

First Synthesis of Glycoconjugates of Glycyrrhetic Acids with Aminosugars

Svetlana R. Mustafina, Lidiya A. Baltina, Rimma M. Kondratenko, Ekaterina V. Vasil'jeva,
Genrikh A. Tolstikov

Institute of Organic Chemistry Ufa Research Centre of RAS, prospect Oktyabrya 71, Ufa, 450054, Russia
Fax: +7 (3472) 356066; E-mail: root@chemorg.ufanet.ru

Natural triterpenoids and triterpene glycosides are known by their high and different kinds of biological activities. A synthesis of medicinal plants triterpenoids analogs and related compounds with improved therapeutic properties attracts a great deal of attention within the last decade.

To design of new immunoregulators and antiviral agents we have carried out the first synthesis of triterpene glycoconjugates - modified analogs of the major saponin of licorice roots (*Glycyrrhiza glabra L.*, *Gl. uralensis F.*) - Glycyrrhizic Acid (GA) (1). 18β - and 18α -Glycyrrhetic acids, its 11-deoxo- and 18,19-dehydro-analogs as methyl esters (2) were used as starting triterpenes and acylated by usual method to corresponding 3-O-hemisuccinates, -maleates and -phthalates (3). As aminocomponents we used available of 1- and 2-aminosugars, they are of great interest as parts of biologically active compounds or because of their own potential activity.

The synthesis of triterpene glycoconjugates - amides of aminosugars with glycyrrhetic acids 3-O-acylates (3) was carried out by the chloroanhydride technique or using N,N'-dicyclohexylcarbodiimide in 50-70% yields. The target products (4) were separated by column chromatography on silica gel and deacetylated by 5% KOH in MeOH-CH₂Cl₂ mixture. The structures of prepared glycoconjugates were assigned using spectral methods (IR, UV, ¹H and ¹³C NMR spectroscopy).

