

Supplementary Material for

Stereoselective Synthesis of 2-Acetamido-1,2-dideoxynojirimycin (DNJNAc) and Ureido-DNJNAc Derivatives as New Hexosaminidase Inhibitors

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1.- Experimental part.

1.- Synthesis of 3-acetamido-1,3-dideoxyaltronojirimycin (29)

3-Azido-2,4-di-O-benzyl-5*N*,6*O*-(cyclic carbamate)-1,3-dideoxyaltronojirimycin (26)

A solution of **20** (278 mg, 0.91 mmol) in DMF (5 mL) was added via cannula to a suspension of NaH (51 mg, 2.01 mmol) in DMF (5 mL) cooled at 0°C. After 10 min, benzyl bromide (0.17 mL, 1.37 mmol) was added dropwise, and the reaction was allowed to stir at r.t. until no starting material was observed by TLC. H₂O (5 mL) was then added and the crude was extracted with CH₂Cl₂ (3x 5 mL), dried over MgSO₄, and purified by chromatography on silica gel using hexane/EtOAc to give **26** (306 mg, 84%) as a colorless oil. $[\alpha]^{20}_D = +31.4$ (c=0.64, CHCl₃). ¹H-NMR (400 MHz, CDCl₃, δ/ppm): 7.40 – 7.27 (m, 10H), 4.73 (d, *J*=12.0 Hz, 1H), 4.65 (d, *J*=11.5 Hz, 1H), 4.48 (d, *J* = 11.5 Hz, 1H), 4.36 (d, *J* = 12.0 Hz, 1H), 4.32 (m, 1H), 4.11 (m, 1H), 4.07 – 4.00 (m, 1H), 3.94 (d, *J* = 15.0 Hz, 1H), 3.88 (m, 2H), 3.63 (m, 1H), 3.06 (dd, *J* = 15.0, 1.5 Hz, 1H). ¹³C-NMR (100 MHz, CDCl₃, δ/ppm): 157.7 (CO), 137.1 (C), 136.7 (s), 128.7 (s), 128.4 (CH), 128.4 (CH), 128.2 (CH), 128.0 (CH), 127.9 (CH), 75.0 (CH), 73.6 (CH), 71.9 (CH₂), 70.9 (CH₂), 65.4 (CH₂), 59.3 (CH), 52.9 (CH), 38.0 (CH₂). IR (film, ν_{max} / cm⁻¹): 3031, 2912, 2107, 1755, 1454, 1418, 1235, 1070. HRMS (ES): calcd. for C₂₁H₂₃N₄O₄: 395.17138, found 395.17222

3-Acetamido-2,4-di-O-benzyl-5*N*,6*O*-(cyclic carbamate)-1,3-dideoxyaltronojirimycin (27)

Pd/C (49 mg, 0.05 mmol) was added to a solution of **26** (305 mg, 0.77 mmol) in EtOAc (7 mL) and the reaction was charged with H₂ (5 barg) and stirred at r.t. for 4h. Palladium was filtered over Celite and solvents were removed under low pressure. The colorless oil obtained was dissolved in pyridine (2 mL) and Ac₂O (122 μL, 1.16 mmol) was added. The reaction was stirred at r.t. for 16h. H₂O (5 mL) was then added and the crude was extracted with CH₂Cl₂ (3x 5 mL), dried over MgSO₄, and purified by chromatography on silica gel using hexane/EtOAc to give **27** (258 mg, 81%) as a white foam. $[\alpha]^{20}_D = +19.5$ (c=0.2, CHCl₃). Mp: 70-72 °C. ¹H-NMR (400 MHz, CDCl₃, δ/ppm): 7.38-7.22 (m, 10H), 5.86 (d, *J* = 5.5 Hz, 1H), 4.72 (d, *J* = 11.5 Hz, 1H), 4.61 (q, *J* = 4.5 Hz, 1H), 4.57 (d, *J* = 11.5, 1H), 4.45 (d, *J* = 11.5 Hz, 1H), 4.37 (d, *J* = 8.0 Hz, 1H), 4.35 (d, *J* = 11.5 Hz, 1H), 4.05 (m, 2H), 3.95 (d, *J* = 14.5 Hz, 1H), 3.90 (dd, *J* = 10.0, 4.5 Hz, 1H), 3.67 (ddd, *J* = 10.0, 8.0, 4.5 Hz, 1H), 3.06 (dd, *J* = 14.5, 1.5 Hz, 1H), 2.00 (s, 3H). ¹³C-NMR (100 MHz, CDCl₃, δ/ppm): 171.1 (CO), 157.7 (CO), 137.5 (C), 136.7 (C), 128.7 (CH), 128.4 (CH), 128.4 (CH), 128.2 (CH), 127.9 (CH), 127.8 (CH), 73.0 (CH), 72.5 (CH), 71.3 (CH₂), 70.7 (CH₂), 66.2 (CH₂), 52.9 (CH), 48.0 (CH), 38.7 (CH₂), 23.3 (CH₃). IR (film, ν_{max} / cm⁻¹): 3325, 2918, 1758, 1658, 1547, 1104, 1071. HRMS (ES): calcd. for C₂₃H₂₇N₂O₅: 411.19145, found 411.19126

3-Acetamido-2,4-di-O-benzyl-1,3-dideoxyaltronojirimycin (28)

NaOH 6M (0.25 mL, 1.53 mmol) was added to a solution of **27** (63 mg, 0.15 mmol) in MeOH : H₂O 9:1 (5 mL) and the reaction was stirred at reflux for 4 h. H₂O (5 mL) was then added and the crude was extracted with EtOAc (3x 5 mL), dried over MgSO₄ and purified by chromatography on silica gel using CH₂Cl₂/MeOH to give **28** (56 mg, 94%) as a colorless oil. $[\alpha]^{20}_{\text{D}} = -5.4$ (c=0.55, CH₃OH). ¹H-NMR (400 MHz, CD₃OD, δ/ppm): 7.40 – 7.25 (m, 10H), 4.65 (m, 3H), 4.54 (d, *J* = 11.5 Hz, 1H), 4.44 (d, *J* = 11.5 Hz, 1H), 3.93 (m, *J* = 6.5 Hz, 3H), 3.74 (dd, *J* = 11.5, 6.5 Hz, 1H), 3.41 (m, 1H), 3.27 (dd, *J* = 13.5, 2.0 Hz, 1H), 3.17 (d, *J* = 13.5 Hz, 1H), 1.99 (s, 3H). ¹³C-NMR (100 MHz, CD₃OD, δ/ppm): 173.8 (CO), 138.9 (C), 138.5 (CH), 129.5 (CH), 129.4 (CH), 129.2 (CH), 129.1 (CH), 129.0 (CH), 73.7 (CH), 73.0 (CH₂), 72.0 (CH₂), 70.6 (CH), 59.6 (CH₂), 57.0 (CH), 47.5 (CH), 43.9 (CH₂), 22.6 (CH₃). IR (film, ν_{max} / cm⁻¹): 3641, 3212, 3065, 1653, 1454, 1247, 1169, 1030. HRMS (ES): calcd. for C₂₂H₂₉N₂O₄ : 385.2122, found 385.2111

3-Acetamido-1,3-dideoxyaltronojirimycin (29)

Pd/C (44 mg, 0.04 mmol) was added to a solution of **28** (200 mg, 0.52 mmol) in previously degassed MeOH (10 mL) was added Pd/C (44 mg, 0.04 mmol). The reaction was charged with H₂ (20 barg) and stirred at 60°C for 20h. Palladium was then filtered through Celite and the crude was purified by chromatography on silica gel using CH₂Cl₂/MeOH/NH₃ 72.5:25:2.5 to give **29** (82 mg, 77%) as a slightly yellow sticky foam. $[\alpha]^{20}_{\text{D}} = -16.5$ (c=0.42, MeOH). ¹H-NMR (400 MHz, D₂O, δ/ppm): 4.13 (dd, *J* = 6.0, 4.0 Hz, 1H), 4.00 (dd, *J* = 7.5, 4.0 Hz, 1H), 3.88 (td, *J* = 6.0, 3.0 Hz, 1H), 3.82 – 3.74 (m, 2H), 3.04 – 2.89 (m, 2H), 2.78 (dd, *J* = 14.0, 6.0 Hz, 1H), 2.06 (s, 3H). ¹³C-NMR (100 MHz, D₂O, δ/ppm, d⁶-DMSO internal reference): 176.1 (CO), 68.6 (CH), 66.8 (CH), 61.4 (CH₂), 58.7 (CH), 54.5 (CH), 46.4 (CH₂), 23.6 (CH₃). IR (film, ν_{max} / cm⁻¹): 3311, 2930, 1650, 1549, 1376, 1299, 1068. HRMS (ES): calcd. for C₈H₁₇N₂O₄ : 205.11828, found 205.11806

2.- Preparation of compounds 32b-d.

2-Acetamido-3,4,6-tri-*O*-acetyl-1,2-dideoxy-5-*N*-(*N*'-octylaminocarbonyl)nojirimycin (32b)

TFA (0.53 mL, 6.92 mmol) was added to a solution of **31** (99 mg, 0.23 mmol) in CH₂Cl₂ (8 mL) and the reaction was stirred at r.t. until no starting material was observed by TLC. Solvent was removed under low pressure and the resulting oil was dissolved in CH₂Cl₂ (8 mL). TEA (0.25 mL, 1.79 mmol) and octyl isocyanate (122 μL, 0.69 mmol) were added and the reaction was heated at reflux for 4h. H₂O (5 mL) was then added and the reaction was extracted with CH₂Cl₂ (3x 5 mL), dried over MgSO₄, and purified by chromatography on silica gel using CH₂Cl₂/MeOH to give **32b** (71 mg, 85%) as a colorless oil. $[\alpha]^{20}_D = -57.2$ (c=2.01, CHCl₃). ¹H-NMR (400 MHz, CDCl₃, δ/ppm): 6.52 (d, *J* = 7.5 Hz, 1H), 5.10 – 4.98 (m, 2H), 4.91 (m, 1H), 4.47 (dd, *J* = 11.0, 7.5 Hz, 1H), 4.26 (td, *J* = 7.0, 2.0 Hz, 1H), 4.20 – 4.11 (m, 1H), 4.07 (m, 1H), 3.98 (d, *J* = 14.0 Hz, 1H), 3.30 (dd, *J* = 14.5, 3.0 Hz, 1H), 3.22 (m, 2H), 2.12 (s, 3H), 2.10 (s, 3H), 2.07 (s, 3H), 1.98 (s, 3H), 1.50 (t, *J* = 7.0 Hz, 2H), 1.29 (m, 10H), 0.88 (t, *J* = 6.5 Hz, 3H). ¹³C-NMR (100 MHz, CDCl₃, δ/ppm): 171.0 (CO), 169.6 (CO), 168.8 (CO), 168.7 (CO), 159.1 (CO), 68.1 (CH), 67.0 (CH), 61.0 (CH₂), 53.9 (CH), 46.6 (CH), 41.1 (CH₂), 39.1 (CH₂), 31.7 (CH₂), 30.0 (CH₂), 29.2 (CH₂), 29.19 (CH₂), 26.8 (CH₂), 23.2 (CH₃), 22.5 (CH₂), 20.8 (CH₃), 20.8 (CH₃), 20.7 (CH₃), 14.0 (CH₃). IR (film, ν_{max} / cm⁻¹): 3359, 2936, 2846, 1758, 1649, 1521, 1373, 1213, 1040. HRMS (ES): calcd. for C₂₃H₄₀N₃O₈: 486.28099, found 486.28081

2-Acetamido-3,4,6-tri-*O*-acetyl-1,2-dideoxy-5-*N*-(*N*'-phenylaminocarbonyl)nojirimycin (32c)

TFA (0.41 mL, 5.18 mmol) was added to a solution of **31** (75 mg, 0.17 mmol) in CH₂Cl₂ (8 mL) and the reaction was stirred at r.t. until no starting material was observed by TLC. Solvent was removed under reduced pressure and the resulting oil was dissolved in CH₂Cl₂ (8 mL). TEA (0.19 mL, 1.35 mmol) and phenyl isocyanate (56 μL, 0.52 mmol) were added and the reaction was heated at reflux for 4h. H₂O (5 mL) was then added and the reaction was extracted with CH₂Cl₂ (3x 5 mL), dried over MgSO₄, and purified by chromatography on silica gel using CH₂Cl₂/MeOH to give **32c** (63 mg, 80%) as a white solid. $[\alpha]^{20}_D = -73.0$ (c=0.24, CHCl₃). Mp: 79-82 °C. ¹H-NMR (400 MHz, CDCl₃, δ/ppm): 7.44 (m, 2H), 7.30 (m, 3H), 7.07 (tt, *J* = 7.0, 1.0 Hz, 1H), 6.46 (d, *J* = 7.5 Hz, 1H), 5.07 (t, *J* = 3.5 Hz, 1H), 4.97 (m, 1H), 4.54 (dd, *J* = 11.5, 7.0 Hz, 1H), 4.42 (t, *J* = 7.5 Hz, 1H), 4.24 (dd, *J* = 11.5, 7.5 Hz, 1H), 4.17 (dt, *J* = 14.5, 1.5 Hz, 1H), 4.12 (q, *J* = 3.5 Hz, 1H), 3.37 (dd, *J* = 14.5, 3.0 Hz, 1H), 2.15 (s, 6H), 2.07 (s, 3H), 1.98 (s, 3H). ¹³C-NMR (100 MHz, CDCl₃, δ/ppm): 171.7 (CO), 170.0 (CO), 169.0 (CO), 168.8 (CO), 156.4 (CO), 138.9 (C), 129.1 (CH), 123.6 (CH), 119.7 (CH), 68.2 (CH), 67.3 (CH), 61.5 (CH₂), 54.1 (CH), 46.8 (CH), 39.4 (CH₂), 23.5 (CH₃), 21.1 (CH₃), 21.0 (CH₃), 20.9 (CH₃). IR (film, ν_{max} / cm⁻¹): 3333, 3013, 2928, 1746, 1662, 1537, 1444, 1370, 1232. HRMS (ES): calcd. for C₂₁H₂₈N₃O₈: 450.18709, found 450.18715

2-Acetamido-3,4,6-tri-*O*-acetyl-5-*N*-(*N*'-benzylaminocarbonyl)-1,2-dideoxynojirimycin (32d)

TFA (0.39 mL, 5.15 mmol) was added to a solution of **31** (74 mg, 0.17 mmol) in CH₂Cl₂ (8 mL) and the reaction was stirred at r.t. until no starting material was observed by TLC. Solvent was removed under

low pressure and the resulting oil was dissolved in CH₂Cl₂ (8 mL). TEA (0.19 mL, 1.33 mmol) and benzyl isocyanate (63 μl, 0.52 mmol) were added and the reaction was heated at reflux for 4h. H₂O (5 mL) was then added and the reaction was extracted with CH₂Cl₂ (3x 5 mL), dried over MgSO₄, and purified by chromatography on silica gel using CH₂Cl₂/MeOH to give **32d** (56 mg, 70%) as a colorless oil. $[\alpha]^{20}_D = -55.0$ (c=1.30, CHCl₃). ¹H-NMR (400 MHz, CDCl₃, δ/ppm): 7.36 – 7.25 (m, 5H), 6.46 (d, *J* = 7.5 Hz, 1H), 5.40 (t, *J* = 5.5 Hz, 1H), 5.01 (t, *J* = 3.5 Hz, 1H), 4.90 (m, 1H), 4.50 – 4.42 (m, 2H), 4.36 (dd, *J* = 15.0, 5.0 Hz, 2H), 4.14 (dd, *J* = 11.5, 6.5 Hz, 1H), 4.06 (dd, *J* = 7.0, 3.5 Hz, 1H), 4.00 (d, *J* = 15.0 Hz, 1H), 3.33 (dd, *J* = 15.0, 3.5 Hz, 1H), 2.11 (s, 3H), 2.03 (s, 3H), 1.96 (s, 3H), 1.91 (s, 3H). ¹³C-NMR (100 MHz, CDCl₃, δ/ppm): 170.0 (CO), 168.8 (CO), 168.0 (CO), 168.0 (CO), 159.0 (CO), 138.3 (C), 127.7 (CH), 126.7 (CH), 126.5 (CH), 67.2 (CH), 66.2 (CH), 60.0 (CH₂), 53.2 (CH), 45.7 (CH), 44.1 (CH₂), 38.4 (CH₂), 22.3 (CH₃), 19.9 (CH₃), 19.9 (CH₃), 19.7 (CH₃). IR (film, ν_{max} / cm⁻¹): 3359, 2927, 1746, 1651, 1532, 1370, 1225, 1043. HRMS (ES): calcd. for C₂₂H₃₀N₃O₈ : 464.20270, found 464.20274.

3.- Preparation of compounds 10b-d.

2-Acetamido-1,2-dideoxy-5-*N*-(*N*'-octylaminocarbonyl)nojirimycin (10b).

32b (71 mg, 0.20 mmol) was dissolved in a NH₃ saturated MeOH solution (4 mL) and the reaction was stirred at r.t. for 18h. Solvent was removed under low pressure, and the crude was purified by chromatography on silica gel using CH₂Cl₂/MeOH to give **10b** (47 mg, 75%) as a slightly yellow solid. $[\alpha]^{20}_D = +26.9$ (c=2.0, CH₃OH). Mp: 57-59 °C. ¹H-NMR (400 MHz, CD₃OD, δ/ppm): 3.95 (m, 1H), 3.92 – 3.80 (m, 3H), 3.79 – 3.70 (m, 2H), 3.61 (t, *J* = 4.5 Hz, 1H), 3.35 (dd, *J* = 14.0, 3.0 Hz, 1H), 3.21 – 3.05 (m, 2H), 1.95 (s, 3H), 1.49 (m, 2H), 1.35 – 1.27 (m, 10H), 0.90 (t, *J* = 7.0 Hz, 3H). ¹³C-NMR (100 MHz, CD₃OD, δ/ppm): 172.6 (CO), 161.7 (CO), 71.6 (CH), 70.2 (CH), 61.9 (CH), 61.7 (CH₂), 51.6 (CH), 41.8 (CH₂), 40.9 (CH₂), 33.0 (CH₂), 31.1 (CH₂), 30.5 (CH₂), 30.4 (CH₂), 28.0 (CH₂), 23.7 (CH₃), 22.9 (CH₂), 14.4 (CH₃). IR (film, ν_{max} / cm⁻¹): 3333, 2917, 2853, 1623, 1533, 1373. HRMS (ES): calcd. for C₁₇H₃₄N₃O₅: 360.24930, found 360.24925

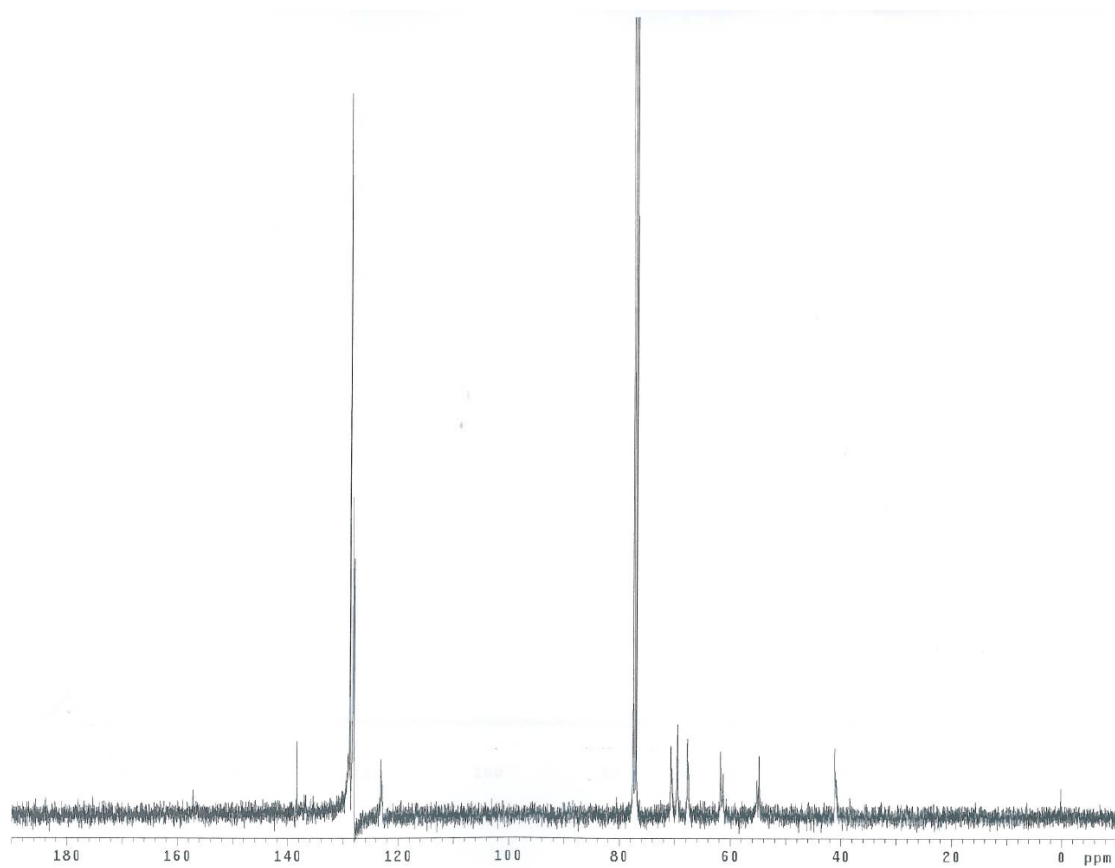
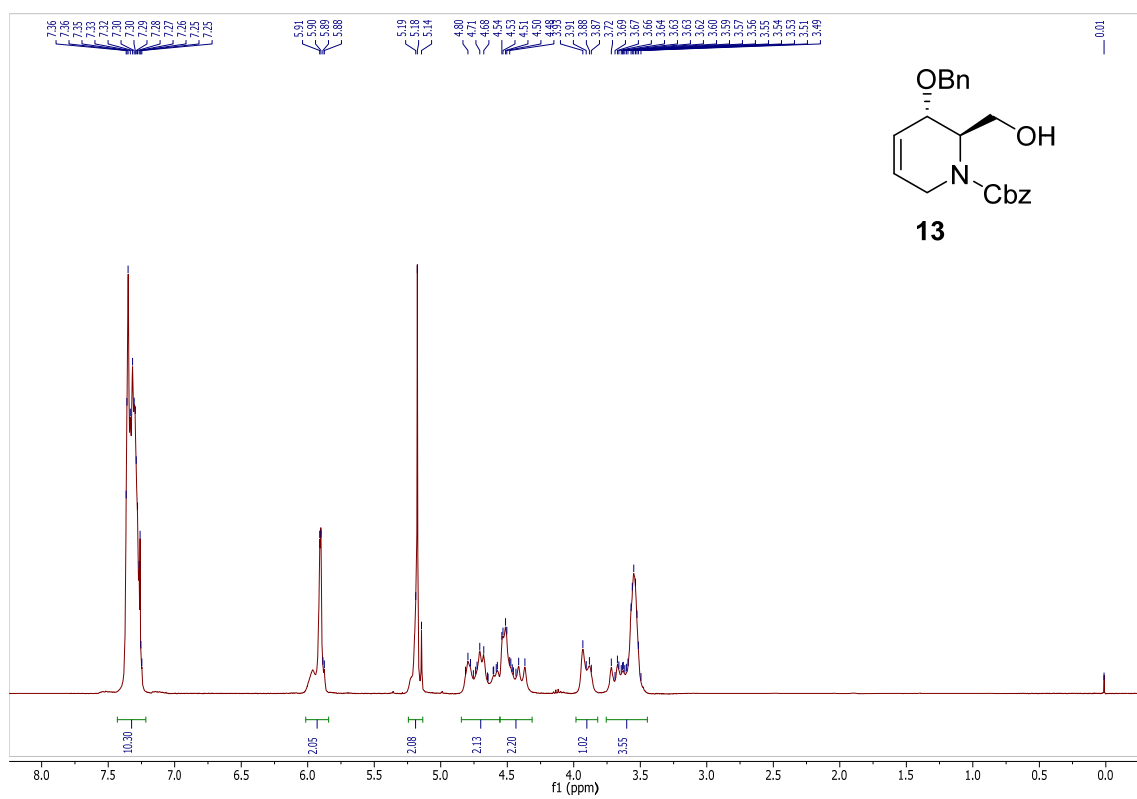
2-Acetamido-1,2-dideoxy-5-*N*-(*N*'-phenylaminocarbonyl)nojirimycin (10c)

