl)-N-benzyl-2-(4-chlorophenyl) acetamide (9a): Yellow oil, 79 mg, yield 90%; 1H NMR (500 MHz, $CDCl_3$): 8.17 (s, 1H), 7.98 (d, 1H, $J = 8.2$ Hz), 7.35 (t, 2H, $J = 8.2$ Hz), 7.24-7.27 (m, 3H), 7.19 (d, 2H, $J = 8.2$ Hz), 7.14 (d, 2H, $J = 7.2$ Hz), 6.31 (d, 2H, $J = 10.0$ Hz), 4.69 (s, 2H), 3.99 (br s, 1H), 3.78 (s, 2H), 3.73-3.75 (m, 5H); $^{13}C\{H\}$ NMR (125 MHz, $CDCl_3$): 172.1, 171.8, 166.1, 149.6, 143.5, 135.7, 133.1, 130.4 |  |

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| <p>(2C), 129.2 (2C), 129.0 (2C), 128.1, 126.7 (2C), 121.7, 119.1, 107.0, 98.5, 55.7, 52.7, 51.1, 39.8; HRMS (ESI) m/z: $[M+H]^+$ calcd for $C_{24}H_{25}ClN_3O_3$ 438.1584, found 438.1580.</p> | |
| <p>4-(((4-methoxybenzyl)amino)(1-(2,4,4-trimethylpentan-2-yl)-1H-tetrazol-5-yl)methyl) aniline (10a): Yellow oil, 78 mg, yield 92%; 1H NMR (500 MHz, $CDCl_3$): 7.24 (d, 2H, $J = 8.3$ Hz), 7.10 (d, 2H, $J = 8.2$ Hz), 6.85 (d, 2H, $J = 8.3$ Hz), 6.63 (d, 2H, $J = 8.2$ Hz), 5.14 (s, 1H), 3.79 (s, 3H), 3.68 (d, 2H, $J = 5.5$ Hz), 3.30 (br s, 2H), 1.79 (d, 1H, $J = 15.0$ Hz), 1.72 (d, 1H, $J = 15.1$ Hz), 1.62 (s, 3H), 1.59 (s, 3H), 0.61 (s, 9H); $^{13}C\{H\}$ NMR (125 MHz, $CDCl_3$): 159.0, 156.1, 146.7, 131.2, 129.7 (4C), 128.1, 115.4 (2C), 114.4, 114.0 (2C), 65.1, 56.9, 55.4, 53.5, 50.4, 31.5, 30.6 (3C), 30.5, 30.0; HRMS (ESI) m/z: $[M+H]^+$ calcd for $C_{24}H_{36}N_6O$ 423.2872, found 423.2868.</p> |  |
| <p>2-((1-(tert-butyl)-1H-tetrazol-5-yl)(isopropylamino)methyl)-4-chloroaniline (11a): Light yellow solid, 60 mg, yield 94%; 1H NMR (500 MHz, $CDCl_3$): 7.05 (dd, 1H, $J_1 = 8.4$ Hz, $J_2 = 2.5$ Hz), 6.68 (d, 1H, $J = 8.4$ Hz), 6.21 (d, 1H, $J = 2.6$ Hz), 5.45 (s, 1H), 2.62-2.70 (m, 1H), 1.59 (s, 9H), 1.13 (d, 3H, $J = 6.1$ Hz), 1.07 (d, 3H, $J = 6.2$ Hz); $^{13}C\{H\}$ NMR (125 MHz, $CDCl_3$): 154.7, 144.2, 129.1, 127.4, 126.2, 123.5, 118.4, 61.7, 52.8, 46.7, 30.0 (3C), 23.8, 21.6; HRMS (ESI) m/z: $[M+H]^+$ calcd for $C_{15}H_{24}ClN_6$ 323.1751, found 323.1745.</p> |  |
| <p>Methyl 2-(5-(((3-aminophenyl)(p-tolylamino)methyl)-1H-tetrazol-1-yl)acetate (12a): Yellow oil, 62 mg, yield 90%; 1H NMR (500 MHz, $CDCl_3$): 7.10 (t, 1H, $J = 7.7$ Hz), 6.95 (d, 2H, $J = 7.5$ Hz), 6.67 (d, 1H, $J = 7.5$ Hz), 6.60 (d, 2H, $J = 11.0$ Hz), 6.54 (d, 2H, $J = 8.1$ Hz), 5.84 (s, 1H), 5.12 (d, 1H, $J = 17.6$ Hz), 5.03 (d, 1H, $J = 17.6$ Hz), 3.56 (s, 3H), 2.20 (s, 3H); $^{13}C\{H\}$ NMR (125 MHz, $CDCl_3$): 166.0, 156.2, 147.4, 143.6, 138.0, 130.3, 129.9, 128.8, 117.0, 115.7, 114.2, 113.5, 54.5, 53.1, 48.7, 20.5; HRMS (ESI) m/z: $[M+H]^+$ calcd for $C_{18}H_{21}N_6O_2$ 353.1726, found 353.1719.</p> |  |
| <p>Methyl 2-(((2-amino-5-chlorophenyl)(1-(tert-butyl)-1H-tetrazol-5-yl)methyl)amino)-2-methylpropanoate (13a): Light yellow solid, 71 mg, yield 92%; 1H NMR (500 MHz, $CDCl_3$): 7.35 (d, 1H, $J = 8.5$ Hz), 7.24 (d, 1H, $J = 8.6$ Hz), 6.41 (s, 1H), 5.60 (s, 1H), 3.58 (s, 3H), 1.58 (s, 9H), 1.44 (s, 3H), 1.20 (s, 3H); $^{13}C\{H\}$ NMR (125 MHz, $CDCl_3$): 176.2, 155.3, 146.5, 129.4, 127.3, 126.6, 126.4, 116.1, 61.9, 58.5, 52.5, 49.6, 29.9 (3C), 26.14, 26.08; HRMS (ESI) m/z: $[M+Na]^+$ calcd for $C_{17}H_{25}ClN_6O_2Na$ 403.1620, found 403.1624.</p> |  |
| <p>Methyl 3-(((4-aminophenyl)(1-(tert-butyl)-1H-tetrazol-5-yl)methyl)amino)propanoate (14a): Light yellow solid, 59 mg, yield 90%; 1H NMR (500 MHz, $CDCl_3$): 6.98 (d, 2H, $J = 8.2$ Hz), 6.56 (d, 2H, $J = 8.3$ Hz), 5.17 (s, 1H), 3.60 (s, 3H), 2.71-2.81 (m, 2H), 2.45 (t, 2H, $J = 6.7$ Hz), 1.57 (s, 9H); $^{13}C\{H\}$ NMR (125 MHz, $CDCl_3$): 172.8, 155.9, 146.7, 129.1 (2C), 127.9, 115.2 (2C), 61.3, 58.6, 51.6, 43.1, 34.8, 29.9 (3C); HRMS (ESI) m/z: $[M+Na]^+$ calcd for $C_{16}H_{24}N_6O_2Na$ 355.1853, found 355.1852.</p> |  |

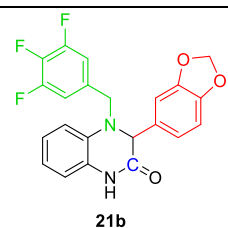
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|---|---|
| <p>Methyl 2-(((4-aminophenyl)(1-(tert-butyl)-1H-tetrazol-5-yl)methyl)amino)-3-methylbutanoate (15a): Light yellow solid, 67 mg, yield 93%; Mainly the one diastereomer in ratio <i>d.r.</i> =99/1; ¹H NMR (500 MHz, CDCl₃): 7.11 (d, 2H, <i>J</i> = 8.3 Hz), 6.62 (d, 2H, <i>J</i> = 8.2 Hz), 5.25 (s, 1H), 3.66 (s, 3H), 2.97 (d, 1H, <i>J</i> = 5.9 Hz), 1.91-1.98 (m, 1H), 1.63 (s, 9H), 0.91 (d, 3H, <i>J</i> = 6.8 Hz), 0.87 (d, 3H, <i>J</i> = 6.8 Hz); ¹³C{H} NMR (125 MHz, CDCl₃): 174.5, 156.2, 146.6, 129.9 (2C), 127.6, 115.3 (2C), 64.58, 61.41, 56.93, 51.71, 31.6, 30.1 (3C), 19.3, 18.6; HRMS (ESI) <i>m/z</i>: [M+Na]⁺ calcd for C₁₈H₂₈N₆O₂Na 383.2166, found 383.2166.</p> |  <p>15a (<i>d.r.</i> 99:1)</p> |
| <p>Methyl 2-(2-(((2-aminophenyl)(4-chlorobenzyl)amino)acetamido)acetate (16a): Pale red oil, 66 mg, yield 95%; ¹H NMR (500 MHz, CDCl₃): 7.24 (d, 2H, <i>J</i> = 8.2 Hz), 7.11 (d, 2H, <i>J</i> = 8.1 Hz), 6.96 (t, 1H, <i>J</i> = 7.3 Hz), 6.92 (d, 1H, <i>J</i> = 7.8 Hz), 6.68-6.73 (m, 2H), 4.08 (s, 2H), 3.98 (d, 2H, <i>J</i> = 5.2 Hz), 3.73 (s, 3H), 3.67 (s, 2H); ¹³C{H} NMR (125 MHz, CDCl₃): 170.49, 170.47, 141.9, 135.9, 135.1, 133.6, 130.7 (2C), 128.7 (2C), 126.2, 123.0, 119.1, 116.2, 58.1, 56.9, 52.5, 41.0; HRMS (ESI) <i>m/z</i>: [M+H]⁺ calcd for C₁₈H₂₁ClN₃O₃ 362.1271, found 362.1268.</p> |  <p>16a</p> |
| <p>Methyl 2-(2-(((2-aminophenyl)(4-methoxybenzyl)amino)acetamido)acetate (17a): Pale red oil, 68 mg, yield 96%; ¹H NMR (500 MHz, CDCl₃): 7.31 (br t, 1H), 7.10 (d, 2H, <i>J</i> = 8.2 Hz), 6.94- 6.97 (m, 2H), 6.81 (d, 2H, <i>J</i> = 8.1 Hz), 6.70- 6.74 (m, 2H), 4.02 (s, 2H), 3.96 (d, 2H, <i>J</i> = 5.1 Hz), 3.78 (s, 3H), 3.73 (s, 3H), 3.69 (s, 2H); ¹³C{H} NMR (125 MHz, CDCl₃): 170.7, 170.5, 159.2, 142.1, 136.5, 130.7 (2C), 128.8, 126.1, 123.2, 119.1, 116.1, 113.9 (2C), 58.8, 56.9, 55.4, 52.5, 41.1; HRMS (ESI) <i>m/z</i>: [M+H]⁺ calcd for C₁₉H₂₄N₃O₄ 358.1767, found 358.0937.</p> |  <p>17a</p> |
| <p>Methyl 2-(2-(((2-aminophenyl)(butyl)amino)acetamido)acetate (18a): Pale red oil, 55 mg, yield 93%; ¹H NMR (500 MHz, CDCl₃): 7.44 (br s, 1H), 7.06 (d, 1H, <i>J</i> = 8.2 Hz), 6.96 (t, 1H, <i>J</i> = 7.6 Hz), 6.71- 6.75 (m, 2H), 4.06 (d, 2H, <i>J</i> = 5.3 Hz), 3.74 (s, 2H), 3.65 (s, 3H), 2.95 (t, 2H, <i>J</i> = 7.7 Hz), 1.42-1.47 (m, 2H), 1.27-1.37 (m, 2H), 0.87 (t, 3H, <i>J</i> = 7.3 Hz); ¹³C{H} NMR (125 MHz, CDCl₃): 171.2, 170.6, 142.4, 136.6, 125.9, 122.7, 119.0, 116.1, 58.5, 55.1, 52.4, 41.0, 29.5, 20.5, 14.1; HRMS (ESI) <i>m/z</i>: [M+Na]⁺ calcd for C₁₅H₂₄N₃O₃Na 316.1632, found 316.1615.</p> |  <p>18a</p> |
| <p>Methyl 2-(2-(((2-aminophenyl)(cyclohexyl)amino)acetamido)acetate (19a): Pale red oil, 60 mg, yield 95%; ¹H NMR (500 MHz, CDCl₃): 7.53 (br s, 1H), 7.04 (d, 1H, <i>J</i> = 7.8 Hz), 6.96 (t, 1H, <i>J</i> = 7.6 Hz), 6.71- 6.76 (m, 2H), 3.97 (d, 2H, <i>J</i> = 5.1 Hz), 3.78 (s, 2H), 3.70 (s, 3H), 2.73-2.78 (m, 1H), 1.90 (d, 2H, <i>J</i> = 11.4 Hz), 1.77 (d, 2H, <i>J</i> = 12.9 Hz), 1.60 (d, 1H, <i>J</i> = 12.6 Hz), 1.15-1.35 (m, 4H), 1.04-1.09 (m, 1H); ¹³C{H} NMR (125 MHz, CDCl₃): 172.0, 170.5, 142.9, 136.1, 125.9, 125.0, 118.9, 116.2, 62.4, 53.1, 52.4, 41.1, 30.2 (2C), 25.9 (3C); HRMS (ESI) <i>m/z</i>: [M+Na]⁺ calcd for C₁₇H₂₆N₃O₃Na 342.1788, found 342.1771.</p> |  <p>19a</p> |
| <p>Methyl 2-(2-(((2-aminophenyl)(cyclopentyl)amino)acetamido)acetate (20a): Pale red oil, 57 mg, yield 94%; ¹H NMR (500 MHz, CDCl₃): 7.57 (br s, 1H), 7.10 (d, 1H, <i>J</i> = 8.1 Hz), 6.97 (t, 1H, <i>J</i> = 7.5 Hz), 6.73- 6.74 (m, 2H), 3.98 (d, 2H, <i>J</i> = 5.2 Hz), 3.75 (s, 2H), 3.71 (s, 3H), 3.43-3.47 (m, 1H), 1.73-1.77 (m, 2H), 1.62-1.65 (m, 2H), 1.45-1.53 (m, 4H); ¹³C{H} NMR (125 MHz, CDCl₃): 171.7, 170.5,</p> |  <p>20a Exact Mass: 305.17</p> |

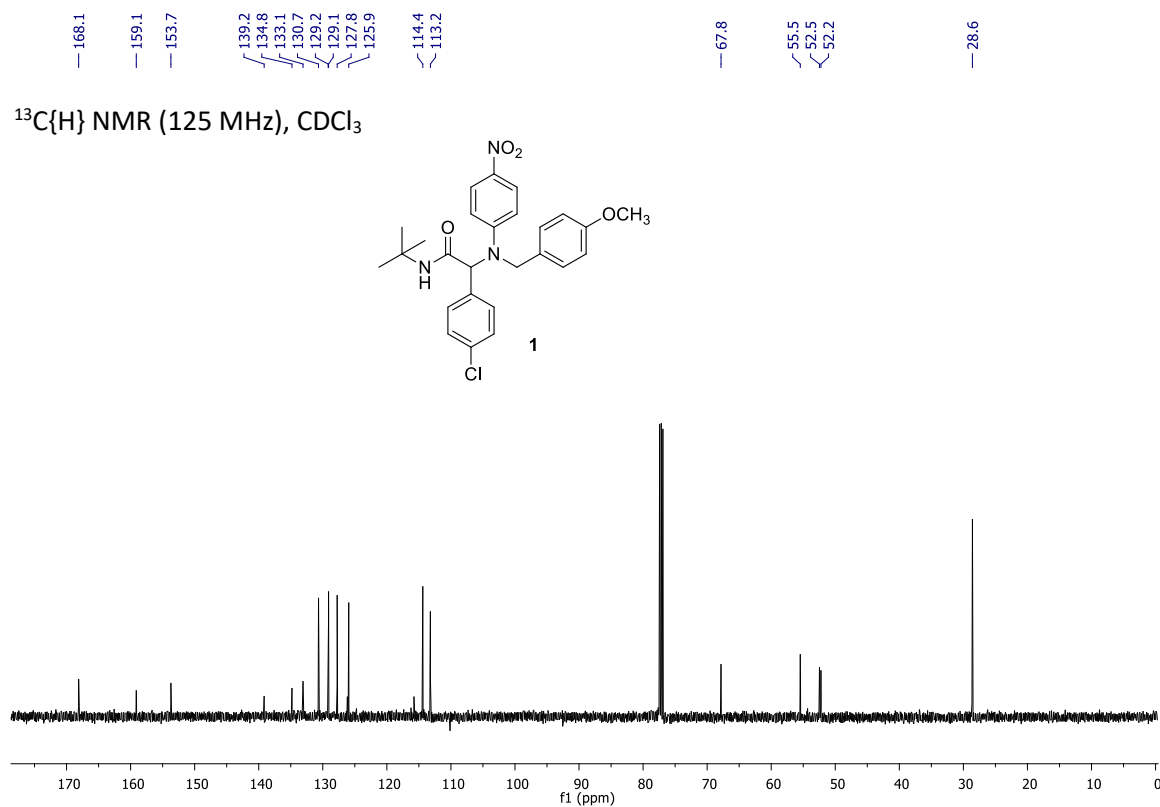
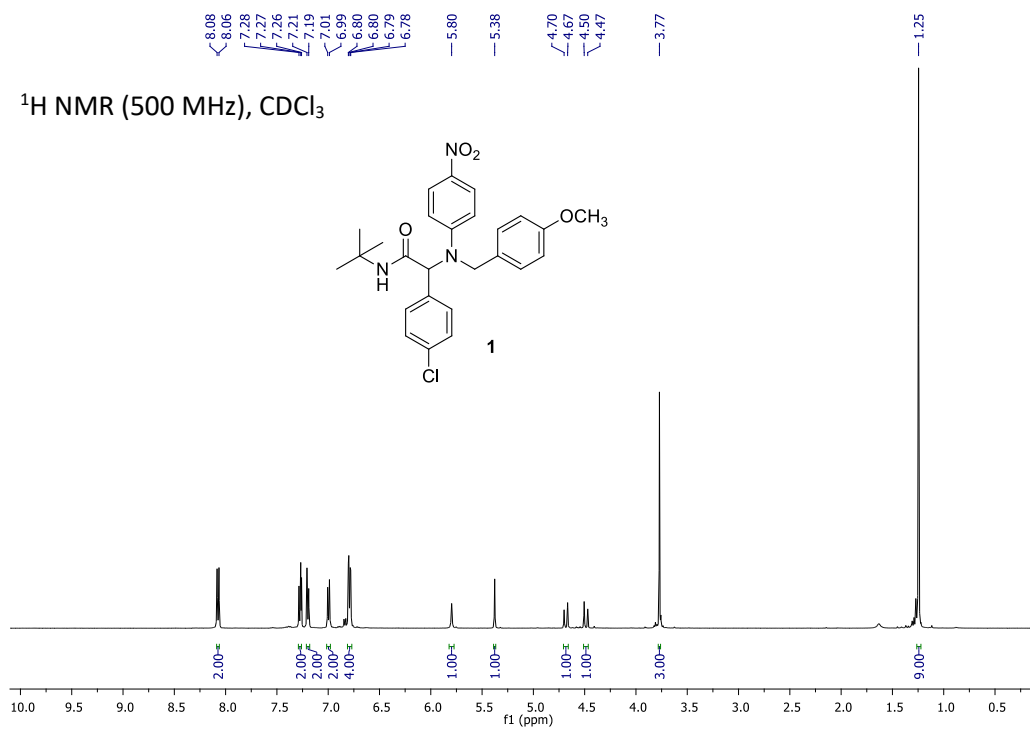
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| <p>143.3, 137.2, 126.3, 124.9, 119.3, 116.1, 66.3, 56.4, 52.4, 41.1, 29.8 (2C), 23.8 (2C); HRMS (ESI) m/z: $[M+Na]^+$ calcd for $C_{16}H_{24}N_3O_3$ 328.1632, found 328.1614.</p> | |
| <p><i>Methyl</i> 2-((2-((2-aminophenyl)(3,4,5-trifluorobenzyl)amino)-2-(benzo[d][1,3]dioxol-5-yl)acetamido)acetate (21a): Pale red oil, 90 mg, yield 90%; 1H NMR (500 MHz, $CDCl_3$): 6.89-6.93 (m, 2H), 6.84 (d, 1H, $J = 7.8$ Hz), 6.75-6.79 (m, 3H), 6.65-6.70 (m, 3H), 6.60 (t, 1H, $J = 7.5$ Hz), 5.97 (s, 2H), 4.74 (s, 1H), 4.16 (d, 1H, $J = 13.6$ Hz), 3.93-4.00 (m, 2H), 3.87 (d, 1H, $J = 13.7$ Hz), 3.71 (s, 3H); $^{13}C\{H\}$ NMR (125 MHz, $CDCl_3$): 171.4, 170.3, 151.8 (ddd, $J_1 = 250.0$ Hz, $J_2 = 10.2$ Hz, $J_3 = 2.8$ Hz), 148.3, 148.1, 142.9, 140.0 (dt, $J_1 = 250.2$ Hz, $J_2 = 14.5$ Hz), 134.0 (dd, $J_1 = 17.2$ Hz, $J_2 = 5.6$ Hz), 133.5, 130.5, 129.5, 126.4, 124.4, 119.7, 113.5 (dd, $J_1 = 16.7$ Hz, $J_2 = 4.5$ Hz), 109.1, 108.5, 101.4, 70.8, 66.2, 52.6, 41.3; HRMS (ESI) m/z: $[M+Na]^+$ calcd for $C_{25}H_{23}F_3N_3O_5Na$ 524.1404, found 524.1374.</p> |  <p style="text-align: right;">21a</p> |

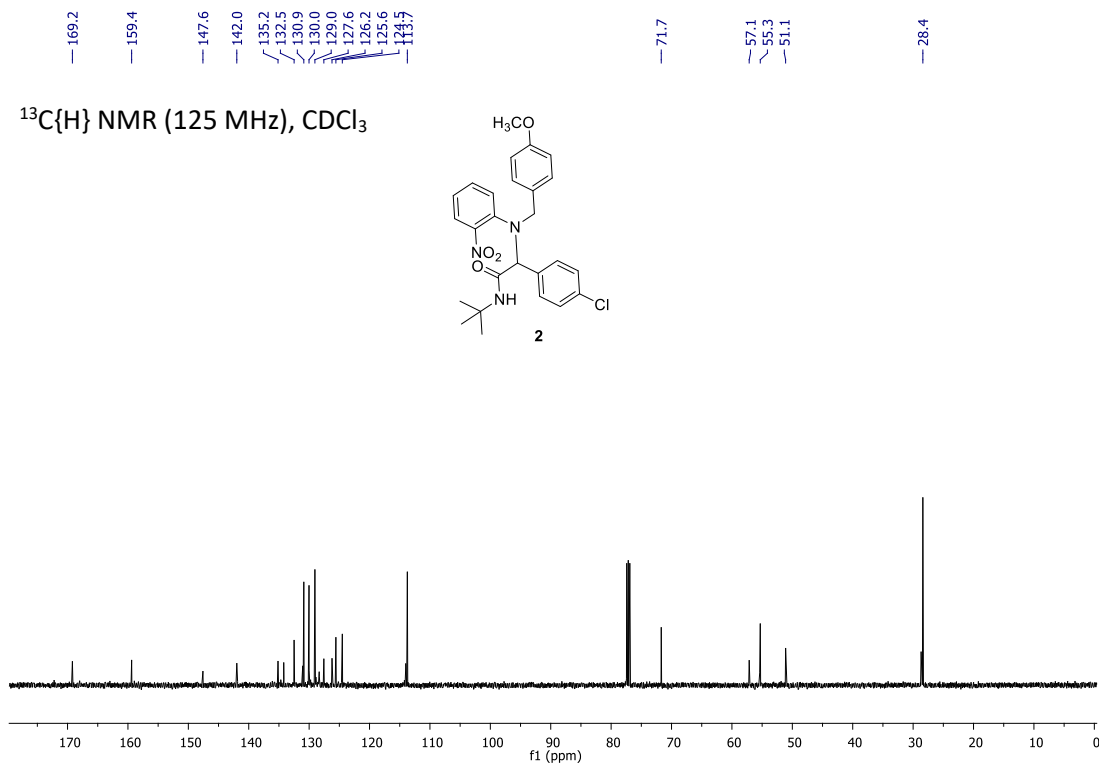
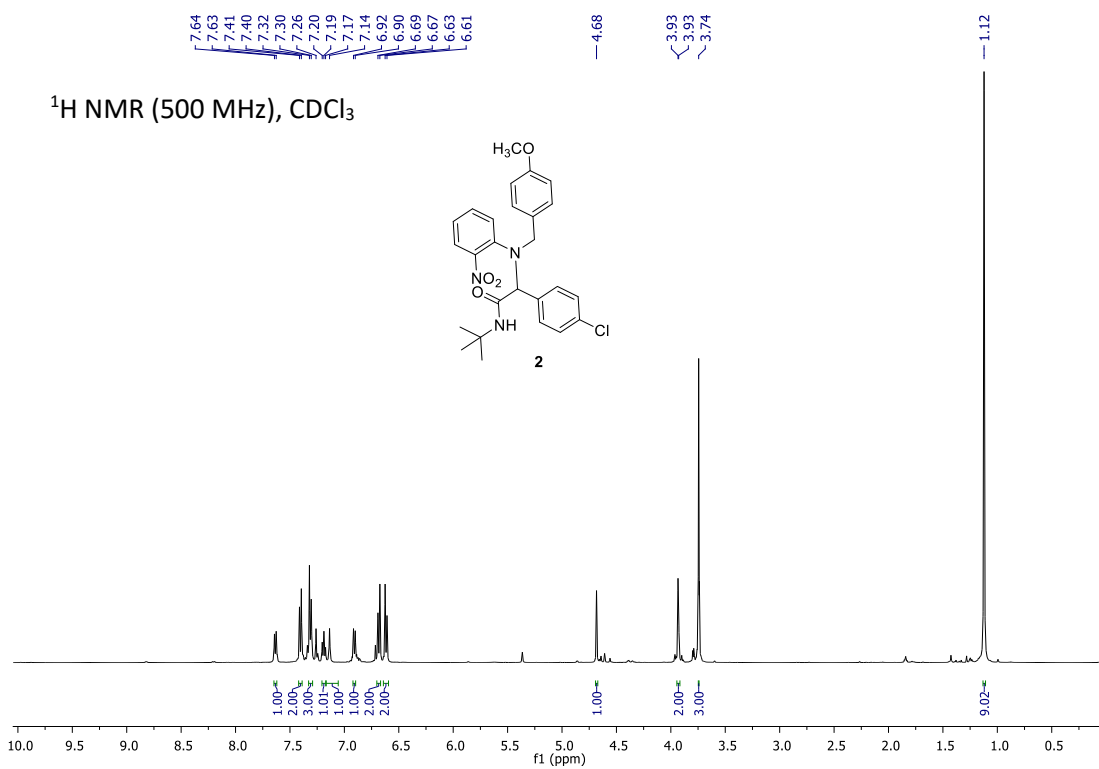
¹H and ¹³C NMR data for dihydroquinoxalin-2-ones 16b-21b

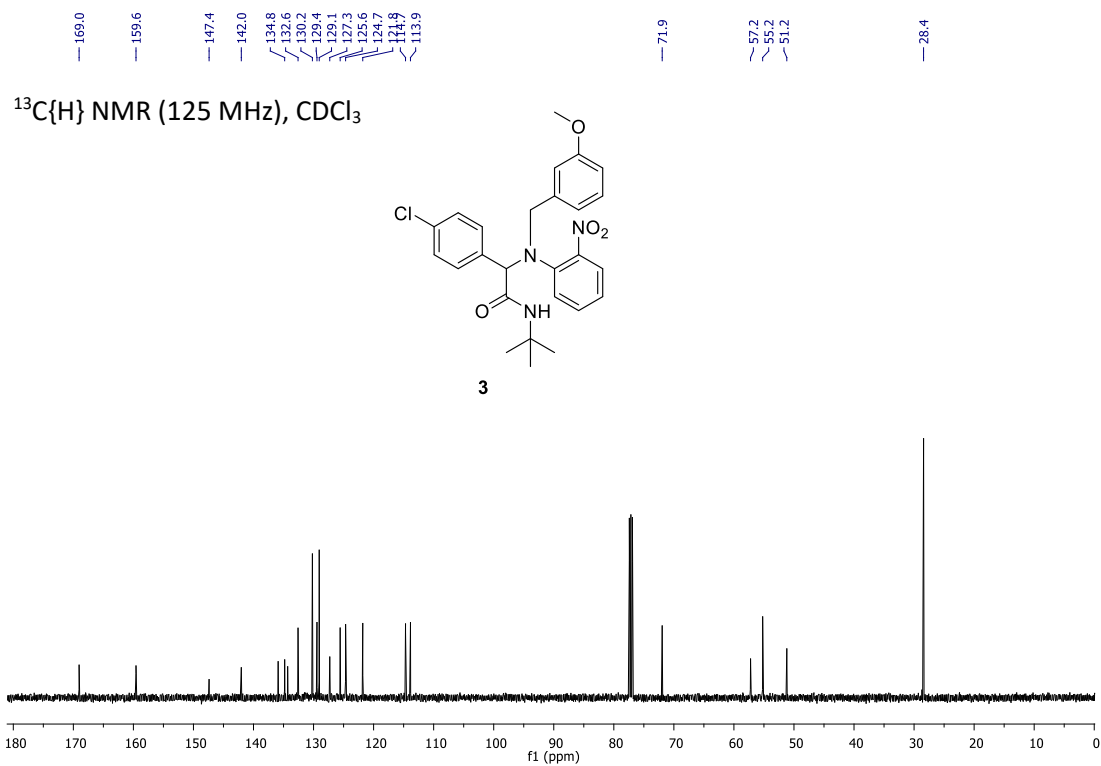
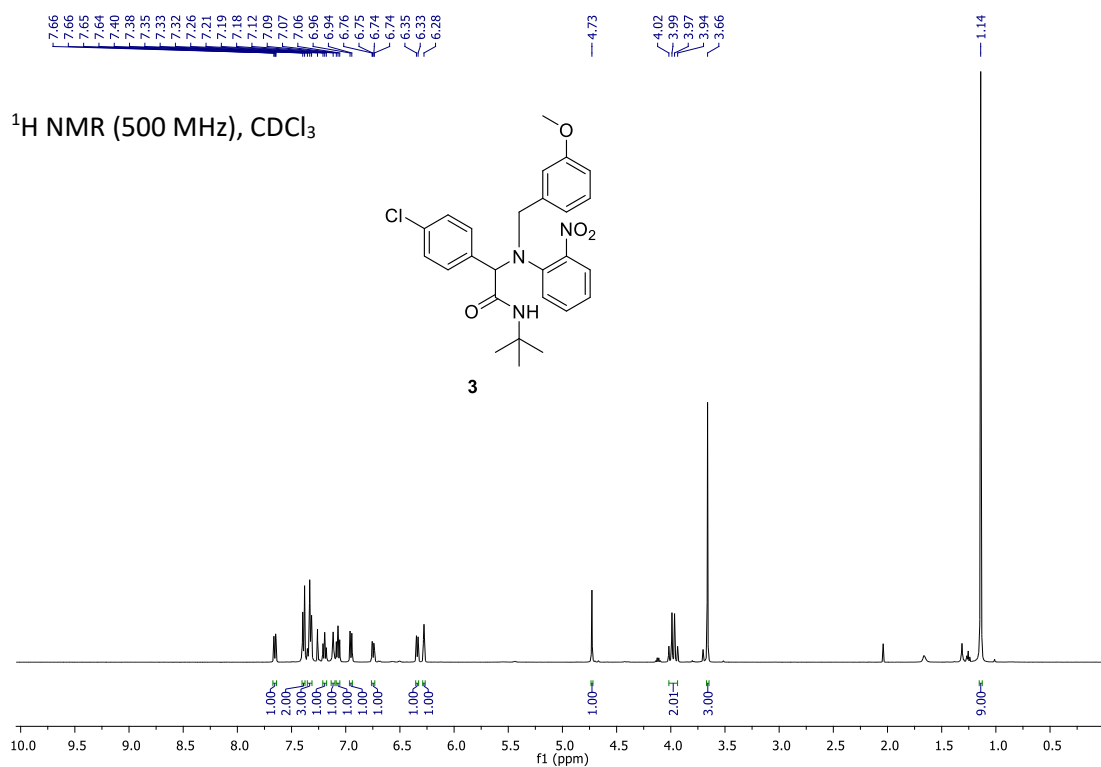
| | |
|---|---|
| <p>4-(4-chlorobenzyl)-3,4-dihydroquinoxalin-2(1H)-one (16b): Yellow oil, 48 mg, yield 89%; ¹H NMR (500 MHz, CDCl₃): 8.57 (br s, 1H), 7.32 (d, 2H, <i>J</i> = 8.3 Hz), 7.25 (d, 2H, <i>J</i> = 8.3 Hz), 6.92-6.95 (m, 1H), 6.79 (d, 2H, <i>J</i> = 4.1 Hz), 6.70 (d, 1H, <i>J</i> = 8.0 Hz), 4.37 (s, 2H), 3.80 (s, 2H); ¹³C{H} NMR (125 MHz, CDCl₃): 166.9, 135.1, 135.0, 133.5, 129.2 (2C), 129.0 (2C), 126.3, 124.4, 119.5, 115.8, 112.5, 53.2, 52.5; HRMS (ESI) <i>m/z</i>: [M+H]⁺ calcd for C₁₅H₁₄ClN₂O 273.0795, found 273.0788.</p> |  <p style="text-align: center;">16b</p> |
| <p>4-(4-methoxybenzyl)-3,4-dihydroquinoxalin-2(1H)-one (17b): Yellow oil, 48 mg, yield 90%; ¹H NMR (500 MHz, CDCl₃): 9.11 (br s, 1H), 7.23 (d, 2H, <i>J</i> = 8.2 Hz), 6.95 (t, 1H, <i>J</i> = 7.6 Hz), 6.88 (d, 2H, <i>J</i> = 8.2 Hz), 6.74-6.80 (m, 3H), 4.34 (s, 2H), 3.80 (s, 3H), 3.76 (s, 2H); ¹³C{H} NMR (125 MHz, CDCl₃): 167.5, 159.2, 135.5, 129.1 (2C), 128.2, 126.3, 124.3, 119.1, 115.8, 114.3 (2C), 112.3, 55.4, 53.0, 51.9; HRMS (ESI) <i>m/z</i>: [M+H]⁺ calcd for C₁₆H₁₇N₂O₂ 269.1290, found 269.1284.</p> |  <p style="text-align: center;">17b</p> |
| <p>4-butyl-3,4-dihydroquinoxalin-2(1H)-one (18b): Yellow oil, 35 mg, yield 85%; ¹H NMR (500 MHz, CDCl₃): 9.18 (br s, 1H), 6.97 (t, 1H, <i>J</i> = 7.5 Hz), 6.78 (d, 1H, <i>J</i> = 7.5 Hz), 6.72 (t, 1H, <i>J</i> = 7.5 Hz), 6.69 (d, 1H, <i>J</i> = 8.2 Hz), 3.86 (s, 2H), 3.22 (t, 2H, <i>J</i> = 7.4 Hz), 1.59-1.65 (m, 2H), 1.37-1.45 (m, 2H), 0.98 (t, 3H, <i>J</i> = 7.4 Hz); ¹³C{H} NMR (125 MHz, CDCl₃): 167.4, 135.2, 126.2, 124.3, 118.4, 115.8, 111.7, 52.3, 49.5, 27.3, 20.4, 14.0; HRMS (ESI) <i>m/z</i>: [M+Na]⁺ calcd for C₁₂H₁₆N₂ONa 227.1155, found 227.1147.</p> |  <p style="text-align: center;">18b</p> |
| <p>4-cyclohexyl-3,4-dihydroquinoxalin-2(1H)-one (19b): Yellow oil, 41 mg, yield 91%; ¹H NMR (500 MHz, CDCl₃): 7.37 (d, 1H, <i>J</i> = 7.4 Hz), 7.02 (t, 1H, <i>J</i> = 7.7 Hz), 6.84 (t, 1H, <i>J</i> = 7.3 Hz), 6.72 (d, 1H, <i>J</i> = 8.0 Hz), 3.89 (s, 2H), 3.46 (t, 1H, <i>J</i> = 9.4 Hz), 1.88 (d, 2H, <i>J</i> = 11.4 Hz), 1.82 (d, 2H, <i>J</i> = 10.2 Hz), 1.72 (d, 1H, <i>J</i> = 13.1 Hz), 1.35-1.47 (m, 4H), 1.11-1.18 (m, 1H); ¹³C{H} NMR (125 MHz, CDCl₃): 161.4, 135.3, 127.4, 124.9, 118.7, 113.8, 112.1, 56.3, 46.4, 28.8 (2C), 26.0 (2C), 25.9; HRMS (ESI) <i>m/z</i>: [M+H]⁺ calcd for C₁₄H₁₉N₂O 231.1497, found 231.1492.</p> |  <p style="text-align: center;">19b</p> |
| <p>4-cyclopentyl-3,4-dihydroquinoxalin-2(1H)-one (20b): Yellow oil, 38 mg, yield 88%; ¹H NMR (500 MHz, CDCl₃): 8.40 (br s, 1H), 6.98-7.01 (m, 1H), 6.83 (d, 1H, <i>J</i> = 8.0 Hz), 6.75-6.79 (m, 2H), 4.09-4.15 (m, 1H), 3.72 (s, 2H), 1.95-1.97 (m, 2H), 1.73-1.75 (m, 2H), 1.60-1.69 (m, 4H); ¹³C{H} NMR (125 MHz, CDCl₃): 168.1, 136.2, 127.1, 124.2, 119.2, 115.7, 113.5, 58.4, 46.7, 27.8 (2C), 24.8 (2C); HRMS (ESI) <i>m/z</i>: [M+H]⁺ calcd for C₁₃H₁₇N₂O 217.1341, found 217.1334.</p> |  <p style="text-align: center;">20b</p> |

3-(benzo[d][1,3]dioxol-5-yl)-4-(3,4,5-trifluorobenzyl)-3,4-dihydroquinoxalin-2(1H)-one (**21b**): Yellow oil, 69 mg, yield 84%; ^1H NMR (500 MHz, CDCl_3): 8.67 (br s, 1H), 6.89-6.96 (m, 3H), 6.80-6.81 (m, 2H), 6.71 (d, 1H, J = 7.6 Hz), 6.64-6.67 (m, 2H), 6.56 (d, 1H, J = 8.0 Hz), 5.91 (s, 2H), 4.86 (s, 1H), 4.51 (d, 1H, J = 16.0 Hz), 4.10 (d, 1H, J = 16.0 Hz); $^{13}\text{C}\{^1\text{H}\}$ NMR (125 MHz, CDCl_3): 166.5, 152.7 (ddd, J_1 = 251.0 Hz, J_2 = 10.0 Hz, J_3 = 3.9 Hz), 148.4, 148.2, 140.2 (dt, J_1 = 251.3 Hz, J_2 = 15.2 Hz), 133.3 (dd, J_1 = 6.4 Hz, J_2 = 2.3 Hz), 133.2, 130.5, 125.4, 124.8, 121.0, 119.8, 115.9, 112.5, 111.3 (dd, J_1 = 16.5 Hz, J_2 = 5.1 Hz), 108.7, 107.4, 101.4, 66.1, 51.2; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{22}\text{H}_{16}\text{F}_3\text{N}_2\text{O}_3$ 413.1113, found 413.1107.

