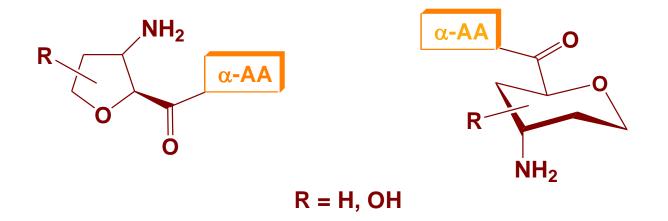


Synthesis of Conformationally Restricted Glycoamino Acids using Fluorinating Agents

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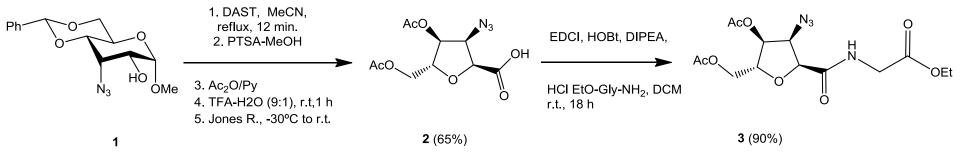


A route for the preparation of five- and six-membered ring  $\alpha/\beta$ - and  $\alpha/\gamma$ glycoamino acids (GAAs) starting from D-Glucose is described



## Synthesis of Conformationally Restricted GAAs using Fluorinating Agents

## **1.** Route for the synthesis of $\alpha/\beta$ -GAAs



The  $\alpha/\beta$ -glycoamino acids (e.g., **3**) were synthesized using a *DAST*-promoted ring contraction as a key step followed by hydrolysis, acetylation, oxidation and attachment of the  $\alpha$ -amino acid.<sup>1</sup>

## **2.** Route for the synthesis of $\alpha/\gamma$ -GAAs NH HOOC EDCI, HOBt, DIPEA 1. AcOH/H2O (7:3) AcO AcO но AcO AcO HCI EtO-Gly-NH<sub>2</sub> DCM ÓMe 2. TEMPO; NaBr, TCA OMe OMe N<sub>3</sub> N<sub>3</sub> r.t., 18 h N<sub>2</sub> 3. Ac<sub>2</sub>O/Py 5 (67%) 4 (87%)

The  $\alpha/\gamma$ -glycoamino acids (e.g., **5**) were synthesized by cleavage of the benzylidene protecting group as the first step, accompanied with subsequent oxidation, acetylation and attachment of the  $\alpha$ -amino acid.<sup>1</sup>

<sup>1</sup> All new compounds were characterized by their IR, <sup>1</sup>H-NMR (500 MHz), <sup>13</sup>C-NMR (125.7 MHz), and HRMS spectral data.