ABSTRACT

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Title of diploma thesis: Derivatives of Amaryllidaceae Alkaloids as Drugs

Plants of the family Amaryllidaceae belong to the widespread species. They contain a large amount of Amaryllidaceae alkaloids (AA) which are known for their biological activity. AA possess a broad spectrum of biological activities including an antiviral, antimalarial, antitumor, cholinesterase's inhibitory activity and others.

An interesting AA is ambelline which occurs mainly in plants of the genus Crinum and Nerine. So far the biological activity of this compound has been studied only marginally. In the studies conducted, this substance appears to be less interesting.

A series of aliphatic and aromatic derivatives of ambelline has been prepared in the framework of this thesis. Subsequently, their cholinesterase inhibitory activity and GSK-3 β inhibitory activity were studied. Cytotoxic activity on a panel of selected tumor and resting cell lines was also screened.

Of the prepared derivatives, LC-125 (3-methoxybenzoylambellin) had an interesting biological activity. This substance showed a promising activity in all biological studies. GSK-3β inhibitory activity is characterized by an IC₅₀ = 93.32 μ M. In addition, LC-125 appears to be a selective butyrylcholinesterase inhibitor with an IC₅₀ = $6.2 \pm 0.4 \mu M$. This derivative also had a mild cytotoxic activity.

Keywords: ambelline, derivatives, Amaryllidaceae family, alkaloids, antitumor activity, Alzheimer's disease