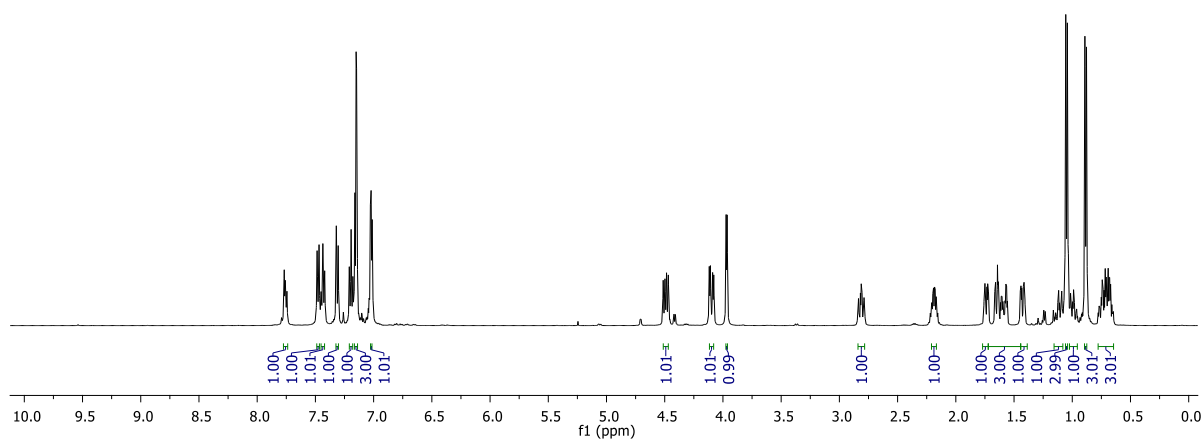


Copies of ^1H and ^{13}C NMR spectra of nitro compounds 1-21

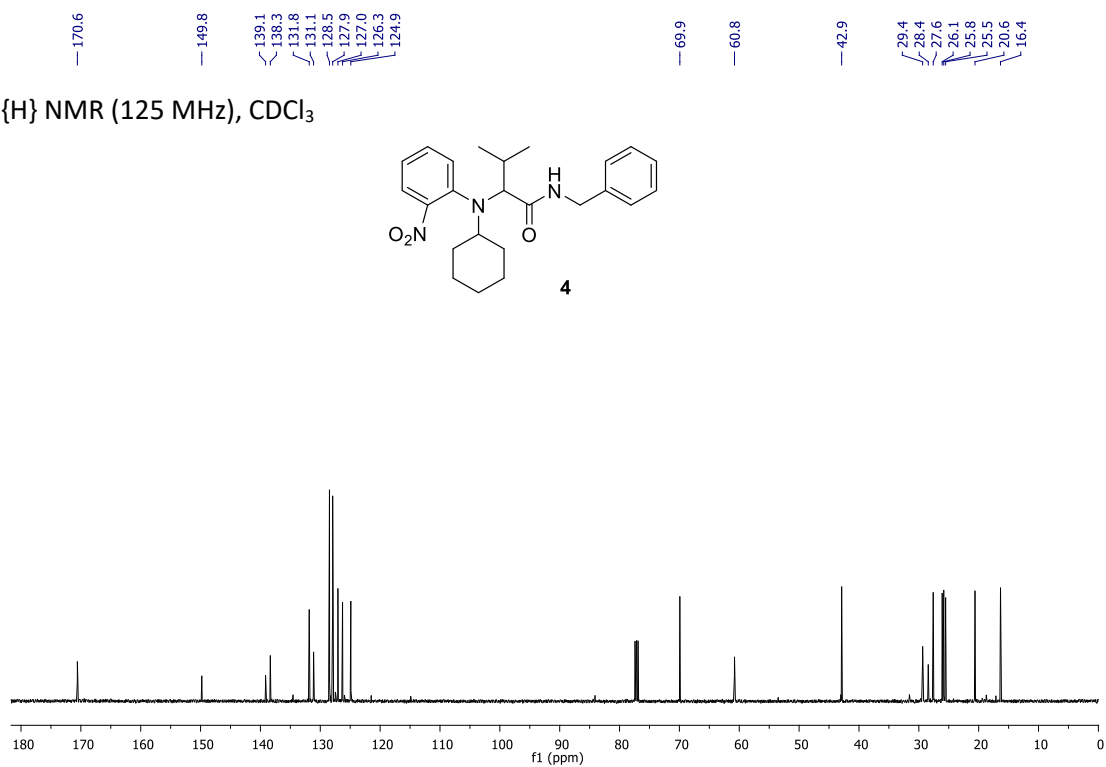




4

CC(C)(C1CCCCC1)N(c2ccccc2[N+](=O)[O-])C(=O)NCc3ccccc3

4

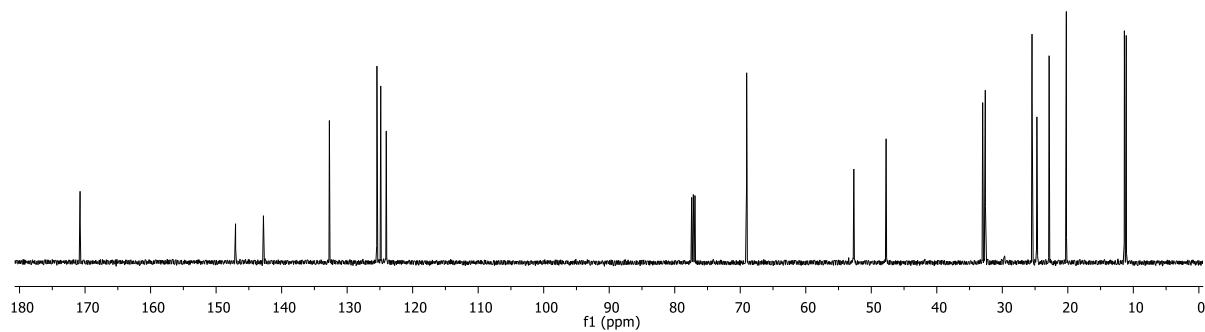


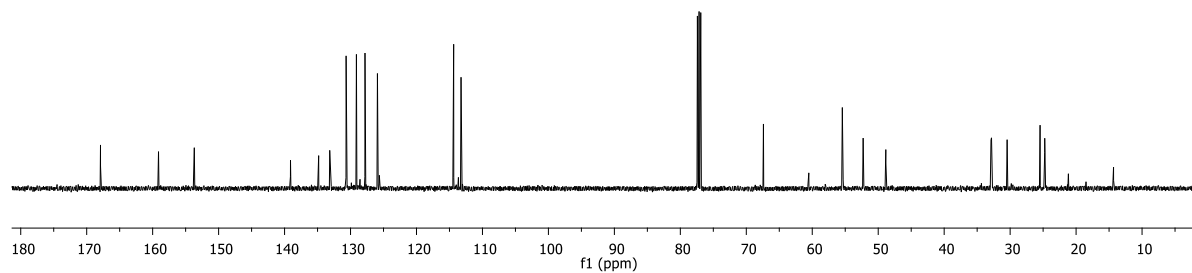
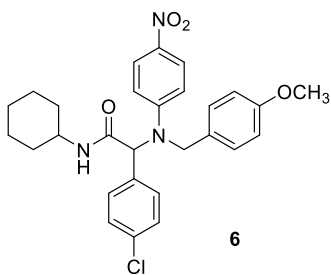
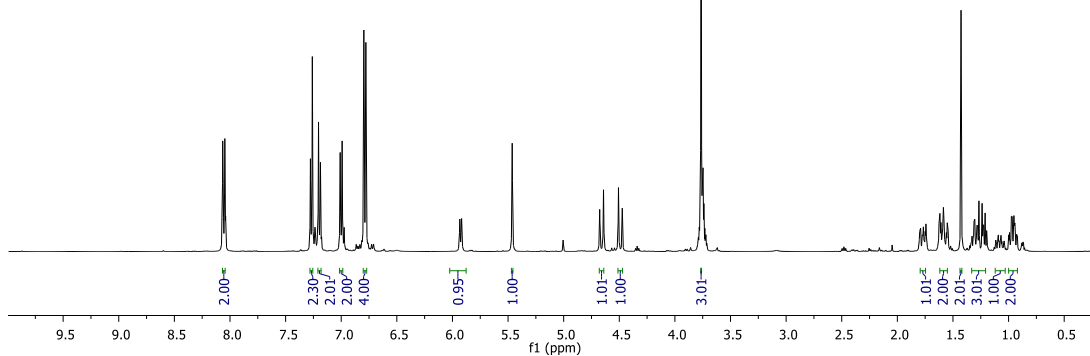
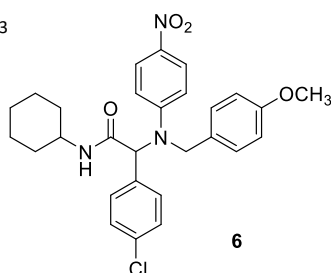
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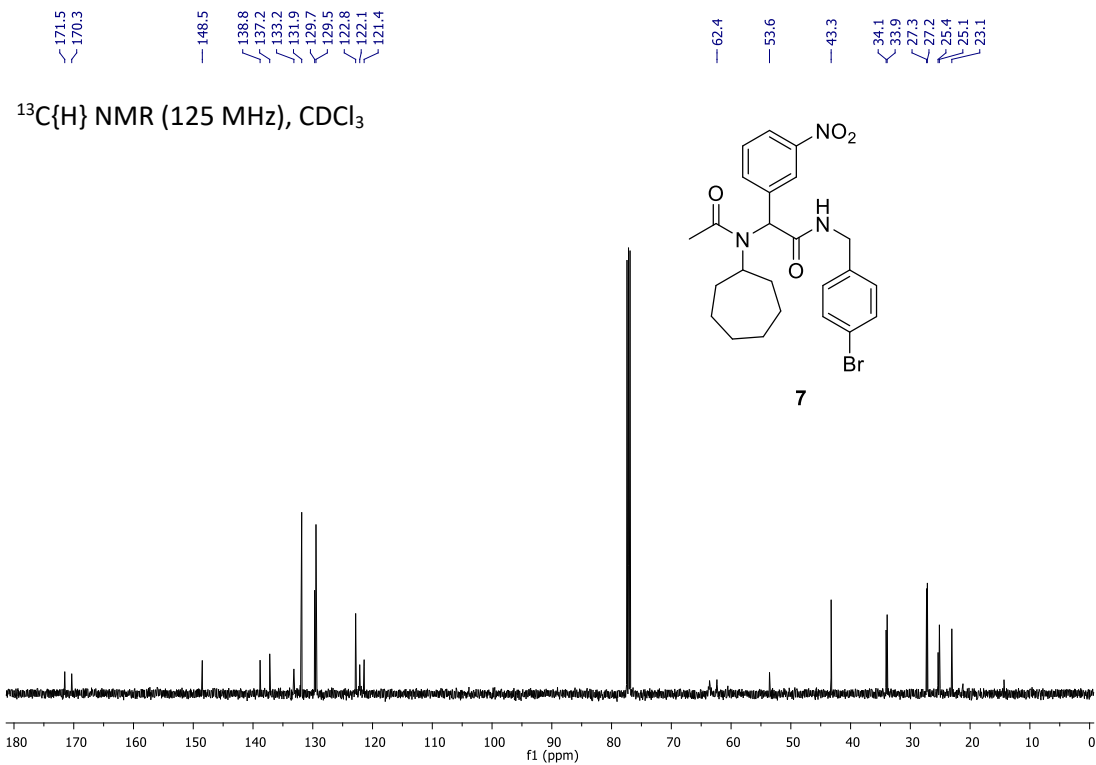
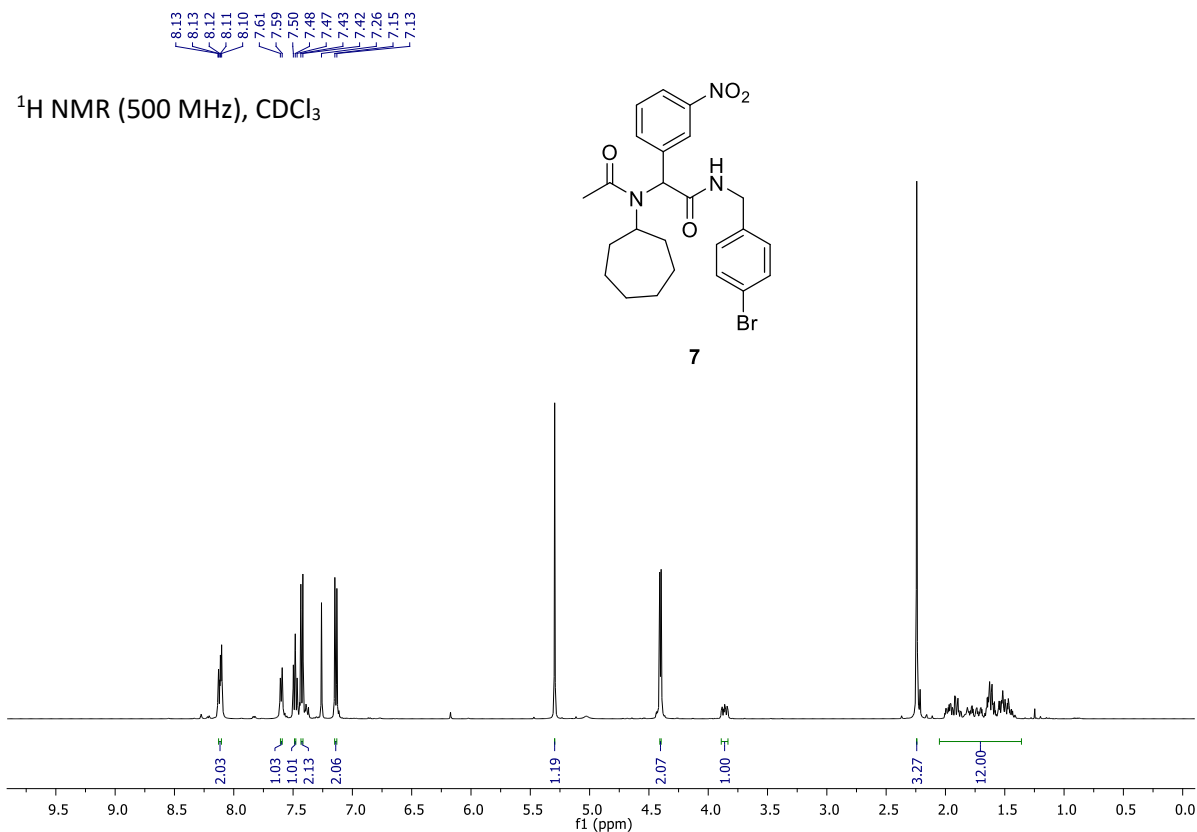
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|---------|---------|---------|--------|--------|--------|-------|-------|
| —170.76 | —147.03 | —132.71 | —69.02 | —52.67 | —47.74 | 33.00 | 11.37 |
| — | —142.78 | 125.45 | | | | 32.59 | 11.08 |
| | | 124.87 | | | | 25.46 | |
| | | 124.02 | | | | 24.72 | |
| | | | | | | 24.68 | |
| | | | | | | 22.86 | |
| | | | | | | 20.22 | |
| | | | | | | | |

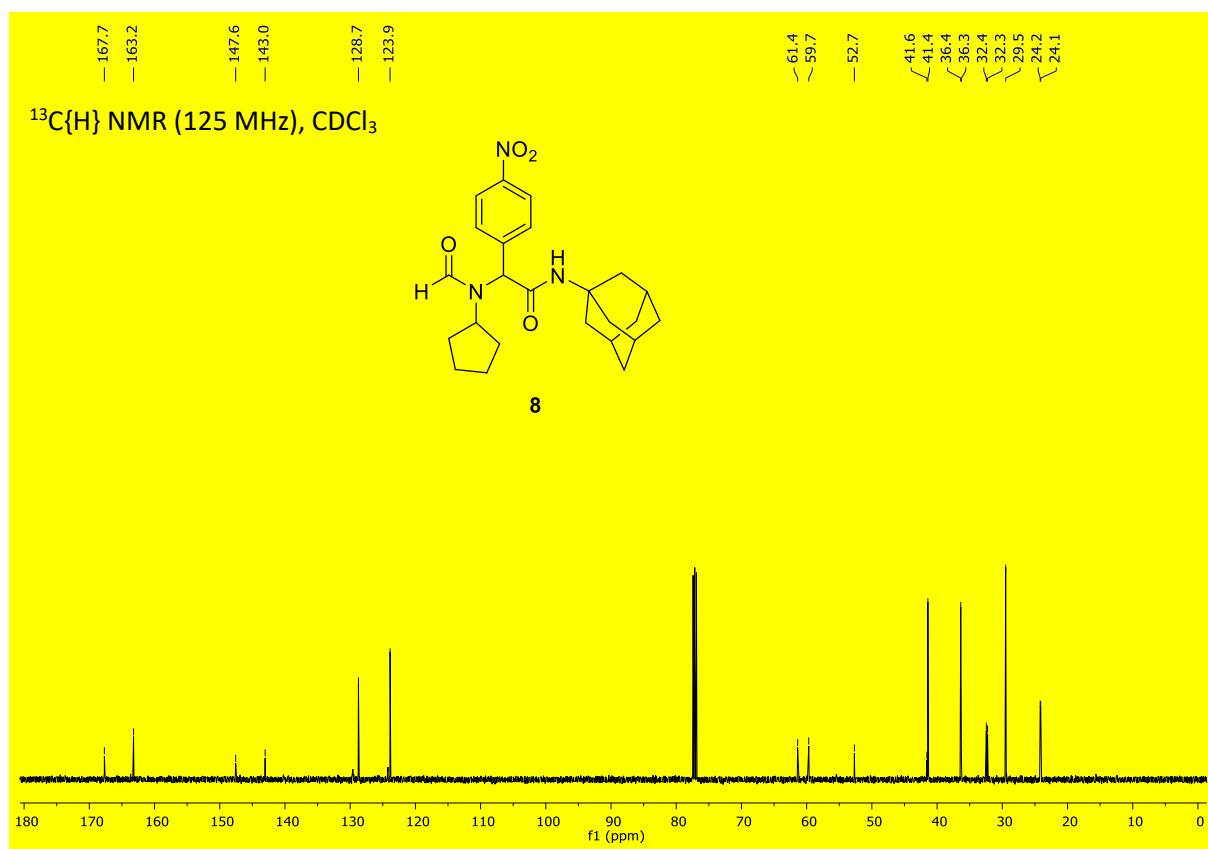
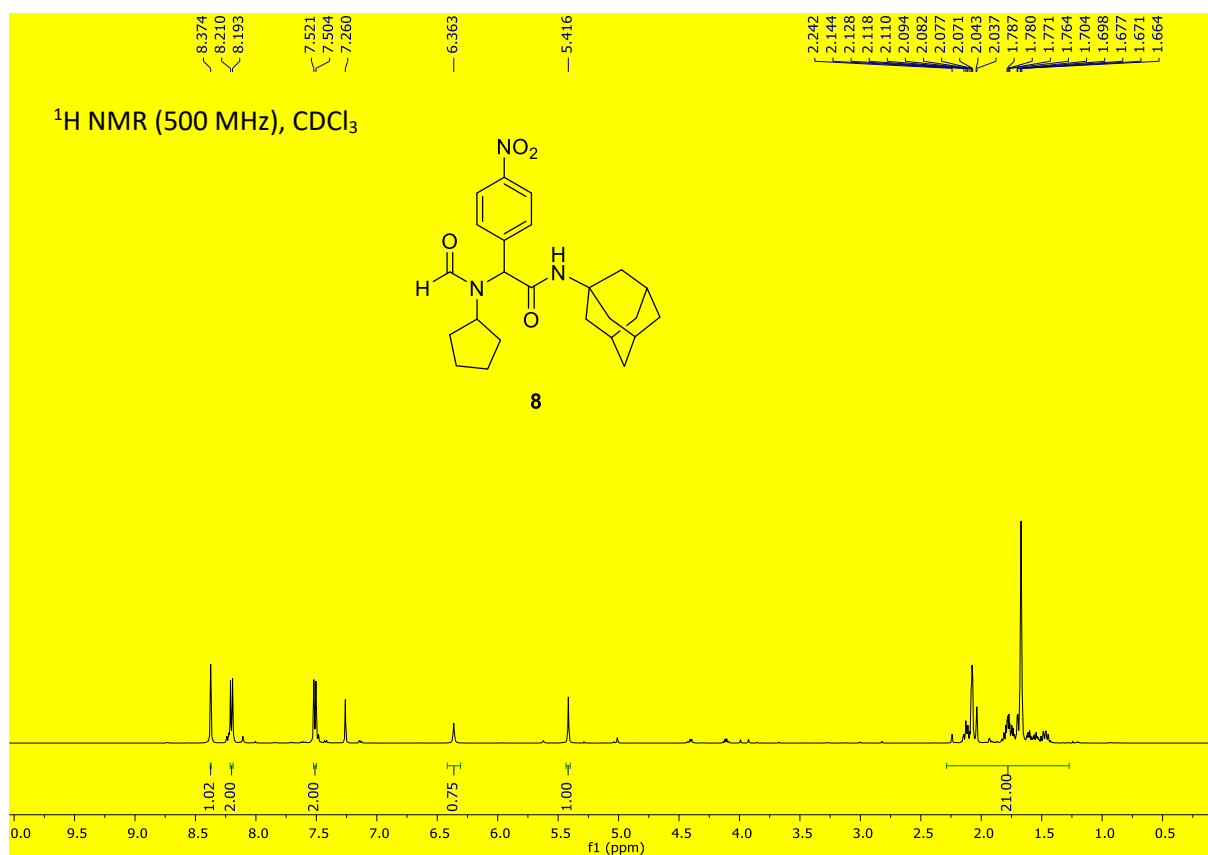
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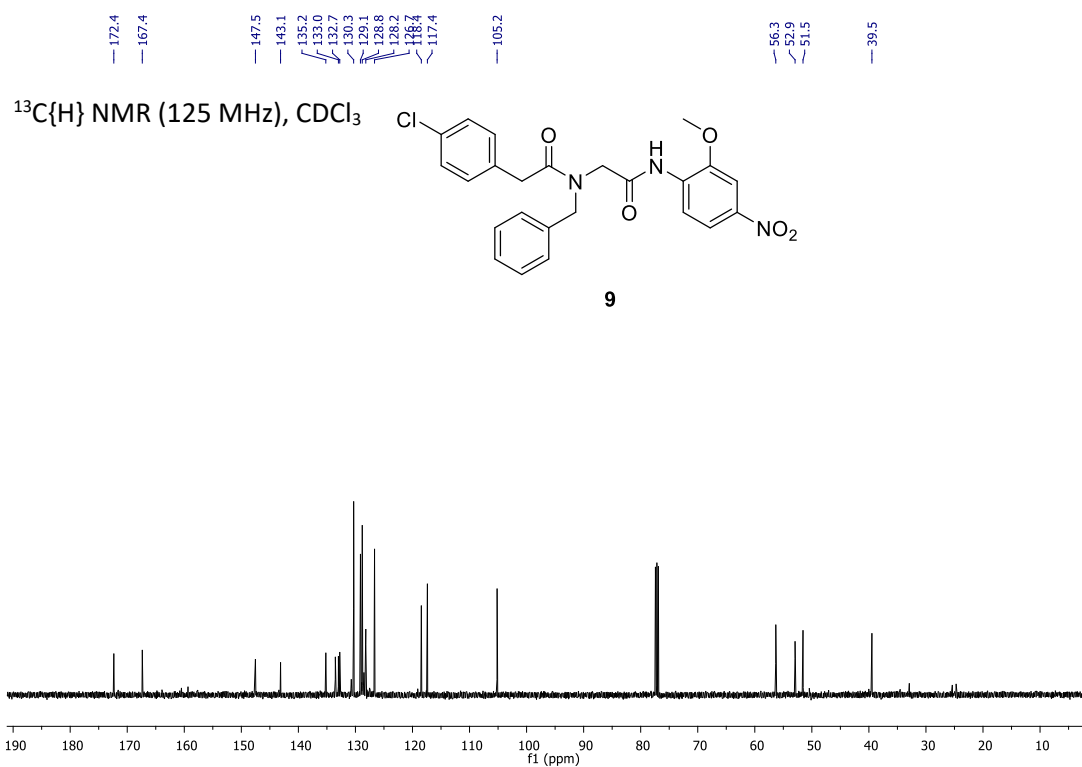
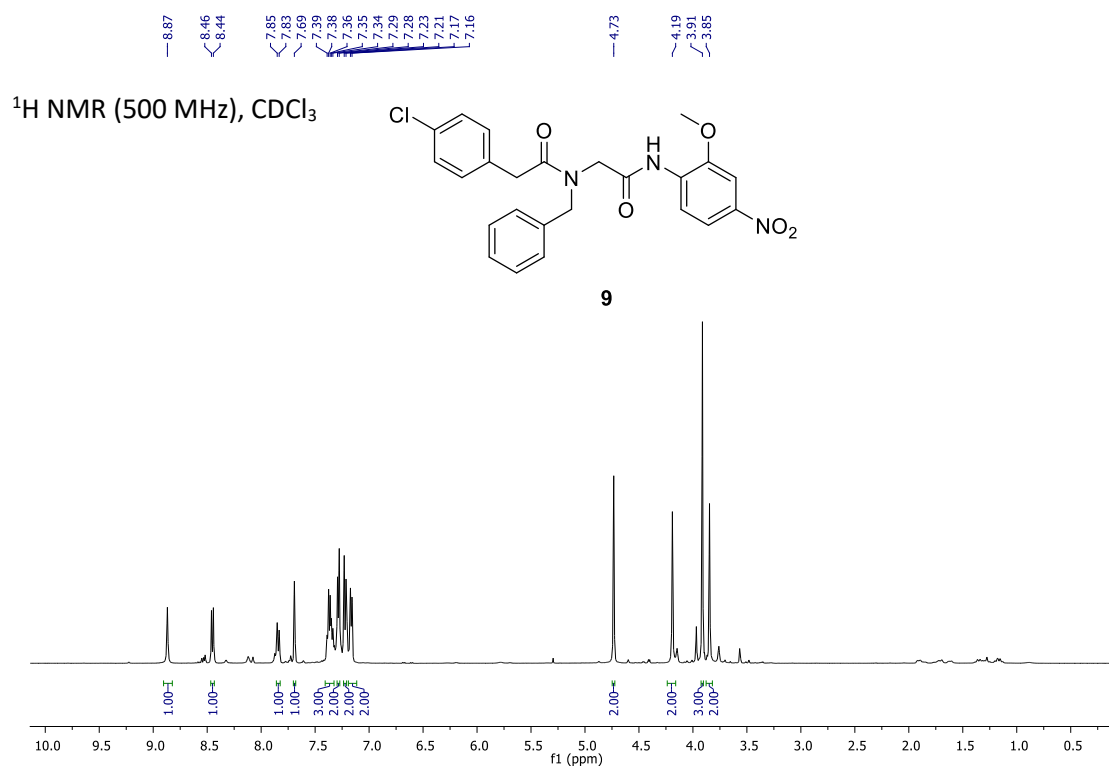
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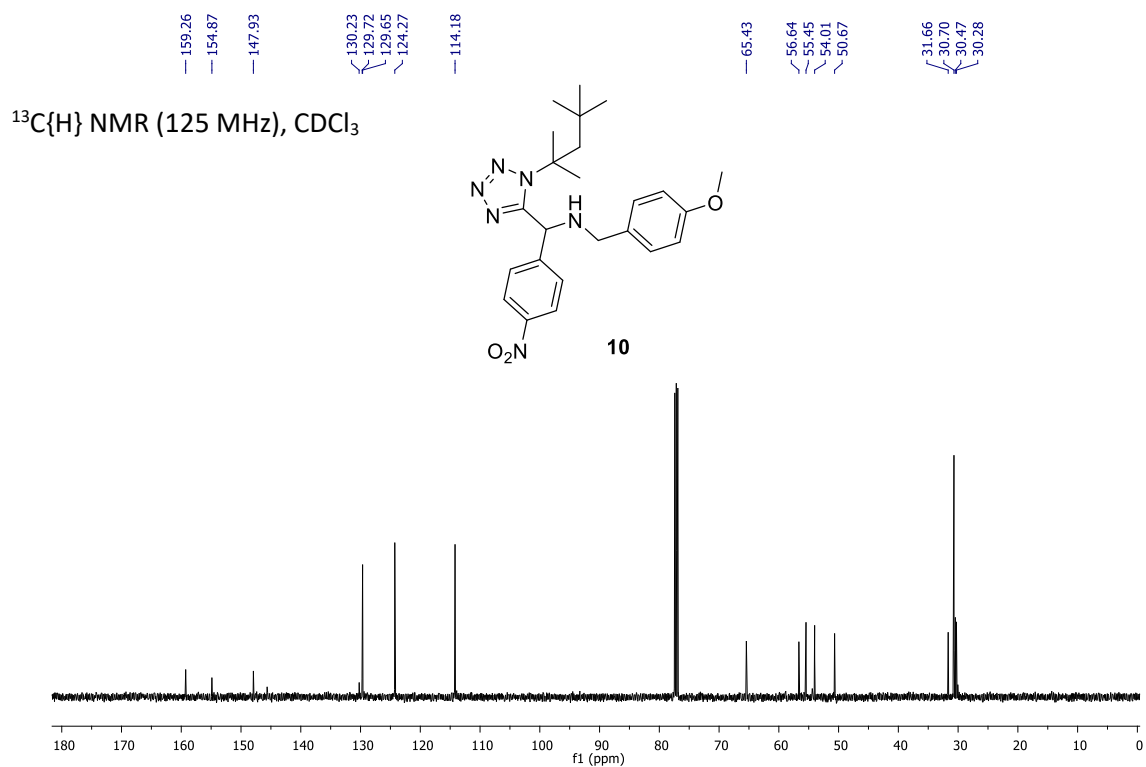
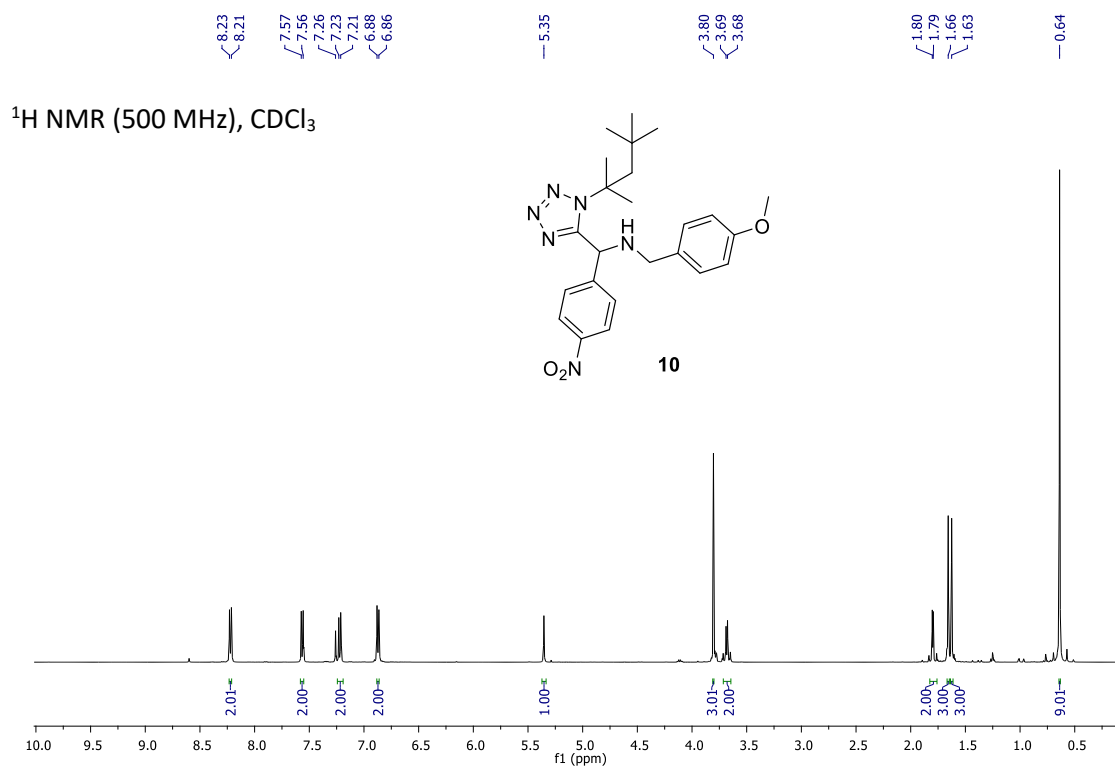


