CZU: 547.497

SYNTHESIS OF SOME THIOSEMICARBAZONES DERIVED FROM 1-PYRIDIN-2-YL-3-PHENYL-PROP-2-EN-1-ONES (CHALCONES) SUBSTITUTED IN THE PHENYL RING

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Thiosemicarbazones are organosulfur compounds with the general formula $H_2NC(S)NHN{=}CRR$, which possess a wide spectrum of medicinal properties, they are studied for their antibacterial, antiviral and antifungal activities. Because of these activities thiosemicarbazones have been clinically tested for a variety of diseases, such as tuberculosis, viral infections, malaria and cancer. Also, their complexes with metals show a wide range of biological activities. Chalcones or 1,3-diarylprop-2-en-1-ones belong to the flavonoid family, in which the aryl rings are linked by an α-β unsaturated ketone moiety. Their wide distribution in nature, as well as the simple methods of synthesis and the accessibility of the precursors has always encouraged the investigation of the possibilities of their application in medicine due to their activity: antimicrobial, anti-inflammatory, antimalarial, antitumor. Although there is a multitude of thiosemicarbazones in the literature, those derived from chalcones are very little studied. And the known structures show serious potential to be studied as biologically active substances.

Thiosemicarbazones of chalcones were obtained by the following method (Figure 1): to the molar equivalent of chalcone and thiosemicarbazide diluted in alcohol, a few drops of HCl are added to catalyze the reaction. The reaction mixture is vigorously stirred for 2-3 hours at temperatures between 35-45°C. The obtained solids were filtered and dried to be subjected to their physical and chemical studies. The yields are between 50-80%.

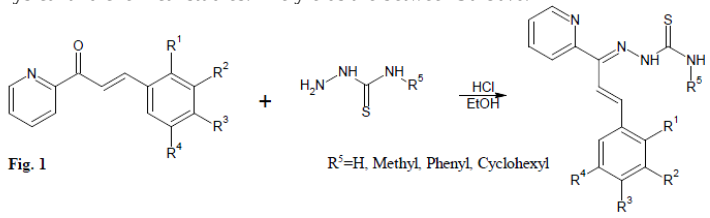


Fig. 1 R^5=H, Methyl, Phenyl, Cyclohexyl

The listed chalcones were obtained by aldol condensation (Fig.2) which is a synthesis within the field of green chemistry, where a solution of NaOH or Na_2CO_3 is added dropwise to the mixture of 2-acetylpyridine and the appropriate aldehyde in alcohol. The reaction mixture is stirred from 1 to 6 hours at room temperature. A solid is obtained, which is filtered, washed with water, then recrystallized from alcohol.

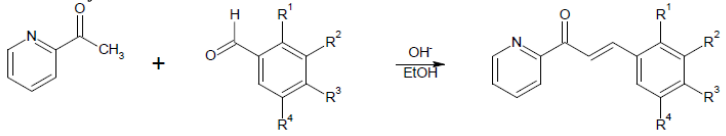


Fig. 2 I.R^1=R^4=OCH_3 II.R^2=R^3=OCH_3 III.R^2=R^3=R^4OCH_3

Keywords: Aldol condensation, biological activities, medicinal properties, thiosemicarbazones.