DIOSGENYL 2-AMINO-2-DEOXY-β-D-GLUCOPYRANOSIDE HYDROCHLORIDE AND ITS *N*-ACYL ANALOGUES

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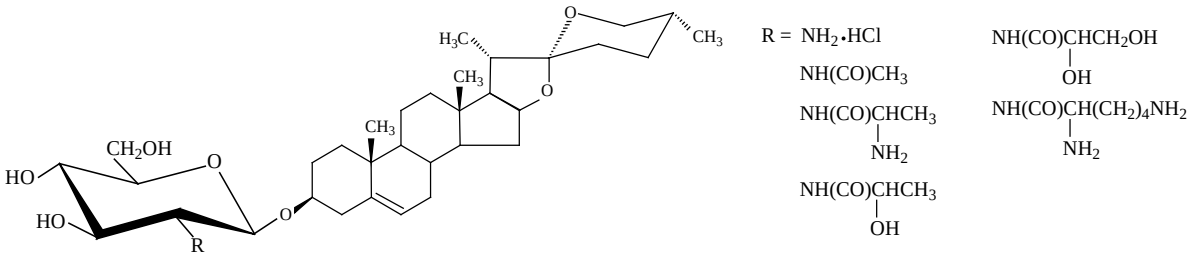
Diosgenyl glycosides are steroid saponins widely distributed in terrestrial plants and certain marine organisms. In these glycosides the carbohydrate moiety, usually mono-, di-, tri- or tetrasaccharide, is covalently attached to diosgenin. Usually, β-D-glucopyranose is the first sugar attached to diosgenin, which in turn often has an α-L-rhamnopyranose substituent at the 2-OH position and another sugar at the 3-OH or 4-OH position. Diosgenyl glycosides have been used as medical material to treat malaria, helminthes infections, and snake bites. They exhibit good antibacterial, antifungal and antitumor activities [1-3]. The biological effects of the diosgenyl glycosides and their low availability from natural sources make them an important synthetic target.

We synthesized the glycosides that consist of diosgenin and D-glucosamine derivatives. Such saponins have not been found in natural sources. Our synthetic strategy is based on the preparation of glycosyl donors, coupling these donors with diosgenin, deprotection of the NH_2 and OH groups, and finally conversion to *N*-acyl derivatives. The structures of the products were confirmed by IR, ^1H and ^{13}C NMR spectroscopy and mass spectrometry.



In a set of biological experiments, we investigated the antibacterial and antifungal effects of these saponins. Minimum inhibitory concentration was determined for reference strains of bacteria (*Bacillus subtilis* ATCC 6633, *Enterococcus faecalis* ATCC 29212, *Rhodococcus equi* ATCC 6939, *Staphylococcus aureus* ATCC 25923, *Staphylococcus epidermidis* PCM 2118, *Escherichia coli* ATCC 25922, *Klebsiella pneumoniae* ATCC 700603, *Proteus mirabilis* PCM 543 *Proteus vulgaris* PCM 2668, *Pseudomonas aeruginosa* ATCC 9027) and fungi (*Candida albicans* ATCC 10231, *Candida tropicalis* PCM 2681, *Candida lipolytica* PCM 2680). The majority of the tested compounds showed an antimicrobial activity against Gram-positive bacteria, whereas Gram-negative bacteria turned out to be resistant to the saponins at the concentrations applied (1-1024 μg/mL). The most promising results were obtained for *C. albicans, C. lipolytica* and *C. tropicails* what encourages extending the studies on clinical strains of *Candida* spp.

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