Abstract

Faschingbauer J.: Cytotoxic and cholinesterase inhibitory activity of extracts from selected species of the *Centaurea* L. genus. Diploma thesis, Charles University, Faculty of Pharmacy in Hradec Králové, Department of Pharmaceutical Botany, Hradec Králové, 2019.

During the screening of biologically active secondary metabolites of plants carried out at the Department of Pharmaceutical Botany FAF UK, selected taxa of the genus *Centaurea* (Asteraceae) were investigated. This study is focused on a basic phytohemical research of extracts prepared from *Centaurea cyanus*, *Centaurea jacea, Centaurea scabiosa, Centaurea pseudophrygia, Centuarea stoebe, Centaurea solstitialis a Centaurea benedicta*. Extracts were prepared for evidence of the proof reactions of TLC and MS analysis (EI, ESI) to clarify a potential presence of alkaloids. EtOAc and ethanol extracts were evaluated for potential inhibitory activity against human erythrocyte acetylcholinesterase (AChE) and plasma butyrylcholinesterase (BChE) and cytotoxicity against selected 9 tumor lines. *C. cyanus* alkaloid extract had interesting cholinesterase activity which selectively inhibited BChE ($IC_{50\ BChE}$ = 22.62 ± 3.62 µg / ml, $IC_{50\ AChE}$ = 221.50 ± 44.56 g / ml). Other EtOAc extracts of selected *Centaurea* species were considered inactive (IC_{50} > 100 µg/ml). Summary ethanol extracts were inactive against ChE inhibition aktivity. Based on obtained results, alkaloidal extract of *Centaurea cyanus* seems to be interesting for further investigation.

Isolated arctiin and matairesinosid were measured for inhibition activity against POP. Standars were fyzostigmin, bajkalin and *Z*-pro-prolinal. However, none of the substances showed activity against POP.

Within this diploma thesis, pure compounds arctiin and matairesinoside were isolated, both compounds in biological tests focused on inhibition AChE, BChE, and POP were considered inactive. However, their aglycons arctigenin and matairesinol were also prepared, which according to the literature possess very interesting cytotoxic effects.

The cytotoxic activity of EtOAc extracts was evaluated at screening concentrations of 50 µg / ml against the tumor lines Jurkat, MOLT-4, A549, HT-29, PANC-1, A2780, HeLa, MCF-7, SAOS-2 and MRC-5 non-tumor lines wasused as a control lines. Doxorubicin (1 µM) was used as a positive standard. The cytotoxic activity of the extracts was expressed as cell viability after 48 hours and the values were expressed as percentages relative to the control (100%). The *C. cyanus* alkaloid extract had the best cytotoxic activity and was slightly effective against MOLT-4 (16 ± 7% viability). However, the cytotoxic activity of EtOAc extracts were low against the selected tumor lines in comparison compared to the standard doxorubicin.