INDIUM-INDUCED HIGHLY STEREOSELECTIVE THIOGLYCOSYLATION OF PERACETYLATED BROMOGLUCOSE

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Abstract: A highly stereoselective synthesis of thioglycoside has been accomplished starting from bromo peracetylated glucose and thiol in the presence of indium.

Keywords: Indium, Bromo Peracetylated Glucose, Stereoselective

Introduction: Glycosylation is an attractive area of research because of the complexity of the procedure.\textsuperscript{1} Therefore, an effective method of glycosylation development is necessary.\textsuperscript{2} The glycosyl fluoride\textsuperscript{3a} and thioglycoside\textsuperscript{1} method and Ferrier rearrangement\textsuperscript{3b} are the recognized processes for this purpose. Several Lewis acids\textsuperscript{4} and acidic support\textsuperscript{5} have been used successfully. Nonstereoselectivity of the reaction is a major concern to chemists. Attempts have been made to improve the stereoselectivity of these processes.\textsuperscript{6,7} Our exploration in this field resulted in a convenient method of stereoselective synthesis of β-D-thioglycosides via reaction of thiols with β-D-bromoglucose derivatives mediated by indium metal.\textsuperscript{8}

Results and Discussion: Some of these methods for thioglycosylation have proved to be effective; however, they still have limitations including, lengthy synthesis of the donor or the acceptor, the use of toxic activators and unstable activating agents. Therefore, development of easily accessible, non-toxic, environmentally friendly activators is highly desirable. In this paper, we report a stereoselective synthesis of β-D-glycoside with indium metal. Organometallics, such as zinc, samarium diiodide, and titanium reagents, produced the glycals when treated with β-D-bromoglucoses.
Reaction of thiophenol and methylthiol with 2,3,4,5,6-penta-\(O\)-acetyl-\(\alpha\)-D-glucopyranosyl acetate (1) in the presence of bismuth nitrate using tetrahydrofuran (THF) as the solvent produced glycosides 2 in 80% yield (Scheme 1). The anomeric stereochemistry was determined to be \(\beta\) from the coupling constant of the anomeric hydrogen (7.5-10.0 Hz).

**Conclusion:** Indium-mediated glycosylation has produced highly stereoselective thioglycoside with aliphatic and aromatic thiols and acetobromoglucose.

**Acknowledgements:** We gratefully acknowledge the financial support for this research project from National Institutes of Health-SCORE (2SO6GM008038-73) and (NCIP20CA138022).

**References:**