

# 1 SUMMARY

This dissertation thesis deals with searching for potential drugs, particularly with preparation and biological activity study of natural compounds derivatives – chalcones. The work includes preparation of intermediates – 5-alkylated pyrazin-2-carbonitriles and 1-pyrazinyl-2-ethanones. Substituted chalcones, several series of pyrazine analogues of chalcones and Michael adducts of chalcones with different thiols were prepared as final products. In this part of the work, known reaction methodologies were used. Products were identified by melting point, resp. boiling point, IR, NMR spectra. Their purity was checked by elemental analysis. In the case of liquids, elemental analysis could not be performed, and MS spectra were recorded instead.

In total were prepared

- 22 intermediates (9 of them have been described in our department)
- 62 final products (19 of them have been already described)
- 1 by-product

57 Unknown compounds were prepared. Synthesis of 12 compounds was not successful.

The final products were tested for their antimycobacterial, antifungal, antialgal, and antiaggregating effects. The chalcones were examined for the ability to inhibit one of the cysteine protease – papain and this part of the work continued in a preliminary kinetic study of reaction addition of chalcones with thiol-containing compounds.

The minor part of this work deals with synthesis of 2-benzyl 2-hydroxybenzofuran-3(2*H*)-one, as a natural auronol. In this section, reaction conditions for hydrogenation of aurone epoxide, that was prepared from corresponding aurone, were optimized. This three step-synthesis furnished not only the desired product, the presence of its isomer (diketone) was detected as well and 1-(2-hydroxyphenyl) 3-phenyl 2-hydroxypropan-1-one was obtained.

In the frame of searching for appropriate conditions for the natural auronol synthesis were prepared

- 3 compounds and 1 isomer (formerly described)
- 1 by-products (formerly described)

and a simple three step-synthesis of the natural substance was described.