ABSTRACT

Charles University, Faculty of Pharmacy in Hradec Králové

Department of Pharmaceutical Chemistry and Pharmaceutical Analysis

Student: Adam Anthony Needle

Supervisor: PharmDr. Marta Kučerová, Ph.D.

Consultant: PharmDr. Petr Šlechta

Title of diploma thesis: Synthesis and Evaluation of Benzoxaborole Derivatives as Potential Antimicrobial Compounds

It has been revealed, that benzoxaborole moiety can exert OBORT (oxaborole tRNA trapping) mechanism leading to protein synthesis cessation in microorganisms. This work focused on the synthesis and primary *in vitro* evaluation of *N*-(1-hydroxy-1,3-dihydrobenzo[*c*][1,2]oxaborol-6-yl)(hetero)aryl-2-carboxamide series. The compounds were prepared by reaction between activated (hetero)aryl carboxylic acid and 6-amino group of benzoxaborole moiety *via* amidic bond formation. Ten compounds were successfully prepared and tested *in vitro* against clinically important strains of bacteria, fungi and mycobacteria. Noticeable activity against several mycobacterial strains was revealed and the human cell cytotoxicity screening showed low toxicity. This outcome could originate in differences between active sites of human and mycobacterial target enzyme. This series of substances showed selective antimycobacterial properties and may streamline the search for novel anti-tuberculosis drugs.