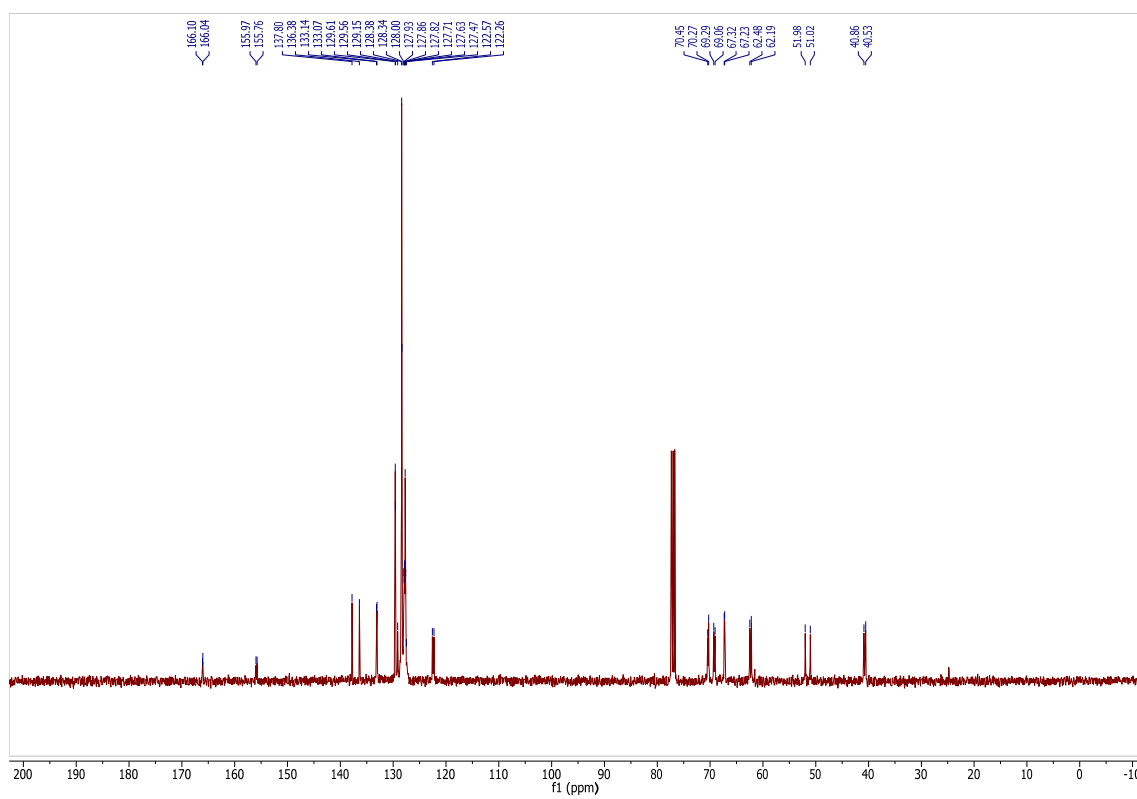
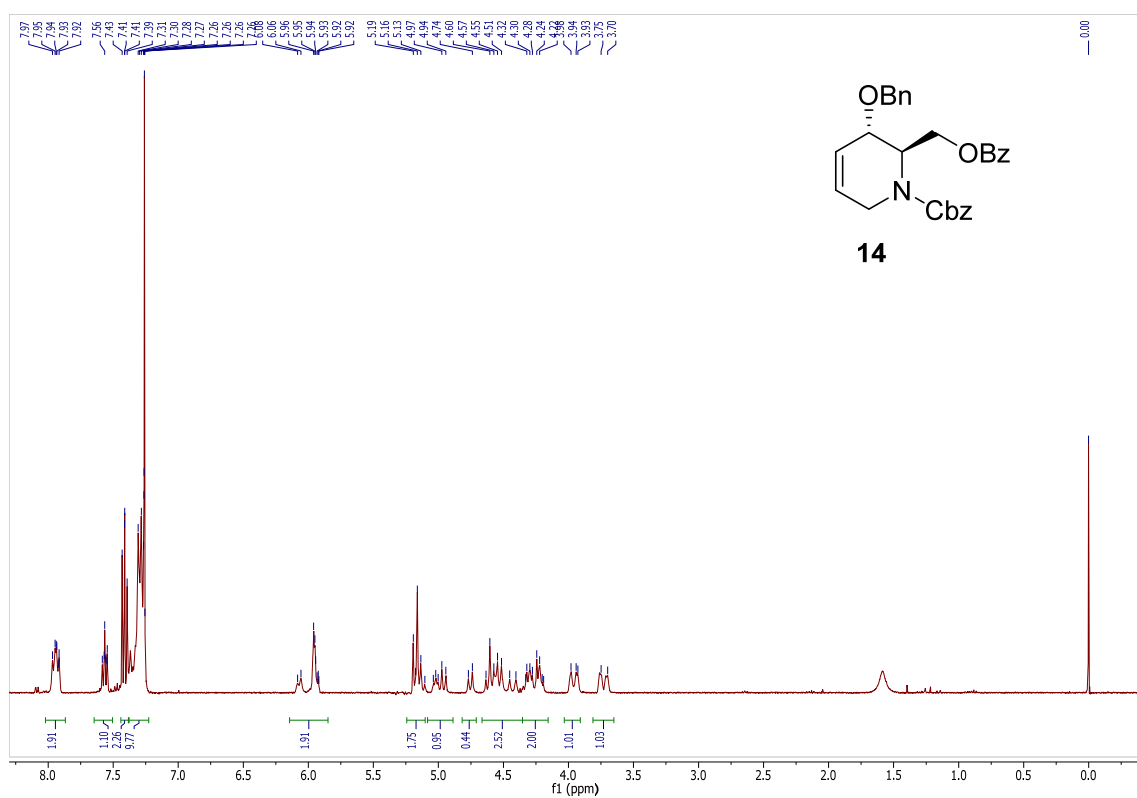
32c (60 mg, 0.13 mmol) was dissolved in a NH₃ saturated MeOH solution (4 mL) and the reaction was stirred at r.t. for 18h. Solvent was removed under low pressure, and the crude was purified by chromatography on silica gel using CH₂Cl₂/MeOH to give **10c** (25 mg, 58%) as a slightly yellow solid. $[\alpha]^{20}_D = +21.5$ (c=1.2, CH₃OH). Mp: 61-63 °C. ¹H-NMR (400 MHz, CD₃OD, δ/ppm): 7.33 – 7.22 (m, 4H), 7.02 – 6.96 (m, 1H), 4.13 (m, 1H), 4.04 – 3.97 (m, 2H), 3.92 (q, *J* = 3.5 Hz, 1H), 3.82 (dd, *J* = 11.5, 3.5 Hz, 1H), 3.73 (t, *J* = 5.0 Hz, 1H), 3.66 (t, *J* = 5.0 Hz, 1H), 3.42 (dd, *J* = 14.0, 3.5 Hz, 1H), 1.97 (s, 3H). ¹³C-NMR (100 MHz, CD₃OD, δ/ppm): 172.87 (CO), 159.6 (CO), 140.9 (C), 129.6 (CH), 123.8 (CH), 121.4 (CH), 71.5 (CH), 70.4 (CH), 62.5 (CH), 61.9 (CH₂), 51.7 (CH), 40.8 (CH₂), 22.9 (CH₃). IR (film, ν_{max} / cm⁻¹): 3227, 2923, 1636, 1533, 1444. HRMS (ES): calcd. for C₁₅H₂₂N₃O₅: 324.15540, found 324.15551

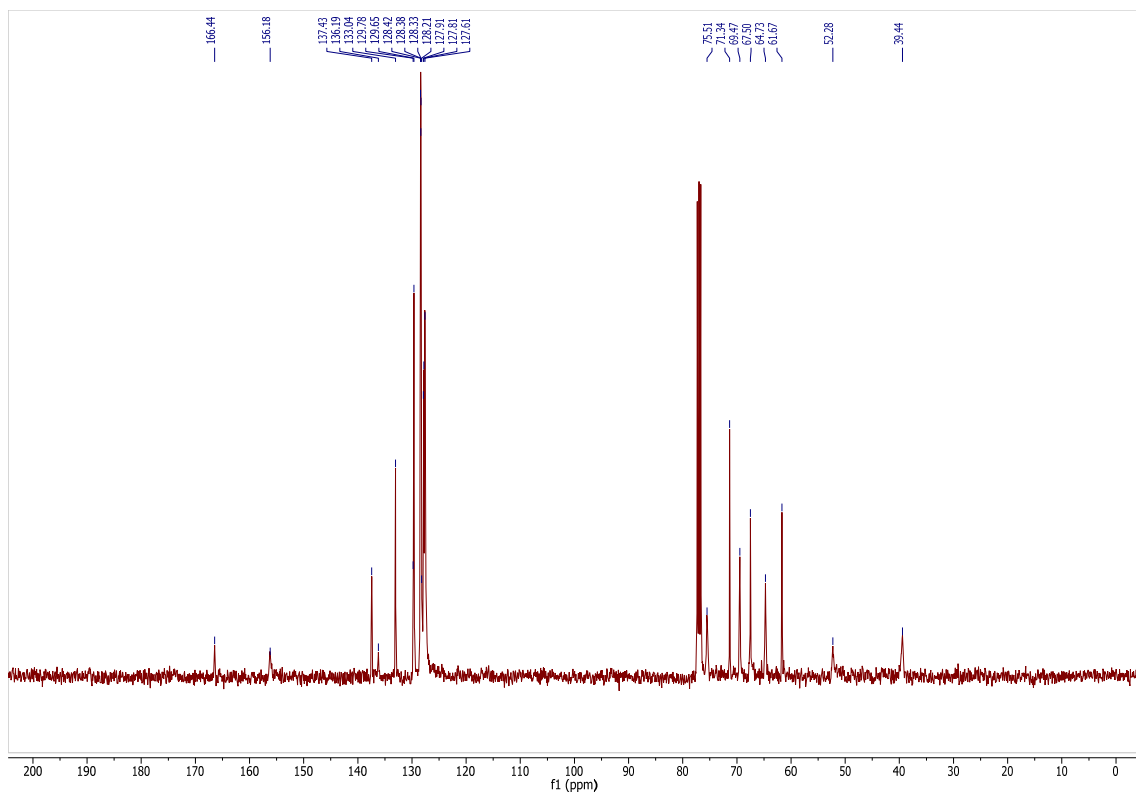
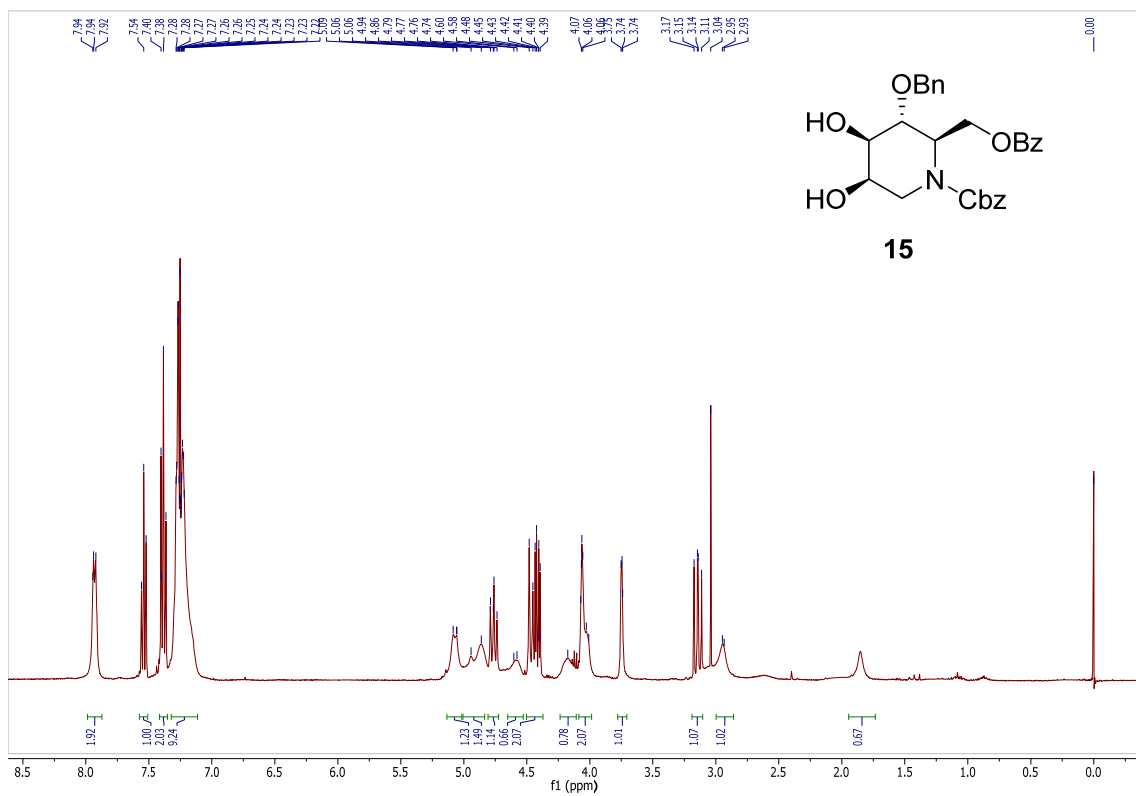
2-Acetamido-5-*N*-(*N*'-benzylaminocarbonyl)-1,2-dideoxynojirimycin (10d)

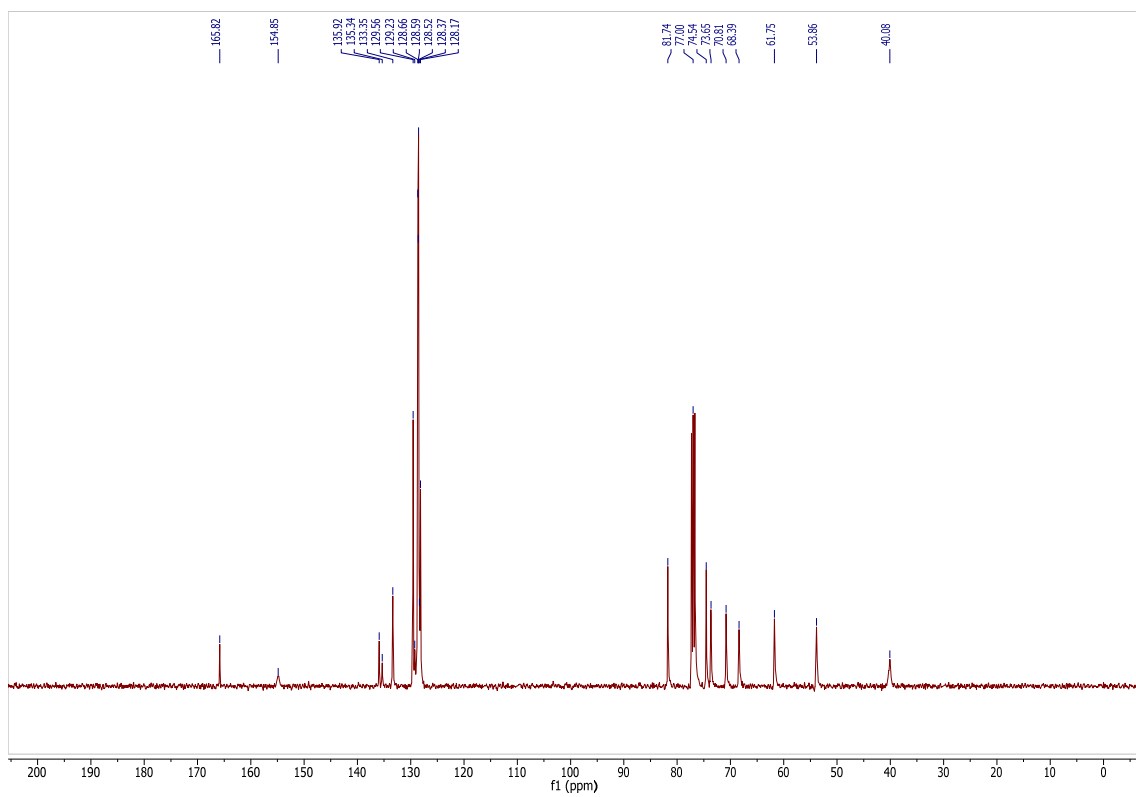
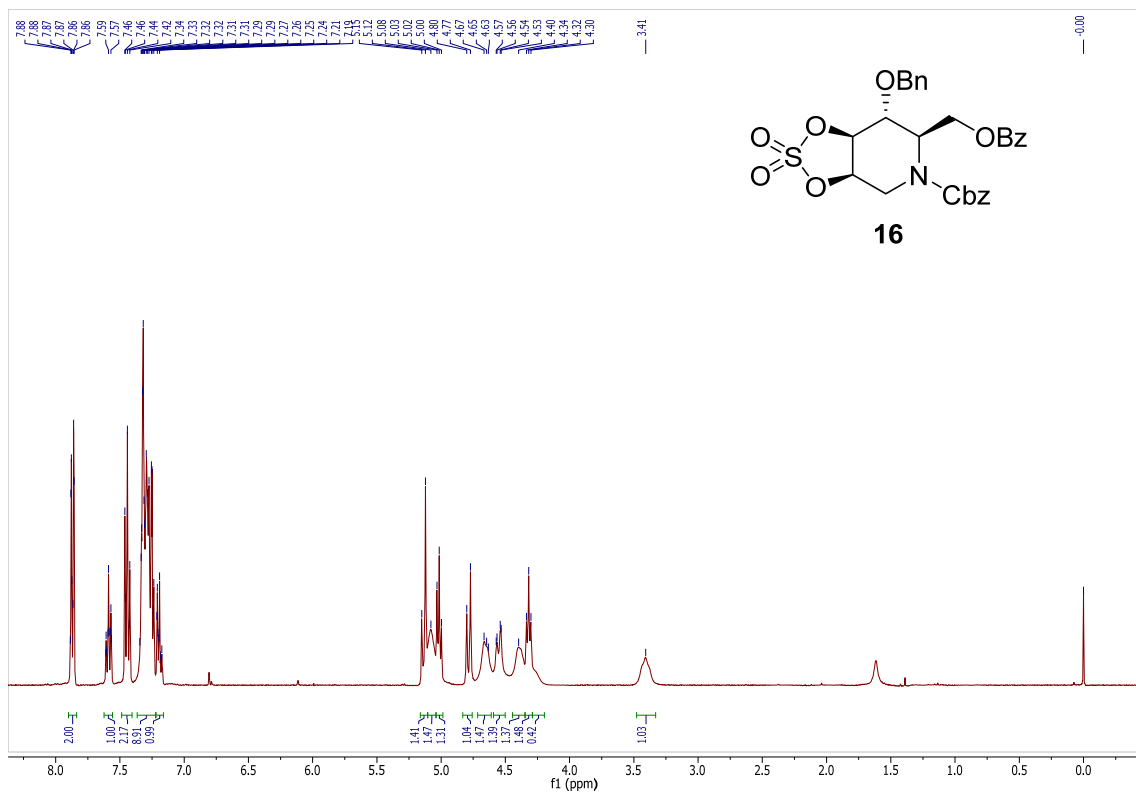
32d (56 mg, 0.12 mmol) was dissolved in a NH₃ saturated MeOH solution (4 mL) and the reaction was stirred at r.t. for 18h. Solvent was removed under low pressure, and the crude was purified by chromatography on silica gel using CH₂Cl₂/MeOH to give **10d** (31 mg, 76%) as a slightly yellow solid. $[\alpha]^{20}_D = +39.5$ (c=1.4, CH₃OH). Mp: 56-58 °C. ¹H-NMR (400 MHz, CD₃OD, δ/ppm): 7.35 – 7.26 (m, 4H), 7.21 (m, 1H), 4.35 (dd, *J* = 15.0 Hz, 2H), 4.08 – 4.03 (m, 1H), 3.94 – 3.85 (m, 3H), 3.80 – 3.71 (m, 2H), 3.63 (t, *J* = 4.5 Hz, 1H), 3.40 (dd, *J* = 15.0, 4.0 Hz, 1H), 1.89 (s, 3H). ¹³C-NMR (100 MHz, CD₃OD, δ/ppm): 172.6 (CO), 161.6 (CO), 141.3 (C), 129.3 (CH), 128.1 (CH), 127.8 (CH), 71.4 (CH), 70.2 (CH), 61.8 (CH), 61.6 (CH₂), 51.5 (CH), 45.3 (CH₂), 40.8 (CH₂), 22.9 (CH₃). IR (film, ν_{max} / cm⁻¹): 3353, 2917, 1617, 1533, 1450, 1264, 1059. HRMS (ES): calcd. for C₁₆H₂₄N₃O₅: 338.17105, found 338.17116.

