Supporting Information

Transition Metal-Free Selective C-S Bond Cleavage of Ugi-Adducts for Rapid Preparation of Peptidomimetics

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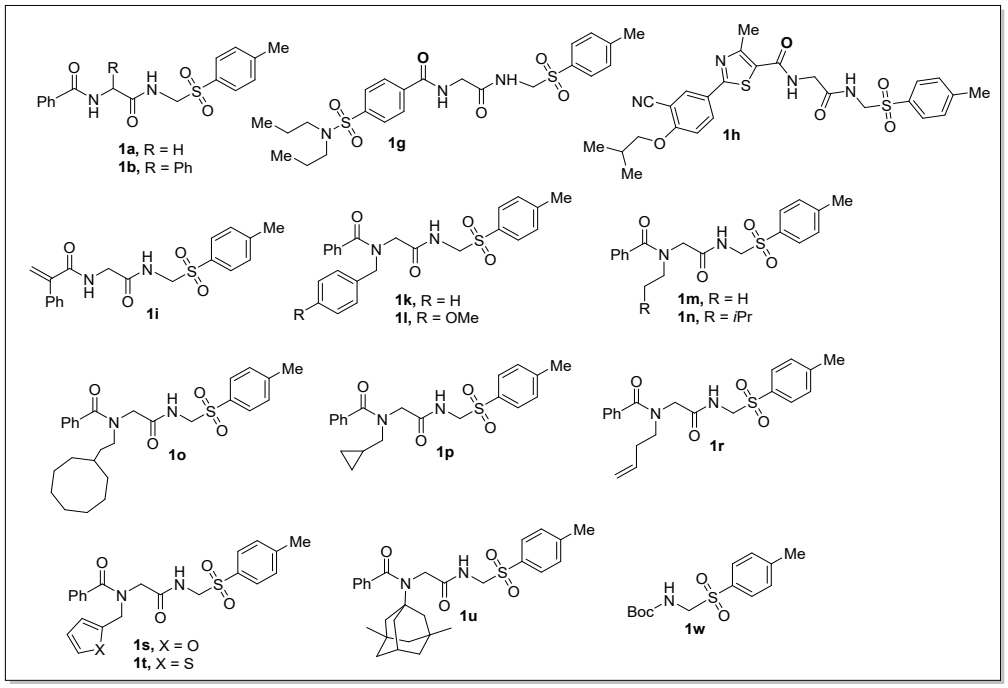
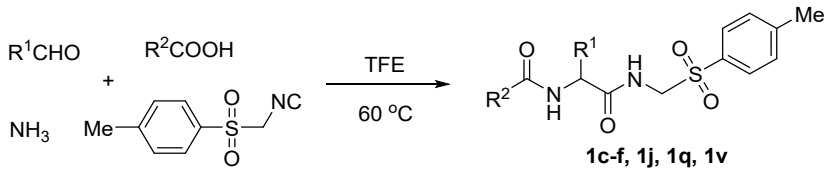
Contents

1. General information

Commercially available reagents were used without additional purification. Column chromatography was performed with silica gel (70–230 mesh). Substrates **1a-b**, **1g-i**, **1k-p** and **1r-u** were synthesized according to literature[1], **1w** was commercially available. ^{1}H and ^{13}C NMR spectra were recorded on a Bruker AM (300 or 400 MHz) spectrometer at ambient temperature using $CDCl_3$ or DMSO-d_6 or MeOH-d_4 as solvent. HRMS (ESI) spectrometry data were acquired on a quadrupole orthogonal acceleration time-of-flight mass spectrometer [Synapt G2 high definition mass spectrometer (HDMS), Waters, Milford, MA]. Samples were infused at 3 μL min^{-1}, and spectra were obtained in the positive ionization mode with a resolution of 15000 [full width at half maximum (FWHM)] with leucine encephalin as lock mass. Melting points were recorded on a Reichert Thermovar apparatus and are uncorrected.

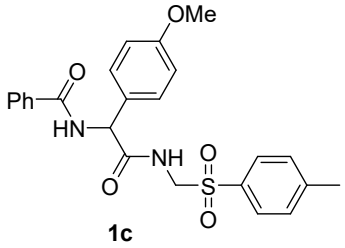
2. General procedure for the synthesis of Ugi adducts





To a solution of aldehyde (1.0 mmol, 1.0 equiv) in TFE (1.0 mL) were added successively ammonia solution (7 N in methanol, 2.0 equiv), acid (1.2 mmol, 1.2 equiv) and isonitrile (1.2 mmol, 1.2 equiv) in a screw capped vial equipped with a magnetic stir bar. The reaction mixture was stirred in an oil bath at 60 °C for 12 h in a closed vial. After completion of the reaction, the mixture was evaporated under reduced pressure to obtain residue which was purified by a silica gel column chromatography (eluent: *n*-heptane/ethyl acetate = 1:4 v/v) to afford the desired Ugi products **1c-f, 1j, 1q and 1v**.

3. Characterization of Ugi adducts

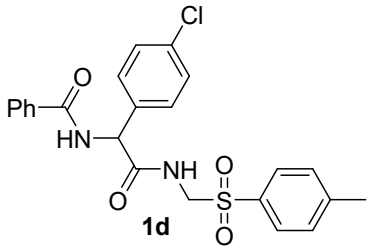


1c was obtained as a white solid (68%). Melting point 233 – 235 °C.

^{1}H NMR (400 MHz, DMSO-d_6) δ 9.37 – 9.21 (m, 1H), 8.66 (d, J = 8.1 Hz, 1H), 7.97 – 7.81 (m, 2H), 7.60 – 7.37 (m, 5H), 7.37 – 7.28 (m, 2H), 7.21 (d, J = 8.0 Hz, 2H), 6.98 – 6.86 (m, 2H), 5.66 (d, J = 8.0 Hz, 1H), 4.85 – 4.73 (m, 1H), 4.70 – 4.57 (m, 1H), 3.78 (s, 3H), 2.28 (s, 3H).

^{13}C NMR (101 MHz, DMSO-d_6) δ 171.1, 166.6, 159.6, 144.9, 135.0, 134.4, 132.1, 130.2, 130.1, 129.8, 129.1, 128.8, 128.4, 114.2, 60.8, 56.6, 55.8, 21.7.

HRMS (ESI) calculated for $C_{24}H_{24}N_2O_5SNa^+$ ([M+Na]$^+$): 475.1298, found 475.1303.



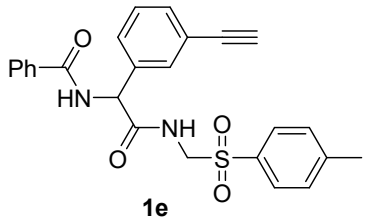
1d

1d was obtained as a yellow solid (58%). Melting point 267 – 269 °C.

^{1}H NMR (400 MHz, DMSO-d_6) δ 9.43 – 9.36 (m, 1H), 8.78 (d, J = 8.1 Hz, 1H), 7.90 – 7.86 (m, 2H), 7.57 – 7.43 (m, 9H), 7.22 – 7.18 (m, 2H), 5.76 – 5.71 (m, 1H), 4.86 – 4.79 (m, 1H), 4.67 – 4.59 (m, 1H), 2.29 (s, 3H).

^{13}C NMR (101 MHz, DMSO-d_6) δ 170.4, 166.8, 145.0, 137.1, 134.9, 134.2, 133.2, 132.1, 130.3, 130.1, 129.0, 128.8, 128.8, 128.4, 60.6, 56.5, 21.7.

HRMS (ESI) calculated for $C_{23}H_{21}ClN_2O_4SNa^+$ ([M+Na]$^+$): 479.0803, found 479.0820.



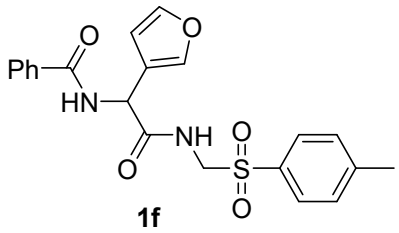
1e

1e was obtained as a white solid, Yield 85% (380 mg), Melting point 240 – 242 °C.

^{1}H NMR (400 MHz, DMSO-d_6) δ [9.51 (t, J = 6.6 Hz), 9.39 (t, J = 6.6 Hz), 1H], [8.82 (d, J = 8.1 Hz), 8.03 – 7.99 (m), 1H], [7.91 – 7.86 (m), 7.74 – 7.67 (m), 2H], 7.61 – 7.37 (m, 9H), 7.22 – 7.17 (m, 2H), [6.09 (s), 5.73 (d, J = 8.0 Hz), 1H], 4.88 – 4.77 (m, 1H), 4.68 – 4.58 (m, 1H), 4.29 (d, J = 24.5 Hz, 1H), [2.31 (s), 2.29 (s), 3H]. Mixture of rotamers (~4:1).

^{13}C NMR (101 MHz, DMSO-d_6) δ 170.4, 168.3, 166.8, 165.3, 145.0, 138.7, 136.1, 134.9, 134.8, 134.5, 134.2, 132.8, 132.1, 131.8, 131.7, 131.1, 130.2, 130.1, 129.5, 129.3, 129.3, 129.0, 128.8, 128.4, 122.6, 122.4, 84.0, 83.7, 82.0, 81.6, 75.1, 60.7, 56.8, 21.7. Mixture of rotamers.

HRMS (ESI, m/z) calcd for $C_{25}H_{22}N_2O_4S$ ([M+Na]$^+$): 469.1192, found 469.1176.



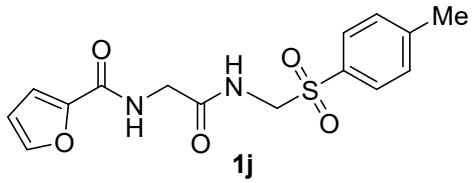
1f

1f was obtained as a white solid, Yield 56% (231 mg), Melting point 212 – 214 °C.

^{1}H NMR (400 MHz, DMSO-d_6) δ 9.37 – 9.20 (m, 1H), 8.74 (d, J = 8.2 Hz, 1H), 7.98 – 7.87 (m, 2H), 7.68 – 7.52 (m, 5H), 7.50 – 7.43 (m, 2H), 7.27 (d, J = 8.1 Hz, 2H), 6.56 (d, J = 1.8 Hz, 1H), 5.77 – 5.58 (m, 1H), 4.89 – 4.73 (m, 1H), 4.72 – 4.57 (m, 1H), 2.29 (s, 3H).

^{13}C NMR (101 MHz, DMSO-d_6) δ 170.8, 166.9, 145.0, 143.8, 141.4, 135.1, 134.3, 132.1, 130.2, 129.1, 128.8, 128.4, 122.4, 111.1, 60.8, 49.8, 21.7.

HRMS (ESI, m/z) calcd for $C_{21}H_{20}N_2O_5S$ ([M+Na]$^+$): 435.0985, found 435.0970.



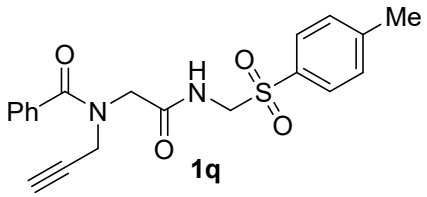
1j

1j was obtained as a yellow solid, Yield 60% (202 mg) , Melting point 180 – 182 °C.

^{1}H NMR (400 MHz, DMSO-d_6) δ 9.09 – 8.93 (m, 1H), 8.63 – 8.47 (m, 1H), [7.87 – 7.83 (m), 7.63 – 7.56 (m), 1], 7.76 – 7.66 (m, 2H), 7.43 – 7.34 (m, 2H), [7.16 – 7.11 (m), 6.78 – 6.70 (m), 1H], [6.78 – 6.70 (m), 6.65 – 6.60 (m), 1H], 4.66 (d, J = 6.3 Hz, 2H), 3.79 (d, J = 6.0 Hz, 2H), 2.37 (s, 3H). Mixture of rotamers (~3:1).

^{13}C NMR (101 MHz, DMSO-d_6) δ 169.8, 162.1, 158.6, 148.2, 145.8, 145.1, 144.0, 135.3, 130.4, 129.1, 114.4, 113.3, 112.5, 111.6, 60.9, 42.2, 21.8. Mixture of rotamers.

HRMS (ESI, m/z) calcd for $C_{15}H_{16}N_2O_5S$ ([M+Na]$^+$): 359.0672, found 359.0681.



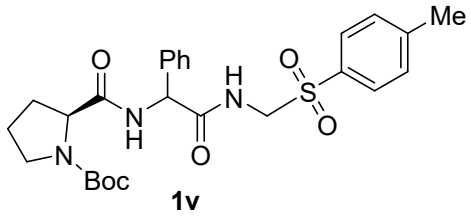
1q

1q was obtained as a yellow solid, Yield 75% (288 mg), Melting point 150 – 152 °C.

^{1}H NMR (400 MHz, DMSO-d_6) δ 9.19 (s, 1H), 7.88 – 7.68 (m, 2H), 7.57 – 7.38 (m, 6H), 7.36 – 7.19 (m, 1H), 4.74 (d, J = 6.5 Hz, 2H), 4.06 (d, J = 24.5 Hz, 2H), 3.88 (d, J = 43.3 Hz, 2H), [3.44 (s), 3.33 (s), 1H], [2.40 (s), 2.38 (s), 3H]. Mixture of rotamers (~1.1:1).

^{13}C NMR (101 MHz, DMSO-d_6) δ 171.2, 171.0, 168.4, 145.4, 135.6, 135.3, 135.0, 130.8, 130.7, 130.4, 129.3, 129.2, 127.4, 127.3, 79.3, 76.5, 75.9, 60.7, 50.5, 47.0, 35.0, 21.8.

HRMS (ESI, m/z) calcd for $C_{20}H_{20}N_2O_4S$ ([M+Na]$^+$): 407.1036, found 407.1038.



1v

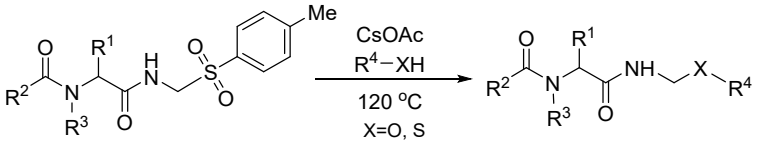
1v was obtained as a white solid, Yield 80% (413 mg, dr 1:1), Melting point 88 – 90°C.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00 (s, 1H), 7.87 – 7.67 (m, 2H), 7.65 – 7.41 (m, 2H), 7.40 – 7.27 (m, 4H), 7.25 – 7.20 (m, 1H), 7.15 – 7.03 (m, 1H), [5.78 – 5.29 (m), 4.91 – 4.70 (m), 1H], 4.69 – 4.55 (m, 1H), 4.52 – 3.96 (m, 2H), 3.74 – 3.19 (m, 2H), [2.41 (s), 2.34 (s), 3H], [2.40 – 2.34 (m), 2.31 – 1.89 (m), 4H], [1.88 – 1.76 (m), 1.60 – 1.08 (m), 9H].

^{13}C NMR (101 MHz, $CDCl_3$) δ 174.6, 172.6, 170.0, 165.0, 160.8, 145.6, 145.0, 133.7, 130.4, 130.0, 129.8, 128.9, 128.7, 127.4, 80.8, 63.2, 60.4, 58.9, 57.3, 47.3, 47.1, 28.5, 28.3, 24.7, 24.4, 21.8, 21.7, 20.8, 14.3.

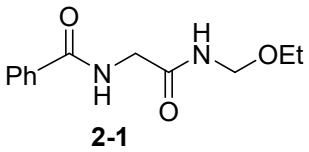
HRMS (ESI, m/z) calcd for $C_{26}H_{33}N_3O_6S$ ([M+Na]$^+$): 538.1982, found 538.1973.

4. General procedure for the synthesis of products



1 (0.1 mmol, 1.0 equiv), CsOAc (0.2 mmol, 2.0 equiv) (Note: Ugi adducts **1** are stable in this work) were placed to the screw cap vial followed by addition of alcohol (1.0 mL). The resulting mixture was sealed and stirred at 120 °C for 1 h. After completion of the reaction, the mixture was evaporated under reduced pressure to obtain residue which was purified by a silica gel column chromatography (eluent: *n*-heptane/ethyl acetate = 1:4 v/v) to afford the desired products **2**.

5. Characterization of products



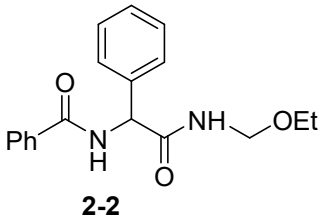
2-1

2-1 was obtained as a white solid, Yield 94% (22.2 mg), Melting point 143 – 145 °C.

^{1}H NMR (400 MHz, MeOH-d_4) δ 7.94 – 7.83 (m, 2H), 7.60 – 7.51 (m, 1H), 7.50 – 7.41 (m, 2H), 4.68 (s, 2H), 4.06 (s, 2H), 3.60 – 3.47 (m, 2H), 1.16 (t, J = 7.0 Hz, 3H).

^{13}C NMR (101 MHz, MeOH-d_4) δ 172.6, 170.5, 135.0, 132.9, 129.5, 128.5, 70.7, 64.7, 44.1, 15.4.

HRMS (ESI, m/z) calcd for $C_{12}H_{16}N_2O_3$ ([M+Na]$^+$): 259.1053, found 259.1054.



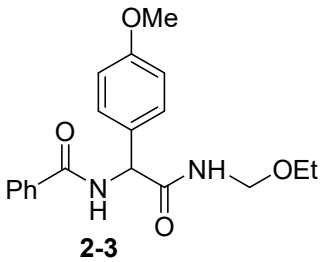
2-2

2-2 was obtained as a white solid, Yield 97% (30.3 mg), Melting point 116 – 118 °C.

^{1}H NMR (400 MHz, MeOH-d_4) δ 7.88 – 7.85 (m, 2H), 7.57 – 7.50 (m, 3H), 7.48 – 7.46 (m, 1H), 7.45 – 7.43 (m, 1H), 7.41 – 7.31 (m, 3H), 5.65 (s, 1H), 4.72 (d, J = 10.4 Hz, 1H), 4.61 (d, J = 10.4 Hz, 1H), 3.46 – 3.40 (m, 2H), 1.08 (t, J = 7.0 Hz, 3H).

^{13}C NMR (101 MHz, MeOH-d_4) δ 173.4, 169.8, 138.6, 135.1, 132.9, 129.8, 129.5, 129.5, 129.0, 128.6, 70.8, 64.6, 59.5, 15.3.

HRMS (ESI, m/z) calcd for $C_{18}H_{20}N_2O_3$ ([M+ Na]$^+$): 335.1366, found 335.1368.



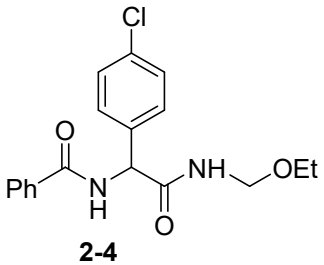
2-3

2-3 was obtained as a white solid, Yield 94% (33.2 mg), Melting point 155 – 157 °C.

^{1}H NMR (400 MHz, DMSO-d_6) δ 8.93 – 8.85 (m, 1H), 8.75 (d, J = 7.7 Hz, 1H), 7.93 – 7.88 (m, 2H), 7.57 – 7.50 (m, 1H), 7.49 – 7.41 (m, 4H), 6.92 (d, J = 8.3 Hz, 2H), 5.58 (d, J = 7.6 Hz, 1H), 4.59 – 4.47 (m, 2H), 3.74 (s, 3H), 3.39 – 3.32 (m, 2H), 1.03 (t, J = 7.0 Hz, 3H).

^{13}C NMR (101 MHz, DMSO-d_6) δ 171.70, 166.73, 159.49, 134.52, 132.01, 130.64, 129.66, 128.77, 128.34, 114.32, 69.63, 63.16, 57.36, 55.77, 15.58.

HRMS (ESI, m/z) calcd for $C_{19}H_{22}N_2O_4$ ([M+ Na]$^+$): 365.1472, found 365.1464.



2-4

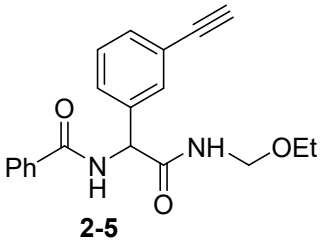
2-4 was obtained as a white solid, Yield 83% (29 mg), Melting point 163 – 165 °C.

^{1}H NMR (300 MHz, DMSO-d_6) δ 9.03 – 8.97 (m, 1H), 8.89 (d, J = 7.7 Hz, 1H), 7.94 – 7.89 (m, 2H), 7.53 (d,

J = 7.9 Hz, 3H), 7.49 – 7.42 (m, 4H), 5.66 (d, *J* = 7.6 Hz, 1H), 4.60 – 4.47 (m, 2H), 3.40 – 3.33 (m, 2H), 1.03 (t, *J* = 7.0 Hz, 3H).

^{13}C NMR (101 MHz, DMSO-d_6) δ 171.04, 166.88, 137.70, 134.35, 133.07, 132.12, 130.32, 128.90, 128.80, 128.38, 69.68, 63.24, 57.25, 15.57.

HRMS (ESI, m/z) calcd for $C_{18}H_{19}ClN_2O_3$ ([M+ Na]$^+$): 369.0976, found 369.0967.



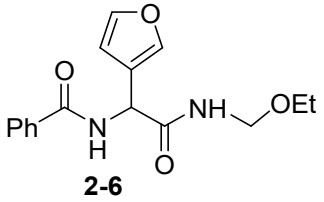
2-5

2-5 was obtained as a white solid, Yield 96% (32.3 mg), Melting point 115 – 117 °C.

^{1}H NMR (400 MHz, MeOH-d_4) δ 7.88 – 7.85 (m, 2H), 7.67 – 7.65 (m, 1H), 7.56 – 7.51 (m, 2H), 7.48 – 7.45 (m, 1H), 7.45 – 7.43 (m, 2H), 7.39 – 7.35 (m, 1H), 5.65 (s, 1H), 4.73 (d, *J* = 10.4 Hz, 1H), 4.61 (d, *J* = 10.4 Hz, 1H), 3.53 (s, 1H), 3.46 – 3.41 (m, 2H), 1.09 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (101 MHz, MeOH-d_4) δ 172.9, 169.8, 139.2, 135.0, 133.0, 132.9, 132.5, 130.0, 129.5, 129.4, 128.6, 124.3, 83.9, 79.3, 70.9, 64.7, 59.0, 15.3.

HRMS (ESI, m/z) calcd for $C_{20}H_{20}N_2O_3$ ([M+ Na]$^+$): 359.1366, found 359.1365.



2-6

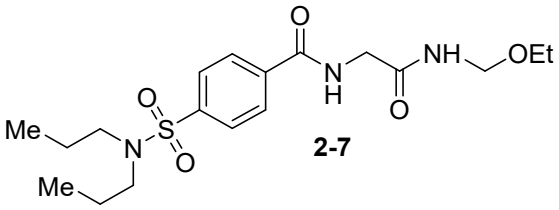
2-6 was obtained as a white solid, Yield 94% (28.4 mg), Melting point 148 –150 °C.

^{1}H NMR (400 MHz, MeOH-d_4) δ 7.89 – 7.85 (m, 2H), 7.65 – 7.64 (m, 1H), 7.57 – 7.50 (m, 2H), 7.48 – 7.43 (m, 2H), 6.57 – 6.56 (m, 1H), 5.63 (s, 1H), 4.74 (d, *J* = 10.4 Hz, 1H), 4.64 (d, *J* = 10.4 Hz, 1H), 3.52 – 3.47

(m, 2H), 1.14 (t, *J* = 7.0 Hz, 3H).

^{13}C NMR (101 MHz, MeOH-d_4) δ 173.3, 170.0, 144.8, 142.5, 135.1, 132.9, 129.5, 128.6, 122.9, 110.7, 70.9, 64.7, 51.6, 15.3.

HRMS (ESI, m/z) calcd for $C_{16}H_{18}N_2O_4$ ([M+ Na]$^+$): 325.1159, found 325.1154.



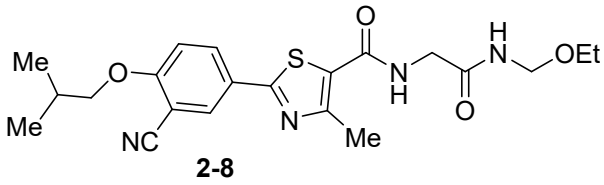
2-7

2-7 was obtained as a white solid, Yield 68% (27.2 mg), Melting point 74 – 76 °C.

^{1}H NMR (400 MHz, MeOH-d_4) δ 8.05 (d, *J* = 8.6 Hz, 2H), 7.91 (d, *J* = 8.5 Hz, 2H), 4.68 (s, 2H), 4.08 (s, 2H), 3.56 – 3.51 (m, 2H), 3.17 – 3.08 (m, 4H), 1.60 – 1.56 (m, 2H), 1.54 (d, *J* = 7.5 Hz, 2H), 1.17 (t, *J* = 7.1 Hz, 3H), 0.88 (t, *J* = 7.4 Hz, 6H).

^{13}C NMR (101 MHz, MeOH-d_4) δ 172.3, 168.9, 144.3, 138.8, 129.4, 128.3, 70.7, 64.8, 64.7, 51.2, 44.1, 23.1, 15.4, 11.4.

HRMS (ESI, m/z) calcd for $C_{18}H_{29}N_3O_5S$ ([M+ Na]$^+$): 422.1720, found 422.1719.



2-8

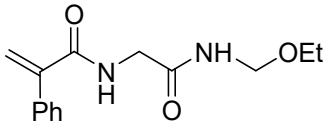
2-8 was obtained as a white solid, Yield 45% (19.4 mg), Melting point 164 – 166 °C.

^{1}H NMR (400 MHz, DMSO-d_6) δ 8.71 (t, *J* = 6.6 Hz, 1H), 8.41 (t, *J* = 5.9 Hz, 1H), 8.24 (d, *J* = 2.3 Hz, 1H), 8.20 – 8.15 (m, 1H), 7.38 (d, *J* = 9.0 Hz, 1H), 4.56 – 4.53 (m, 2H), 4.00 (d, *J* = 6.5 Hz, 2H), 3.88 – 3.86 (m, 2H), 3.45 – 3.40 (m, 2H), 2.63 (s, 3H), 2.15 – 2.04 (m, 1H), 1.09 (t, *J* = 7.0 Hz, 3H), 1.02 (d, *J* = 6.7 Hz, 6H).

^{13}C NMR (101 MHz, DMSO-d_6) δ 170.1, 164.5, 162.5, 161.8, 155.7, 133.5, 131.9, 127.0, 126.1, 116.1,

114.6, 102.2, 75.7, 69.5, 63.2, 43.3, 28.2, 19.3, 17.7, 15.6.

HRMS (ESI, m/z) calcd for $C_{21}H_{26}N_4O_4S$ ([M+ Na]$^+$): 453.1567, found 453.1563.



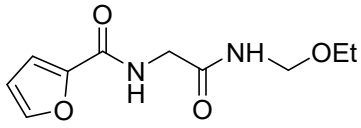
2-9

2-9 was obtained as a white solid, Yield 89% (23.4 mg), Melting point 85 – 87 °C.

^{1}H NMR (400 MHz, MeOH-d_4) δ 7.63 – 7.44 (m, 2H), 7.42 – 7.21 (m, 3H), 5.89 (s, 1H), 5.77 (s, 1H), 4.67 (s, 2H), 3.96 (s, 2H), 3.58 – 3.45 (m, 2H), 1.16 (t, J = 7.0 Hz, 3H).

^{13}C NMR (101 MHz, MeOH-d_4) δ 172.1, 171.7, 146.6, 137.9, 129.5, 129.3, 128.7, 120.3, 70.7, 64.7, 43.8, 15.4.

HRMS (ESI, m/z) calcd for $C_{14}H_{18}N_2O_3$ ([M+ Na]$^+$): 285.1210, found 285.1227.



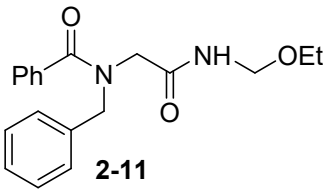
2-10

2-10 was obtained as a yellow solid, Yield 50% (11.3 mg), Melting point 98 – 100 °C.

^{1}H NMR (400 MHz, MeOH-d_4) δ 7.75 – 7.62 (m, 1H), 7.22 – 7.07 (m, 1H), 6.68 – 6.52 (m, 1H), 4.67 (s, 2H), 4.02 (s, 2H), 3.61 – 3.45 (m, 2H), 1.16 (t, J = 7.0 Hz, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 169.6, 158.9, 147.3, 144.7, 115.1, 112.4, 70.1, 64.3, 43.1, 15.2.

HRMS (ESI, m/z) calcd for $C_{10}H_{14}N_2O_4$ ([M+ Na]$^+$): 249.0846, found 249.0862.



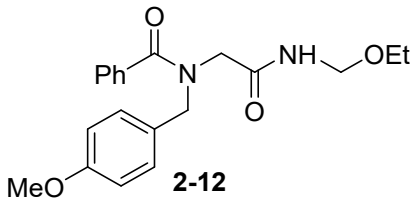
2-11

2-11 was obtained as a white solid, Yield 84% (27.4 mg), Melting point 82 – 84 °C.

^{1}H NMR (400 MHz, MeOH-d_4) δ 7.56 – 7.52 (m, 1H), 7.48 – 7.43 (m, 4H), 7.38 – 7.33 (m, 3H), 7.33 – 7.27 (m, 1H), 7.21 (d, J = 7.5 Hz, 1H), 4.78 (s, 1H), 4.68 (s, 1H), 4.60 (d, J = 5.7 Hz, 2H), 4.10 (s, 1H), 3.85 (s, 1H), 3.56 – 3.40 (m, 2H), 1.16 (t, J = 6.8 Hz, 3H). Mixture of rotamers (~1:1).

^{13}C NMR (101 MHz, MeOH-d_4) δ 174.9, 171.2, 137.7, 137.5, 137.0, 136.7, 131.3, 131.1, 130.0, 129.9, 129.8, 129.7, 129.4, 128.9, 128.8, 128.3, 127.9, 127.7, 70.7, 64.7, 55.3, 51.9, 50.5, 15.4. Mixture of rotamers.

HRMS (ESI, m/z) calcd for $C_{19}H_{22}N_2O_3$ ([M+ Na]$^+$): 349.1523, found 349.1526.



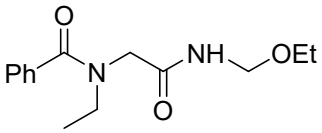
2-12

2-12 was obtained as a yellow oil, Yield 95% (34 mg).

^{1}H NMR (400 MHz, MeOH-d_4) δ 7.57 – 7.39 (m, 5H), 7.28 (d, J = 8.2 Hz, 1H), 7.11 (d, J = 8.2 Hz, 1H), 6.95 – 6.84 (m, 2H), [4.71 (s), 4.67 (s), 2H], [4.59 (s), 4.52 (s), 2H], [4.07 (s), 3.82 (s), 2H], [3.78 (s), 3.77 (s), 3H], 3.56 – 3.38 (m, 2H), 1.19 – 1.12 (m, 3H). Mixture of rotamers (~1:1).

^{13}C NMR (101 MHz, MeOH-d_4) δ 174.8, 174.7, 171.3, 171.2, 160.9, 137.1, 136.8, 131.2, 131.1, 130.9, 129.8, 129., 129.5, 129.1, 127.9, 127.7, 115.3, 115.2, 70.6, 64.7, 55.7, 54.8, 51.7, 49.9, 15.4. Mixture of rotamers.

HRMS (ESI, m/z) calcd for $C_{20}H_{24}N_2O_4$ ([M+ Na]$^+$): 379.1628, found 379.1628.



2-13

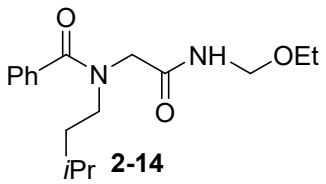
2-13 was obtained as a yellow oil, Yield 95% (25.2 mg).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.43 – 7.39 (m, 6H), 4.73 (d, J = 6.7 Hz, 2H), 4.14 (s, 2H), 3.53 (d, J = 7.0 Hz,

2H), 3.43 – 3.37 (m, 2H), 1.19 (t, *J* = 7.1 Hz, 6H).

^{13}C NMR (101 MHz, $CDCl_3$) *δ* 172.9, 170.2, 135.5, 130.1, 128.7, 126.7, 69.9, 64.1, 50.1, 45.9, 15.2, 14.0.

HRMS (ESI, m/z) calcd for $C_{14}H_{20}N_2O_3$ ([M+ Na]$^+$): 287.1366, found 287.1371.



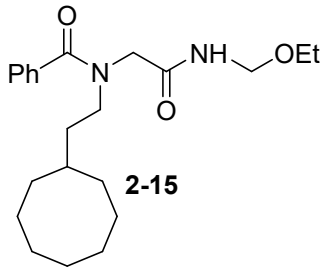
2-14

2-14 was obtained as a yellow oil, Yield 98% (30 mg).

^{1}H NMR (400 MHz, MeOH-d_4) *δ* 7.49 – 7.38 (m, 5H), [4.71 (s), 4.61 (s), 2H], [4.18 (s), 3.96 (s), 2H], 3.61 – 3.50 (m, 2H), 3.48 – 3.32 (m, 2H), 1.70 – 1.52 (m, 1H), 1.50 – 1.34 (m, 2H), 1.20 – 1.12 (m, 3H), [0.98 (d, *J* = 6.5 Hz), 0.73 (d, *J* = 6.5 Hz), 6H]. Mixture of rotamers (~3:2).

^{13}C NMR (101 MHz, MeOH-d_4) *δ* 174.6, 171.7, 171.5, 137.5, 137.2, 130.9, 129.6, 127.7, 127.6, 70.7, 64.7, 53.0, 50.6, 46.7, 38.3, 36.8, 27.4, 26.9, 22.9, 22.6, 15.4. Mixture of rotamers.

HRMS (ESI, m/z) calcd for $C_{17}H_{26}N_2O_3$ ([M+Na]$^+$): 329.1836, found 329.1835.



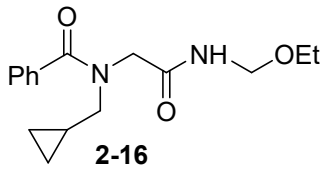
2-15

2-15 was obtained as a yellow oil, Yield 90% (34 mg).

^{1}H NMR (400 MHz, MeOH-d_4) *δ* 7.49 – 7.39 (m, 5H), 4.71 (s, 1H), 4.61 (s, 1H), 4.18 (s, 1H), 3.96 (s, 1H), 3.60 – 3.51 (m, 2H), 3.48 – 3.31 (m, 2H), 1.75 – 1.59 (m, 3H), 1.58 – 1.43 (m, 9H), 1.42 – 1.29 (m, 4H), 1.20 – 1.13 (m, 4H). Mixture of rotamers (~3:2).

^{13}C NMR (101 MHz, MeOH-d_4) *δ* 174.6, 171.7, 171.5, 137.5, 137.2, 130.9, 129.6, 129.6, 127.7, 127.6, 70.7, 64.7, 52.9, 50.6, 46.6, 37.5, 36.5, 36.2, 36.0, 33.6, 33.0, 28.3, 27.5, 27.2, 26.6, 26.3, 15.4. Mixture of rotamers.

HRMS (ESI, m/z) calcd for $C_{22}H_{34}N_2O_3$ ([M+ Na]$^+$): 397.2462, found 397.2453.



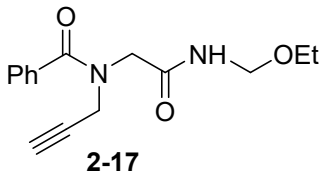
2-16

2-16 was obtained as a green oil, Yield 86% (25 mg).

^{1}H NMR (400 MHz, MeOH-d_4) δ 7.56 – 7.34 (m, 5H), [4.74 (s), 4.61 (s), 2H], [4.34 (s), 4.09 (s), 2H], [3.62 – 3.38 (m), 3.21 (d, J = 6.6 Hz), 4H], 1.21 – 0.91 (m, 4H), 0.63 – 0.46 (m, 2H), [0.30 (d, J = 4.1 Hz), 0.06 (d, J = 4.0 Hz), 2H]. Mixture of rotamers (~3:2).

^{13}C NMR (101 MHz, MeOH-d_4) δ 174.8, 174.5, 171.7, 137.4, 137.1, 130.9, 129.6, 127.9, 127.6, 70.7, 64.8, 56.4, 52.7, 51.9, 15.4, 10.6, 9.8, 4.1. Mixture of rotamers.

HRMS (ESI, m/z) calcd for $C_{16}H_{22}N_2O_3$ ([M+ Na]$^+$): 313.1523, found 313.1521.



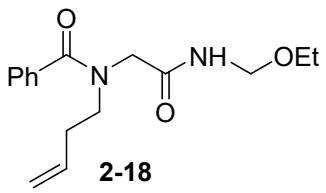
2-17

2-17 was obtained as a white solid, Yield 94% (26 mg), Melting point 73 – 75 °C.

^{1}H NMR (400 MHz, MeOH-d_4) δ 7.69 – 7.23 (m, 5H), [4.70 (s), 4.63 (s), 2H], [4.42 (s), 4.30 (s), 2H], [4.15 (s), 4.12 (s), 2H], 3.60 – 3.42 (m, 2H), [2.89 (s), 2.77 (s), 1H], 1.20 – 1.14 (m, 3H). Mixture of rotamers (~3:2).

^{13}C NMR (101 MHz, MeOH-d_4) δ 174.2, 174.0, 171.1, 136.5, 135.9, 131.7, 131.4, 129.7, 128.1, 127.8, 79.0, 75.2, 74.5, 70.7, 64.8, 51.8, 41.7, 36.5, 15.4. Mixture of rotamers.

HRMS (ESI, m/z) calcd for $C_{15}H_{18}N_2O_3$ ([M+ Na]$^+$): 297.1210, found 297.1202.



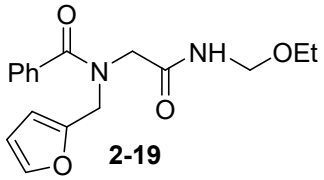
2-18

2-18 was obtained as a yellow oil, Yield 96% (28 mg).

^{1}H NMR (400 MHz, MeOH-d_4) δ 7.49 – 7.36 (m, 5H), [5.94 – 5.82 (m), 5.68 – 5.53 (m), 1H], 5.19 – 4.95 (m, 2H), [4.71 (s), 4.61 (s), 2H], [4.20 (s), 3.98 (s), 2H], 3.63 – 3.51 (m, 2H), 3.46 – 3.35 (m, 2H), [2.48 – 2.39 (m), 2.34 – 2.25 (m), 2H], 1.21 – 1.11 (m, 3H). Mixture of rotamers (~1:1).

^{13}C NMR (101 MHz, MeOH-d_4) δ 174.8, 171.6, 171.5, 137.4, 137.1, 136.6, 135.6, 130.9, 129.6, 127.8, 127.6, 117.8, 117.3, 70.7, 64.7, 53.3, 51.5, 47.6, 33.9, 32.6, 15.4. Mixture of rotamers.

HRMS (ESI, m/z) calcd for $C_{16}H_{22}N_2O_3$ ([M+ Na]$^+$): 313.1523, found 313.1518.



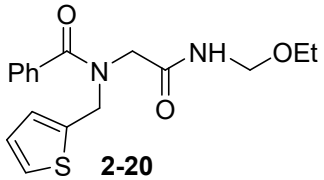
2-19

2-19 was obtained as a yellow solid, Yield 90% (28.5 mg), Melting point 86 – 88 °C.

