

SnCl₄-promoted glycosylations with anomeric pivalates

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Abstract

Synthesis of ganglioside analogues via glycosylation is a highly efficient method for ω -functionalized alkyl lactosides. Such lactosides are used as starting compounds for the synthesis of asialo-GM2 (GA2) derivatives and chemoenzymatic synthesis. Particular interest is focused on GA2, which is an important target in processes of bacterial adhesion. This sugar is a putative adhesion molecule for *Moraxella catarrhalis* an important pathogen of respiratory and middle ear. We present an efficient glycosylation of alcohols with perpivaloylated β -lactose that involves inexpensive and safe promoter, SnCl₄, in the presence or absence of CaCO₃. In this study perpivaloylated β -lactose was used. It was prepared by the reaction of lactose with trimethylacetylchloride in pyridine upon 5 days of heating at 80 °C. We examined the tin chloride-promoted reaction of β -lactose octapivalate with corresponding alcohols. Optimization of the reaction was monitored by NMR in C₆D₆. Upon the reaction conditions of 0 °C to room temperature, 1.48 equivalent of corresponding alcohols and 1.48 equivalent of SnCl₄, the complete disappearance of the starting lactose octapivalate was observed within 6 hours and β -lactoside was formed as the major product (76-83%) along with α -anomers as byproducts (5%). The process was characterized by high stereoselectivity. To suppress the anomerization and byproduct formation the effect of proton scavengers was examined. However, CaCO₃ did not have much effect on the yield of the product. Such results indicated that the use of perpivaloylated β -lactose in glycosylation of ω -functionalized fatty alcohols was likely to suppress the byproduct, such as orthoester formation. The corresponding glycosides were isolated in a stereo controlled manner with β -selectivity.

Biography

Ahmad Nikseresht has completed his PhD from Payame Noor University and carried out research in the field of Organic Chemistry involving heterocyclic chemistry compounds under supervision of Prof. M. Bakavoli in the Ferdowsi University and carbohydrates under supervision of Prof. H. Zuilhof and Dr. Tom Wennekes in the Wageningen University. He has worked as a Guest PhD student at the Laboratory of Organic Chemistry for a period of nine months. He is the Director of Department of Research and Entrepreneurship of Payame Noor University of Ilam, Iran. He has published more than 35 papers in reputed journals and international conferences in the field of organic chemistry.

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