Title of the Communication:

Synthesis of polyhydroxylated pyrrolidines precursors, obtained from D-erythrose with potential enzymatic activity in glycosidases

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Synthesis of polyhydroxylated pyrrolidines precursors, obtained from D-erythrose with potential enzymatic activity in glycosidases

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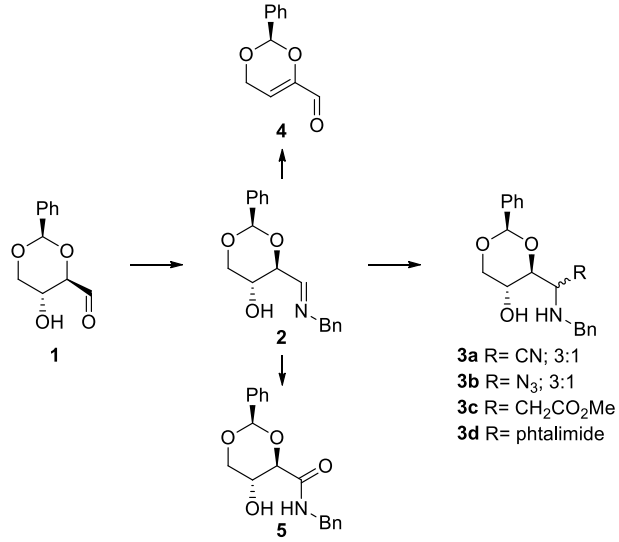
Iminosugars have demonstrated biological activity in a wide range of enzyme targets, and can be used in the treatment of numerous diseases such as diabetes, obesity, Gaucher disease, cancer, and viral infections, including AIDS. Its activity is due to inhibition, and / or modulating action for a wide range of enzymes that act on protein recognition [1]. Imine of type **1** prepared from D-erythrose 2,4-di-*O*-protected D-eythrose (**2)** is known to induce selectivity in normal and inverse-electron demand Diels-Alder cycloaddition processes [2]. Imine **1** is now submitted to nucleophilic additions reactions in the presence of BF_3OEt_2. The Lewis acid is able to self assembly the nitrogen atom and the hydroxyl group within a ring, turning the two faces for attack significantly different. The adducts **3a**,**b** are a 3:1 mixture of diastereoisomers, and compounds **3c**,**d** are formed with total selectivity (scheme 1). Compounds **3** will be submitted to amino cyclization to generate 5-membered iminosugars. The new compounds will be evaluated as glycosidase inhibitors. Reaction of compound **1** with 4-chlorophenylboronic acid afforded the elimination product **4**. Compound **5** was formed in reaction with TMS-CN in the presence of moisture.



Scheme 1 - Reaction of imine **1** with carbon and nitrogen nucleophiles.

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References:
[1] B. Naresh; V. Madhuri; J. N. Roopa; G. S. Sushma; D. D. Dilip; *Carbohydrate Research* **2015**, *402*, 215 - 224.;
2] J. Ferreira; V. C. M. Duarte; J. Noro; A. G. Fortes; M. A. Alves; *Org. Biomol. Chem.* **2016**, *14 (10)*, 2930 - 7.