^{1}H NMR (400 MHz, MeOH-d_4) δ 7.63 – 7.58 (m, 1H), 7.53 – 7.39 (m, 5H), [6.42 – 6.37 (m), 6.33 – 6.28 (m), 2H], [4.77 (s), 4.68 (s), 2H], [4.60 (s), 4.52 (s), 2H], [4.13 (s), 3.92 (s), 2H], [3.59 – 3.50 (m), 3.47 – 3.40 (m), 2H], 1.21 – 1.13 (m, 3H). Mixture of rotamers (~7:3).

^{13}C NMR (101 MHz, MeOH-d_4) δ 174.6, 171.2, 151.2, 150.8, 144.5, 144.1, 136.5, 131.3, 129.7, 128.3, 127.7, 111.5, 110.5, 70.7, 64.7, 52.0, 43.4, 15.4. Mixture of rotamers.

HRMS (ESI, m/z) calcd for $C_{17}H_{20}N_2O_4$ ([M+ Na]$^+$): 339.1315, found 339.1312.



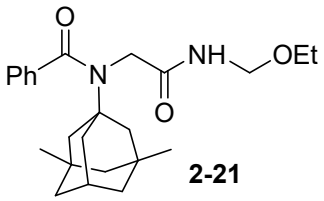
2-20

2-20 was obtained as a yellow oil, Yield 78% (26 mg).

^{1}H NMR (400 MHz, MeOH-d_4) δ 7.60 – 7.54 (m, 1H), 7.50 – 7.41 (m, 4H), 7.39 – 7.37 (m, 1H), [7.13 – 7.05 (m), 7.00 – 6.96 (m), 2H], [4.92 (s), 4.74 (s), 2H], [4.69 (s), 4.62 (s), 2H], [4.14 (s), 3.89 (s), 2H], 3.57 – 3.43 (m, 2H), 1.20 – 1.15 (m, 3H). Mixture of rotamers (~1:1).

^{13}C NMR (101 MHz, MeOH-d_4) δ 174.4, 171.2, 140.1, 139.9, 136.7, 136.5, 131.3, 129.8, 128.9, 128.2, 128.1, 128.0, 127.7, 127.4, 127.0, 70.7, 64.8, 51.7, 50.4, 45.5, 15.4. Mixture of rotamers.

HRMS (ESI, m/z) calcd for $C_{17}H_{20}N_2O_3S$ ([M+ Na]$^+$): 355.1087, found 355.1083.



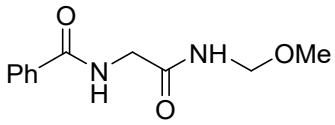
2-21

2-21 was obtained as a white solid, Yield 75% (30 mg), Melting point 126 – 128 °C

^{1}H NMR (400 MHz, MeOH-d_4) δ 7.38 – 7.34 (m, 5H), 4.58 (s, 2H), 3.99 (s, 2H), 3.47 – 3.41 (m, 2H), 2.19 (s, 3H), 2.03 – 1.92 (m, 4H), 1.47 – 1.41 (m, 2H), 1.36 – 1.31 (m, 2H), 1.20 – 1.18 (m, 2H), 1.14 (t, J = 7.0 Hz, 3H), 0.88 (s, 6H).

^{13}C NMR (101 MHz, MeOH-d_4) δ 176.0, 173.0, 140.5, 130.2, 129.6, 126.9, 70.6, 64.7, 62.5, 51.6, 50.8, 46.3, 43.7, 38.8, 33.9, 32.2, 31.0, 15.4.

HRMS (ESI, m/z) calcd for $C_{24}H_{34}N_2O_3$ ([M+Na]$^+$): 421.2462, found 421.2454.



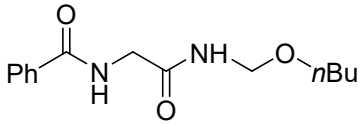
2-22

2-22 was obtained as a white solid, Yield 92% (20.5 mg), Melting point 137 – 139 °C.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86 – 7.81 (m, 2H), 7.55 – 7.50 (m, 1H), 7.48 – 7.41 (m, 3H), 7.37 – 7.32 (m, 1H), 4.71 (d, J = 6.7 Hz, 2H), 4.21 (d, J = 5.2 Hz, 2H), 3.33 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 170.3, 168.1, 133.4, 132.2, 128.8, 127.3, 71.6, 56.2, 44.0.

HRMS (ESI, m/z) calcd for $C_{11}H_{14}N_2O_3$ ([M+Na]$^+$): 245.0897, found 245.0909.



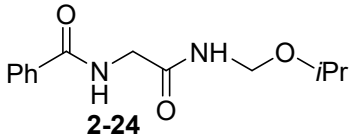
2-23

2-23 was obtained as a white solid, Yield 90% (24 mg), Melting point 128 – 130 °C.

^{1}H NMR (400 MHz, MeOH-d_4) δ 7.91 – 7.86 (m, 2H), 7.58 – 7.52 (m, 1H), 7.50 – 7.44 (m, 2H), 4.67 (s, 2H), 4.06 (s, 2H), 3.48 (t, J = 6.5 Hz, 2H), 1.57 – 1.48 (m, 2H), 1.43 – 1.31 (m, 2H), 0.92 (t, J = 7.4 Hz, 3H).

^{13}C NMR (101 MHz, MeOH-d_4) δ 172.6, 170.5, 135.0, 132.9, 129.5, 128.5, 70.9, 69.1, 44.1, 32.8, 20.3, 14.2.

HRMS (ESI, m/z) calcd for $C_{14}H_{20}N_2O_3$ ([M+Na]$^+$): 287.1366, found 287.1368.



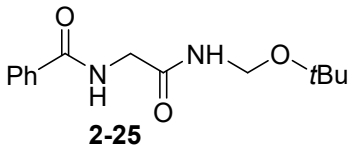
2-24

2-24 was obtained as a white solid, Yield 93% (23.3 mg), Melting point 120 – 122 °C.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86 – 7.81 (m, 2H), 7.54 – 7.49 (m, 1H), 7.46 – 7.40 (m, 2H), 7.38 – 7.27 (m, 2H), 4.77 (d, J = 6.6 Hz, 2H), 4.19 (d, J = 5.1 Hz, 2H), 3.77 (p, J = 6.1 Hz, 1H), 1.16 (d, J = 6.1 Hz, 6H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 169.8, 168.0, 133.5, 132.1, 128.8, 127.3, 69.7, 68.1, 44.0, 22.4.

HRMS (ESI, m/z) calcd for $C_{13}H_{18}N_2O_3$ ([M+Na]$^+$): 273.1210, found 273.1223.



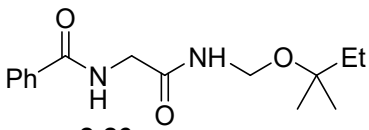
2-25

2-25 was obtained as a white solid, Yield 70% (18.5 mg), Melting point 101 – 103 °C.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.84 – 7.81 (m, 2H), 7.54 – 7.49 (m, 1H), 7.46 – 7.41 (m, 2H), 7.22 – 7.18 (m, 1H), 7.00 – 6.94 (m, 1H), 4.79 (d, J = 6.4 Hz, 2H), 4.15 (d, J = 5.0 Hz, 2H), 1.24 (s, 9H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 169.1, 167.9, 133.6, 132.0, 128.8, 127.3, 74.3, 64.5, 44.0, 28.1.

HRMS (ESI, m/z) calcd for $C_{14}H_{20}N_2O_3$ ([M+Na]$^+$): 287.1366, found 287.1387.



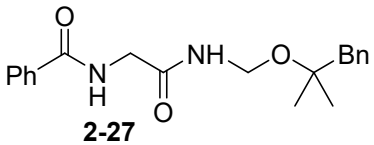
2-26

2-26 was obtained as a green solid, Yield 58% (16.3mg), Melting point 96 – 98 °C.

^{1}H NMR (400 MHz, MeOH-d_4) δ 7.90 – 7.86 (m, 2H), 7.58 – 7.52 (m, 1H), 7.50 – 7.44 (m, 2H), 4.70 (s, 2H), 4.04 (s, 2H), 1.58 – 1.50 (m, 2H), 1.19 (s, 6H), 0.89 (t, J = 7.5 Hz, 3H).

^{13}C NMR (101 MHz, MeOH-d_4) δ 171.9, 170.4, 135.1, 132.9, 129.5, 128.5, 77.2, 64.7, 44.1, 34.2, 25.8, 8.6.

HRMS (ESI, m/z) calcd for $C_{15}H_{22}N_2O_3$ ([M+Na]$^+$): 301.1523, found 301.1525.



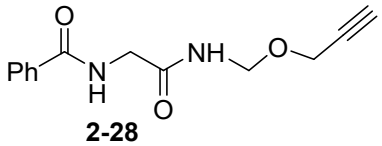
2-27

2-27 was obtained as a white solid, Yield 45% (15.3 mg), Melting point 111 – 113 °C.

^{1}H NMR (300 MHz, MeOH-d_4) δ 7.90 – 7.86 (m, 2H), 7.59 – 7.53 (m, 1H), 7.47 (t, J = 7.4 Hz, 2H), 7.34 – 7.26 (m, 1H), 7.25 – 7.20 (m, 3H), 7.19 – 7.14 (m, 1H), [4.80 (s), 4.55 (s), 2H], [4.07 (s), 4.04 (s), 2H], 2.80 (s, 2H), 1.19 (s, 6H). Mixture of rotamers (~4:1).

^{13}C NMR (101 MHz, MeOH-d_4) δ 171.9, 170.5, 139.4, 135.1, 132.9, 131.7, 129.6, 129.3, 128.9, 128.8, 128.5, 128.5, 127.2, 77.5, 71.2, 70.5, 65.0, 48.3, 44.2, 26.0. Mixture of rotamers.

HRMS (ESI, m/z) calcd for $C_{20}H_{24}N_2O_3$ ([M+Na]$^+$): 363.1679, found 363.1668.



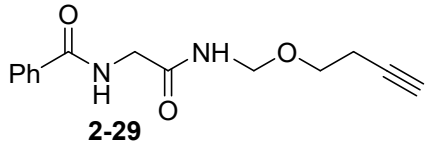
2-28

2-28 was obtained as a yellow solid, Yield 84% (21 mg), Melting point 133 – 135 °C.

^{1}H NMR (400 MHz, MeOH-d_4) δ 7.90 – 7.87 (m, 2H), 7.58 – 7.53 (m, 1H), 7.50 – 7.44 (m, 2H), 4.76 (s, 2H), 4.17 (d, J = 2.4 Hz, 2H), 4.06 (s, 2H), 2.82 (t, J = 2.4 Hz, 1H).

^{13}C NMR (101 MHz, MeOH-d_4) δ 172.8, 170.5, 135.0, 132.9, 129.5, 128.5, 80.5, 75.6, 69.8, 56.1, 44.1.

HRMS (ESI, m/z) calcd for $C_{13}H_{14}N_2O_3$ ([M+Na]$^+$): 269.0897, found 269.0908.



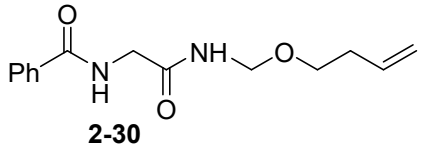
2-29

2-29 was obtained as a yellow solid, Yield 82% (21.4 mg), Melting point 144 – 146 °C.

^{1}H NMR (400 MHz, MeOH-d_4) δ 7.91 – 7.86 (m, 2H), 7.58 – 7.52 (m, 1H), 7.50 – 7.44 (m, 2H), 4.71 (s, 2H), 4.06 (s, 2H), 3.60 (t, J = 6.9 Hz, 2H), 2.44 – 2.39 (m, 2H), 2.24 (t, J = 2.7 Hz, 1H).

^{13}C NMR (101 MHz, MeOH-d_4) δ 172.5, 170.3, 134.8, 132.7, 129.3, 128.3, 81.7, 70.6, 70.2, 67.5, 43.9, 20.2.

HRMS (ESI, m/z) calcd for $C_{14}H_{16}N_2O_3$ ([M+Na]$^+$): 283.1053, found 283.1058.



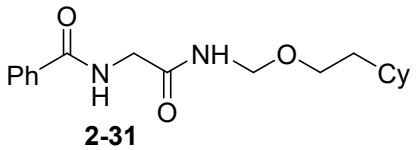
2-30

2-30 was obtained as a white solid, Yield 80% (21 mg), Melting point 118 – 120 °C.

^{1}H NMR (400 MHz, MeOH-d_4) δ 7.91 – 7.86 (m, 2H), 7.58 – 7.53 (m, 1H), 7.50 – 7.44 (m, 2H), 5.89 – 5.68 (m, 1H), [5.28 – 5.10 (m), 5.10 – 4.97 (m), 2H], [4.69 (s), 4.68 (s), 2H], [4.06 (s), 4.05 (s), 2H], 3.53 (t, J = 6.7 Hz, 2H), 2.35 – 2.22 (m, 2H). Mixture of rotamers (~4:1).

^{13}C NMR (101 MHz, MeOH-d_4) δ 172.7, 170.5, 141.1, 136.4, 135.0, 135.0, 132.9, 129.6, 129.6, 128.5, 116.7, 116.5, 75.6, 70.8, 68.8, 68.7, 44.1, 35.1, 21.6. Mixture of rotamers.

HRMS (ESI, m/z) calcd for $C_{14}H_{18}N_2O_3$ ([M+Na]$^+$): 285.1210, found 285.1214.



2-31

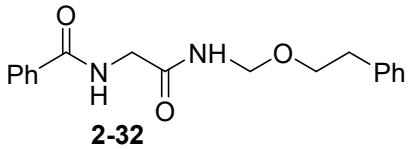
2-31 was obtained as a white solid, Yield 78% (25 mg), Melting point 103 – 105 °C.

^{1}H NMR (400 MHz, MeOH-d_4) δ 7.91 – 7.87 (m, 2H), 7.57 – 7.52 (m, 1H), 7.50 – 7.44 (m, 2H), 4.67 (s, 2H), 4.06 (s, 2H), 3.51 (t, J = 6.6 Hz, 2H), 1.74 – 1.69 (m, 2H), 1.68 – 1.61 (m, 2H), 1.46 – 1.40 (m, 2H), 1.39 –

1.31 (m, 1H), 1.30 – 1.04 (m, 4H), 0.97 – 0.85 (m, 2H).

^{13}C NMR (101 MHz, MeOH-d_4) δ 172.6, 170.4, 135.0, 132.9, 129.5, 128.5, 70.9, 67.3, 44.1, 38.2, 35.8, 34.4, 27.7, 27.4.

HRMS (ESI, m/z) calcd for $C_{18}H_{26}N_2O_3$ ([M+Na]$^+$): 341.1836, found 341.1827.



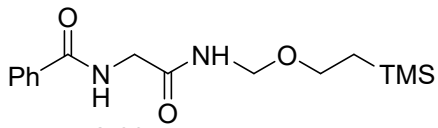
2-32

2-32 was obtained as a white solid, Yield 80% (25 mg), Melting point 101 – 103 °C.

^{1}H NMR (400 MHz, MeOH-d_4) δ 7.90 – 7.86 (m, 2H), 7.57 – 7.52 (m, 1H), 7.49 – 7.44 (m, 2H), 7.26 – 7.22 (m, 1H), 7.22 – 7.19 (m, 3H), 7.18 – 7.13 (m, 1H), 4.68 (s, 2H), 4.04 (s, 2H), 3.69 (t, J = 7.0 Hz, 2H), 2.83 (t, J = 7.1 Hz, 2H).

^{13}C NMR (101 MHz, MeOH-d_4) δ 172.7, 170.5, 140.2, 135.0, 132.9, 129.9, 129.5, 129.3, 128.5, 127.1, 70.8, 70.3, 44.1, 37.1.

HRMS (ESI, m/z) calcd for $C_{18}H_{20}N_2O_3$ ([M+Na]$^+$): 335.1366, found 335.1359.



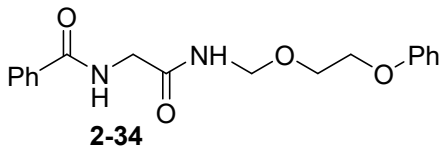
2-33

2-33 was obtained as a white solid, Yield 74% (23 mg), Melting point 117 – 119 °C.

1H NMR (400 MHz, MeOH-d_4) δ 7.91 – 7.87 (m, 2H), 7.57 – 7.53 (m, 1H), 7.50 – 7.44 (m, 2H), 4.67 (s, 2H), 4.05 (s, 2H), 3.62 – 3.56 (m, 2H), 0.93 – 0.88 (m, 2H), 0.01 (s, 9H).

^{13}C NMR (101 MHz, MeOH-d_4) δ 172.6, 170.4, 135.0, 132.9, 129.5, 128.5, 70.3, 66.6, 44.1, 18.8, -1.3.

HRMS (ESI, m/z) calcd for $C_{15}H_{24}N_2O_3Si$ ([M+Na]$^+$): 331.1448, found 331.1448.



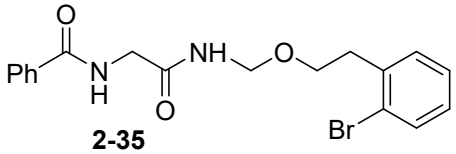
2-34

2-34 was obtained as a white solid, Yield 46% (15 mg), Melting point 111 – 113 °C.

^{1}H NMR (400 MHz, MeOH-d_4) δ 7.91 – 7.86 (m, 2H), 7.59 – 7.52 (m, 1H), 7.51 – 7.44 (m, 2H), 7.28 – 7.21 (m, 2H), 6.94 – 6.88 (m, 3H), 4.78 (s, 2H), 4.11 – 4.06 (m, 4H), 3.86 – 3.83 (m, 2H).

^{13}C NMR (101 MHz, MeOH-d_4) δ 172.79, 170.53, 160.24, 135.01, 132.93, 130.44, 129.56, 128.50, 121.86, 115.61, 71.21, 68.34, 68.11, 44.16.

HRMS (ESI, m/z) calcd for $C_{18}H_{20}N_2O_4$ ([M+Na]$^+$): 351.1315, found 351.1306.



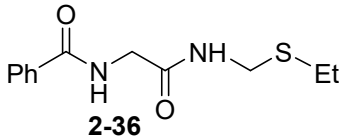
2-35

2-35 was obtained as a white solid, Yield 56% (22 mg), Melting point 95 – 97 °C.

^{1}H NMR (400 MHz, MeOH-d_4) δ 7.90 – 7.86 (m, 2H), 7.58 – 7.44 (m, 4H), 7.34 – 7.30 (m, 1H), 7.26 – 7.21 (m, 1H), 7.12 – 7.06 (m, 1H), 4.70 (s, 2H), 4.05 (s, 2H), 3.71 (t, J = 7.1 Hz, 2H), 3.00 (t, J = 7.1 Hz, 2H).

^{13}C NMR (101 MHz, MeOH-d_4) δ 172.7, 170.5, 139.3, 135.0, 133.7, 132.9, 132.4, 129.5, 129.2, 128.6, 128.5, 125.4, 70.9, 68.5, 44.1, 37.2.

HRMS (ESI, m/z) calcd for $C_{18}H_{19}BrN_2O_3$ ([M+Na]$^+$): 413.0471, found 413.0458.



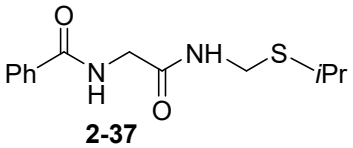
2-36

2-36 was obtained as a yellow solid, Yield 93% (23.5 mg), Melting point 115 – 117 °C.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86 – 7.82 (m, 2H), 7.55 – 7.50 (m, 1H), 7.47 – 7.41 (m, 2H), 7.38 – 7.33 (m, 1H), 7.24 (d, J = 7.0 Hz, 1H), 4.42 (d, J = 6.2 Hz, 2H), 4.20 (d, J = 5.1 Hz, 2H), 2.64 – 2.58 (m, 2H), 1.26 (t, J = 7.4 Hz, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 169.2, 168.1, 133.4, 132.2, 128.8, 127.3, 44.1, 40.9, 25.3, 14.9.

HRMS (ESI, m/z) calcd for $C_{12}H_{16}N_2O_2S$ ([M+Na]$^+$): 275.0825, found 275.0832.



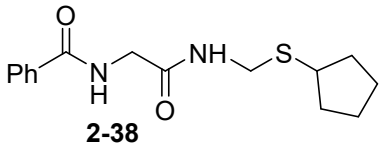
2-37

2-37 was obtained as a white solid, Yield 96% (26.6 mg), Melting point 98 – 100 °C.

^{1}H NMR (400 MHz, MeOH-d_4) δ 7.90 – 7.86 (m, 2H), 7.58 – 7.52 (m, 1H), 7.50 – 7.44 (m, 2H), 4.38 (s, 2H), 4.03 (s, 2H), 3.10 – 3.02 (m, 1H), 1.27 (d, J = 6.7 Hz, 6H).

^{13}C NMR (101 MHz, MeOH-d_4) δ 171.5, 170.4, 135.0, 132.9, 129.5, 128.5, 44.1, 40.7, 35.2, 23.9.

HRMS (ESI, m/z) calcd for $C_{13}H_{18}N_2O_2S$ ([M+Na]$^+$): 289.0981, found 289.0976.



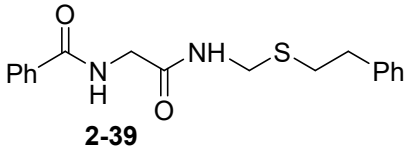
2-38

2-38 was obtained as a white solid, Yield 93% (27.2 mg), Melting point 108 – 110 °C.

^{1}H NMR (400 MHz, MeOH-d_4) δ 7.92 – 7.85 (m, 2H), 7.58 – 7.52 (m, 1H), 7.51 – 7.42 (m, 2H), 4.37 (s, 2H), 4.04 (s, 2H), 3.28 – 3.19 (m, 1H), 2.10 – 1.99 (m, 2H), 1.77 – 1.65 (m, 2H), 1.65 – 1.41 (m, 4H).

^{13}C NMR (101 MHz, MeOH-d_4) δ 171.5, 170.4, 135.0, 132.9, 129.5, 128.5, 44.2, 44.1, 41.9, 35.0, 25.7.

HRMS (ESI, m/z) calcd for $C_{15}H_{20}N_2O_2S$ ([M+Na]$^+$): 315.1138, found 315.1129.



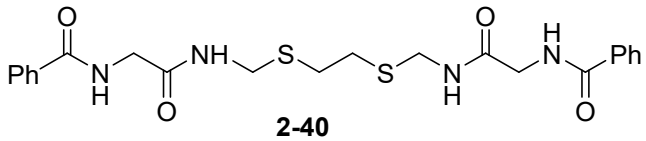
2-39

2-39 was obtained as a yellow solid, Yield 75% (25 mg), Melting point 104 – 106 °C.

^{1}H NMR (400 MHz, MeOH-d_4) δ 7.90 – 7.85 (m, 2H), 7.57 – 7.52 (m, 1H), 7.49 – 7.43 (m, 2H), 7.29 – 7.11 (m, 5H), 4.38 (s, 2H), 4.04 (s, 2H), 2.94 – 2.87 (m, 2H), 2.86 – 2.81 (m, 2H).

^{13}C NMR (101 MHz, MeOH-d_4) δ 171.7, 170.5, 142.0, 135.0, 132.9, 129.7, 129.5, 129.4, 128.5, 127.2, 44.2, 41.5, 37.4, 33.3.

HRMS (ESI, m/z) calcd for $C_{18}H_{20}N_2O_2S$ ([M+Na]$^+$): 351.1138, found 351.1131.



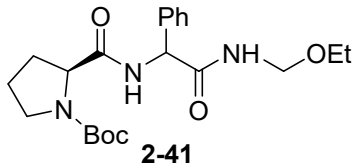
2-40

2-40 was obtained as a white solid, Yield 72% (34.2 mg), Melting point 85 – 87 °C.

^{1}H NMR (400 MHz, DMSO-d_6) δ 8.81 – 8.75 (m, 2H), 8.61 – 8.55 (m, 2H), 7.90 – 7.87 (m, 4H), 7.57 – 7.51 (m, 2H), 7.50 – 7.45 (m, 4H), 4.29 (d, J = 6.3 Hz, 4H), 3.87 (d, J = 5.9 Hz, 4H), 2.97 – 2.94 (m, 2H), 2.88 – 2.86 (m, 2H).

^{13}C NMR (101 MHz, DMSO-d_6) δ 169.8, 167.1, 134.6, 132.0, 128.9, 128.0, 43.4, 38.5, 30.4.

HRMS (ESI, m/z) calcd for $C_{22}H_{26}N_4O_4S_2$ ([M+Na]$^+$): 497.1288, found 497.1288.



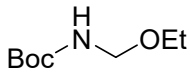
2-41

2-41 was obtained as a white oil, Yield 35% (14.3 mg, dr 1.3:1).

^{1}H NMR (400 MHz, MeOH-d_4) δ 7.49 – 7.42 (m, 2H), 7.40 – 7.29 (m, 3H), 5.64 – 5.22 (m, 1H), 4.74 – 4.64 (m, 1H), 4.62 – 4.51 (m, 1H), 4.34 – 4.16 (m, 1H), 3.55 – 3.46 (m, 1H), 3.44 – 3.34 (m, 3H), 2.49 – 2.11 (m, 1H), 2.05 – 1.80 (m, 3H), [1.46 (s), 1.27 (s), 9H], 1.06 (t, J = 7.0 Hz, 3H).

^{13}C NMR (101 MHz, MeOH-d_4) δ 175.2, 173.2, 156.1, 138.8, 130.0, 129.9, 129.7, 129.5, 129.1, 81.5, 70.9, 64.7, 61.4, 58.9, 48.1, 32.5, 31.2, 28.9, 28.7, 25.5, 24.8, 15.5.

HRMS (ESI, m/z) calcd for $C_{21}H_{31}N_3O_5$ ([M+Na]$^+$): 428.2156, found 428.2156.



2-42

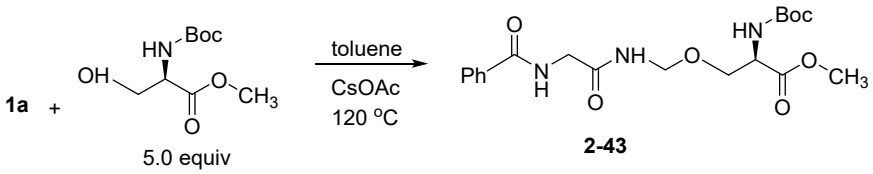
2-42 was obtained as a white oil, Yield 64% (11.3 mg).

^{1}H NMR (400 MHz, $CDCl_3$) δ 5.27 (s, 1H), 4.61 (d, J = 7.2 Hz, 2H), 3.53 (q, J = 7.0 Hz, 2H), 1.45 (s, 9H), 1.20 (t, J = 7.0 Hz, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 155.8, 80.1, 71.9, 63.6, 28.4, 15.3.

HRMS (ESI, m/z) calcd for $C_8H_{17}NO_3$ ([M+Na]$^+$): 198.1101, found 198.1102.

Synthesis of compound 2-43



1a (0.2 mmol, 1.0 equiv), CsOAc (0.4 mmol, 2.0 equiv) were placed to the screw cap vial followed by addition of Boc-Ser-OMe (1.0 mmol, 5.0 equiv) and toluene (2.0 mL). The resulting mixture was sealed and stirred at 120 °C for 12 h. After completion of the reaction, the mixture was evaporated under reduced pressure to obtain residue which was purified by a silica gel column chromatography (eluent: *n*-heptane/ethyl acetate = 1:4 v/v) to afford the desired product **2-43**.

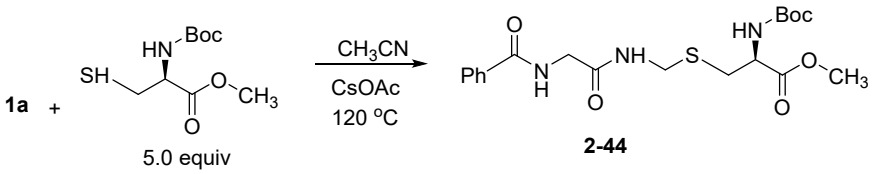
2-43 was obtained as a white oil, Yield 20% (16.4 mg).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.84 (d, J = 7.7 Hz, 2H), 7.60 – 7.50 (m, 1H), 7.49 – 7.39 (m, 2H), 7.23 – 7.04 (m, 2H), 5.41 (d, J = 8.8 Hz, 1H), 4.76 (d, J = 6.7 Hz, 2H), 4.47 – 4.36 (m, 1H), 4.18 (d, J = 5.1 Hz, 2H), 3.96 – 3.89 (m, 1H), 3.81 – 3.73 (m, 1H), 3.72 (s, 3H), 1.43 (s, 9H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 171.3, 170.2, 168.0, 155.7, 133.4, 132.2, 128.8, 127.3, 80.3, 70.6, 69.0, 54.0, 52.7, 44.0, 28.4.

HRMS (ESI, m/z) calcd for $C_{19}H_{27}N_3O_7$ ([M+Na]$^+$): 432.1741, found 432.1739.

Synthesis of compound 2-44



1a (0.2 mmol, 1.0 equiv), CsOAc (0.4 mmol, 2.0 equiv) were placed to the screw cap vial followed by addition of *N*-Boc-L-cysteine methyl ester (1.0 mmol, 5.0 equiv) and CH_3CN (2.0 mL). The resulting mixture was sealed and stirred at 120 °C for 12 h. After completion of the reaction, the mixture was evaporated under reduced pressure to obtain residue which was purified by a silica gel column chromatography (eluent: *n*-heptane/ethyl acetate = 1:4 v/v) to afford the desired product **2-44**.

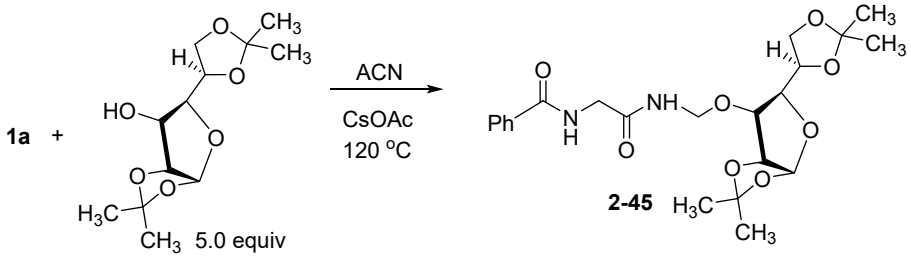
2-44 was obtained as a white oil, Yield 18% (15.4 mg).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 – 7.76 (m, 2H), 7.59 – 7.38 (m, 3H), 7.37 – 7.19 (m, 2H), 5.57 – 5.34 (m, 1H), 4.54 – 4.47 (m, 1H), 4.44 (d, J = 4.3 Hz, 2H), 4.19 (d, *J* = 4.9 Hz, 2H), 3.73 (s, 3H), 3.16 – 2.99 (m, 1H), 2.97 – 2.79 (m, 1H), 1.44 (s, 9H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 171.6, 169.3, 167.9, 155.8, 133.6, 132.1, 128.8, 127.4, 80.8, 54.1, 52.9, 43.9, 42.5, 35.1, 28.5.

HRMS (ESI, m/z) calcd for $C_{19}H_{27}N_3O_6S$ ([M+Na]$^+$): 448.1513, found 448.1505.

Synthesis of compound 2-45



1a (0.2 mmol, 1.0 equiv), CsOAc (0.4 mmol, 2.0 equiv) were placed to the screw cap vial followed by addition of 1,2:5,6-Di-O-isopropylidene-α-D-allofuranose (1.0 mmol, 5.0 equiv) and CH_3CN (2.0 mL). The resulting mixture was sealed and stirred at 120 °C for 12 h. After completion of the reaction, the mixture was evaporated under reduced pressure to obtain residue which was purified by a silica gel column chromatography (eluent: *n*-heptane/ethyl acetate = 1:4 v/v) to afford the desired product **2-45**.

2-45 was obtained as a white oil, Yield 13% (11.7 mg).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83 (d, J = 7.6 Hz, 2H), 7.61 – 7.40 (m, 3H), 7.04 – 6.85 (m, 2H), 5.75 (d, J = 3.7 Hz, 1H), 5.05 – 4.82 (m, 2H), 4.75 – 4.63 (m, 1H), 4.44 – 4.32 (m, 1H), 4.30 – 3.84 (m, 6H), 1.58 (s, 3H), 1.48 (s, 3H), 1.36 (s, 3H), 1.34 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 170.0, 167.8, 133.4, 132.2, 128.9, 127.2, 113.1, 110.0, 104.1, 78.6, 78.4, 75.0, 70.3, 65.3, 43.9, 27.0, 26.4, 24.9.

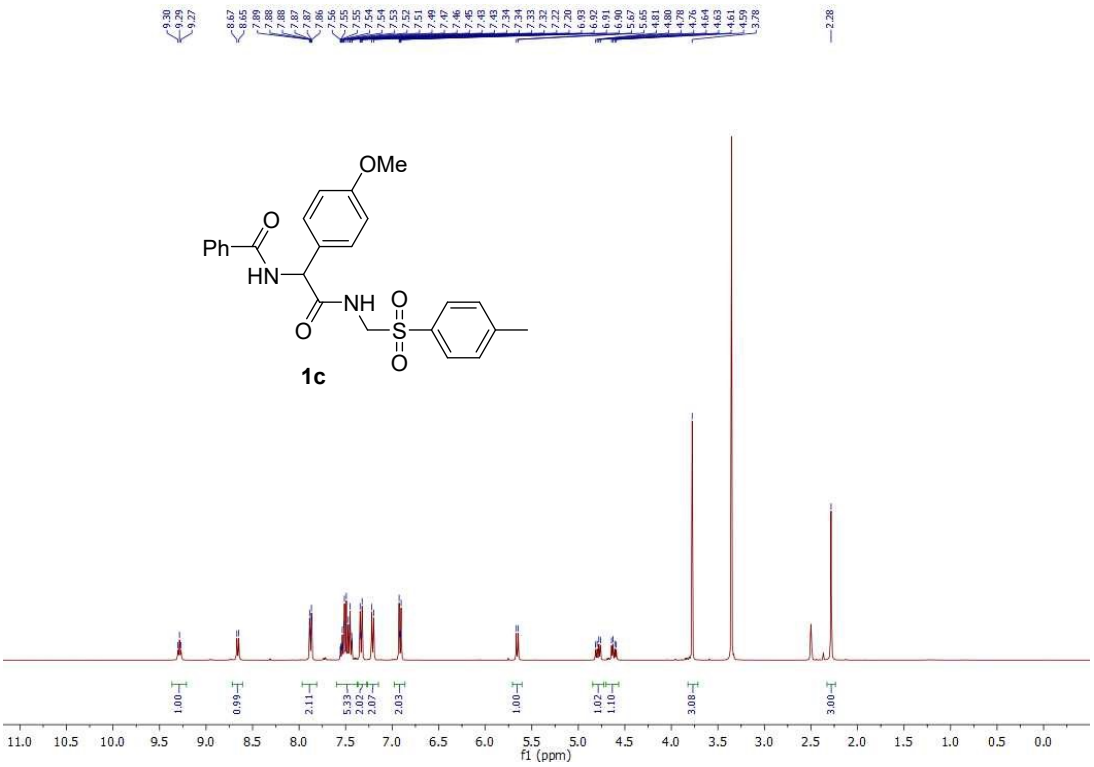
HRMS (ESI, m/z) calcd for $C_{22}H_{30}N_2O_8$ ($[M+Na]^+$): 473.1894, found 473.1890.

6. References

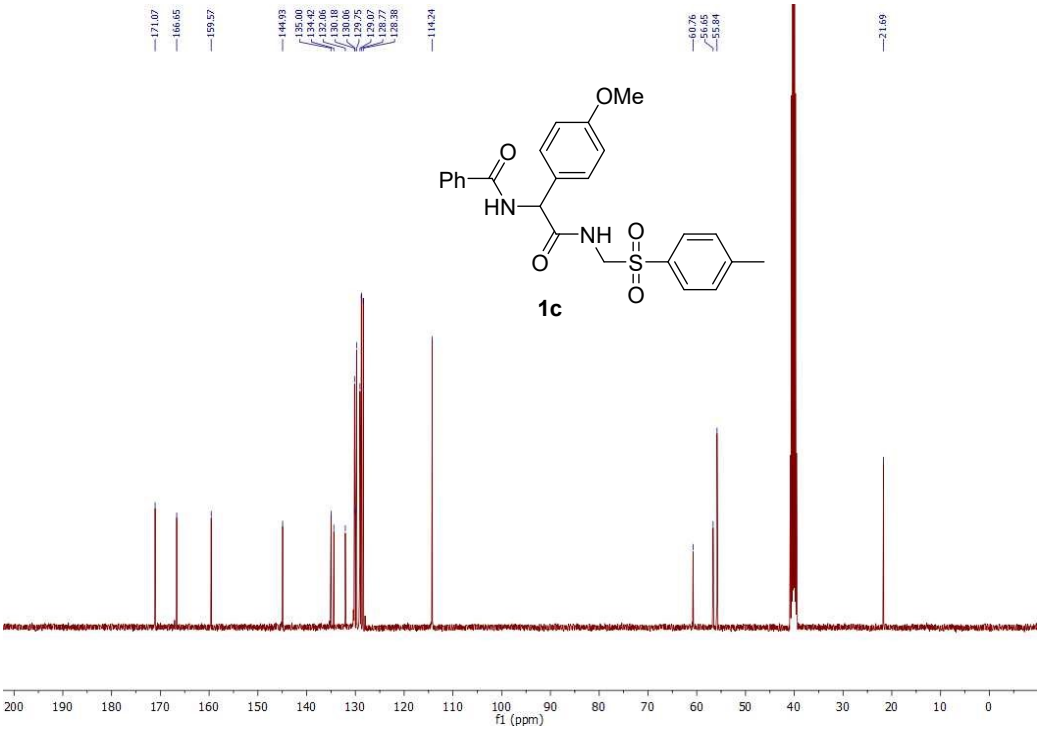
1. C. Liu, L. Song, V. A. Peshkov, E. V. Van der Eycken, *Org. Chem. Front.,* 2021, **8**, 6968.