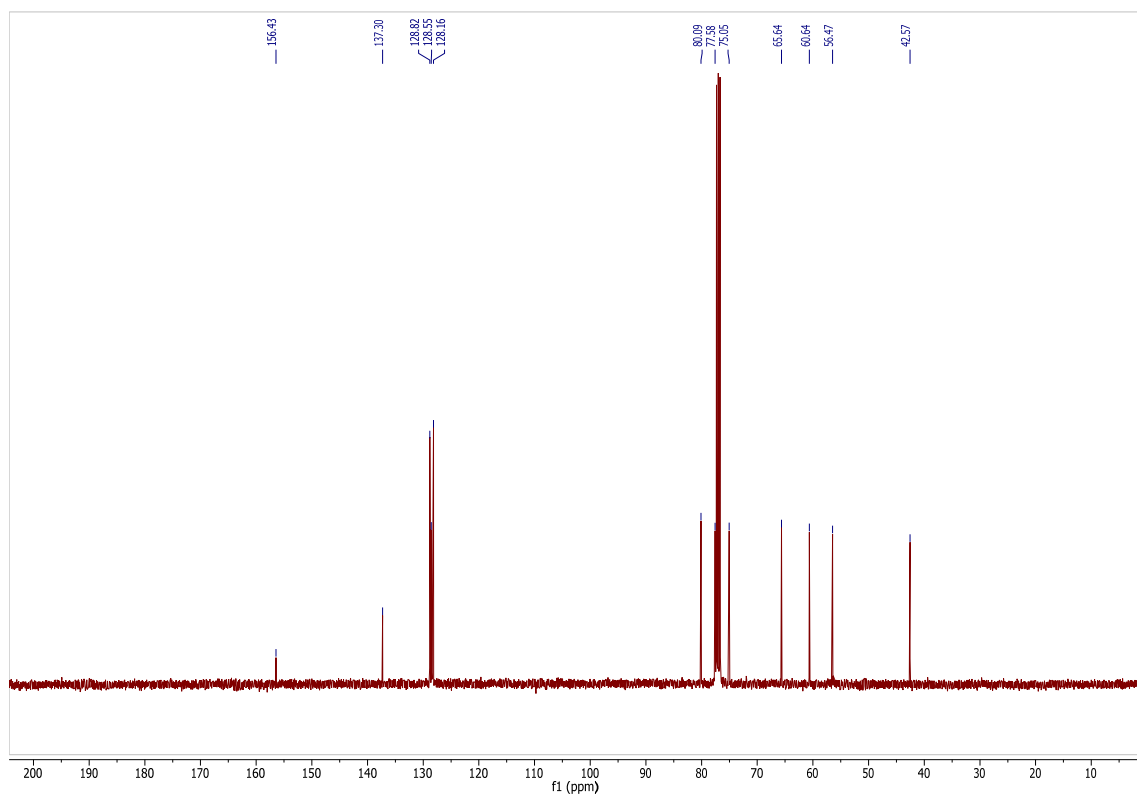
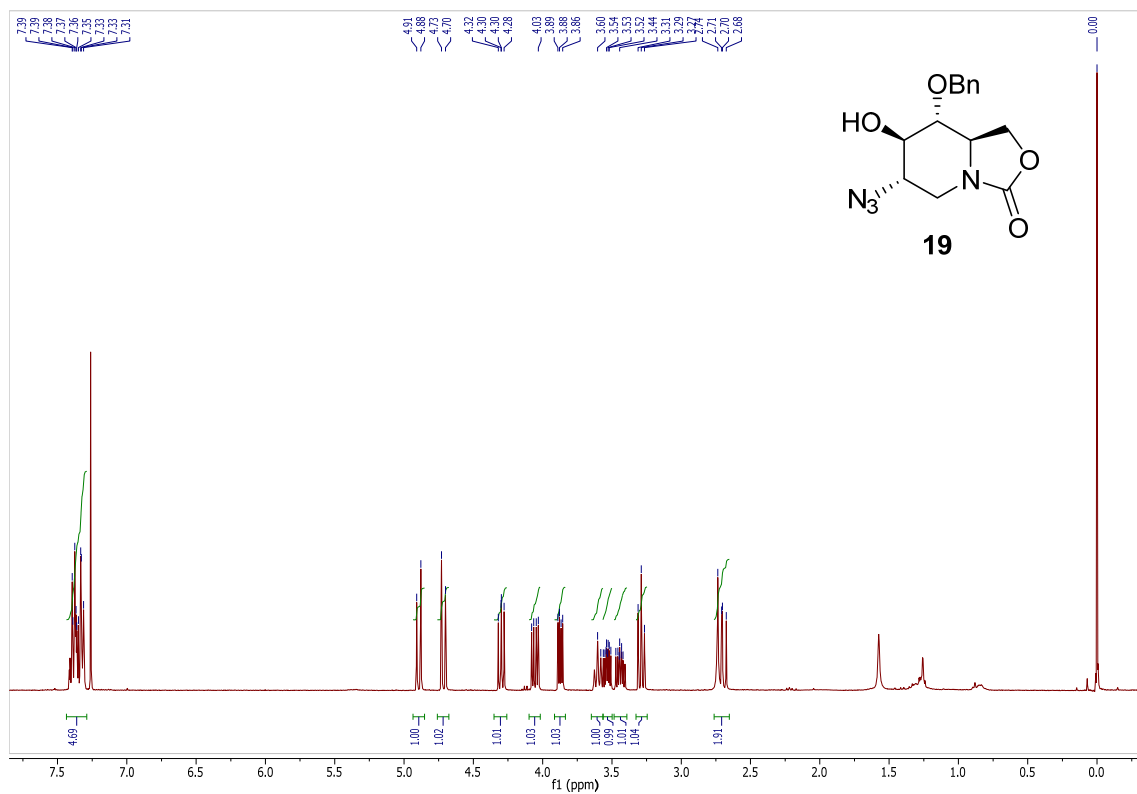
4.- NMR spectra

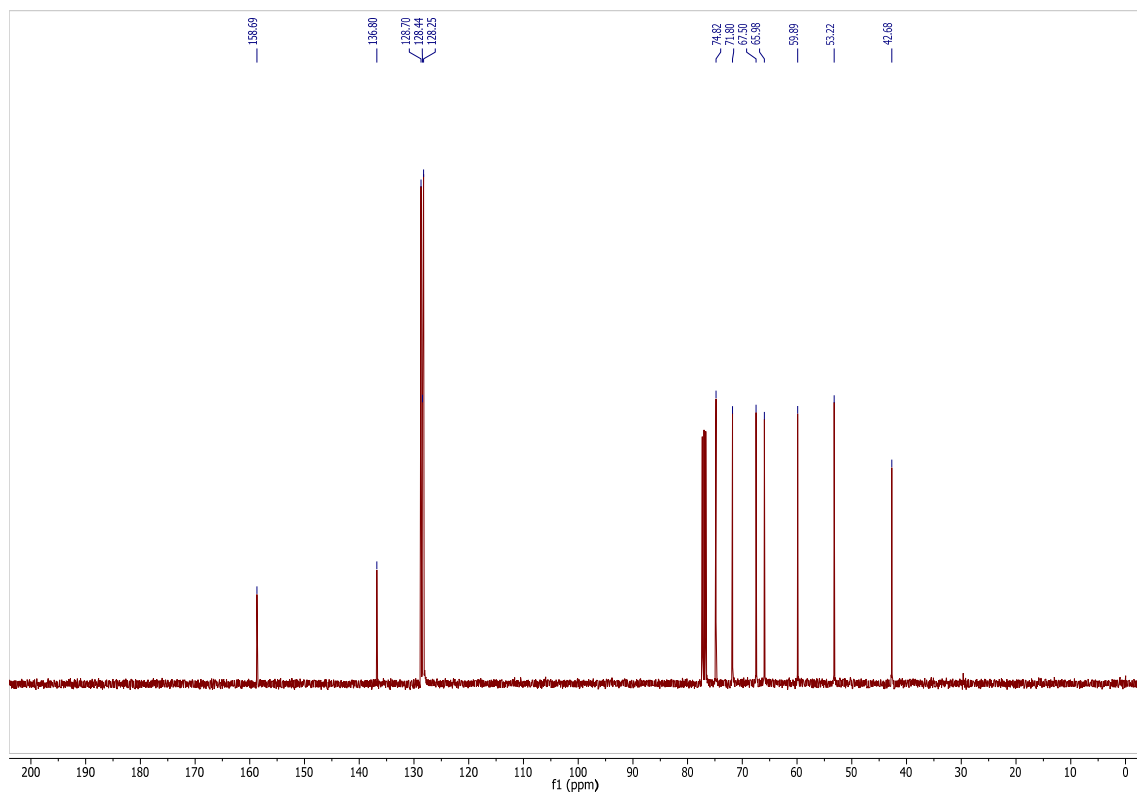
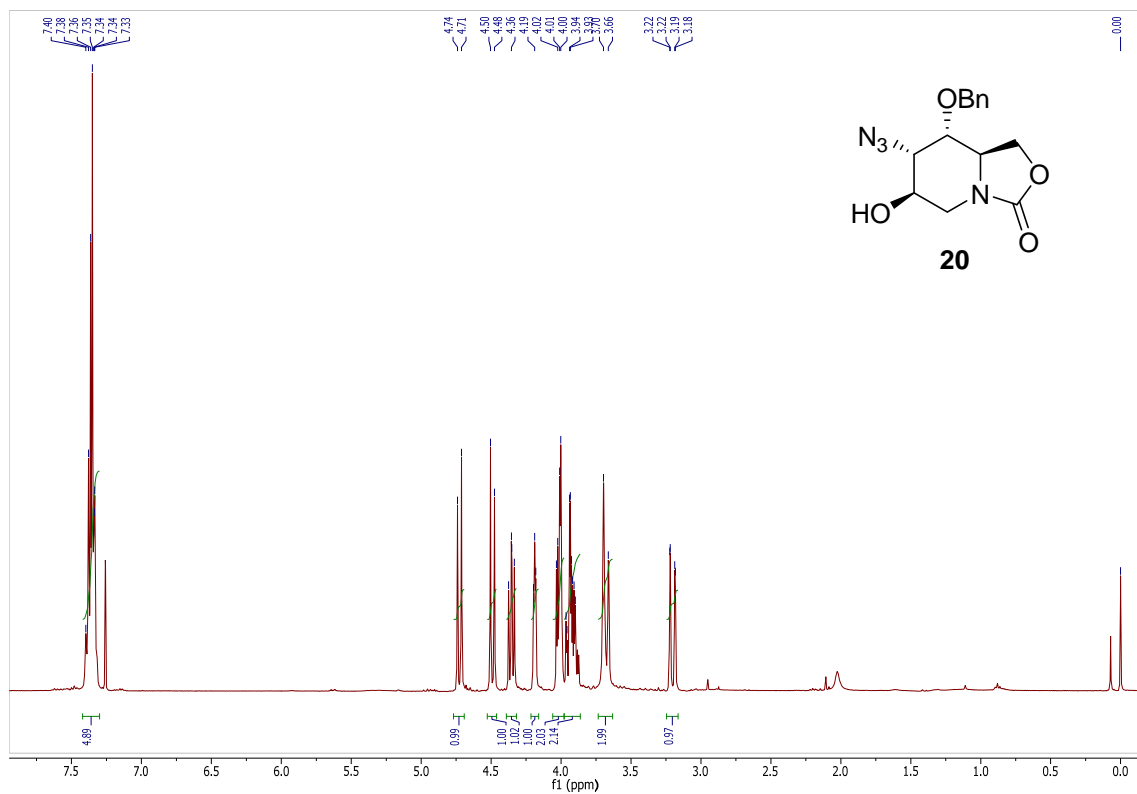


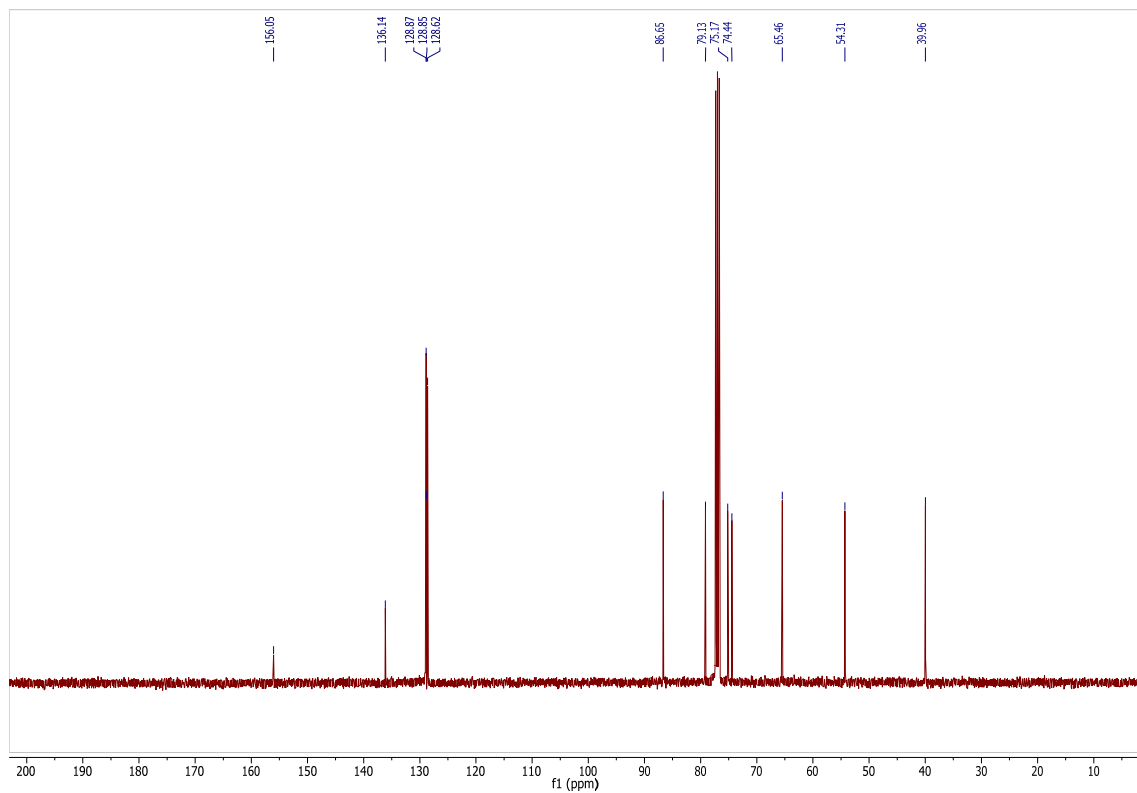
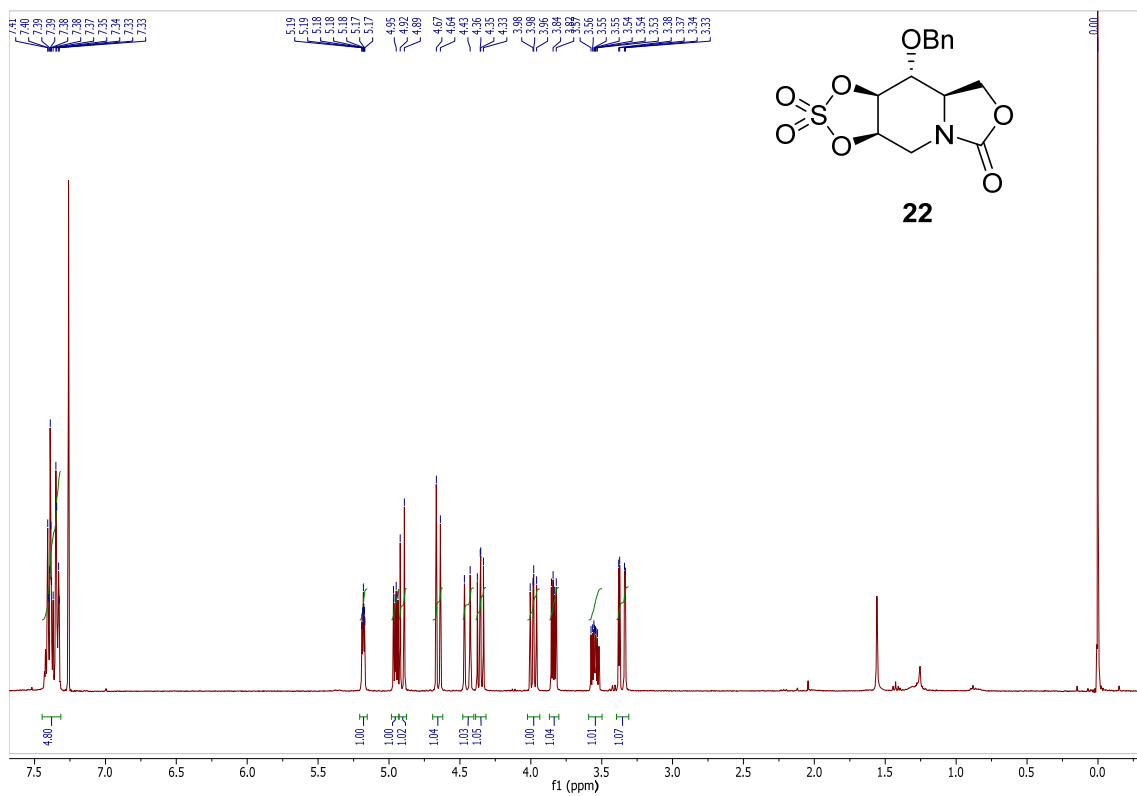


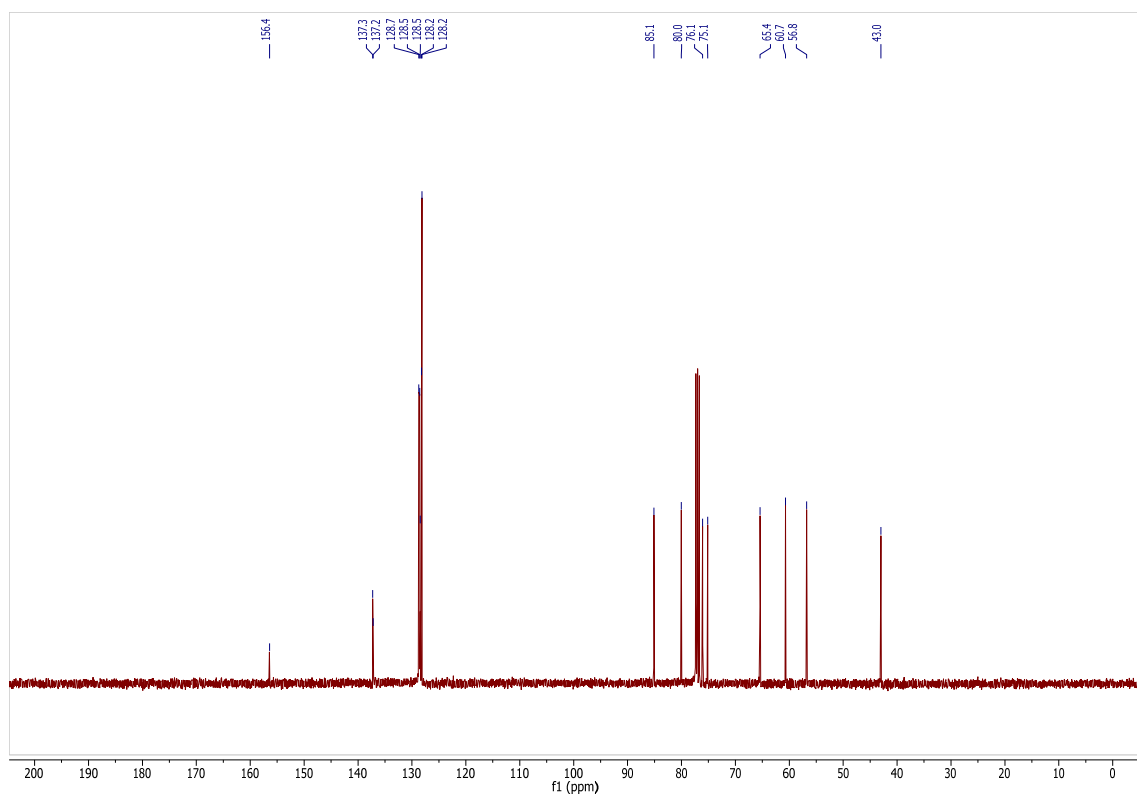
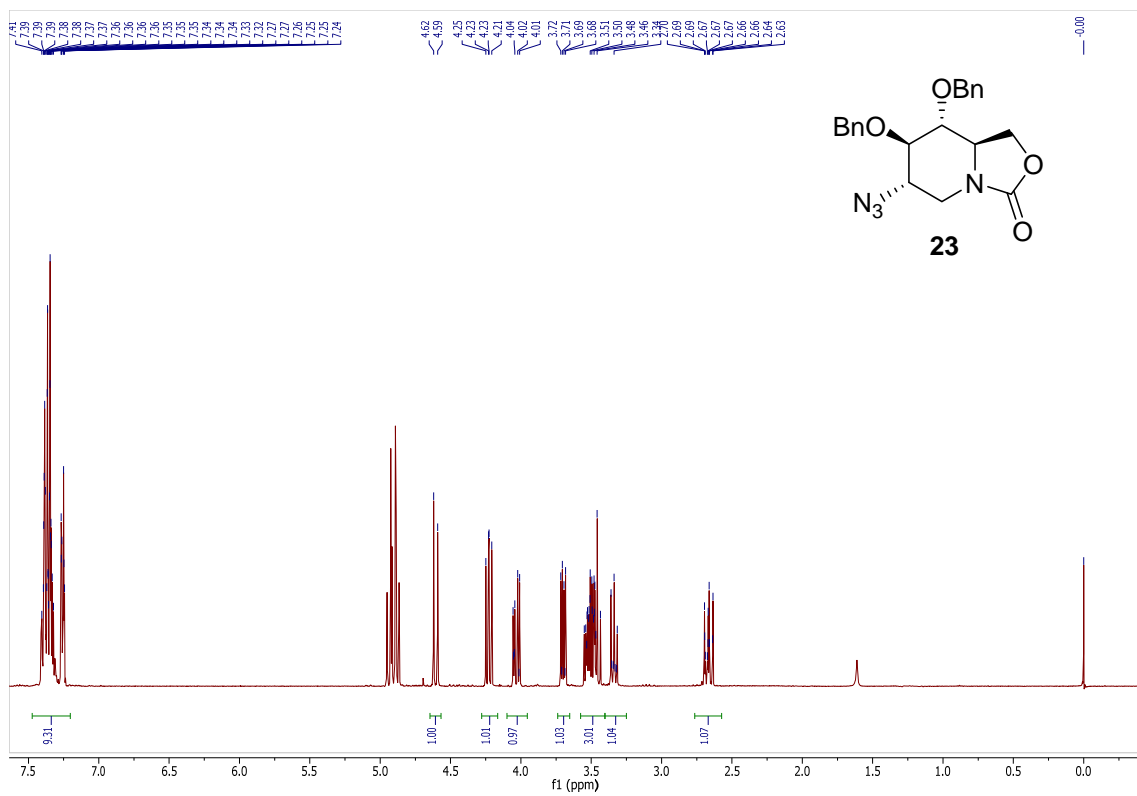