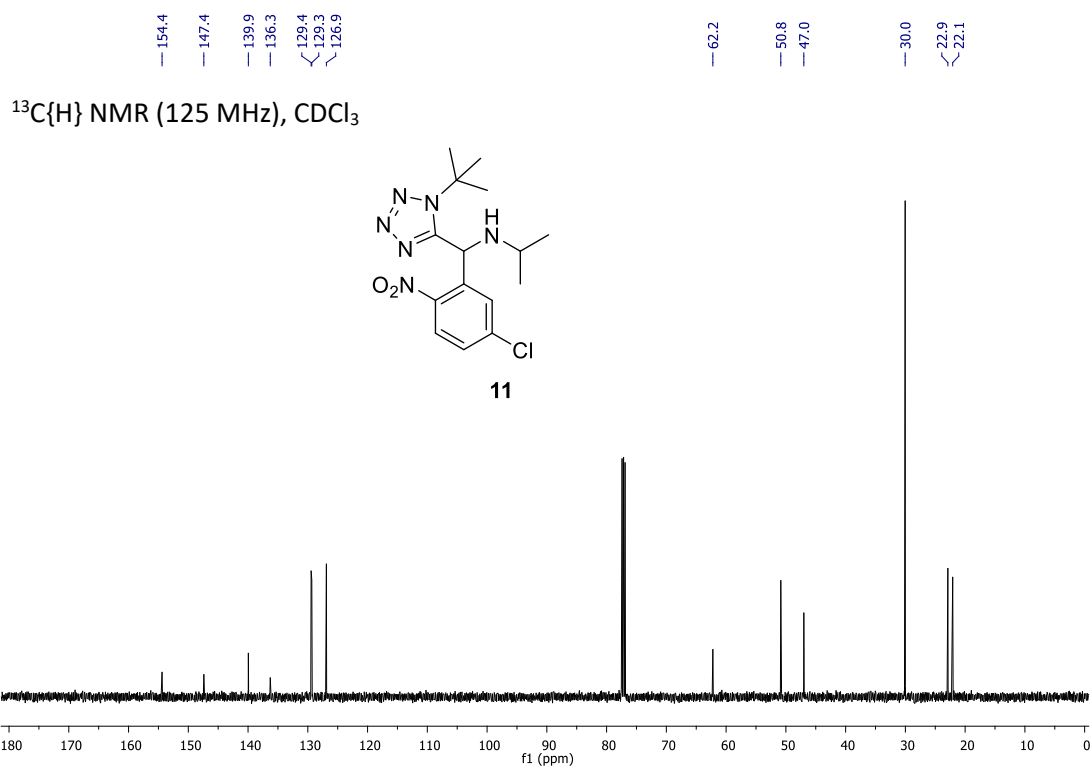
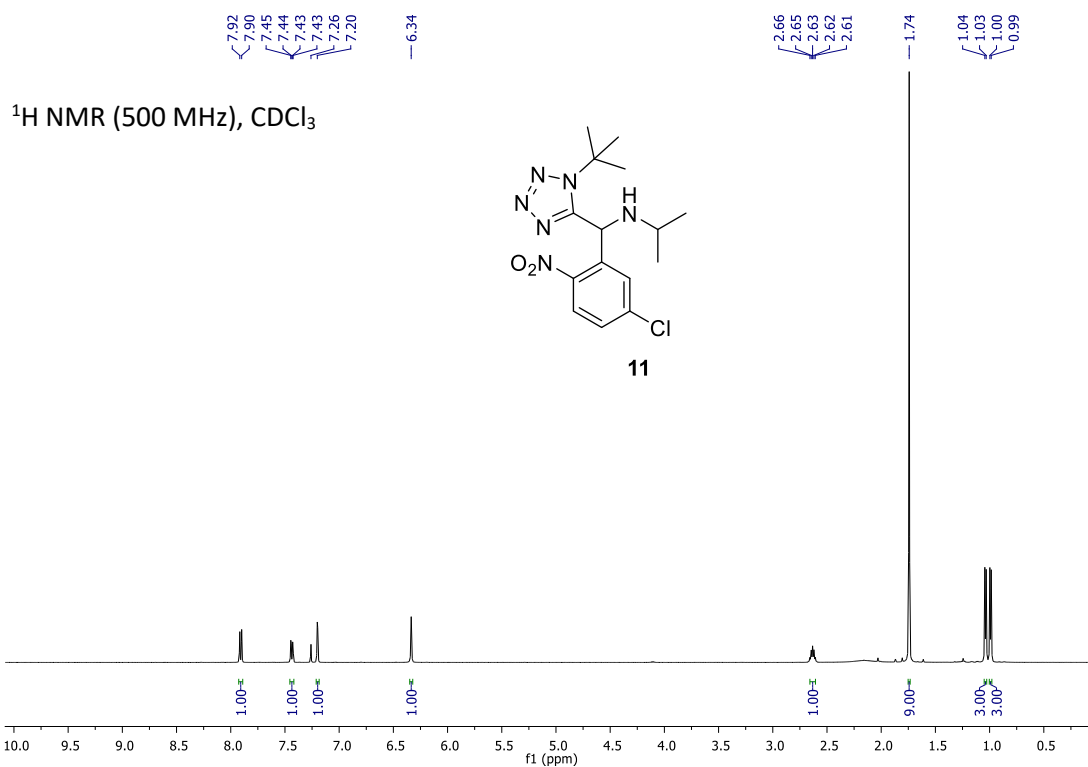


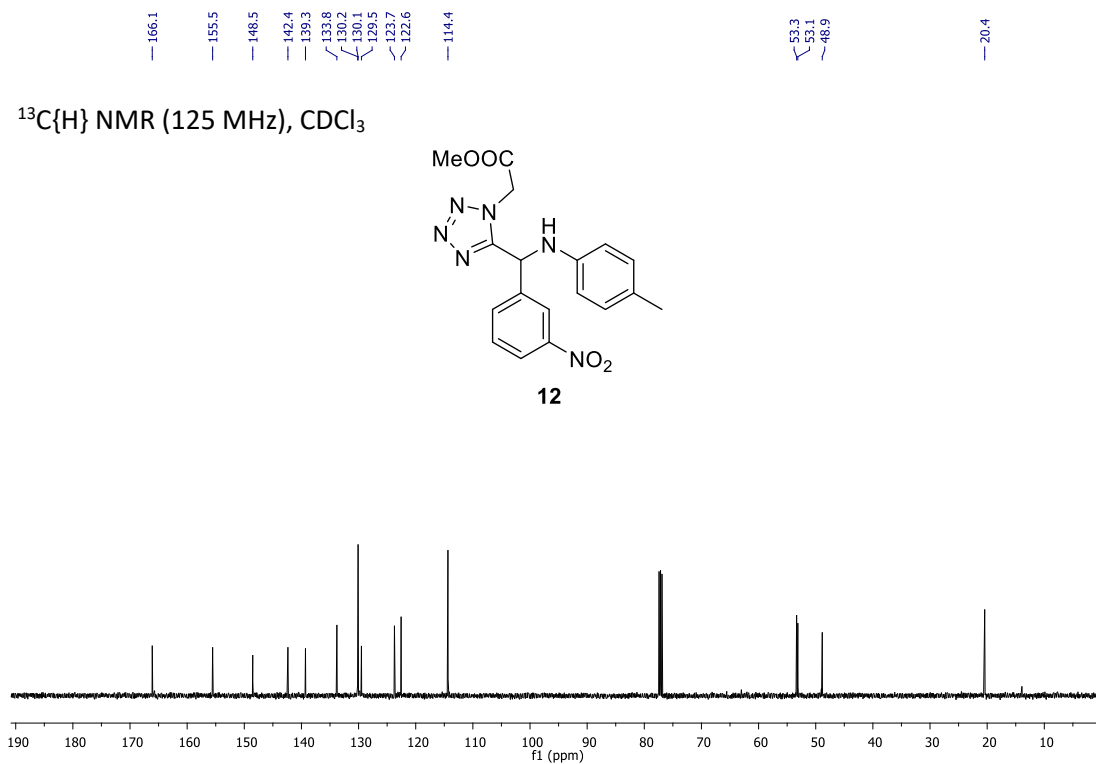
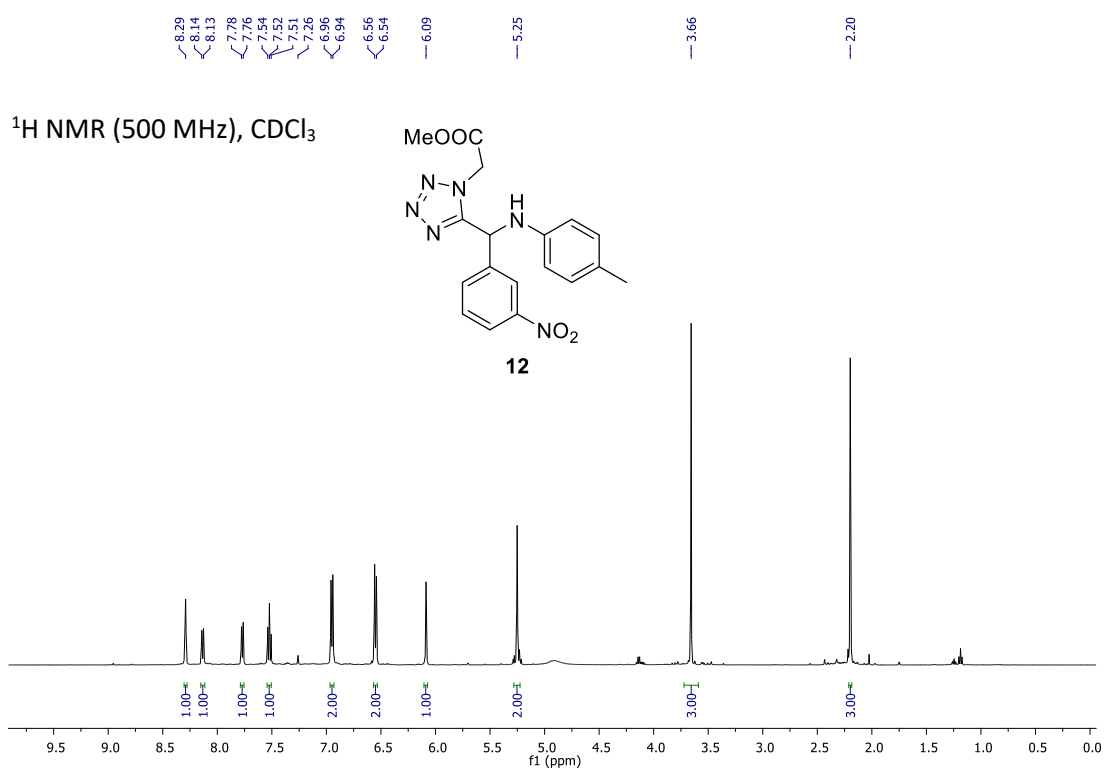


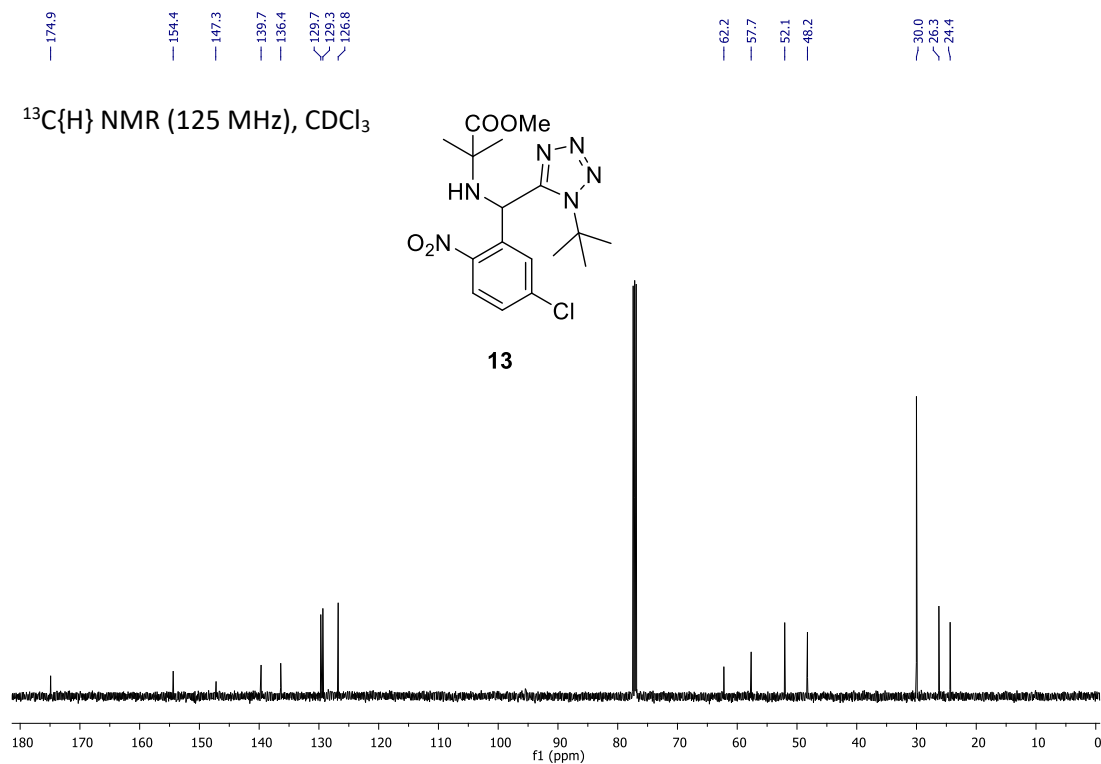
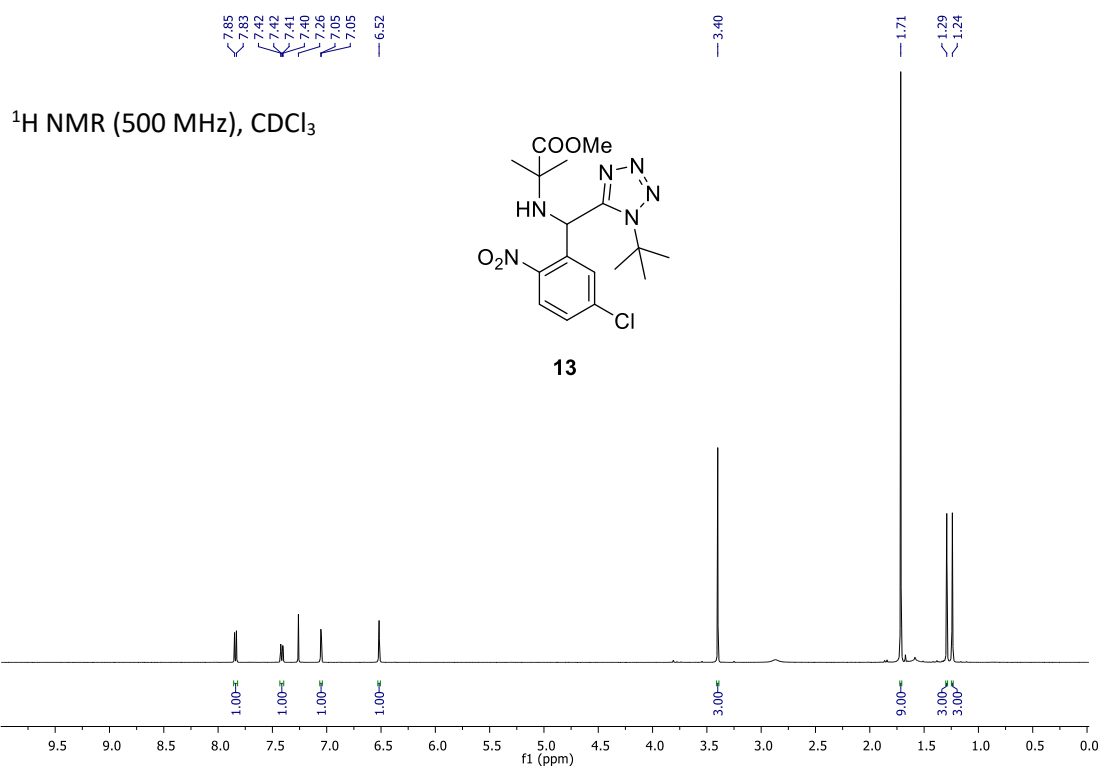


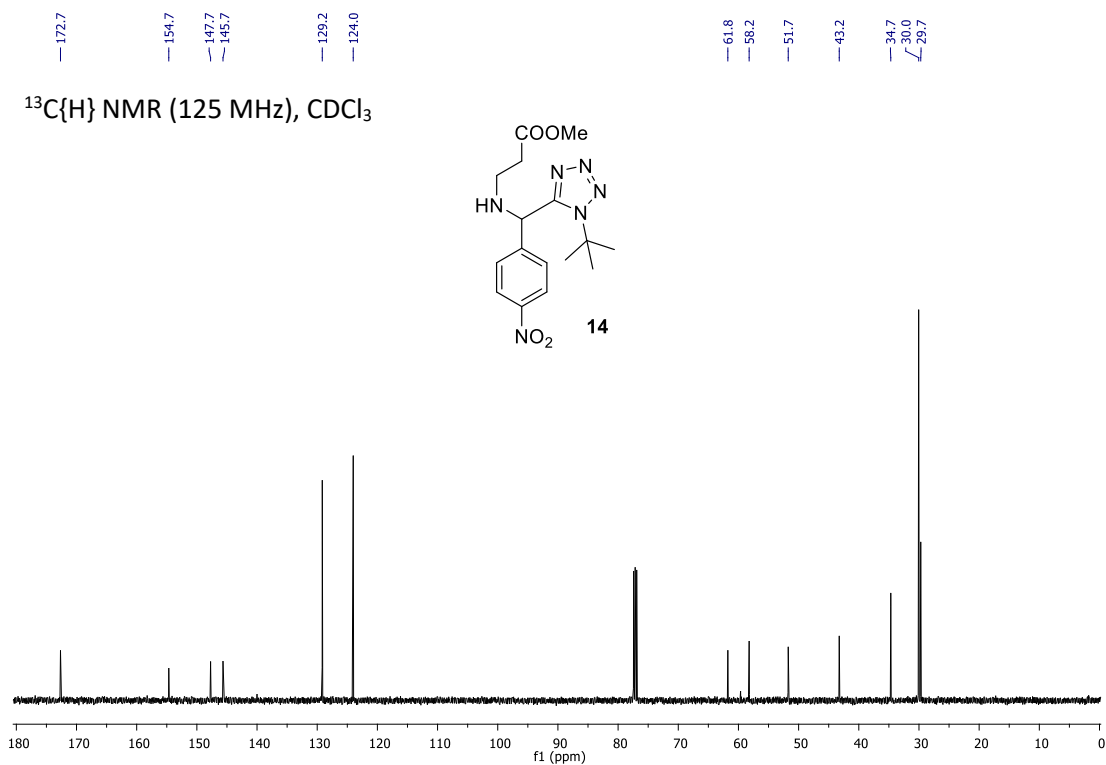
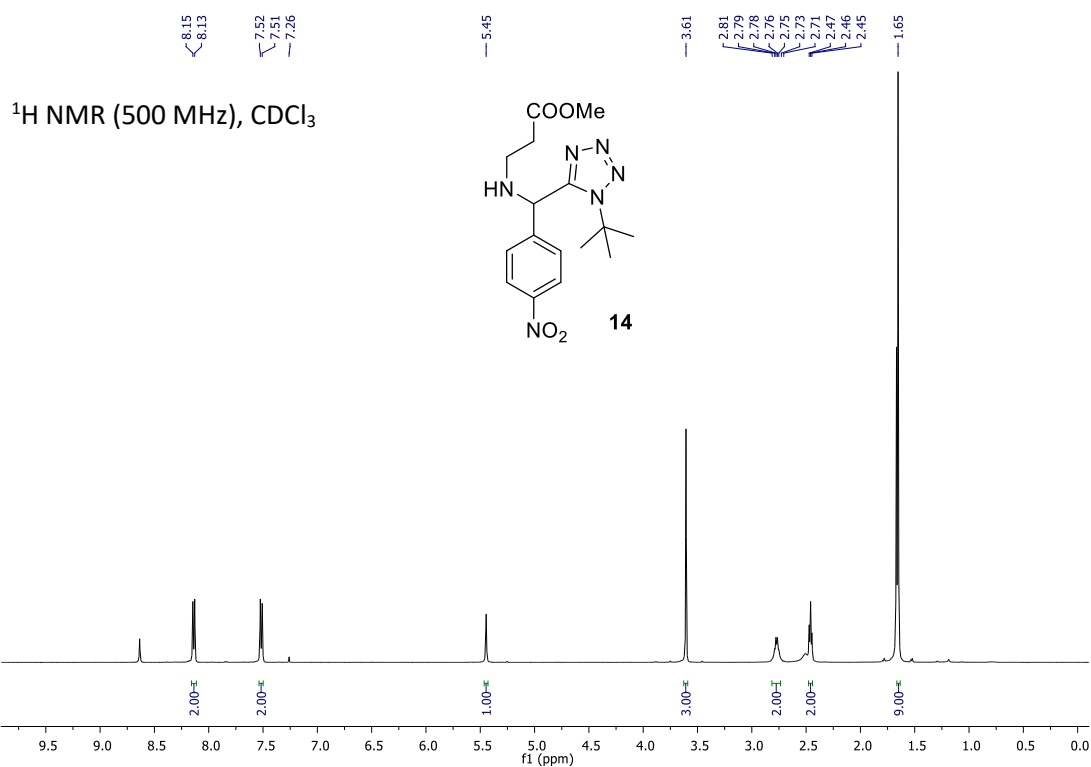


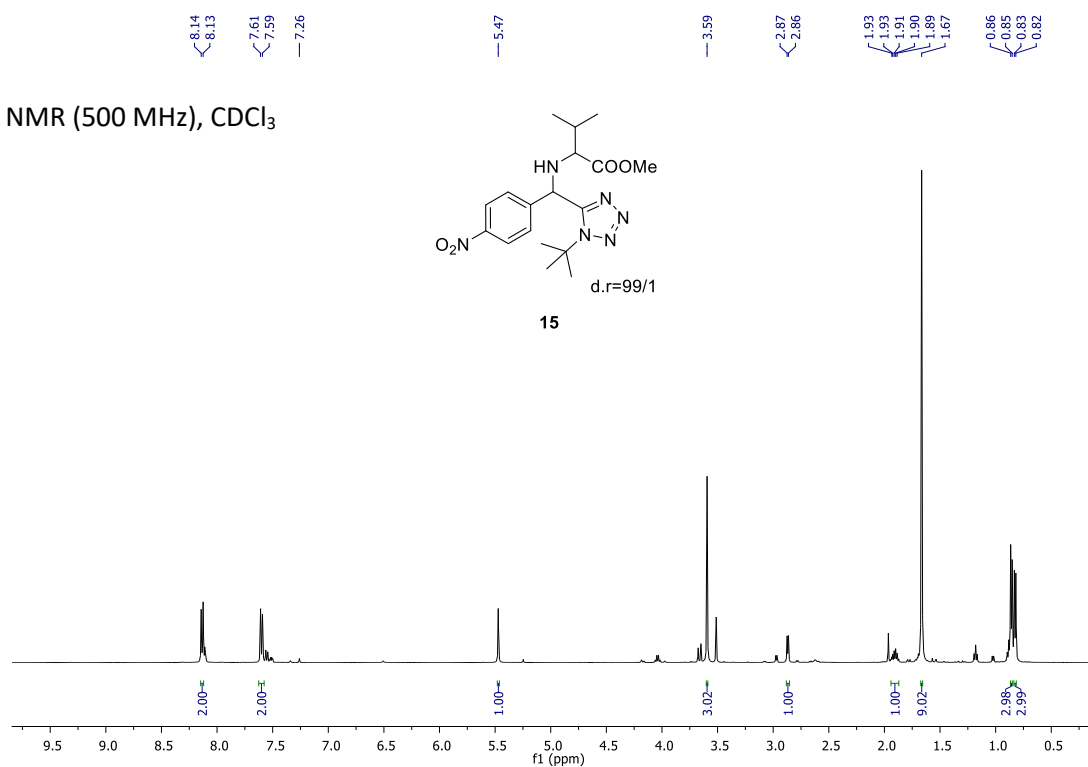
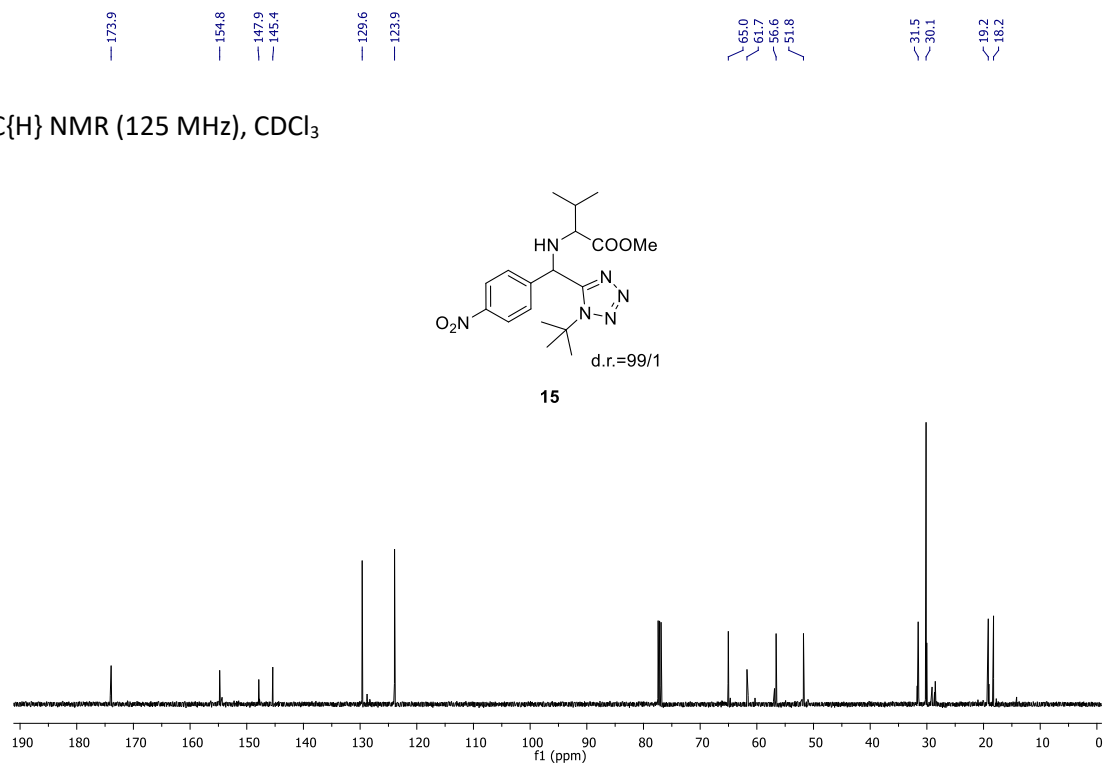


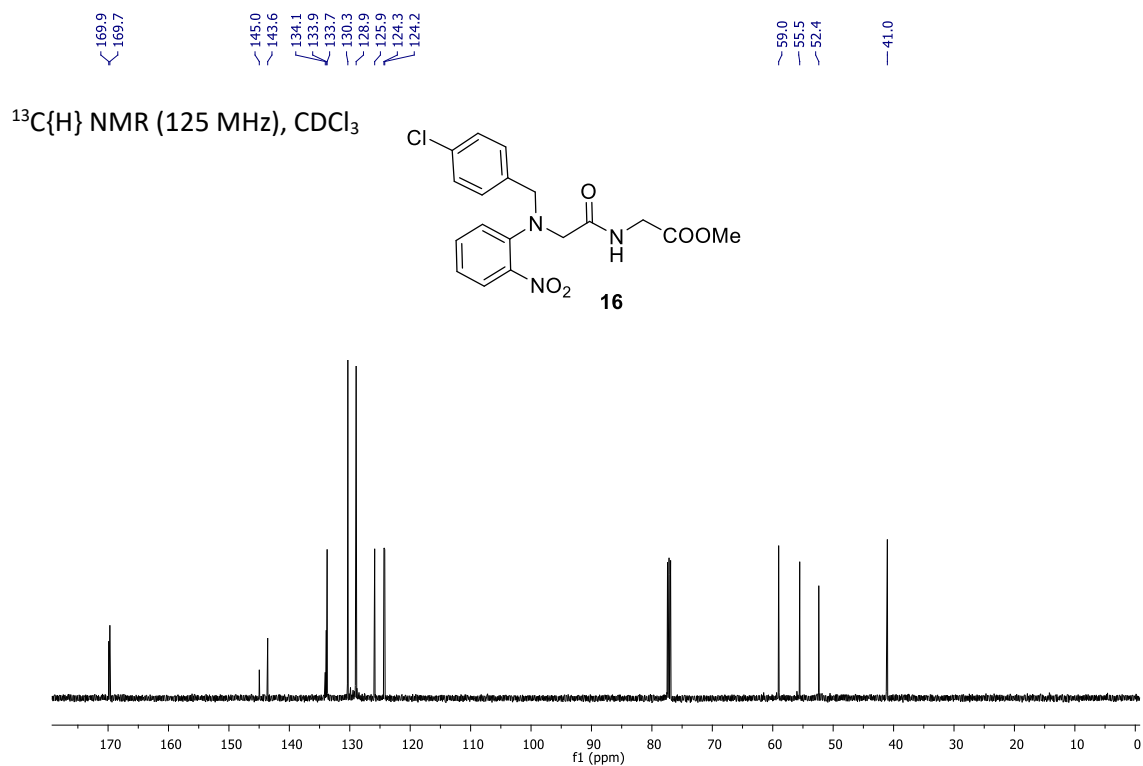
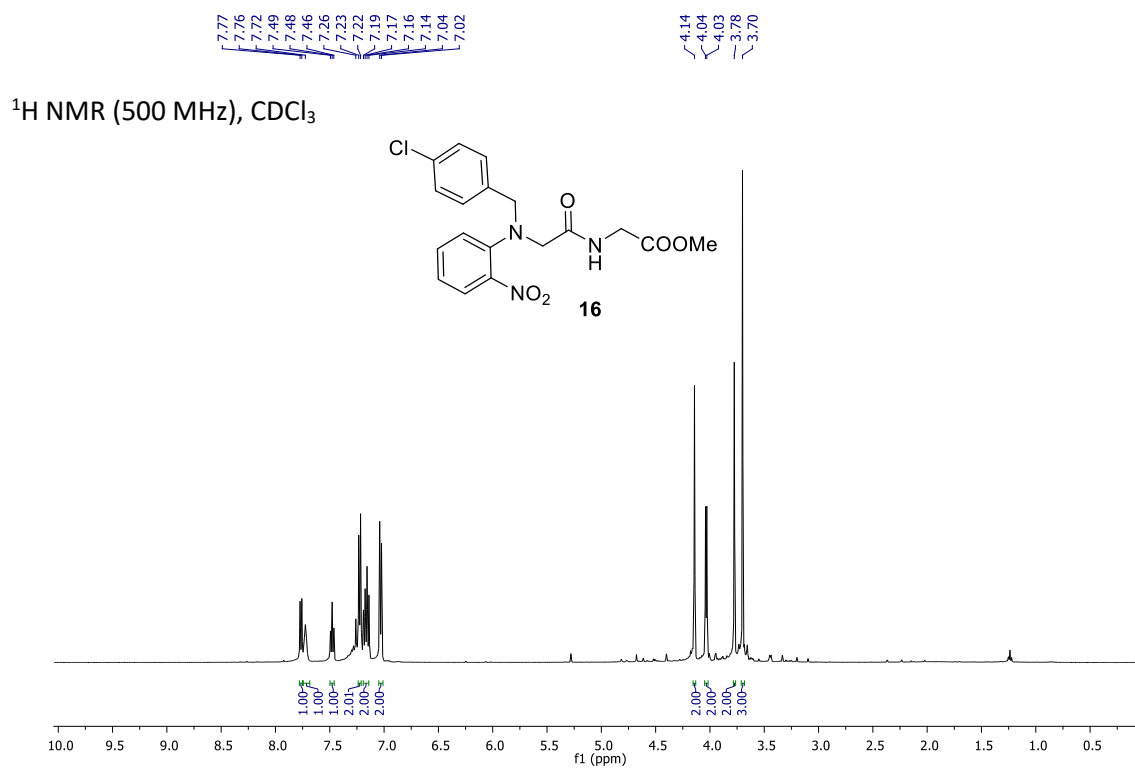


