

ABSTRACT

Charles University in Prague, Faculty of Pharmacy in Hradec Králové

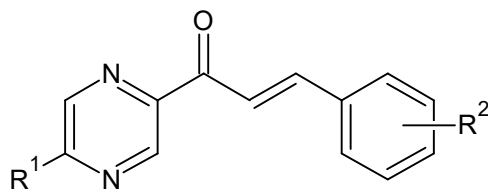
Department of **Pharmaceutical Chemistry and Drug Control**

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Title of Thesis **Chalcones and their analogues as potential drugs X.**

Theoretical part of the thesis is devoted to current possibilities in the treatment of mycoses. Some examples of natural chalcones with antifungal properties are given, and various modifications of their structures and the influence of these modifications on antifungal activity are described. Experimental part deals with the synthesis of fluorinated (*2E*)-3-phenyl-1-pyrazin-2-ylprop-2-en-1-ones (Obr. 1).



$R^1 = \text{H, } tert\text{-butyl, isobutyl, butyl, propyl}$

$R^2 = 2\text{-F, } 4\text{-F}$

Obr. 1 Structure of the studied compounds

The compounds were obtained by the Claisen-Schmidt condensation in pyridine using diethylamine as condensing agent. The syntheses yielded one compound already reported in literature and four novel analogs. The structures of the products were corroborated by means of IR and NMR spectra and their purity checked by melting point and elemental analysis. Antifungal activity of the prepared compounds was evaluated by microdilution broth method against eight strains of pathogenic fungi. The highest potency in both series exhibited derivatives with $R^1 = \text{H}$. They were more or less active against yeasts of *Candida* spp. (MIC = 15.63–125 $\mu\text{mol/l}$) and dermatophyte *Trichophyton mentagrophytes* (MIC = 7.81–15.63 $\mu\text{mol/l}$). Their potency was comparable with previously prepared (*2E*)-3-phenyl-1-pyrazin-2-ylprop-2-en-1-ones, where $R^1 = R^2 = \text{H}$.