

The structure of synthesized compounds was confirmed by IR- and ^1H NMR- spectroscopy.

Conclusions. New 2-amino-4-aryl-8-methoxycarbonyl-5-oxo-3-cyano-5,6,7,8-tetrahydro-7-phenyl-4*H*-chromenes was obtained. These investigations will be a base for further researches.

FURTHER SYNTHESIS AND INVESTIGATION OF PHYSICAL-CHEMICAL PROPERTIES OF DERIVATIVES OF 5-PHENETHYL-4-R-4*H*-1,2,4-TRIAZOLE-3-THIOLES

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Introduction. One of the priority directions of modern pharmacy and medicine is the synthesis of new domestic biologically active compounds that will replace expensive foreign analogues in the pharmaceutical market. As it is known from the literature, the growth rate of publications in the field of medical chemistry of compounds containing two heterocycles is higher than for other representatives of azoles. This fact points to the interest in these compounds as potential objects of the modern pharmaceutical market, namely, compounds that contain both heterocycles.

Aim. The purpose of our research is search for new low-toxic and highly effective compounds among derivatives of 5-phenethyl-4-R-4*H*-1,2,4-triazole-3-thioles, as well as the establishment of physical-chemical parameters of synthesized compounds.

Materials and methods. As the starting material for the synthesis of 2-((5-phenethyl-4-R-4*H*-1,2,4-triazole-3-yl)thio)acetate (propane, benzoic) acids, 2-((5-phenethyl-4-R-4*H*-1,2,4-triazole-3-yl)thio)nitriles. Acids were obtained in two ways: acid and alkaline hydrolysis. Acid hydrolysis is carried out in the presence of chloride acid. Alkaline hydrolysis is carried out in the presence of sodium hydroxide. Herewith, it can be noted that acid hydrolysis is characterized by a higher yield of the target product and can be recommended for synthetics, as preparative one.

Results and discussion. Synthesized 2-((5-phenethyl-4-R-4*H*-1,2,4-triazole-3-yl)thio)acetate (propane, benzoic) acids are insoluble in water, soluble in solutions of alkaly and of alkaline metals carbonates, as well as in organic solvents. For analysis, the synthesized compounds are recrystallization from ethanol.

The elemental analysis completely confirmed the empirical formulas 2-((5-phenethyl-4-R-4*H*-1,2,4-triazole-3-yl)thio)acetate (propane, benzoic) acids. In the infrared spectra of the synthesized compounds, clear bands of oscillations of the symmetric and asymmetric groups COO^- in the range of 1408-1315 cm^{-1} and 1585-1527 cm^{-1} , respectively, were found.

Conclusions. In the course of the study two methods of synthesis of 2-((5-phenethyl-4-R-4*H*-1,2,4-triazole-3-yl)thio)acetate (propane, benzoic) acids were suggested, one of the methods was recommended as a preparative one. The structure of synthesized acids is confirmed by the complex use of elemental analysis and IR-spectrophotometry, and their individuality is confirmed by chromatography.

NEW QUINOLIN-4-ONE DERIVATIVES AS POTENTIAL ANTI-INFLAMMATORY AGENTS

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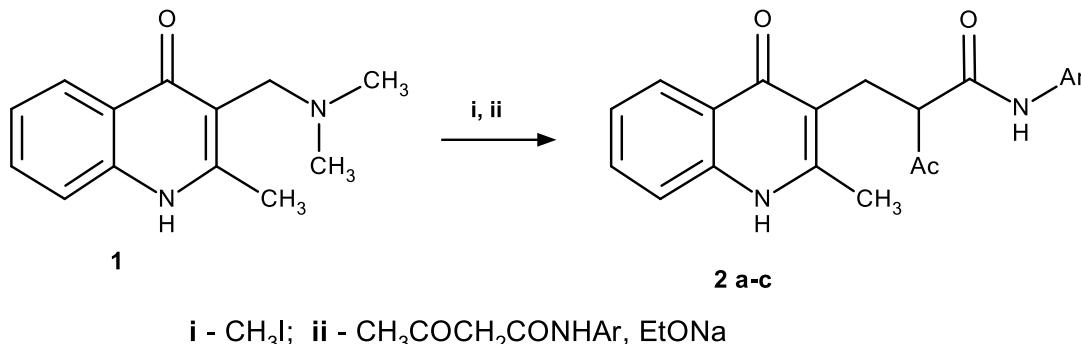
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Introduction. Inflammation is an adaptive response that is triggered by noxious stimuli and conditions, such as infection and tissue injury. In the last decades, considerable progress has been made in understanding the cellular and molecular events that are involved in the acute inflammatory response to infection and, to a lesser extent, to tissue injury. Thus, research and development of new anti-inflammatory drugs passes to new levels and is an actual problem of modern medical chemistry.

Aim. The main purpose of this work is the development and testing of in laboratory practice methods for the synthesis of 2-[(2-methyl-4-oxo-1,4-dihydroquinolin-3-yl)methyl]-3-oxo-N-phenylbutanamide derivatives as potential anti-inflammatory agents.

Materials and methods. Synthesis of the target compounds was carried out according to the following scheme starting from 3-[(dimethylamino)methyl]-2-methyl-1,4-dihydroquinolin-4-one and anilides of acetoacetic acid.



Results and discussion. Earlier it was found that ethyl 2-[(2-methyl-4-oxo-1,4-dihydroquinolin-3-yl)methyl]-3-oxobutanoate has anti-inflammatory activity at the level of the reference drug ibuprofen. The logical continuation of the work in this way is the synthesis of structural analogues of the lead substance and the first step in optimizing the structure was the synthesis of the corresponding anilides of 2-[(2-methyl-4-oxo-1,4-dihydroquinolin-3-yl) methyl] -3 -oxobutanoic acid

Conclusions. The tested method for the synthesis of new derivatives of 2 - [(2-methyl-4-oxo-1,4-dihydroquinolin-3-yl) methyl] -3-oxobutanoic acid is a convenient for building new libraries of compounds, because it is simple in design and has high yields of the final quinoline-4-ones.

RESEARCH OF ANTI-INFLAMMATORY ACTIVITY 4-ACYL-4-OXO-2-(2-PHENYLAMINOBENZOYL) HYDRAZONOBUTANOIC ACIDS

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Introduction. Acylacetylformic acids and their functional derivatives incorporating several reactionary centers are convenient synthons for synthesis acyclic and heterocyclic compounds, many of which possess biological activity. Throughout researches of reactionary ability acylacetylformic acids and also for search of new biological active agents, we have studied their interaction with hydrazide N-phenylanthranilic acid.

Aim. To study anti-inflammatory activity 4-acyl-4-oxo-2-(2-phenylaminobenzoyl) hydrazono butanoic acids.

Materials and methods. During heating equimolar of quantities reagents in the environment of an acetonitrile within 3-5 minutes 4-acyl-4-oxo2-(2-phenylaminobenzoyl) hydrazono butanoic acids have been educed.

The structure of the received compounds is established by methods IR, NMR¹H, NMR¹³C spectroscopy, mass-spectrometry. According to spectrum NMR¹H enhydrazinamide acylacetylformic acids in solution DMSO-d₆ exist in four tautomeric form A-D.