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REGROUPING OF SYNTHESIS SERIES OF DERIVATIVES 2'-HYDROXYCHALCONES AND THEIR BIOLOGICAL ACTIVITY

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Abstract
The article discusses the rearrangement of the synthesized series of derivatives of 2'-hydroxychalcones, the structures of new compounds in the PMR spectra are proved, and the thionization reactions are studied. As a result of the research, anti-tuberculosis activity was found.

Keywords: synthesis, 2'-hydroxychalcone, PMR, thionation reaction, biological activity.

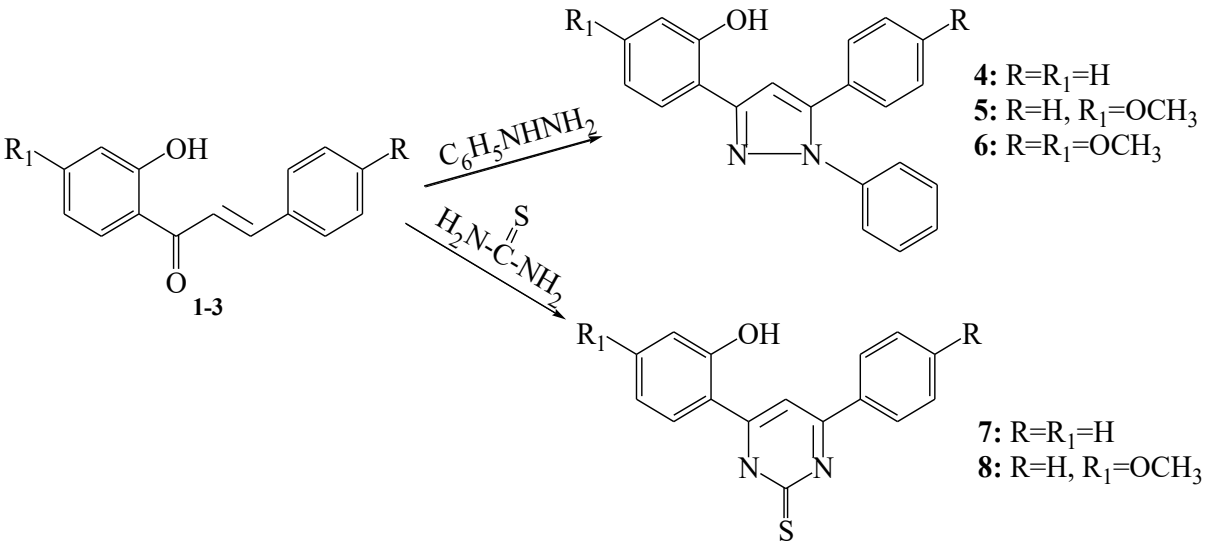
2'-Hydroxychalcones, being initial compounds in the synthesis of various types of flavonoids [1–3], are also used as irreplaceable key products for the preparation of various heterocyclic systems with a wide range of biological activity.
For this purpose, a number of nitrogen-containing derivatives of heterocyclic systems **4-8** were synthesized starting from chalcones **1-3**, obtained by an efficient modified method as a result of the condensation of a suitable 2'-hydroxyacetophenone with the corresponding aldehydes in the presence of DMF and powdered caustic potassium (yield up to 89%) [4].

Boiling substituted chalcones **1-3** in an alcoholic solution with phenylhydrazine gives the corresponding phenylpyrazolines **4-6** in a short time.

In the PMR spectra (in DMSO) of phenylpyrazolines **4-6**, the signals of the 4-CH_2 and 5-H protons of the pyrazoline ring form the ABX system: 3.9-4.0 ppm. (d.d, 1H, -HA); 3.2-3.8 ppm (d.d, 1H, -Hv); 5.3-5.5 ppm (d.d, 1H, -Hx); JAB=17.6-18.0Hz, JAX=6.6-6.96Hz, Jin=12.1-12.8Hz.

As an example of a reaction in which a carbonyl group is involved, the reaction (thionation reaction) of substituted chalcones **1-2** with thiourane was studied.

When chalcones **1-2** are heated with thiourane and sodium hydroxide in absolute ethanol, 2-thioxopyrimidines **7, 8** are formed. .d. compared to the original products.



Consequently, 2'-hydroxychalcones **1-3** under the influence of phenylhydrazine and thiourane rearrange into heterocyclic systems with substituents of different nature.

Taking into account the studies of the biological activity of a number of synthesized derivatives of chalcones [4, 5] and nitrogen-containing heterocyclic compounds [6–8], we continued the study of synthesized new derivatives of compounds **4–8**. The group of obtained synthetic analogs of natural flavonoids was transferred to the in vitro study for antimycobacterial activity against M. Tuberculosis H37Rv in BACTEC-12B and Erdman Tuberculosis Antimicrobial Acquisition and Coordinating Facility (TAACF), Southern Research Institute, USA in comparison

with rifampicin as a standard (effective dose 6.25 µg/ml). As a result of the studies, it was found that compounds **6** (69%) and **8** (50%) exhibit anti-tuberculosis activity. Thus, in this group of substances, compound **6** showed the greatest activity, but in terms of the magnitude of the pharmacological effect in the studied dose, it does not exceed the drug "Rifampicin". Apparently, in this case, the substituents of the series of compounds **4-8** do not affect the manifestation of anti-tuberculosis activity.

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