7. Copies of ^{1}H NMR and ^{13}C NMR spectra for the Ugi adducts

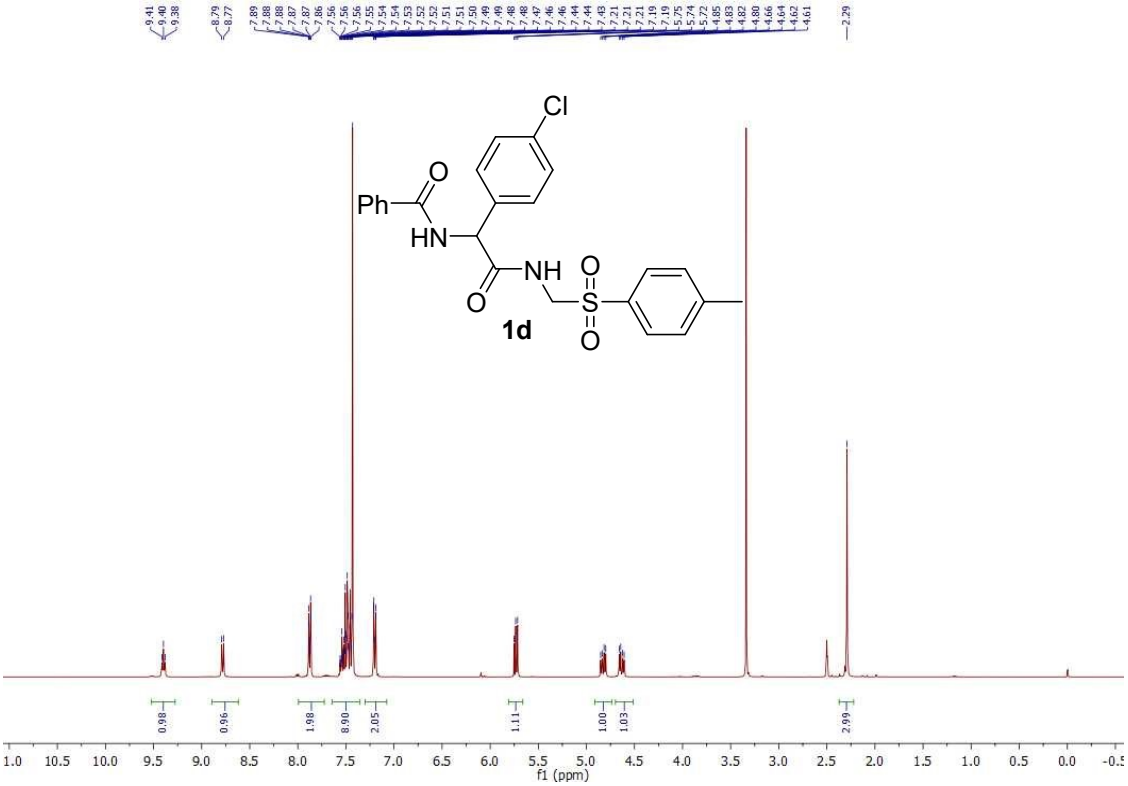
^{1}H NMR spectra of compound **1c** (400 MHz, DMSO-d_6)



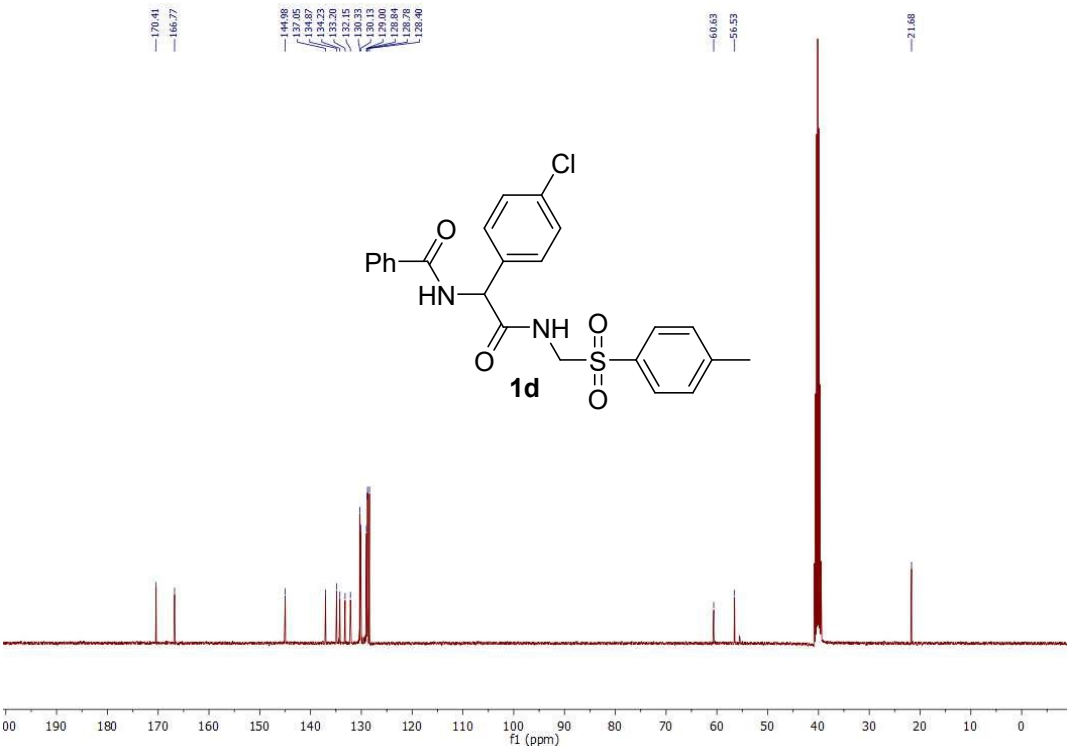
^{13}C NMR spectra of compound **1c** (101 MHz, DMSO-d_6)



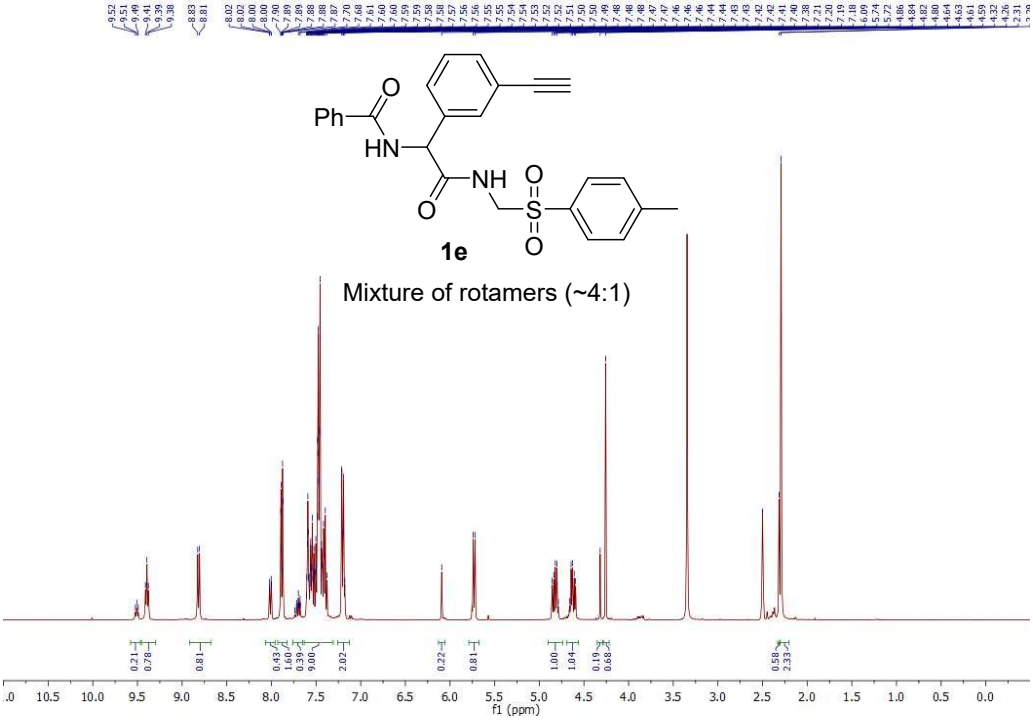
^{1}H NMR spectra of compound **1d** (400 MHz, DMSO-d_6)



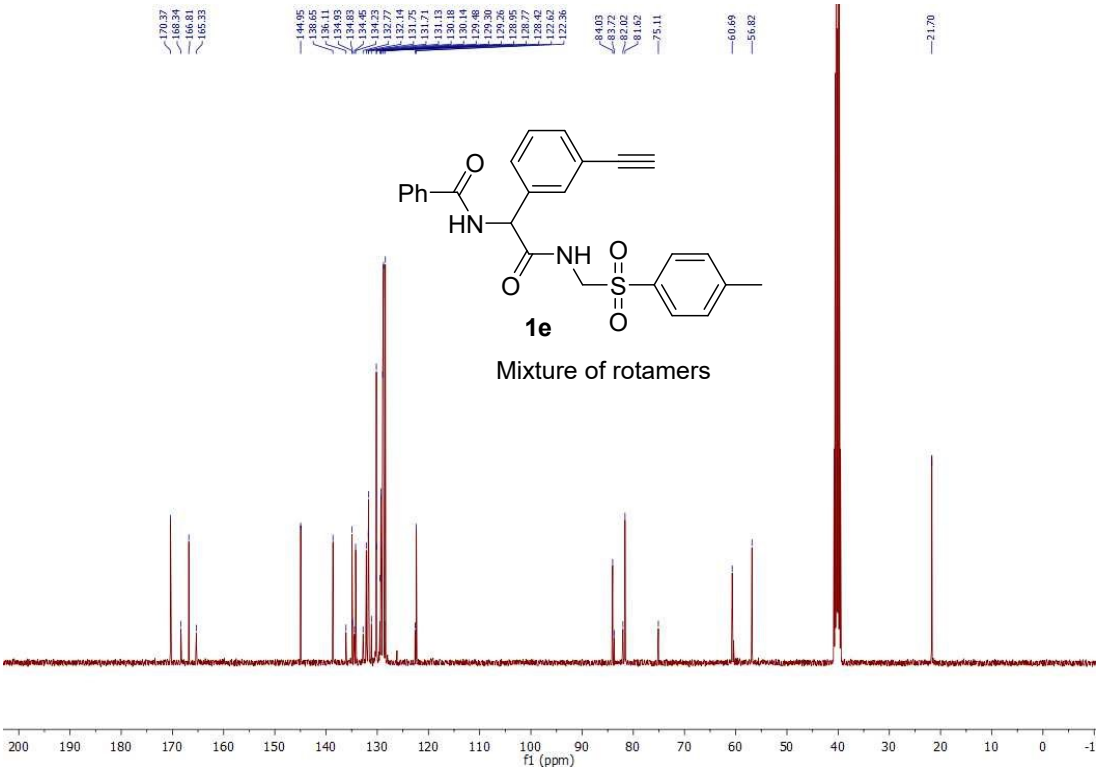
^{13}C NMR spectra of compound **1d** (101 MHz, DMSO-d_6)



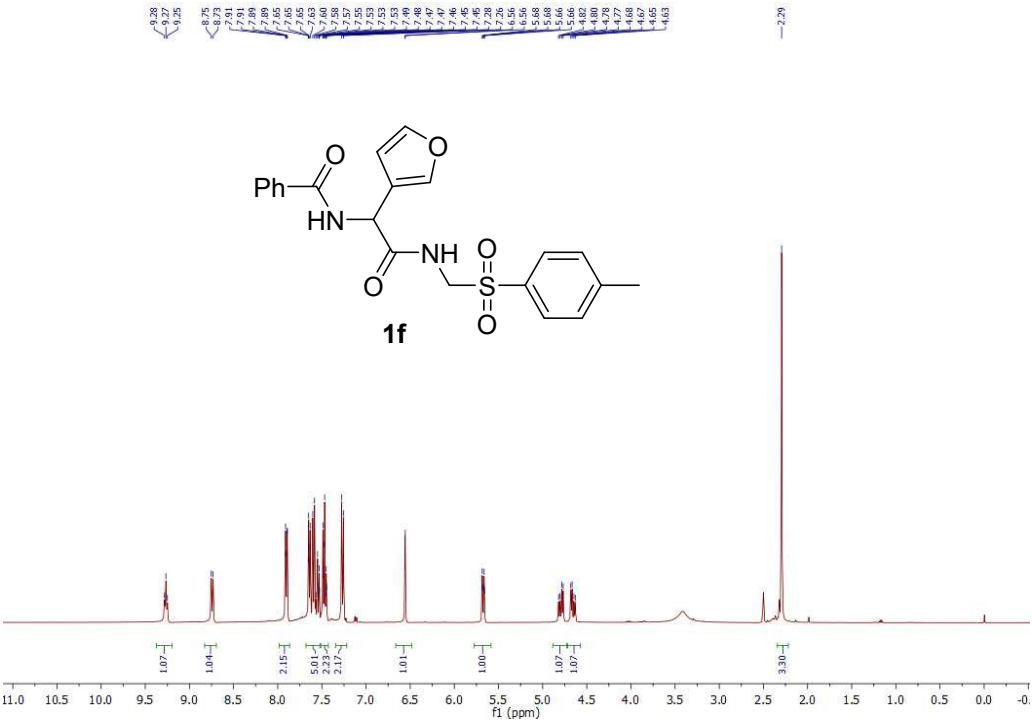
^{1}H NMR spectra of compound **1e** (400 MHz, DMSO-d_6)



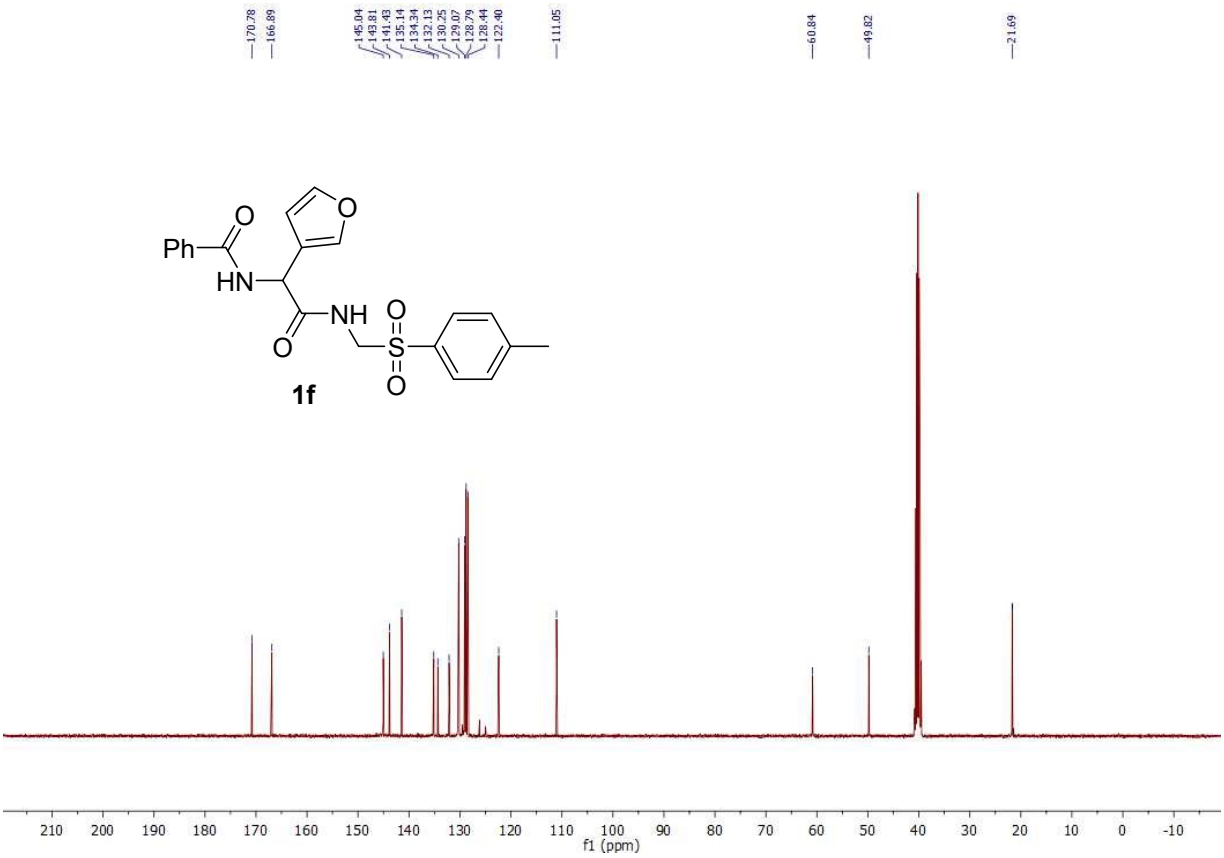
^{13}C NMR spectra of compound **1e** (101 MHz, DMSO-d_6)



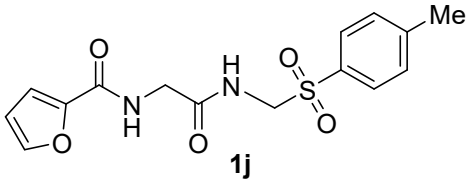
^{1}H NMR spectra of compound **1f** (400 MHz, DMSO-d_6)



^{13}C NMR spectra of compound **1f** (101 MHz, DMSO-d_6)

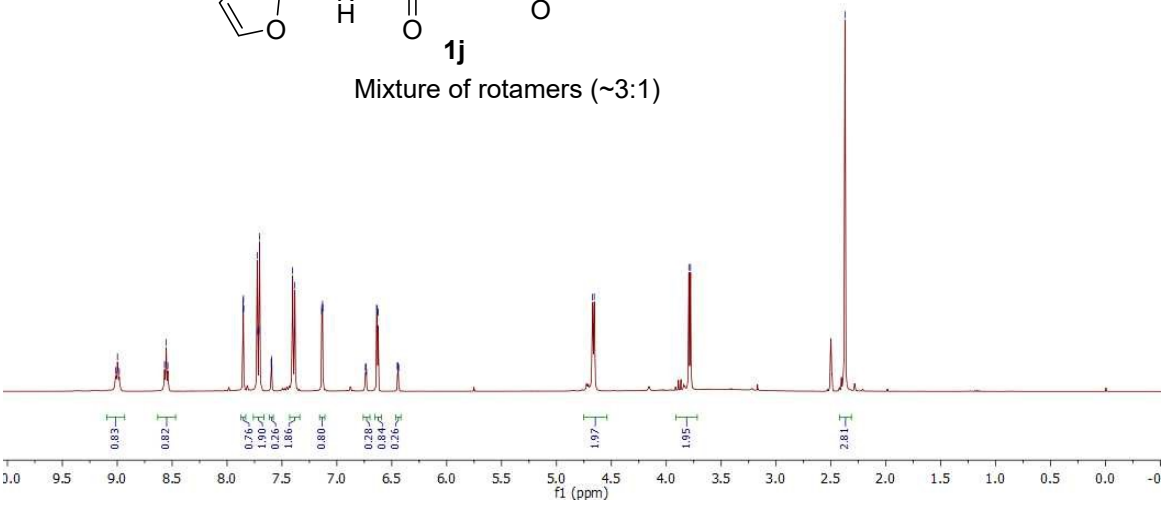


^{1}H NMR spectra of compound **1j** (400 MHz, DMSO-d_6)

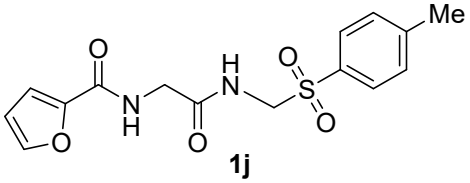


1j

Mixture of rotamers (~3:1)

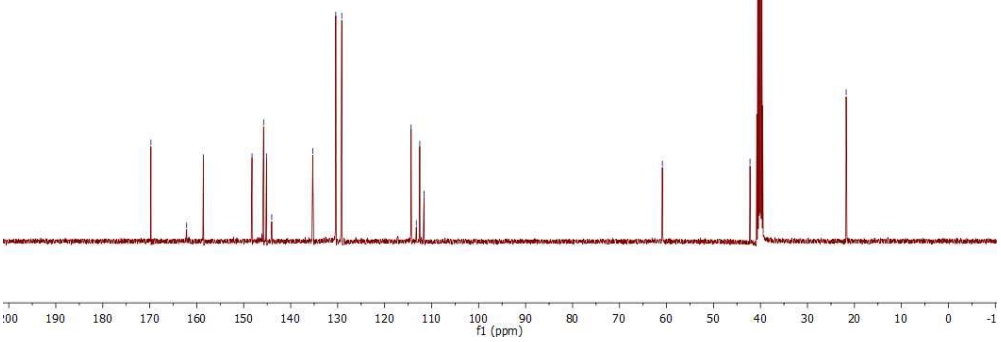


^{13}C NMR spectra of compound **1j** (101 MHz, DMSO-d_6)

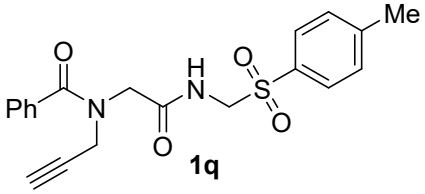


1j

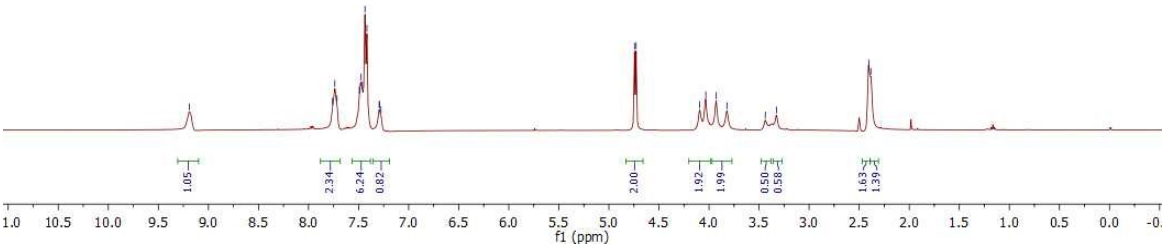
Mixture of rotamers



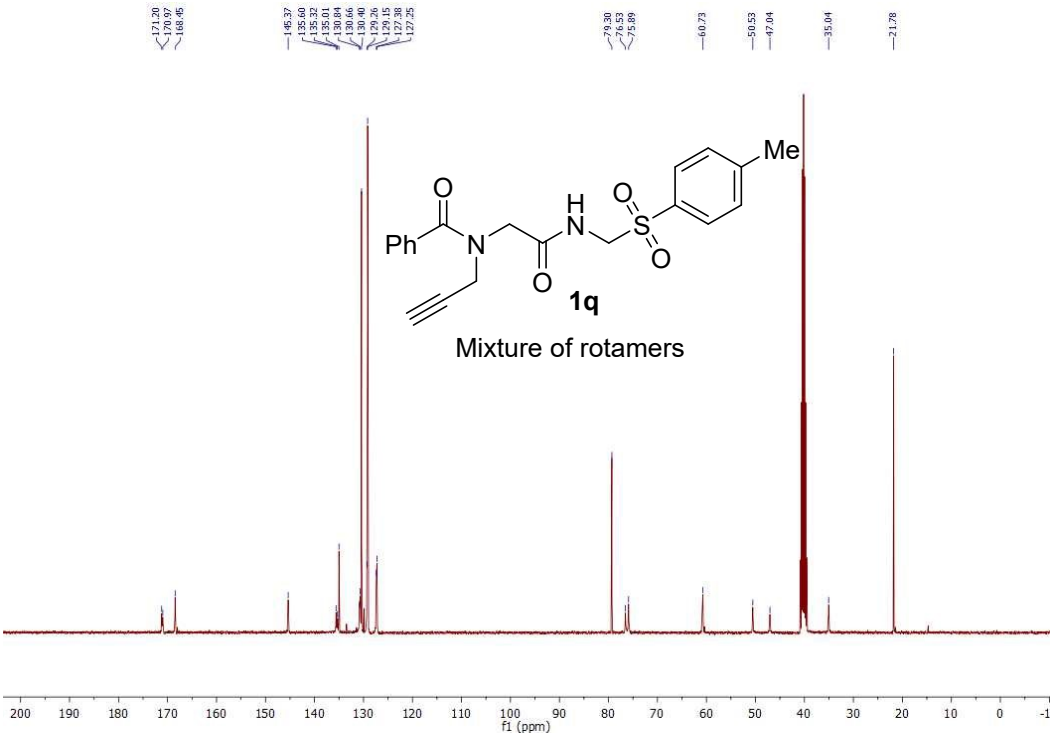
^{1}H NMR spectra of compound **1q** (400 MHz, DMSO-d_6)



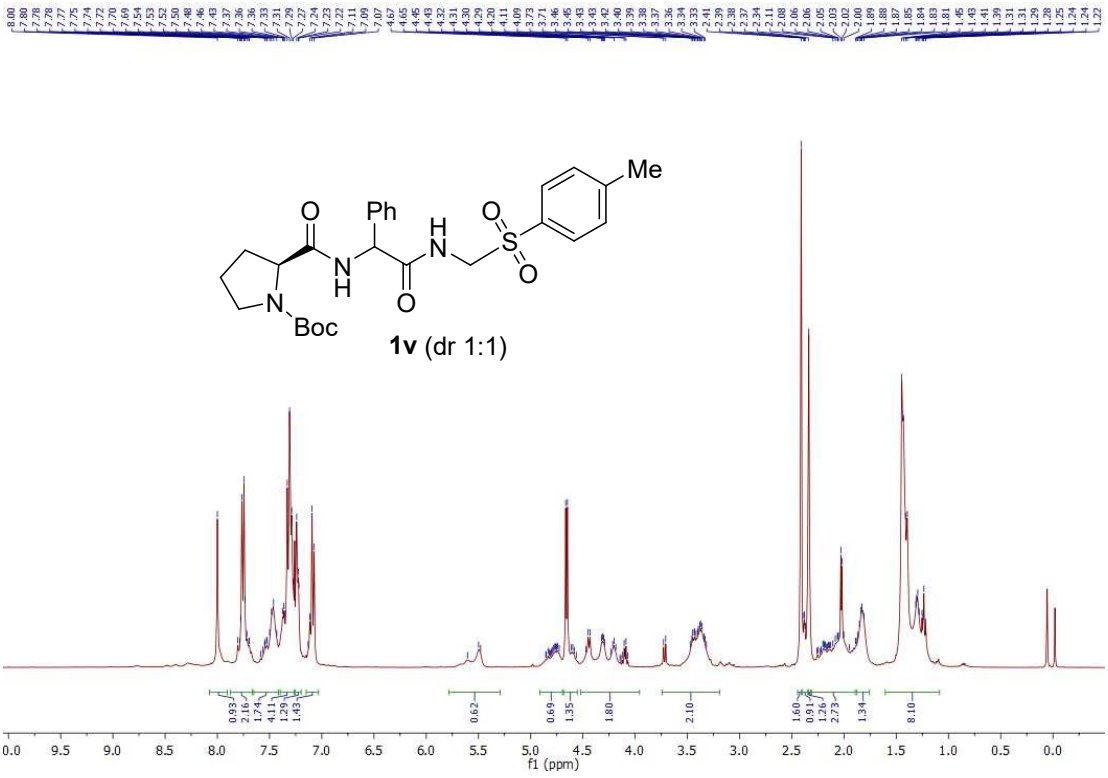
Mixture of rotamers (~1.1:1)



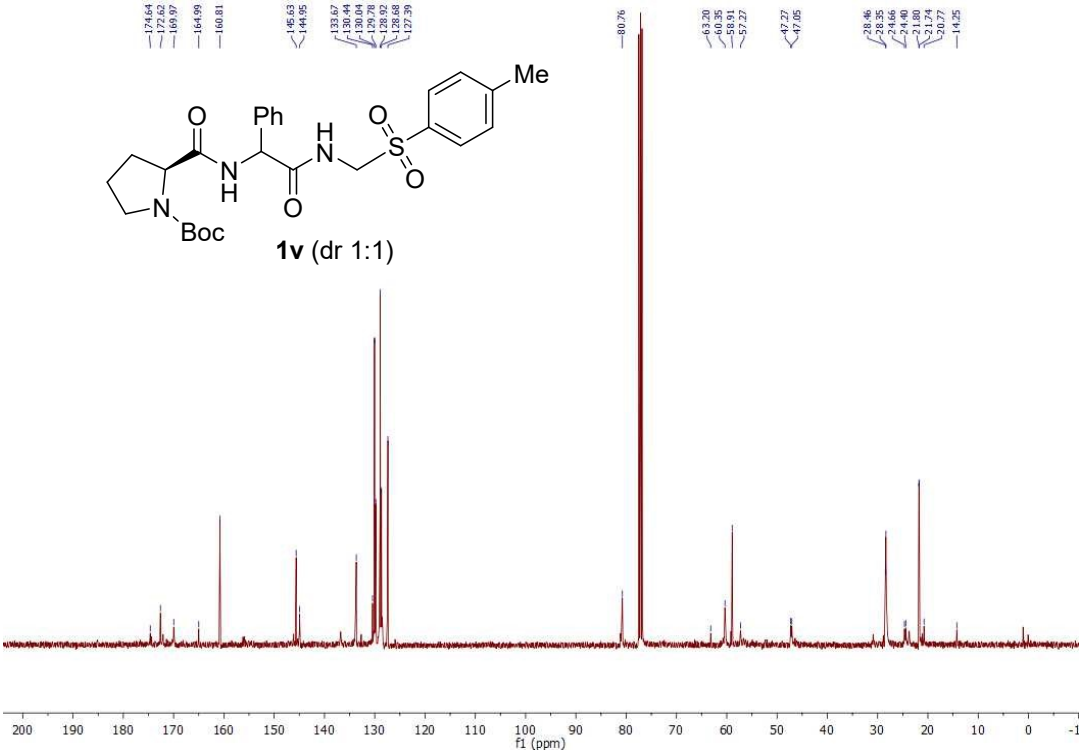
^{13}C NMR spectra of compound **1q** (101 MHz, DMSO-d_6)



^{1}H NMR spectra of compound **1v** (400 MHz, $CDCl_3$)

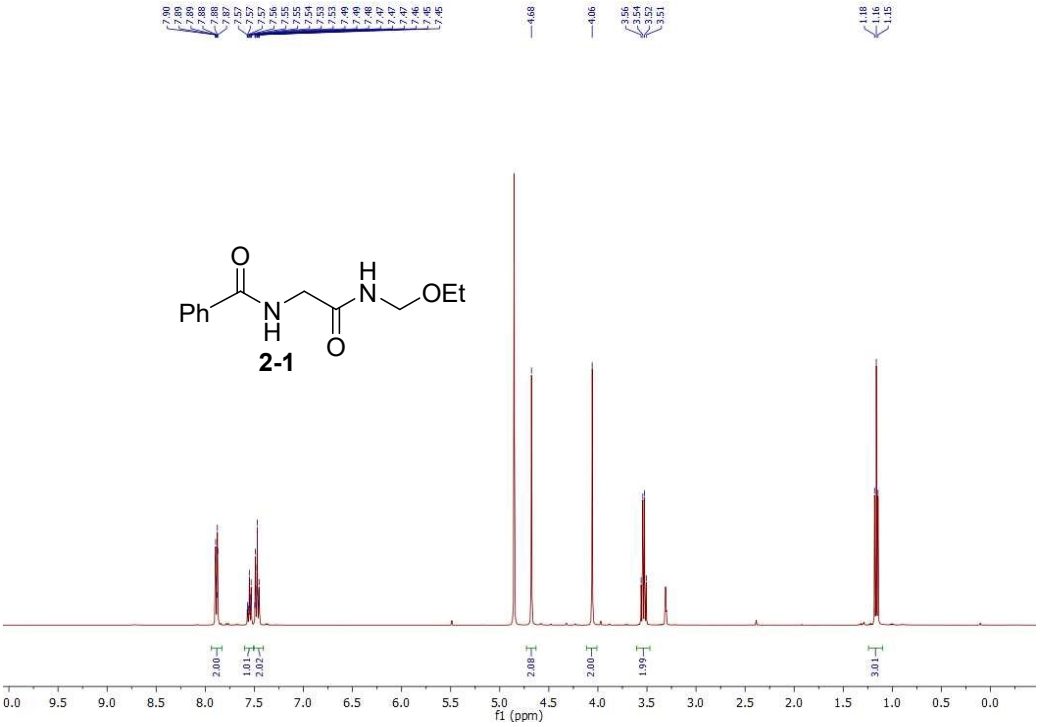


^{13}C NMR spectra of compound **1v** (101 MHz, $CDCl_3$)

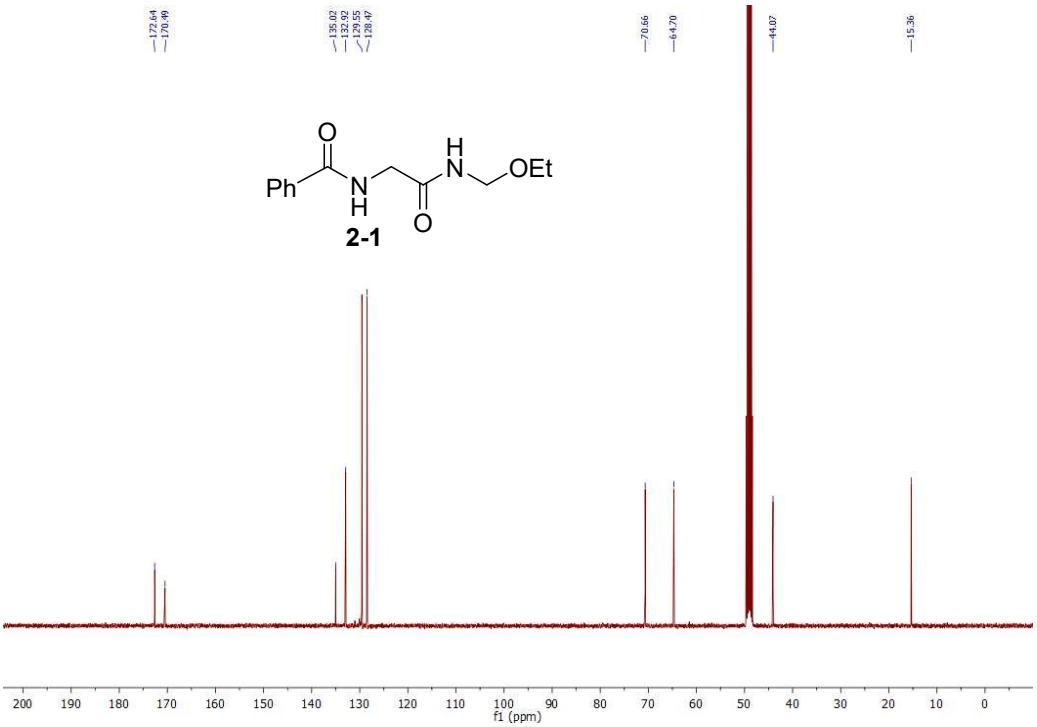


8. Copies of ^{1}H NMR and ^{13}C NMR spectra for the products

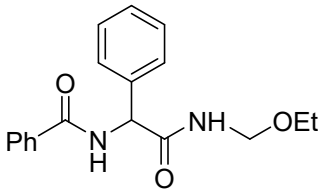
^{1}H NMR spectra of compound **2-1** (400 MHz, MeOH-d_4)



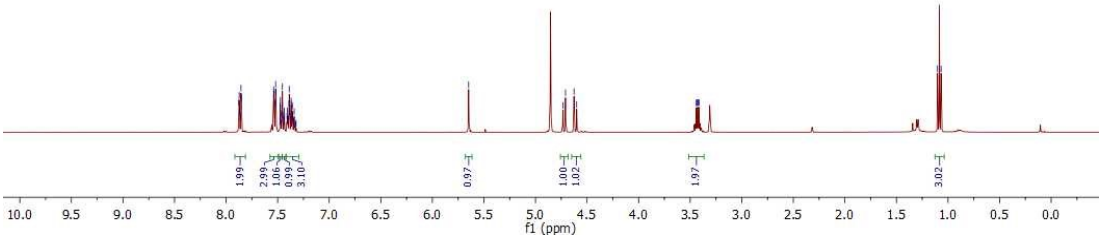
^{13}C NMR spectra of compound **2-1** (101 MHz, MeOH-d_4)



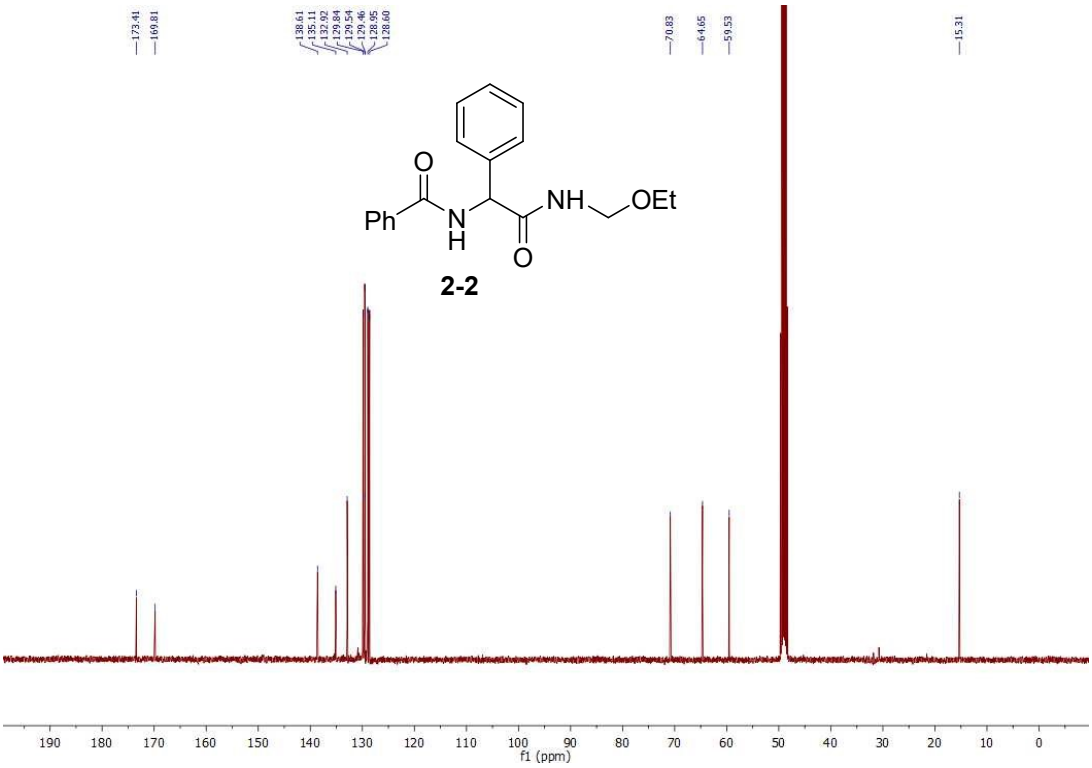
^{1}H NMR spectra of compound **2-2** (400 MHz, MeOH-d_4)



2-2

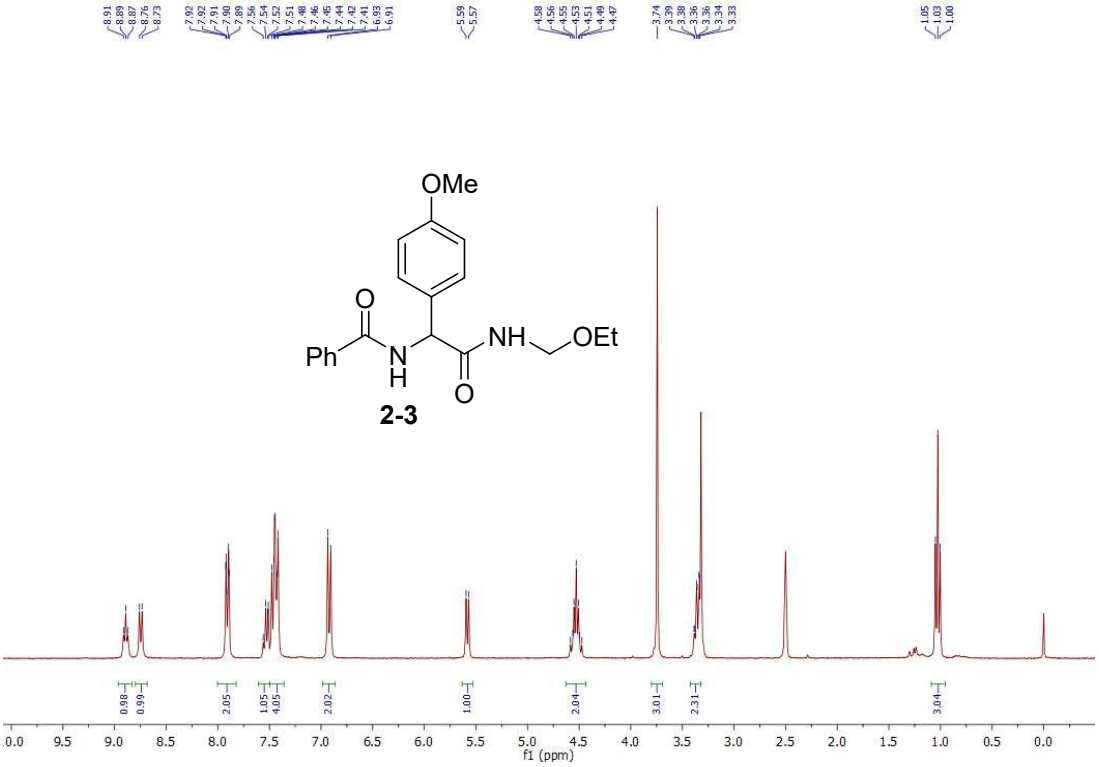


^{13}C NMR spectra of compound **2-2** (101 MHz, MeOH-d_4)