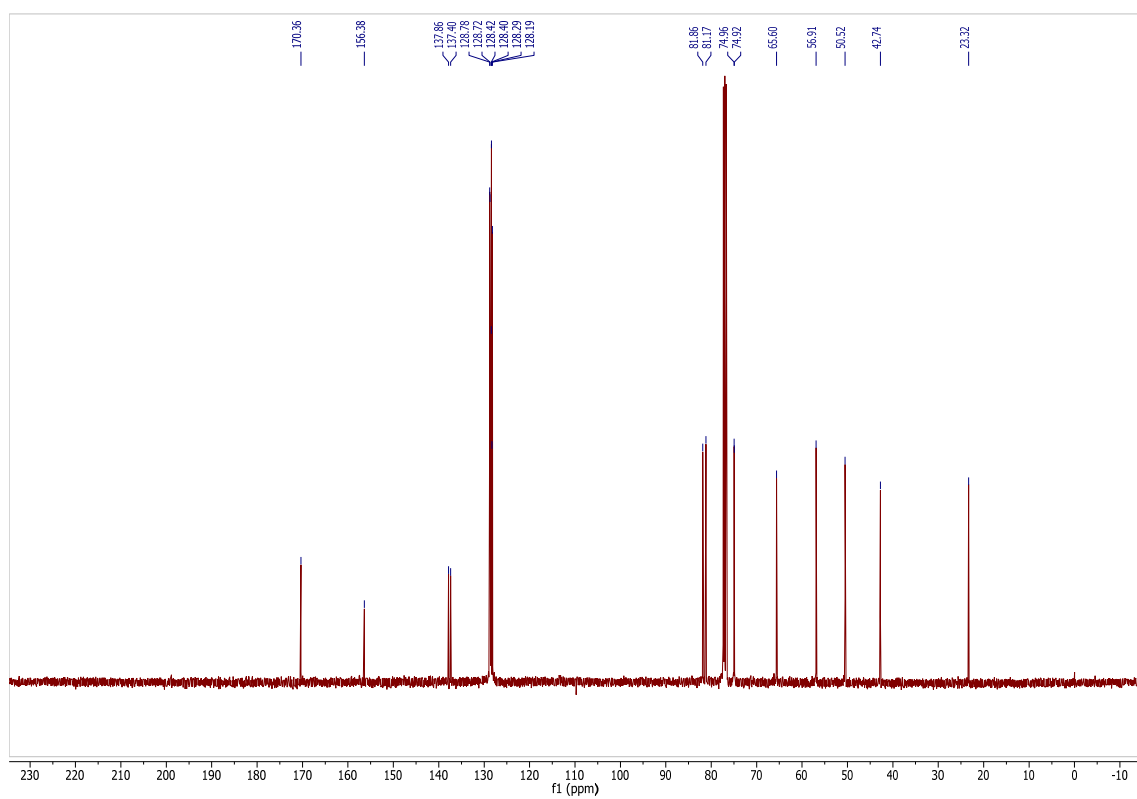
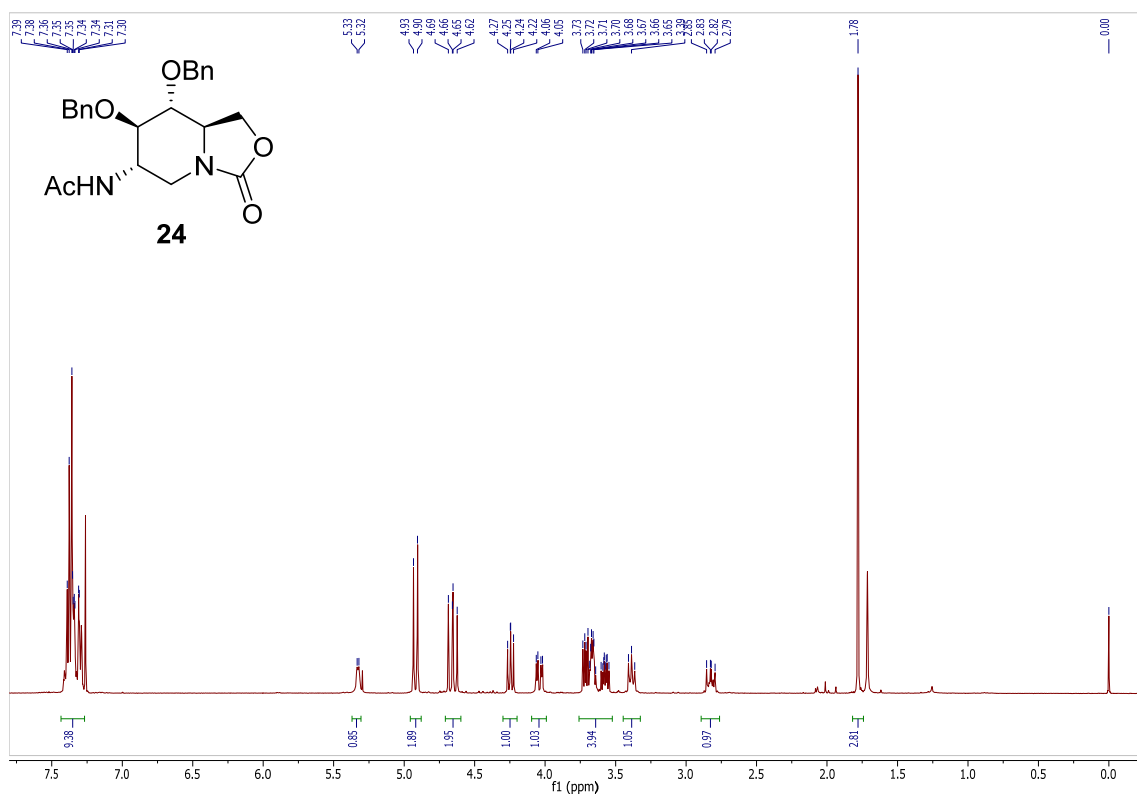


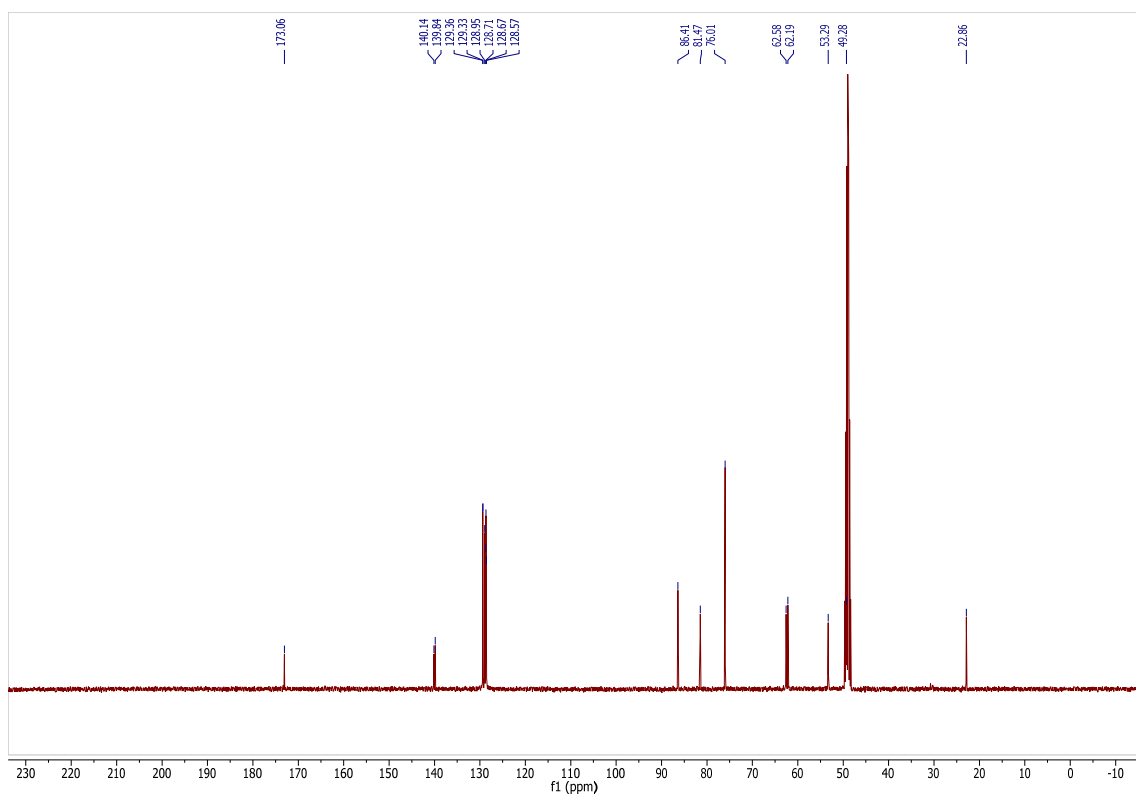
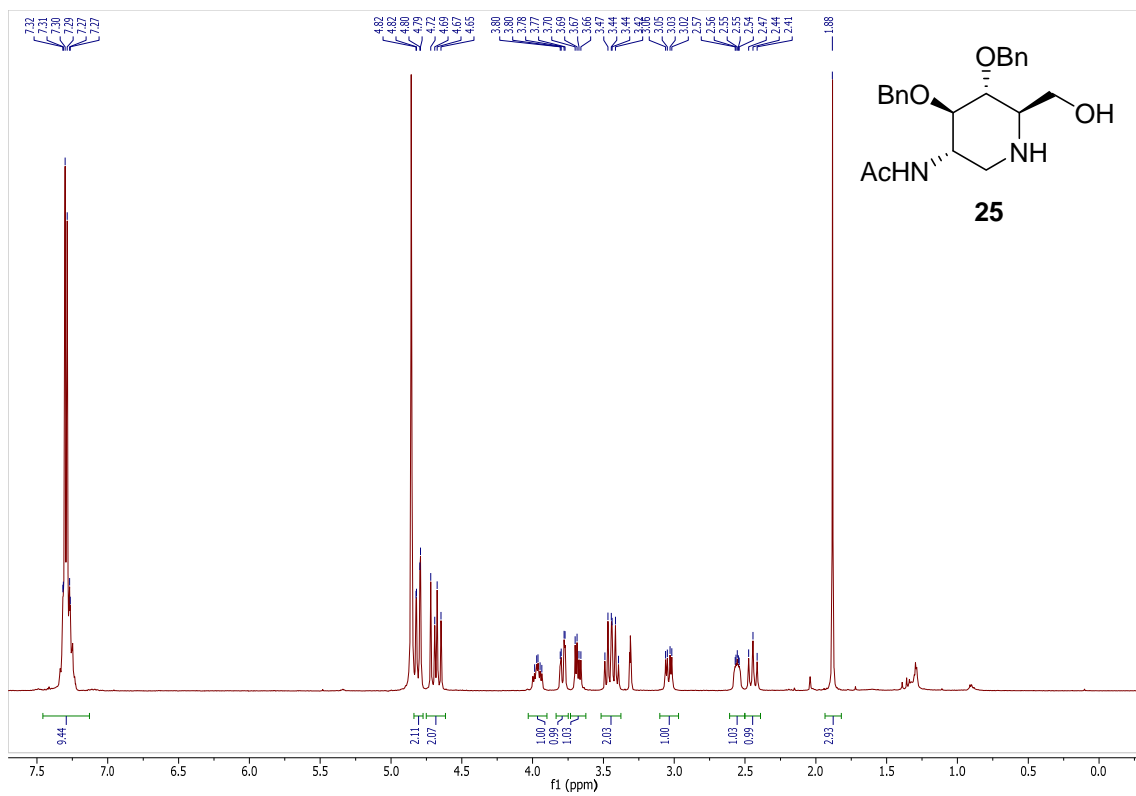


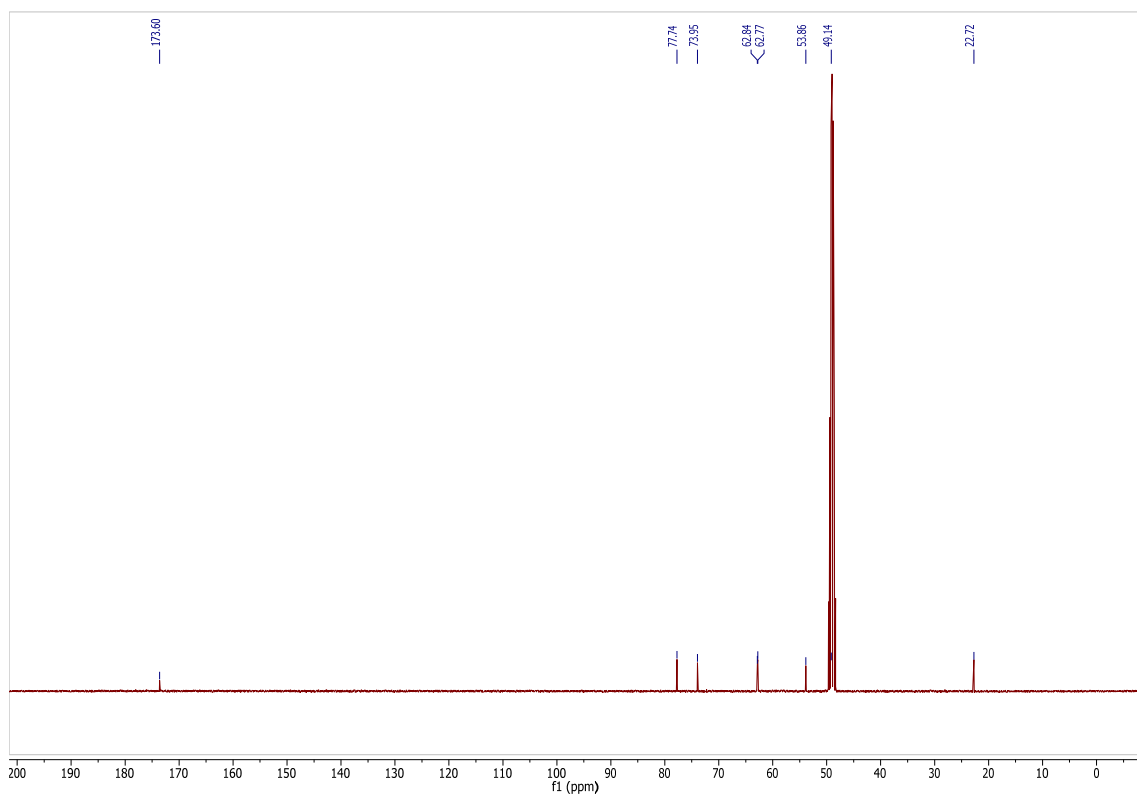
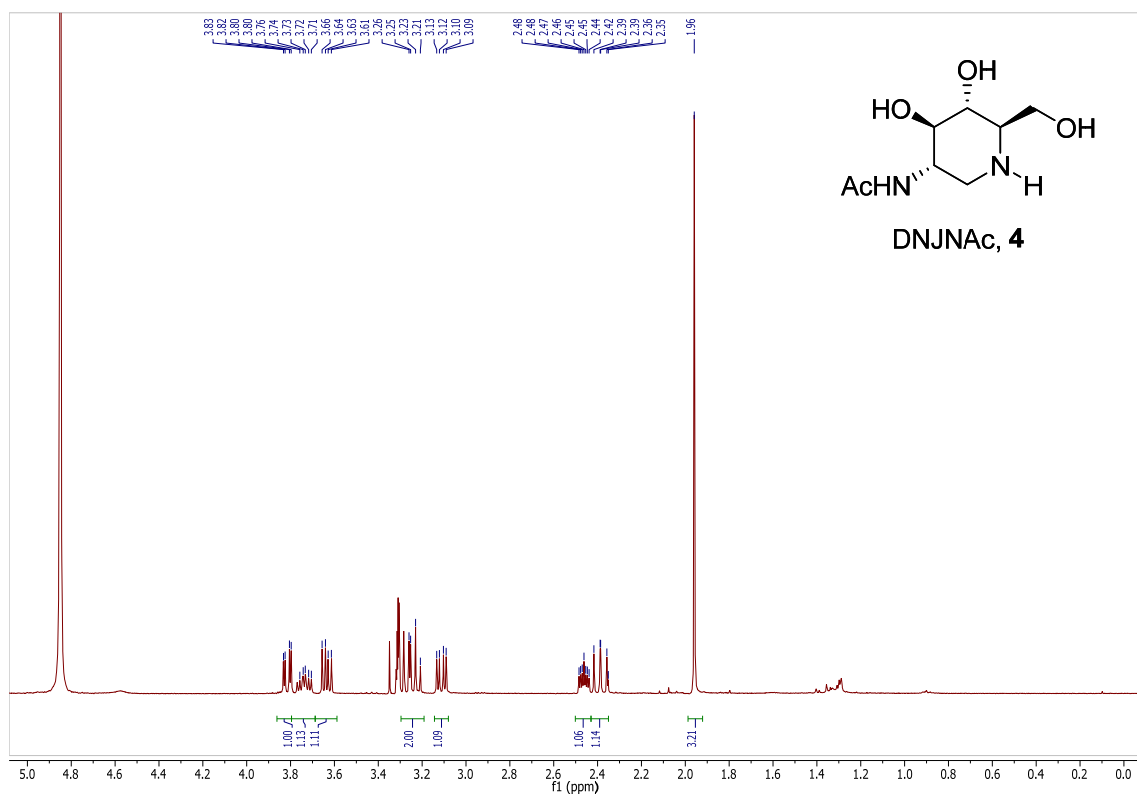


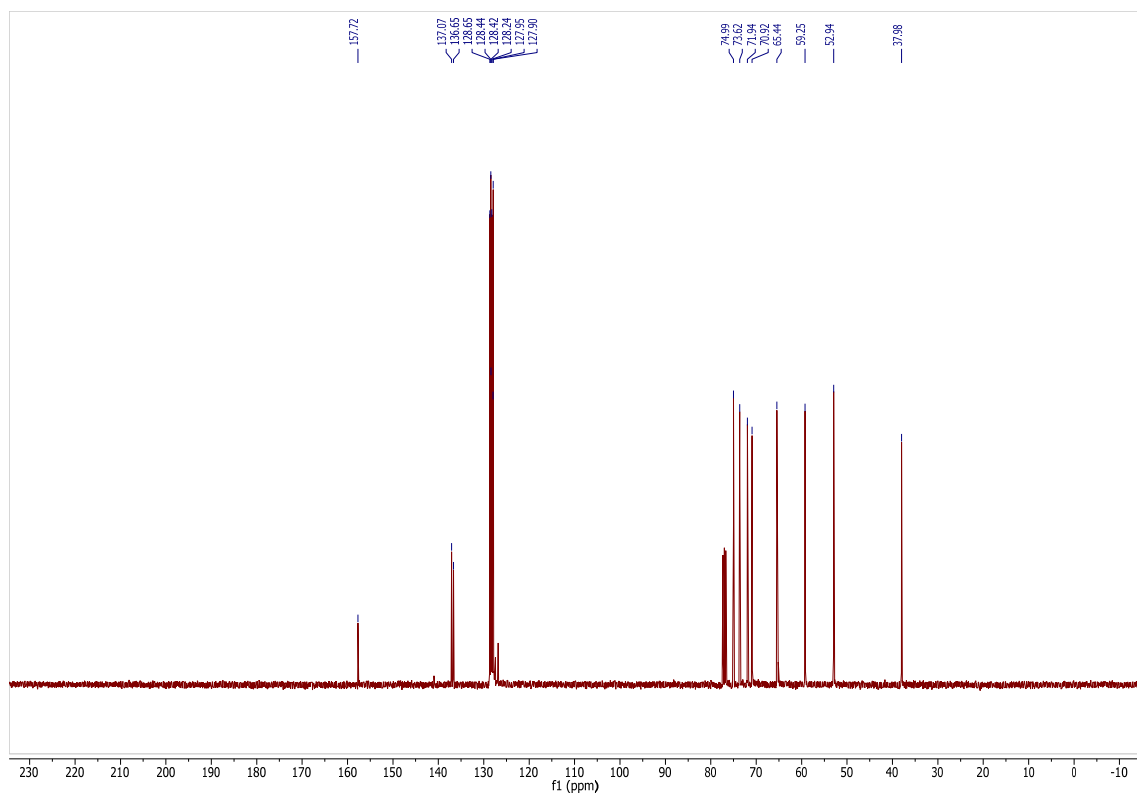
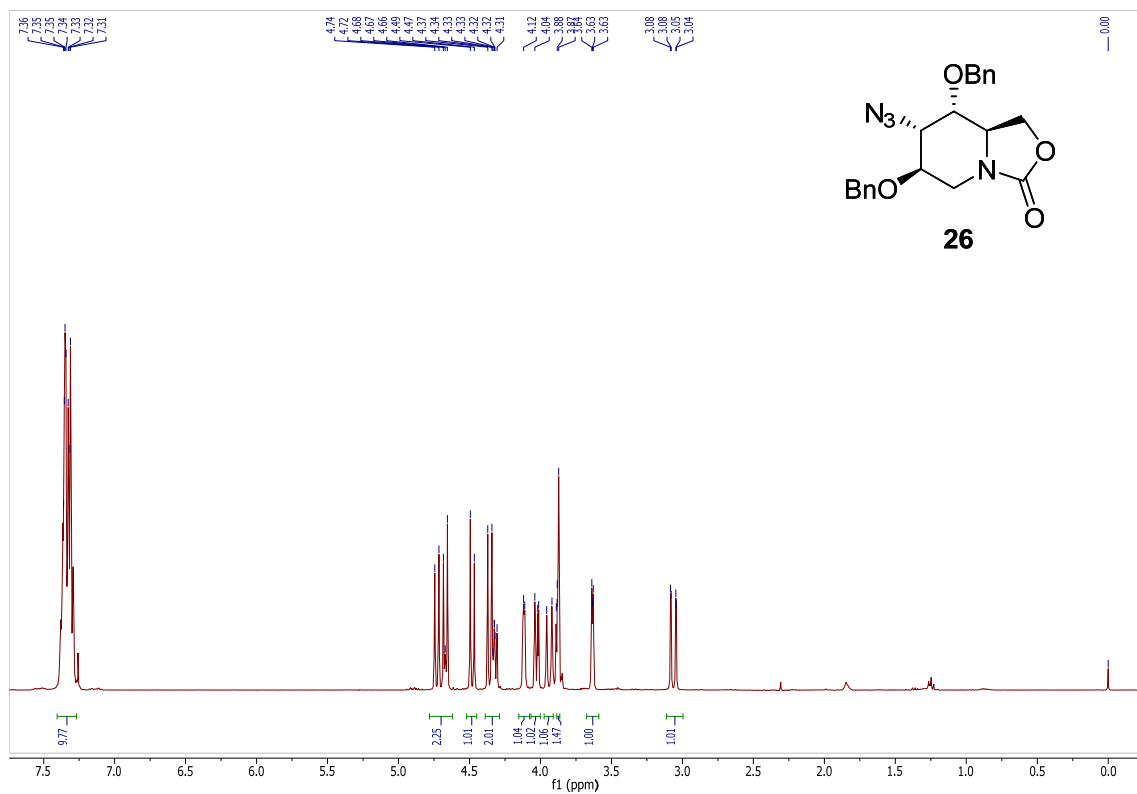


