ABSTRACT

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Title of Doctoral Thesis:	Design and synthesis of new potentially antibacterial active compounds

Tuberculosis (TB) and its resistant forms are one of the most often causes of death worldwide. It is therefore a matter of interest for many scientific groups, as well as a notable global risk of increasing resistance of bacteria and fungi, which must also be dealt with. The introduction of doctoral thesis deals with the TB in terms of epidemiology, pathogenesis, *Mycobacterium tuberculosis* and its current treatment, as well as its resistance problems. This work also present four basic structures, which then form the basic building blocks for the experimental part. It is triclosan, a direct inhibitor of mycobacterial enoyl-ACP reductase, antimicrobial highly effective salicylanilides, isoniazid as one of the most important antituberculotic drugs and *p*-aminosalicylic acid, which is a second line antituberculotic agent.

The experimental part deals with variations of these structures leading to biological response, respectively antimycobacterial, antibacterial, antifungal and cytotoxic activity. The obtained results are discussed in relation the structure of derivatives to their biological activity. For this purpose was prepared a library of 98 unpublished and 93 published derivatives (four attached publications). Some of these prepared analogues have achieved very high inhibition values comparable to standards such as isoniazid, bacitracin or fluconazole. In addition, inhibition activities of prepared compounds covered all spectrum of selected microorganisms and sometimes was reached very low toxicity values which is one of the important factors for the application of the drug in the therapy.