

ABSTRACT

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Title of diploma thesis: Alkaloids of family Amaryllidaceae and their analogues as potential drugs

The object of this diploma thesis was to prepare derivatives of alkaloids of Amaryllidaceae family and to deal with their biological activity. These alkaloids are famous for their antibacterial, antiinfectives, antifungal, antimalarial and inhibitory activity against AChE, BuChE and POP and also for cytotoxic effect against cell lines.

In the current studies about anticancer activity it was found that the most active alkaloids are Amaryllidaceae alkaloids of these types: lycorine, crinane and pancratistatine. Their biological activity relates closely with their structure. The changes of different parts of the structure can explain the relationship between structure and activity, and also the importance of their organization which is necessary for starting the activity.

Based on this finding were for the experiments chosen alkaloids like haemanthamine, haemanthidine and lycorine. An eleven derivatives were prepared and identified mostly by GC-MS and NMR. These derivatives were tested on a wide spectrum of tumor lines. Unfortunately, none of the synthesized substances had shown sufficient cytotoxicity against tumor lines and without affecting healthy and quiescent cells. Therefore it seems that the prepared substances will not be used for future experiments in case of toxicity to tumor lines. For the future experiments seems promising 1,2-di-*O*-isobutyryllycorine ($IC_{50} = 36,21 \pm 3,77 \mu M$) towards BuChE and 11-*O*-propionyhaemanthamine ($IC_{50} = 0,284 \pm 0,011 \mu M$) towards POP.

Keywords: Amaryllidaceae, anticancer activity, POP, alkaloids, haemanthamine, haemanthidine, lycorine