Chemical Transformations of Lupane Triterpenes as a Route to New Biologically Active Compounds

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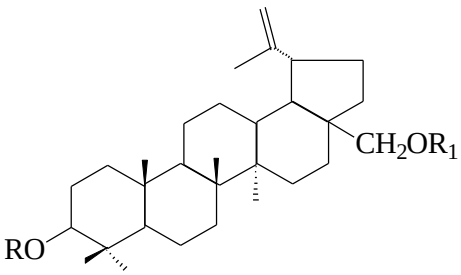
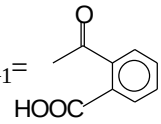
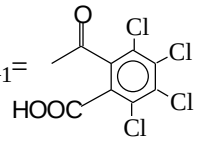
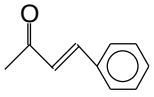
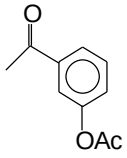
Lupane-type triterpenes (lupeol, betulin, betulinic acid) are widely distributed in plants of various species. Over the last few years they have attracted the great attention due to the range of biological and pharmacological activities (anti-inflammatory, hypolipidemic, antibacterial, antiarthritic etc). But antitumor and antiviral properties of lupane triterpenes are of the most interest. Therefore, we have synthesized different kinds of lupane derivatives using lupeol and betulin - the main triterpenes of the birch bark *Betula pendula*, which are widespread in Russia. Esters (**1-4**) were obtained with high yields by interaction with acids anhydrides and chloroanhydrides. Some esters were found to be nontoxic and effective as hepatoprotectants. Betulin 3,28-dihemiphthalate (**1**) inhibited the replication of the human immunodeficiency virus type I (HIV-I) at the concentrations of 7-20 mg/ml in the culture of the MT-4 cells. Oxidation of betulin with CrO_3 in AcOH led to 3-oxo-betulinic acid, that allowed us to obtain peptides (**5-8**) and amides (**9, 10**) by DCC-method with 70% yields. 2-Arylydenderivatieves (**11-13**) were prepared using aromatic aldehydes and can serve as the synthones for lupane pyrimidenes synthesis.

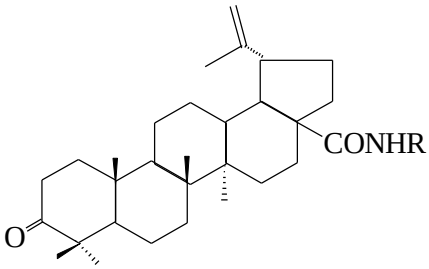


(**1**) R = R$_1$= 

(**2**) R = R$_1$= 

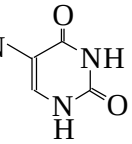
(**3**) R = H, R$_1$ = 

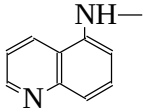
(**4**) R = H, R$_1$ = 

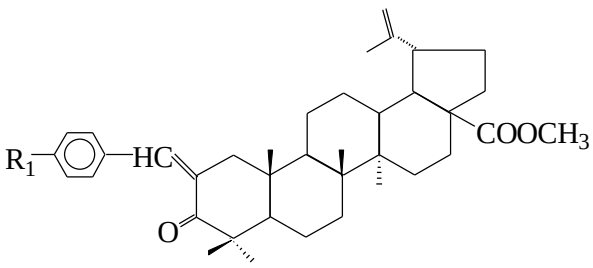


(**5**) R = *L*-Leu(OBu^t) (**6**) R = *L*-Phe(OBu^t)

(7) R = *L*-Val(OBu^t) (**8**) R = *L*-Pro(OBu^t)

(**9**) R = 

(**10**) R = 



(**11**) R$_1$ = H (**12**) R$_1$ = Cl (**13**) R$_1$ = Br