ABSTRACT

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Title of diploma thesis: Oxadiazoles as potential drugs III.

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Theoretical part of this diploma thesis summarises biological activity of 1,2,4-oxadiazoles, while focusing primarily on their antimycobacterial, antibacterial and antifungal effects. 1,2,4-Oxadiazoles are compounds with versatile biological applications, therefore their antioxidant, antiinflammatory, analgesic and cytostatic activity is also mentioned.

Based on the facts in the theoretical part, it is perceptible, that 1,2,4-oxadiazoles are substances with great therapeutical potential not only for the treatment of tuberculosis, but also many other diseases. Thus, the experimental part of this work is focused on the synthesis of 5-substituted 3-pyrazinyl-1,2,4-oxadiazoles followed by evaluation of their antibacterial, antifungal and most importantly antimycobacterial activity. Synthesic procedure for each compound is also included. Nine compounds were successfully synthesized, six of them were prepared by reaction of *N′*-hydroxypyrazine-2-carboximidamide with different carboxylic acid anhydrides and three of them by reaction of *N′*-hydroxypyrazine-2-carboximidamide with different carboxylic acids. Reactions using *N′*-hydroxypyrazine-2-carbimidoylchloride and nitriles as reactants and reaction using nitrile and aldehyde performed under microwave irradiation did not lead to expected 1,2,4-oxadiazoles. Another set of reactions was performed under microwave irradiation with the aim to obtain methanone-oximes. Neither of these reactions lead to expected products.

Six of the synthesized compounds have not been reported in literature yet and are therefore considered to be novel. The other three compounds have already been described. All the prepared compounds have been characterized by their melting points, IR and NMR spectra. The purity of solid compounds has been verified with elemental analysis and the purity of liquid ones with HPLC.

All the prepared compounds were tested for their *in vitro* antimycobacterial, antibacterial and antifungal activity. Three compounds exhibited moderate antimycobacterial activity against certain mycobacterial strains. One of these compounds exhibited also moderate antifungal activity. None of the tested compounds exhibited relevant activity against other bacterial strains, compounds were active selectively against mycobacteria.