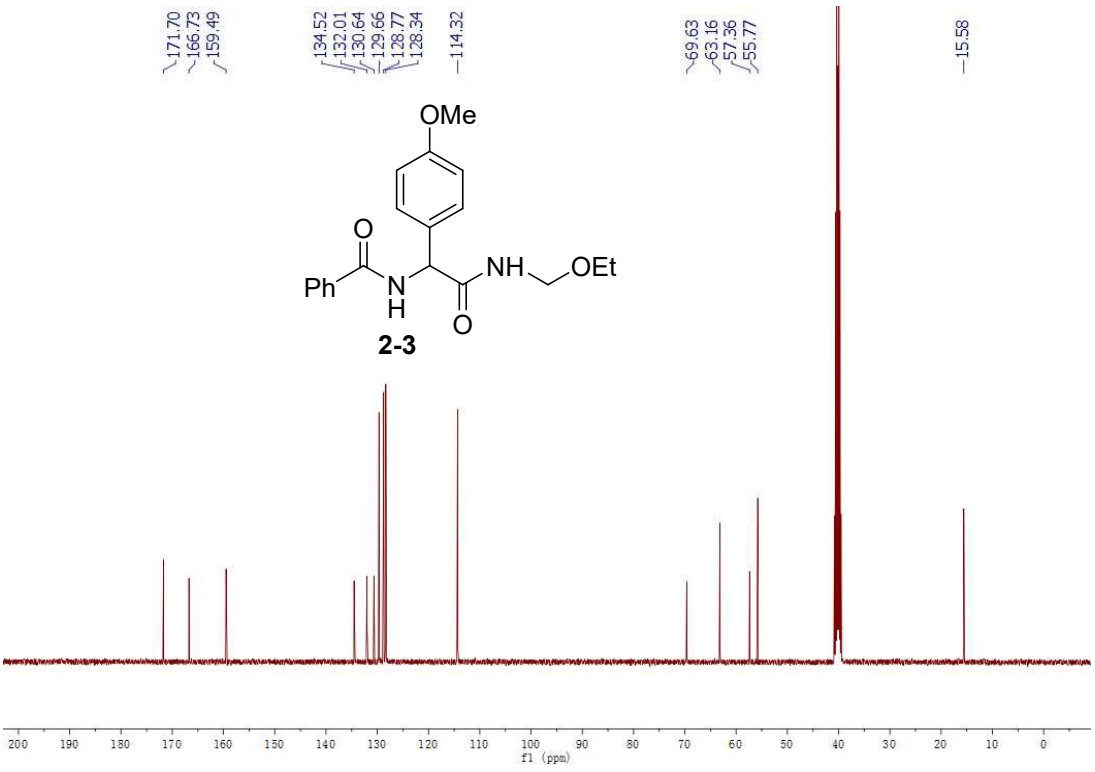


2-2

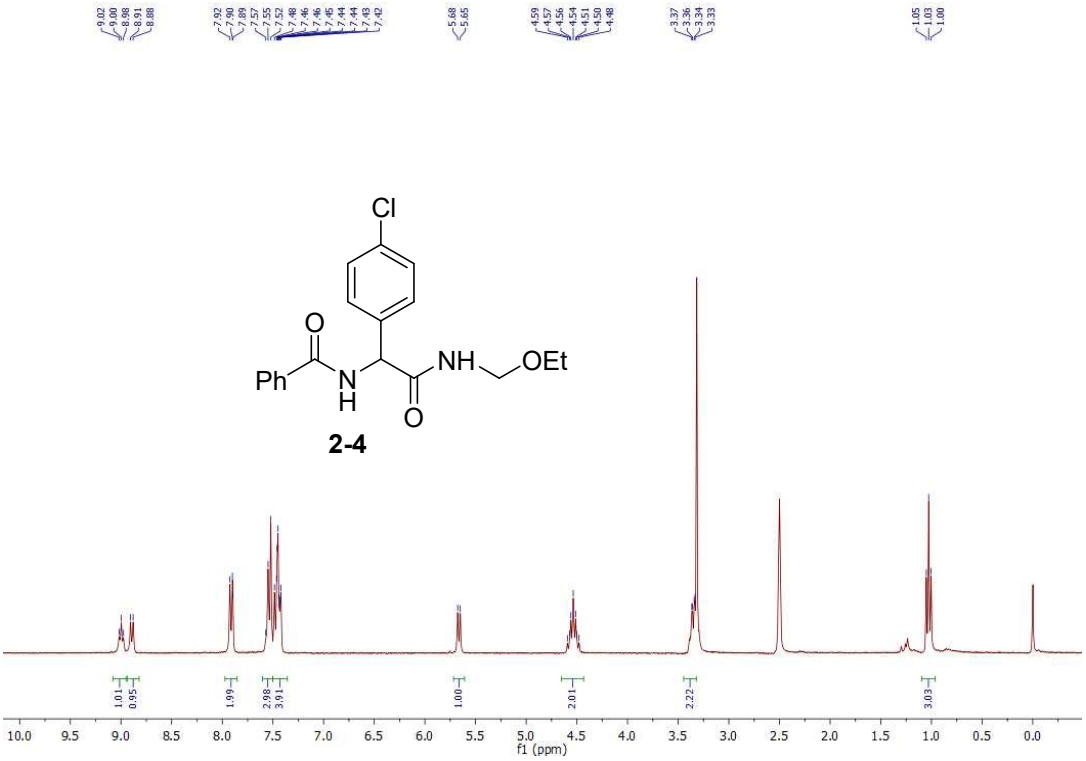
^{1}H NMR spectra of compound **2-3** (400 MHz, DMSO-d_6)



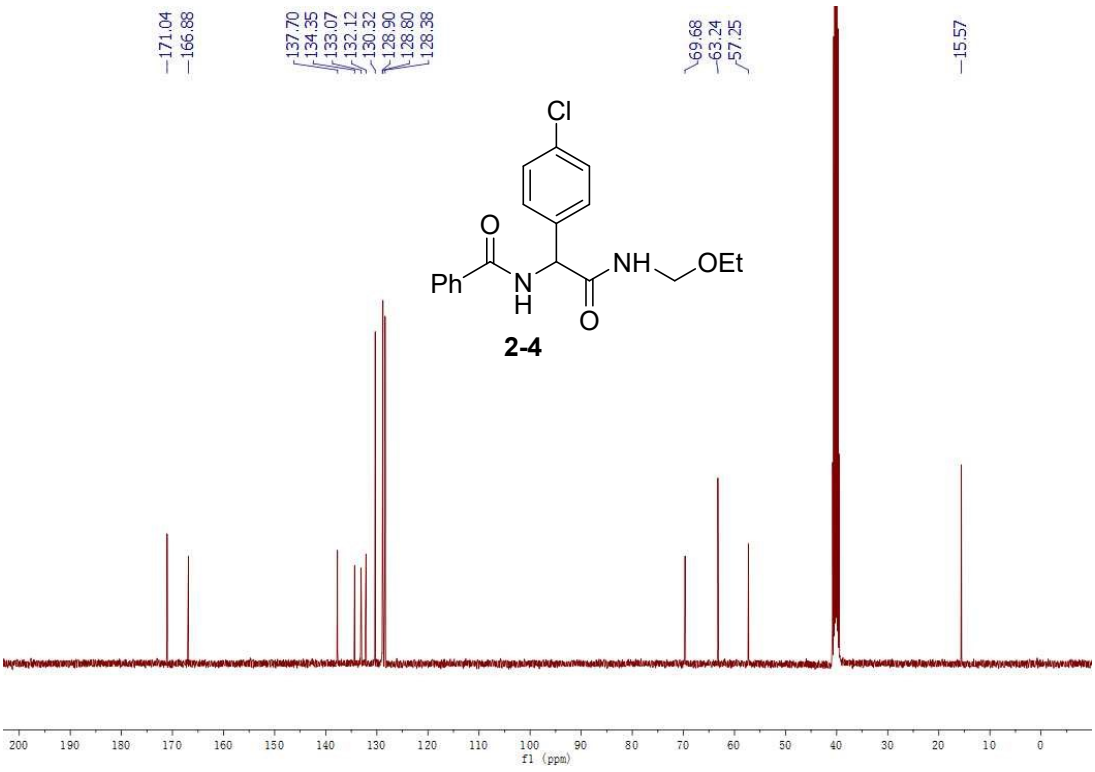
^{13}C NMR spectra of compound **2-3** (101 MHz, DMSO-d_6)



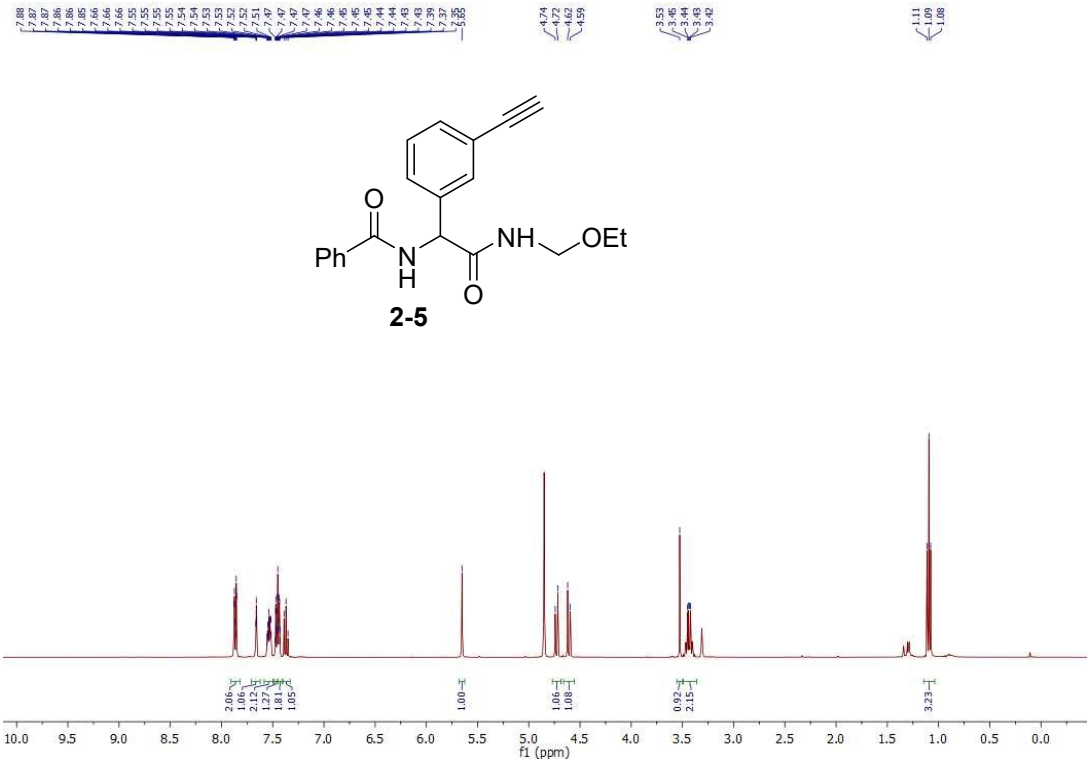
^{1}H NMR spectra of compound **2-4** (300 MHz, DMSO-d_6)



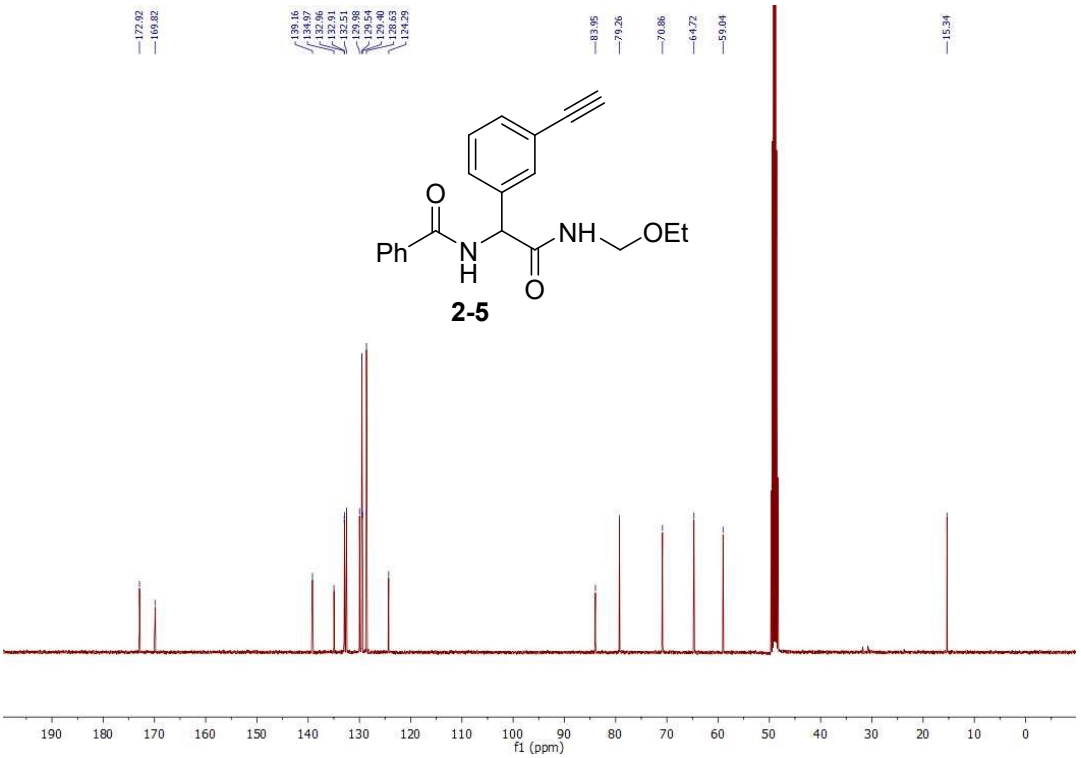
^{13}C NMR spectra of compound **2-4** (101 MHz, DMSO-d_6)



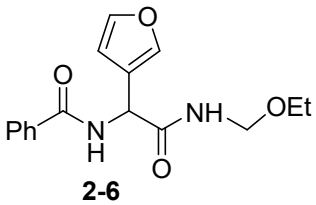
^{1}H NMR spectra of compound **2-5** (400 MHz, MeOH-d_4)



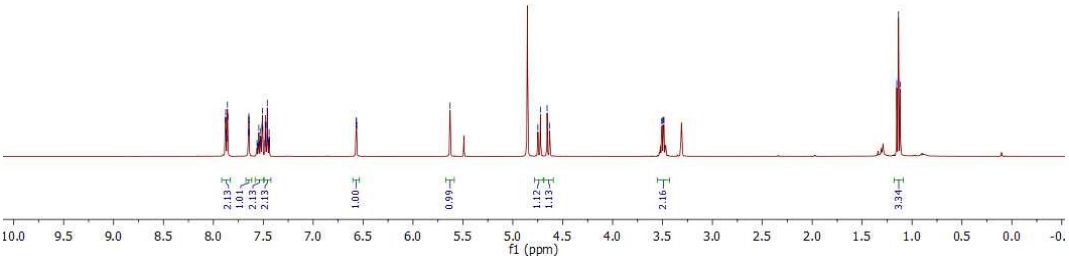
^{13}C NMR spectra of compound **2-5** (101 MHz, MeOH-d_4)



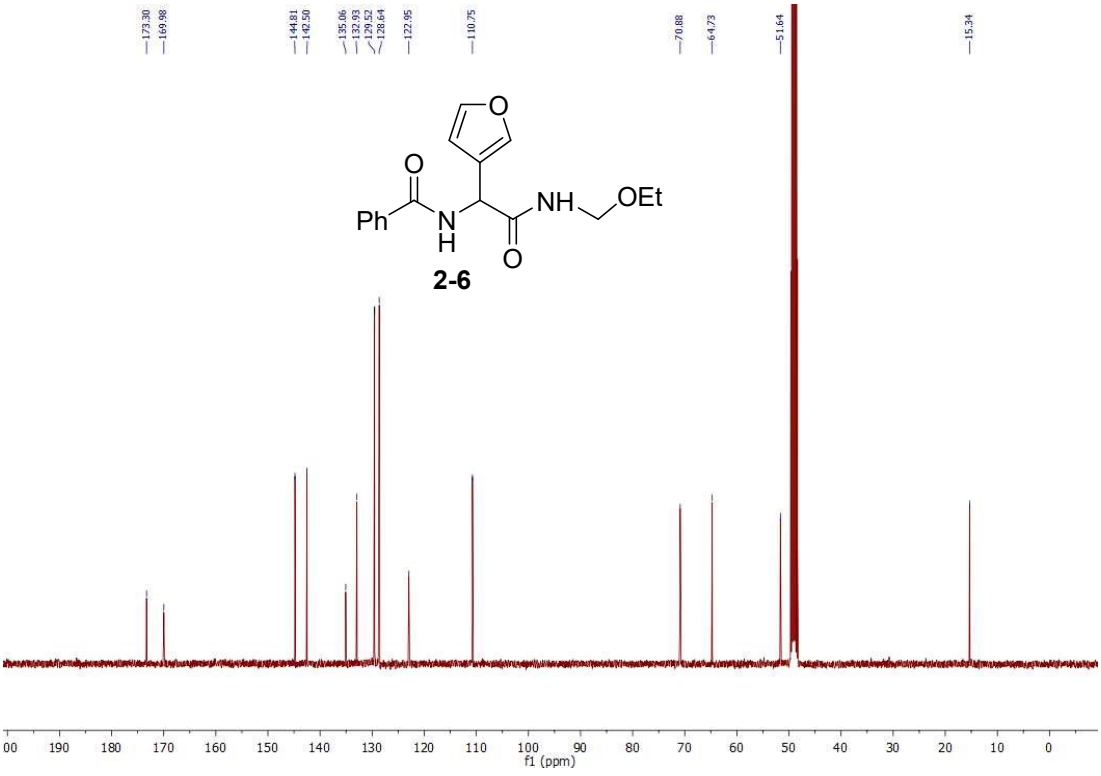
^{1}H NMR spectra of compound **2-6** (400 MHz, MeOH-d_4)



2-6

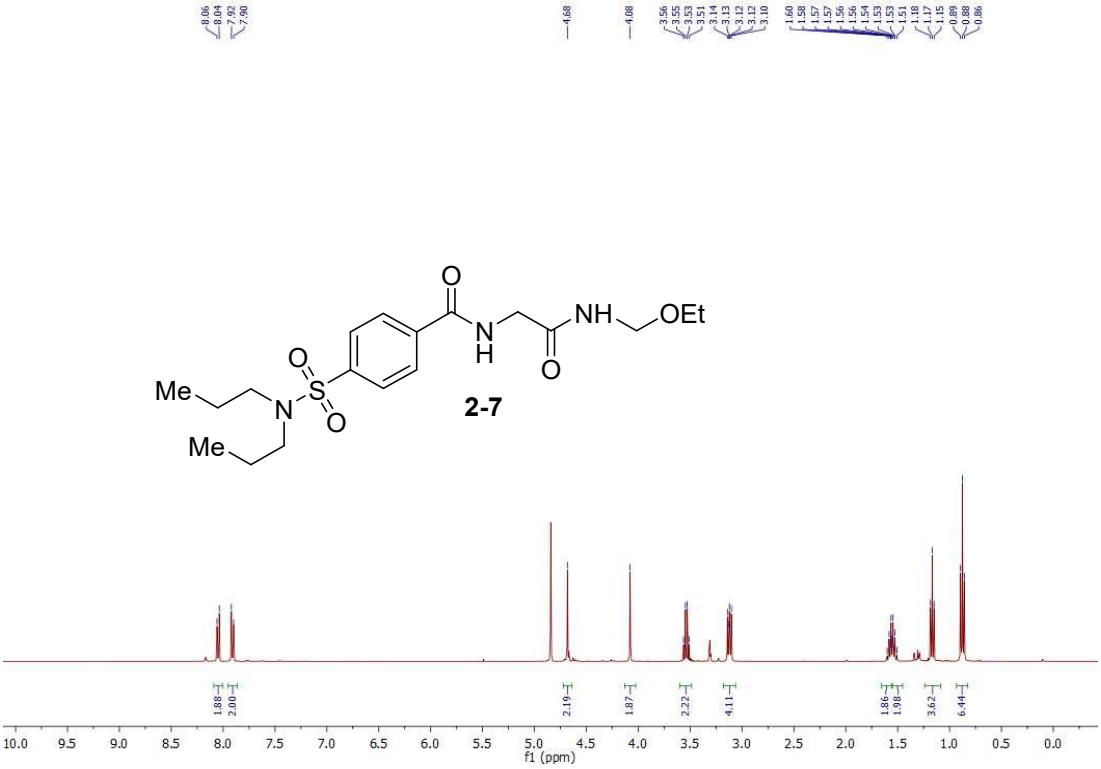


^{13}C NMR spectra of compound **2-6** (101 MHz, MeOH-d_4)

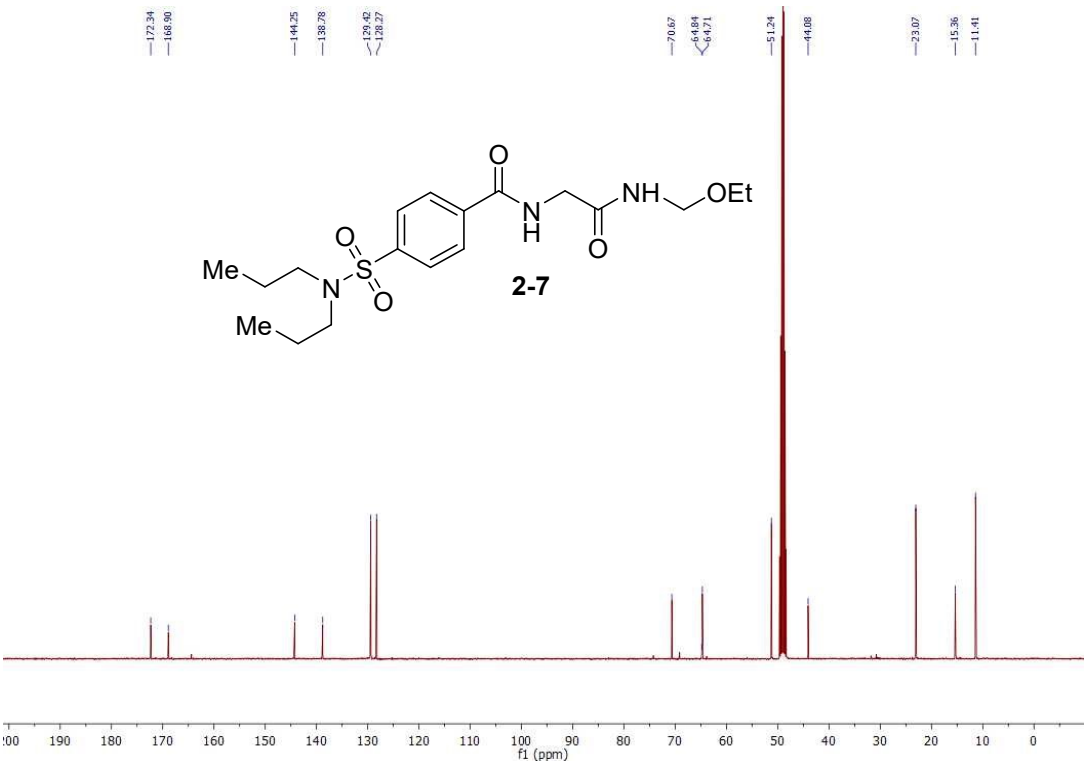


2-6

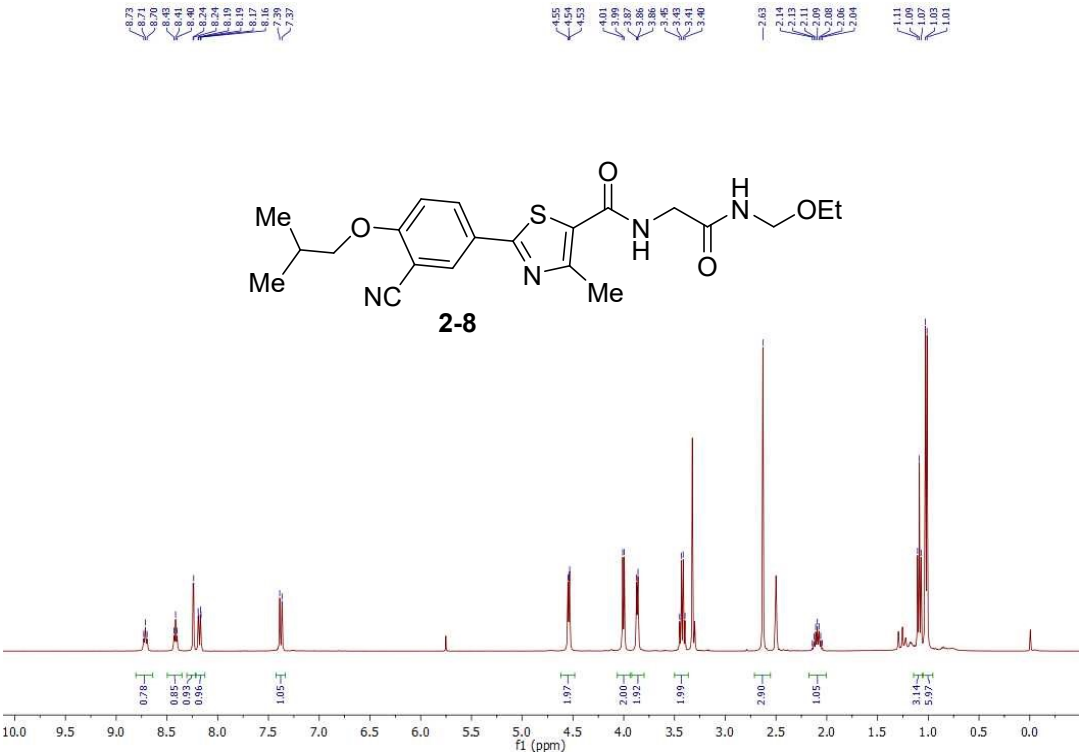
^{1}H NMR spectra of compound **2-7** (400 MHz, MeOH-d_4)



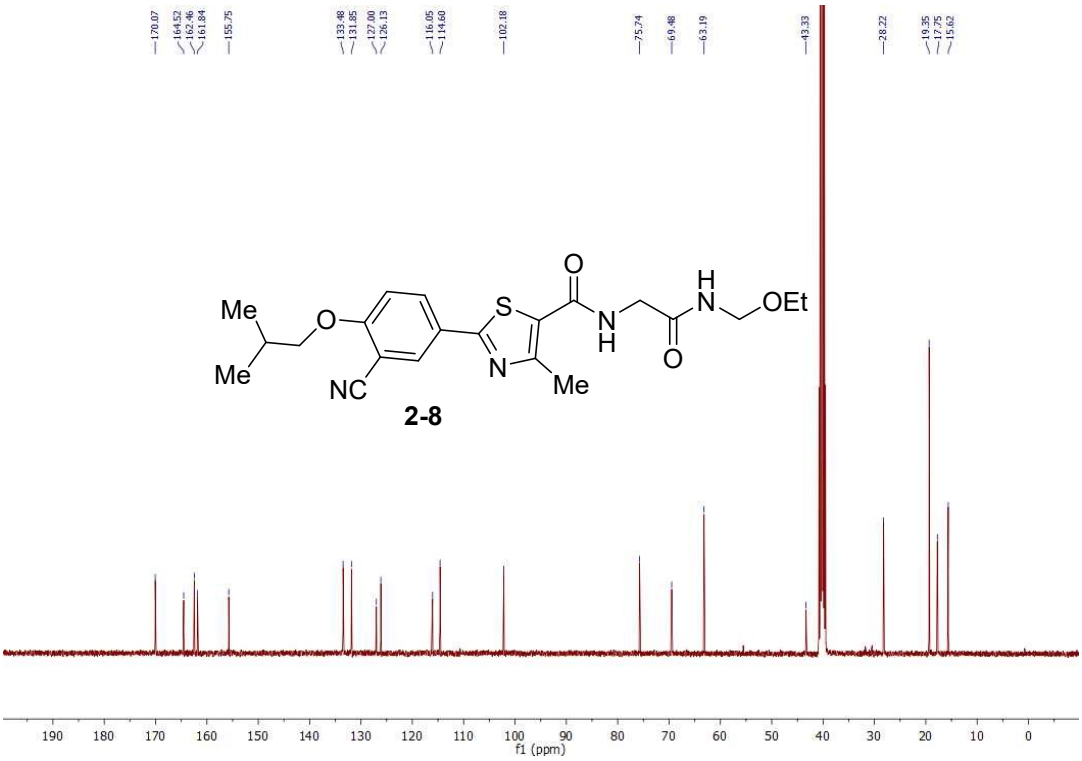
^{13}C NMR spectra of compound **2-7** (101 MHz, MeOH-d_4)



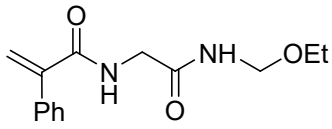
^{1}H NMR spectra of compound **2-8** (400 MHz, DMSO-d_6)



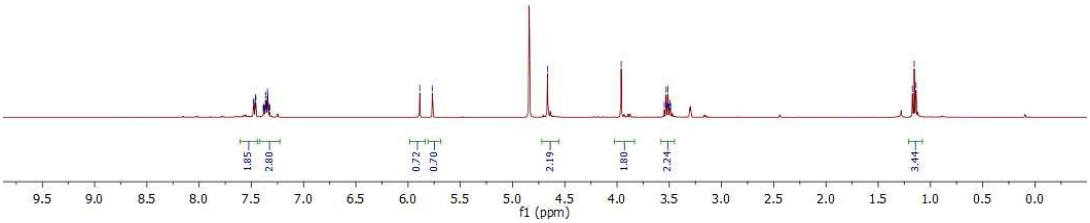
^{13}C NMR spectra of compound **2-8** (101 MHz, DMSO-d_6)



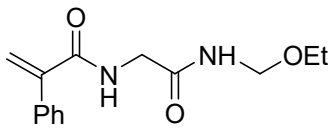
^{1}H NMR spectra of compound **2-9** (400 MHz, MeOH-d_4)



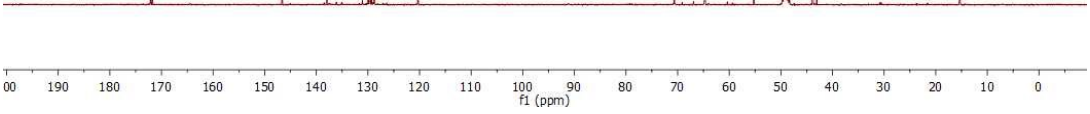
2-9



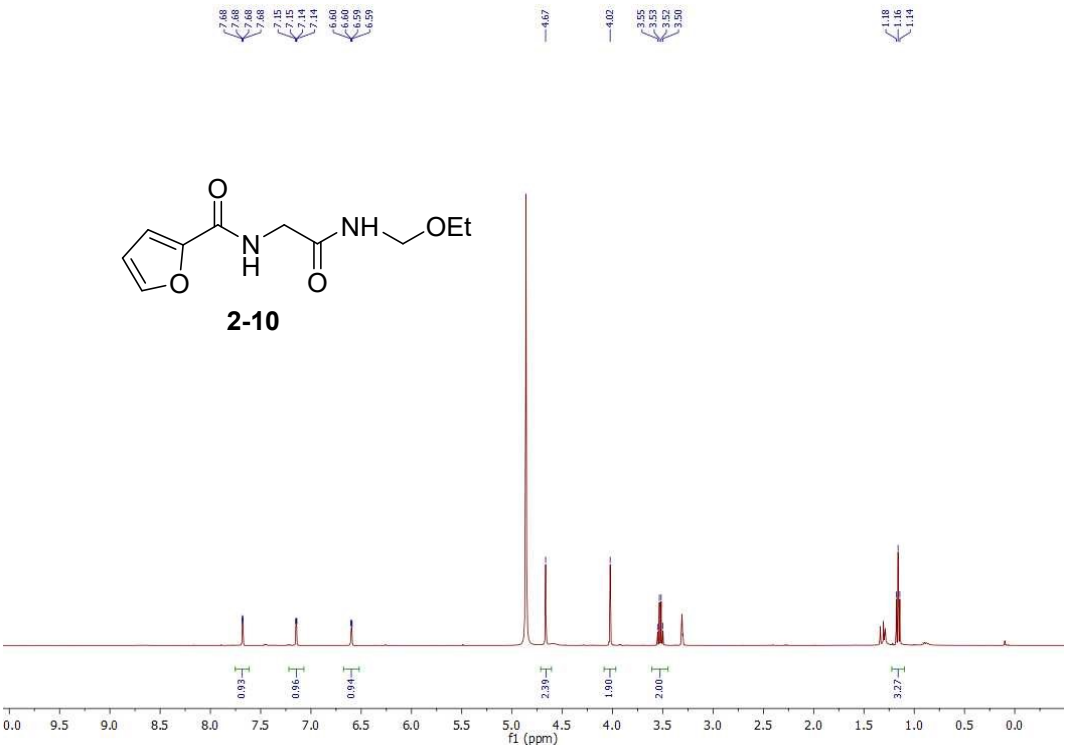
^{13}C NMR spectra of compound **2-9** (101 MHz, MeOH-d_4)



2-9

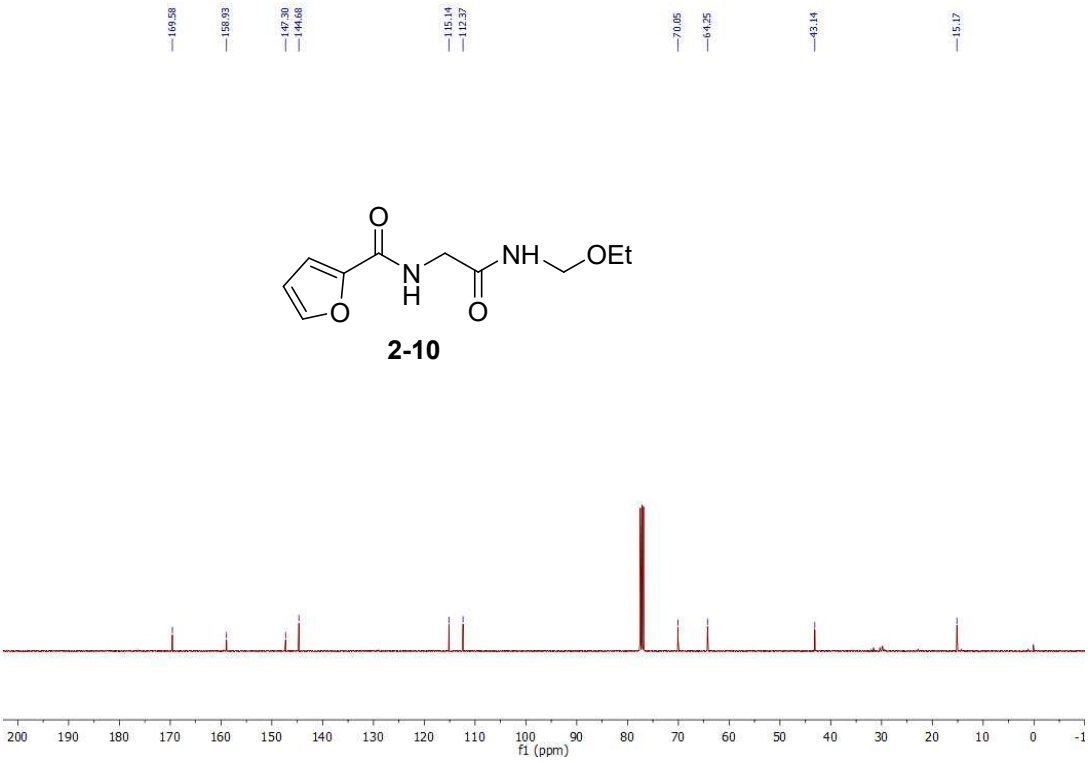


^{1}H NMR spectra of compound **2-10** (400 MHz, MeOH-d_4)



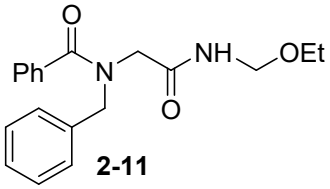
2-10

^{13}C NMR spectra of compound **2-10** (101 MHz, $CDCl_3$)



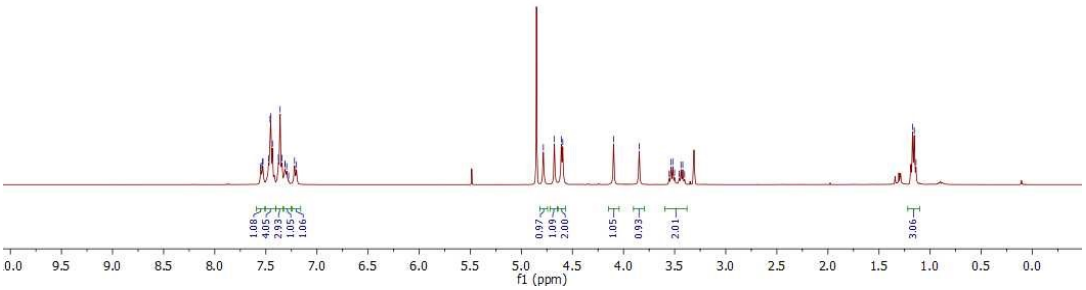
2-10

^{1}H NMR spectra of compound **2-11** (400 MHz, MeOH-d_4)

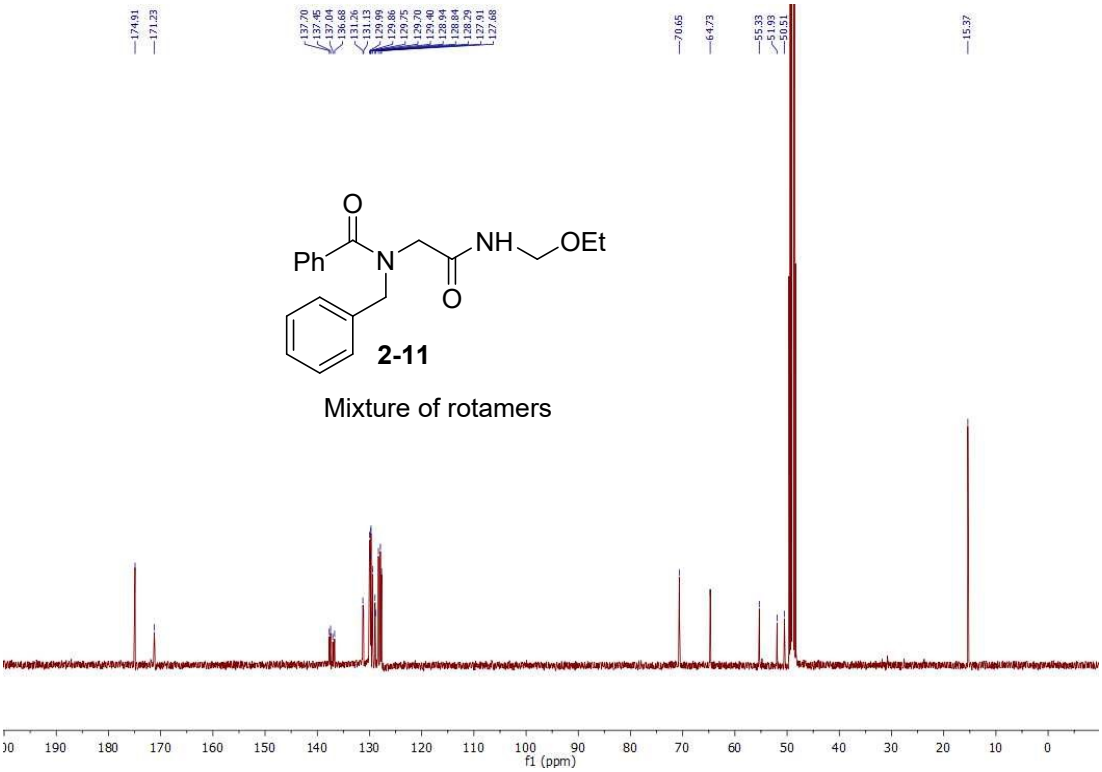


2-11

Mixture of rotamers (~1:1)



