SYNTHESIS OF NOVEL GLYCYRRHIZIC ACID CONJUGATES WITH AMINOACIDS POSSESSING IMMUNOMODULATE AND ANTIVIRAL PROPERTIES

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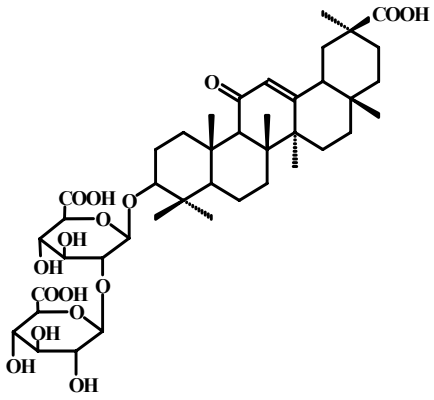
Triterpene glycosides are natural compounds widely distributed in high plants. 18β-Glycyrrhizic Acid (GL) (1), the major component of licorice roots (Gl. uralensis Fisher), is known as an anti-inflammatory, anti-ulcerogenic, anti-allergic, antiviral and γ-interferon stimulating agent [1]. To continue our studies of the structure-activity relationships among GL derivatives and related compounds we synthesized novel compounds to be conjugates of GL with aminoacids (Leu, Val, Pro, Met, Glu, Lys etc.) or their dipeptides. The selective incorporation of aminoacids residues into GL carbohydrate part was carried out by the activated ester method using N-hydroxysuccinimide-N,N'-dicyclohexylcarbodiimide. 18α-stereoisomeric derivatives of some aminoacids and 18α-GL were prepared by using the same method. Target compounds were isolated by column chromatography on silicagel and their structures were established by NMR ^{1}H and ^{13}C experiments.

Among GL conjugates synthesized stimulators of humoral immune response in mice were found. Some GL derivatives were active against human immunodeficiency virus type 1 and SARS-associated corona virus in vitro.



This work was supported by RFBS and Austria grant 03-03-20004 BNTS_a and grant 1488.2003.03 for scientific schools.

1. Baltina L.A. Curr Med Chem, 2003, 10, 155-171