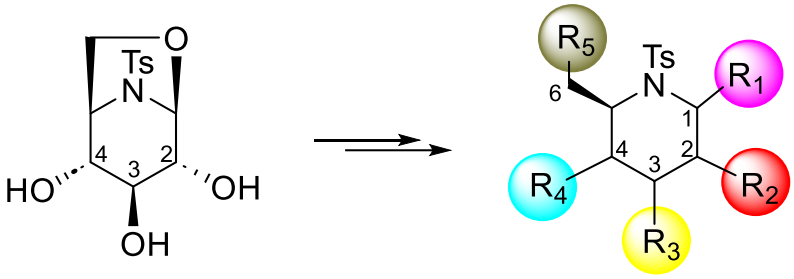
AZALEVOGLUCOSAN, A USEFUL SCAFFOLD TO REACH IMINOSUGARS WITH HIGH MOLECULAR DIVERSITY

Jérôme Désiré, Dylan Yorga, Nicolas Auberger, Yves Blériot

IC2MP, UMR CNRS 7285 - Université de Poitiers, Poitiers, France
jerome.desire@univ-poitiers.fr

Iminosugars, notably six-membered polyhydroxylated piperidines, are one of the most promising classes of carbohydrate analogs for therapeutic purposes [1]. While structural diversity has been extensively introduced at N, C1 and C6 positions to identify and validate new biological leads [2,3], C2, C3 and C4 positions have been poorly scrutinized according to their more difficult chemical access.
In this context, we have developed a robust synthesis of an azalevoglucosan scaffold [4,5], a bicyclic 1,6-anhydro iminosugar in which the trans diaxial arrangement of the secondary hydroxyl groups allows unprecedented introduction of structural diversity in a regio- and stereoselective manner at C2, C3 and C4 positions. Further bicycle ring opening allows additional decoration of the C1 and C6 positions to generate highly substituted piperidines.
The synthesis of the key azalevoglucosan scaffold, its decoration at C2, C3 and C4 positions and its ring opening to access polyfunctionalized piperidines will be presented [6].



Azalevoglucosan scaffold

Polyfunctionalized piperidines

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