Abstract

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Title of diploma thesis: Derivatives of Amaryllidaceae alkaloids and their their biological activity: Derivatives of tazettine I

A study conducted between 1981 and 2014 found that 65% of small molecule based drugs are related to natural substances. The plants of the family Amaryllidaceae contain a particular and still not fully investigated group of alkaloids called Amaryllidaceae alkaloids. Their important biological effects include, for example, antiviral, antibacterial, antifungal, antiparasitic, cytotoxic and, in particular, motor-neuronal system-mediated effects mediated by inhibition of cholinesterases.

A widespread Amaryllidaceae alkaloid is tazettine, a structural type alkaloid of tazettine that has increased interest in the early 1970s due to its cytotoxic acitivity, but appears less interesting in the studies conducted.

The subject of this thesis was the preparation of tazettin alkaloid derivatives. The cholinesterase inhibitory activity was then tested. Cytotoxic activity in a panel of selected tumor and healthy cell lines was studied by screening.

Unfortunately, none of the derivatives prepared by us showed an interesting inhibitory potential against cholinesterases and due to the low values of inhibition of both enzymes, the prepared derivatives are not useful in the therapy of neurodegenerative diseases. In addition, none of the prepared derivatives showed significant cytotoxic activity. Alkaloid tazettine does not appear to be a therapeutic agent at this time.

Key words: tazettin, alkaloid, derivatives, cytotoxicity, cholinesterases