

few exceptions. The innate immunity of cancer cells can also be affected by RP215, anti-human IgG or anti-T cell receptors through the unidirectional mediations of certain toll-like receptors. Therefore, the anti-cancer therapy of RP215 Mab is in part, directly related to surface bound immunoglobulins and T-cell receptors, the expressions of which may be involved in the growth and proliferation of cancer cells. The linkage relationship of toll-like receptors in gene regulations of cancerous immunoglobulins as well as NFκB-1 transcription factor and others was first demonstrated in this study.

276: Synthesis of *N*-acyl and Ureido Derivatives of Diosgenyl Glycoside with Potent Antifungal and Antibacterial Activity

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Saponins are natural glycosides which possess a wide range of pharmacological properties. They are used in traditional medicine as an antidiabetes and antihyperglycemia agents, medical material to treat malaria, helminthes infections and snake bites. Some of diosgenyl glycosides exhibit a wide spectrum of biological activities including antifungal, antibacterial and anticancer properties.

In view of the fact that fungi and bacterial infections are becoming more common and these species are more resistant to the treatment, we have made an attempt to find new substances active against those pathogens. Synthesized by us glycosides consists of diosgenin and D-glucosamine residue. The carbohydrate chain constitutes a hydrophilic part, while appropriate sapogenin is a hydrophobic fragment in this kind of glycosides. Our synthetic strategy is based on the preparation of glycosyl donors, coupling of the respective donors with diosgenin, deprotection of the NH₂ and OH groups and finally obtaining of *N*-acyl and ureido derivatives (Fig. 1).

In biological set of experiments we have investigated the antibacterial and antifungal effect of some *N*-acyl and ureido derivatives. Minimum inhibitory concentration (MIC) was determined for reference strains of the following bacteria: *B. subtilis* ATCC 6633, *E. faecalis* ATCC 29212, *R. equi* ATCC 6939, *S. aureus* ATCC 25923, *S. epidermidis* PCM 2118, *Escherichia coli* ATCC 25922, *K. pneumoniae* ATCC 700603, *P. mirabilis* PCM 543, *P. vulgaris* PCM 2668, *P. aeruginosa* ATCC 9027 and the following fungi: *C. albicans* ATCC 10231, *C. tropicalis* PCM 2681, *C. lipolytica* PCM 2680. Synthesized saponins exhibit various degrees of activity against fungi and bacteria, e.g. some of them turned out active against *Candida* species.

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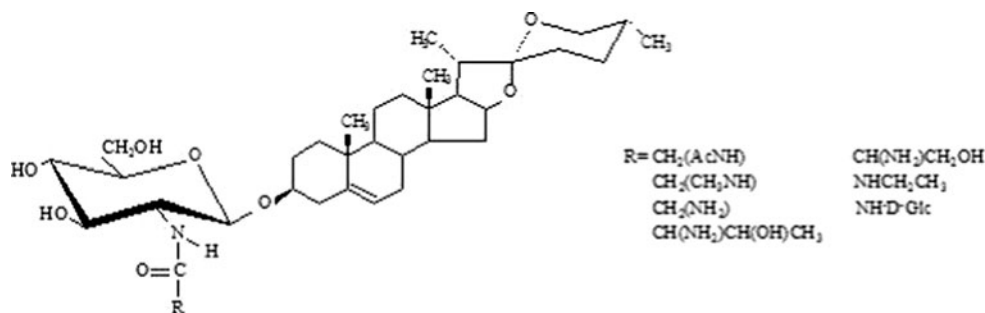


Fig. 1.