Application of Glycal Method to the Synthesis of Triterpene 2-Deoxy-α-Glycosides under Conditions of Acidic Catalysis

Oxana B. Flekhter, Lidiya A. Baltina, and Genrich A. Tolstikov

Institute of Organic Chemistry, Ufa Research Center of the Russian Academy of Sciences, 71 prosp. Oktyabrya, 450054 Ufa, Russian Federation. Fax: 007 (347 2) 356066. E-mail: chemlum@ufanet.ru

In the past decade, considerable attention has been focused on the synthesis of triterpene and steroid glycosides that model natural saponins. Very often synthetic glycosides possess more stronger and versatile biological activity. Many glycosylation methods for preparation different types of complex glycosides have been used, but usually they afford mixtures of α- and β-glycosides and do not ensure satisfactory yields of the target compounds. At present, electrophilic glycosylation of alcohols with glycals as glycosyl donors is a promising and attractive method. We suggest the stereoselective glycosylation of some triterpene alcohols with acetylated glycals in the presence of cation exchange resin and LiBr. The reaction of glycals with alcohols under this conditions allows one to exclude the steps of 2-deoxy-2-iodoglycoside synthesis and deiodination when NIS or IDCP were used as promoters. Acetylated L-glucal and L-rhamnal were used as glycosyl donors and oleanane, lupane, ursane-type triterpenes as aglycon acceptors. Glycosylation resulted stereoselectively in 2-deoxy-α-glycosides, which were isolated as homogeneous products by column chromatography on silica with 80-85% yields. TLC and nmr analyses did not show the presence of any β-anomers. Mild deacetylation of this products with 5% KOH in methanol afforded the target triterpene α-L-glycosides (1-4).