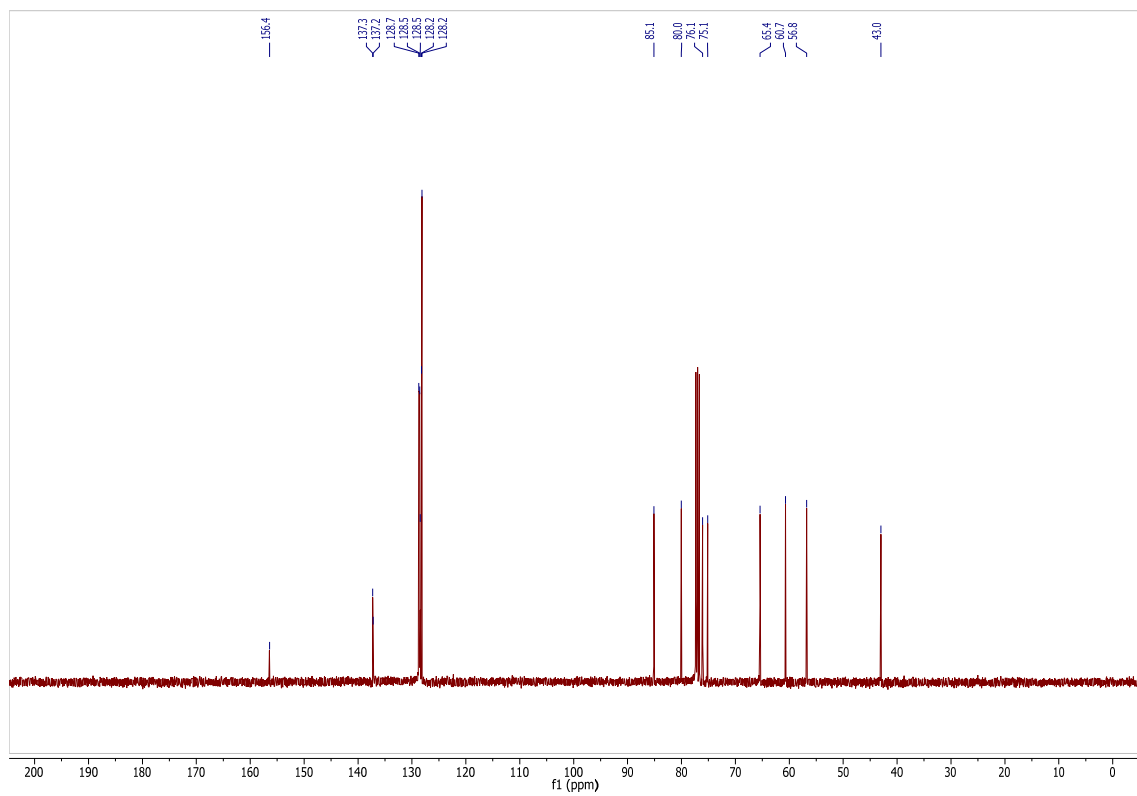
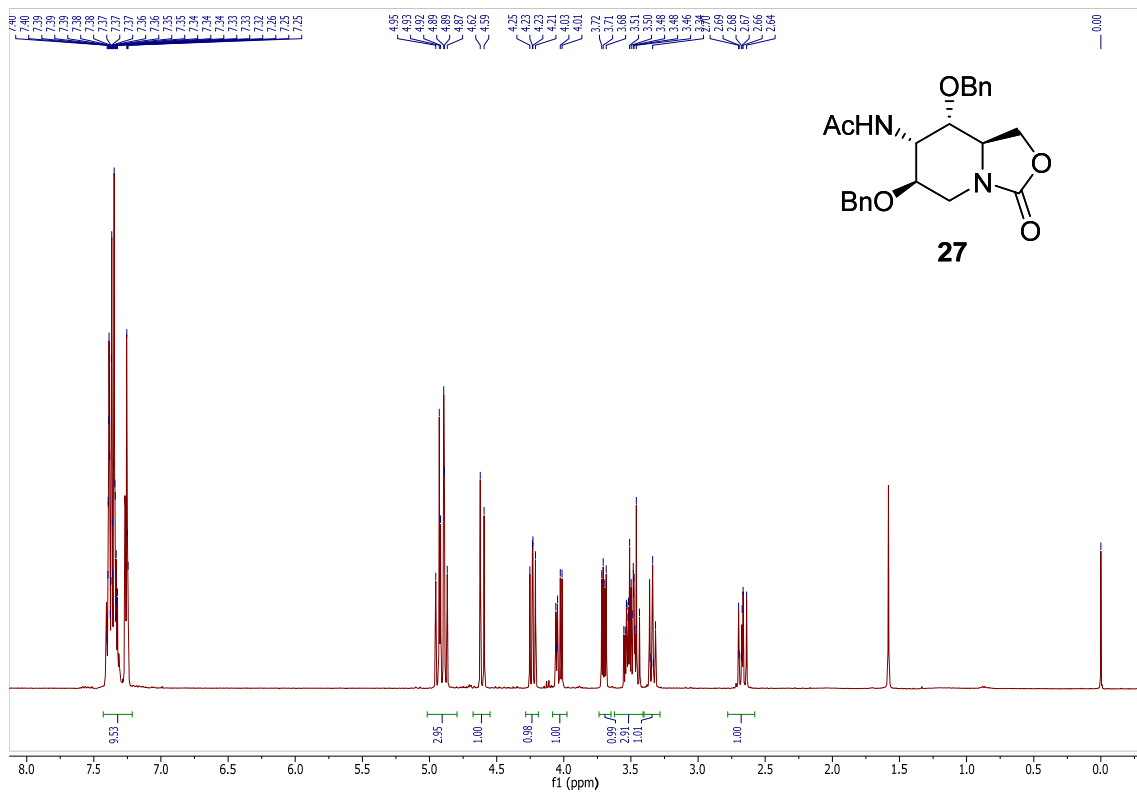


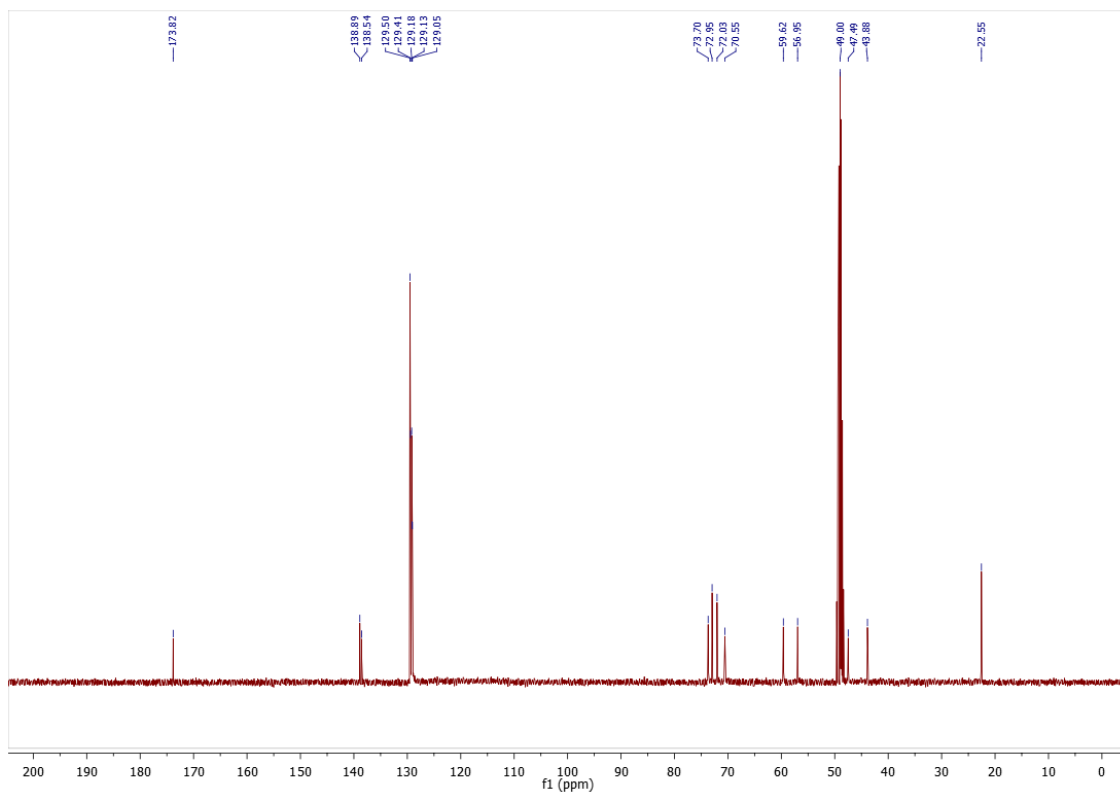
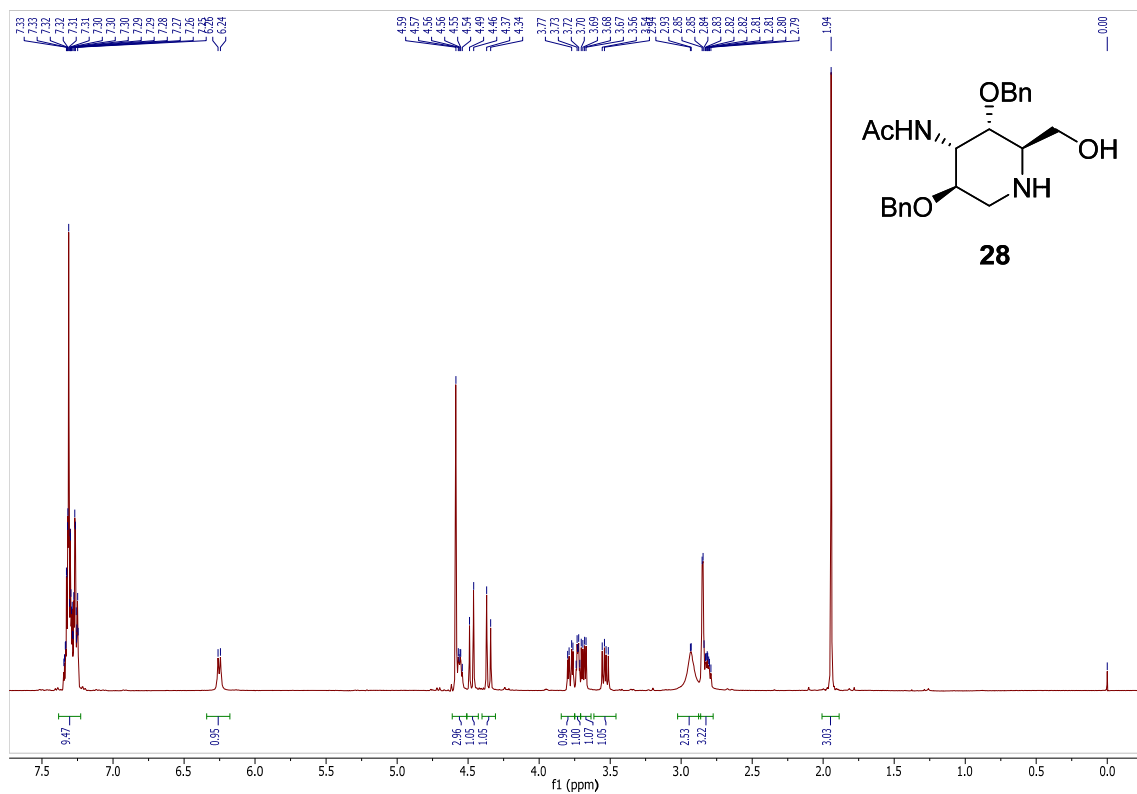


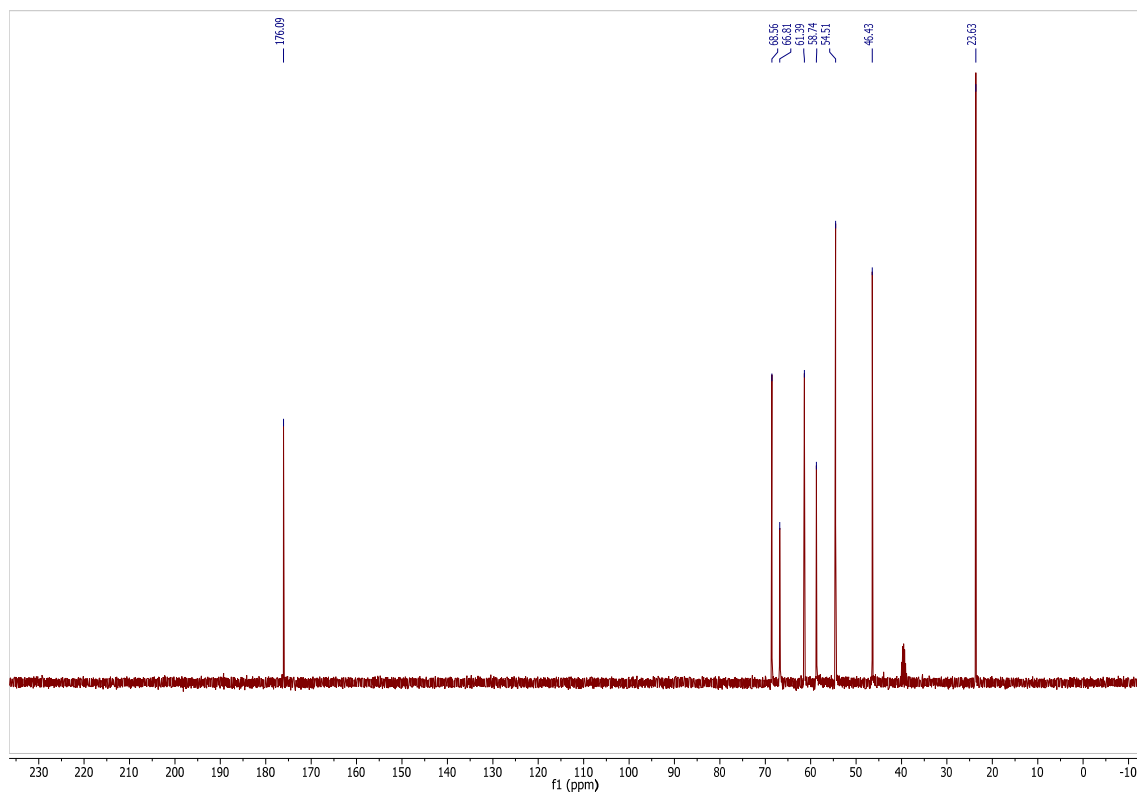
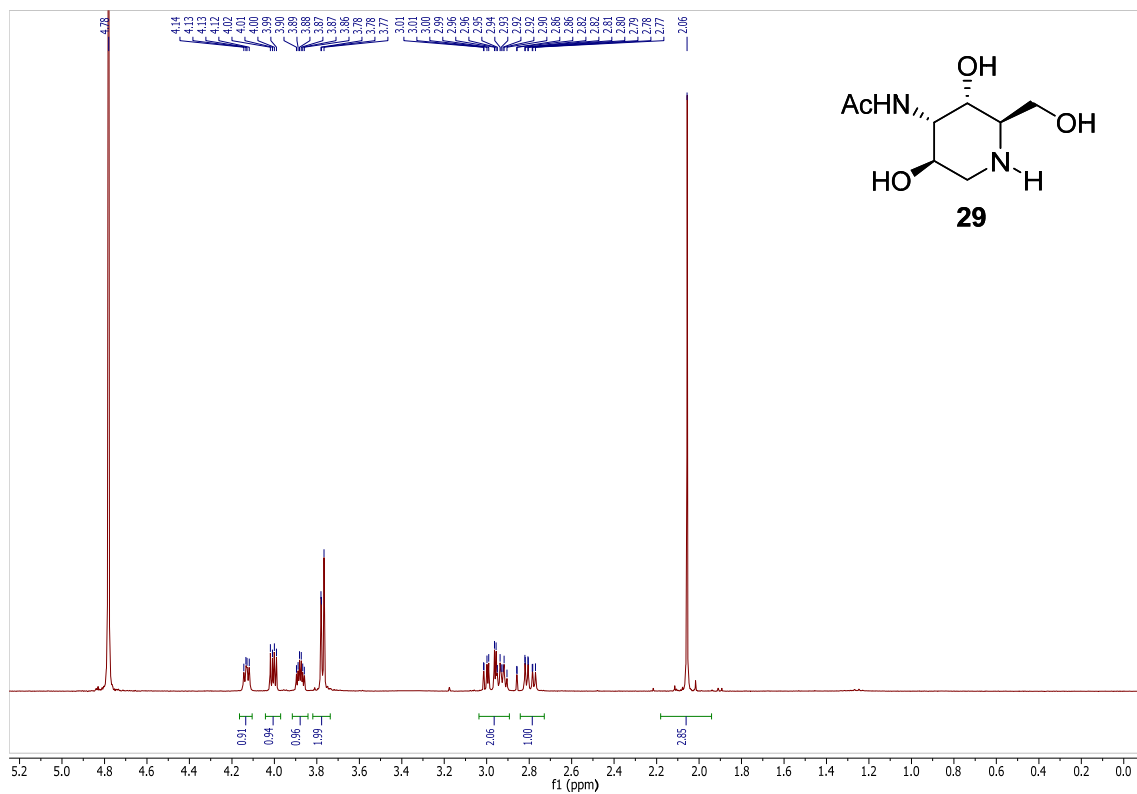