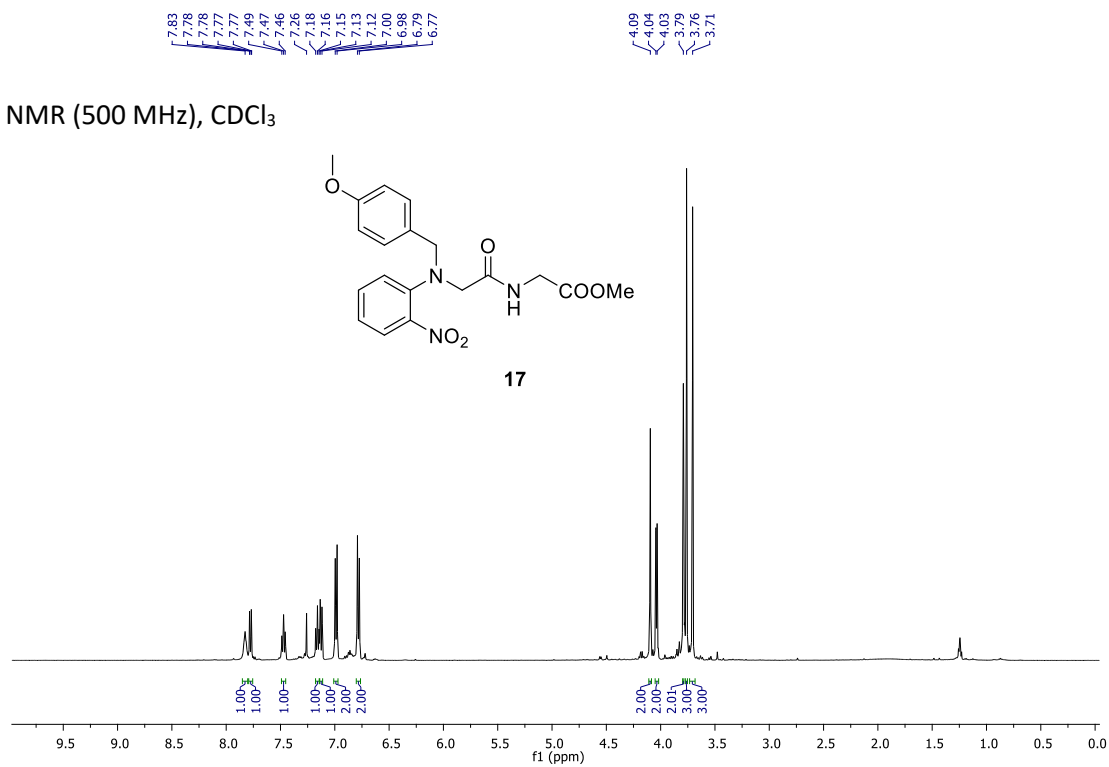
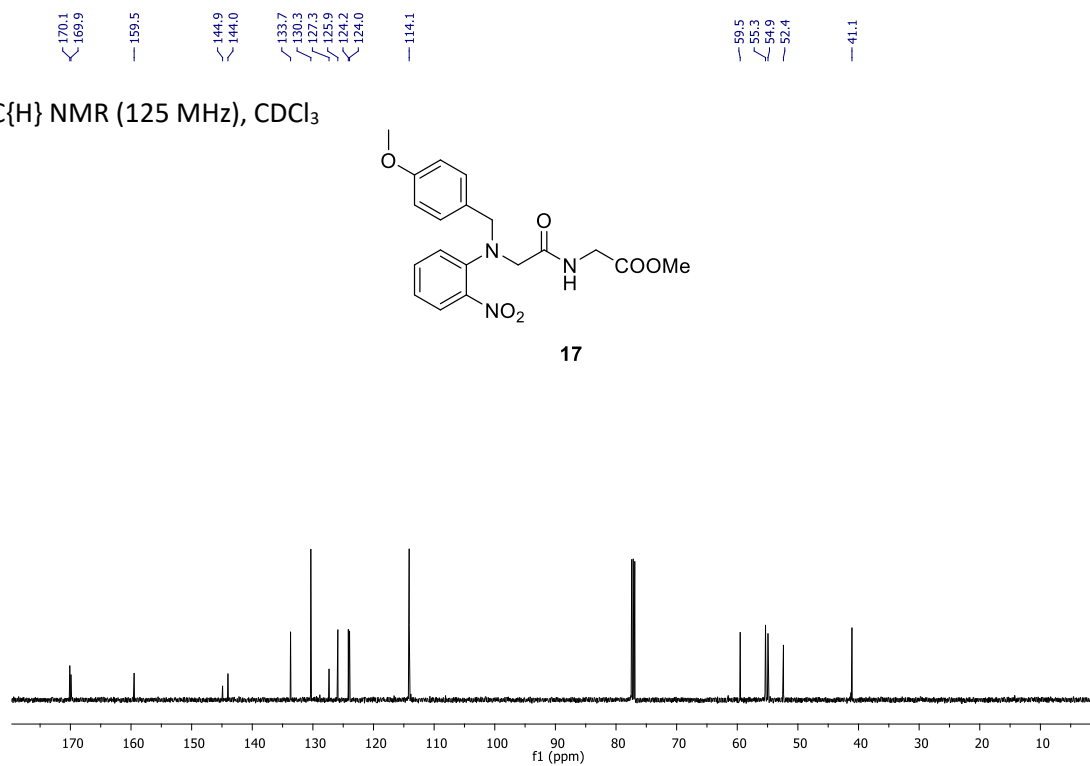


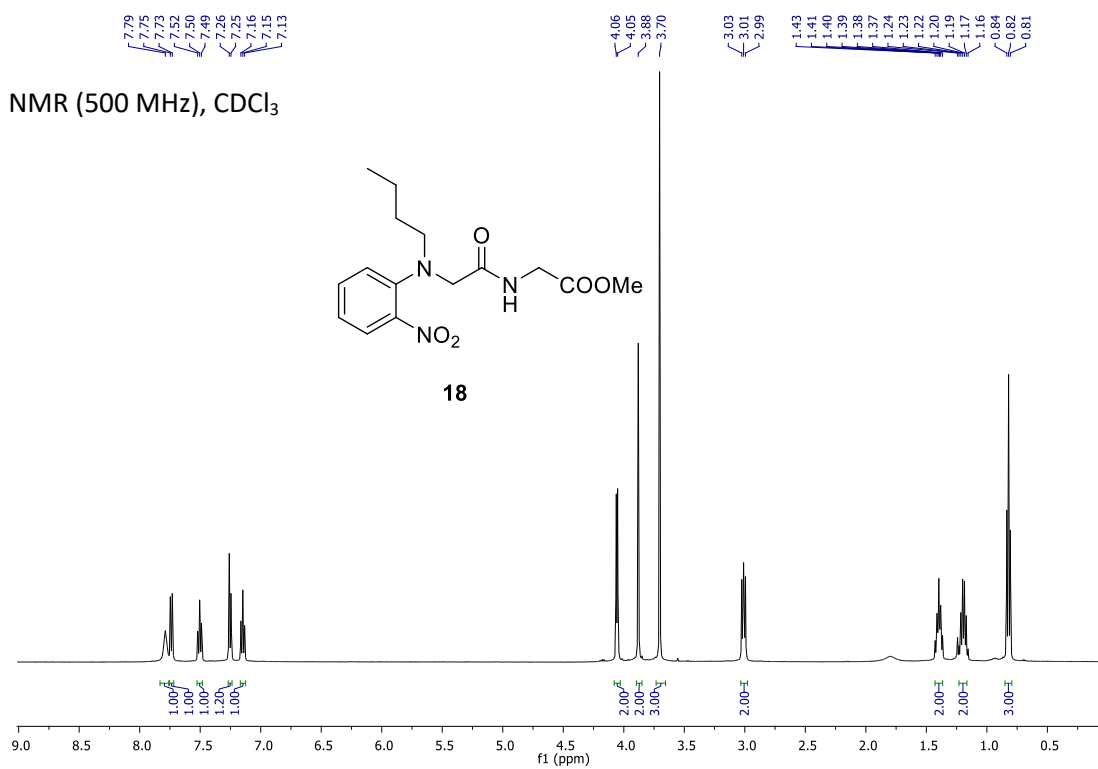
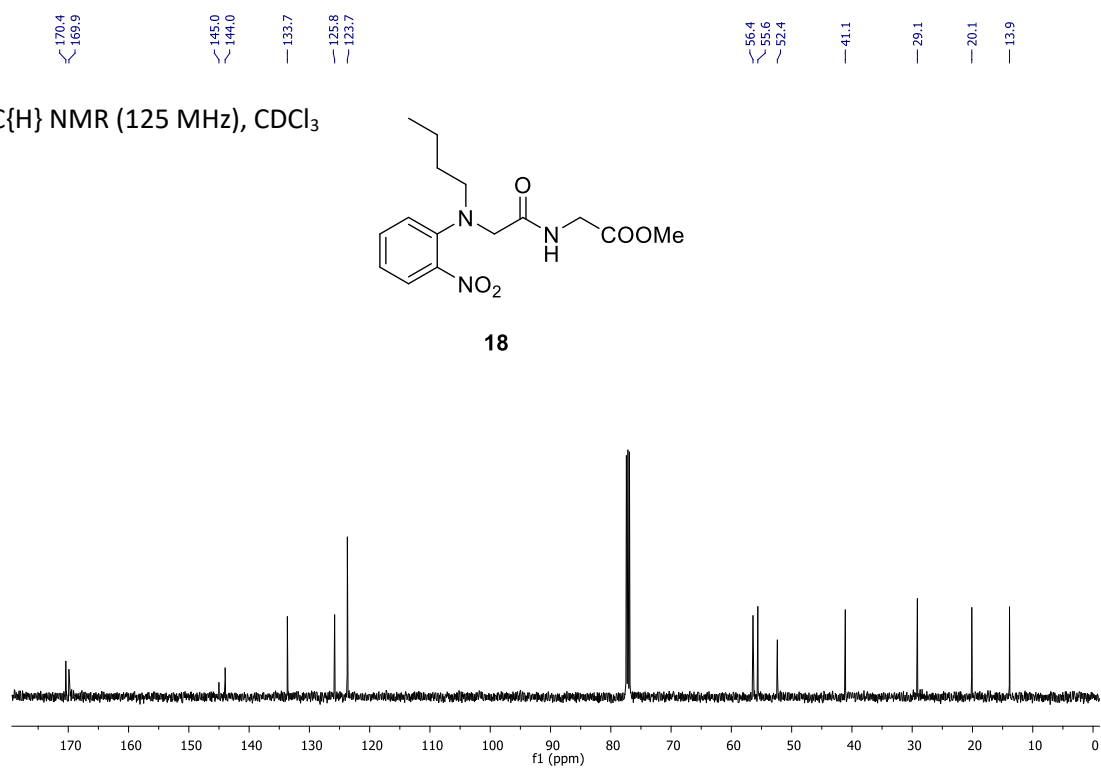


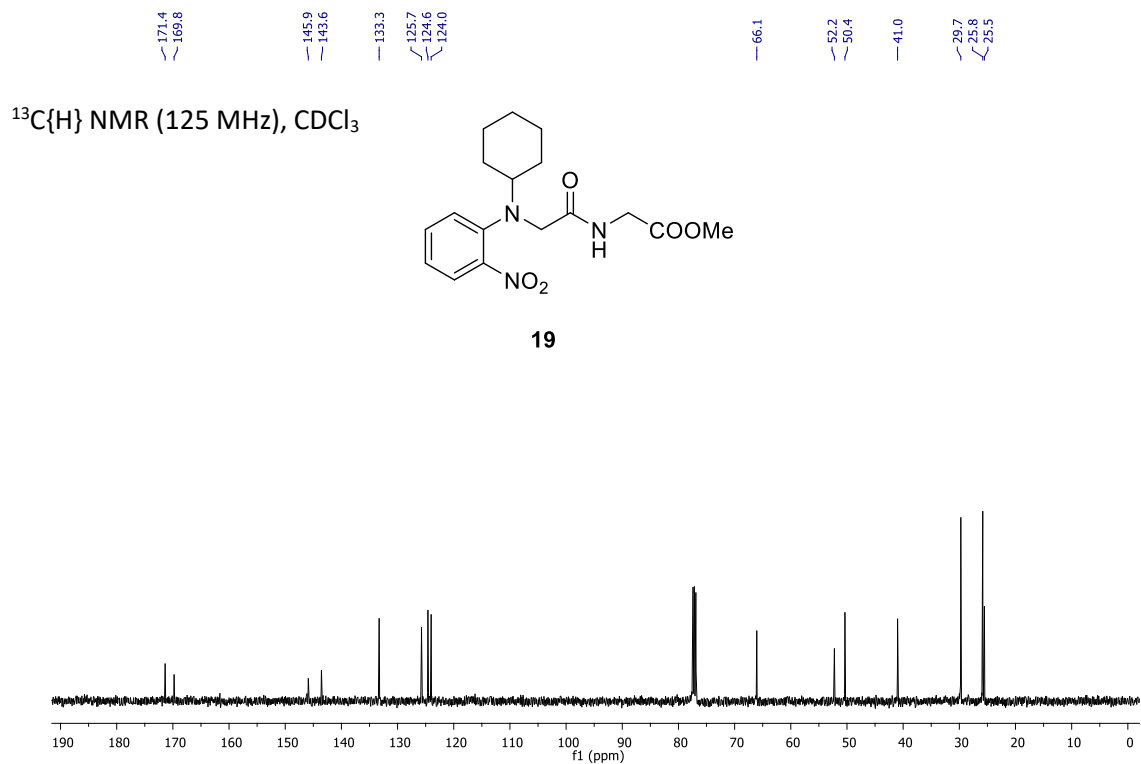
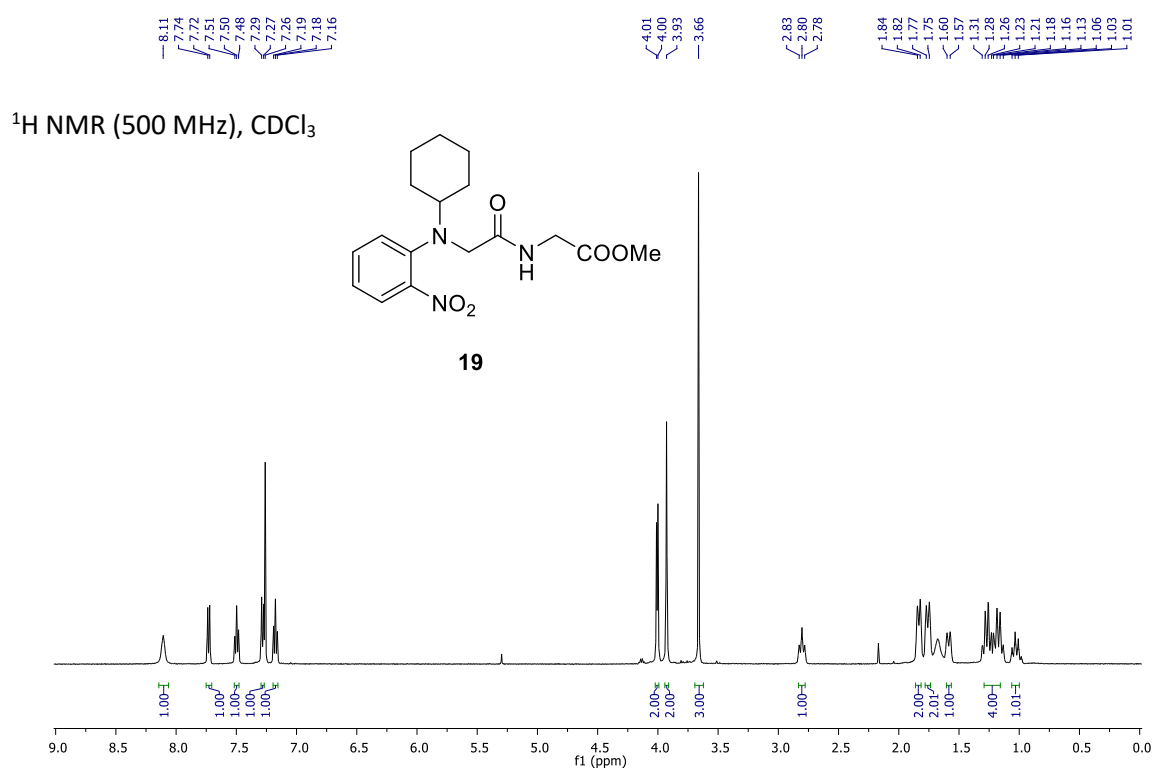


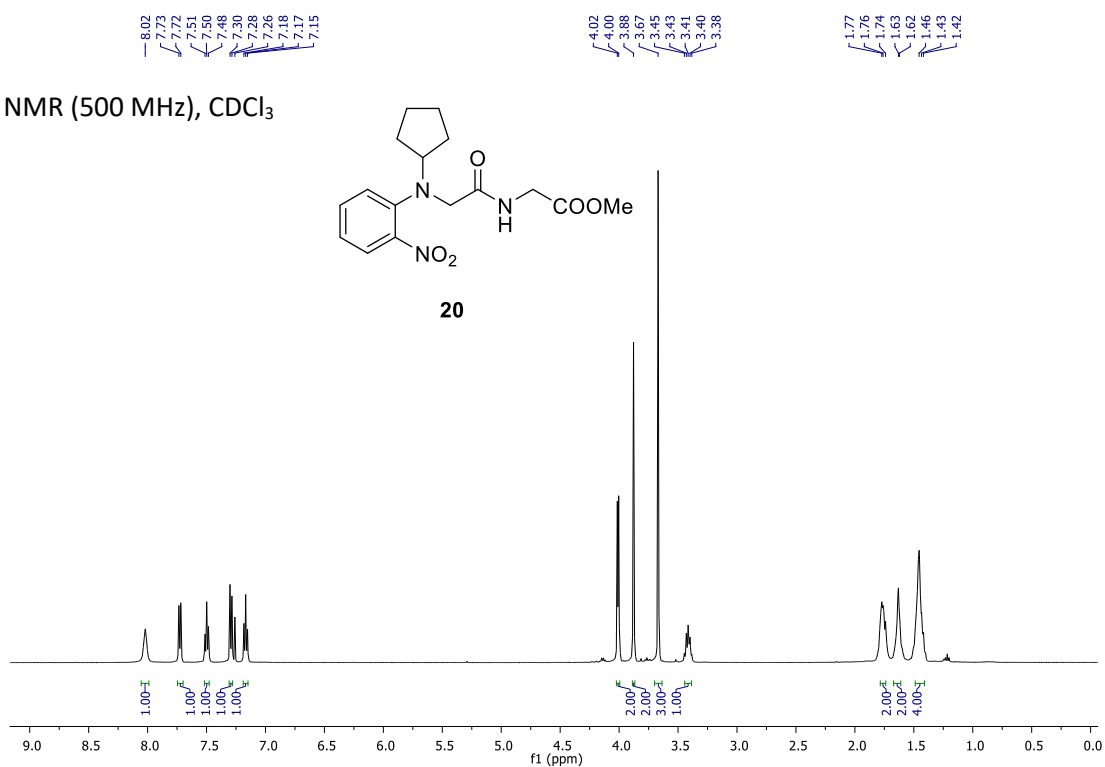
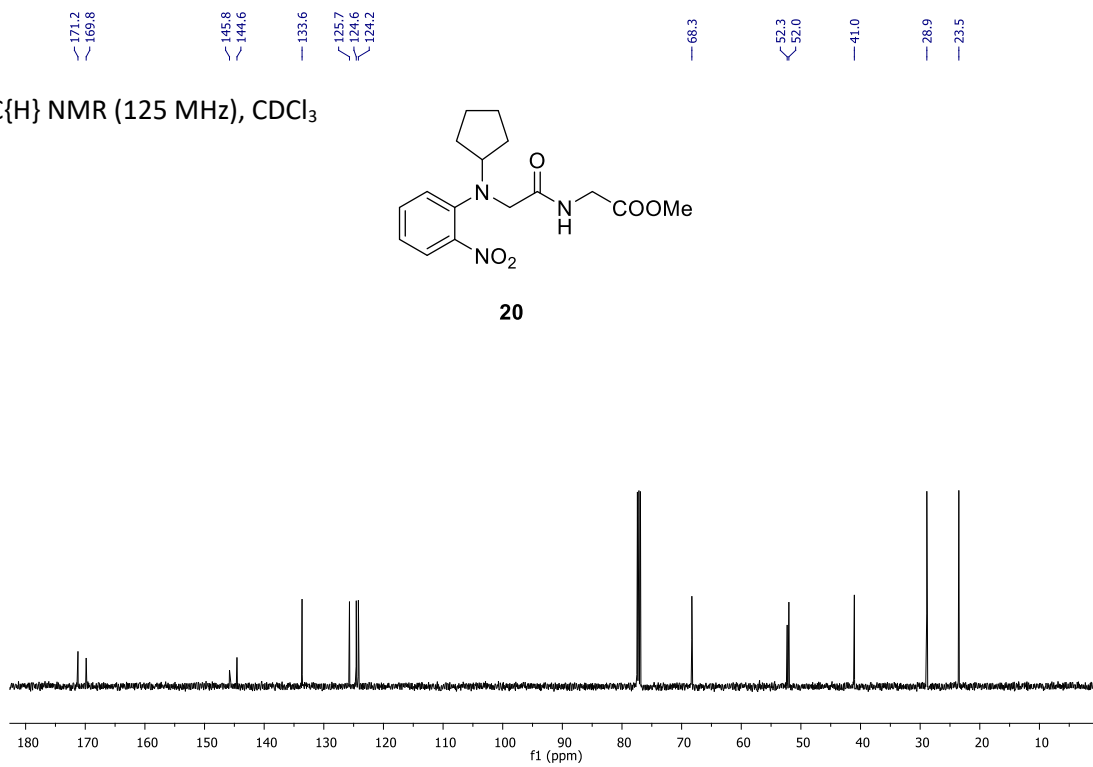
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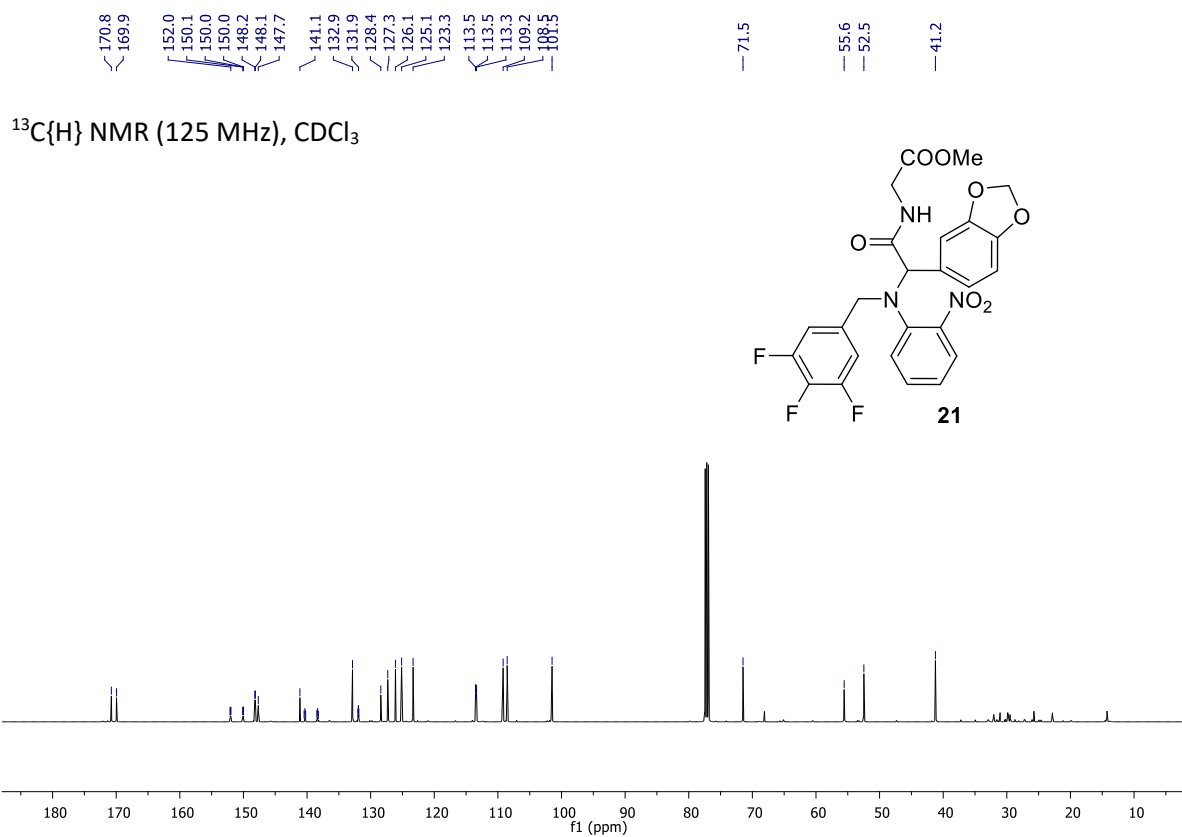
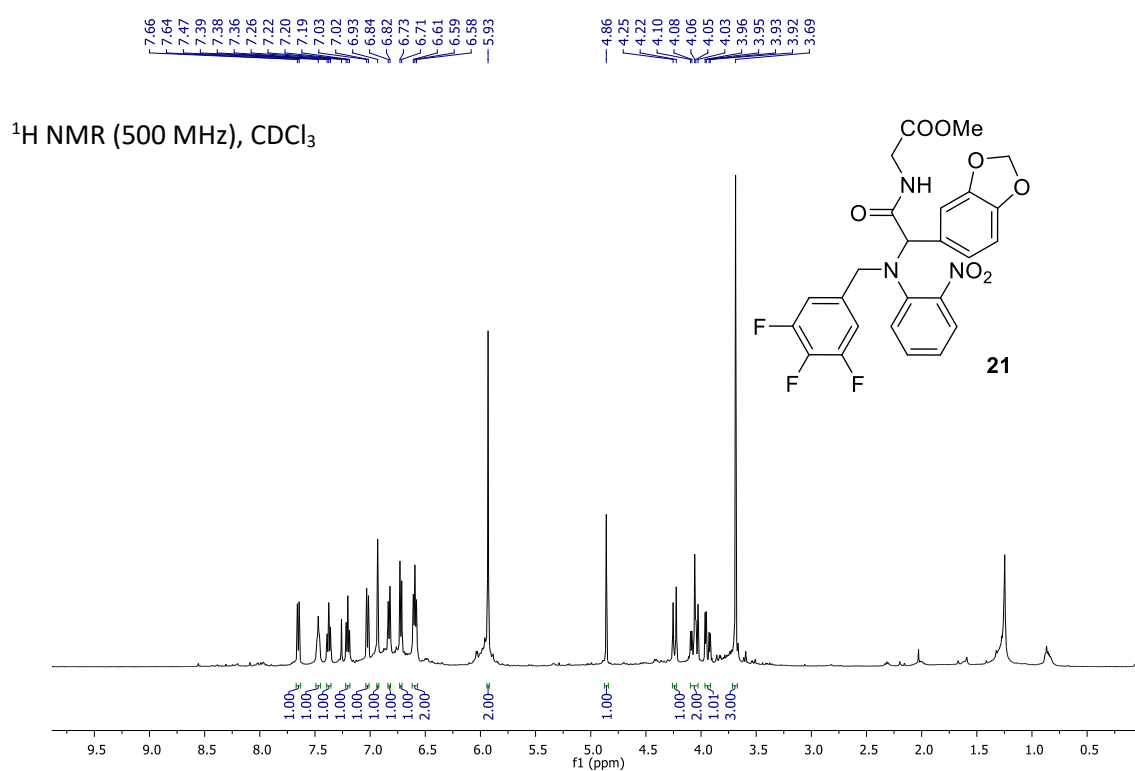


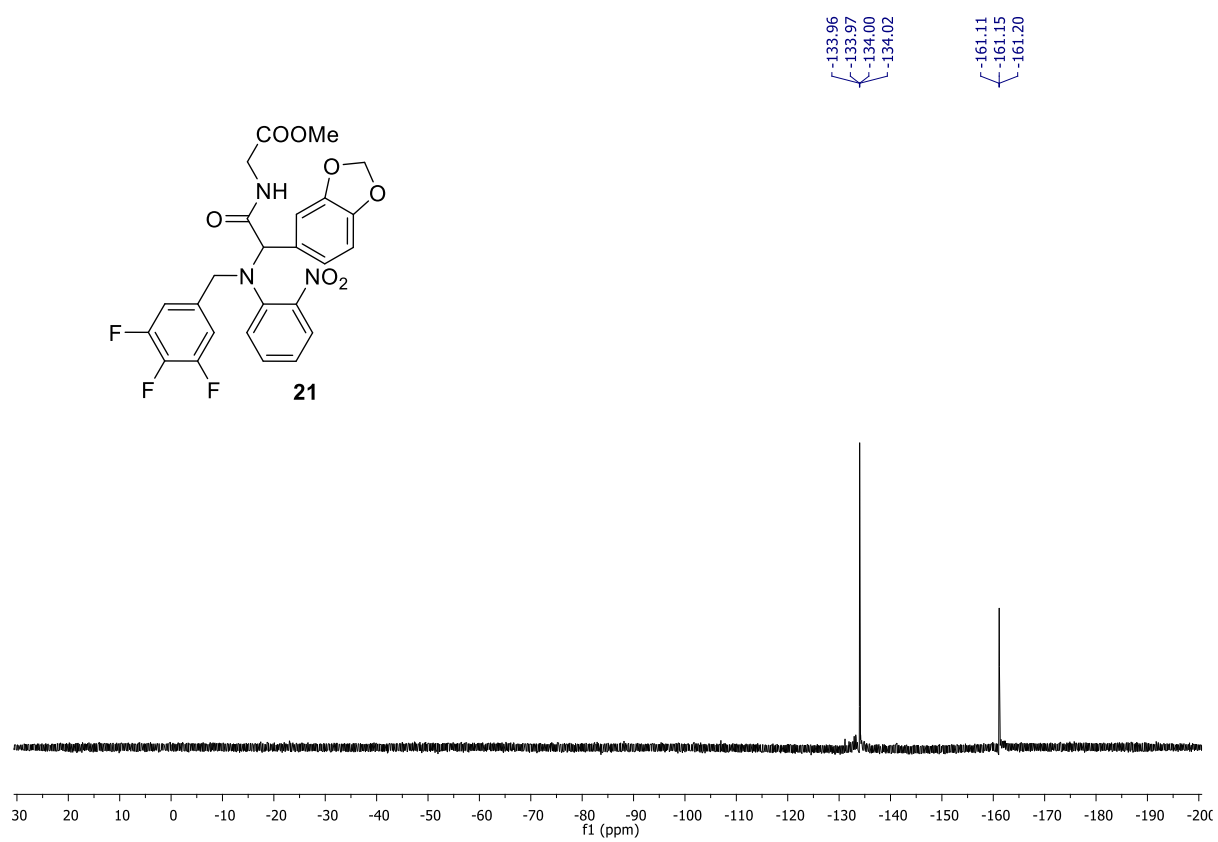
^1H NMR (500 MHz), CDCl_3  $^{13}\text{C}\{^1\text{H}\}$ NMR (125 MHz), CDCl_3 

