

Abstract

This bachelor thesis is concerned with newly synthesized compounds which have potential to be used in treatment of microbial infections or contribute to synthesis of novel, more convenient compounds. At first, it deals with bacterial resistance and related facts. Then, it summarizes possible modifications of drugs to obtain novel bioactive substances or to improve properties of already known drugs.

The thesis summarizes some new amino acid derivatives of antimicrobial active agents. First, it describes primaquine analogues where acylation of primary amino group seems to be promising for the antimalarial activity, then it summarizes promising compounds with antibacterial activity, e.g., derivatives of fluoroquinolones or curcumin with physiological and unnatural amino acids. Finally, it deals with antimycobacterial agents – salicylanilide derivatives for treatment of tuberculosis and antileprotic dapsone derivatives to increase its solubility.

In conclusion, peptide and amino acid derivatives can be effectively used to increase activity or to modify disadvantageous properties of small molecules (e.g., toxicity or solubility issues).

Keywords

Amino acid derivatives, drug modification, microbial resistance, peptides, prodrugs