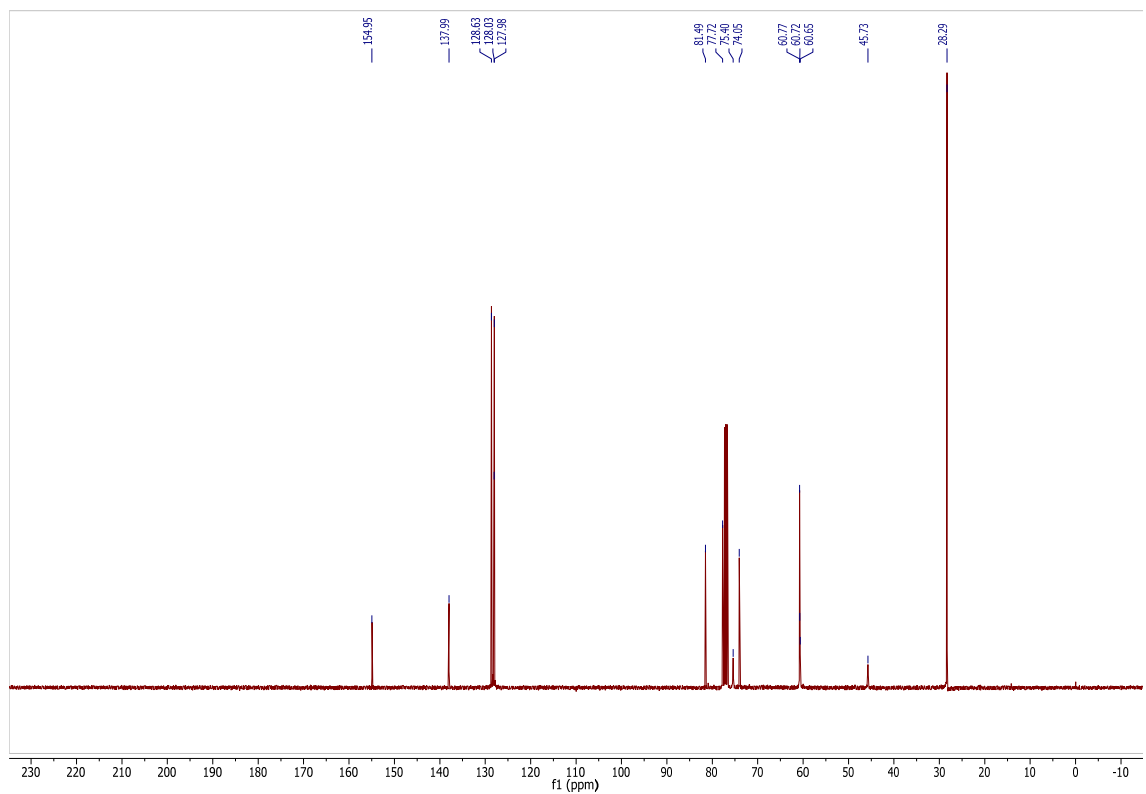
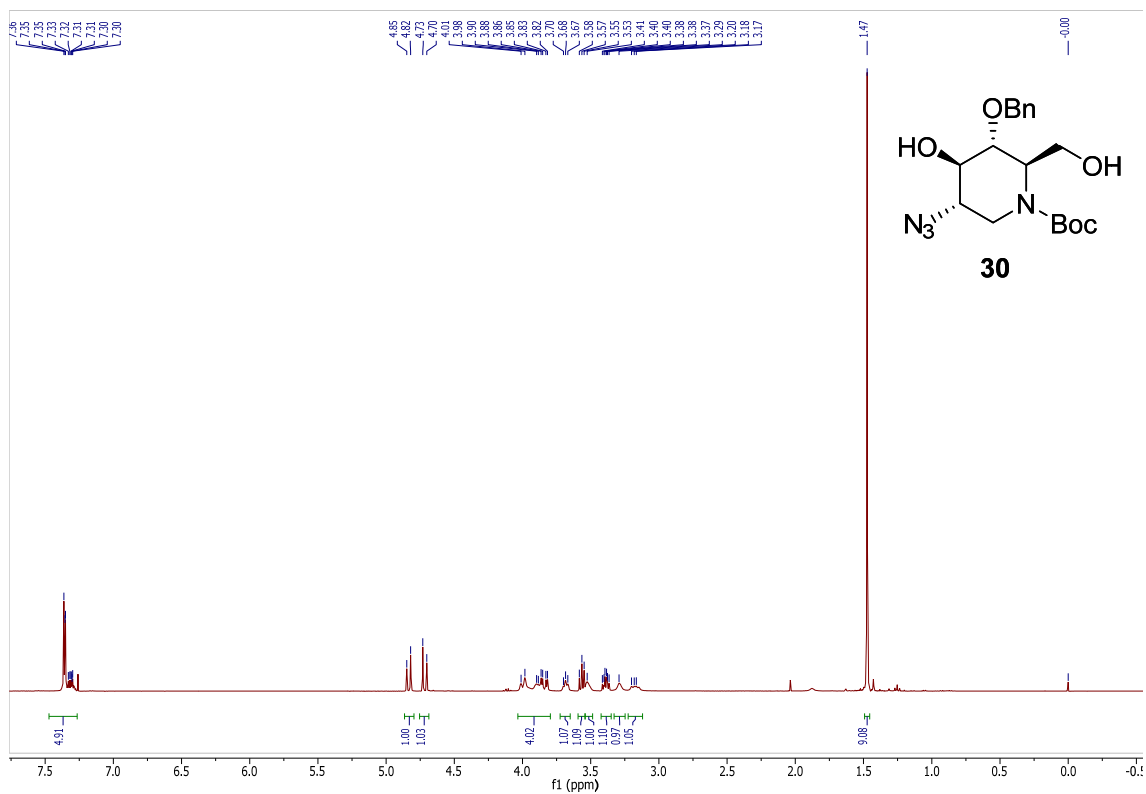


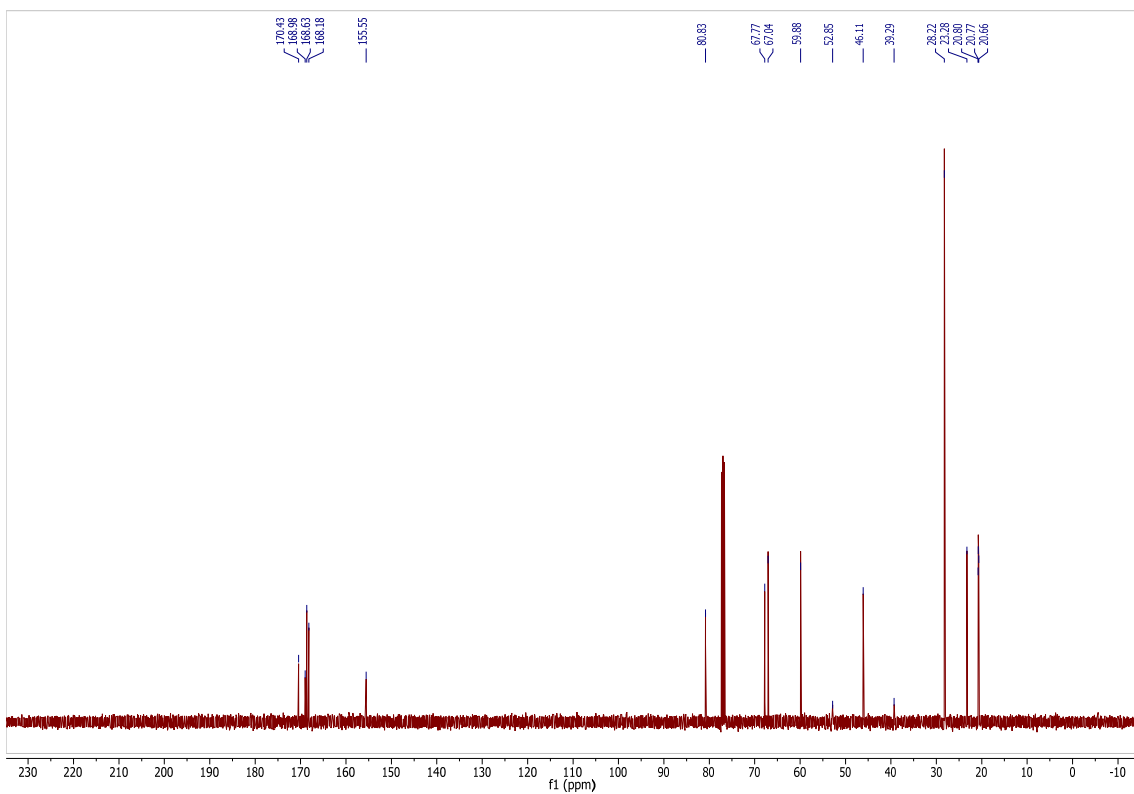
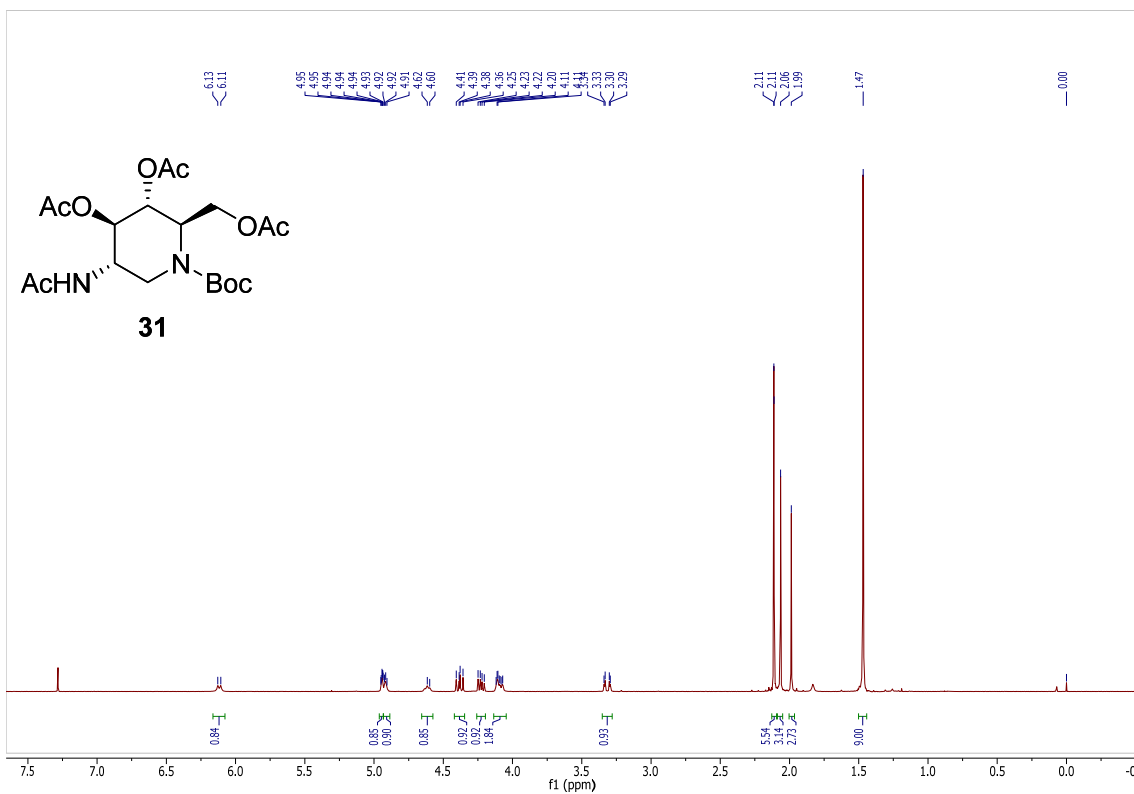


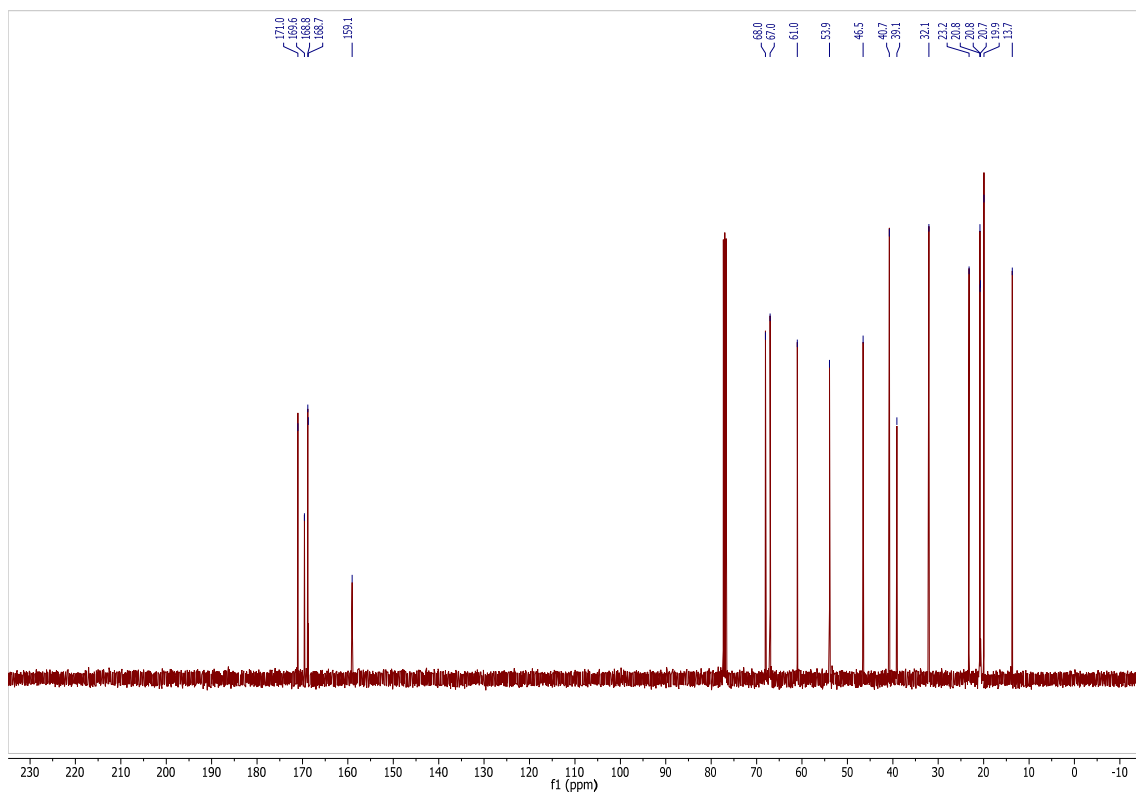
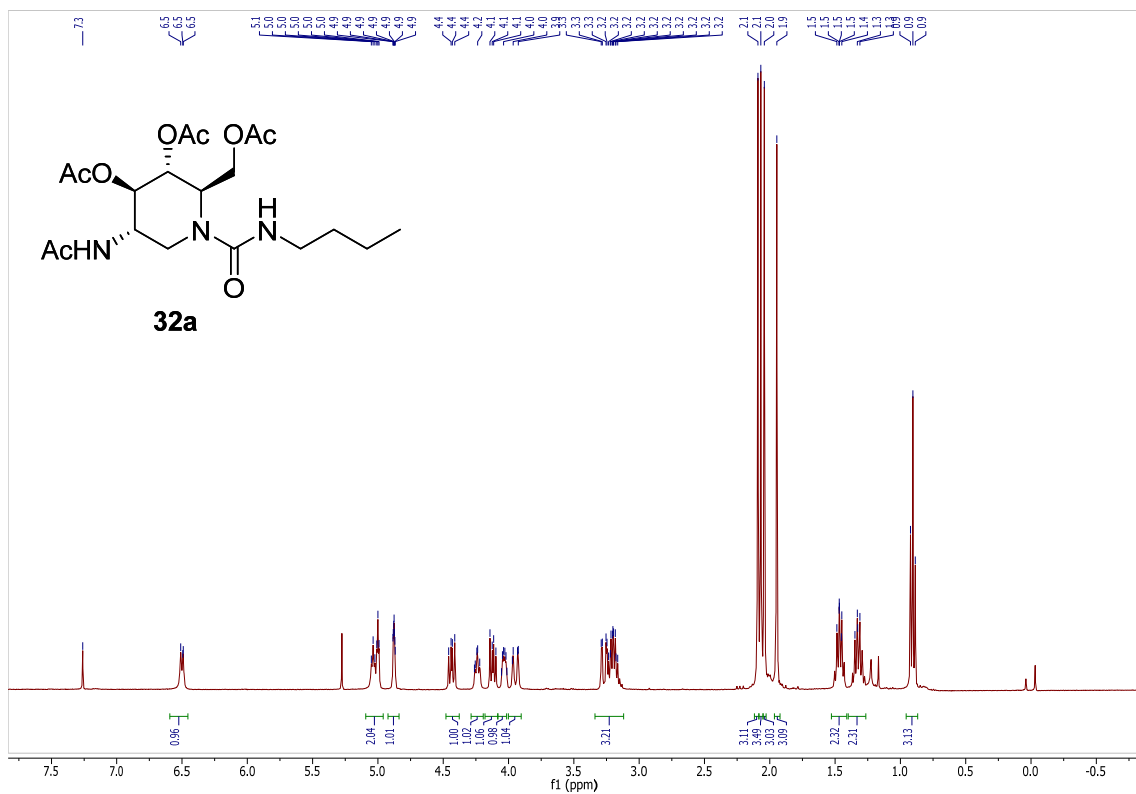


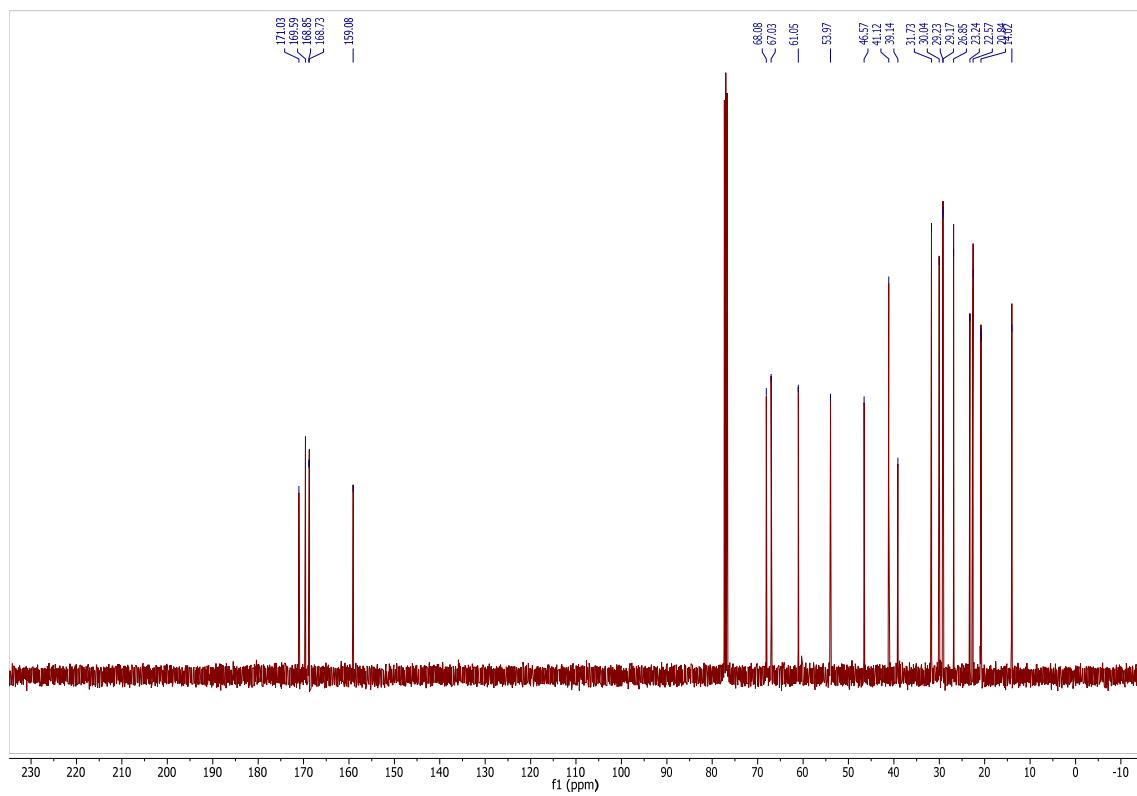
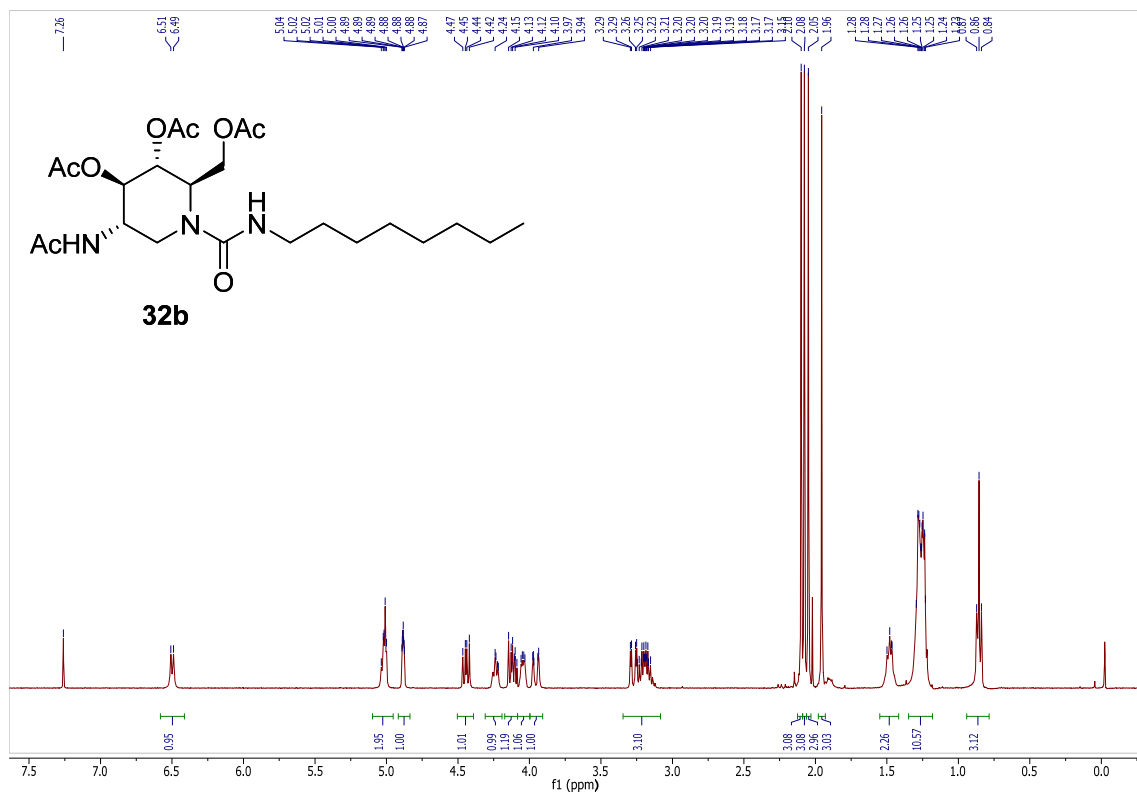