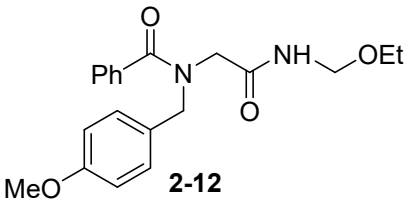
^{13}C NMR spectra of compound **2-11** (101 MHz, MeOH-d_4)



2-11

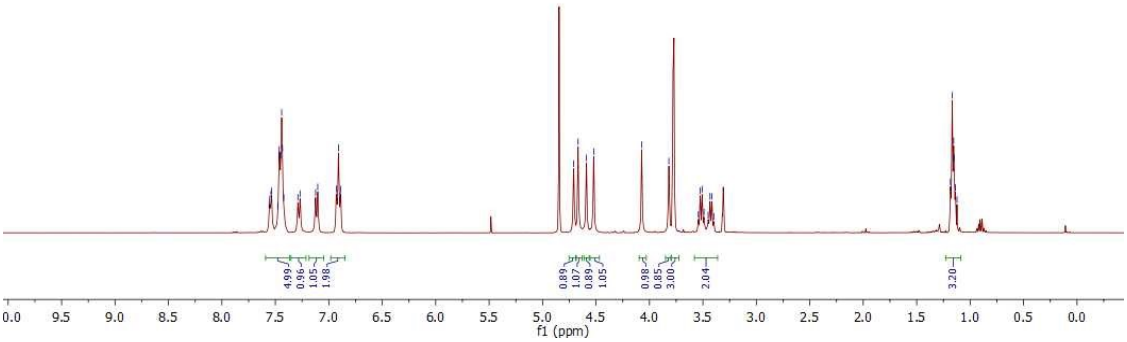
Mixture of rotamers

^{1}H NMR spectra of compound **2-12** (400 MHz, MeOH-d_4)

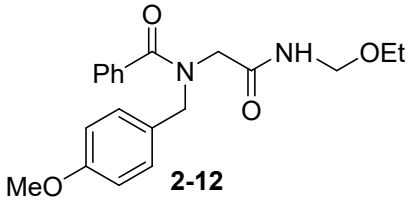


2-12

Mixture of rotamers (~1:1)

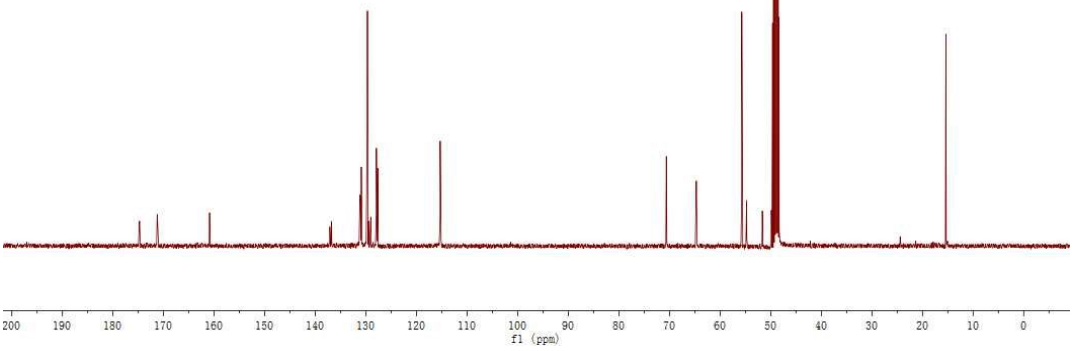


^{13}C NMR spectra of compound **2-12** (101 MHz, MeOH-d_4)

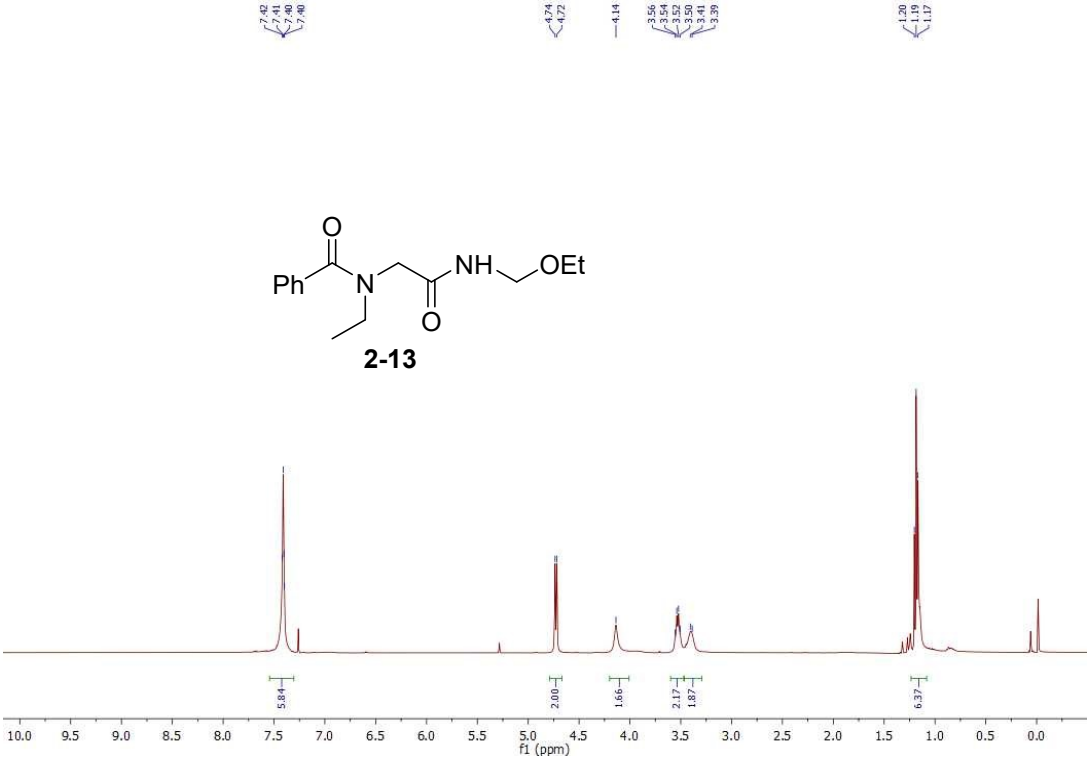


2-12

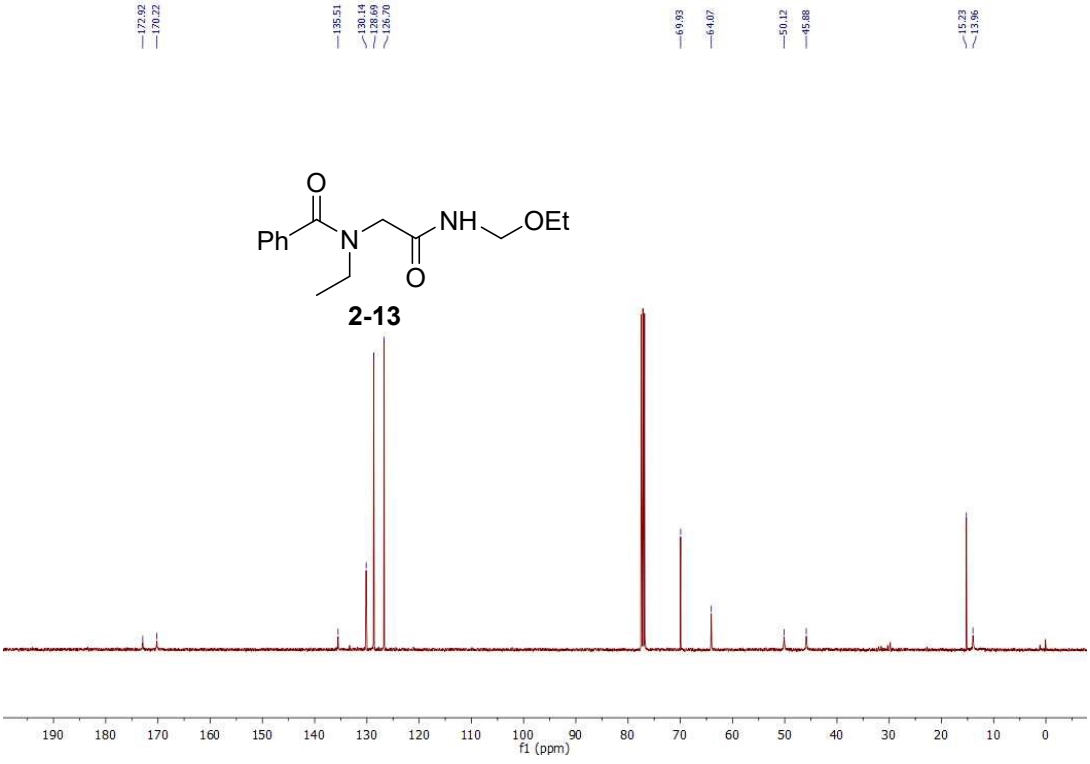
Mixture of rotamers



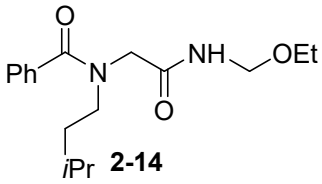
^{1}H NMR spectra of compound **2-13** (400 MHz, $CDCl_3$)



^{13}C NMR spectra of compound **2-13** (101 MHz, $CDCl_3$)

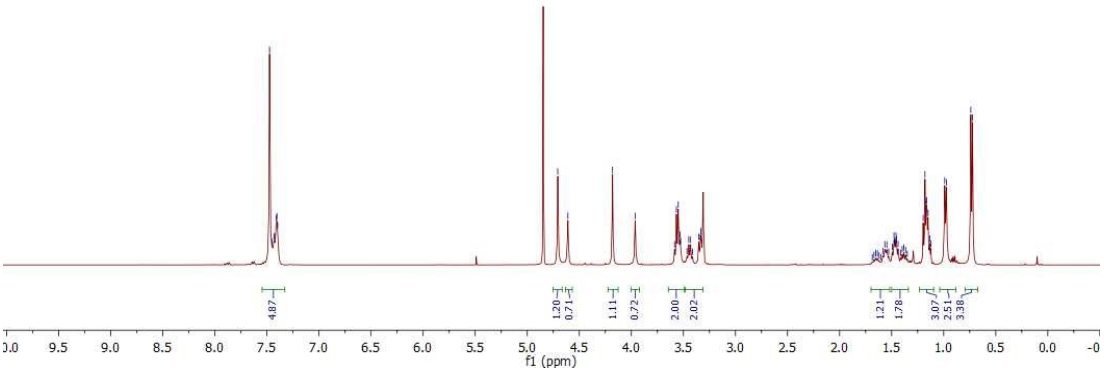


^{1}H NMR spectra of compound **2-14** (400 MHz, MeOH-d_4)

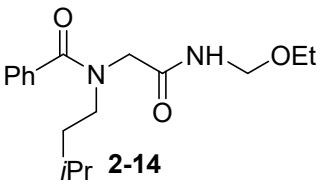


2-14

Mixture of rotamers (~3:2)



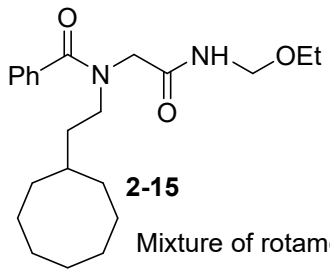
^{13}C NMR spectra of compound **2-14** (101 MHz, MeOH-d_4)



2-14

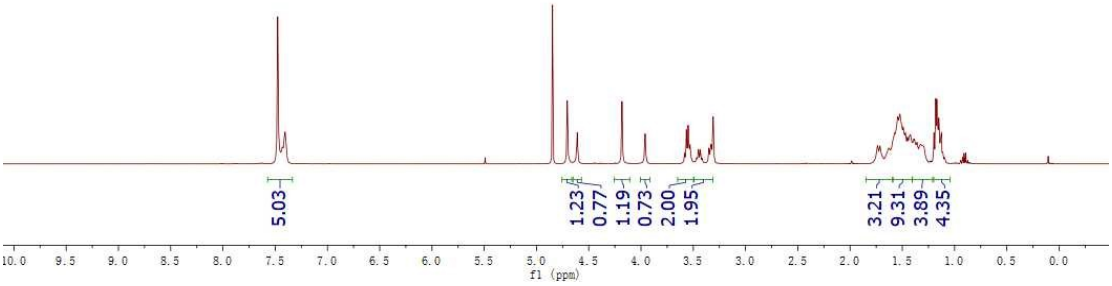
Mixture of rotamers

^{1}H NMR spectra of compound **2-15** (400 MHz, MeOH-d_4)

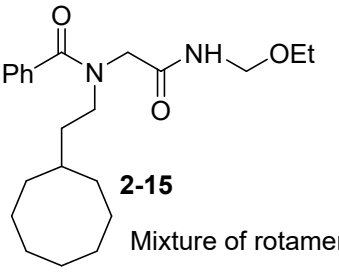


2-15

Mixture of rotamers (~3:2)



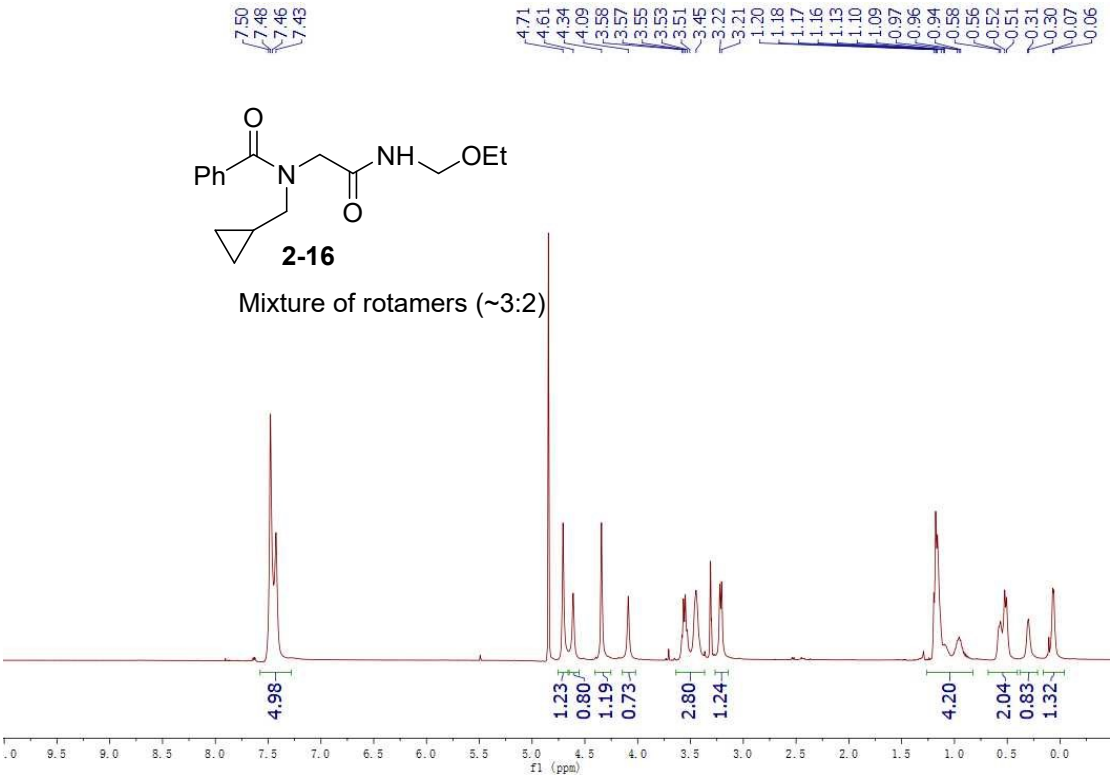
^{13}C NMR spectra of compound **2-15** (101 MHz, MeOH-d_4)



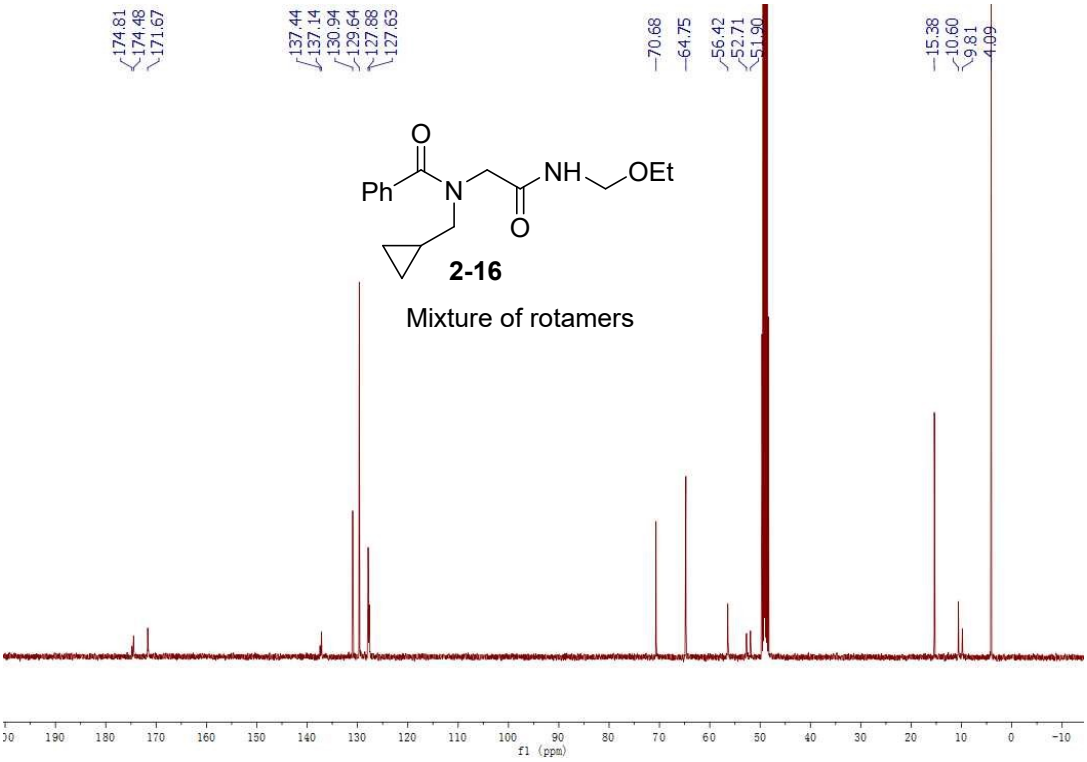
2-15

Mixture of rotamers

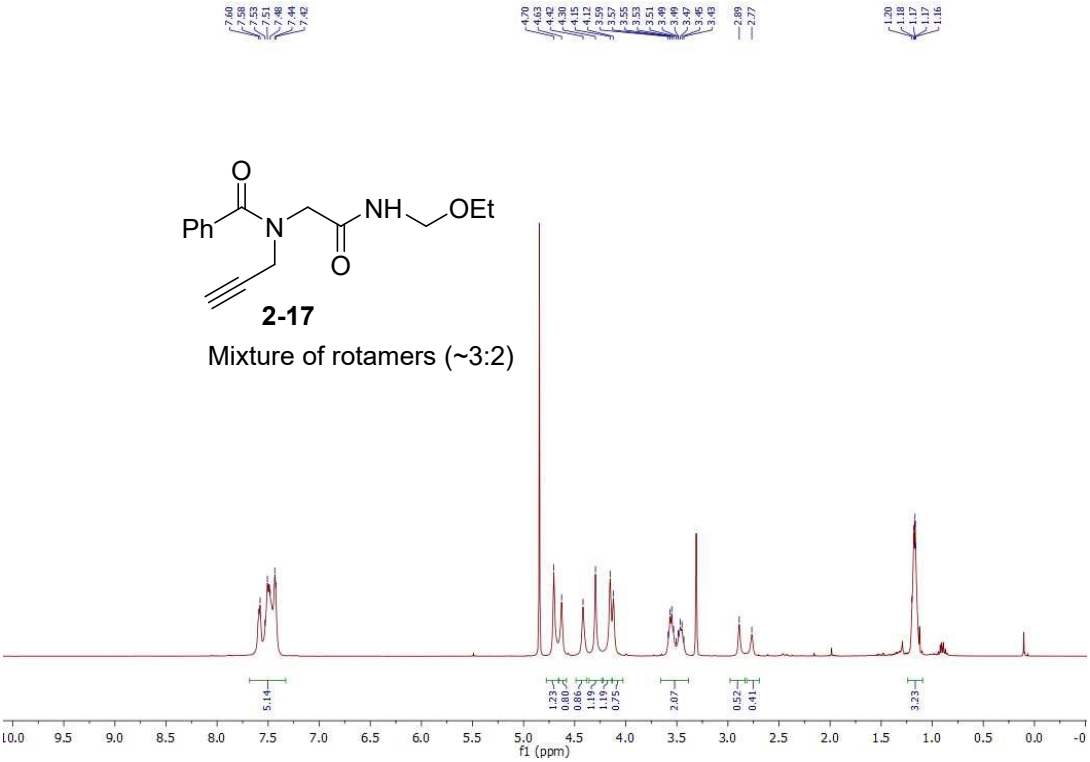
^{1}H NMR spectra of compound **2-16** (400 MHz, MeOH-d_4)



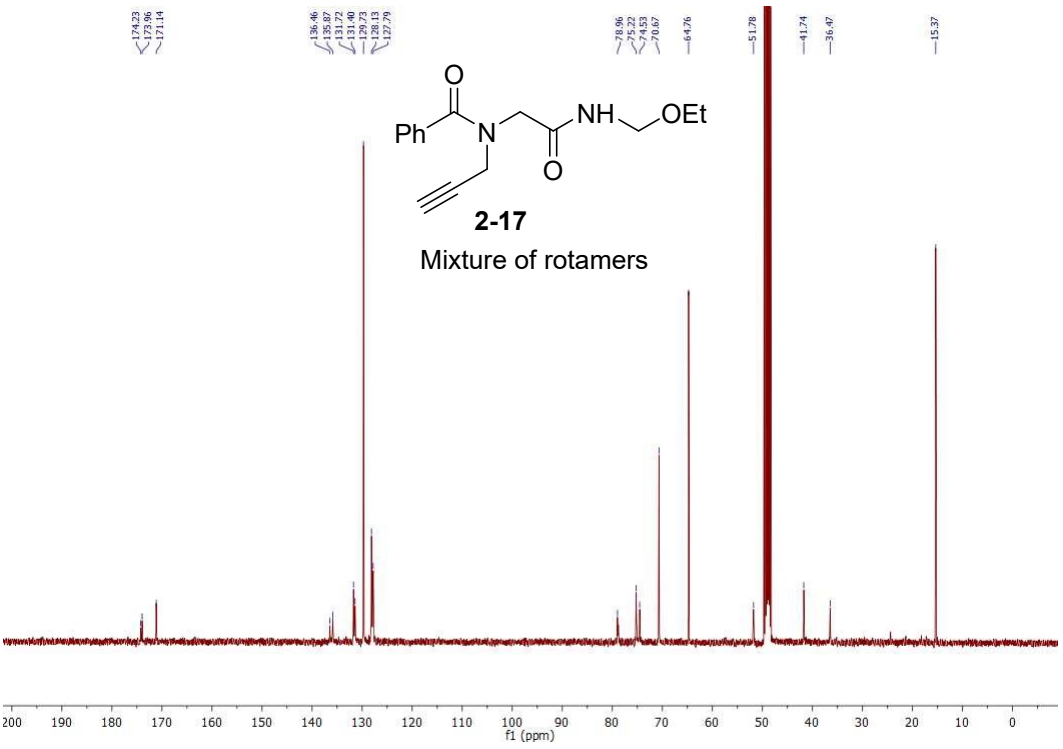
^{13}C NMR spectra of compound **2-16** (101 MHz, MeOH-d_4)



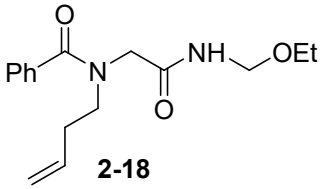
^{1}H NMR spectra of compound **2-17** (400 MHz, MeOH-d_4)



^{13}C NMR spectra of compound **2-17** (101 MHz, MeOH-d_4)

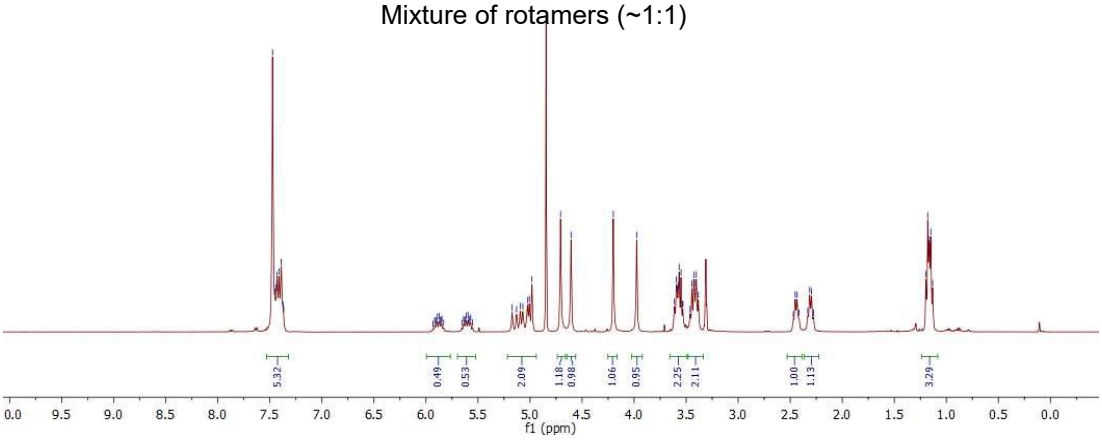


^{1}H NMR spectra of compound **2-18** (400 MHz, MeOH-d_4)

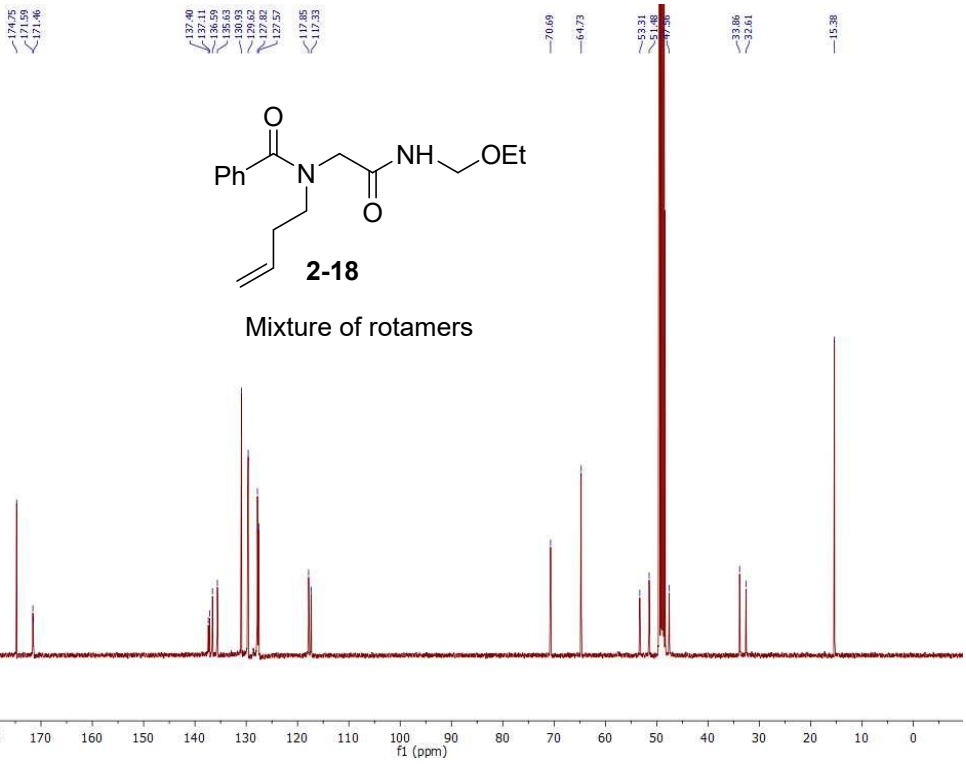


2-18

Mixture of rotamers (~1:1)



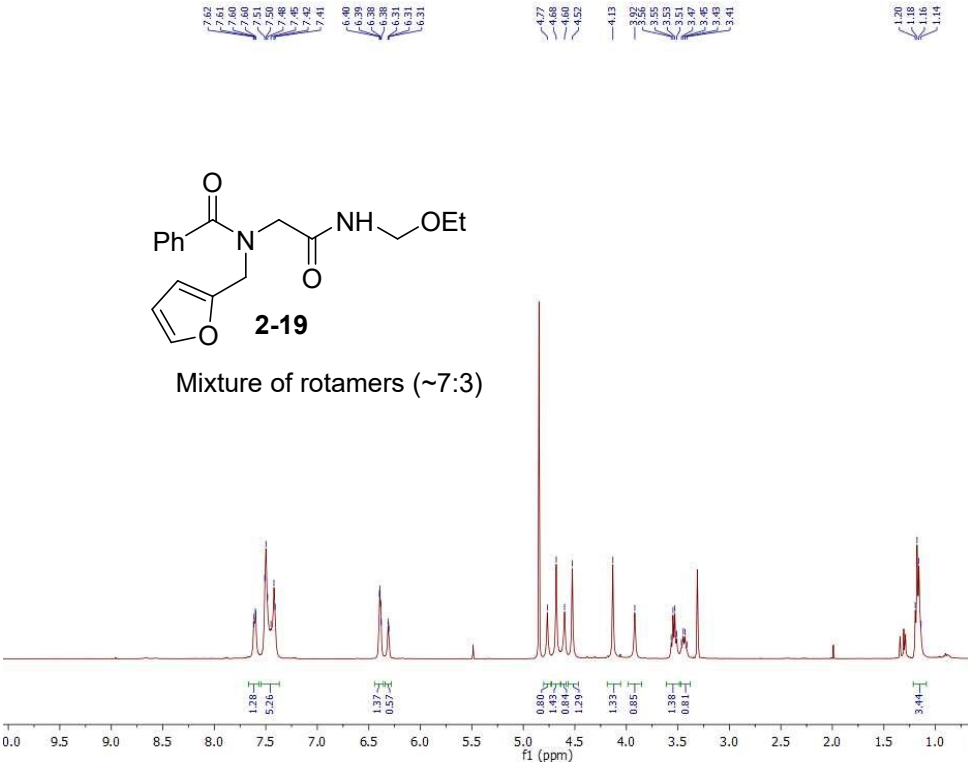
^{13}C NMR spectra of compound **2-18** (101 MHz, MeOH-d_4)



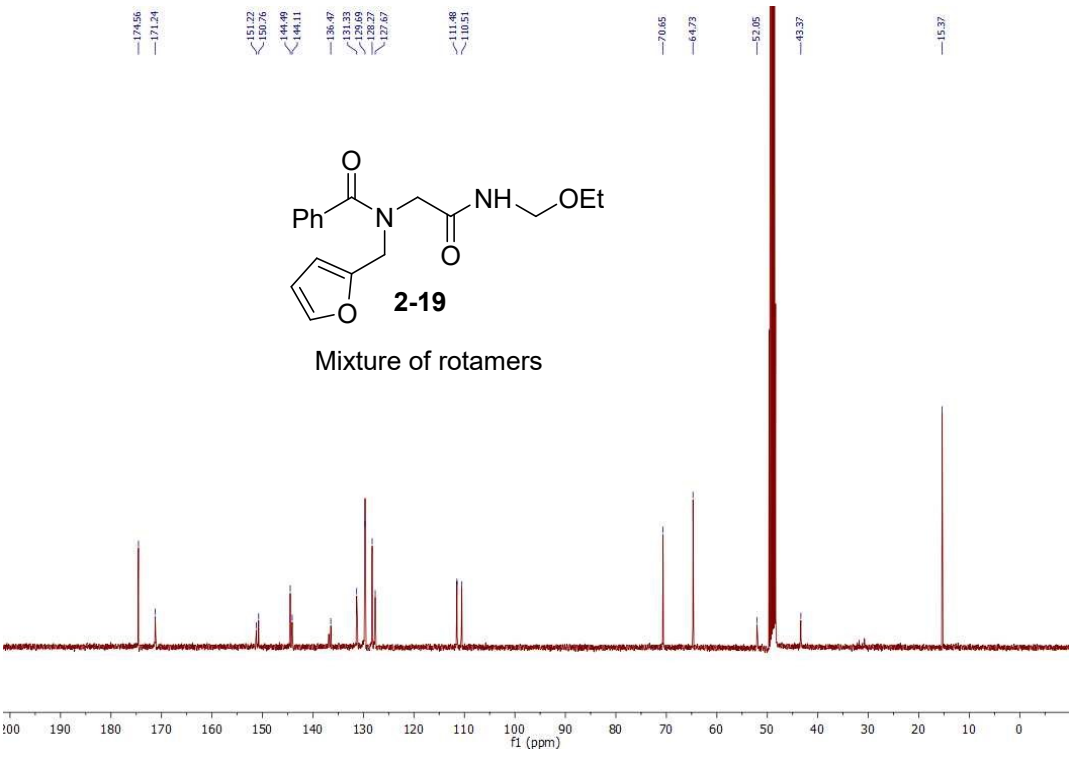
2-18

Mixture of rotamers

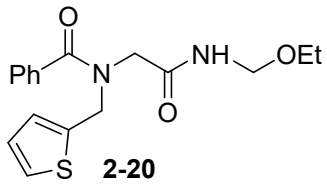
^{1}H NMR spectra of compound **2-19** (400 MHz, MeOH-d_4)



^{13}C NMR spectra of compound **2-19** (101 MHz, MeOH-d_4)

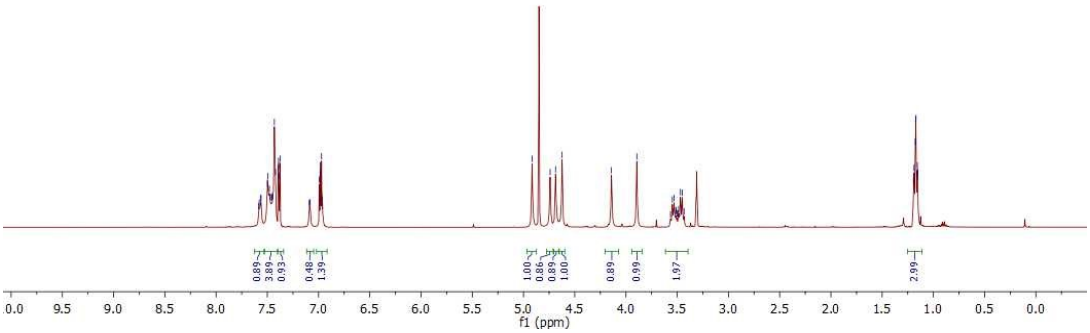


^{1}H NMR spectra of compound **2-20** (400 MHz, MeOH-d_4)

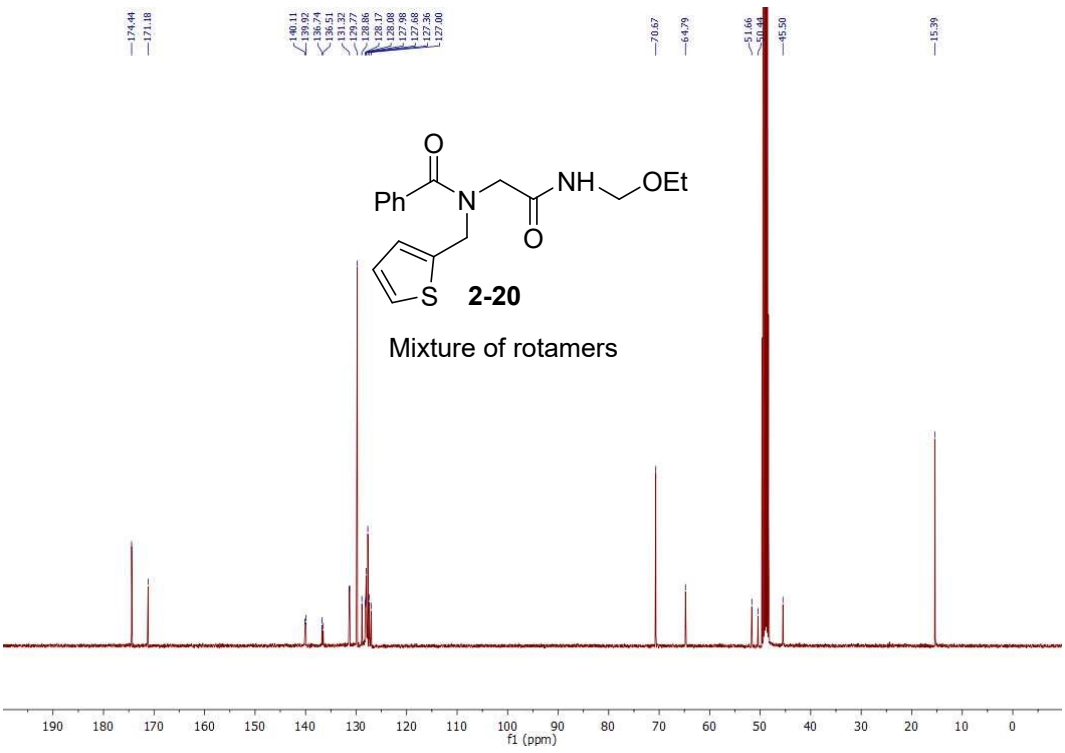


2-20

Mixture of rotamers (~1:1)



