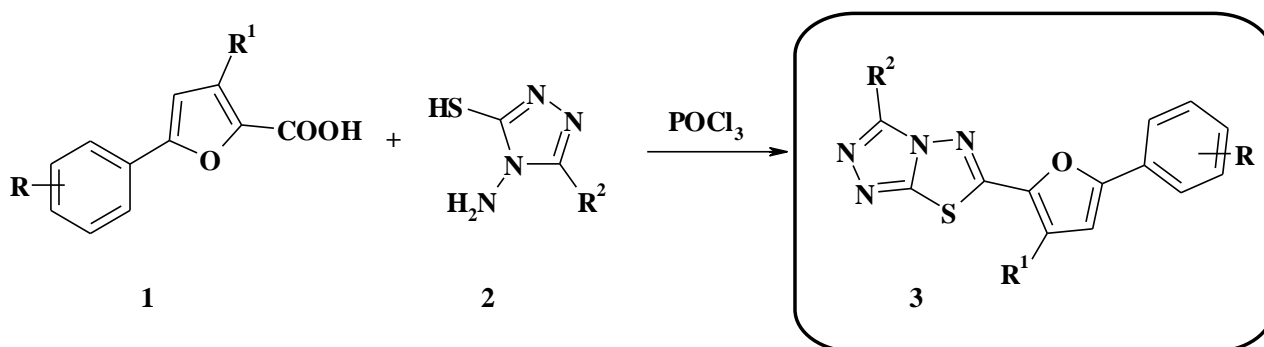


Obtained results. Cyclization of carboxylic acids with 5-substituted 4-amino-4*H*-1,2,4-triazolo-3-thioles is one of the most common methods for the synthesis of [1,2,4]triazolo[3,4-*b*][1,3,4]thiadiazole derivatives. Various aliphatic and aromatic carboxylic acids have been studied quite well in this cyclization. However, carboxylic acids of the heterocyclic series in the synthesis of [1,2,4]triazolo[3,4-*b*][1,3,4]thiadiazoles have been insufficiently researched. In this work, we carried out the synthesis of 3-*R*-6-(5-arylfuran-2-yl-[1,2,4]triazolo[3,4-*b*][1,3,4]thiadiazoles derivatives. For this purpose, we studied the interaction of 5-arylfuran-2-carboxylic acids **1** with 5-substituted 4-amino-4*H*-1,2,4-triazole-3-thioles **2**. It was found that when the above reagents are heated in phosphorus oxochloride, cyclization takes place with the closure of the thiadiazole cycle and the formation of [1,2,4]triazolo[3,4-*b*][1,3,4]thiadiazole system **3**. The structures of the obtained compounds were confirmed by ¹H NMR spectroscopy, mass spectroscopy and elemental analysis. All these new compounds gave spectroscopic data in accordance with the proposed structures.



The synthesized compounds were selected by the National Cancer Institute (NCI) Developmental Therapeutic Program for the *in vitro* cell line screening to investigate their anticancer activity.

Conclusions. The studied 3-*R*-6-(5-arylfuran-2-yl-[1,2,4]triazolo[3,4-*b*][1,3,4]thiadiazoles possess a pronounced selective anticancer activity, which gives grounds to consider this condensed systems as a promising molecular framework for the design of potential anticancer agents.

PROSPECTS FOR THE SEARCH FOR ANTI-TUBERCULOSIS AGENTS AMONG METHYL-SUBSTITUTED 5-NITRO-9-HYDRAZINOACRIDINES USING *IN SILICO* APPROACH

Yeromina H. O., Kolina A. O., Taran S. G., Ieromina Z. G.
National University of Pharmacy, Kharkiv, Ukraine
annerem2012@gmail.com

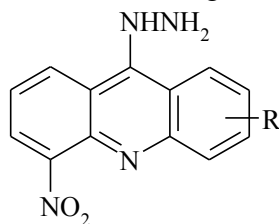
Introduction. Tuberculosis continues to grow and take the lives of the most able-bodied and productive age population in the country and around the world. To accelerate the eradication of tuberculosis, it is important to take action on all factors that determine the tuberculosis epidemic, improve the detection and diagnosis of new cases, strengthen the capacity of specialists, implement measures to minimize the risk of drug development and spread, expand treatment, care and support, as well as strengthen the monitoring and reporting system.

One of the effective ways to overcome drug resistance to anti-tuberculosis drugs is to create new effective substances with a new chemical structure.

ВІДКРИВАЄМО НОВЕ СТОРІЧЧЯ: ЗДОБУТКИ ТА ПЕРСПЕКТИВИ

According scientific literature data, acridine derivatives are prospective biologically active compounds.

Purpose of the research. The purpose of present work is to teste previously synthesized methyl-substituted 5-nitro-9-hydrazinoacridines (Figure) using in silico approach.



, where

1. R=1-CH₃; 2. R=2-CH₃; 3. R=3-CH₃; 4. R=2,3-(CH₃)₂.

Materials and methods. In silico studies of biological activity spectrum were carried out using PASS-online software. In silico studies of probably toxic effects were carried out using pkCSM-online software.

Obtained results. In silico studies of biological activity spectrum were successful: all investigated substance have a high probability (Pa 0.6-0.7) to be antimycobacterial and antituberculosic agents.

All substances probably will not cause skin sensitization, cardiotoxicity, but may be mutagenic. 5-nitro-9-hydrazinoacridines containing methyl-substituent in 1 and 3 position of acridine cycle (compounds **1** and **3**) probably are not hepatotoxic.

Conclusions. So, the results of in silico studies demonstrated, that prospective antituberculosic agents may be 5-nitro-9-hydrazinoacridines containing methyl-substituent in 1 and 3 position of acridine cycle.

АКТИВНІСТЬ МОДИФІКОВАНИХ ПОХІДНИХ КВЕРЦЕТИНУ PRUNUS ARMENIACA ЩОДО ГРАМПЗИТИВНИХ МІКРООРГАНІЗМІВ ЗА ДАНИМИ ПЕРВИННОГО МІКРОБІОЛОГІЧНОГО СКРИНІНГУ

Андреева І. Д., Осолодченко Т. П., Рябова І. С., Штикер Л. Г.

Державна установа "Інститут мікробіології та імунології ім. І.І. Мечникова Національної академії медичних наук України", Харків, Україна
idandreyeva@gmail.com

Вступ. Кверцетин має багато позитивних властивостей. Перспективними є спроби посилити лікарські властивості кверцетину шляхом його хімічних модифікацій.

Мета дослідження. Дослідження активності модифікованих похідних кверцетину Prunus armeniaca стосовно граммпозитивних мікроорганізмів.

Матеріали та методи. Проведено первинний мікробіологічний скринінг 35 екстрактів кверцетину, вилученого з навколопліднику абрикосу звичайного (Prunus armeniaca) та його модифікованих похідних. Усі модифікації кверцетину були отримані за допомогою біохімічних методів та охарактеризовані у Національному фармацевтичному університеті МОЗ України. Екстрагування природного кверцетину проведено 96,0 % етанолом. Визначення вмісту кверцетину у витяжках проведено спектрофотометричним методом. Вивчалися зразки з вмістом кверцетину 1,0 %, 2,0 % та 5,0 % у сухому залишку. Досліджено вплив на ступінь