

Technology available July 2013

Available Technologies:

♦ T001913

♦ T001946

Features and Applications:

- “one-pot”, selective synthesis of 1,2-cis- α -linked glycosides
- Stereospecific synthesis of β -linked glycosides from S- and O-nucleophiles
- Excellent yield
- Iterative oligosaccharide synthesis
- Common, commercially available starting materials
- Does not require custom-built directing groups

Stereoselective Synthesis of α - and β -Glycosides

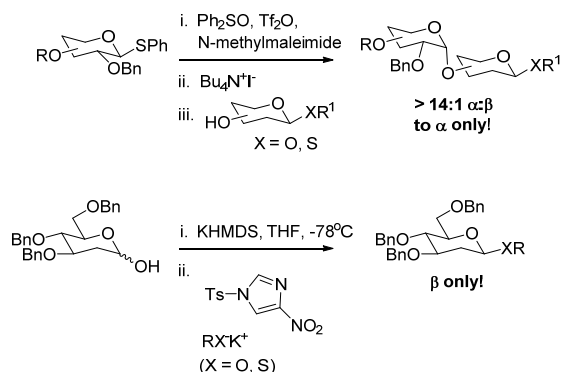
Researchers at Tufts University have developed a new method to generate α - and β -selective glycosides without the need for specialized directing groups. Other synthetic approaches to generate these glycosidic linkages require unstable glycosyl donors or the use of highly specialized protecting groups, which require multiple steps to synthesize [1].

The new synthetic approaches [2,3] use thioglycoside and hemiacetal donors to generate α -linked products, or S- and O-nucleophiles which react and exclusively form β -linked glycosides. In all cases, the reagents and starting materials used are shelf-stable and easily adapted to one-pot oligosaccharide synthesis.

In both cases the stereoselectivity of the reactions was validated across a variety of donor/acceptor pairs, and the stoichiometry of donor and acceptor did not effect the excellent yield or selectivity. These new reactions provide a robust mechanism to

rapidly generate stereospecific carbohydrates and natural products through a one-pot synthetic approach.

- [1] Boltje et al. Nat. Chem. (2010), 2, 552-557.
- [2] Chu et al. Org. Lett. (2013), 15, 2566-2569.
- [3] Issa et al. Org. Lett. (2013), ahead of press.



Iterative Oligosaccharide Synthesis

Novel synthetic methodologies allow for the stereoselective synthesis of α - and β -glycosides with excellent diastereomeric excess. These reactions occur in excellent yield and selectivity without the need for directing groups [2,3].

Summary

This is a critical technological development for the iterative synthesis of α - and β -glycosides. Oligosaccharides found on the surface of pathogens and malignant cells frequently possess α - and β -

linked glycosides as a key structural element. These new synthetic approaches will expand the list of synthetic carbohydrate targets available for vaccine development and other therapeutic uses.

Several IP positions are now available for licensing from Tufts University. Check out a full description of the technology at <http://techtransfer.tufts.edu/>

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