

# ABSTRACT

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Title of Thesis **Benzodiazine derivatives as compounds with potential bronchodilatory activity**

This thesis describes the synthesis of various benzodiazines, in this case derived from quinazoline and quinoxaline. We followed a synthesis of quinazoline derivatives structurally analogous to vasicine and vasicinone, alkaloids isolated from a plant *Adhatoda vasica*.

The original derivatives were tested by the common in vitro model of isolated rat trachea. Two compounds, 4-[3-(piperidine-1-yl)propyloxy]quinazoline and 4-[3-(piperidine-1-yl)propylsulfanyl]quinazoline, showed promising bronchodilatory activities. Therefore, we selected these molecules as model structures for our further syntheses.

The first group of compounds was synthesized by oxidation of 4-[3-(piperidine-1-yl)propyloxy]quinazoline. We oxidated a nitrogen atom in the piperidine side chain of the parent molecule and we succeeded to create, in two steps, derivative based on quinazoline-1-oxide.

Attempts to oxidize a sulphur atom in 4-[3-(piperidine-1-yl)propylsulfanyl]quinazoline were due to oxidative desulfuration unsuccessful, the only isolated product of these reactions was quinazoline-4-ol.

The last group of prepared derivatives contained quinoxaline analogues of two above-mentioned bronchodilatory active quinazolines.

In summary, we synthesized five compounds which were submitted for an evaluation of their bronchodilatory activity. None of the tested compounds displayed higher bronchodilatory effect than parent 4-[3-(piperidine-1-yl)propylsulfanyl]quinazoline.