SECONDARY ALKOXYAMINE: ADVANTAGES AND CAVEATS IN THE PREPARATION OF GLYCOCONJUGATES

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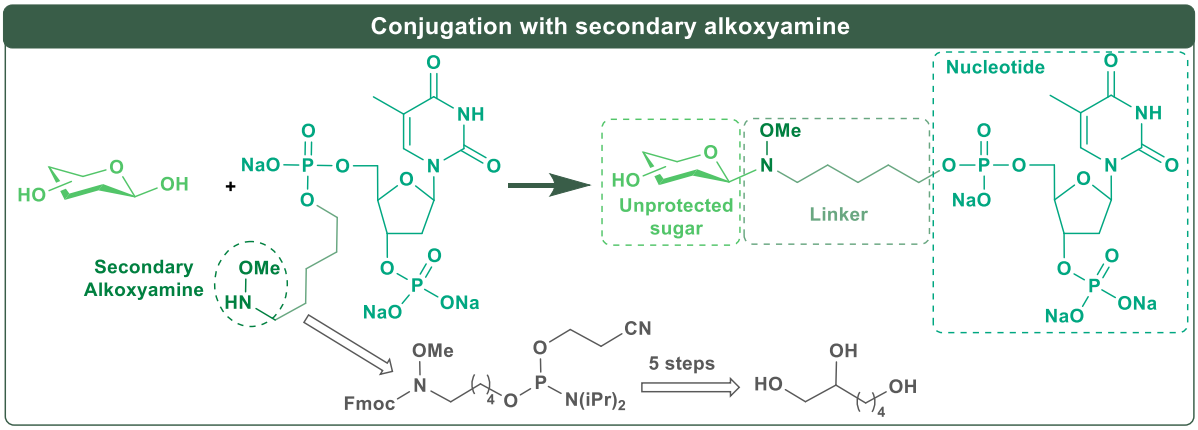
Due to their inherent functional diversity, unprotected carbohydrates offer an interesting challenge for conjugation reactions. In this regard, the reducing-end hemiacetal allows relatively straightforward chemoselective reactions, such as reductive amination or hydrazides and oximes formation [1]. However, these methods give acyclic products or a mixture of open and closed forms, leading at best to a loss of conformational rigidity and at worst to intractable mixtures.
In 1998, Peri, Dumy and Mutter introduced secondary alkoxyamine nucleophiles as a new method to prepare neoglycoconjugates. Advantageously, this reaction leads to the sole formation of cyclic conjugates with pyrano/furano and high anomeric selectivity [2]. In this communication, we will disclose our results on the coupling of different reducing carbohydrates with an alkoxyamine-functionalized nucleotide. They illustrate the main advantages of this method but also shed light on its major disadvantages.
Part of the presentation will focus on the preparation and introduction of the alkoxyamine linker onto the nucleotide, then on the optimisation of the conditions on a model, using an experimental design and how these conditions hold when applied to a variety of sugars.



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References:
1. K. Villadsen, *et al.*, *ChemBioChem.* **2017**, *18,* 574-612.
2. F. Peri, P. Dumy, M. Mutter, *Tetrahedron* **1998**, *54*, 12269-12278.