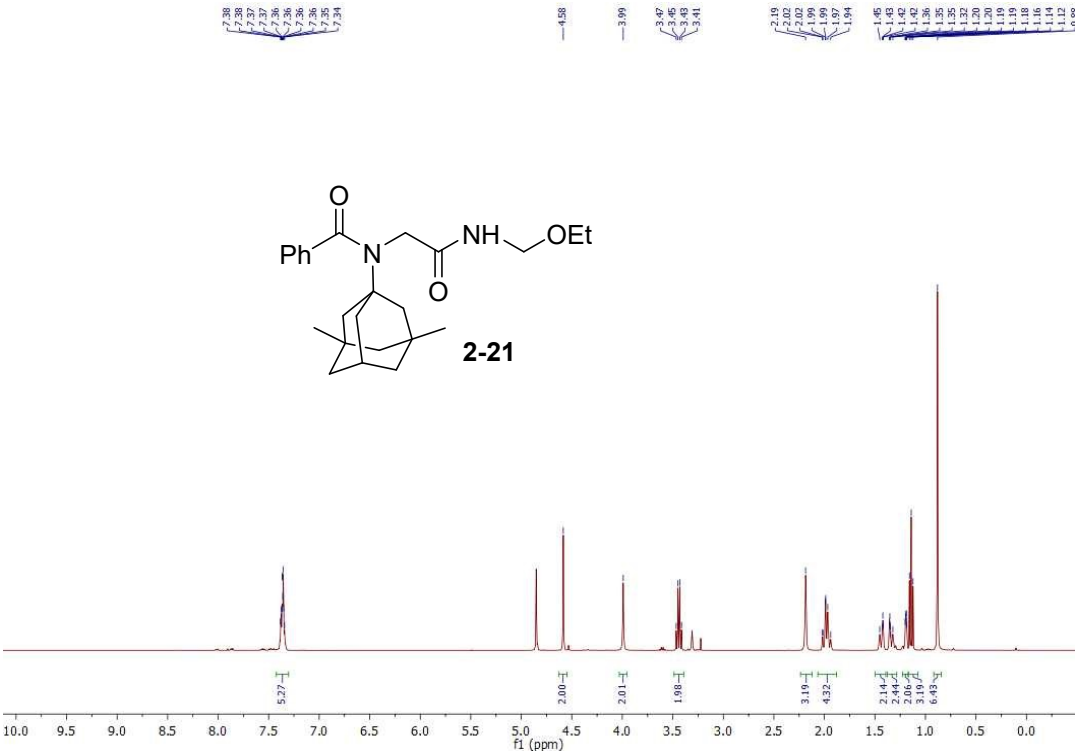
^{13}C NMR spectra of compound **2-20** (101 MHz, MeOH-d_4)



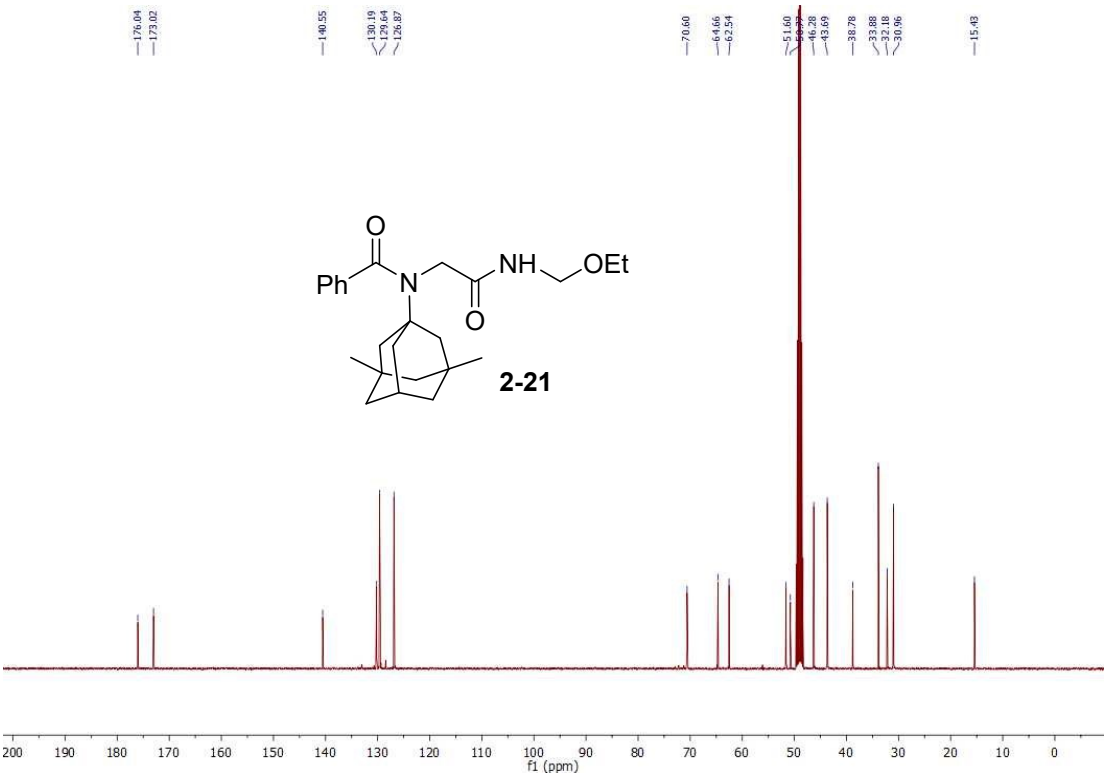
2-20

Mixture of rotamers

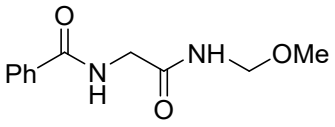
^{1}H NMR spectra of compound **2-21** (400 MHz, MeOH-d_4)



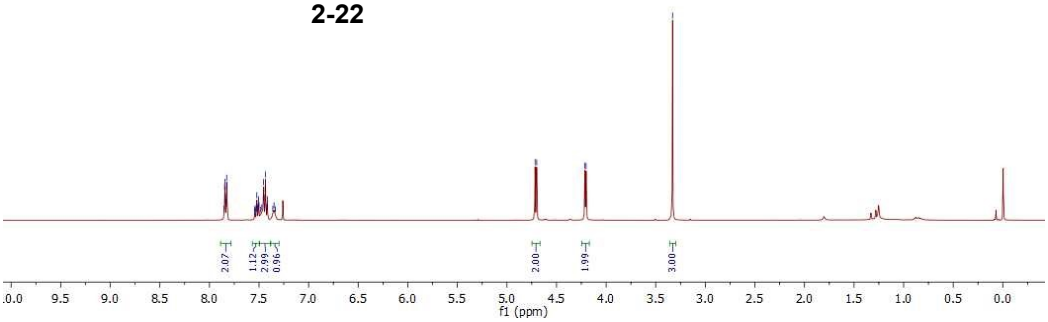
^{13}C NMR spectra of compound **2-21** (101 MHz, MeOH-d_4)



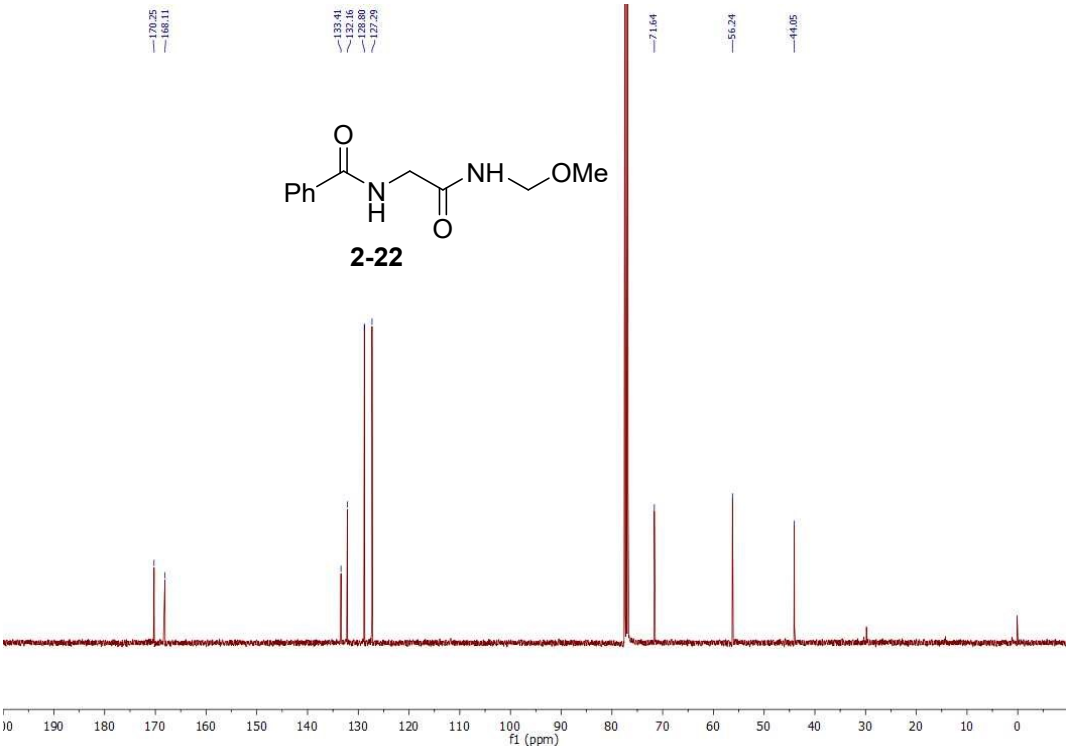
^{1}H NMR spectra of compound **2-22** (400 MHz, $CDCl_3$)



2-22

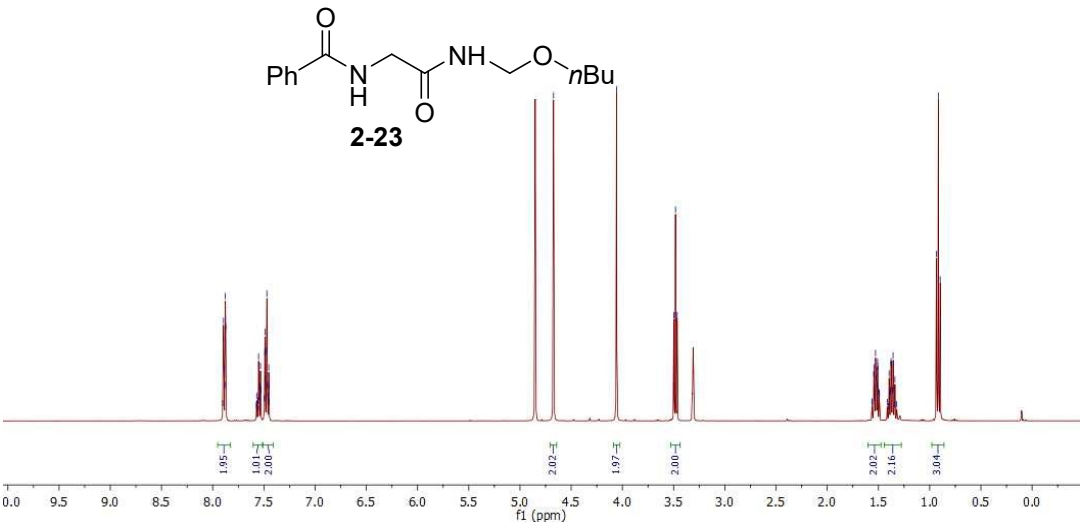


^{13}C NMR spectra of compound **2-22** (101 MHz, $CDCl_3$)

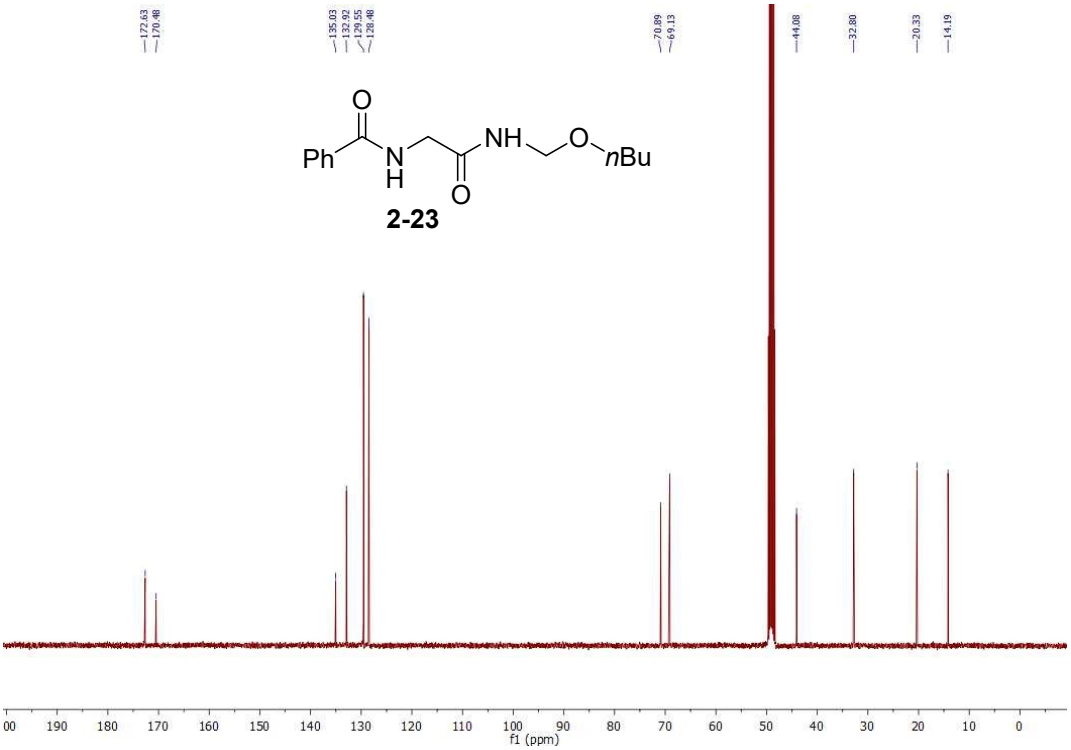


2-22

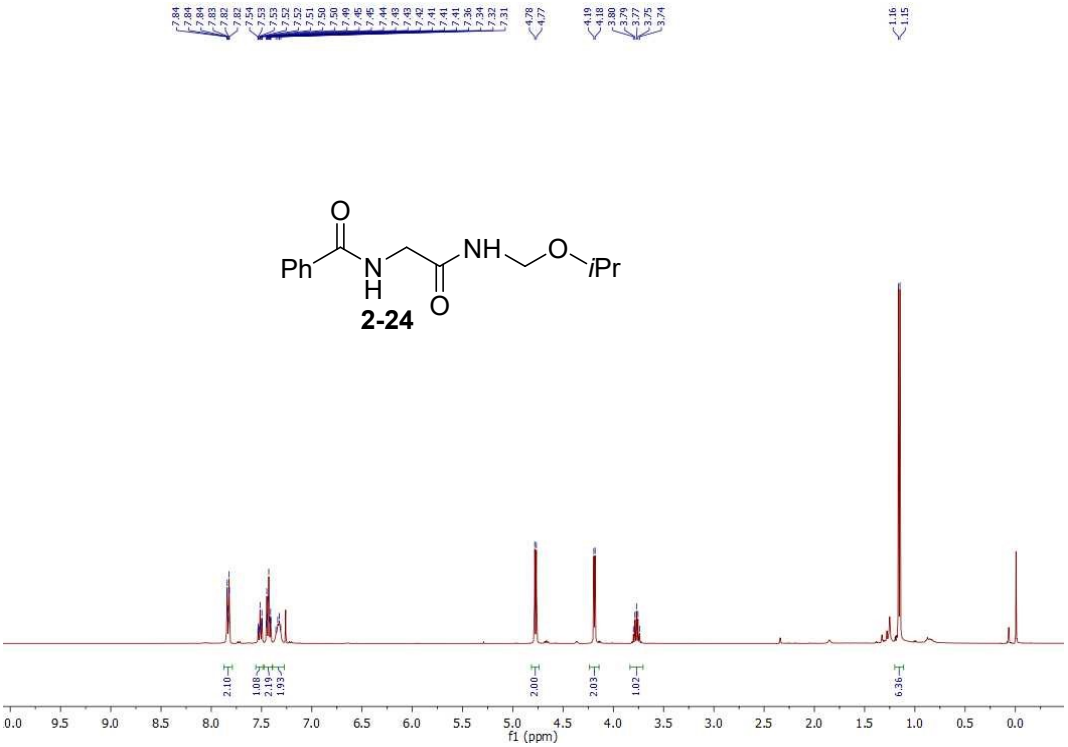
^{1}H NMR spectra of compound **2-23** (400 MHz, MeOH-d_4)



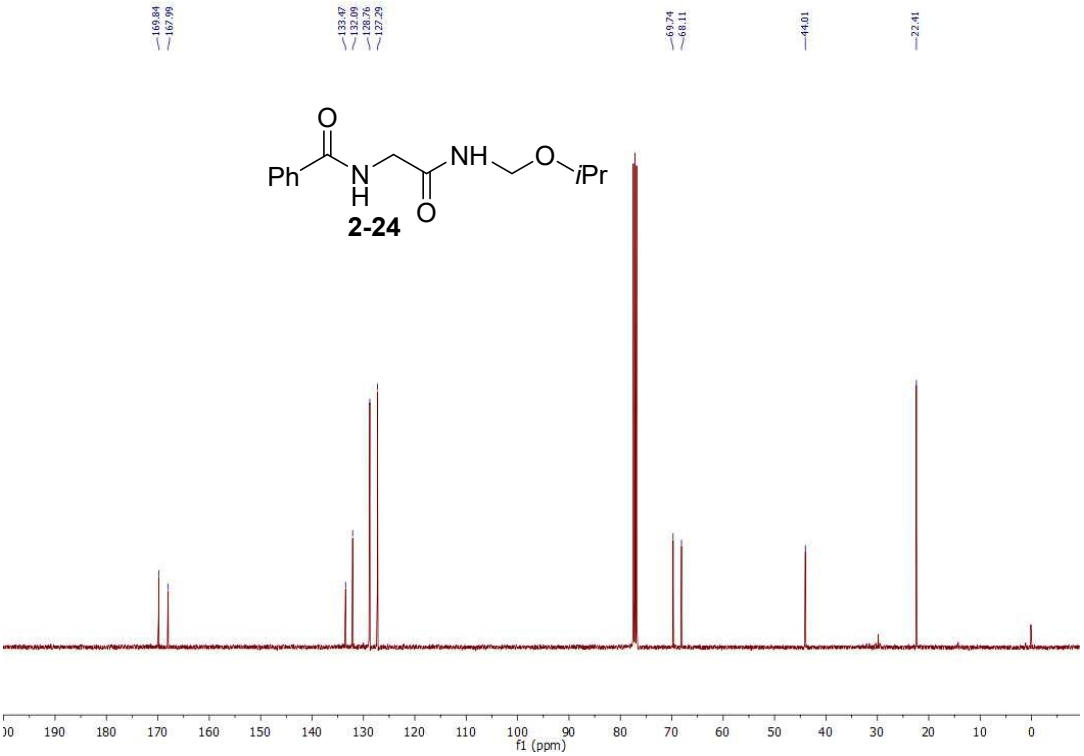
^{13}C NMR spectra of compound **2-23** (101 MHz, MeOH-d_4)



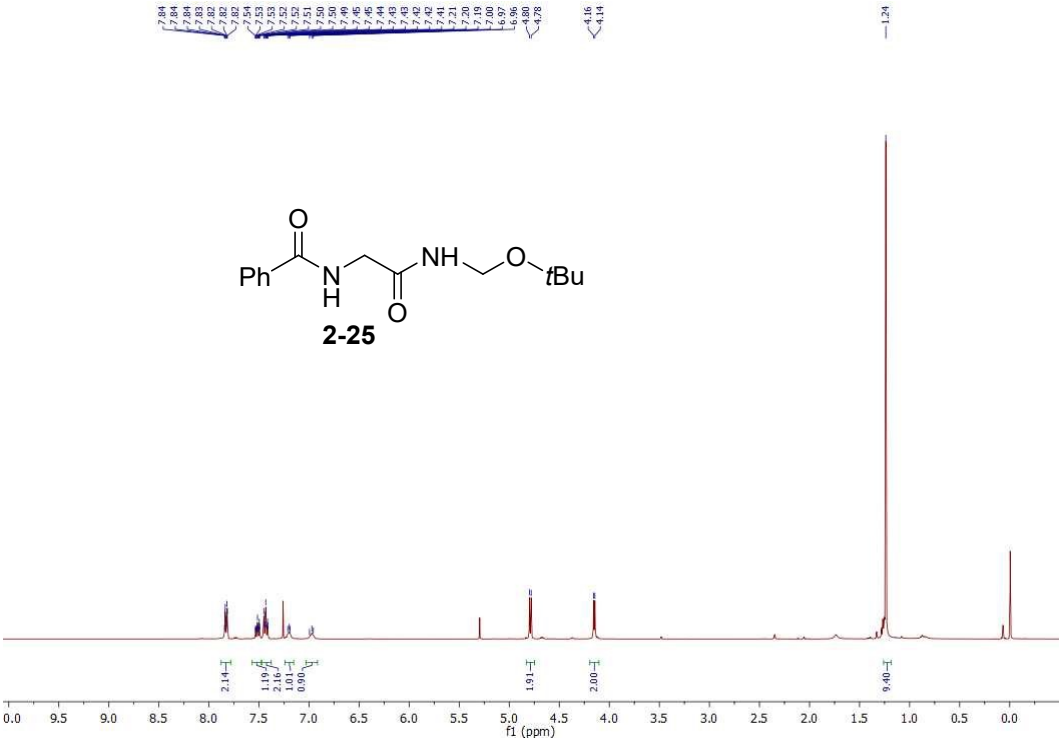
^{1}H NMR spectra of compound **2-24** (400 MHz, $CDCl_3$)



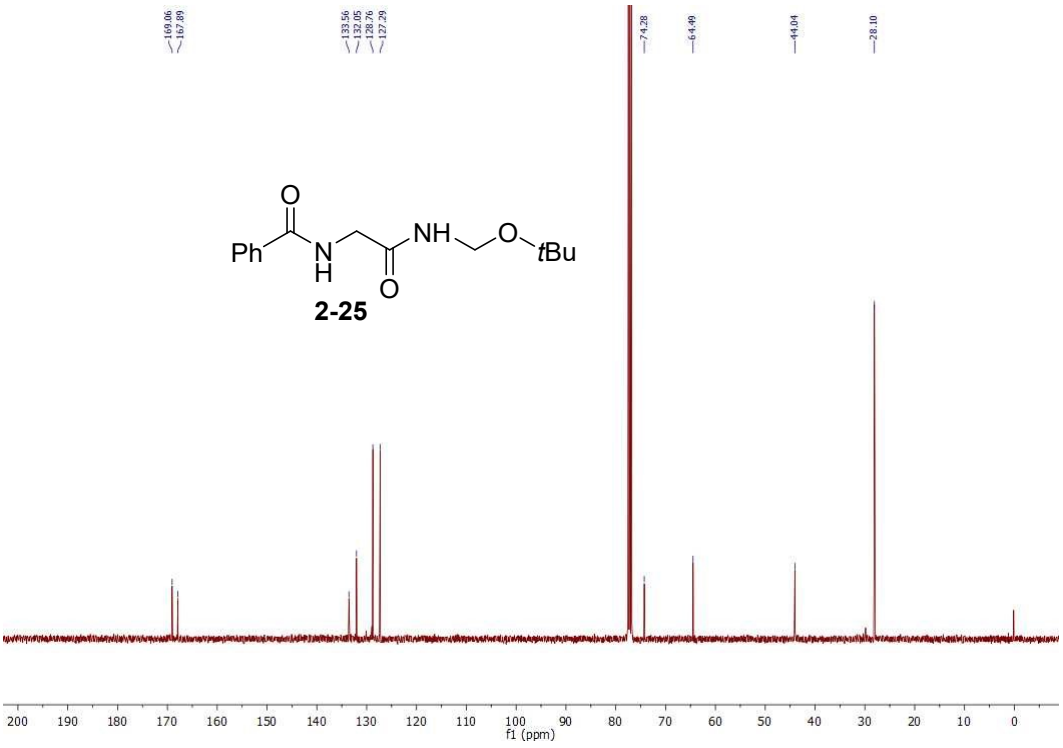
^{13}C NMR spectra of compound **2-24** (101 MHz, $CDCl_3$)



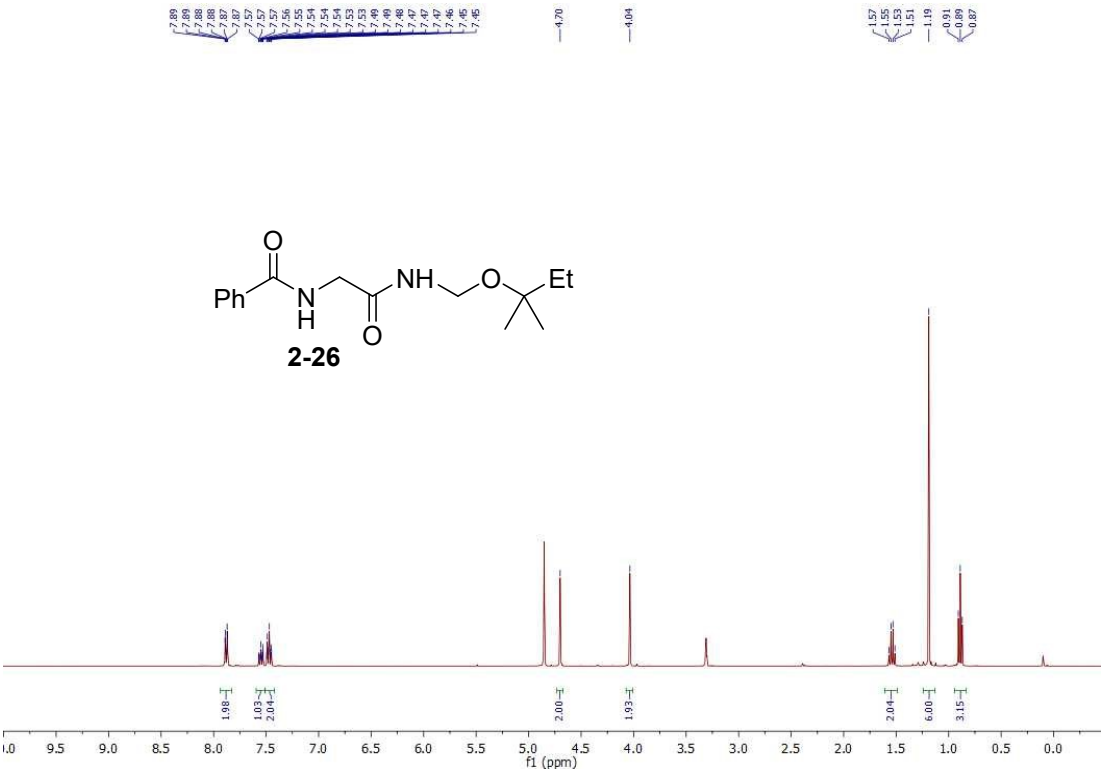
^{1}H NMR spectra of compound **2-25** (400 MHz, $CDCl_3$)



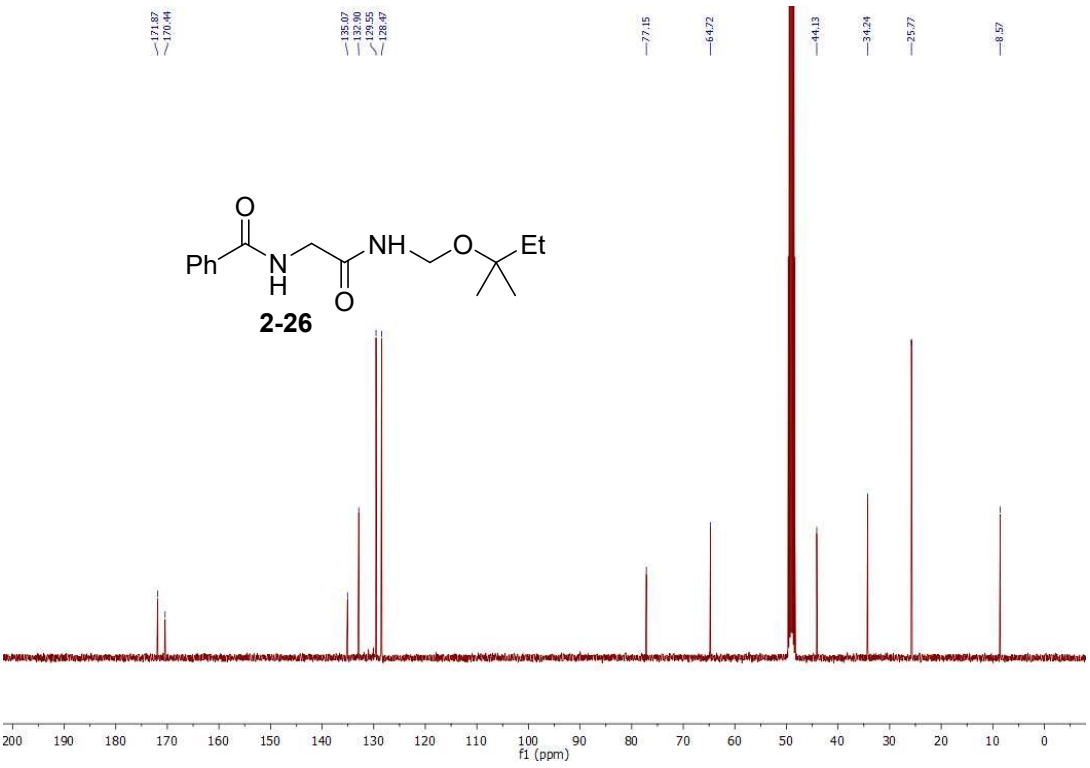
^{13}C NMR spectra of compound **2-25** (101 MHz, $CDCl_3$)



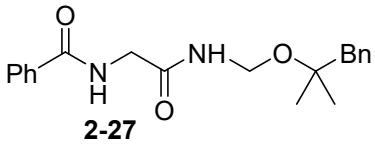
^{1}H NMR spectra of compound **2-26** (400 MHz, MeOH-d_4)



^{13}C NMR spectra of compound **2-26** (101 MHz MeOH-d_4)

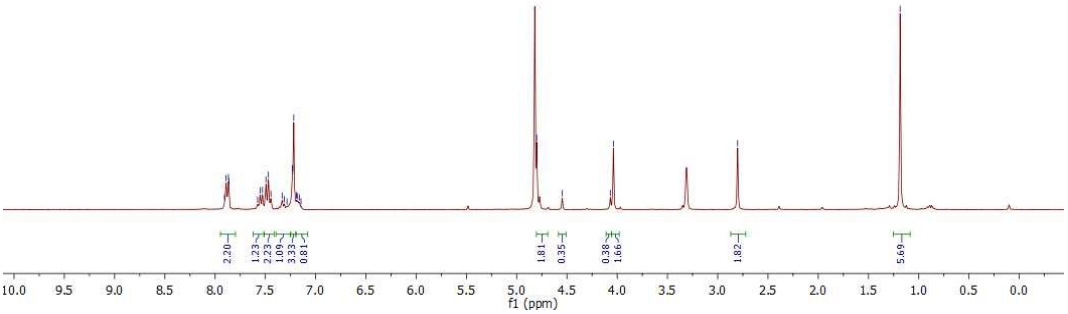


^{1}H NMR spectra of compound **2-27** (300 MHz, MeOH-d_4)

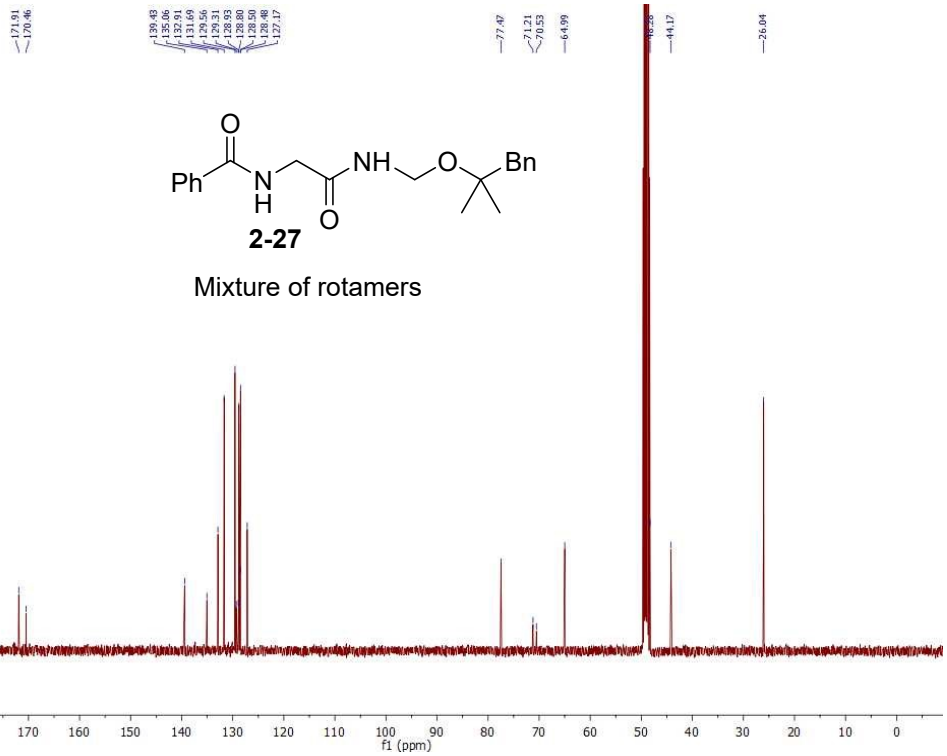


2-27

Mixture of rotamers (~4:1)



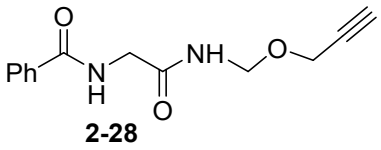
^{13}C NMR spectra of compound **2-27** (101 MHz MeOH-d_4)



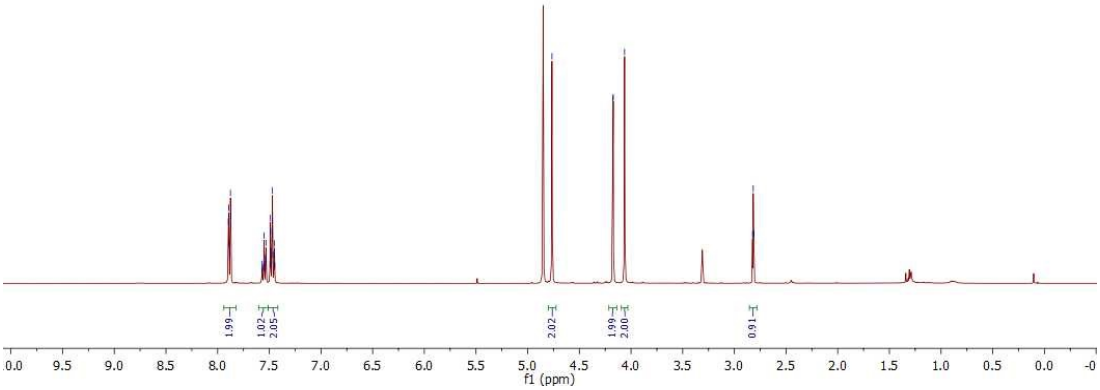
2-27

Mixture of rotamers

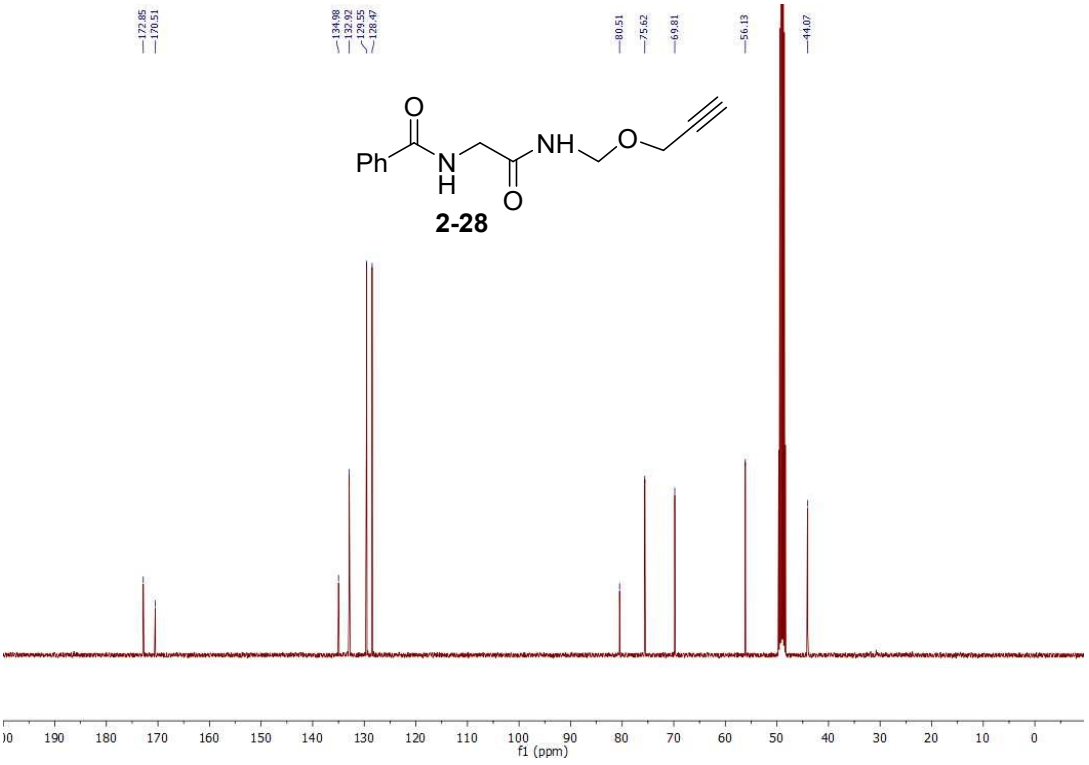
^{1}H NMR spectra of compound **2-28** (400 MHz, MeOH-d_4)



2-28

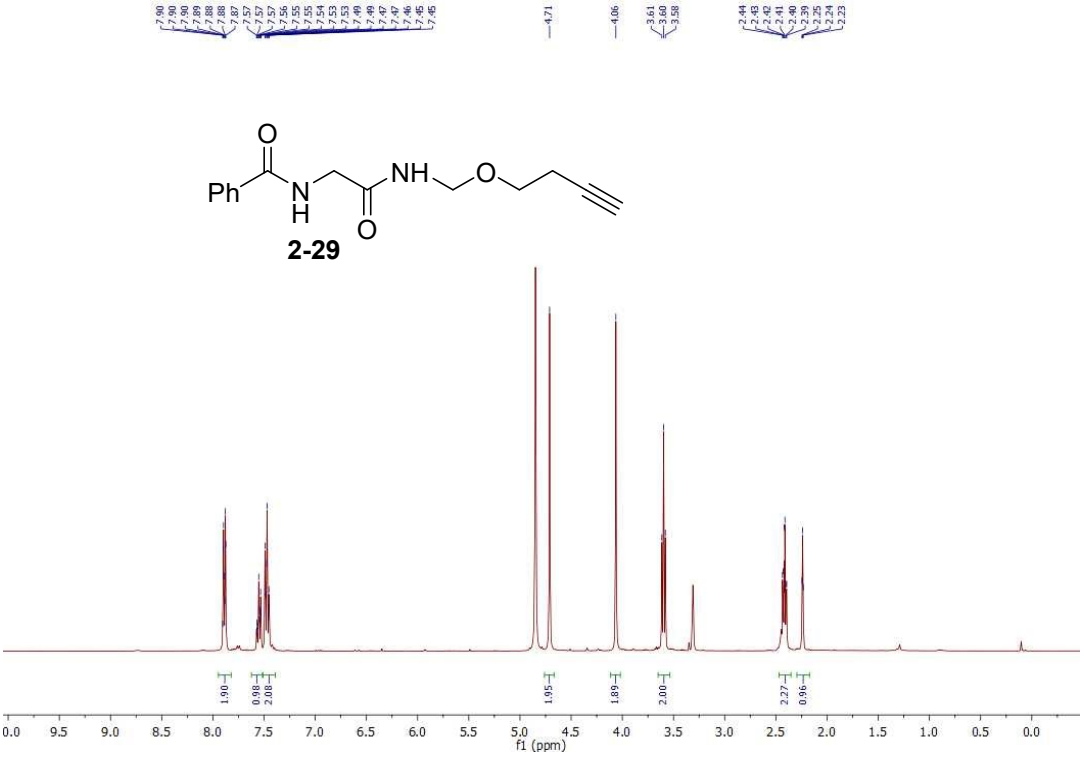


^{13}C NMR spectra of compound **2-28** (101 MHz MeOH-d_4)