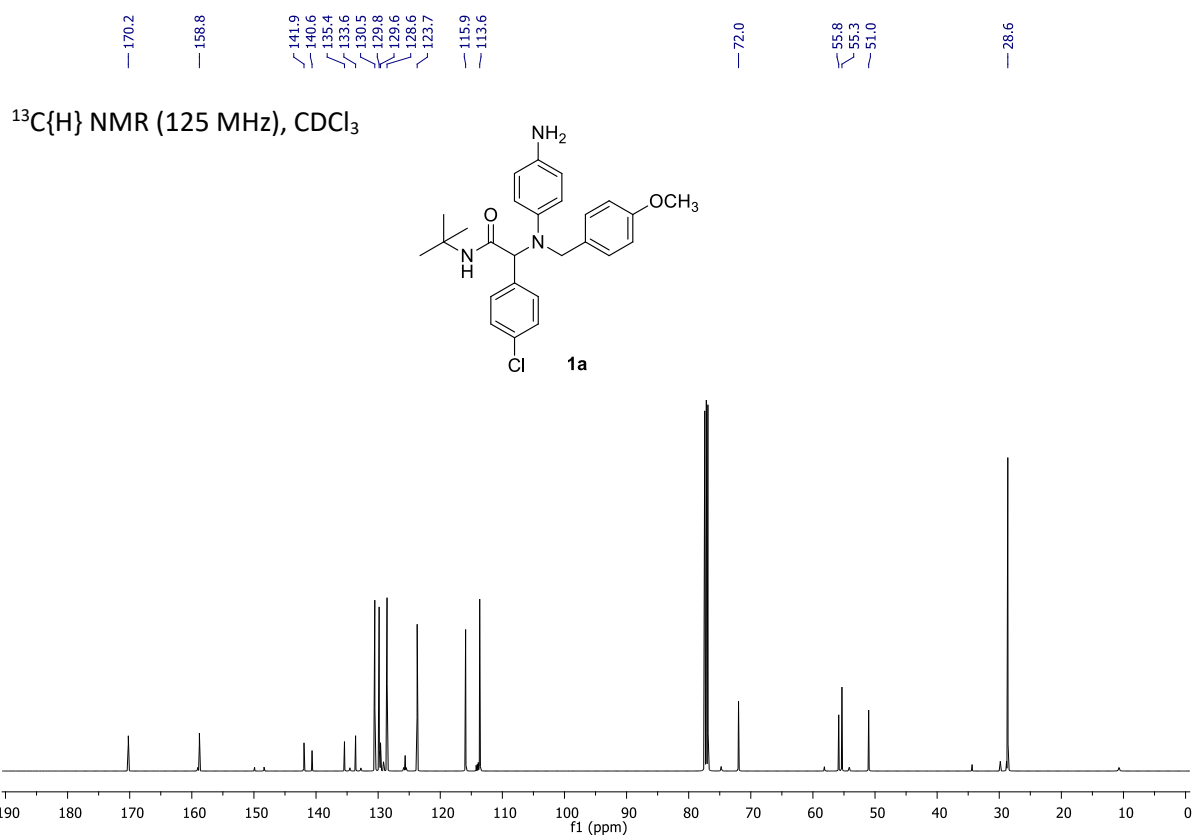
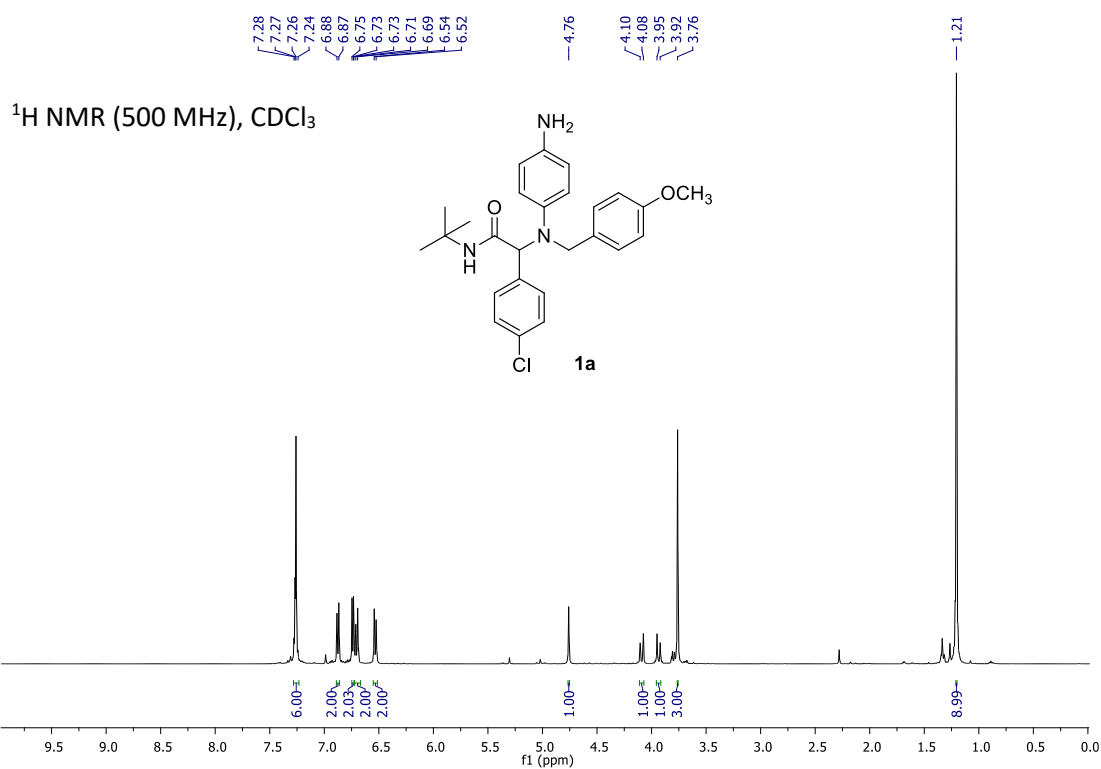
^1H NMR (500 MHz), CDCl_3  $^{13}\text{C}\{\text{H}\}$ NMR (125 MHz), CDCl_3 

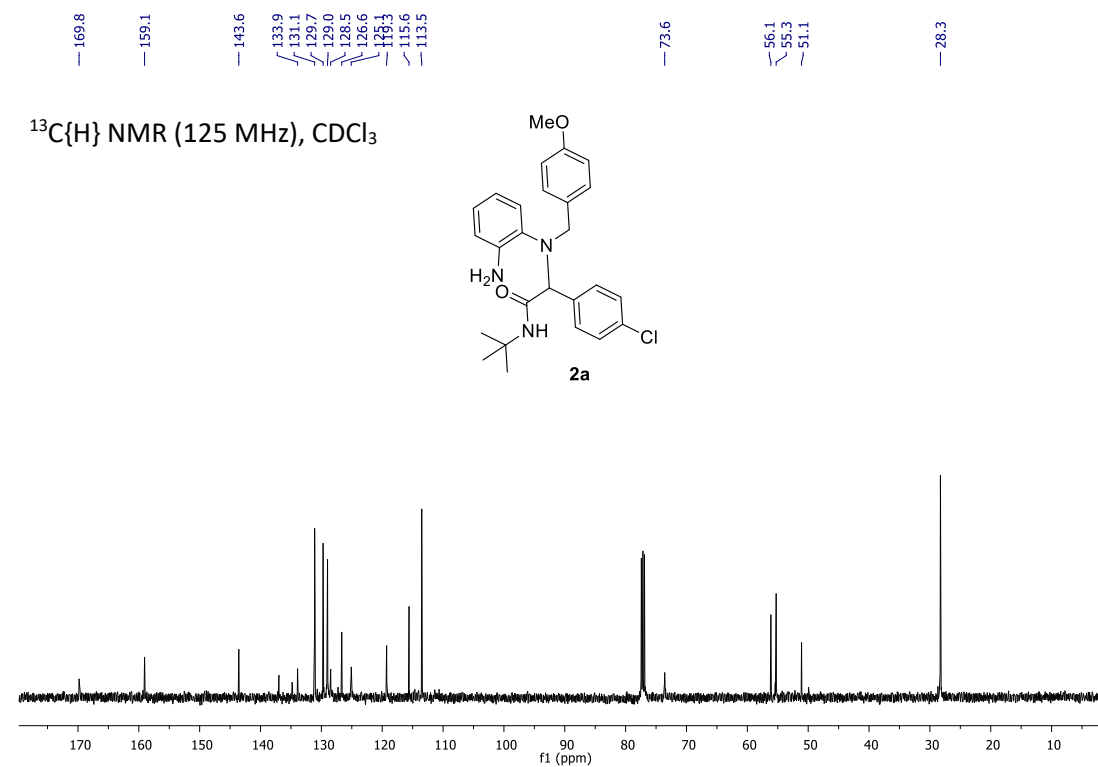
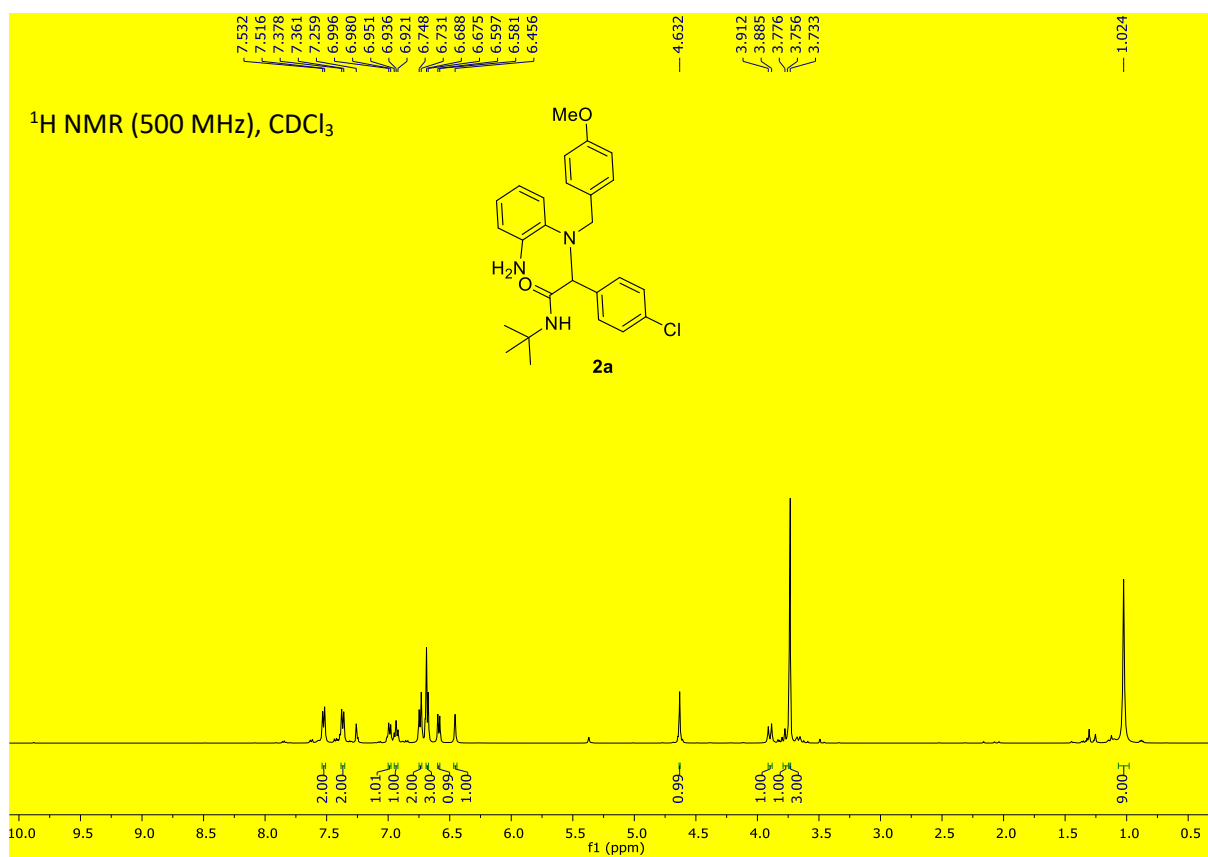


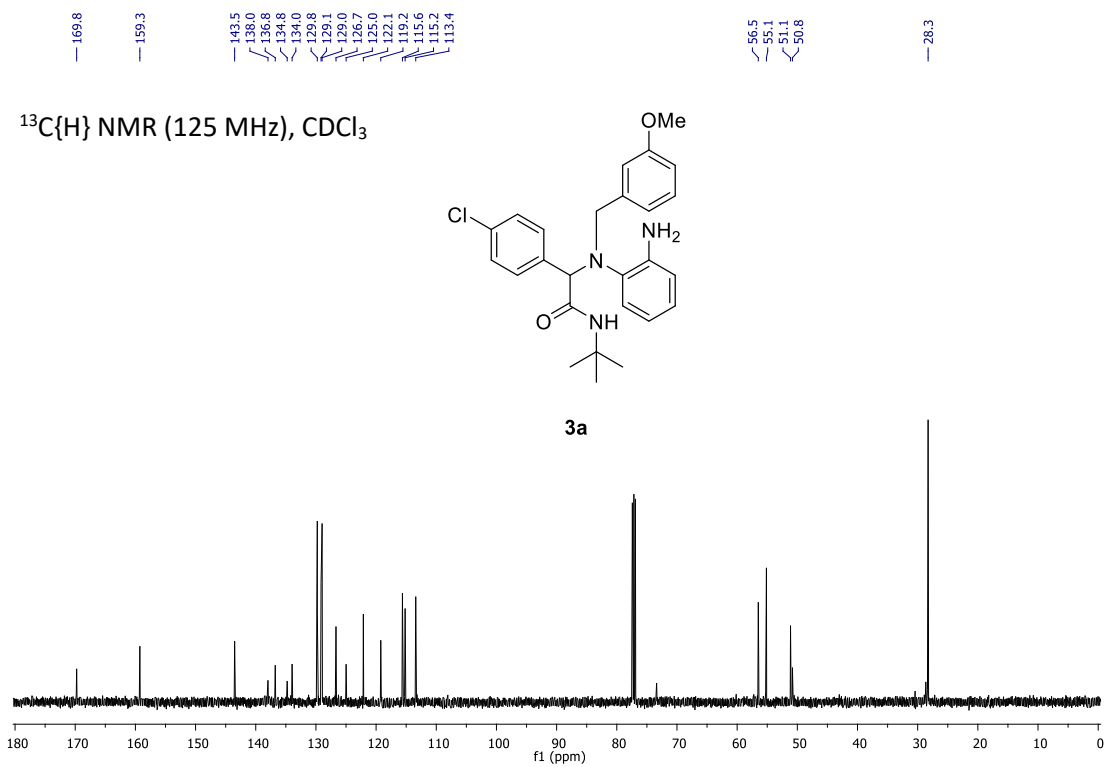
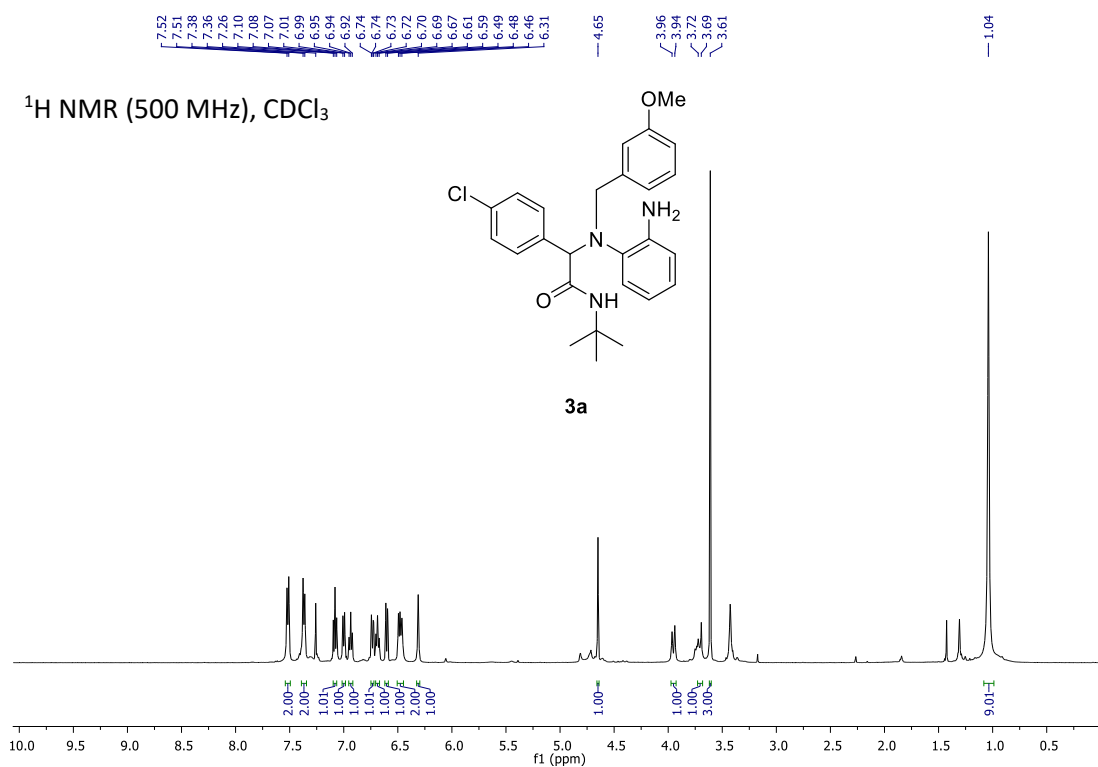
^1H NMR (500 MHz), CDCl_3  $^{13}\text{C}\{\text{H}\}$ NMR (125 MHz), CDCl_3 

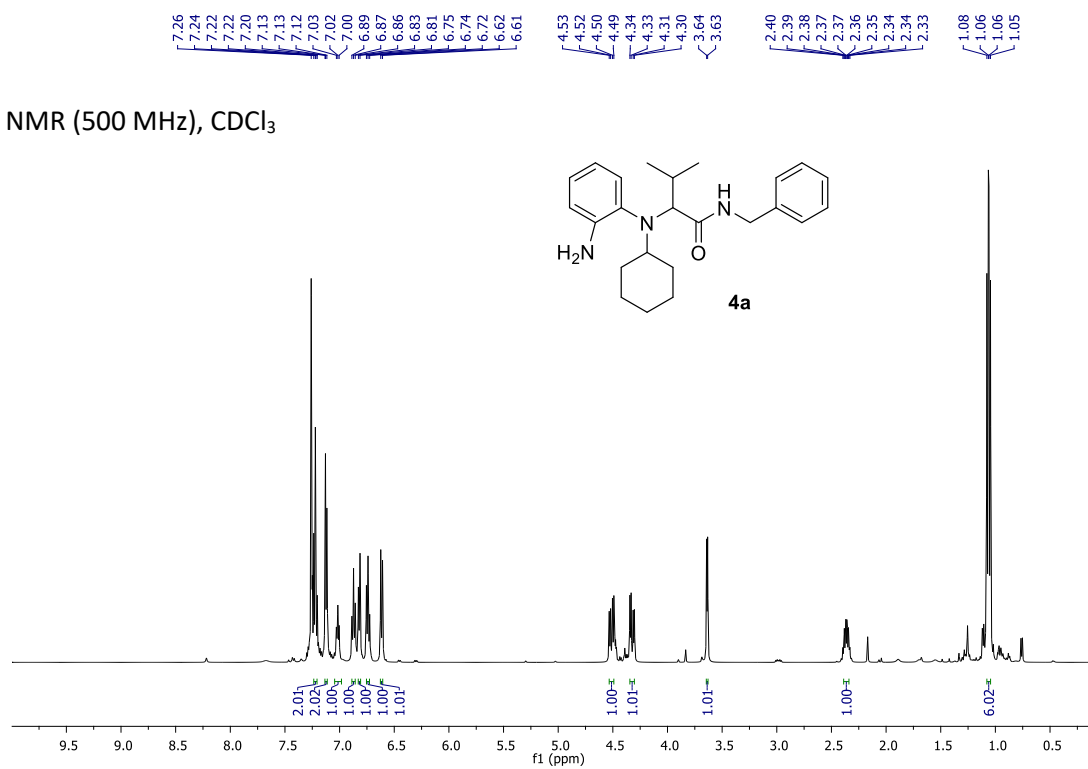
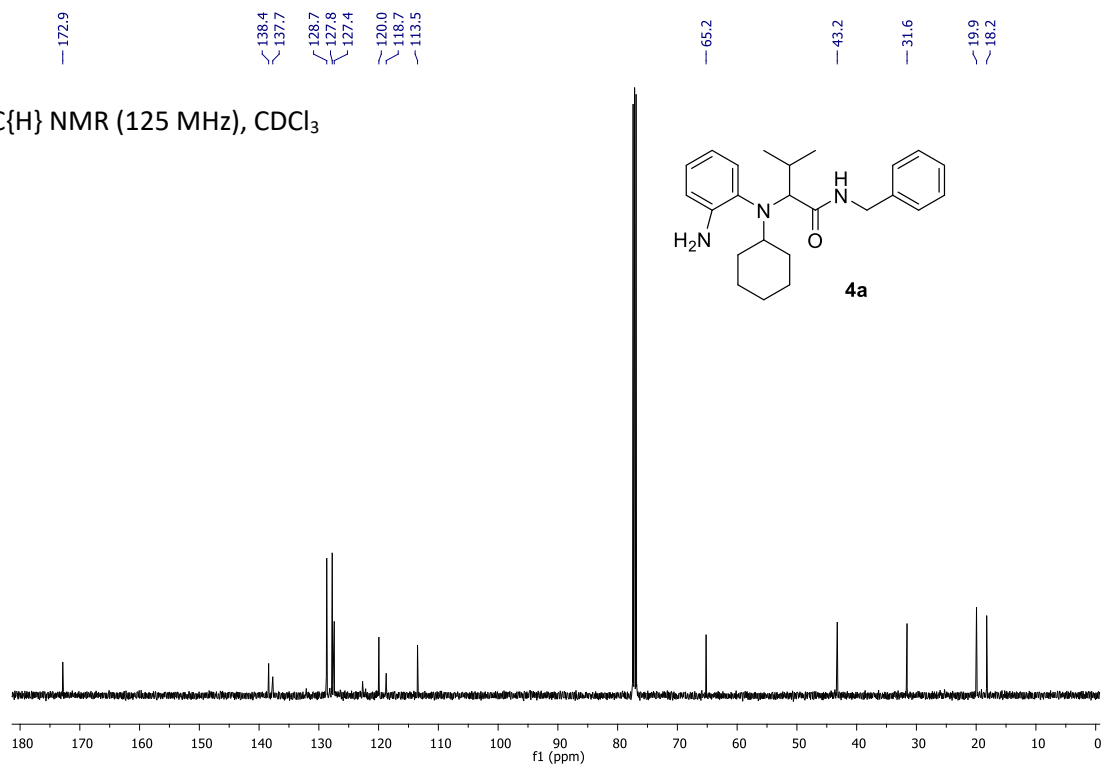


^{19}F NMR (188 MHz) of the compound 21

Copies of ^1H and ^{13}C NMR spectra of amines 1a-21a





^1H NMR (500 MHz), CDCl_3  $^{13}\text{C}\{^1\text{H}\}$ NMR (125 MHz), CDCl_3 

¹H NMR (500 MHz), CDCl₃

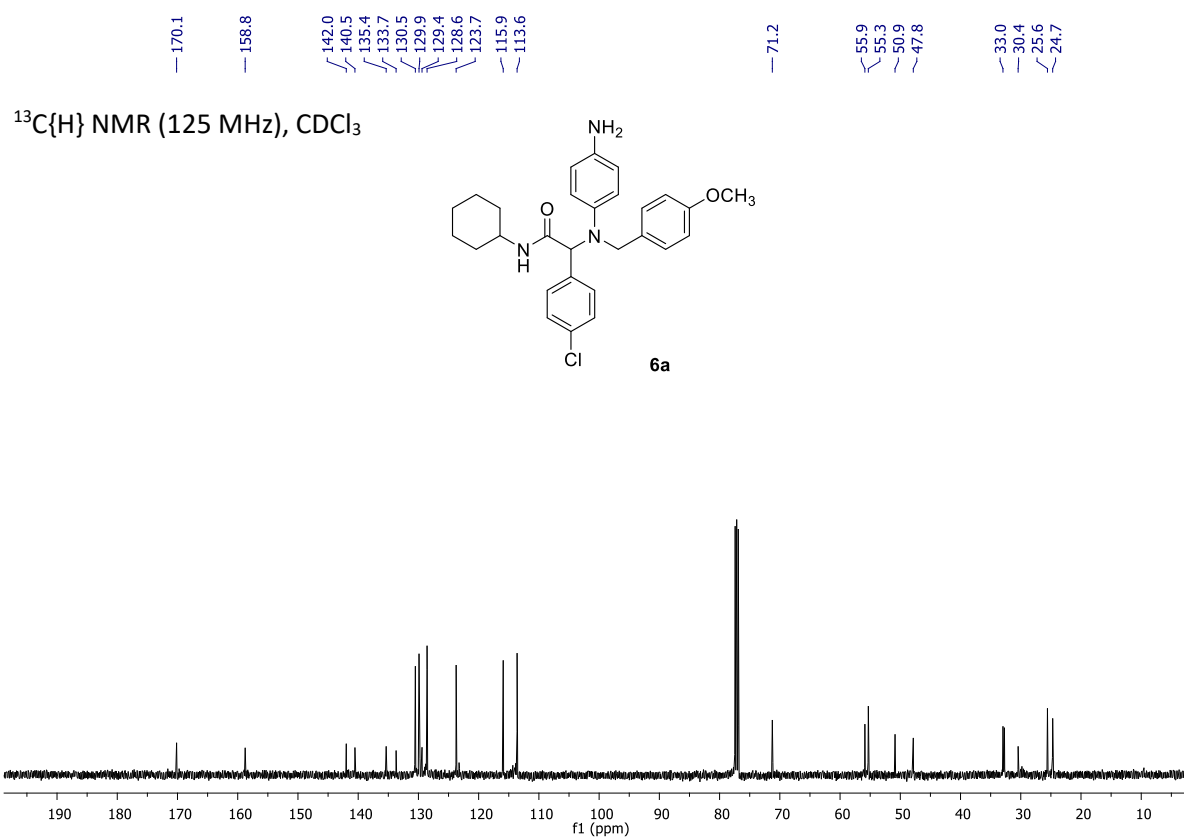
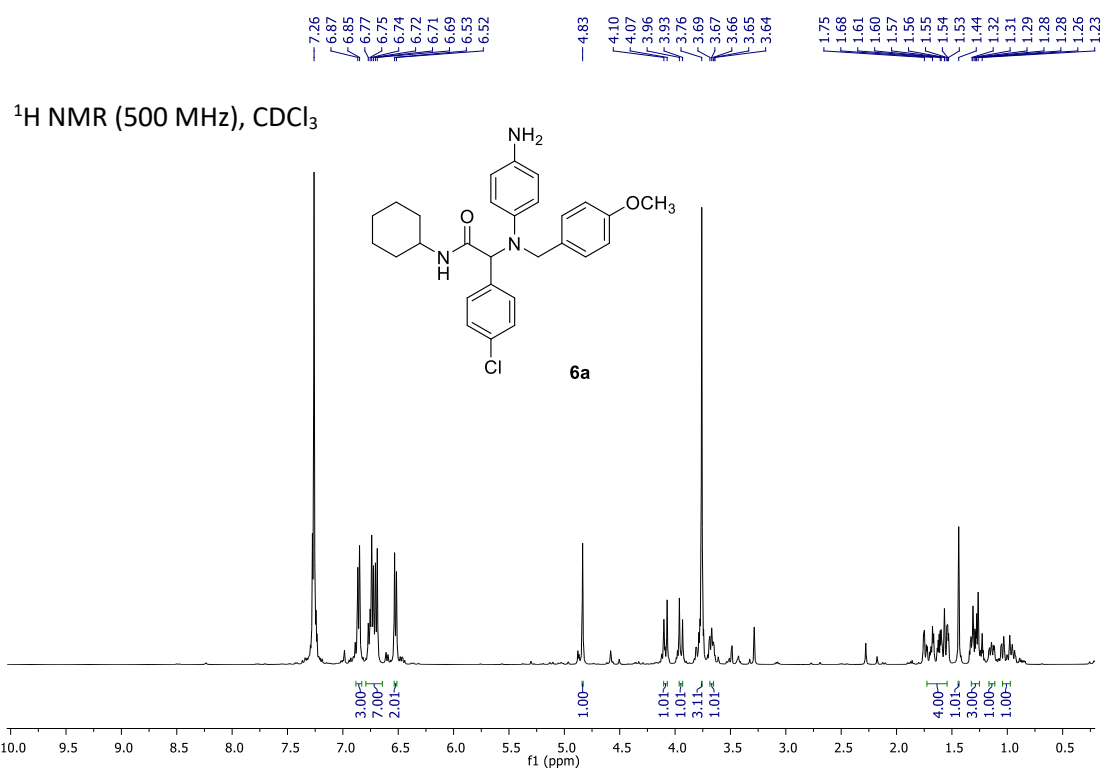
5a

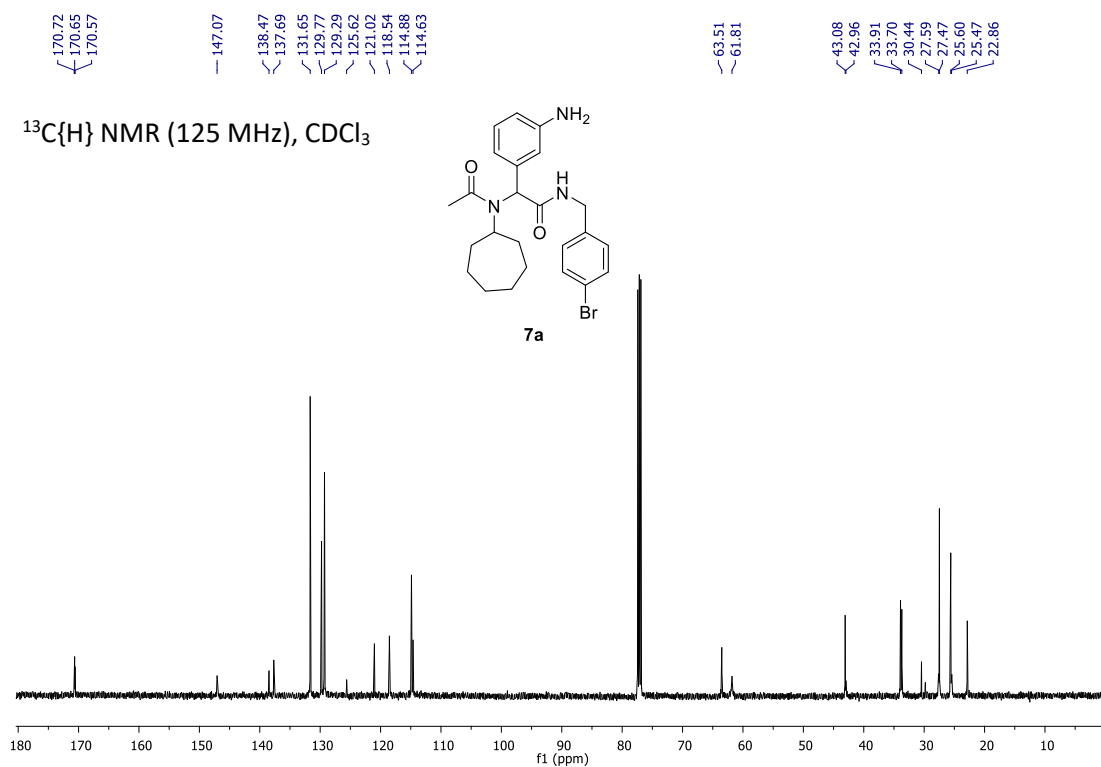
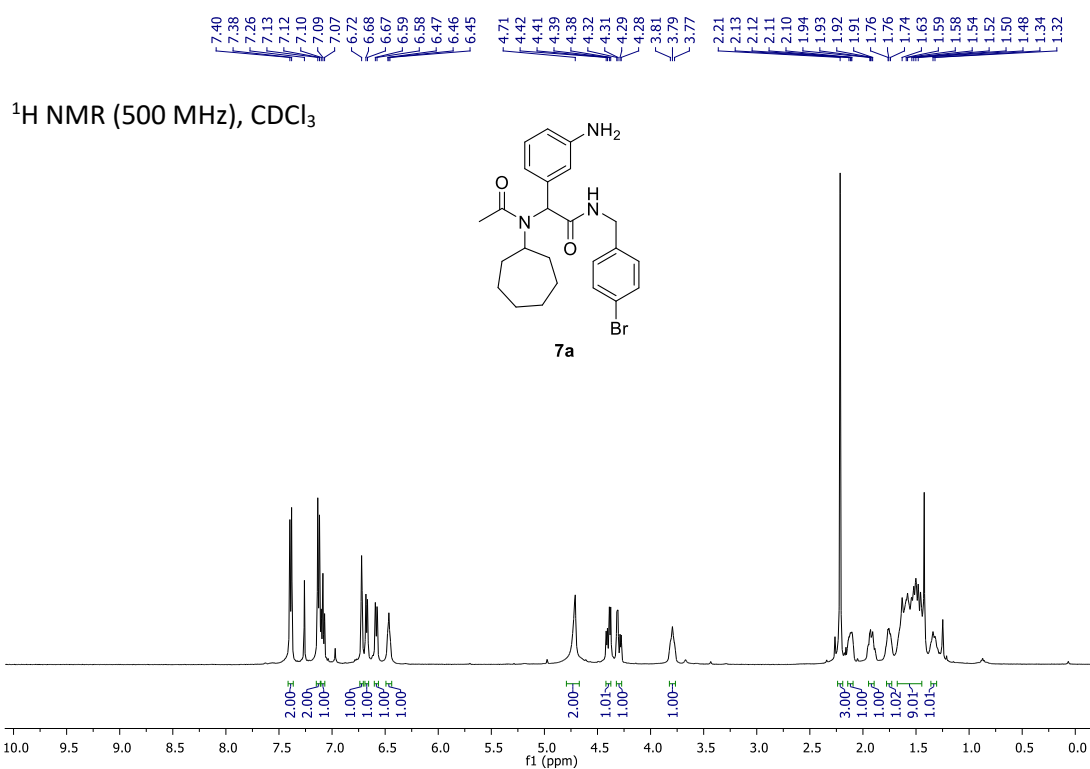
Chemical structure of **5a** is shown above the spectrum. The structure is a 2-((2-ethyl-1-((cyclohexylamino)carbonyl)ethyl)amino)aniline derivative.

