

ABSTRACTS

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Synthesis of Sugar Amino Acids

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Sugar amino acids (SAAs) are valuable molecular platforms, utilizing both amino acid functionality and sugar scaffold.¹ They have been studied as dipeptide isomers,² peptide mimetics³ and foldamers.⁴

Hence, we envisioned to synthesize D-glucose-derived SAAs with methylene linker between the functional groups and the sugar core.

Starting from the same compound **1** two different pathways were utilized in order to synthesize γ - and δ -amino acids **3** and **5**, respectively (Fig.1).

Nitromethane anion addition to ketone **1** yields almost exclusively single diastereoisomer of nitro alcohol **2**, that is further reduced, converted to an azide, deprotected and oxidatively cleaved to obtain SAA **3**.

Otherwise, Wittig reaction of ketone **1** following with hydroboration-oxidation, alcohol mesylation, deprotection of diol, and

mesylate substitution with azide gives intermediate **4**. Following reduction, carbamate protection, mesylation of diol with consecutive elimination yields alkene, that is hydroborated-oxidized to alcohol. Oxidation of alcohol yields SAA **5**.

In conclusion, two structurally different sugar amino acids were synthesized and are ready for the synthesis of oligomeric carbopeptoids.

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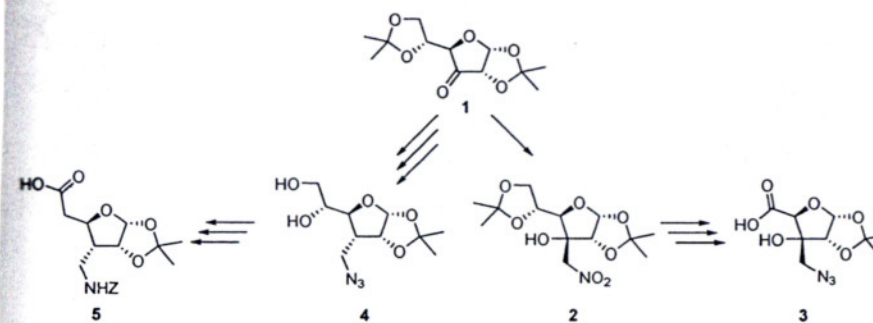


Fig.1 Synthesis of sugar amino acids **3** and **5**