

Abstract

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Title of diploma thesis: Oxadiazoles as Potential Drugs

Due to the increasing resistance of bacteria and fungi against conventional drugs, it is imperative to design and develop new antibacterial or antifungal agents. In the theoretical part of this diploma thesis, I focused on the biological activities of 1,2,4-oxadiazoles, that are known as the compounds with promising future in this direction. They are very important heterocyclic compounds with various bioactivities, such as tyrosine kinase inhibition, muscarinic agonism, histamine H₃ antagonism, anti-inflammatory, antitumoral, antimicrobial and monoamine oxidase inhibition.

Methodical part resumes the most important procedures for the preparation of 1,2,4-oxadiazoles.

In the experimental part of this study, six new oxadiazole derivatives have been synthesized. 5-Methyl-3-pyrazin-2-yl-1,2,4-oxadiazoles variably alkylated in position 5 of the pyrazine ring resulted from cyclization of corresponding pyrazin-2-carboximidamides with acetic anhydride. Starting compounds for cyclization were available in our laboratory. In case of absence, they were prepared by radical alkylation of pyrazin-2-carbonitrile and by subsequent transformation to corresponding amidoximes with hydroxylamine hydrochloride.

None of these compounds have been reported yet. They have been characterized by melting points, IR and NMR spectra. Their purity was checked by TLC and elemental analysis.

The compounds were tested *in vitro* for their antifungal and antibacterial activity. They have weak or no inhibition effect against the selected strains of fungi and bacteria including *Mycobacterium smegmatis*.