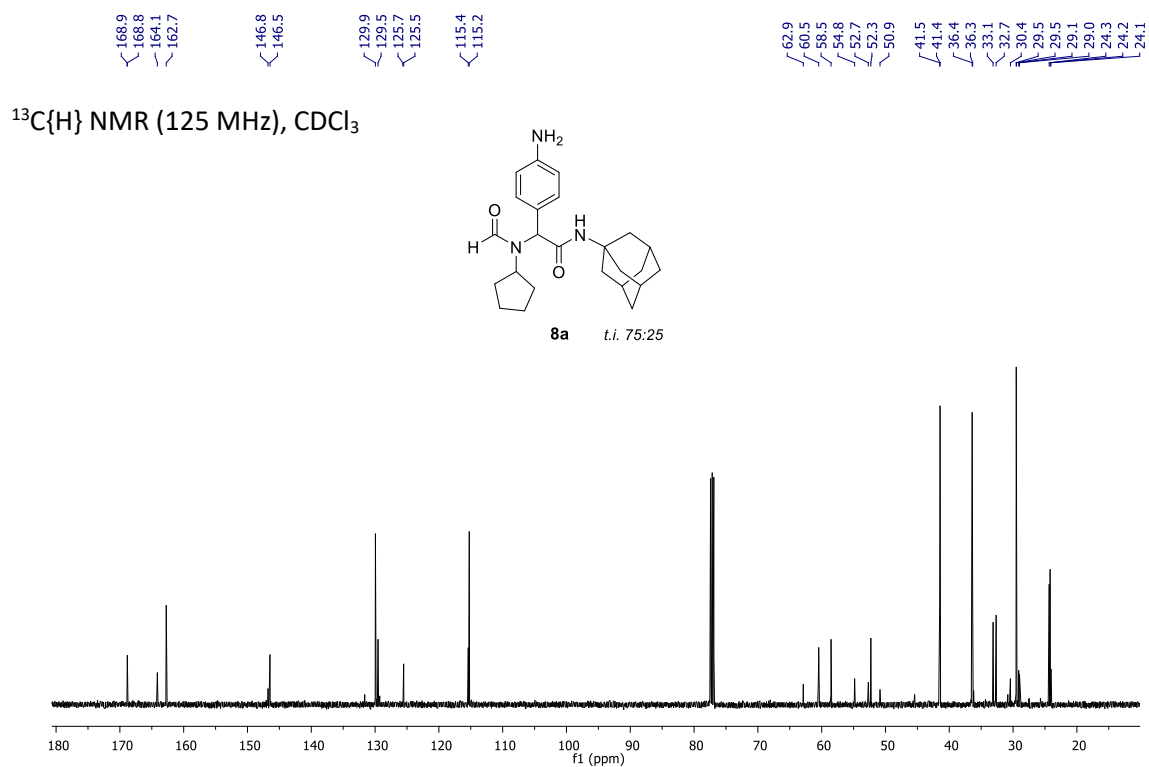
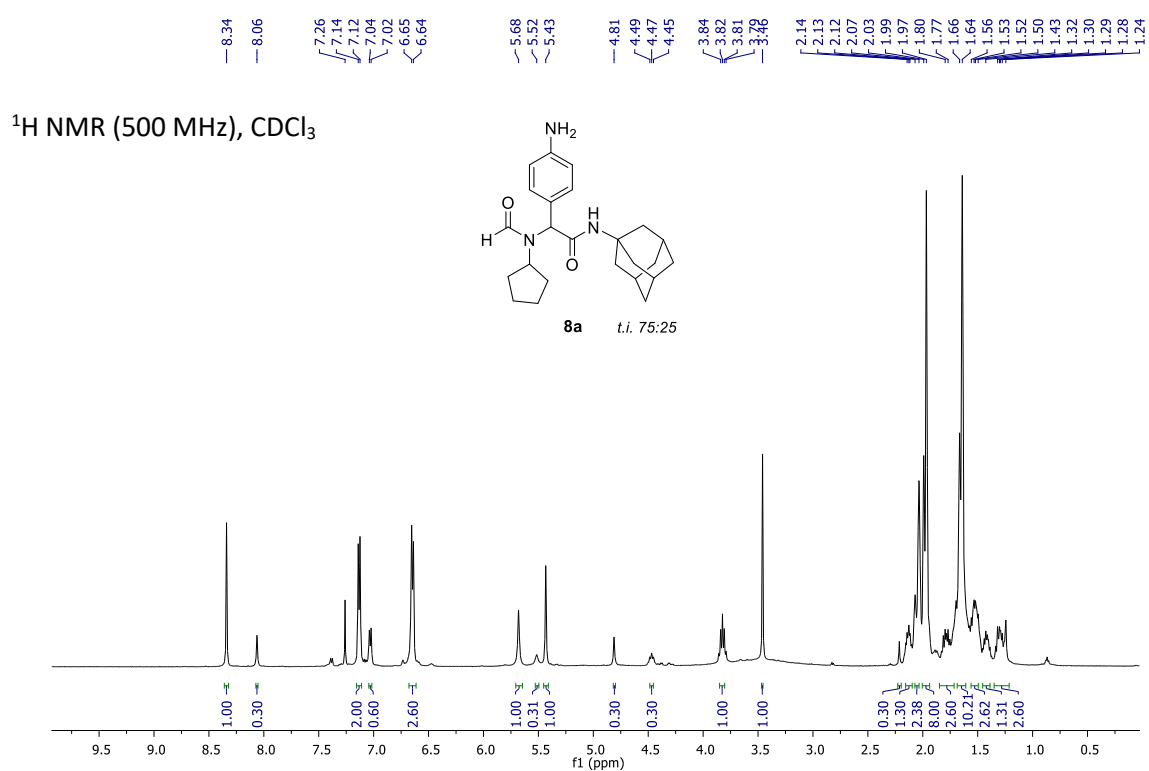
¹³C{H} NMR (125 MHz), CDCl₃

5a

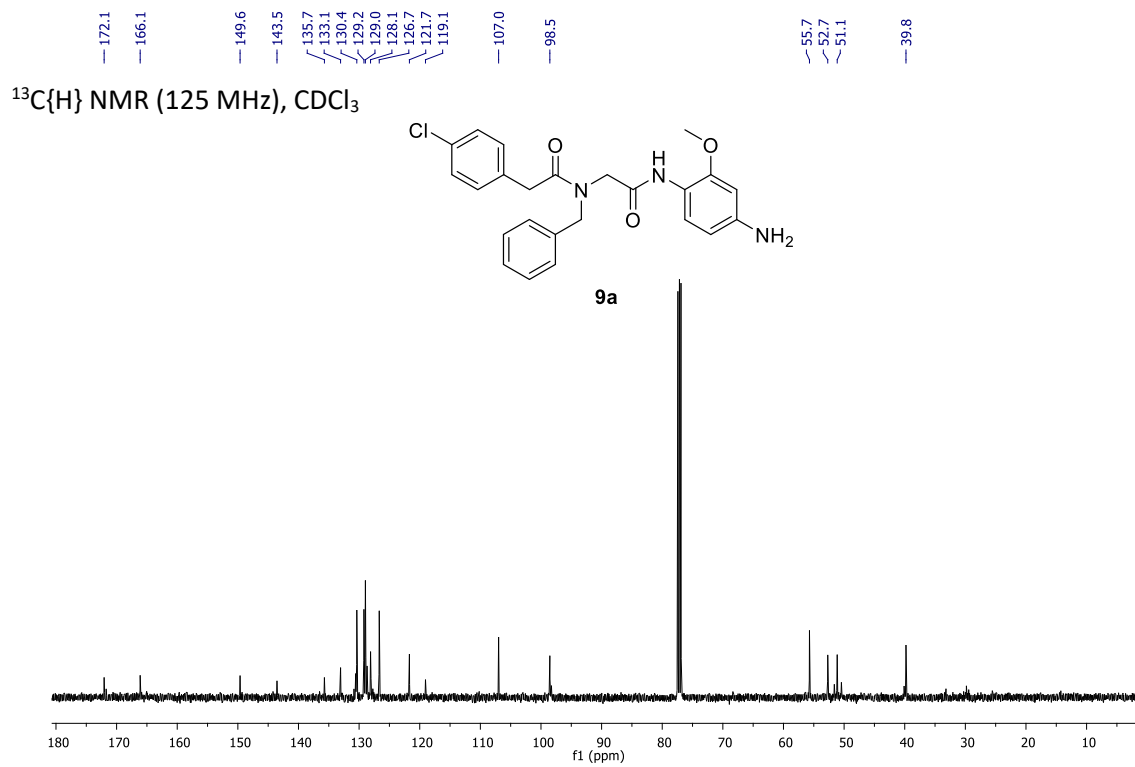
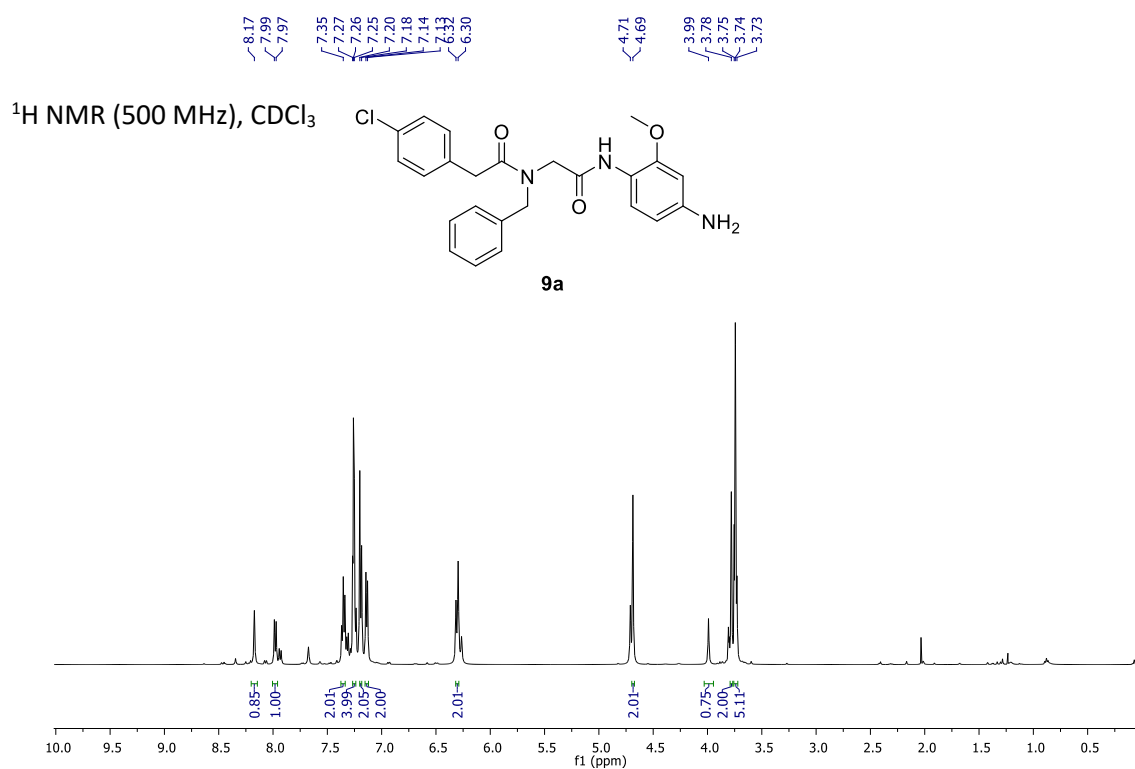
Chemical structure of **5a** is shown above the spectrum. The structure is N-(2-ethyl-2-(2-aminophenyl)ethyl)hexahydroindole-3-carboxamide. The spectrum displays the following chemical shifts (ppm): 171.3, 143.6, 135.1, 125.8, 124.5, 118.7, 115.8, 68.8, 52.1, 47.8, 33.4, 33.0, 25.6, 24.9, 24.9, 23.3, 20.5, 11.9, 10.6.

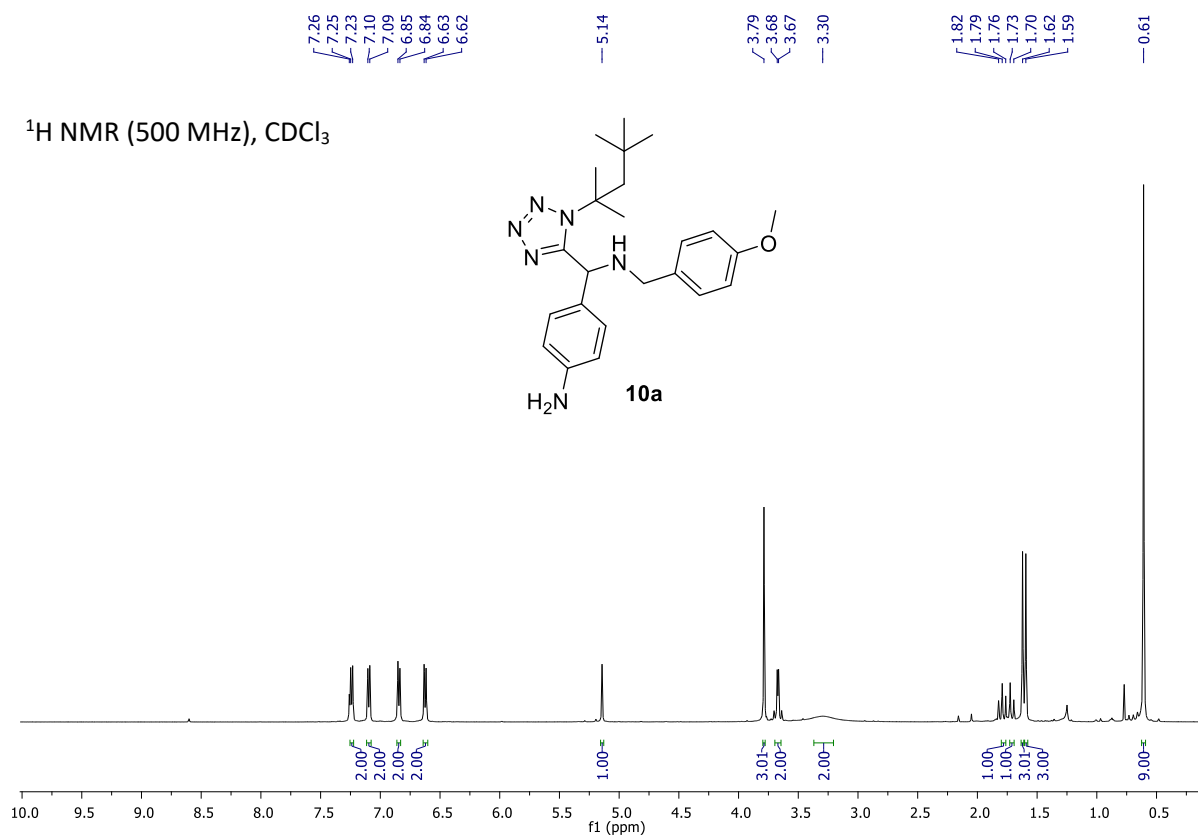
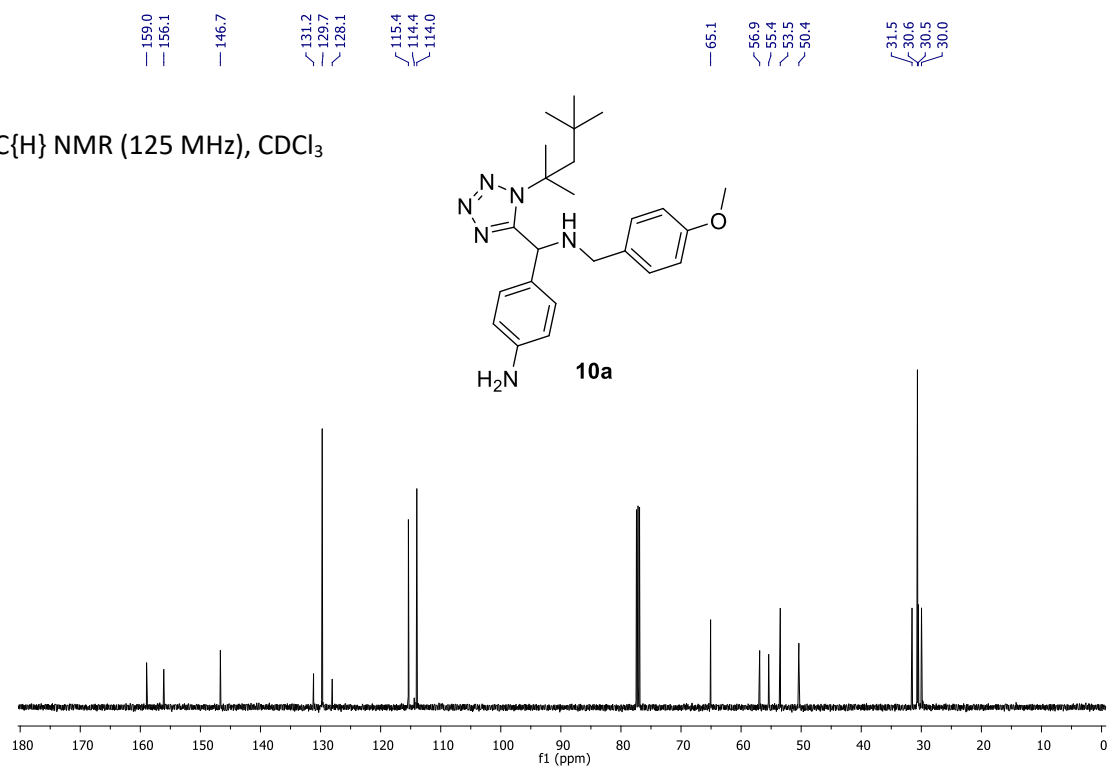




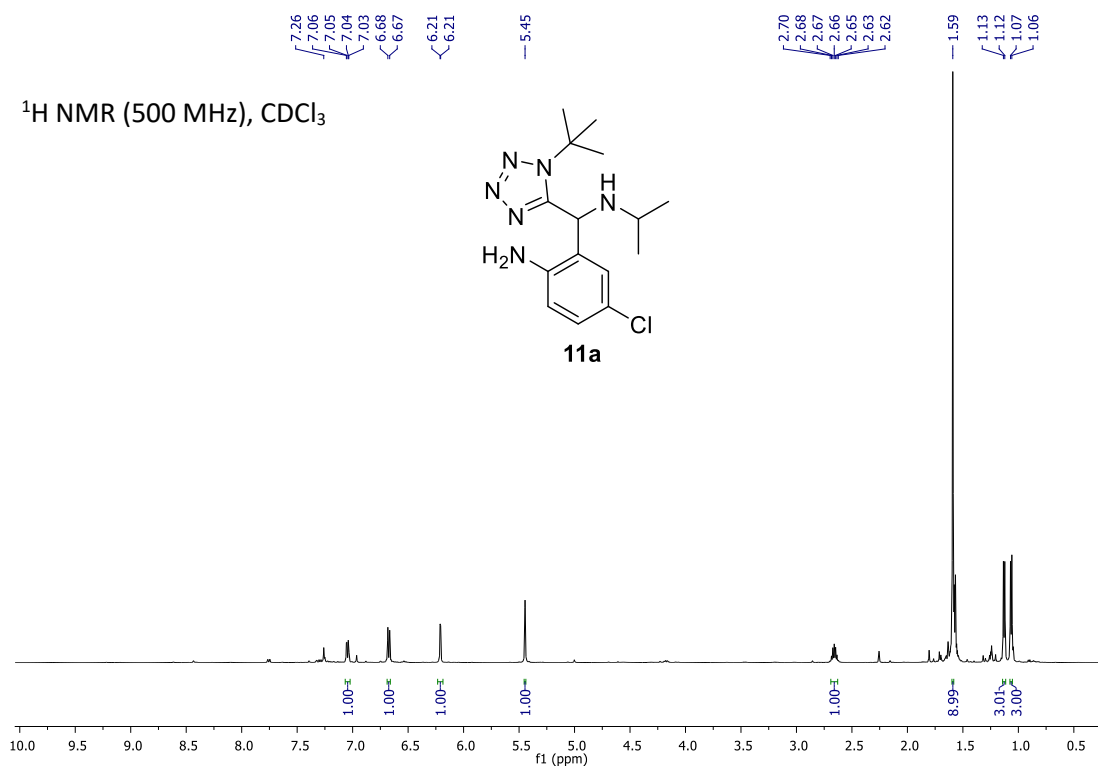


t.i.-topo isomers

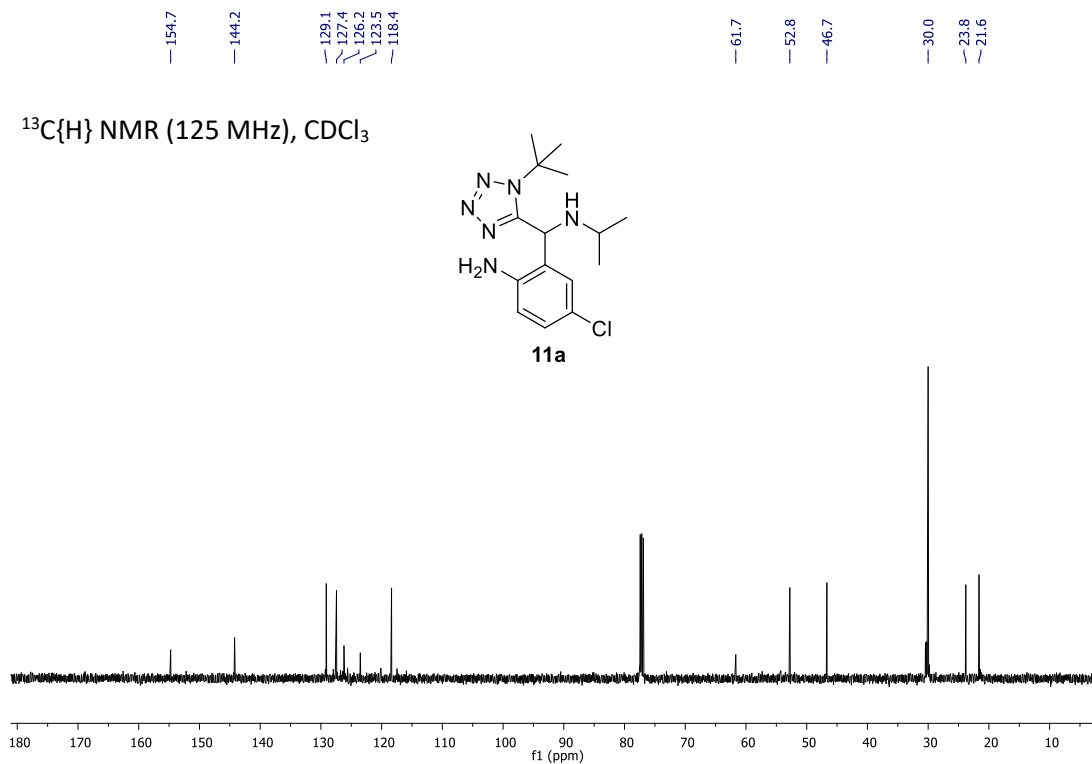


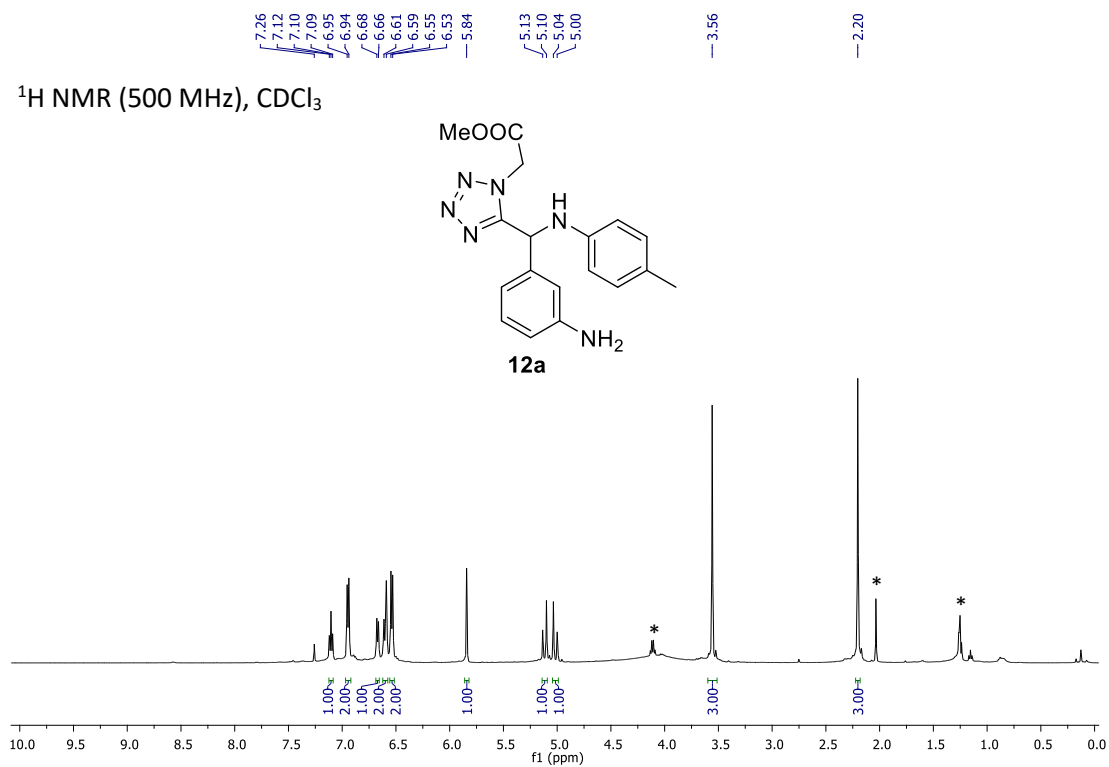
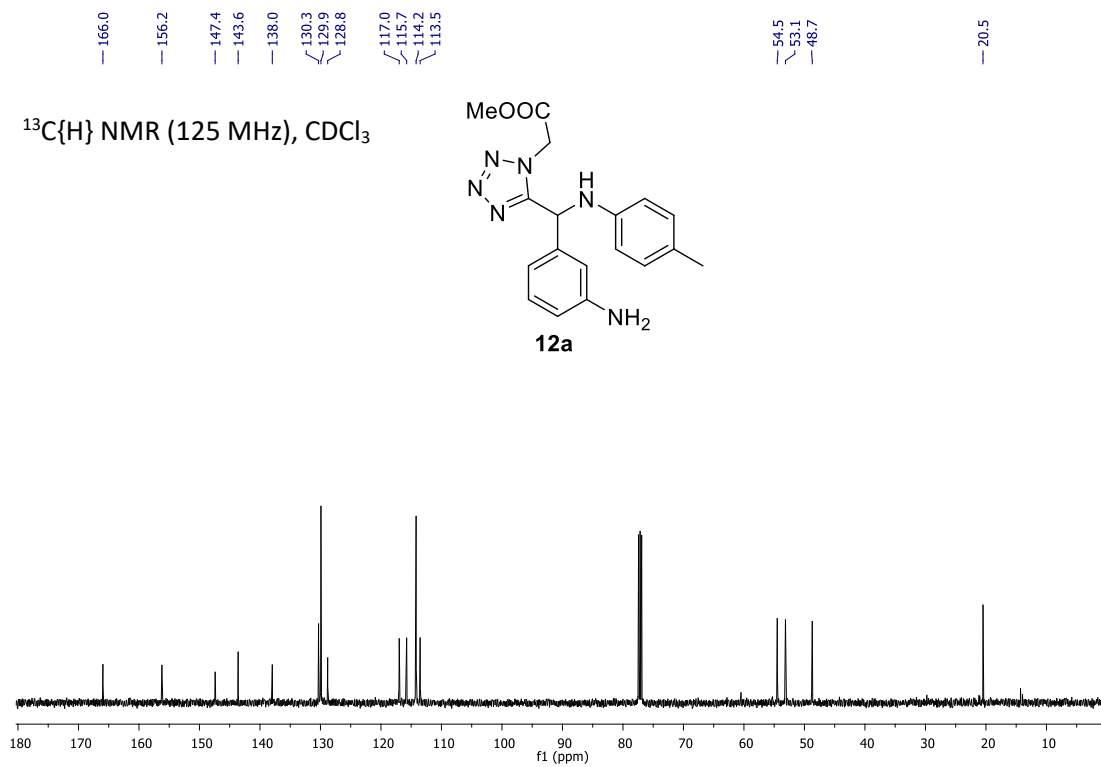
^1H NMR (500 MHz), CDCl_3  $^{13}\text{C}\{\text{H}\}$ NMR (125 MHz), CDCl_3 

^1H NMR (500 MHz), CDCl_3

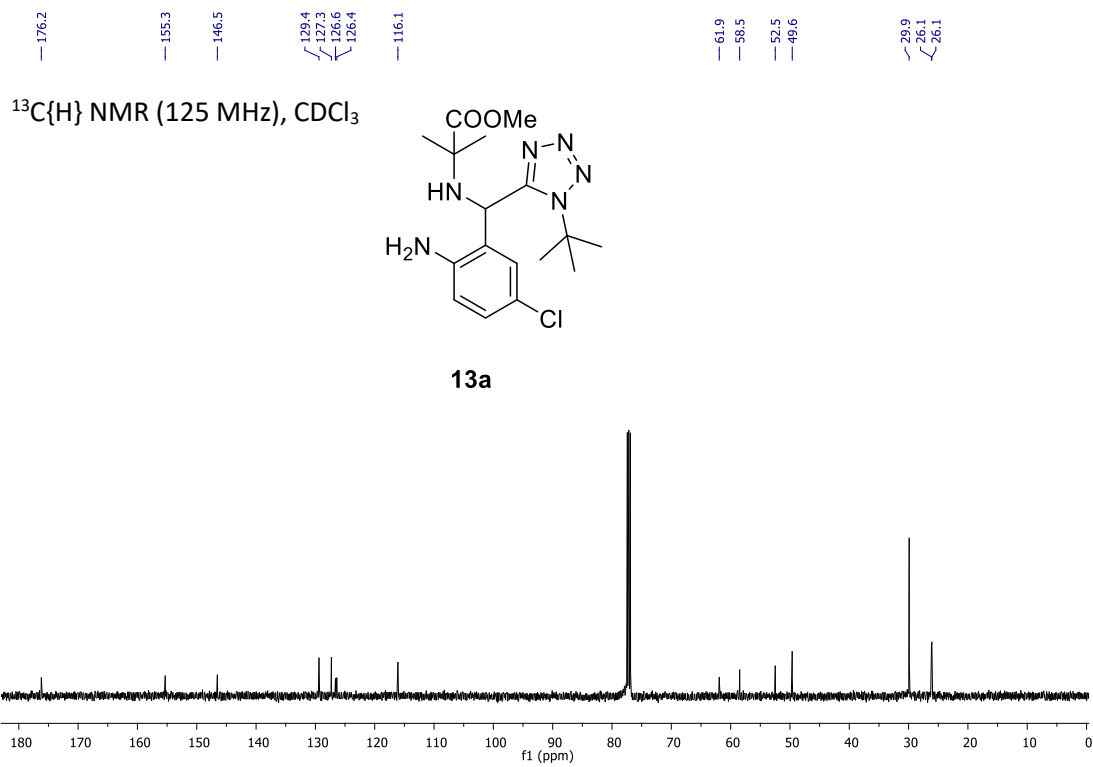
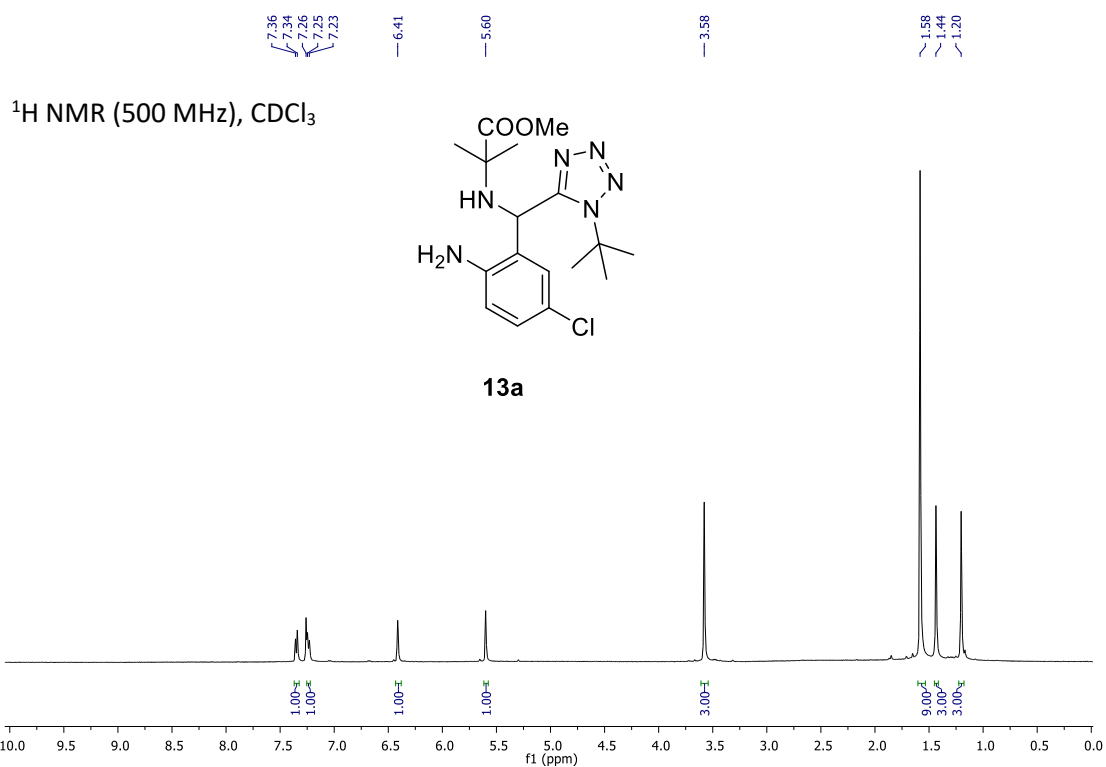


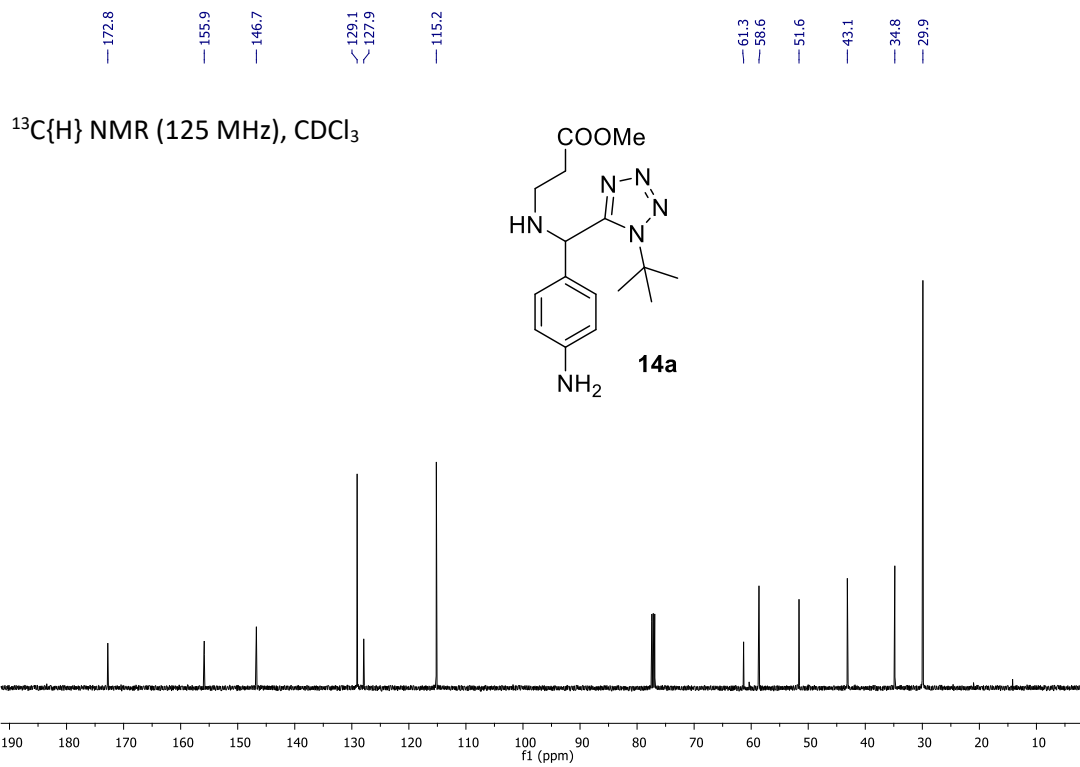
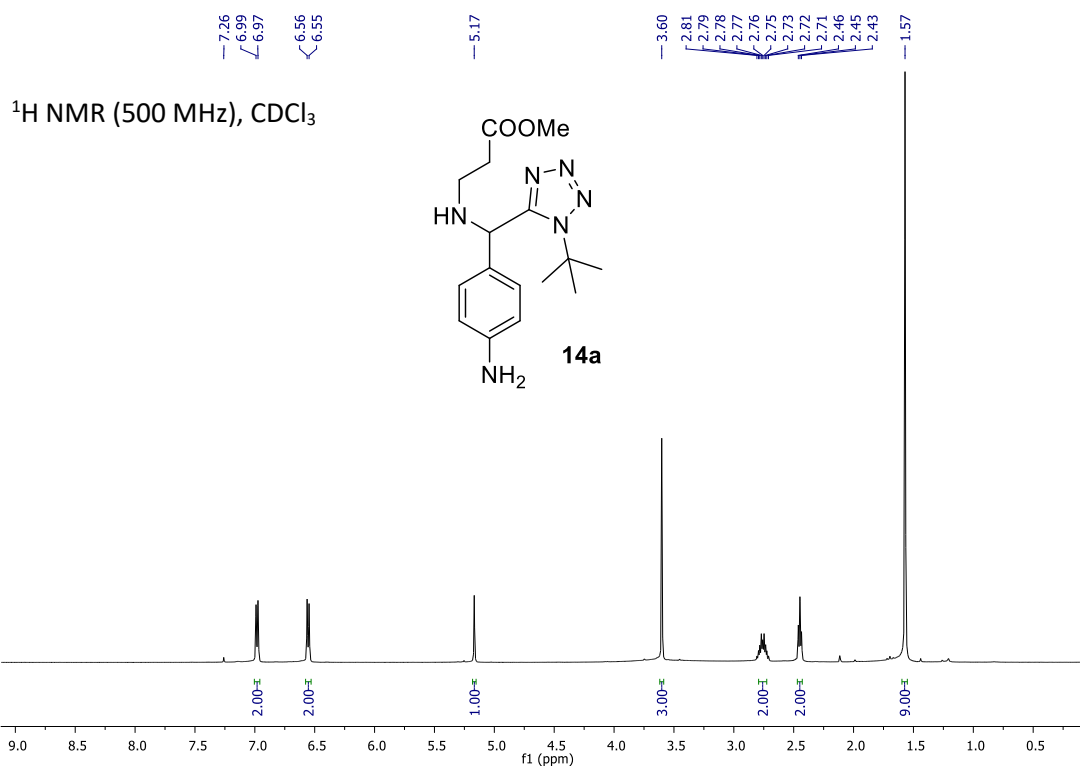
$^{13}\text{C}\{\text{H}\}$ NMR (125 MHz), CDCl_3

