ATTEMPTS OF SYNTHESIS OF DIOSGENYL 2-AMINO-2-DEOXY-*N*-(D-GLUCONYL)-β-D-GLUCOPYRANOSIDE

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Naturally occurring diosgenyl glycosides belong to the group of saponins. These are steroid or triterpenoid glycosides widely distributed in plants and in some marine organisms [1]. These natural glycosides display versatile biological activities, including anti-inflammatory, antibacterial, antiparasitic, antifungal, and antitumor activities [2].

Previously, we reported the synthesis and apoptosis-inducing property in B cell chronic leukemia cells of diosgenyl 2-amino-2-deoxy- β -D-glucopyranoside hydrochloride [3]. This compound is also *in vitro* active and *in vivo* efficient against Gram-positive cocci [4]. In search of its *N*-acyl derivatives with a hydrophilic chain we tried to synthesize diosgenyl 2-amino-2-deoxy-N-(D-gluconyl)- β -D-glucopyranoside.

To realize our goal three approaches were tested. The first one, unsuccessful, consists in condensation of diosgenyl 2-amino-2-deoxy- β -D-glucopyranoside with D-gluconic acid under typical for the liquid-phase peptide synthesis conditions. The second one, also unsuccessful, consists in analogous reaction of diosgenyl 2-amino-2-deoxy- β -D-glucopyranoside with 2,3,4,6-tetra-*O*-acetyl-D-gluconic acid. The third one consists in condensation of diosgenyl 3,4,6-tri-*O*-acetyl-2-amino-2-deoxy- β -D-glucopyranoside with 2,3,4,6-tetra-*O*-acetyl-D-gluconic acid. The third one consists in condensation of diosgenyl 3,4,6-tri-*O*-acetyl-2-amino-2-deoxy- β -D-glucopyranoside with 2,3,4,6-tetra-*O*-acetyl-D-gluconic acid. Although the last reaction succeeded, it provides additional side products. These are the products of intra- and intermolecular acetyl O—N migration.

Crystal structure of the synthesized and used by us 2,3,4,6-tetra-*O*-acetyl-D-gluconic acid is also presented here.



R = H or Ac

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