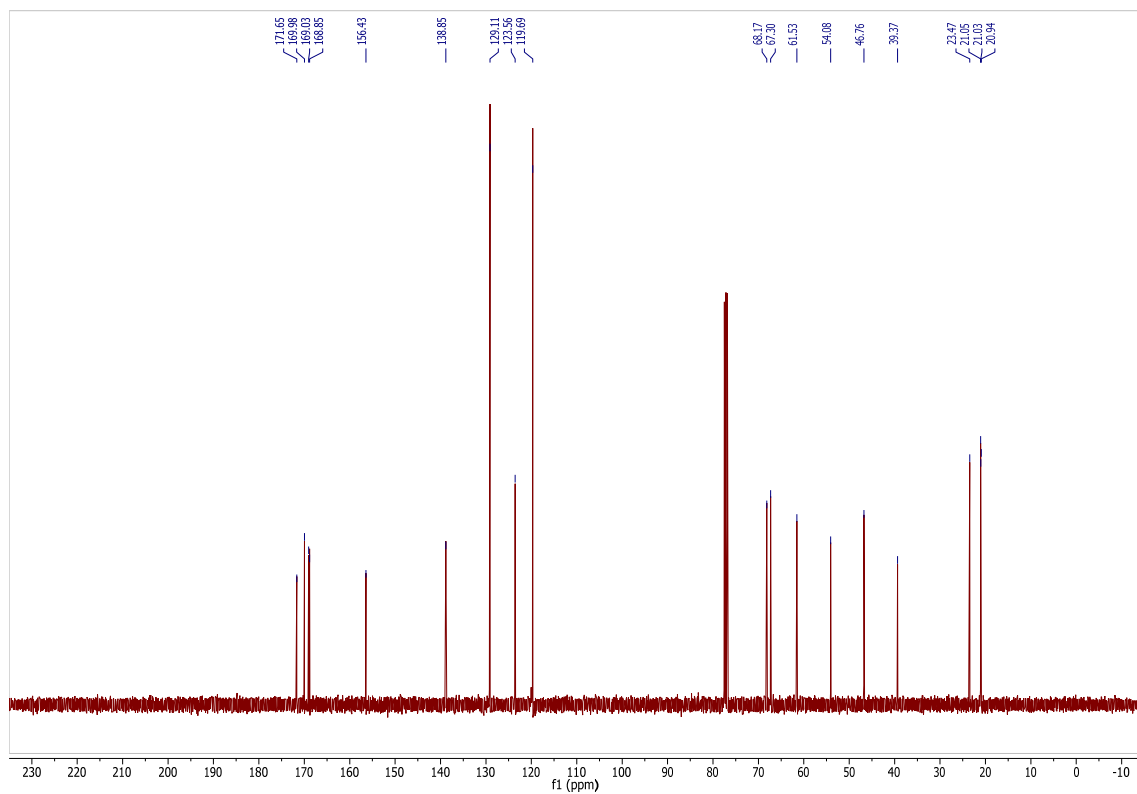
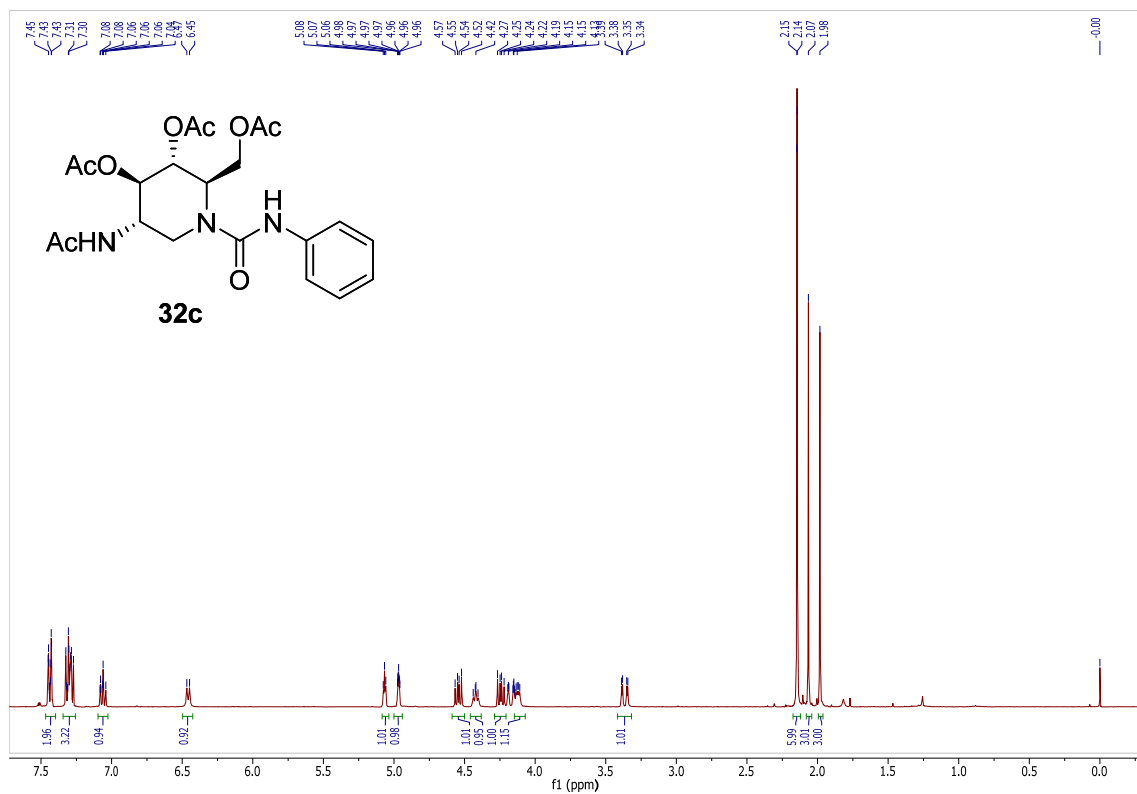


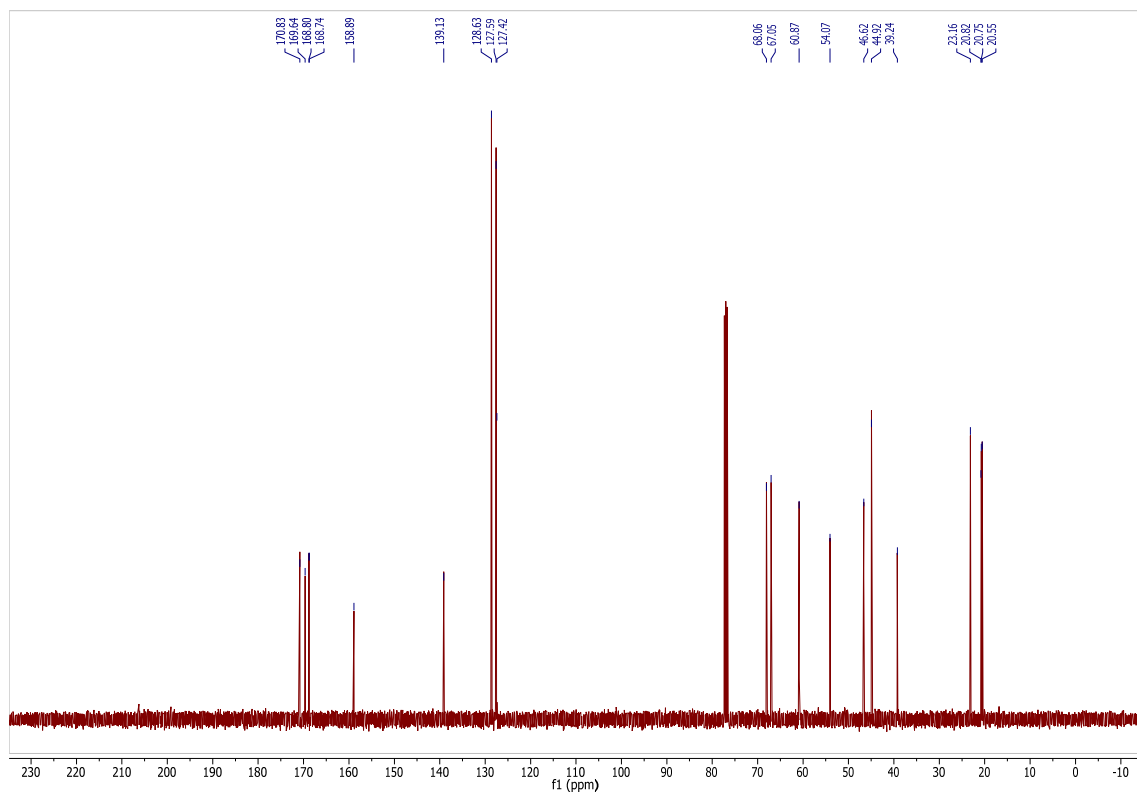
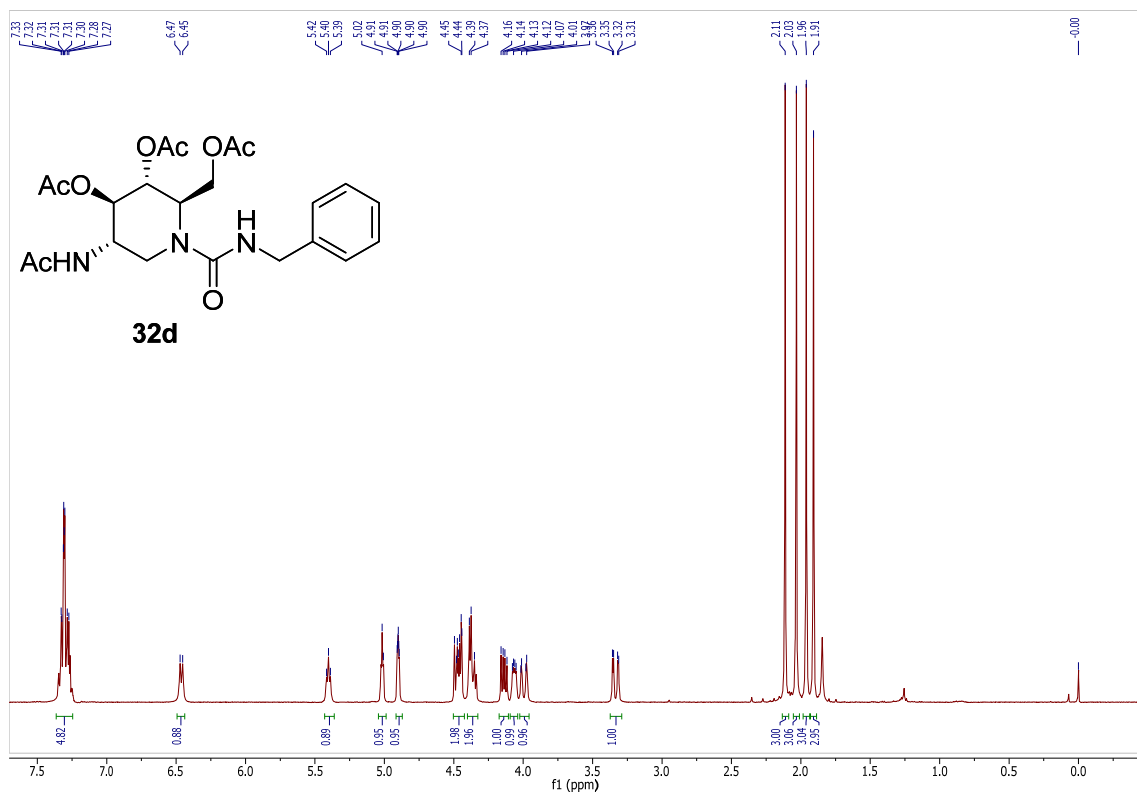


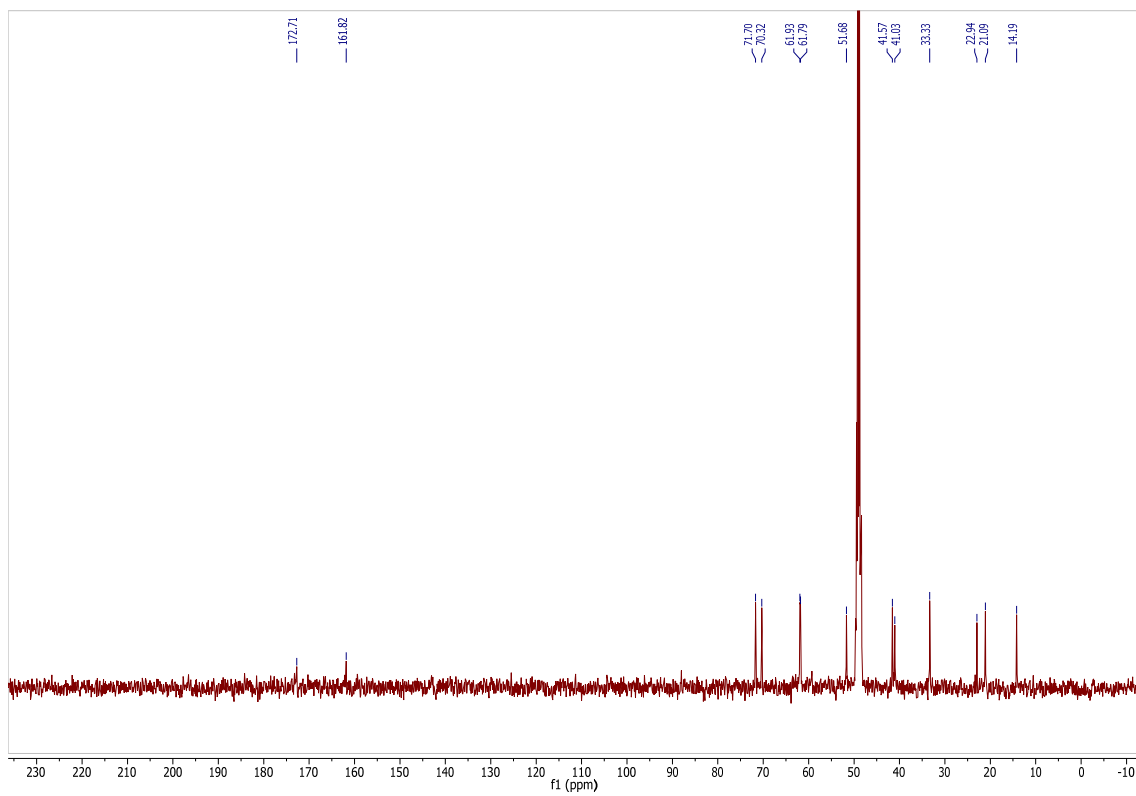
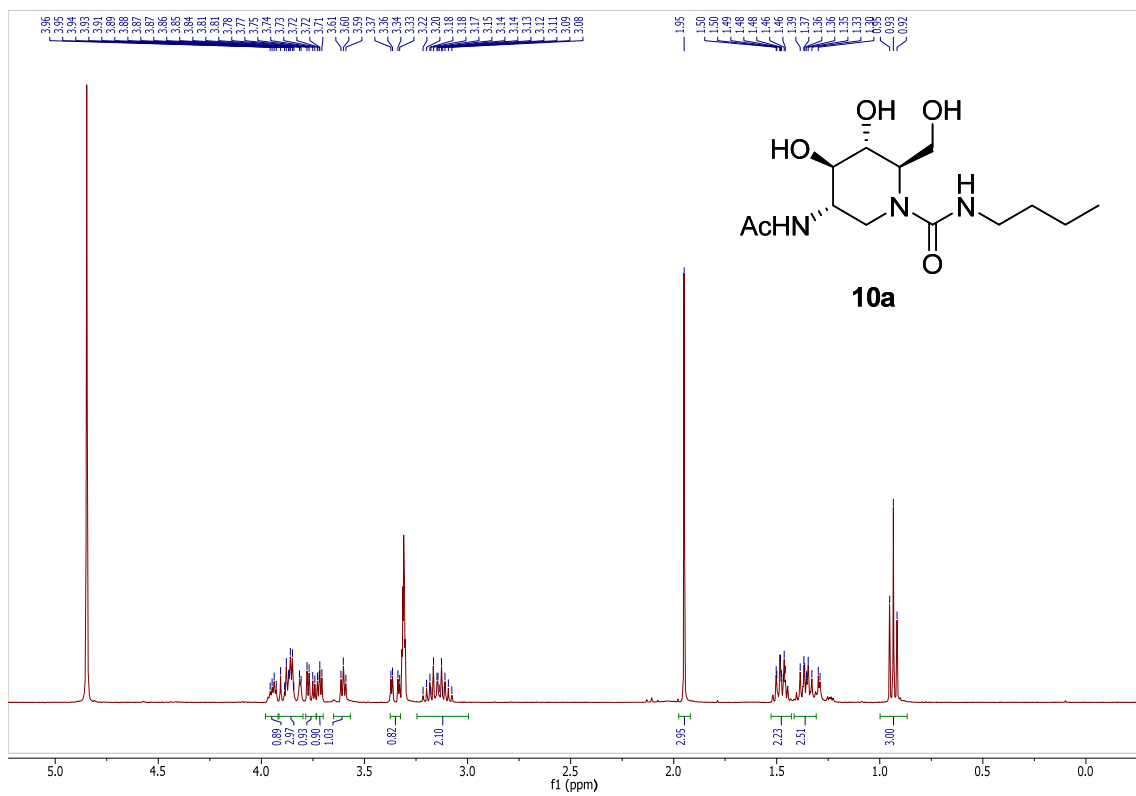


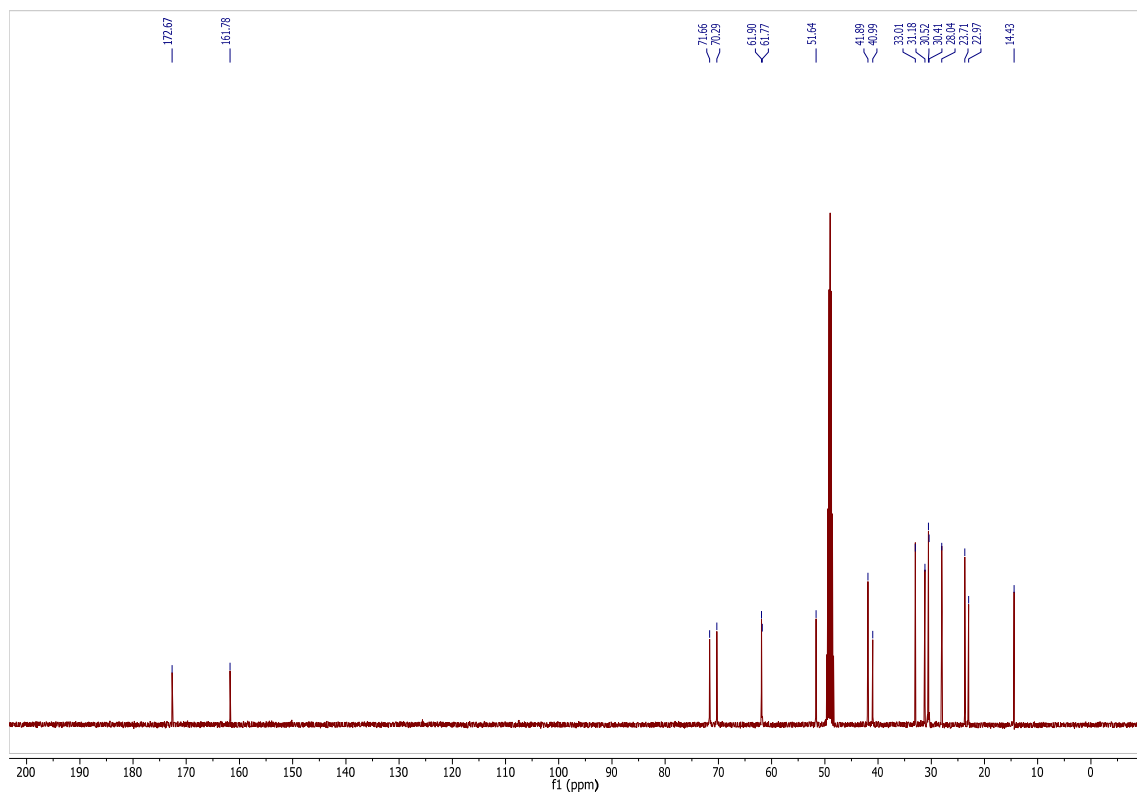
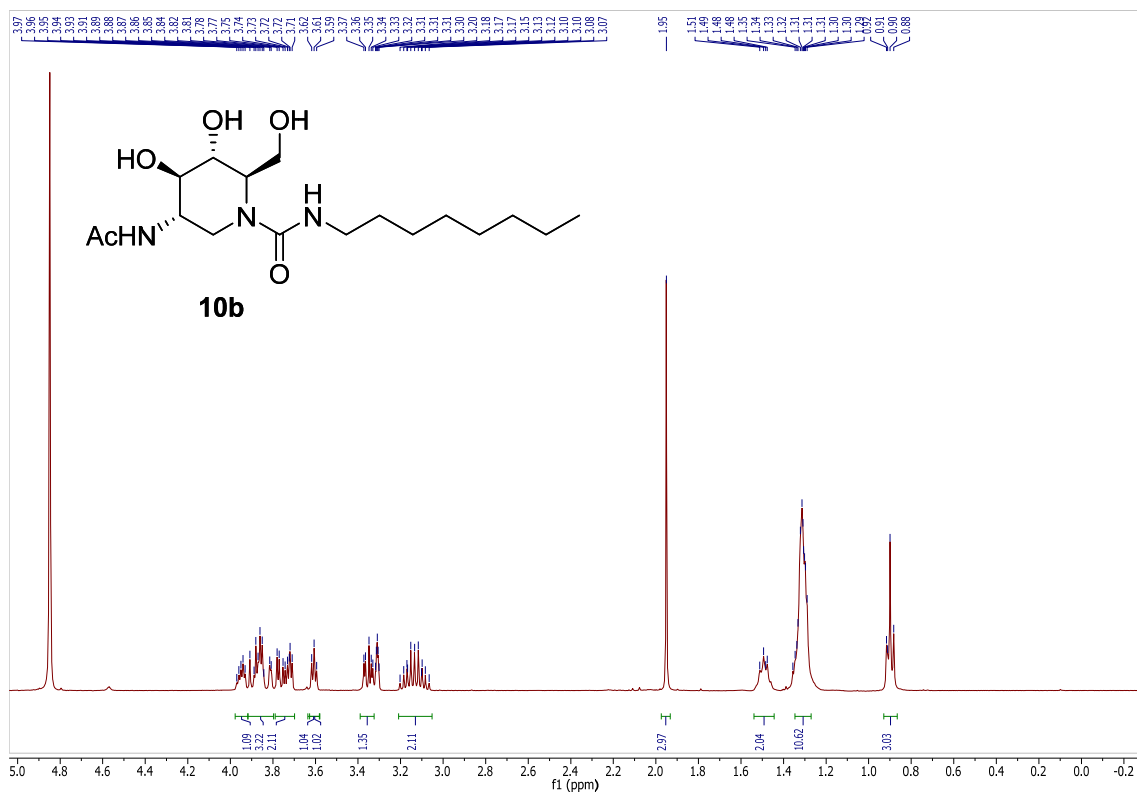


