

ABSTRACT:

Charles University in Prague

Faculty of Pharmacy in Hradec Králové

Department of Inorganic and Organic Chemistry

Candidate: Věra Ježková

Consultant: PharmDr. Marcel Špulák, PhD.

Title of Thesis: Benzodiazines as compounds with potential bronchodilatory effect

The most active compounds from previous screening contained (piperidine-1-yl)propyl moiety attached to quinazoline or quinoxaline rings. The goal of this project was to introduce hydroxyl into the three-membered carbon linker with a possibility of further modification.

The synthesis was carried out employing the reaction of commercially available quinazolinone and racemic epichlorohydrin leading to epoxide which undergoes nucleophilic attack resulting in hydroxyquinazolinones. Similarly, this reaction sequence was applied on quinoxalinone.

However, the attempts with sulphur analogue of quinazolinone and quinoxalinone failed.

All prepared compounds have been evaluated for their bronchodilatory activity. The results indicated similar level of bronchodilatory effect as their precursors, except one with cyclohexylamine ring, which showed higher bronchodilatory effect.