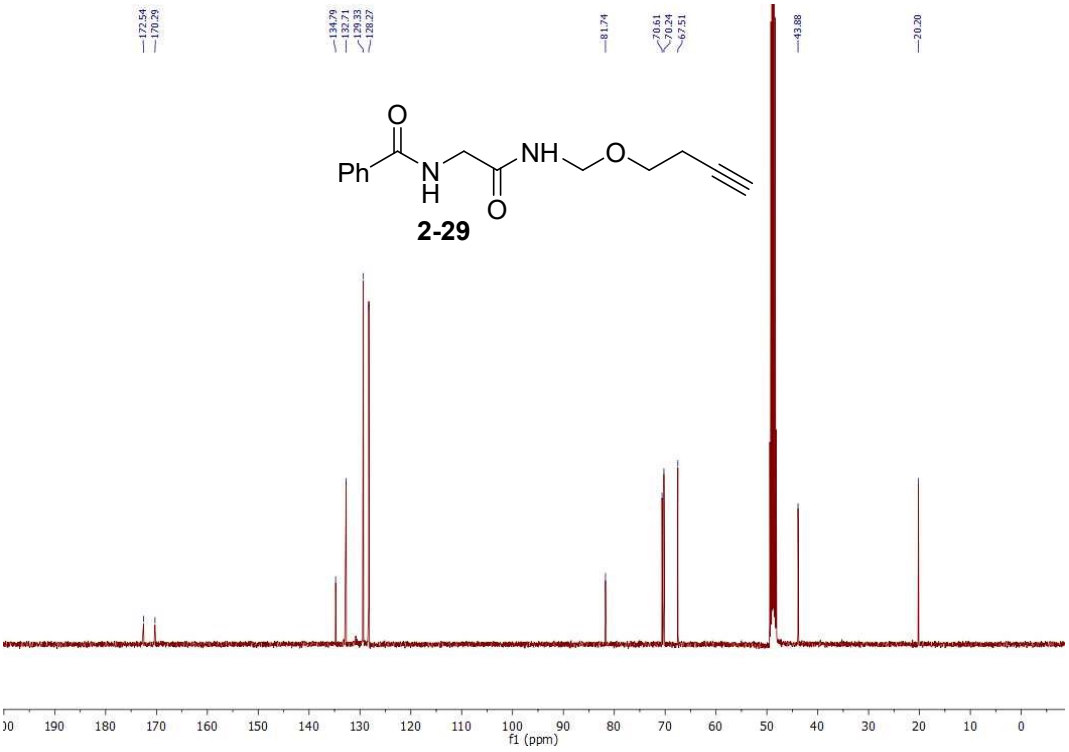


2-28

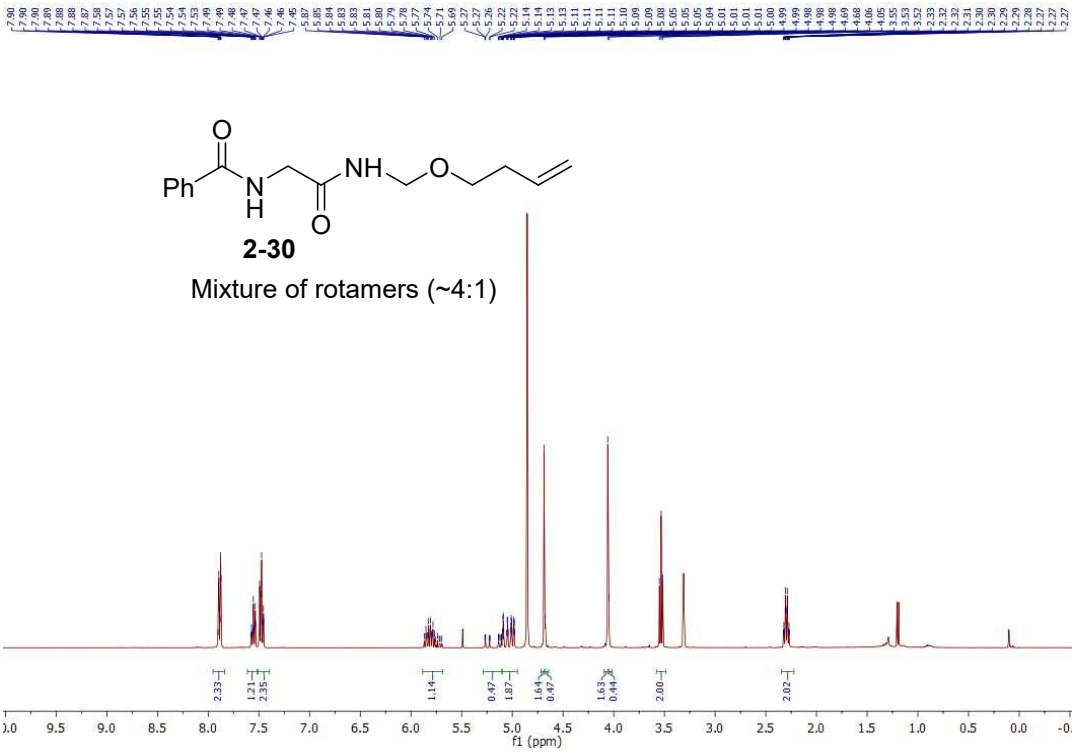
^{1}H NMR spectra of compound **2-29** (400 MHz, MeOH-d_4)



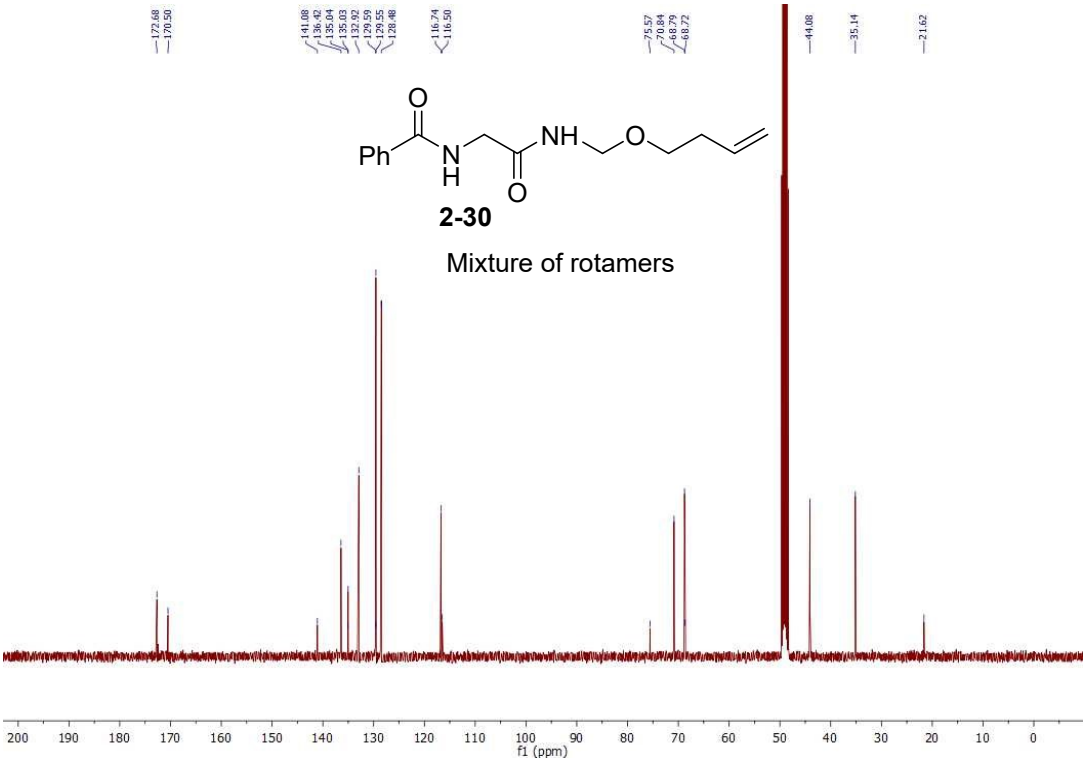
^{13}C NMR spectra of compound **2-29** (101 MHz MeOH-d_4)



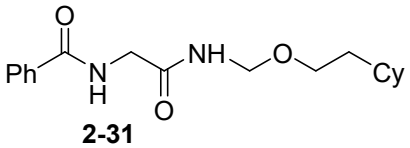
^{1}H NMR spectra of compound **2-30** (400 MHz, MeOH-d_4)



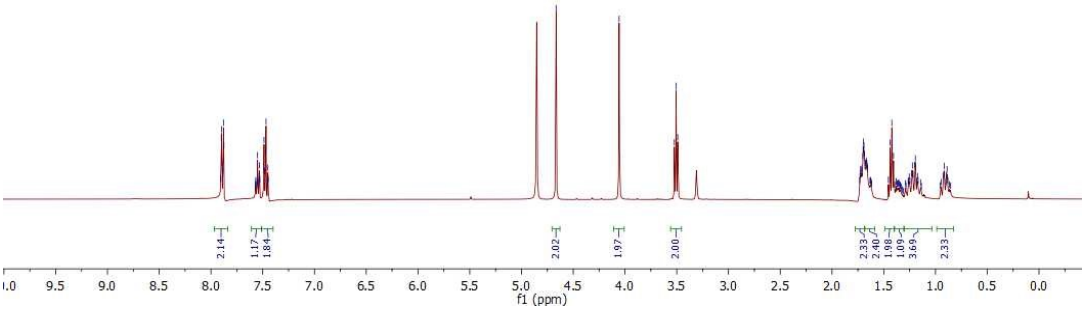
^{13}C NMR spectra of compound **2-30** (101 MHz MeOH-d_4)



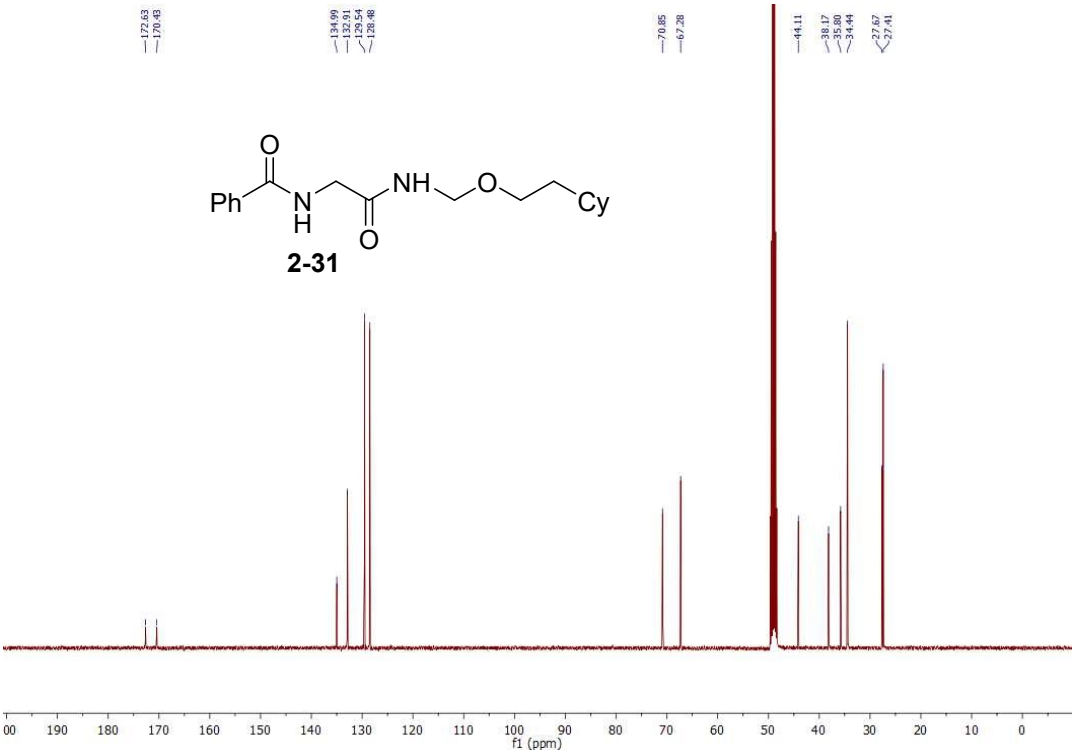
^{1}H NMR spectra of compound **2-31** (400 MHz, MeOH-d_4)



2-31

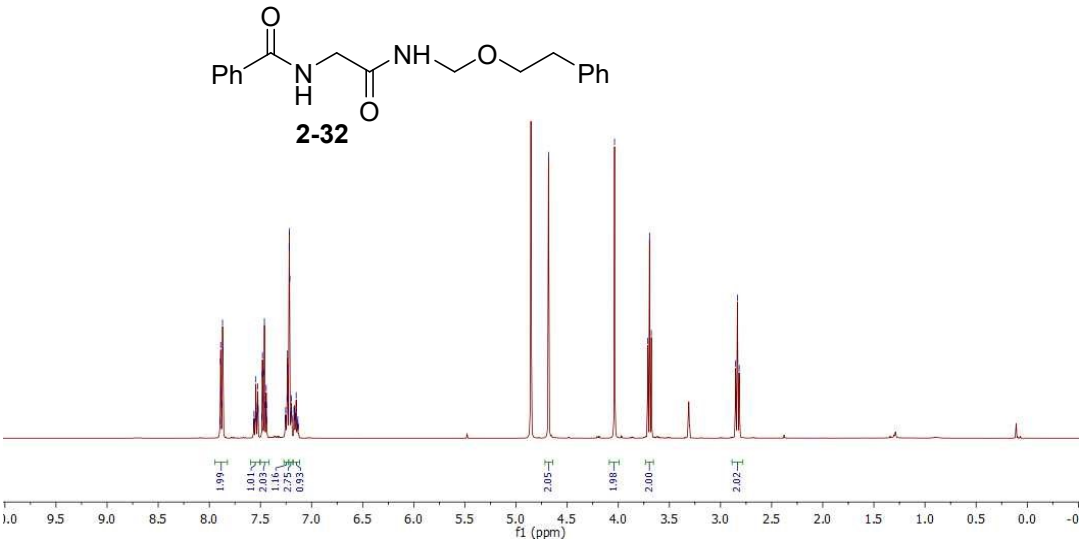


^{13}C NMR spectra of compound **2-31** (101 MHz MeOH-d_4)

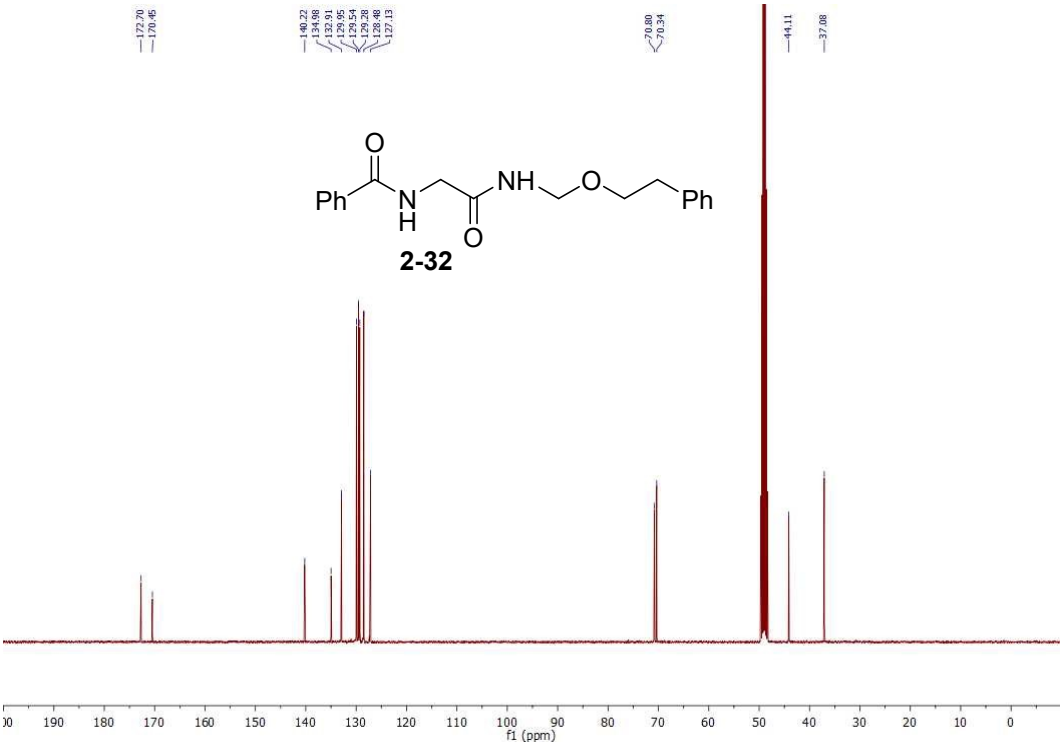


2-31

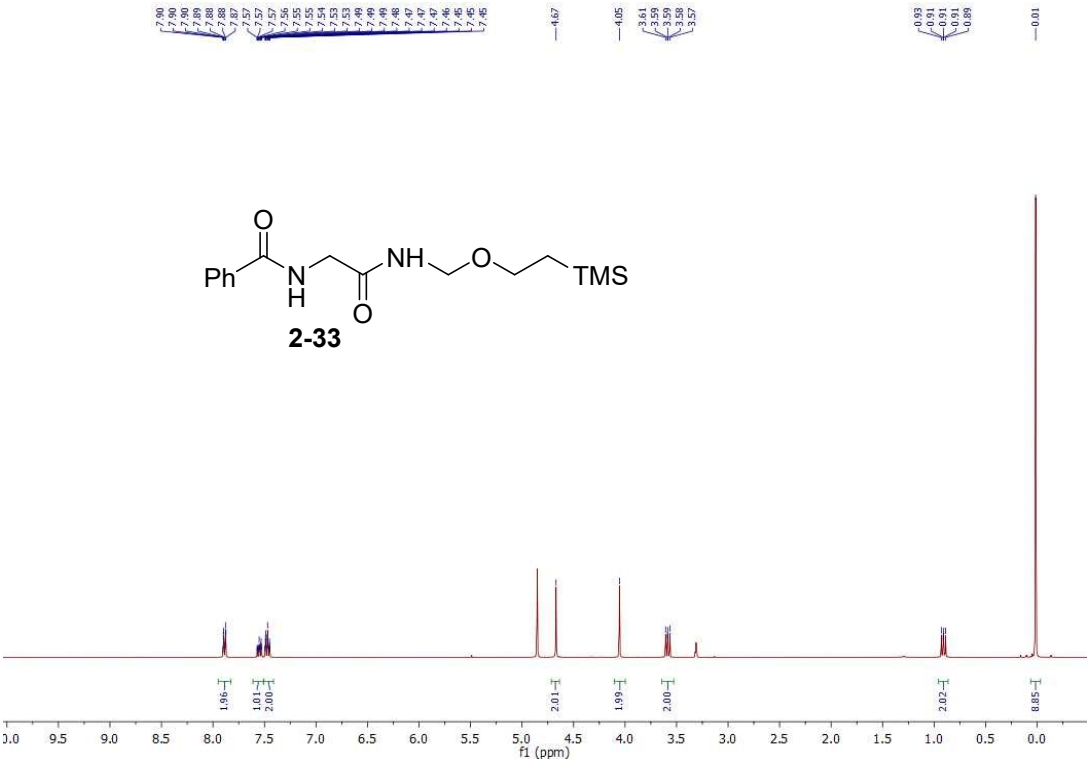
^{1}H NMR spectra of compound **2-32** (400 MHz, MeOH-d_4)



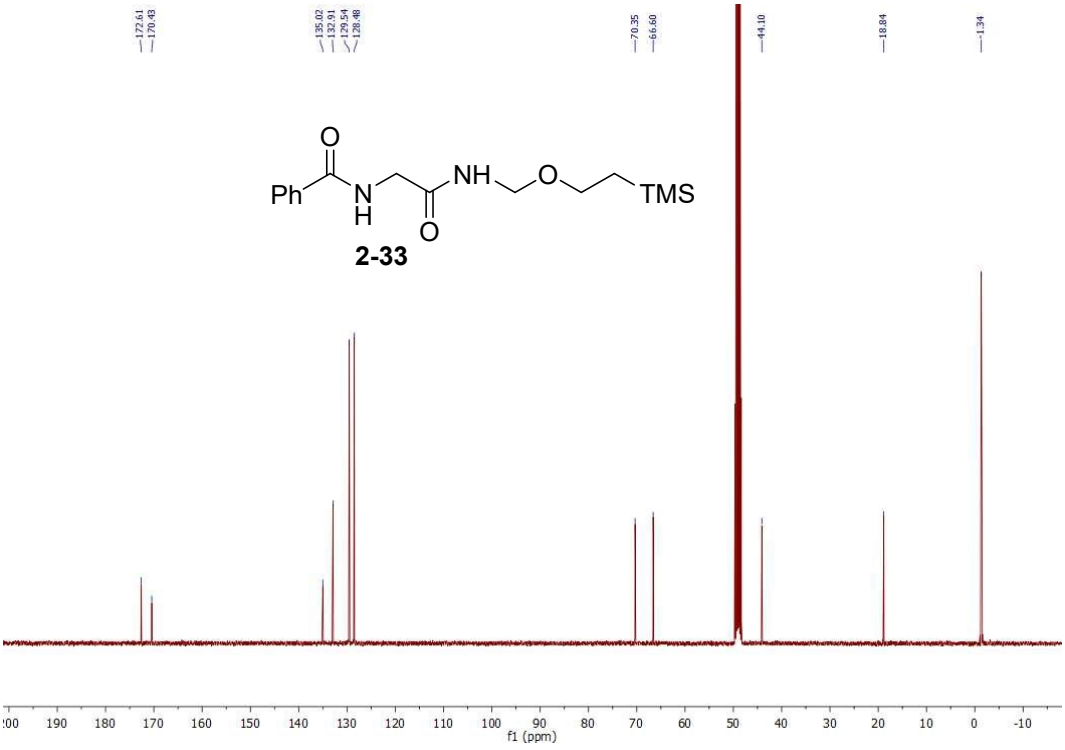
^{13}C NMR spectra of compound **2-32** (101 MHz MeOH-d_4)



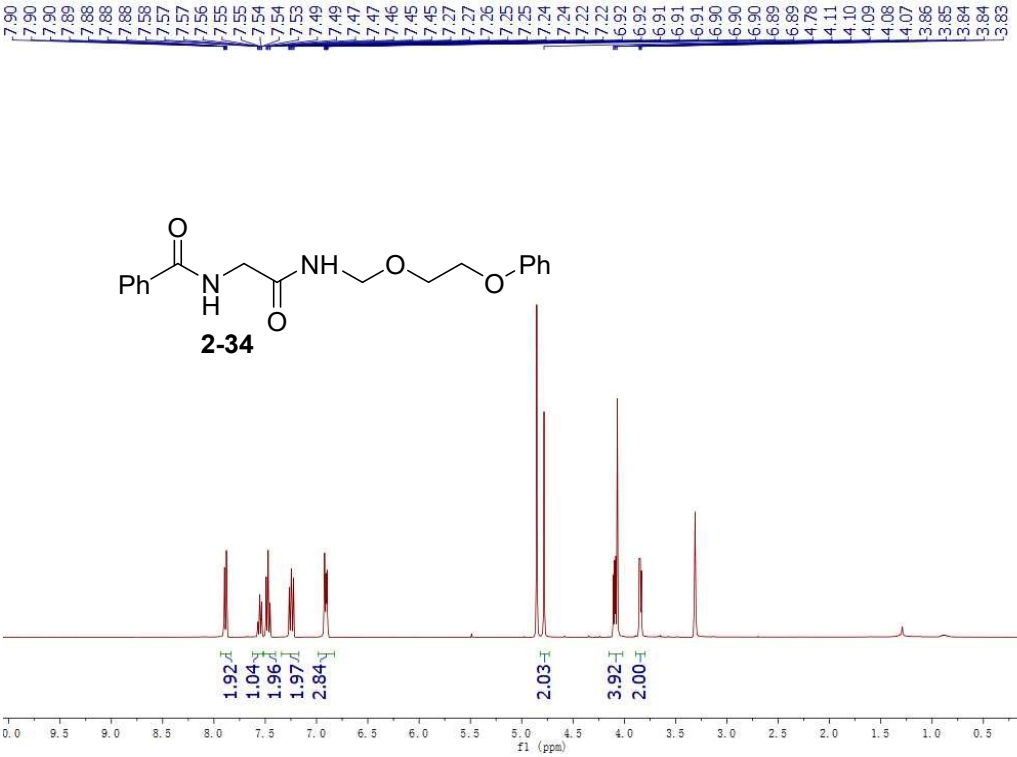
^{1}H NMR spectra of compound **2-33** (400 MHz, MeOH-d_4)



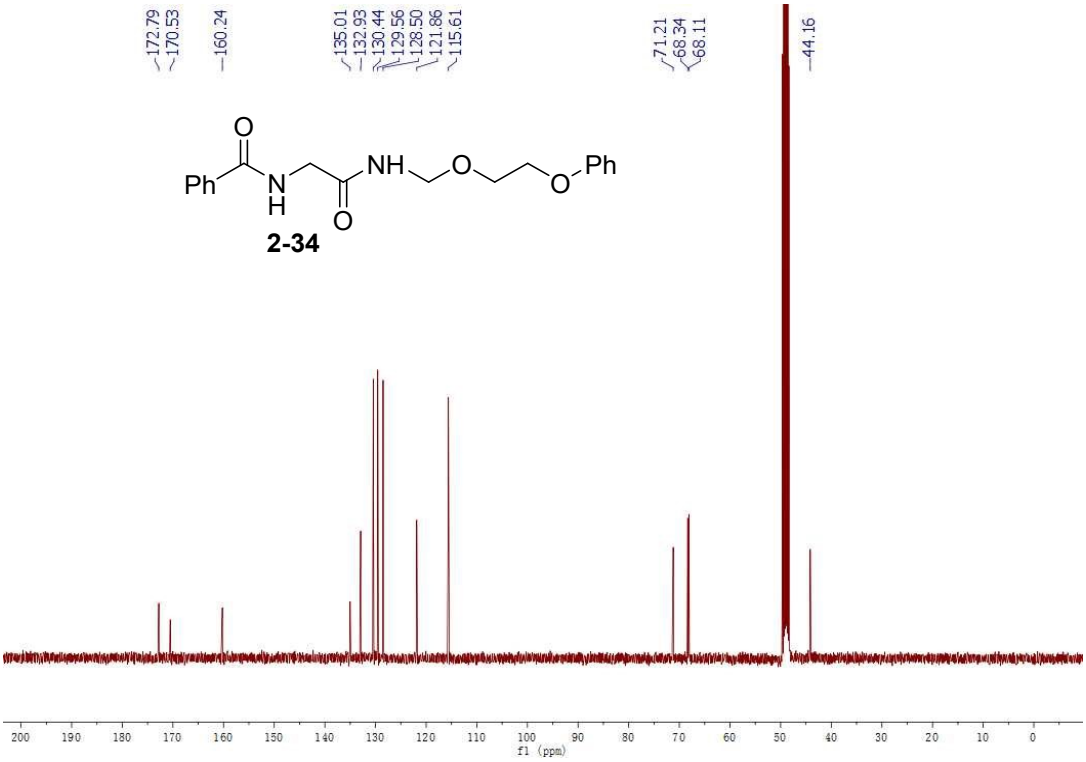
^{13}C NMR spectra of compound **2-33** (101 MHz MeOH-d_4)



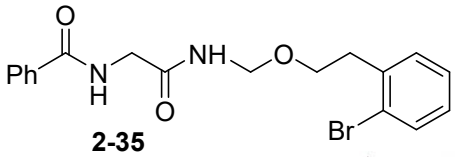
^{1}H NMR spectra of compound **2-34** (400 MHz, MeOH-d_4)



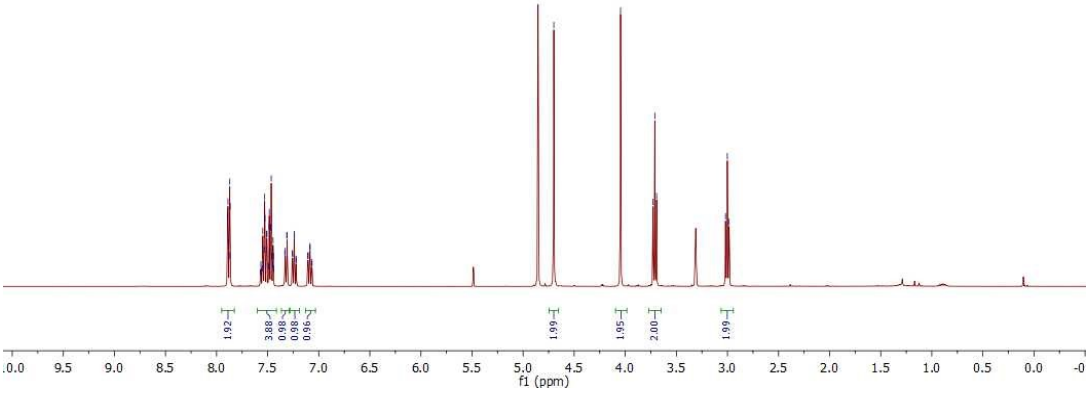
^{13}C NMR spectra of compound **2-34** (101 MHz MeOH-d_4)



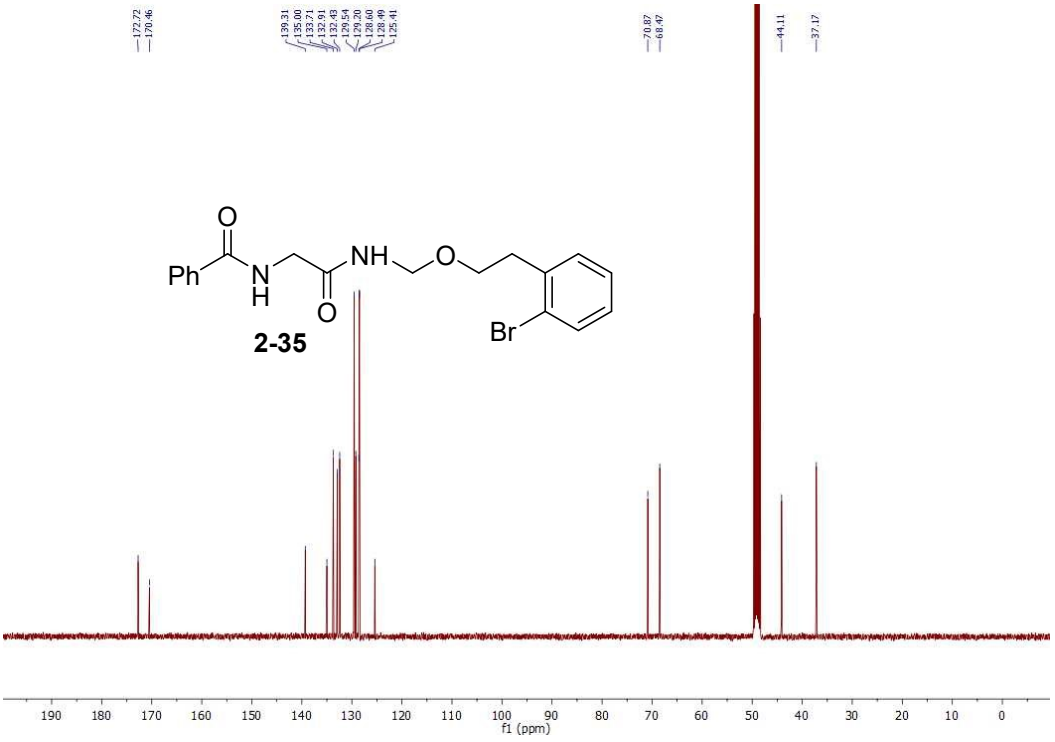
^{1}H NMR spectra of compound **2-35** (400 MHz, MeOH-d_4)



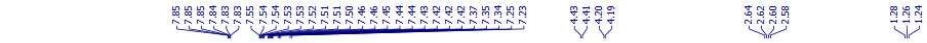
2-35

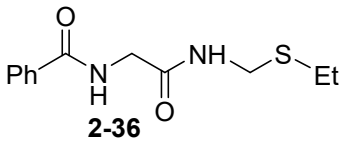


^{13}C NMR spectra of compound **2-35** (101 MHz, MeOH-d_4)

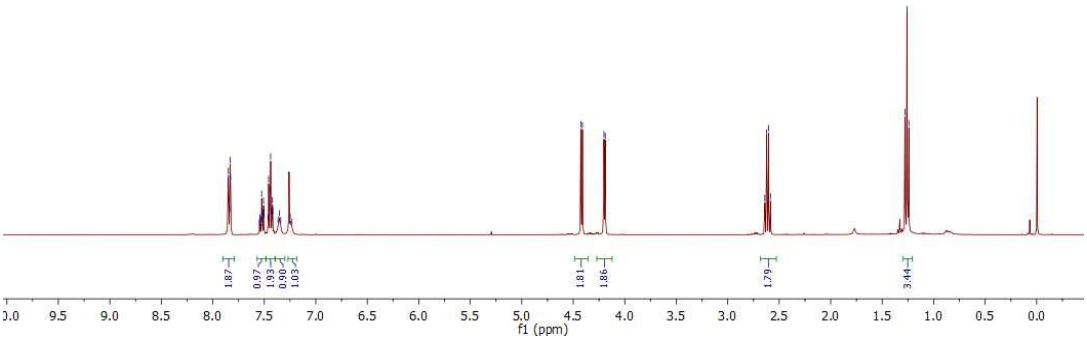


^{1}H NMR spectra of compound **2-36** (400 MHz, $CDCl_3$)

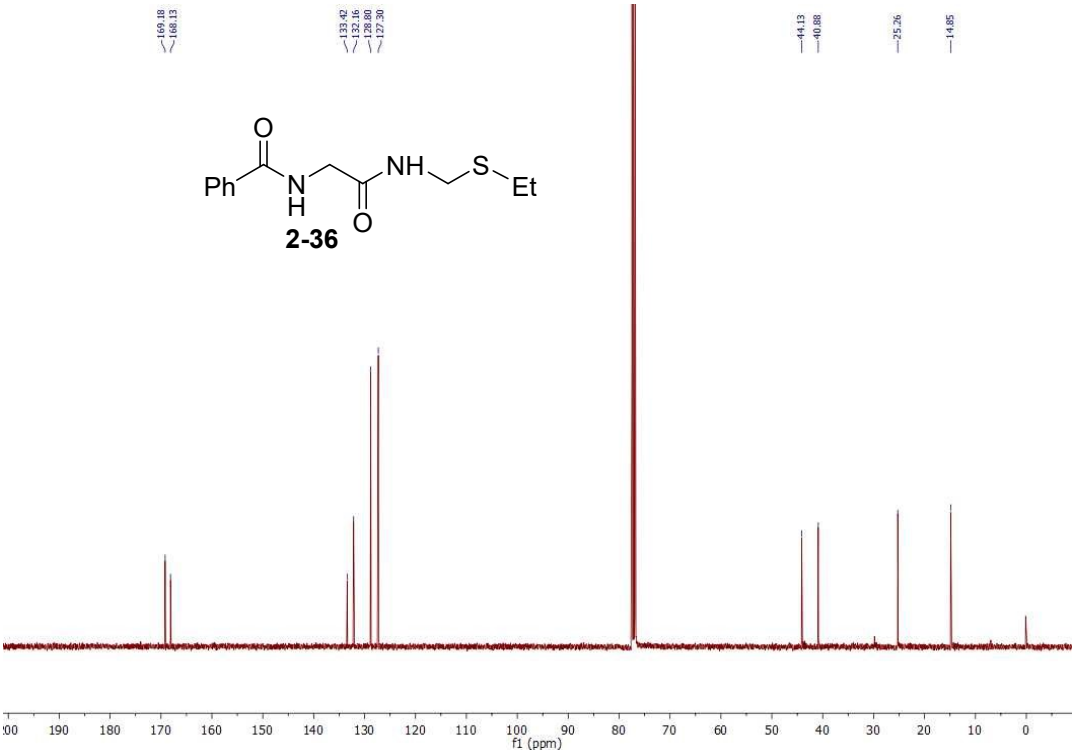




2-36

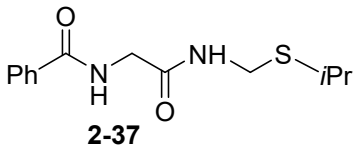


^{13}C NMR spectra of compound **2-36** (101 MHz, $CDCl_3$)

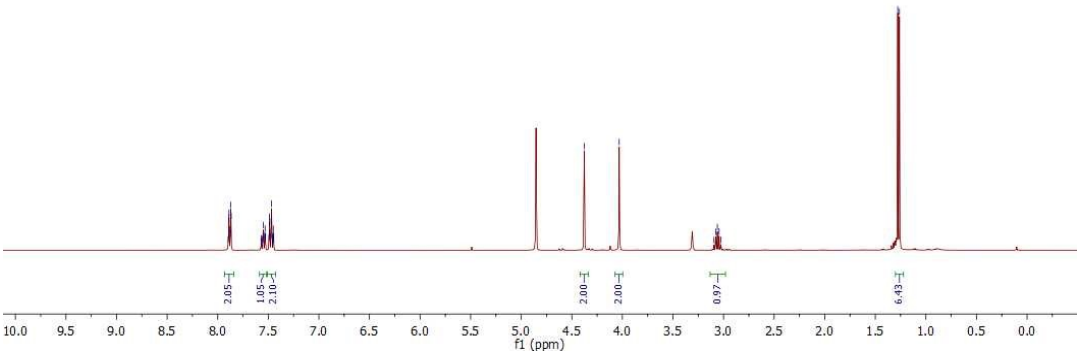


2-36

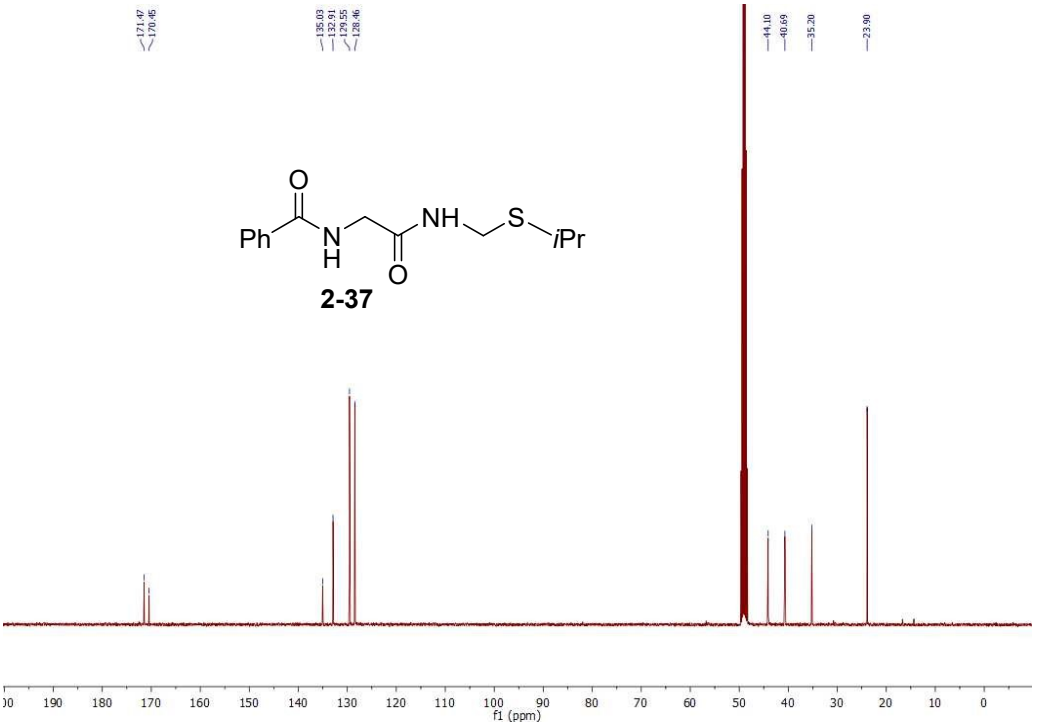
^{1}H NMR spectra of compound **2-37** (400 MHz, MeOH-d_4)