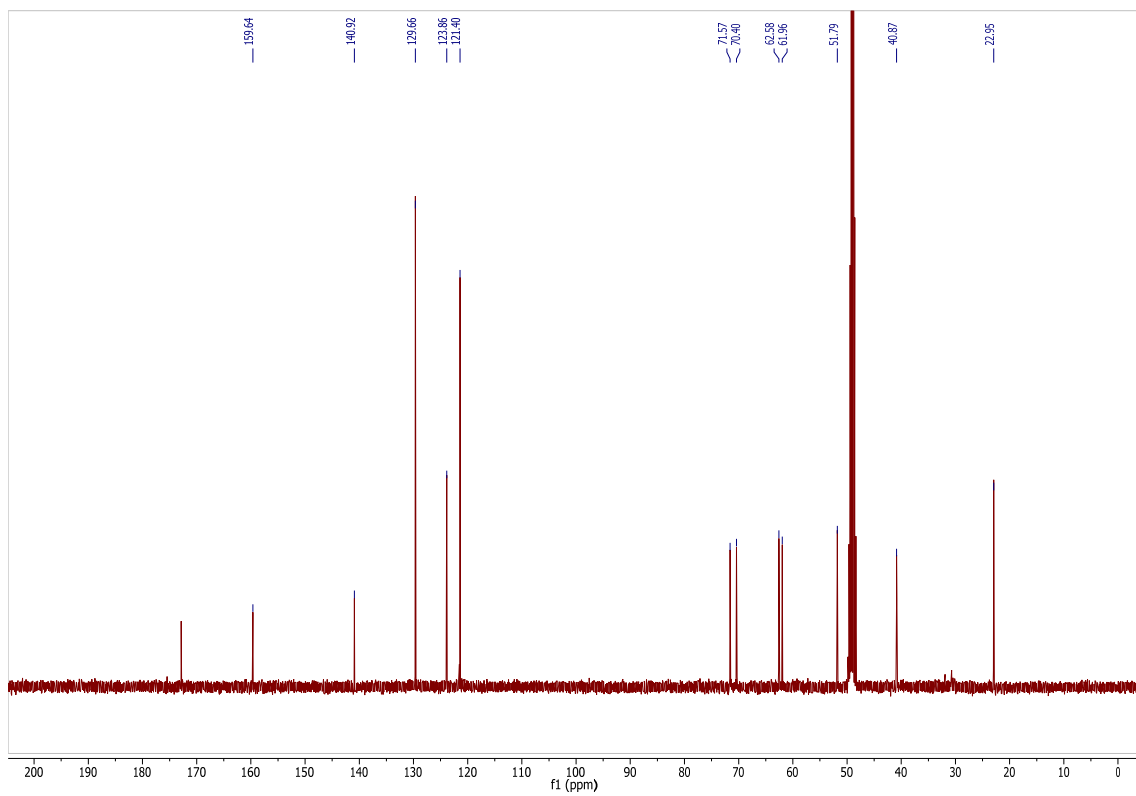
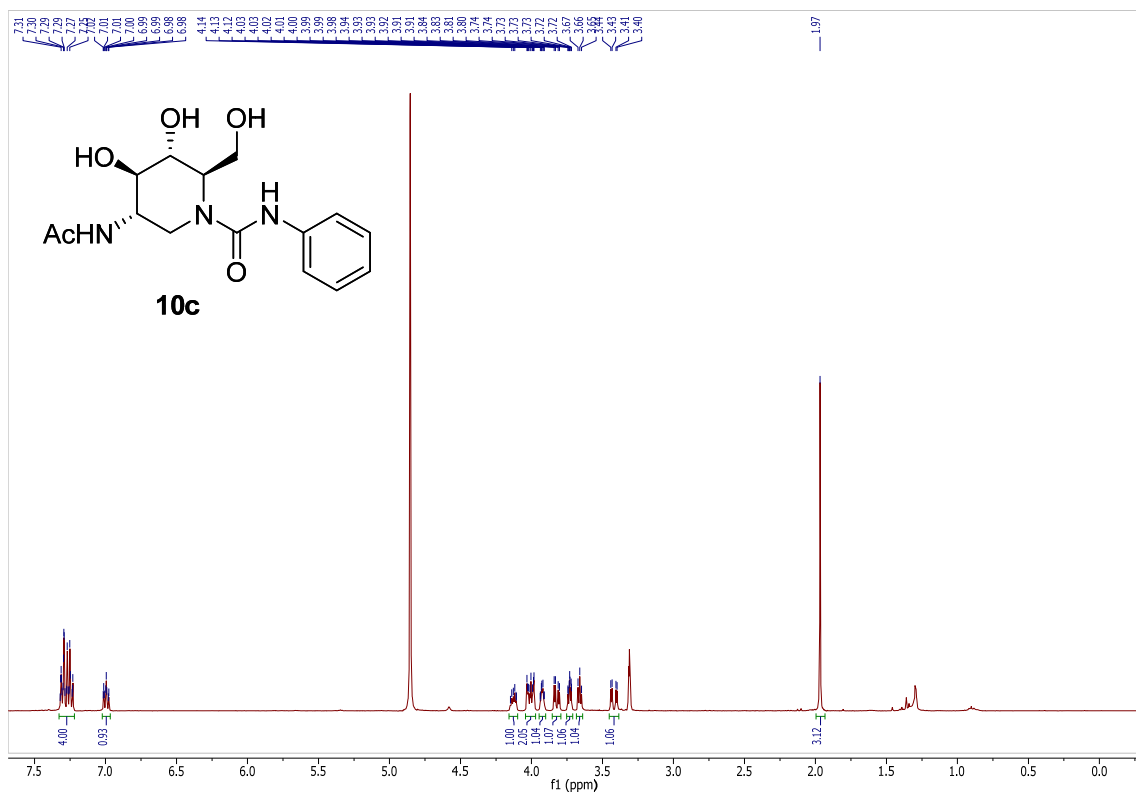


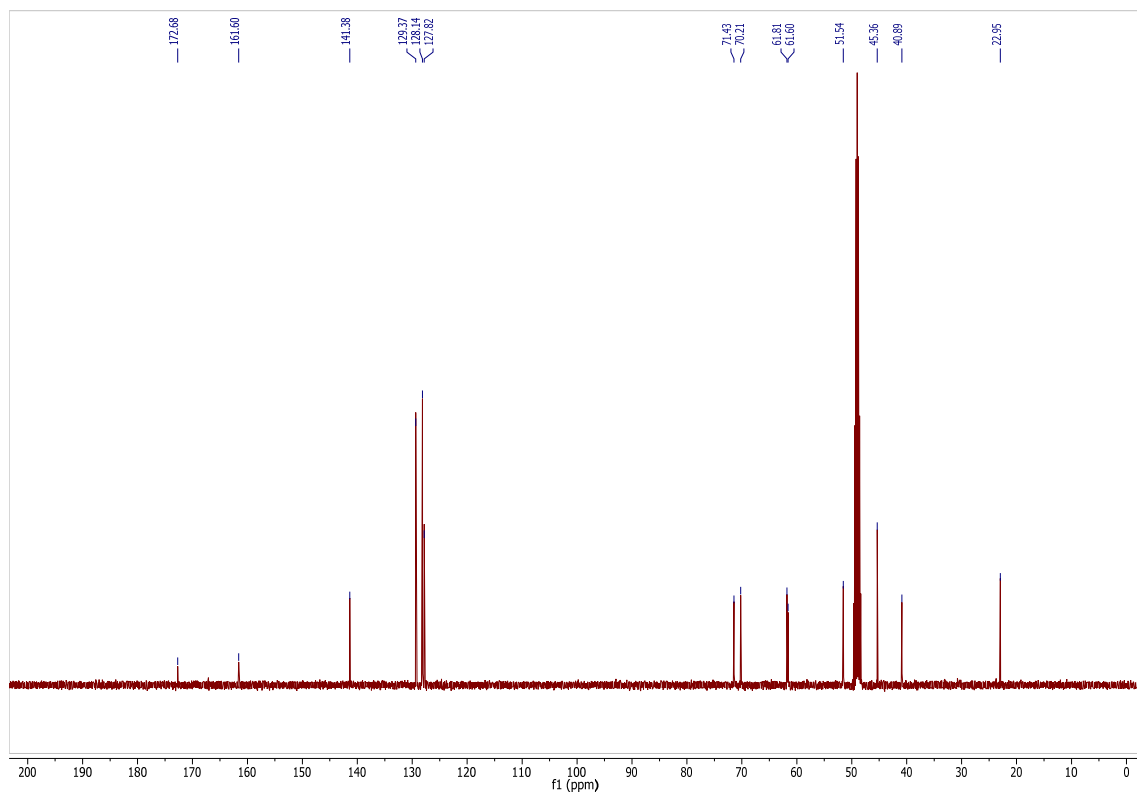
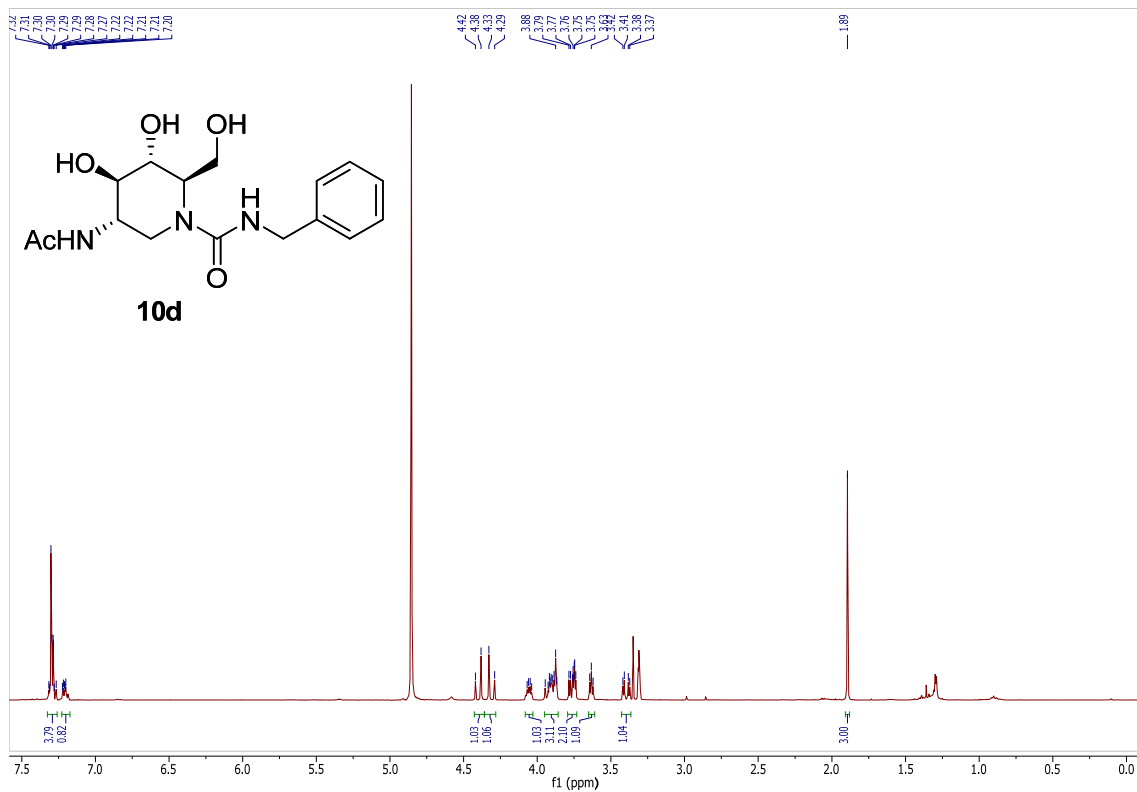




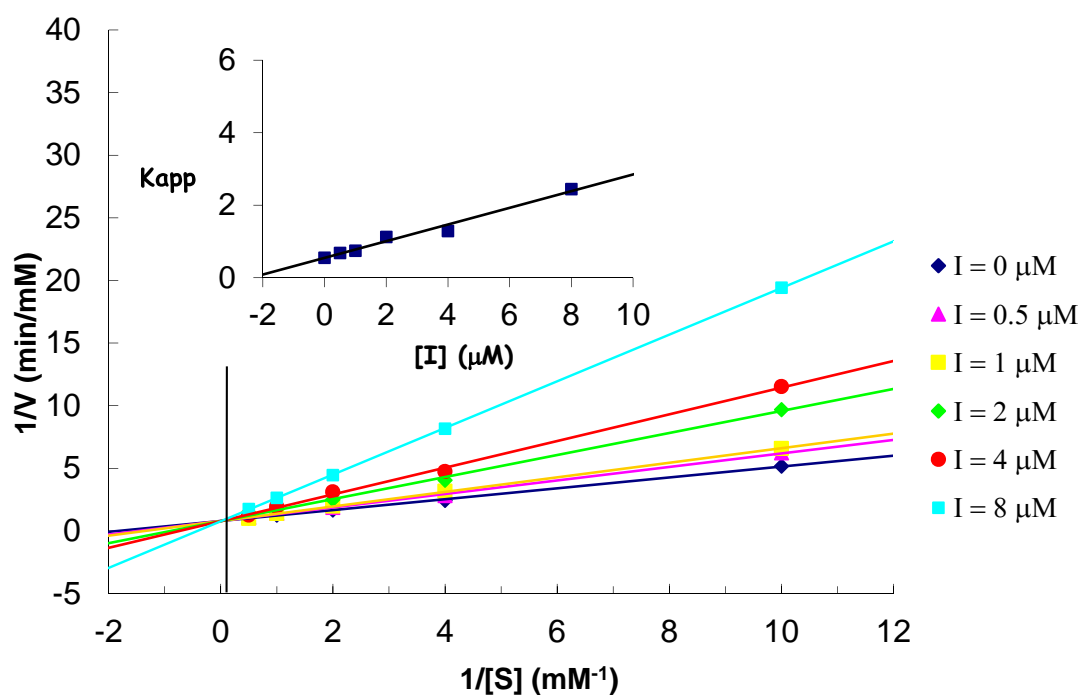
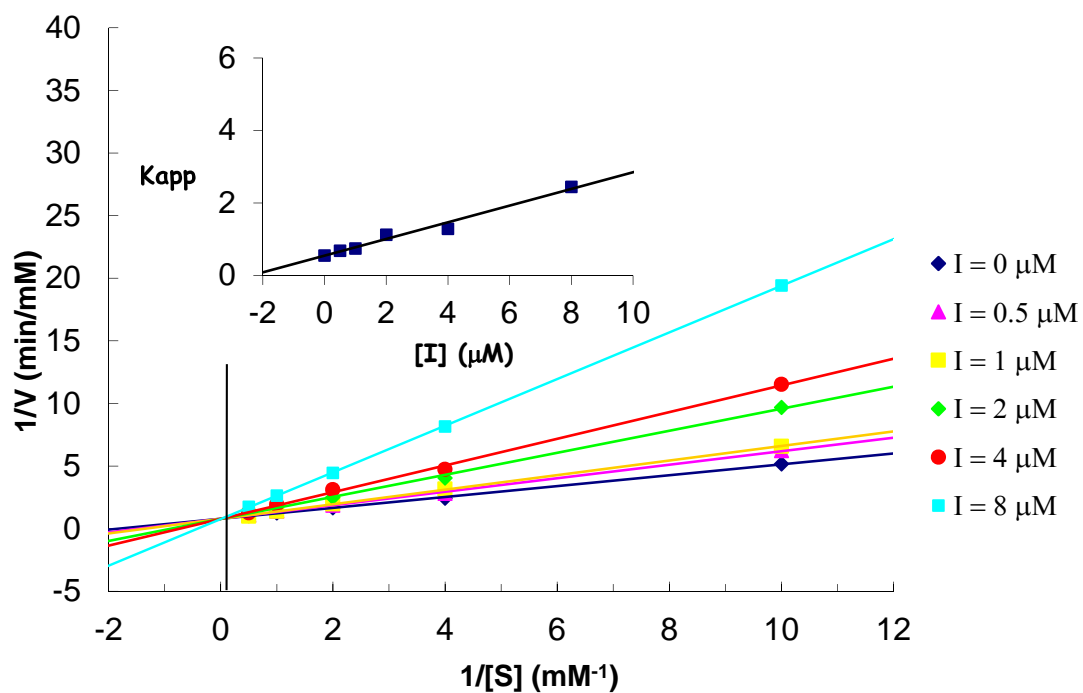




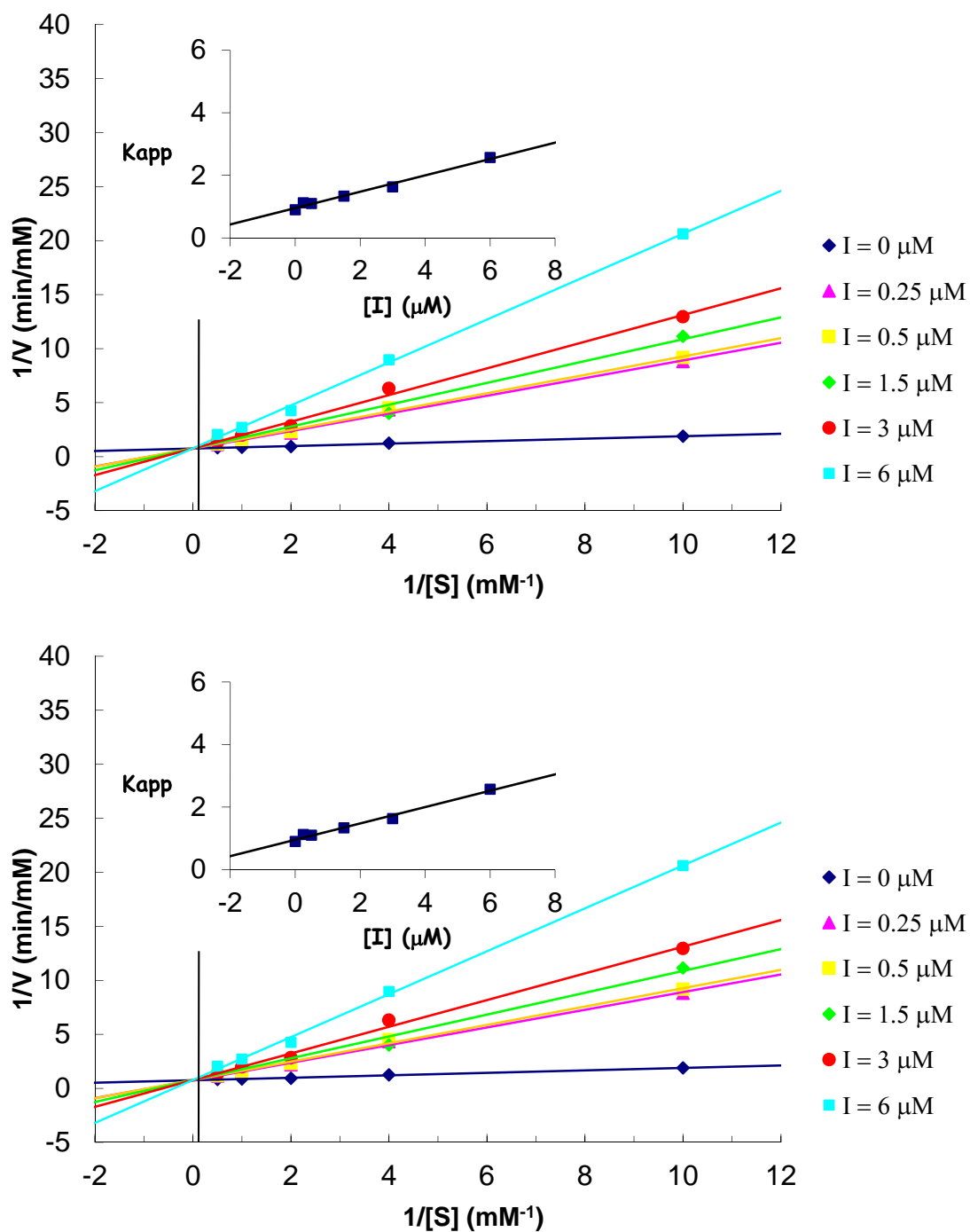




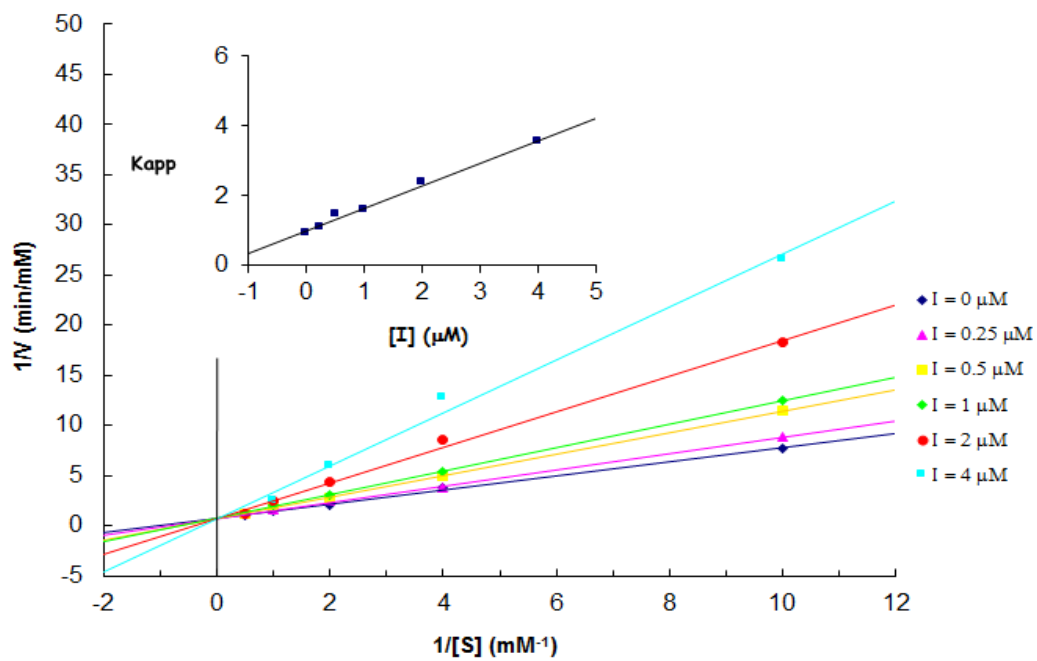
5.- Lineweaver-Burk Plot against β -N-Acetylglucosaminidase of 10c



Lineweaver-Burk Plot for K_i determination (2.1 μM) of 10c against β -N-acetylglucosaminidase (human placenta, pH 5.5).



Lineweaver-Burk Plot for K_i determination ($4.1 \mu\text{M}$) of **10c** against β -N-acetylglucosaminidase (bovine kidney, pH 5.5).



Lineweaver-Burk Plot for K_i determination ($1.5 \mu\text{M}$) of **10c** against β -N-acetylglucosaminidase (Jack bean, pH 5.5).