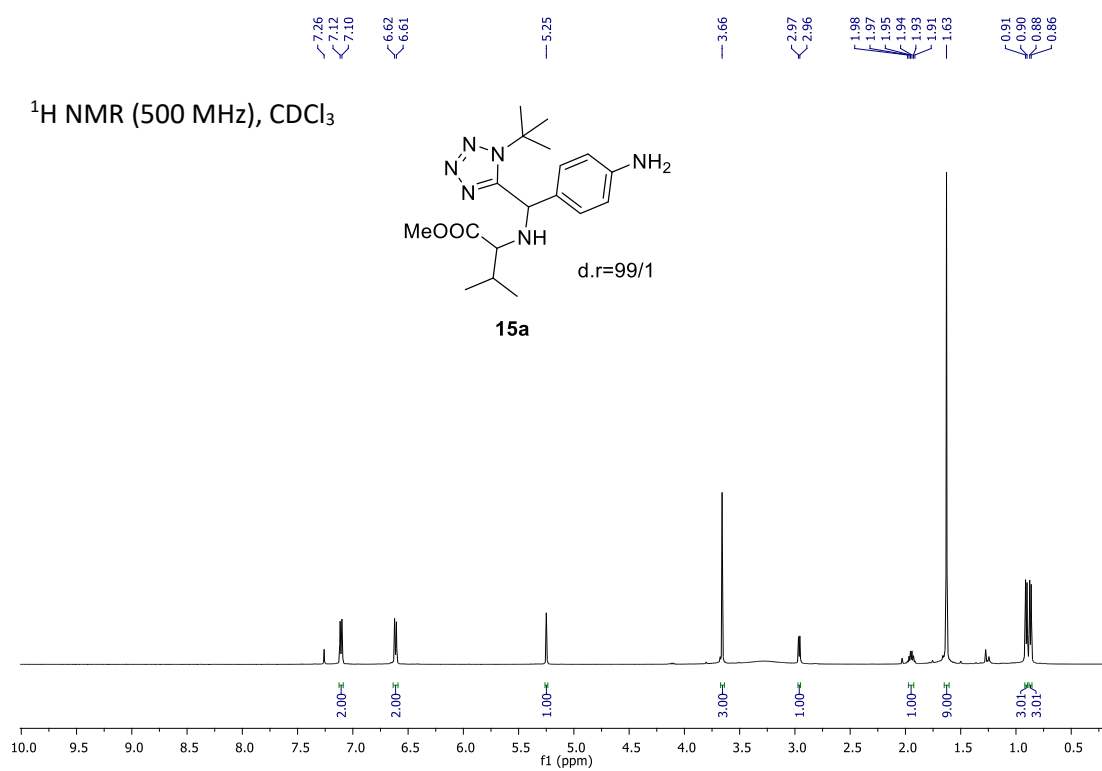
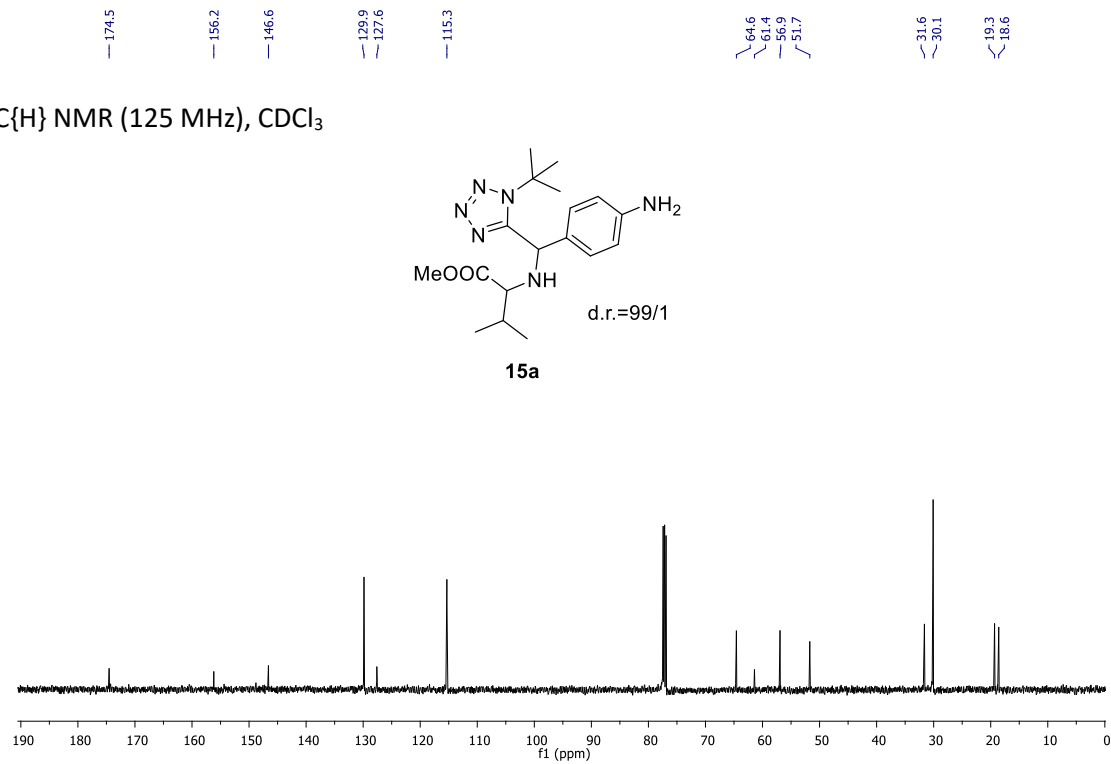


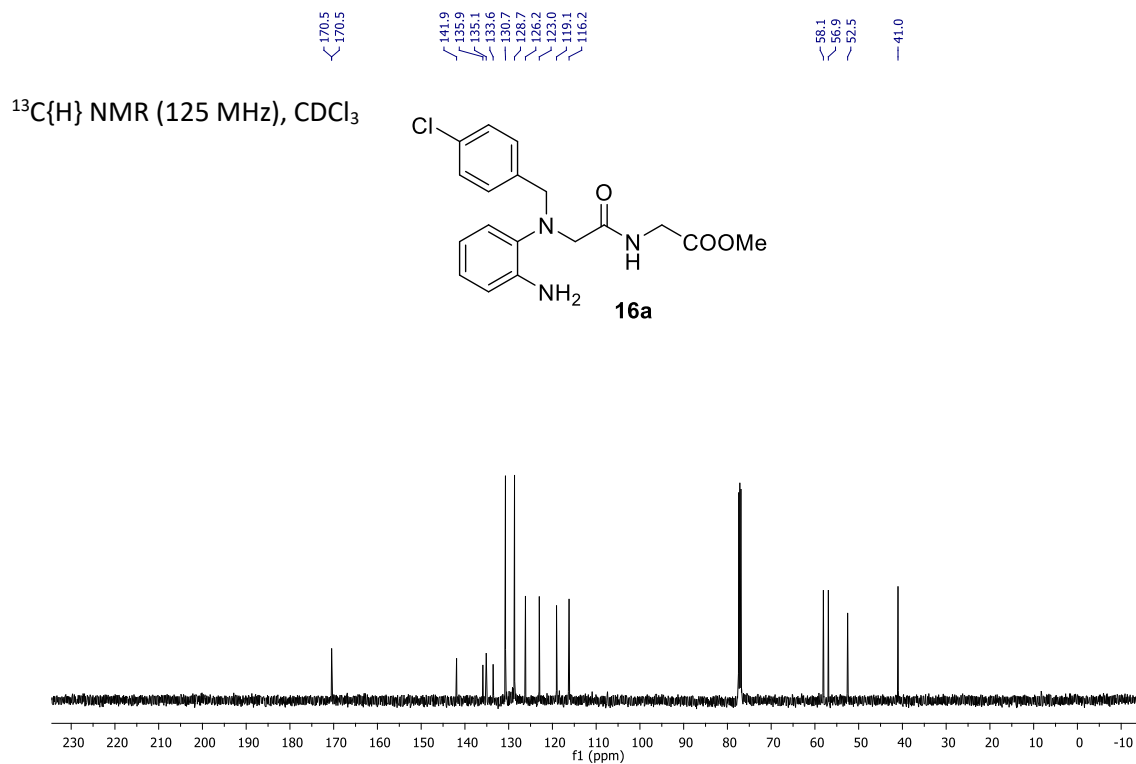
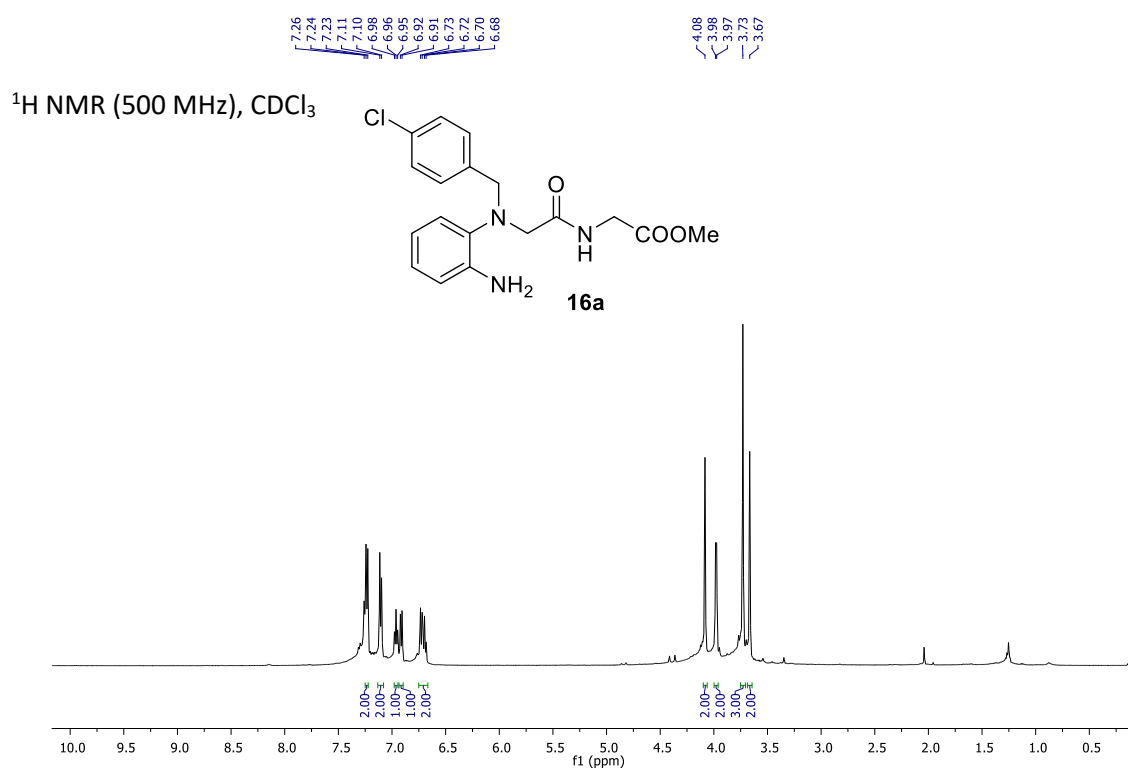
^1H NMR (500 MHz), CDCl_3  $^{13}\text{C}\{\text{H}\}$ NMR (125 MHz), CDCl_3 

*Ethyl acetate.

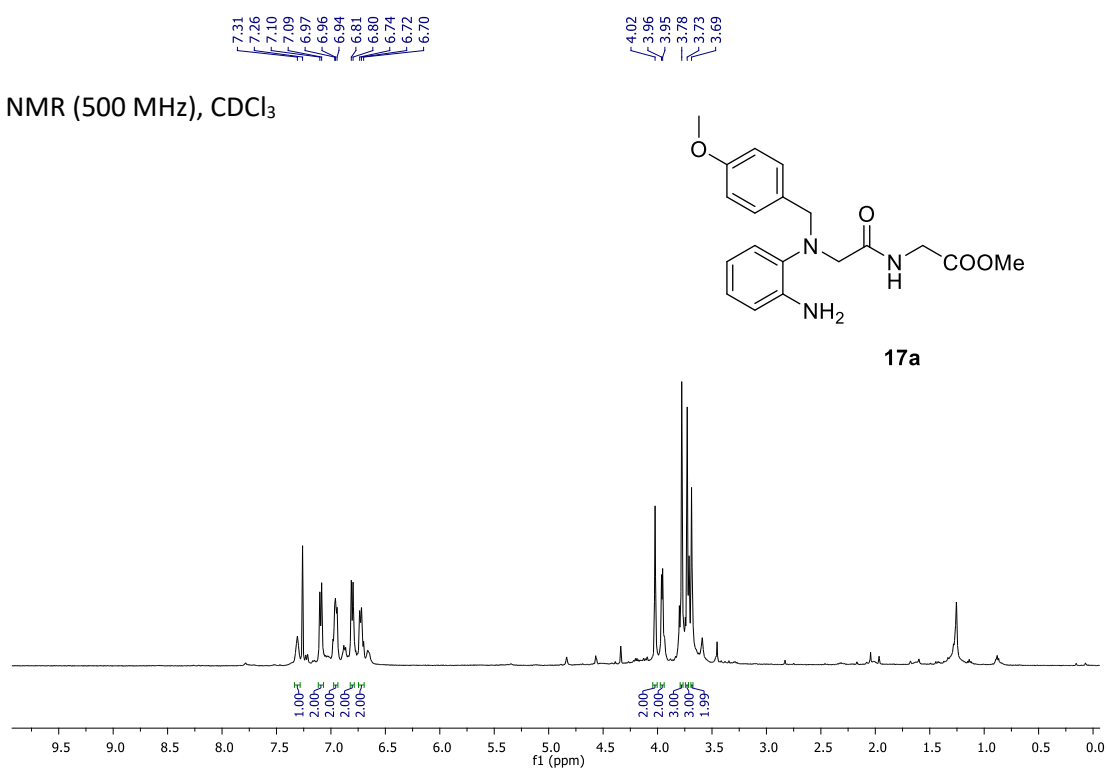




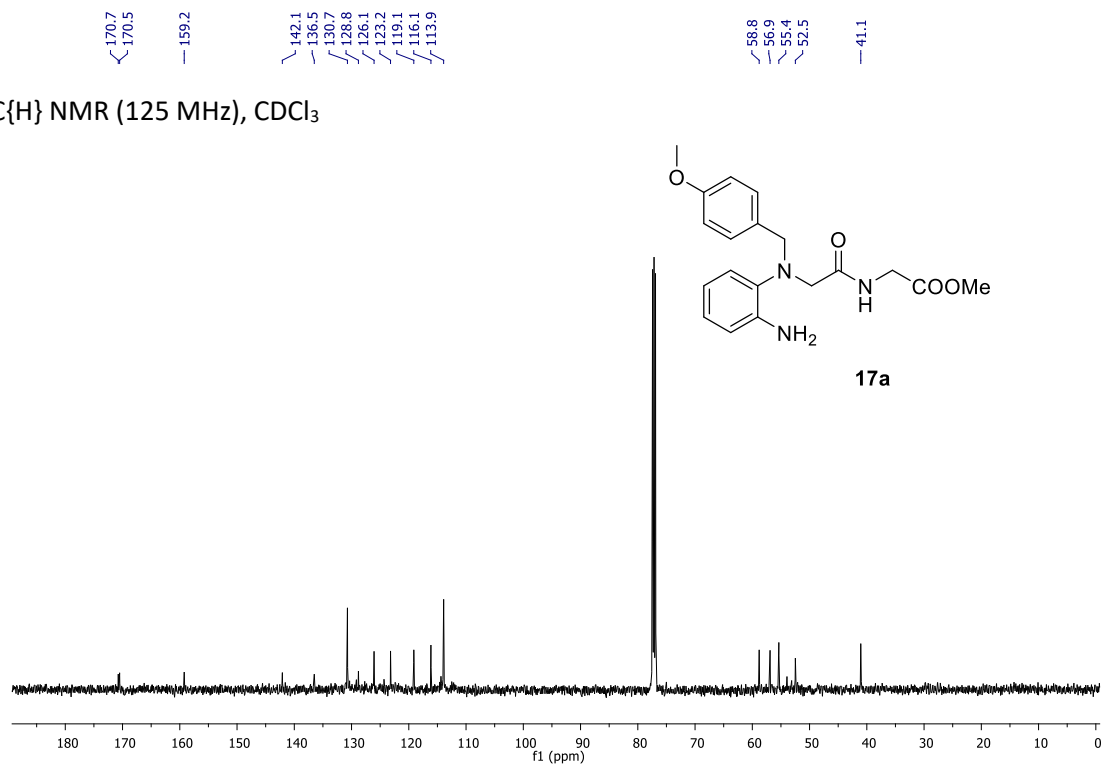
¹H NMR (500 MHz), CDCl₃¹³C{H} NMR (125 MHz), CDCl₃

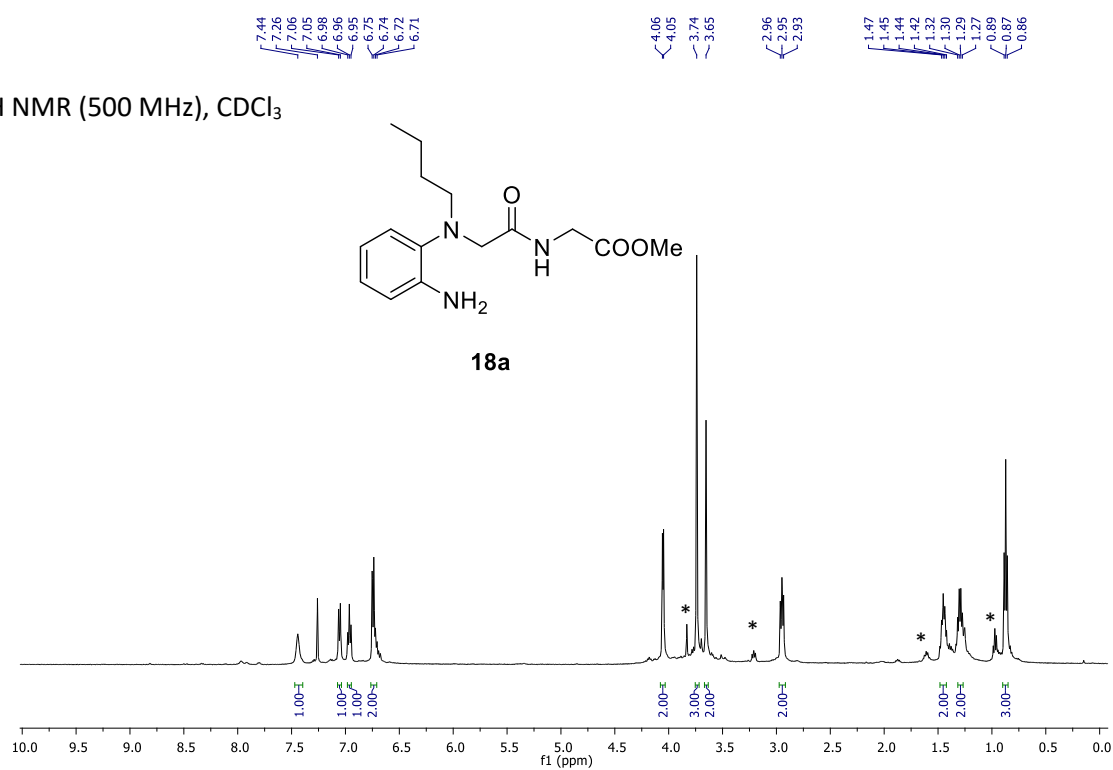
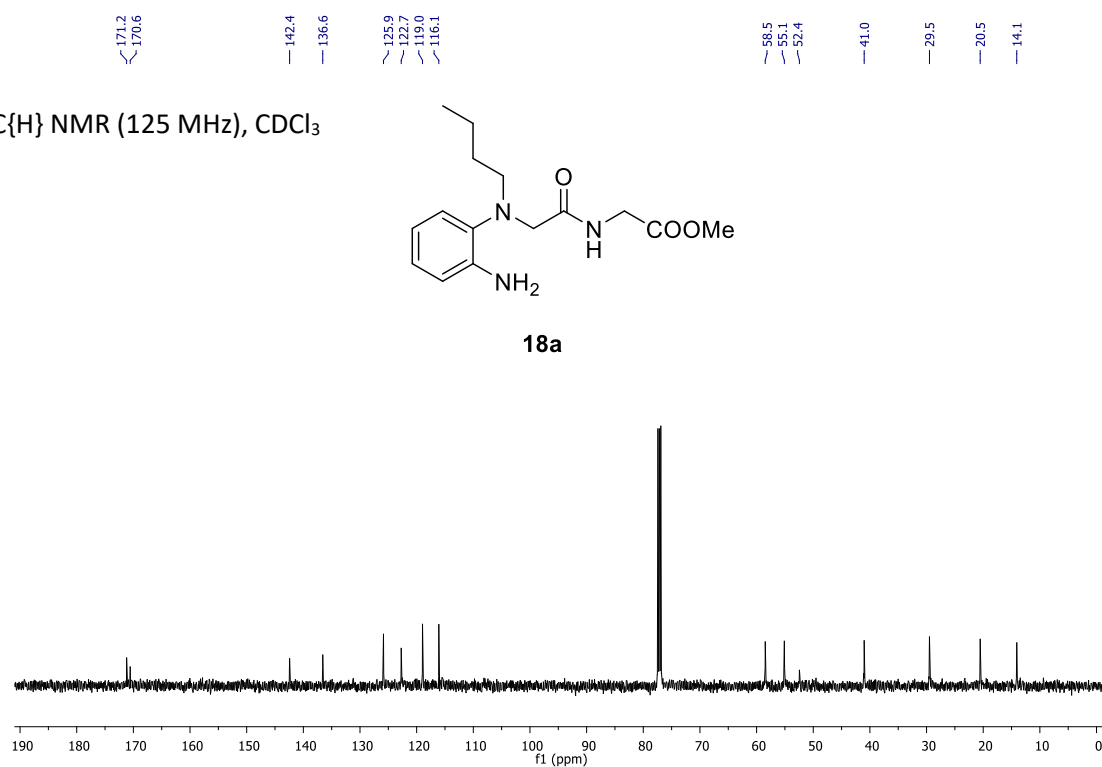


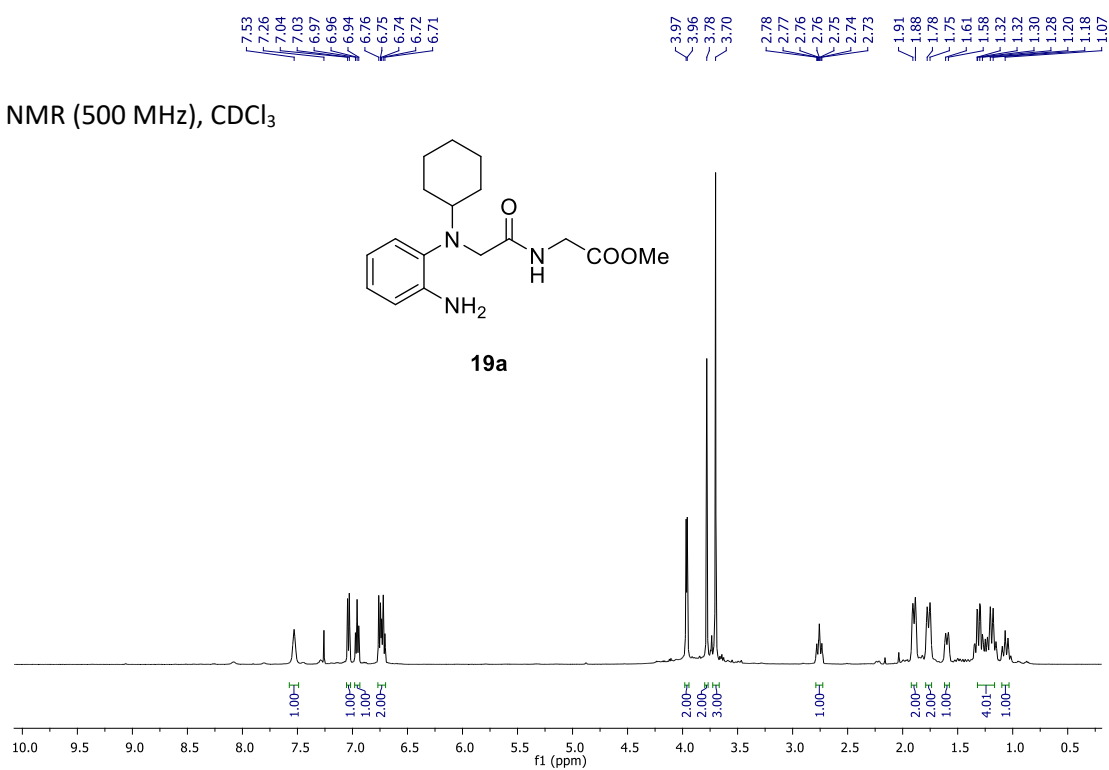
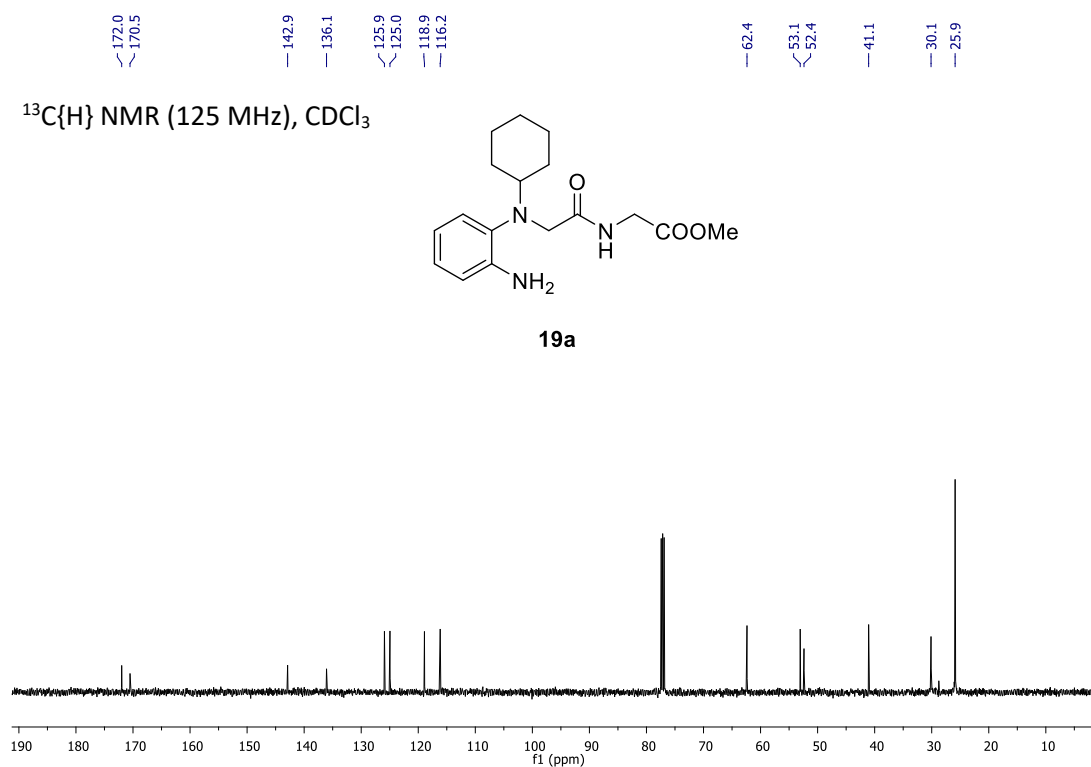
^1H NMR (500 MHz), CDCl_3

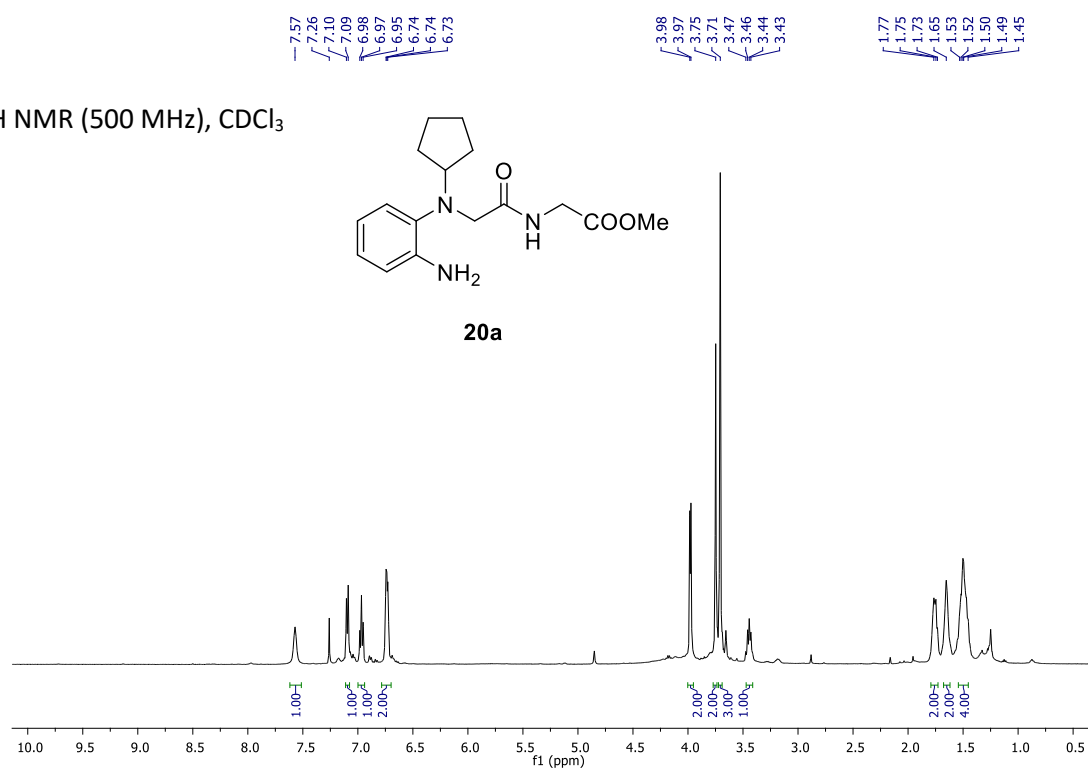
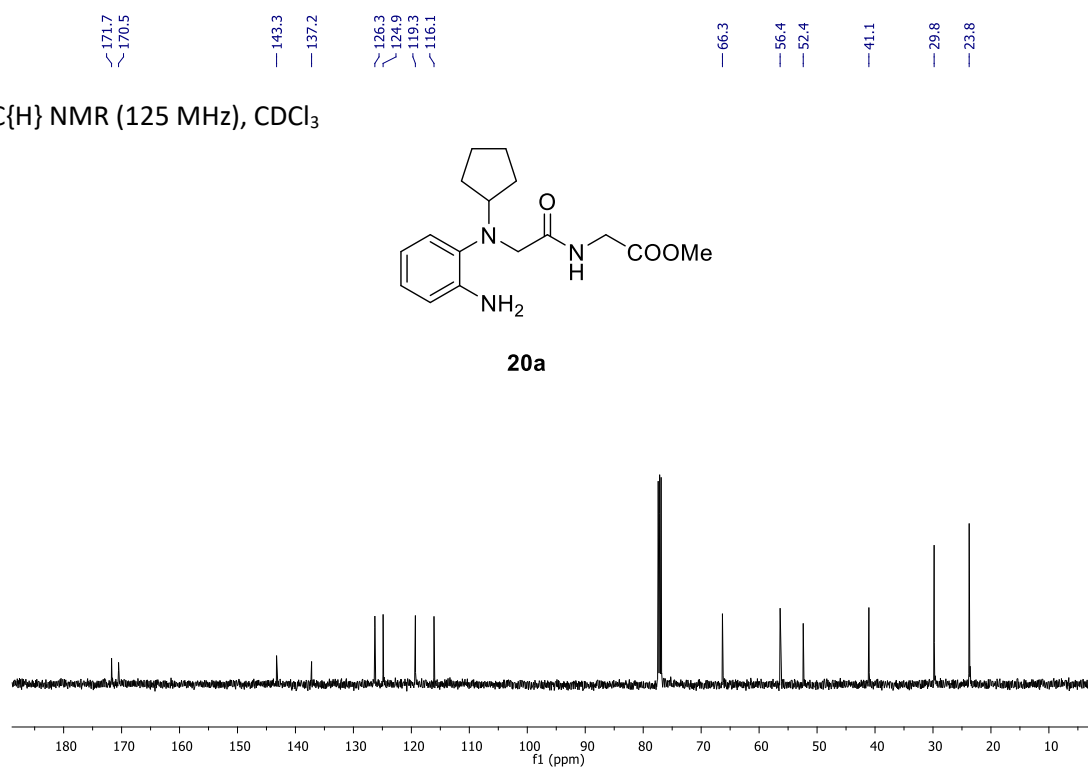


