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Synthesis of Dihydroquinopimaric Acid Conjugates with Aminoacids

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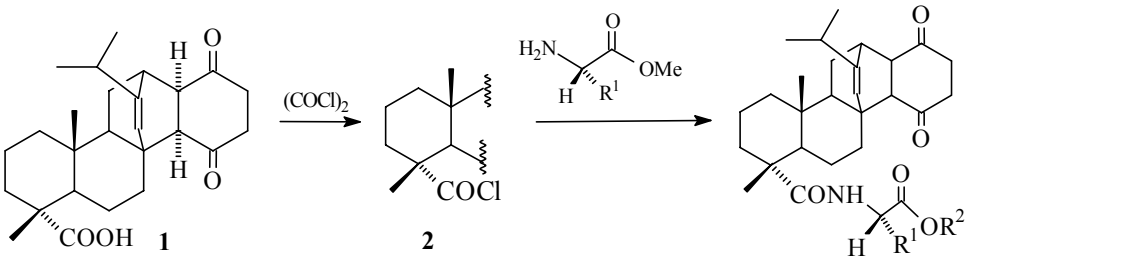
Some derivatives of quinopimaric acid showed anti-inflammatory and antiulcer activities [1, 2]. Unfortunately, such diterpene derivatives suffer a low water solubility, resulting in decreasing of biological efficacy. The problem of solubility can be solved by introducing of aminoacid residue in the structure of diterpene compound. Here we describe the synthesis of some new diterpene conjugates obtained from available dihydroquinopimaric acid **1**.



1 **2**

3-7: a $R^2 = CH_3$

b $R^2 = H$

3 $R^1 = CH_3$ **4** $R^1 = CH(CH_3)_2$ **5** $R^1 = CH_2CH(CH_3)_2$

6 $R^1 = CH_2CH_2SCH_3$ **7** $R^1 = CH_2$–C$_6$H$_5$

Reaction of acylchloride **2** with *L*-aminoacid methyl esters (alanine, valine, leucine, methionine and phenylalanine) gave compounds **3-7**. Free acid conjugates were obtained with LiOH in TGF/H_2O solution.

[1] Flekhter O.B., Tret'yakova E.V., Galin F.Z. et al., *Pharm. Chem. J.* **2002**. 36. №8. 428-431.

[2] Flekhter O.B., Tret'yakova E.V., Makara N.S. et al., *Pharm. Chem. J.* **2003**. 37. №2. 142-144.

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