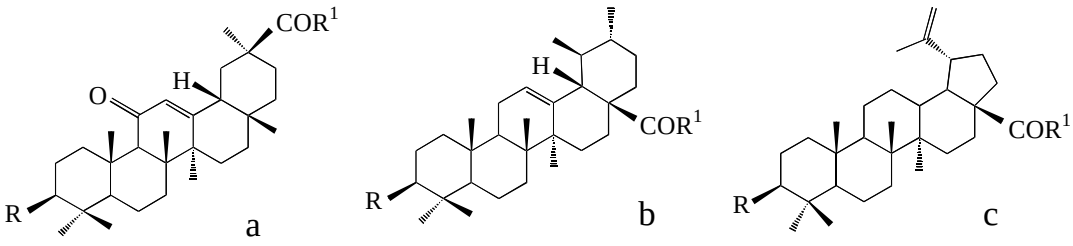
Synthesis of Triterpenoid Acid Derivatives Containing Amino Acid Residues

Natalya I. Petrenko, Valentina Z. Petukhova, Elvira E. Shults, Genrikh A. Tolstikov

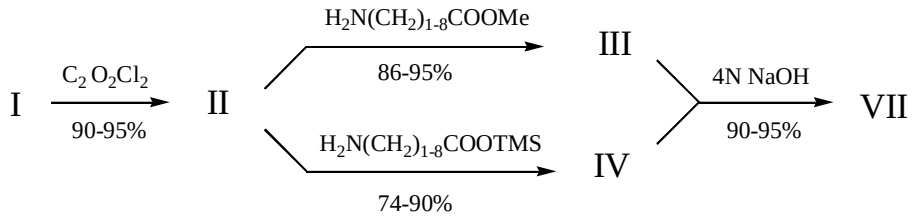
Novosibirsk Institute of Organic Chemistry, Siberian Division of the Russian Academy of Sciences, Novosibirsk 630090, Russia

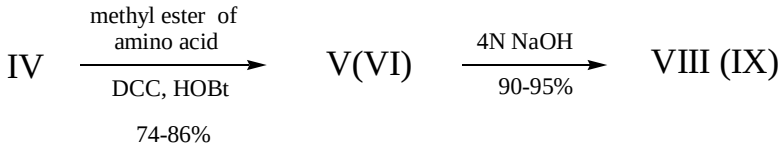
Many triterpenoids of vegetable origin are shown to possess a broad spectrum of biological activity, including antiinflammatory, antiallergic, antiviral, antiulcer, antitumor actions, and can be used as substrates for synthesis of new perspective therapeutic agents. Therefore a considerable interest has been raised in chemical modification of these compounds. Herein derivatives of glycyrrhetic (a), ursolic (b), betulonic (c) acids, containing different aminocarbonic acid residues and their corresponding methyl esters are presented .



R=OAc (a,b),O (c), R^1=OH (**I**), R^1=Cl (**II**), R^1=NH$(CH_2)_{1\text{-}8}$COOMe (**III**), R^1=NH$(CH_2)_{1\text{-}8}$COOH **(IV)**, R^1=NH$(CH_2)_{5,7,8}$CONH$(CH_2)_{1,2,5,6}$COOMe (**V**), R^1=NH$(CH_2)_{7,8}$CONHCHPhCH_2COOMe (**VI**), R=OH (a,b),O (c), R^1=NH$(CH_2)_{1\text{-}8}$COOH (**VII**), R^1=NH$(CH_2)_{5,7,8}$CONH$(CH_2)_{1,2,5,6}$COOH (**VIII**), R^1=NH$(CH_2)_{7,8}$CONHCHPhCH_2COOH (**IX**)

Compounds (**III-IX**) have been prepared using various methods of peptide bond formation (via acid chlorides and "active esters"). Synthesis of these compounds is outlined in the following scheme:





Evidence for the structure of synthesized compounds is provided by ^{1}H- and ^{13}C -NMR spectra. All compounds mentioned above are interesting for their biological activities.