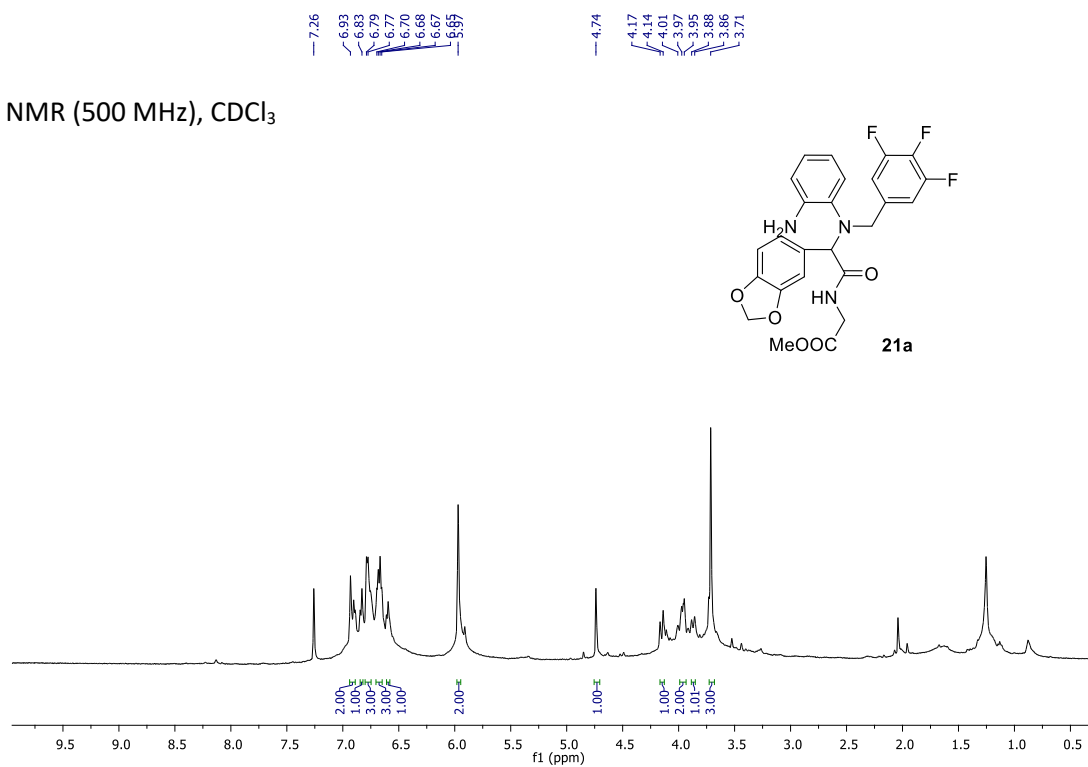
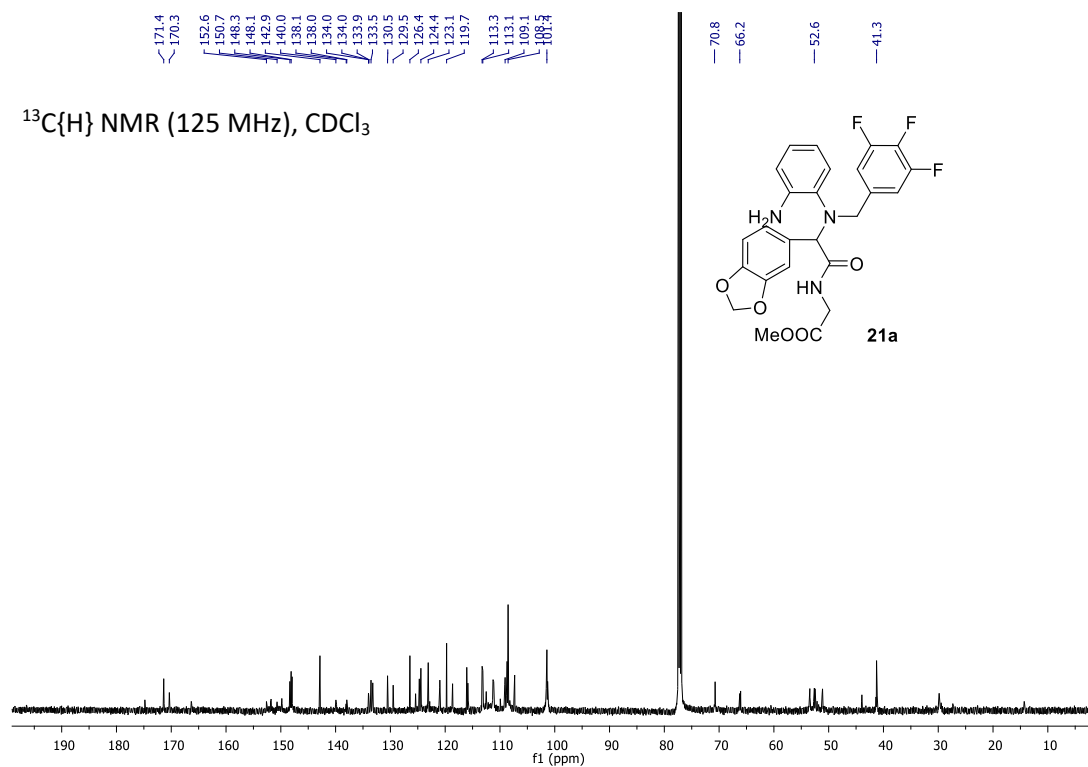
$^{13}\text{C}\{\text{H}\}$ NMR (125 MHz), CDCl_3

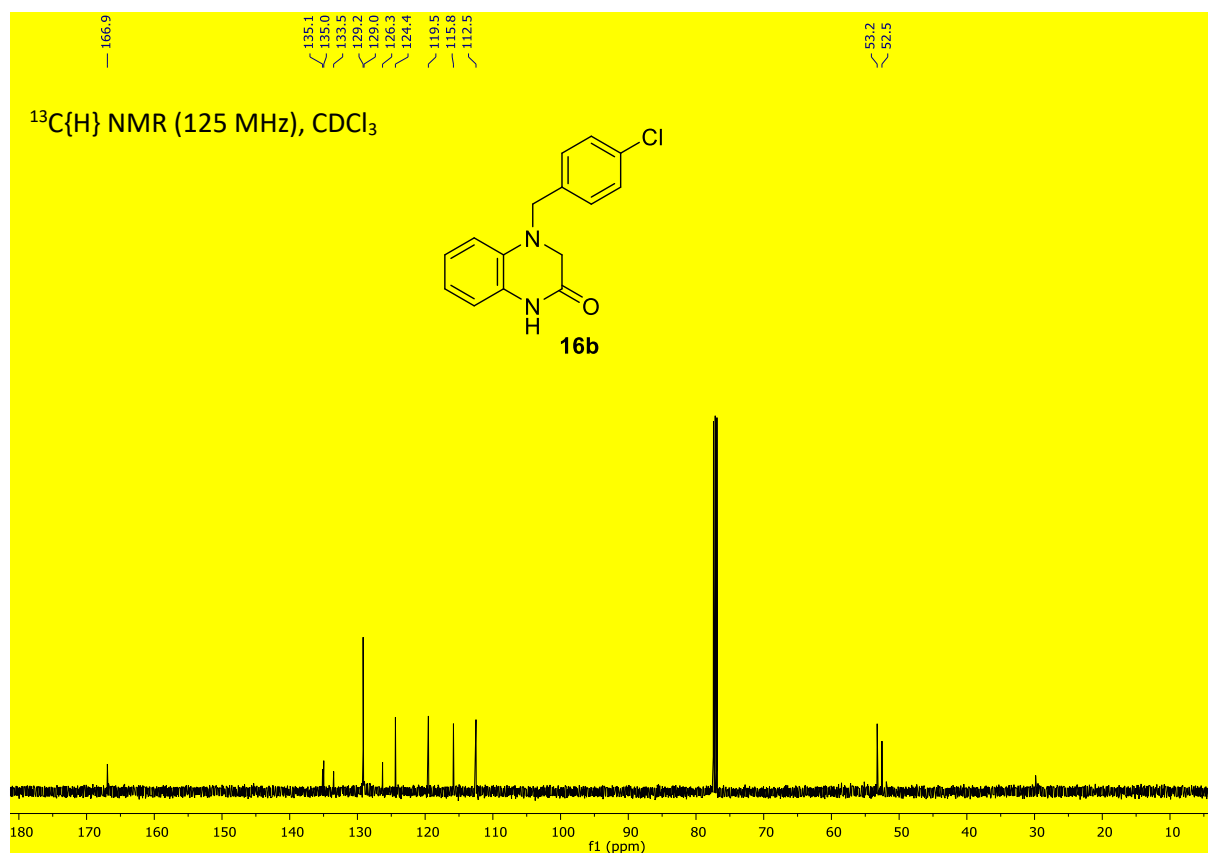
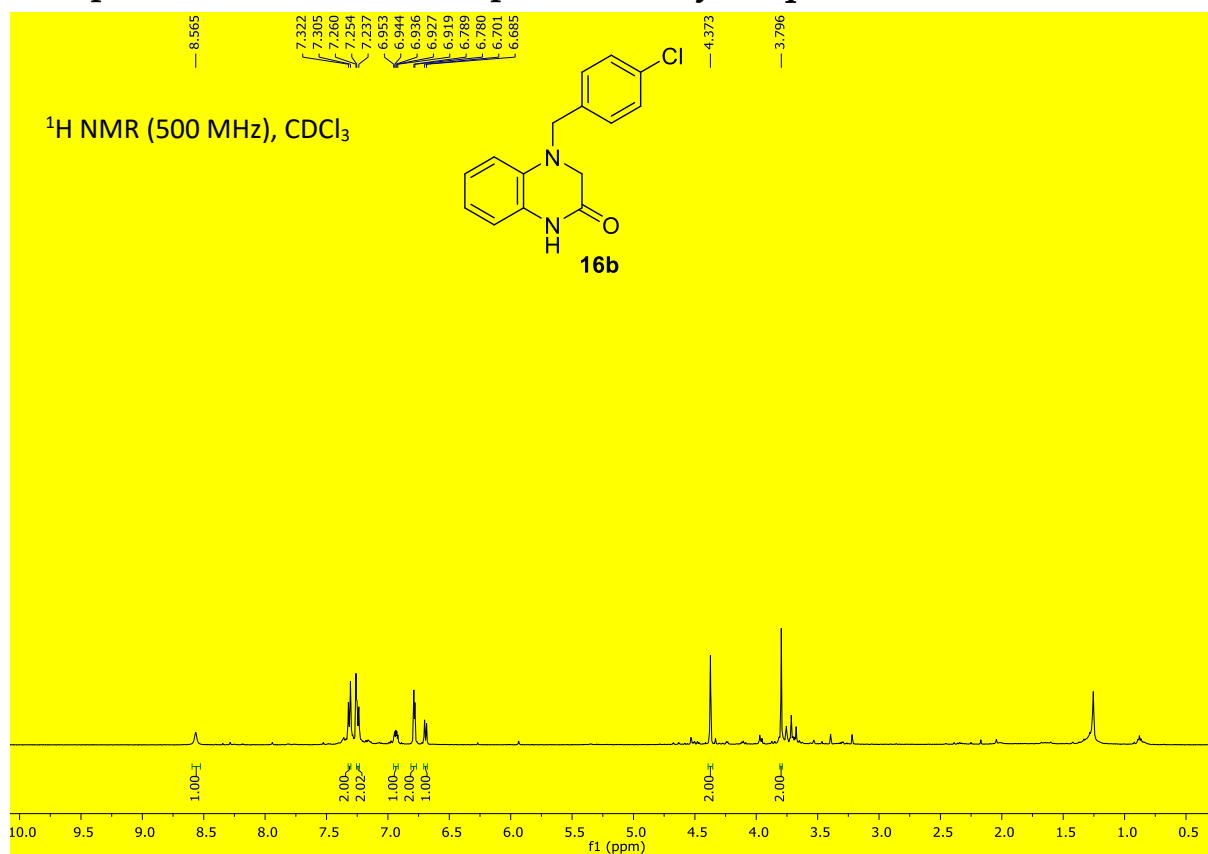


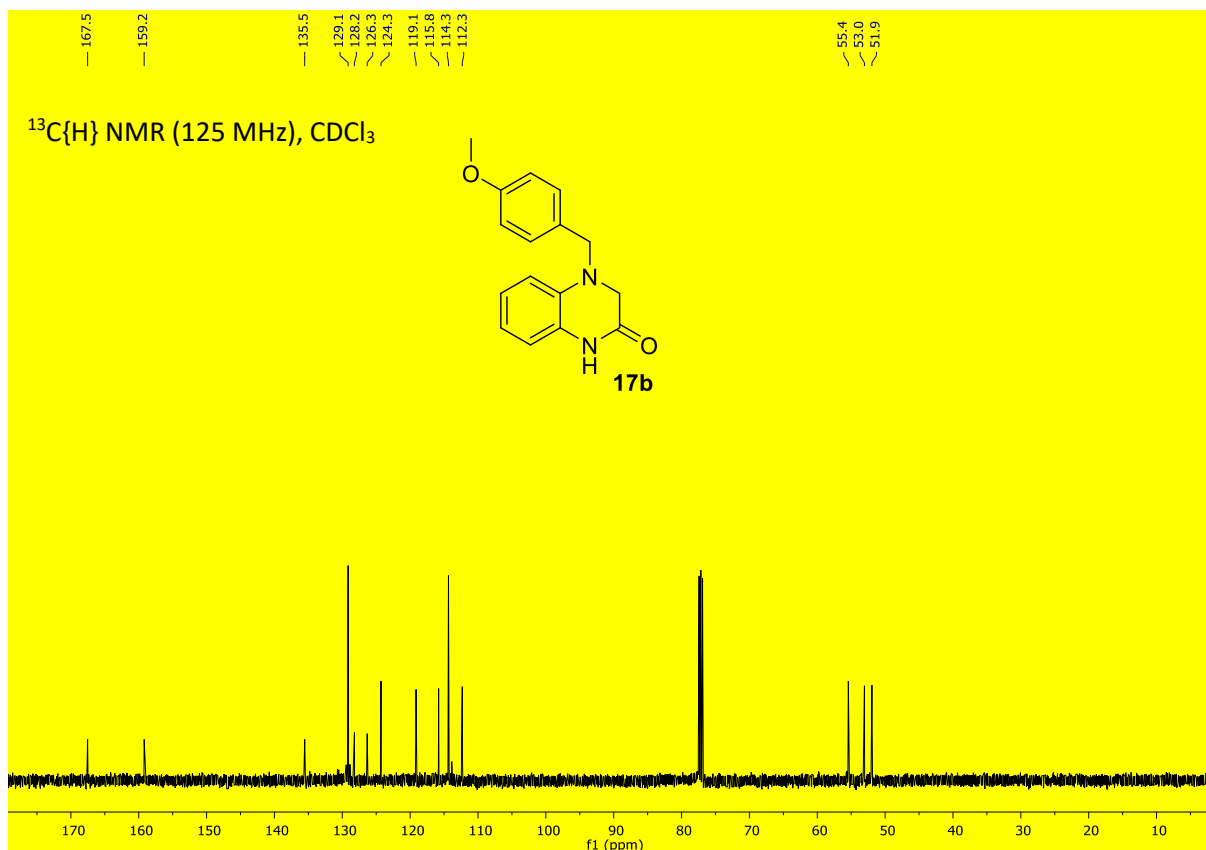
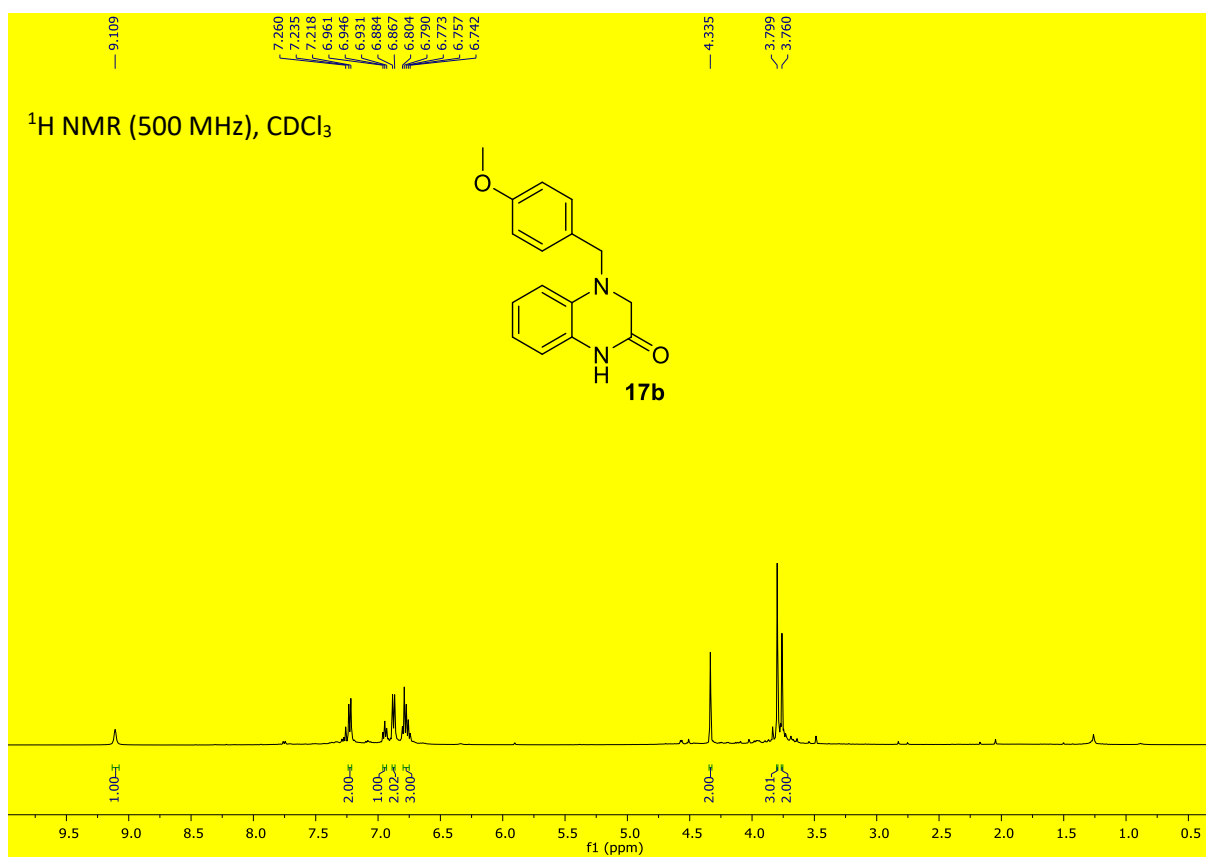
^1H NMR (500 MHz), CDCl_3  $^{13}\text{C}\{\text{H}\}$ NMR (125 MHz), CDCl_3 * Small amount of **18b**.

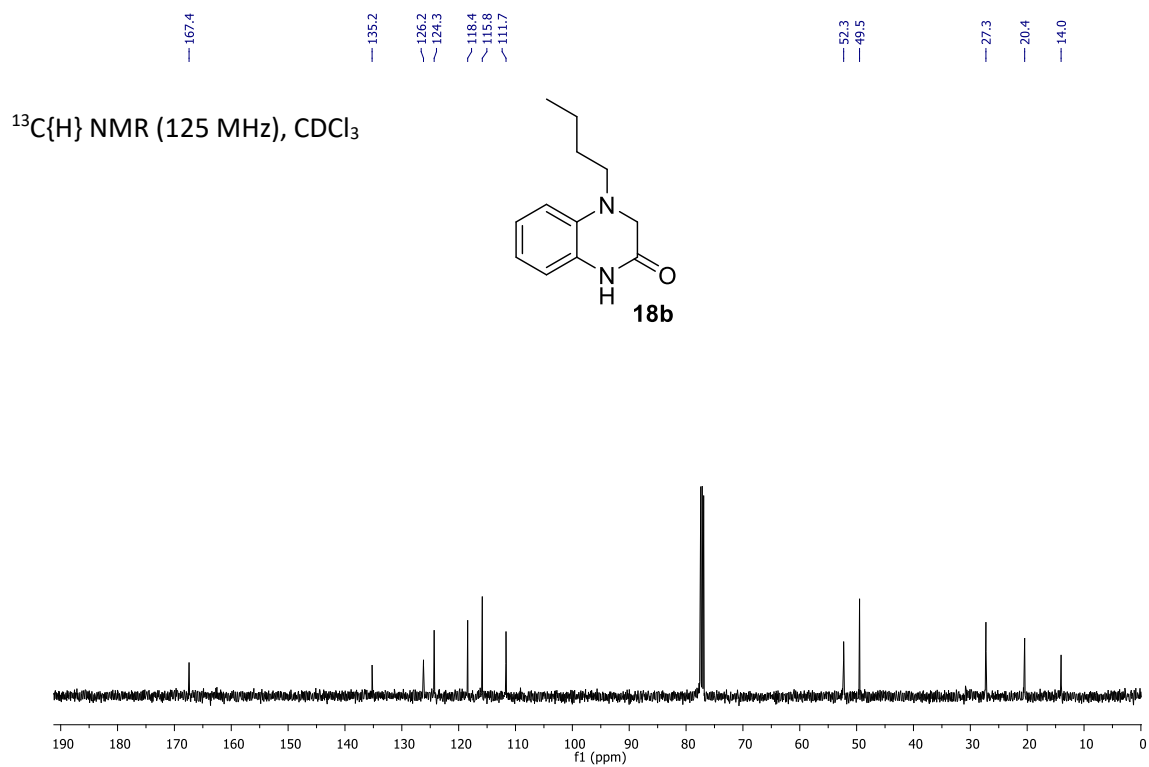
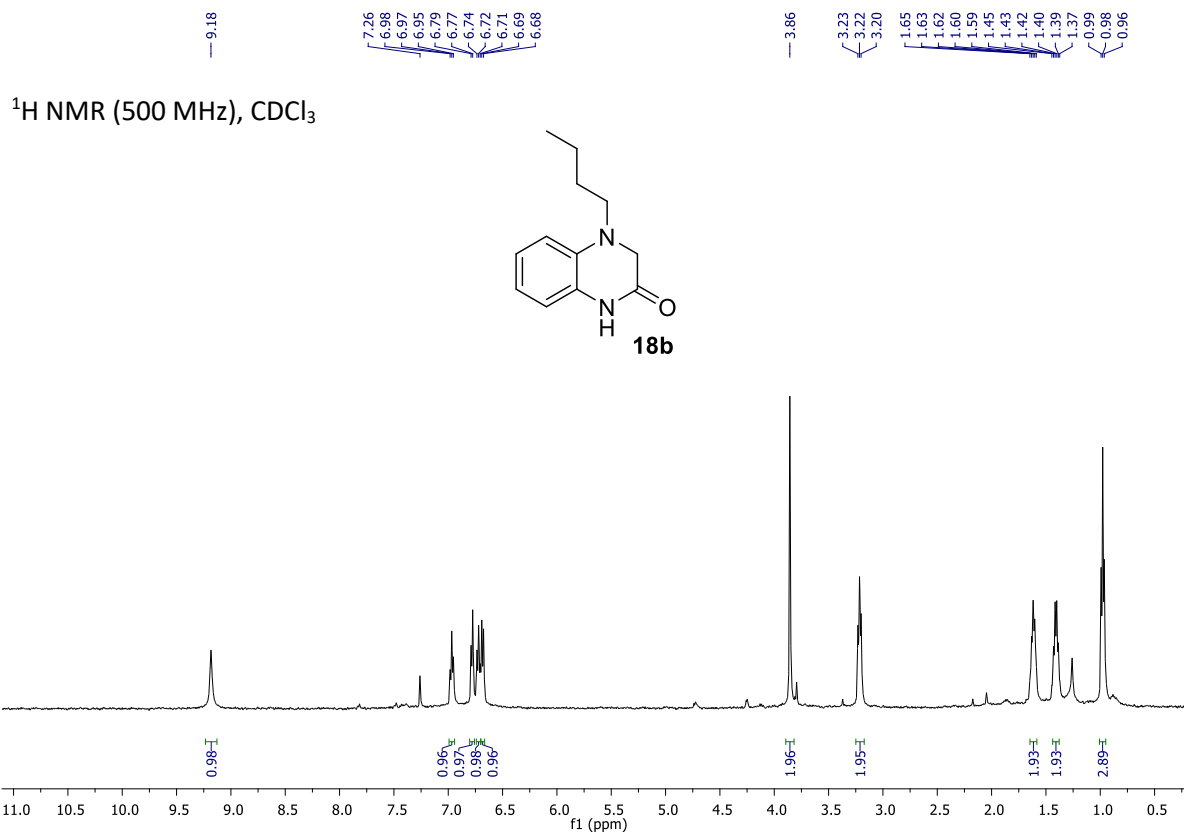
^1H NMR (500 MHz), CDCl_3  $^{13}\text{C}\{\text{H}\}$ NMR (125 MHz), CDCl_3 

^1H NMR (500 MHz), CDCl_3  $^{13}\text{C}\{\text{H}\}$ NMR (125 MHz), CDCl_3 

^1H NMR (500 MHz), CDCl_3  $^{13}\text{C}\{\text{H}\}$ NMR (125 MHz), CDCl_3 

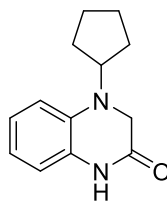
Copies of ^1H and ^{13}C NMR spectra of dihydroquinoxalinones 16b-21b



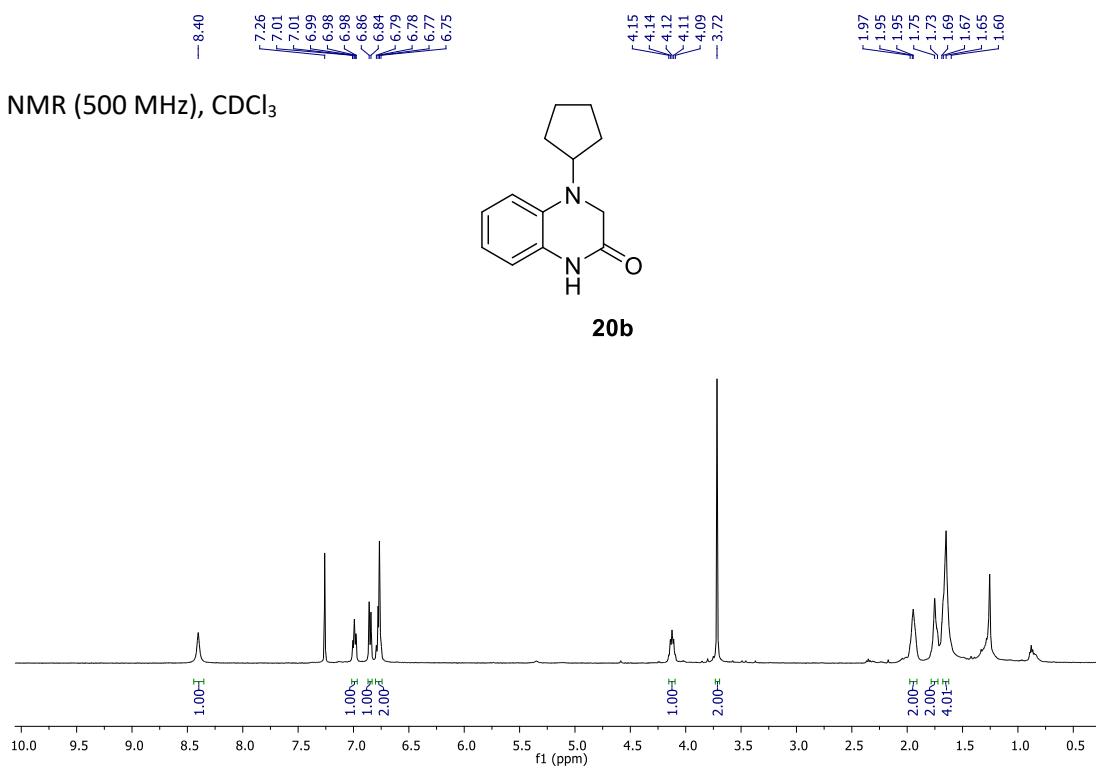




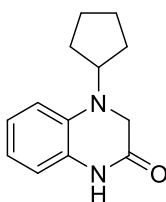
^1H NMR (500 MHz), CDCl_3



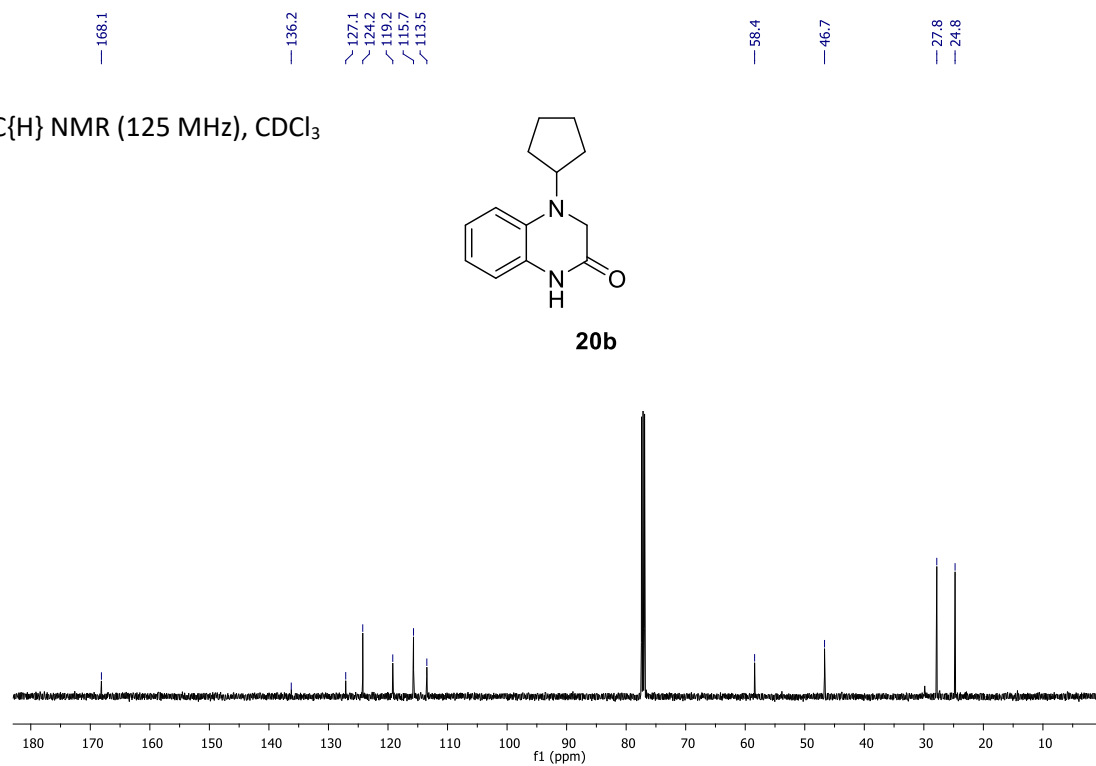
20b

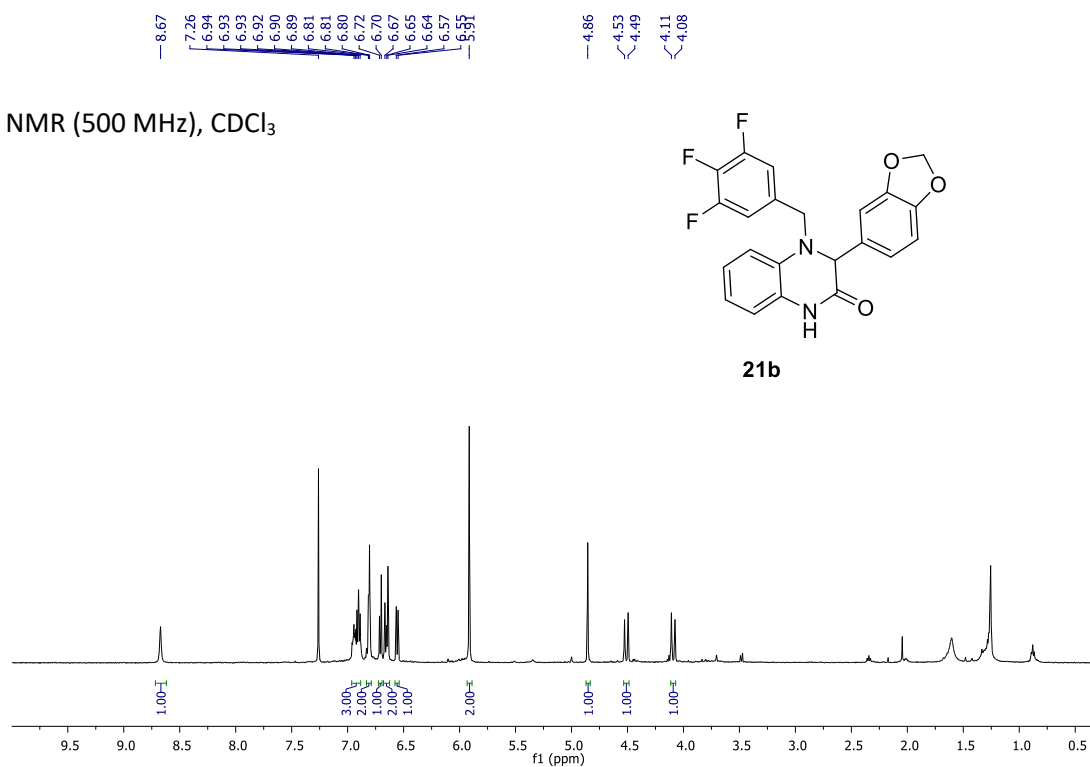


$^{13}\text{C}\{\text{H}\}$ NMR (125 MHz), CDCl_3



20b



^1H NMR (500 MHz), CDCl_3  $^{13}\text{C}\{\text{H}\}$ NMR (125 MHz), CDCl_3 