SYNTHESIS OF NEW 1- (4-OXOTHIAZOLIN-2-IL) PYRAZOLINES BASED ON CHALCONS

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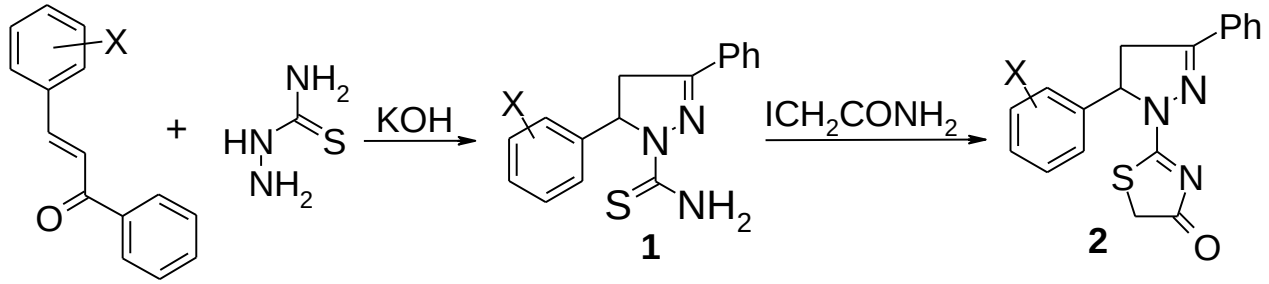
Heterocyclic compounds are a combination of pyrazoline and thiazoline fragments, are privileged structures - objects of study of medical chemistry due to a wide range of pharmacological properties. It was established that such compounds exhibit high antitumor, anti-inflammatory, antiviral, antimicrobial activity.

The synthesis of intermediate N-thiocarbamoylpyrazolines **1** was carried out by the cyclization reaction of the corresponding substituted chalcones with thiosemicarbazide by boiling in alcohol in an alkaline medium. Boiling in acetic acid recommended in some sources leads to worse results. For the formation of a thiazoline cycle based on 1, the use of chloroacetic acid and its derivatives has been proposed in the literature [1, 2].

We have shown that such heterocyclization using iodoacetamide occurs under mild conditions and with high yields.



X = 4-Cl; 4-F; 3-F; 4-OCH_3; 3-CF_3; 4-CF_3; 3,5-F_2

Fig.1. Scheme of synthesis of 1- (4-Oxothiazolin-2-il) pyrazolines

The reaction process and the purity of the obtained products were monitored by TLC (silica gel, eluent chloroform - ethanol, 24: 1). The structure of the obtained compounds was proved using 1H-NMR, IR and mass spectroscopy.

It is supposed to test some of the obtained compounds using models of such endocrinopathies as type 2 diabetes mellitus and hyperthyroidism in animals.

[1] El-Enany M. M. et al. Synthesis and antimicrobial activity of some 3, 5-diaryl-4, 5- dihydropyrazole derivatives //Oriental Journal of Chemistry. – 2010. – Vol. 26. – №. 4. – P. 1265.

[2] Havrylyuk D. et al. Synthesis and Anticancer and Antiviral Activities of New 2-Pyrazoline-Substituted 4-Thiazolidinones //Journal of Heterocyclic Chemistry. – 2013. – Vol. 50. – №. S1. – P. E55-E62.