ABSTRACT

Miklová V.: Cytotoxic and cholinesterase inhibitory activity of extracts from selected species of the Centaurea L. genus II. Diploma thesis, Charles University, Faculty of Pharmacy in Hradec Králové, Department of Pharmaceutical Botany, Hradec Králové, 2020.

This Diploma Thesis was carried out at the Department of Pharmaceutical Botany FAF UK and it is a part of a screening of biologically active secondary metabolites of selected on taxa of the genera Centaurea L. and Psephellus L. in the family Asteraceae. Secondary metabolites are responsible for various effects on the human body. The study is focused on the phytochemical research of extracts prepared from aerial parts (cauloms with leaves) of Centaurea cyanus L., Centaurea stoebe L., Cyanus montanus (L.) Hill, Centaurea benedicta L., Centaurea jacea L., Centaurea macrocephala Muss. Puschk. ex. Willd, Centaurea solstitialis L., Centaurea nigra L., Centaurea scabiosa L., Psephellus bellus (Trautv.) Wagenitz, Centaurea pannonica (Heuff.) Hayek and Psephellus dealbatus (Willd) K. Koch.

Both ethyl acetate and summary ethanolic extracts were prepared for detection of individual groups of secondary metabolites by thin-layer chromatography. Consistently with previously published results, sesquiterpenes, flavonoids, coumarins, phenolic compounds, steroids and terpenes were detected in the extracts. Centaurea benedict L. and Centaurea macrocephal Muss. Puschk. ex Willd extracts gave a positive reaction for the presence of cardioactive glycosides was observed. In this case, it is clearly a false positive reaction. This reaction indicates the presence of compounds containing unsaturated lactone (sequiterpene lactones). On the contrary, presence of alkaloids, carboxylic acids and anthracenes in the extracts of the plants was not detected. Finally, secondary metabolites detected by thin-layer chromatography would be verified by qualitative analysis using mass detection, e.g. with GC-MS or LC-MS, since also some selected reagents can give false positive (negative) responses.

Within the Diploma Thesis, inhibitory activity of ethyl acetate extracts against acetylcholinesterase (AChE) and butyrylcholinesterase (BChE) was tested. All ethyl acetate extracts were considered inactive towards cholinesterases, since their IC50 AChE and IC50 BChE values were higher than 100 μg/ml. Galanthamine, Huperzine A and eserine were used as standards for measuring inhibitory activity of AChE and BChE.
Furthermore, also cytotoxic activity of selected extracts was measured against 9 carcinoma cell lines and one non-carcinoma cell line. Four ethyl acetate extracts of the species Centaurea benedicta, Centaurea cyanus, Centaurea stoebe, and Centaurea jacea were tested. Other extracts are currently being measured and the results of the research their cytotoxic activity was not known at the time of submission of this thesis. The Centaurea stoebe extract showed a significant cytotoxic effect against the carcinoma cell line MOULT-4 (4 ± 1 %), and also the Centaurea cyanus extract demonstrated a potent cytotoxic activity, but against the carcinoma cell line A2780 (3 ± 0 %). Compared to doxorubicin, used as a standard, cytotoxic activity of extracts against the tested lines is relatively potent. thus, secondary metabolites included in Centaurea stoebe and Centaurea cyanus, whose cytotoxic effect showed the most significant activity, may be of benefit to further study. These extracts appear to be prospective for further study in order to isolate biologically active metabolites.

Key words: Centaurea L., Psephellus L., Alzheimer’s Disease, cytotoxicity, secondary metabolites, acetylcholinesterase, butyrylcholinesterase