Synthesis of new iminosugar-sugar disaccharides-Access to sp² iminosugars through a one-pot reaction

Rémi FLOQUET [1], Estelle GALLIENNE-BOIVINEAU [1]; Chrystel LOPIN-BON [1]

[1] Institut de Chimie Organique et Analytique (ICOA) FRANCE

remi.floquet@etu.univ-orleans.fr

Iminosugars are potent glycomimetics in which the endocyclic oxygen is replaced by a nitrogen atom. This characteristic gives them unique inhibition properties towards carbohydrate active enzymes. Many syntheses have been reported and some iminosugars are already commercialized as drugs like miglitol (type 2 diabetes) and miglustat (Gaucher disease). [1]

Although potent, they sometimes lack selectivity thus leading to side effects. The development of iminosugar-sugar disaccharides aims at building new efficient and more selective inhibitors. In the literature, numerous examples of disaccharides with the iminosugar at the reducing end have been reported, as well as disaccharides with the iminosugar at the non-reducing end, but with a carbon glycosidic bond. [2]

In this communication, we present the synthesis of iminosugar-sugar disaccharides having an oxygen glycosidic bond between the iminosugar and the sugar as well as a new one pot reaction to obtain sp² iminosugars via a cyclisation/deprotection/glycosylation one-pot reaction. It is a very versatile scaffold allowing many modifications to design enzyme specific molecules and other probes. [3]

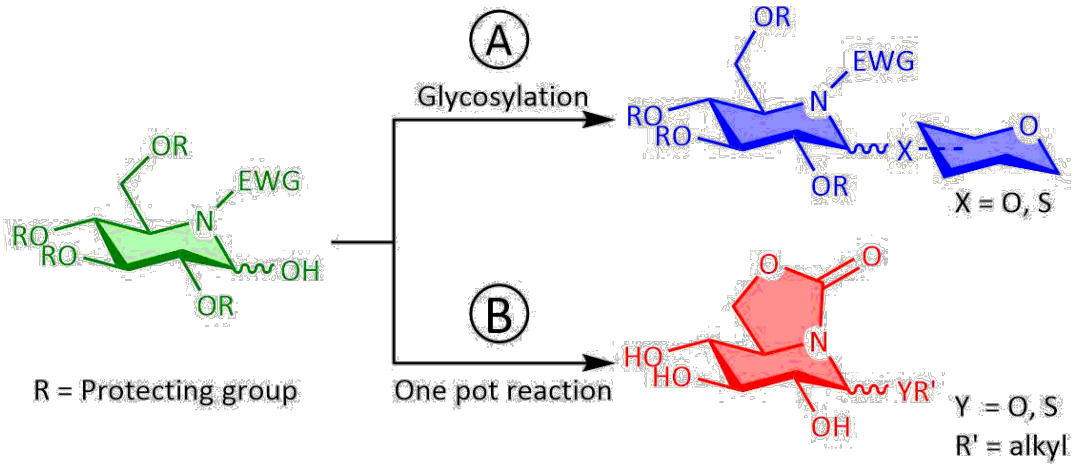

Figure 1: (A) New iminosugar-sugar disaccharides (B) Original one-pot access to sp² iminosugars

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Bibliographic references:

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[2] A. Marra, R. Zelli (2018) In Specialist Periodical Reports - Carbohydrate Chemistry (43) 1–70.
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