SYNTHESIS AND PHARMACOLOGICAL ACTIVITY OF DERIVATIVES OF 7-OXAMOYLSUBSTITUTED 3-OXO-1, 2,-DIHYDROINDAZOLE

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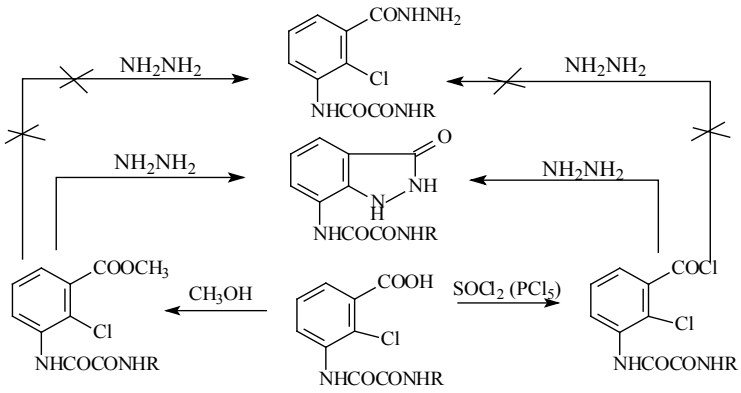
The synthesis of new biologically active substances is a search for alternative medicines that are used to treat various diseases. Especially useful the search for new synthetic compounds which have combined antibacterial, antifungal and anti-inflammatory effects is especially actual. In view of the above scientific interest is presented by newly synthesized 7-oxamoylsubstituted 3-oxo-1, 2,-dihydroindazoles.

7-oxamoylsubstituted 3-oxo-1, 2,-dihydroindazoles are obtained in two ways: by holding the reaction of hydrazinolysis of methyl esters of amides of 3-carboxy-2-chloroxanyl acid when heated for 30-40 minutes and due to hydrazinolysis of chloranhydrides of these derivatives:



де R - CH_2CH_2OH, C_3H_7-i, C_4H_9-н, $CH_2C_6H_5$

The structure of the synthesized compounds is confirmed by a set of modern physical and chemical methods: data of elemental analysis, IR-, and NMR spectra.

According to the results of pharmacological screening there have been found substances among 7-oxamoylsubstituted 3-oxo-1, 2,-dihydroindazoles which exhibit moderate anti-inflammatory, fungistatic and bacteriostatic activity. Bacteriostatic effect of the synthesized compounds was studied with regard to Staphylococcus aureus, bacillus subtilis, colibacillus, pseudomonas aeruginosa. Bacteriostatic MIC regarding intestinal microbial groups is within 31,2-250 mcg / ml. Fungistatic activity was studied with regard to Candida fungus (Candida albicans, Microsporum canis). Acute toxicity of obtained dihydroindazoles does not exceed the acute toxicity of outcoming compounds. According to the classification of K.K. Sidorov 7-oxamoylsubstituted 3-oxo-1, 2,-dihydroindazoles belong to the class of low-toxic substances (DL_{50} > 2500 mg/kg).