

Synthesis of Conformationally Restricted Glycoamino Acids using Fluorinating Agents

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A route for the preparation of five- and six-membered ring α/β - and α/γ glycoamino acids (GAAs) starting from D-Glucose is described



Synthesis of Conformationally Restricted GAAs using Fluorinating Agents

1. Route for the synthesis of α/β -GAAs



The α/β -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 α -amino acid.¹

2. Route for the synthesis of α/γ -GAAs NH HOOC EDCI, HOBt, DIPEA 1. AcOH/H2O (7:3) AcO AcO но AcO AcO HCI EtO-Gly-NH₂ DCM ÓMe 2. TEMPO; NaBr, TCA OMe OMe N₃ N₃ r.t., 18 h N₂ 3. Ac₂O/Py 5 (67%) 4 (87%)

The α/γ -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 α -amino acid.¹

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