ABSTRACT

Valová D.: *Vinca minor* L. alkaloids and their biological activity III. Diploma thesis, Charles University, Faculty of Pharmacy in Hradec Králové, Department of Pharmaceutical Botany, Hradec Králové, 2020, 75 p.

Alzheimer's disease (AD) is a progressive, neurodegenerative disorder and it's the most common form of dementia. Because we're still not able to treat the cause of disease, searching for a new substance is relevant. This thesis is focused on isolation of alkaloids from a *Vinca minor* L. alkaloidal extract as a potential drug.

The preparation and column chromatography of the summary extract were performed by Ing. Miroslav Ločárek as a part of his doctoral studies. Subsequent preparative TLC led to the isolation of three compounds. The chemical structures of the isolated alkaloids were elucidated by means of optical rotation, NMR and MS analyses and by comparison of the obtained data with those in the literature. One of the compounds was determined as(–)-vincine, other two compounds have not been isolated yet.

Isolated compounds were also tested for their biological activity. Vincine, DV-1 a DV-3 were tested for their ability to inhibit acetylcholinesterase (AChE) and butyrylcholinesterase (BuChE). Additionally, vincine and DV-3 were also tested for their inhibitory activity on prolyl oligopeptidase (POP) and glycogen synthase kinase 3β (GSK-3β).

The isolated alkaloids were considered almost inactive on AChE and BuChE (IC_{50} > 100 μM; IC_{50} > 100 μM) in all of cases. In comparison to the standards, the ability to inhibit POP wasn't significant in case of vincine (IC_{50} = 346 ± 30 μM) nor DV-3 (IC_{50} = 445 ±28 μM). Inhibitory activity on GSK-3β is quite significant, in the case of vincine (% inhibition = 93,67 ± 8,95) or DV-3 (% inhibition = 84,17 ± 4,97).

Because of their significant inhibitory activity on GSK-3β, these compounds could have promise as a lead structures for the development of new potential substances for AD treatment.

Key words: *Vinca minor,* alkaloids, biological activity.