ABSTRACT

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Title of Doctoral Thesis:	Synthesis and Design of Potentially Antibacterial Active Compounds

This work deals with the synthesis of benzanilides and their analogues as the potentially antimycobacterial active compounds. In the theoretical part of the work there is a description of tuberculosis (TBC) as a mycobacterial infectious disease and a short characteristic of recent antituberculotic drugs. The most important features of both, which determine the imperfection of recent antitubeculotics and the long-term multidrug TBC treatment, are emphasised. Further, some of the potential targets, useful for the development of new and more effective antituberculotics, are reviewed. Finally, there is a short overview of biological properties of benzanilides and thiobenzanilides and a more in depth characteristic of stereochemical properties of these compounds.

In the experimental part of the work there are presented 100 benzanilide derivatives and their analogues. Ninety-two of these compounds are original, which have not been described in literature yet. For all of the compounds there are presented the results of *in vitro* antimycobacterial evaluation against *Mycobacterium tuberculosis* $H_{37}Rv$, *Mycobacterium avium*, and two strains of *Mycobacterium kansasii*. The prepared compounds are as well as characterised by their ability to act as an *in vitro* inhibitor of the enzyme isocitrate lyase, an important persistant factor of *M. tuberculosis*. The next part of the work concerns with the means and the results of conformational study of CS-NH, Ar-CSNH and Ar-NHCS bonds of 2-methoxy-2´-hydroxythiobenzanilides. The obtained results are compared with recent knowledge.

Keywords:

Tuberculosis, antituberculotics, persistence, state of dormancy, isocitrate lyase, benzanilides, thiobenzanilides, conformation of thiobenzanilides.