

Conclusions. The synthesis of derivatives of N1-(4-(4-R-phenyl)-1,3-thiazolyl-2)-N1-(4'-R'-phenyl)acetamide is made. The structure of the synthesized compounds is confirmed by the integrated use of modern physicochemical methods of analysis: ^1H -NMR spectroscopy and thin layer chromatography. The results of PASS prediction allow us to state that the synthesized substances have a fairly wide range of pharmacological activity.

SYNTHESIS OF 2-AMINO-4-ARYL-3-CYANOBENZOPYRANS BASED ON METHYL ESTER OF 2-HYDROXY-4-OXO-6-PHENYLCYCLOHEXENE-2-CARBOXYLIC ACID

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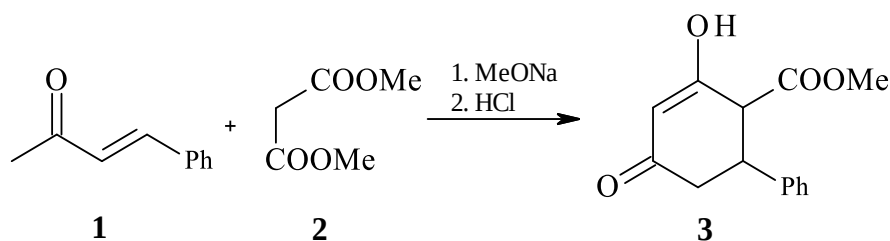
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Introduction. Progress in the pharmaceutical industry always accompanied by synthesis of new biologically active substances. A message about synthesis of fused 4-substituted 2-amino-4*H*-pyrans based on interaction of nitriles with cyclohexane-1,3-diones and aldehydes has recently shown up in literature. Compounds above are promising biologically active substances.

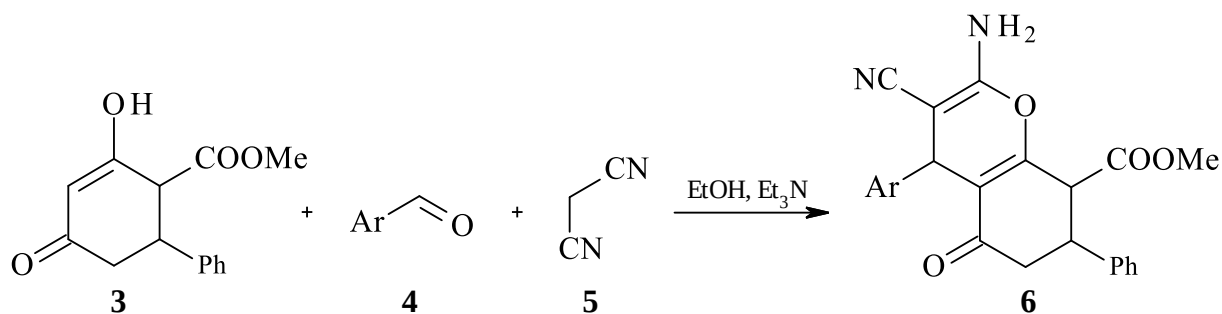
Aim. Current research was aimed to synthesize new derivatives of methyl ester of 2-hydroxy-4-oxo-6-phenylcyclohexene-2-carboxylic acid by interaction of benzylideneacetone with dimethyl malonate with further three-component interaction with aromatic aldehydes and malononitrile to obtaining new derivatives of 4-aryl-2-amino-3-cyanobenzopyrans.

Materials and methods. Starting compounds and reagents: benzylideneacetone, dimethyl malonate, aromatic aldehydes, malononitrile, triethylamine, ethanol. The methods of organic synthesis and IR-, ^1H NMR spectroscopy methods were applied in the course of the research.

Results and discussion. Interaction between benzylideneacetone (1) and dimethyl malonate (2) proceeds in the presence of sodium methylate with refluxing in ethanol for 3 hours as domino transformation by the «Michael addition / Claisen condensation» type. As a result, methyl ester of 2-hydroxy-4-oxo-6-phenylcyclohexene-2-carboxylic acid (3) was obtained:



By further three-component interaction of ester (3) with aromatic aldehydes (4) and malononitrile (5) in the presence of catalytic quantity of triethylamine in ethanol medium 2-amino-4-aryl-8-methoxycarbonyl-5-oxo-3-cyano-5,6,7,8-tetrahydro-7-phenyl-4*H*-chromenes (6) were synthesized with high yields:



Ar = Ph, p-MeOPh, p-NO₂Ph

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-triazol-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-triazol-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 $1408\text{--}1315\text{ cm}^{-1}$ and $1585\text{--}1527\text{ cm}^{-1}$, 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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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.