2-37

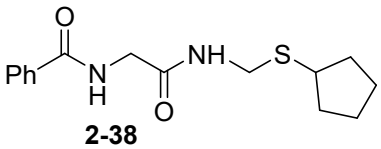


^{13}C NMR spectra of compound **2-37** (101 MHz, MeOH-d_4)

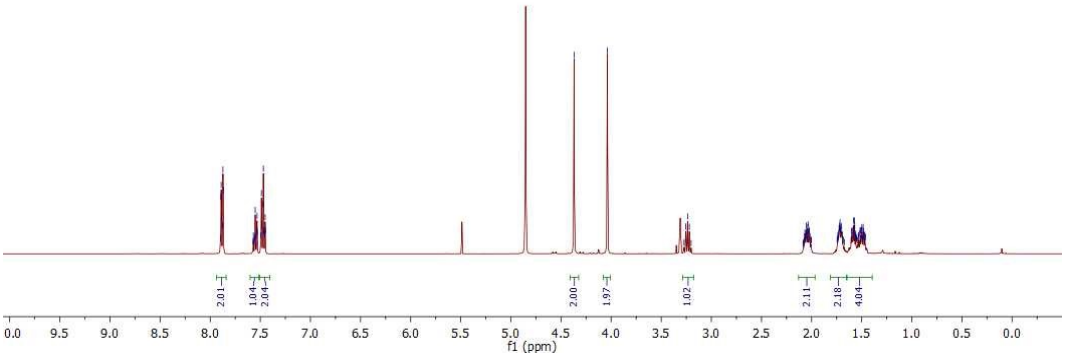


2-37

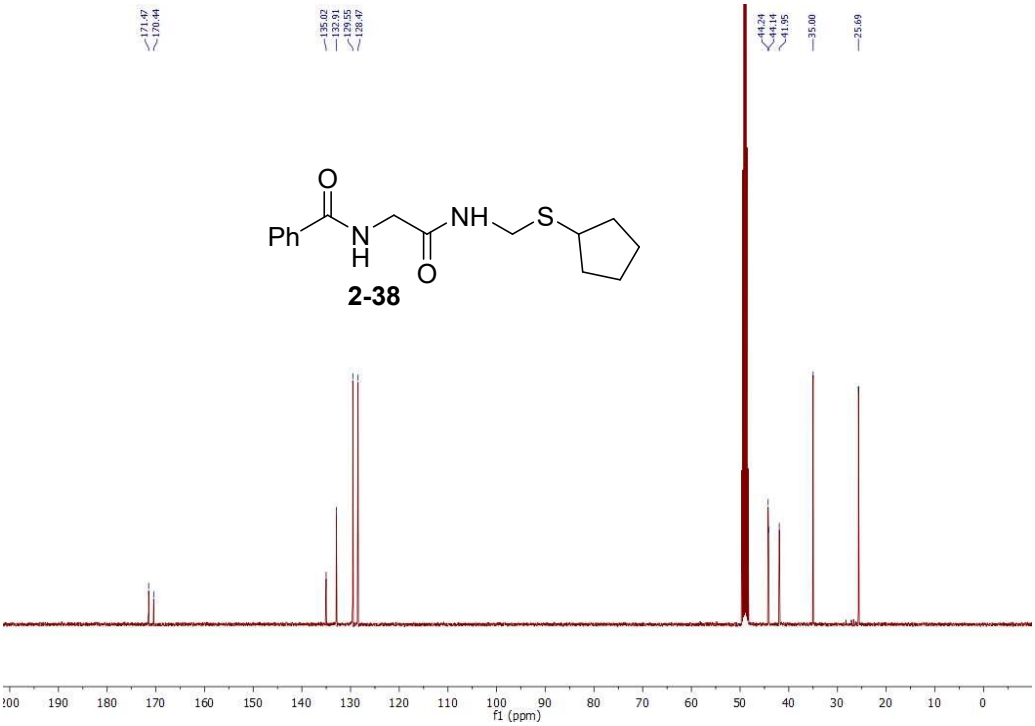
^{1}H NMR spectra of compound **2-38** (400 MHz, MeOH-d_4)



2-38

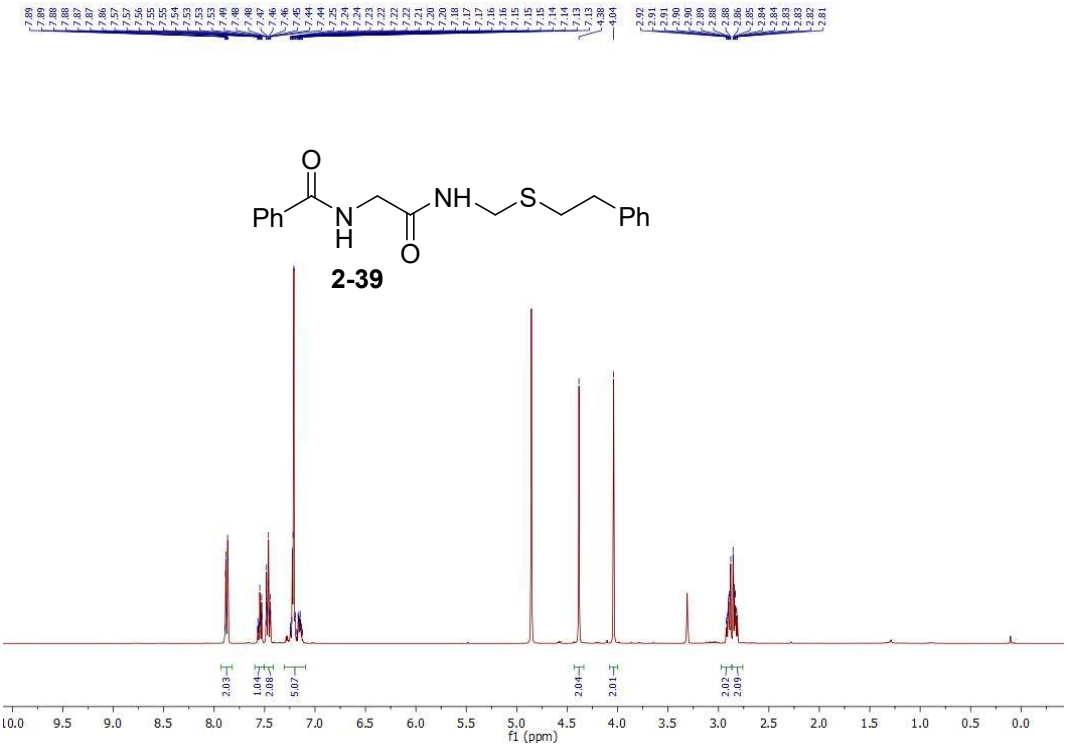


^{13}C NMR spectra of compound **2-38** (101 MHz, MeOH-d_4)

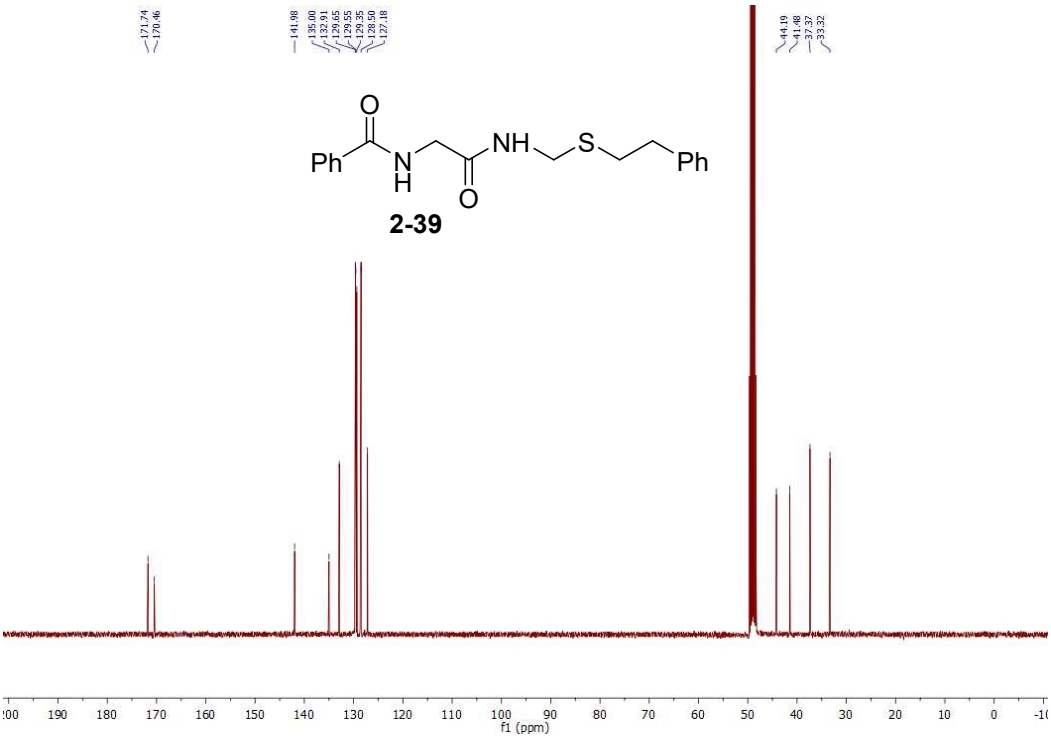


2-38

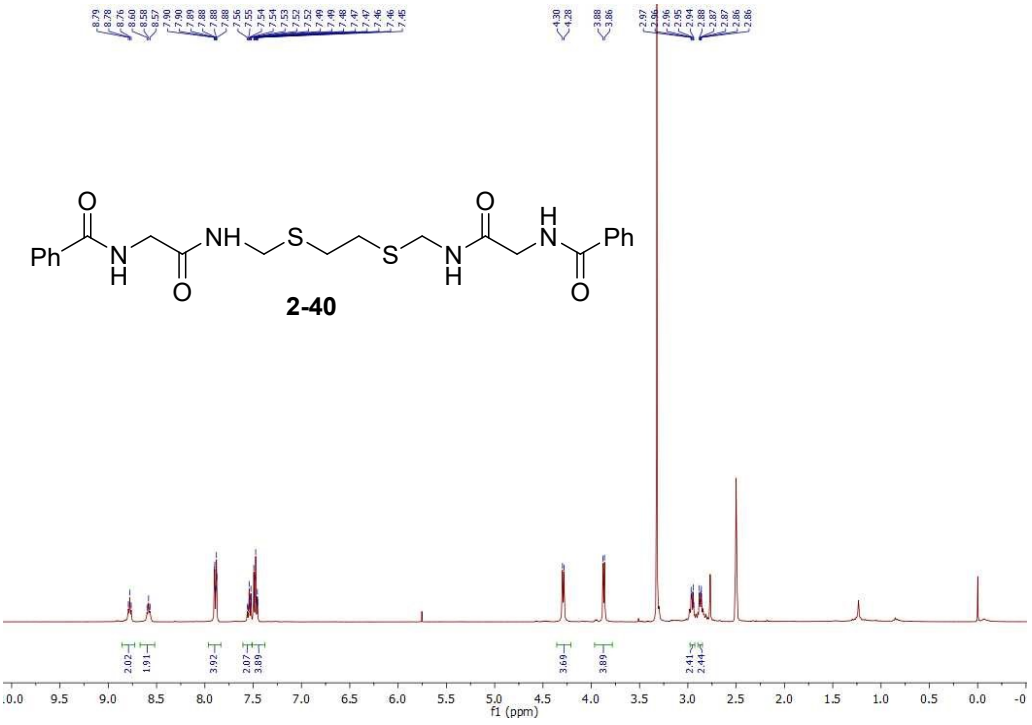
^{1}H NMR spectra of compound **2-39** (400 MHz, MeOH-d_4)



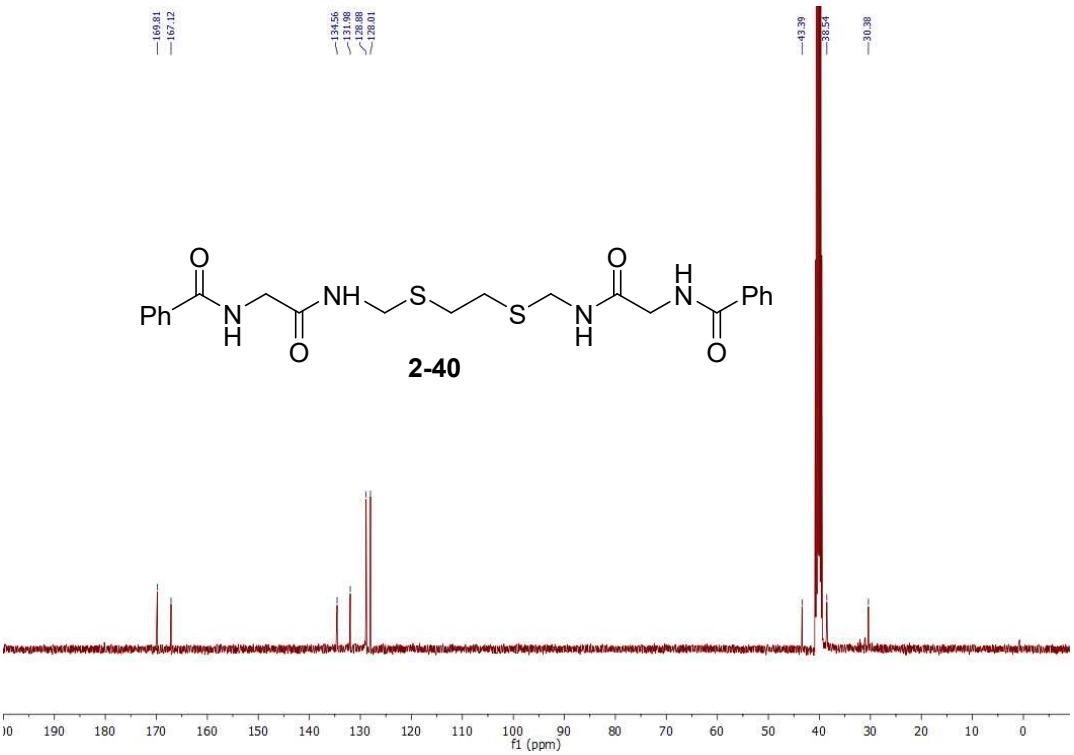
^{13}C NMR spectra of compound **2-39** (101 MHz, MeOH-d_4)



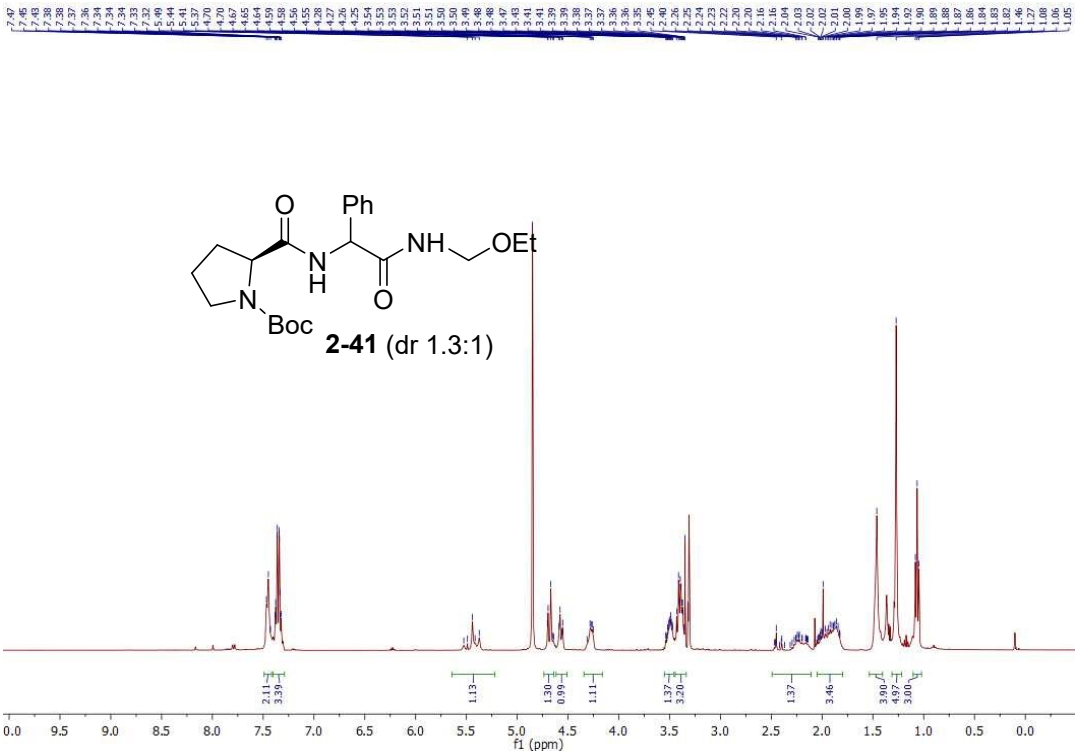
^{1}H NMR spectra of compound **2-40** (400 MHz, DMSO-d_6)



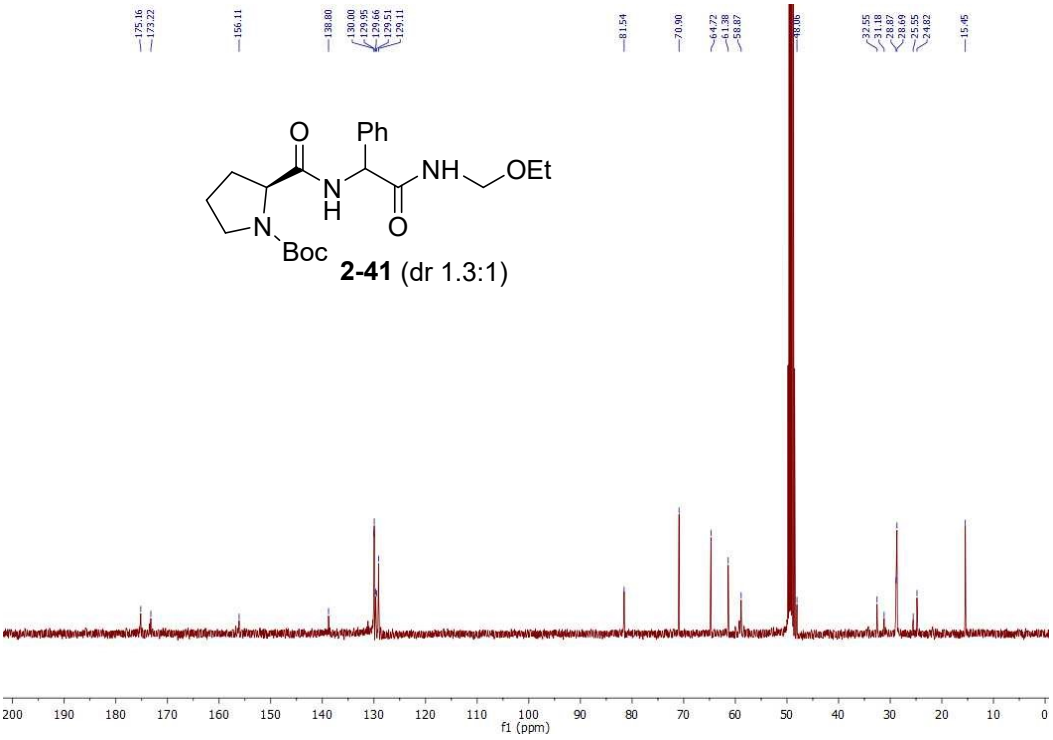
^{13}C NMR spectra of compound **2-40** (101 MHz, DMSO-d_6)



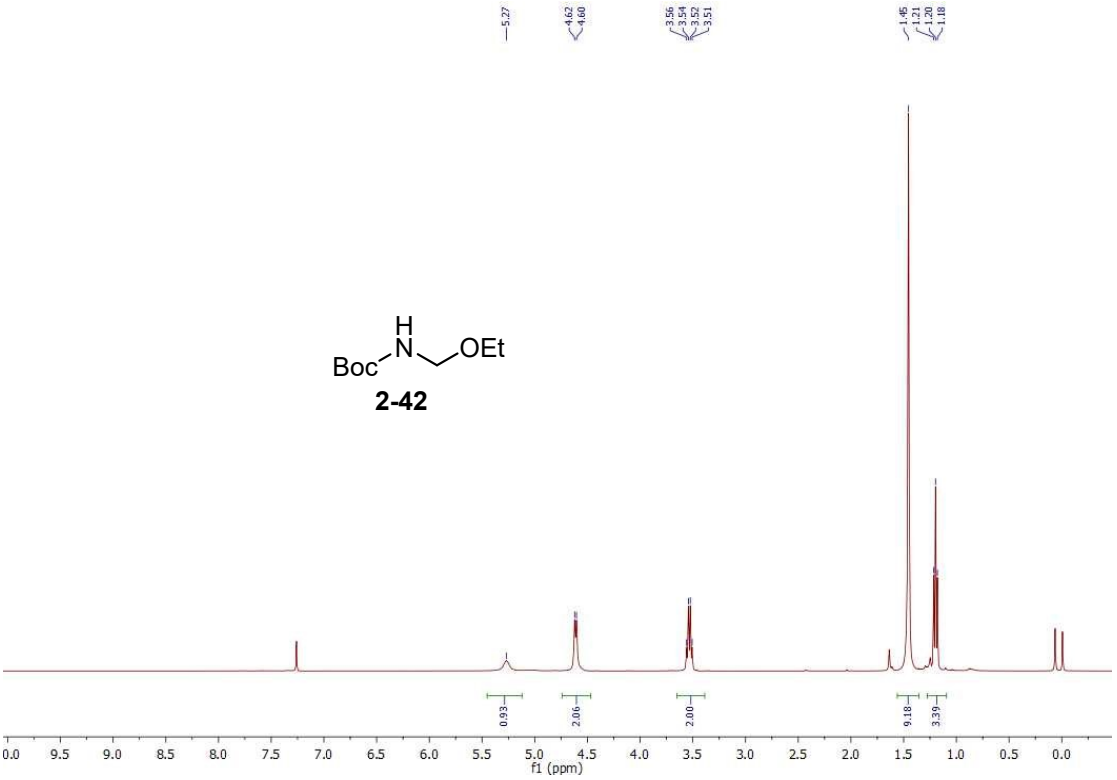
^{1}H NMR spectra of compound **2-41** (400 MHz, MeOH-d_4)



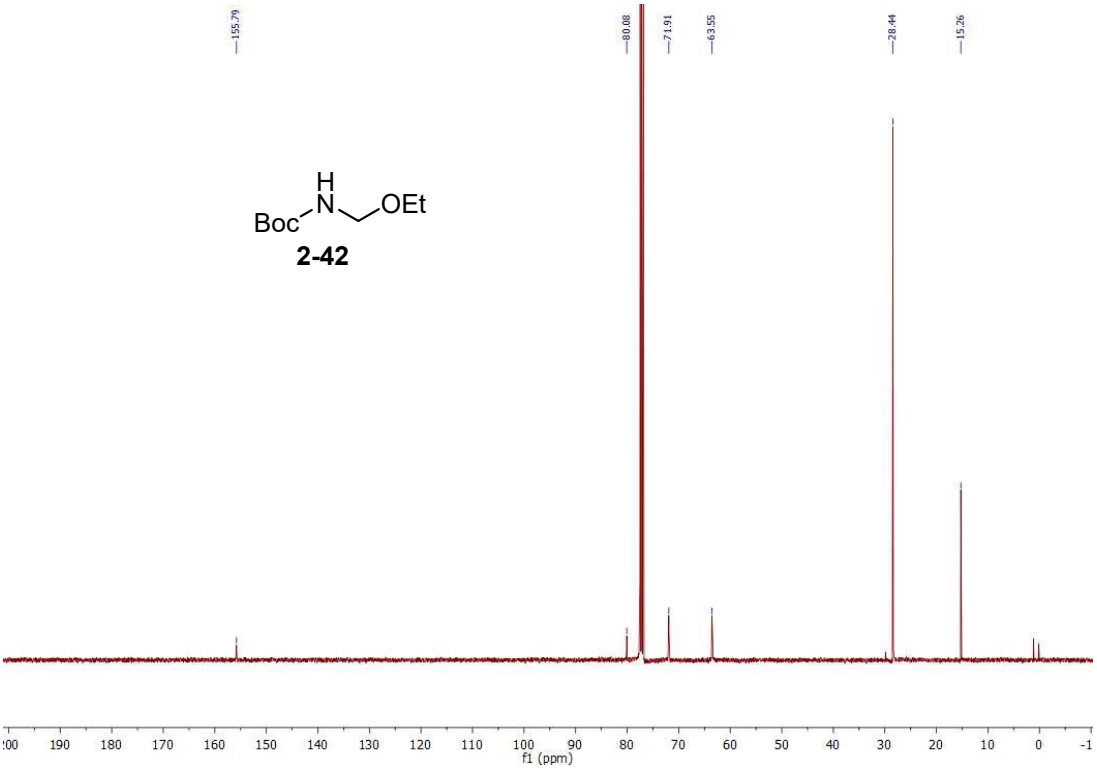
^{13}C NMR spectra of compound **2-41** (101 MHz, MeOH-d_4)



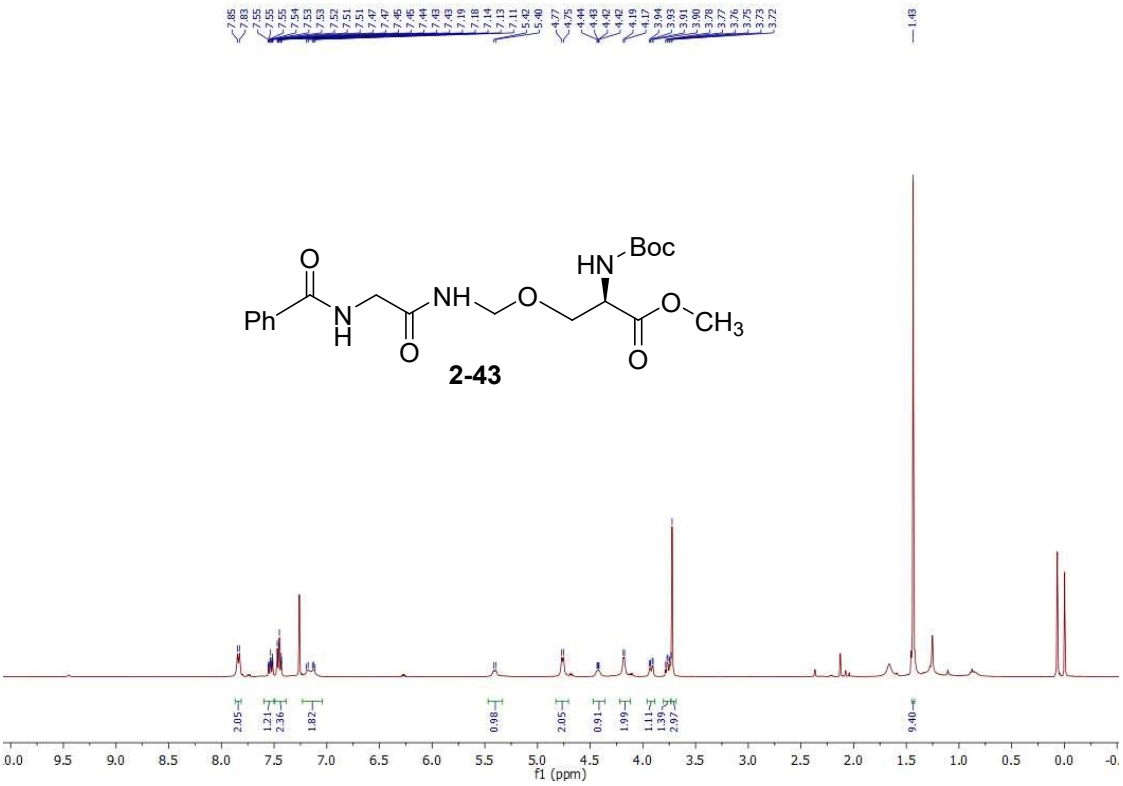
^{1}H NMR spectra of compound **2-42** (400 MHz, $CDCl_3$)



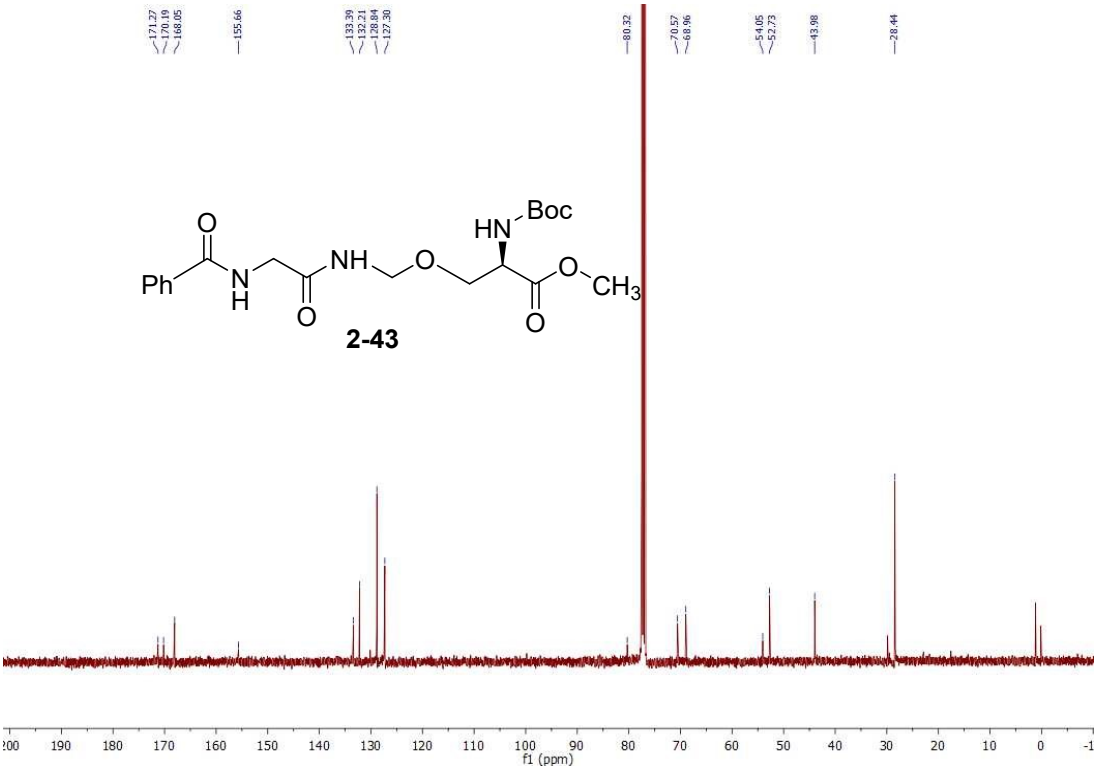
^{13}C NMR spectra of compound **2-42** (101 MHz, $CDCl_3$)



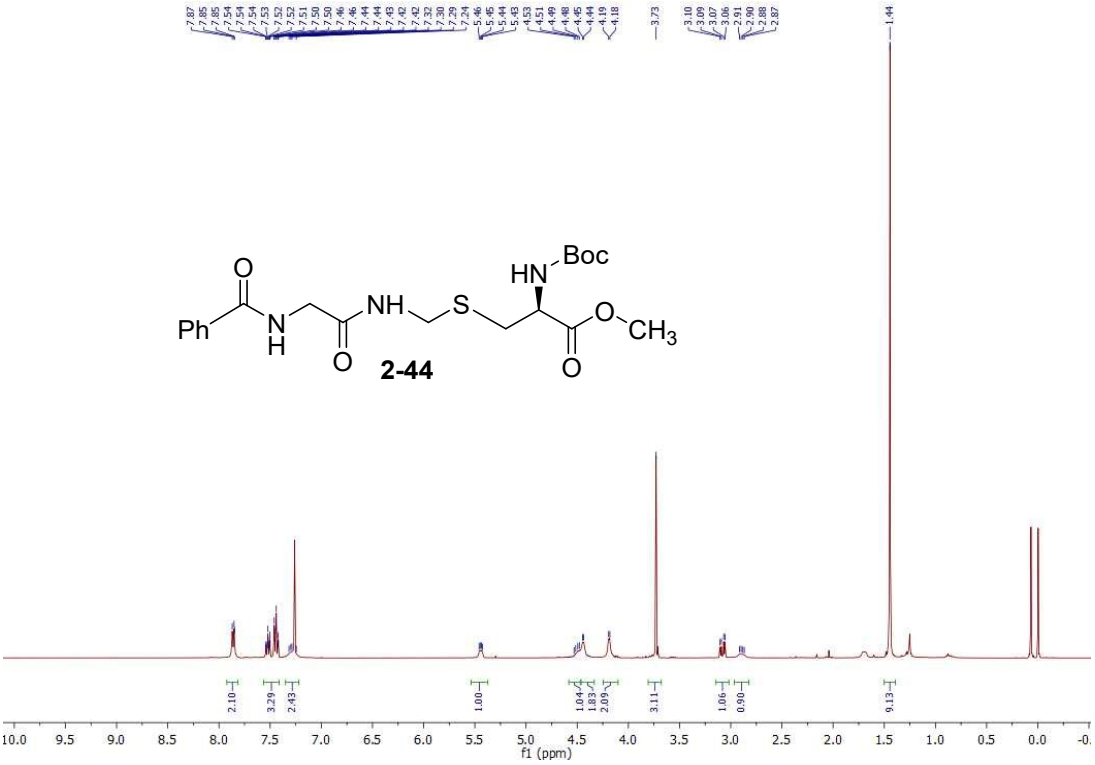
^{1}H NMR spectra of compound **2-43** (400 MHz, $CDCl_3$)



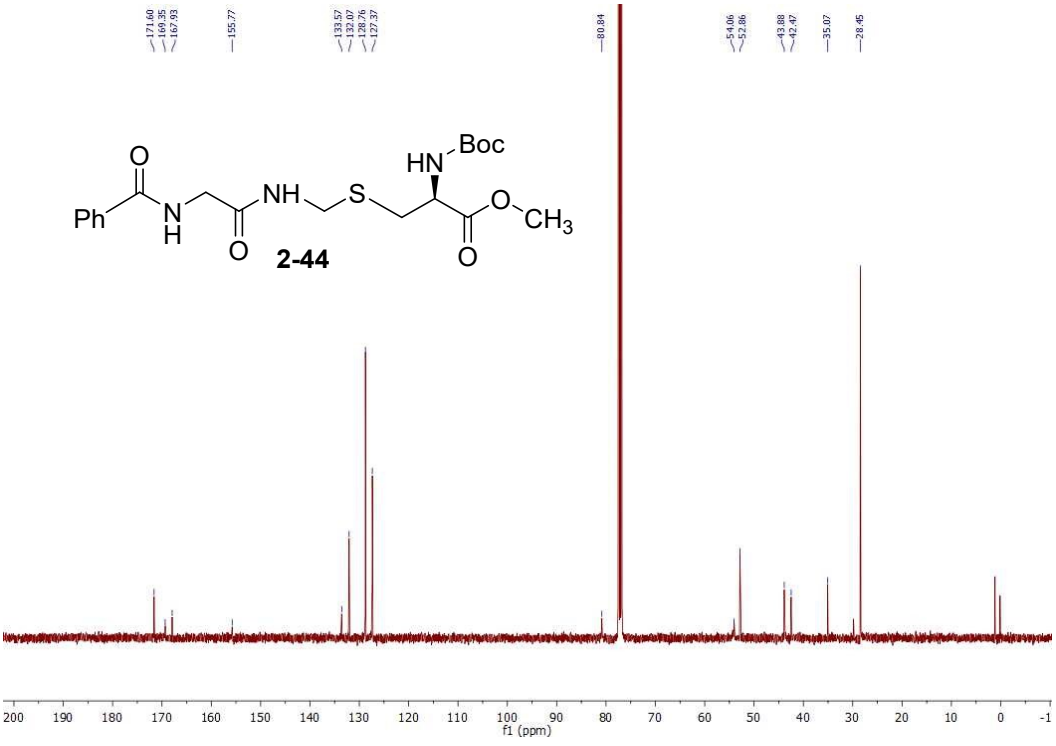
^{13}C NMR spectra of compound **2-43** (101 MHz, $CDCl_3$)



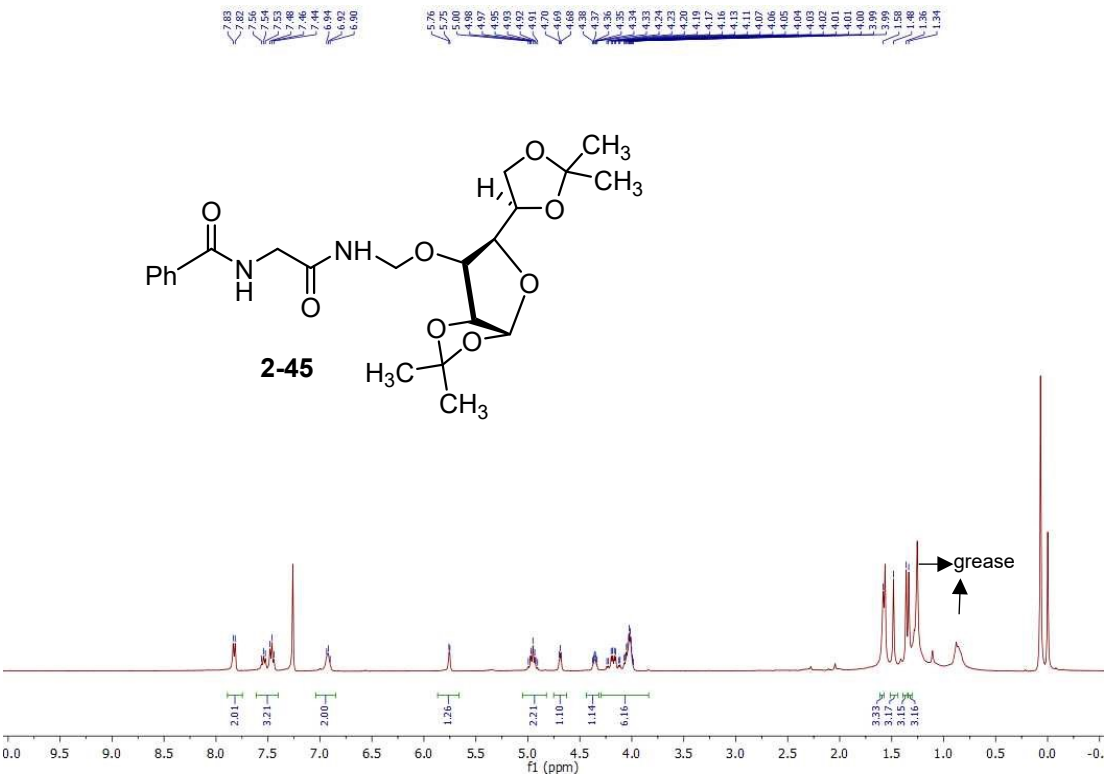
^{1}H NMR spectra of compound **2-44** (400 MHz, $CDCl_3$)



^{13}C NMR spectra of compound **2-44** (101 MHz, $CDCl_3$)



^{1}H NMR spectra of compound **2-45** (400 MHz, $CDCl_3$)



^{13}C NMR spectra of compound **2-45** (101 MHz, $CDCl_3$)