ABSTRACT

Kohelová E: Alkaloids of Amaryllidaceae family: isolation, structural identification, biological activity. II

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The aim of the diploma thesis was a preparation of alkaloidal extract from fresh bulbs of *Narcissus* cv. PROFESSOR EINSTEIN to izolate two Amaryllidaceae alkaloids by column and thin layer chromatography. Subsequently these alkaloids were subjected to structural MS and NMR analysis and tested for biological activity against human cholinesterases (HuAChE and HuBuChE) and for cytotoxic activity. In cooperation with the Faculty of Agrobiology, Food and Natural Resources, Czech University of Life Sciences Prague, antifungal and antimicrobial activities of alkaloids were tested, and in cooperation with Faculdade de Medicina da Universidade de Lisboa Portugal antimalarial activity of alkaloids was studied. Isolated substances were identified as galanthamine, caranine and pluviine. Pluviine was isolated in an amount allowing only structural analysis.

In the test for determining cholinesterase inhibitory activity of caranine the following values were obtained: $IC_{50,\ HuAChE}$ = 320 ± 42 µM a $IC_{50,\ HuBuChE}$ = 486 ± 56 µM. Galanthamine ($IC_{50,\ HuAChE}$ = 1,71 ± 0,07 µM, $IC_{50,\ HuBuChE}$ = 42,30± 1,30 µM), was used as a positive control.

In the test for determining of prolyl oligopeptidase inhibitory activity of galanthamine and caranine the following values were measured; galanthamine: IC_{50} > 1000 mM, caranine: IC_{50} > 1000 mM. Z-Pro-prolinal (IC_{50}= 3,27 * 10^{-6} mM) and berberine (IC50=0,14 ± 0,02 mM) were used as positive control.

In the test for determining cytotoxic activity of galanthamine and caranine the following values were obtained; galanthamine: $IC_{50,\ Caco\text{-}2}$= 61,43 ± 1,56 µM, $IC_{50,\ HT\text{-}29}$= 49,27 ± 2,38 µM), caranine: $IC_{50,\ Caco\text{-}2}$= 64,43 ± 4,48 µM, $IC_{50,\ HT\text{-}29}$=46,56 ± 1,81 µM. Vinorelbine ($IC_{50,\ Caco\text{-}2}$= 0,03 ± 0,00 µM, $IC_{50,\ HT\text{-}29}$= NT) was used as positive control. For cytotoxicity assays were used colon epithelial cell lines, Caco-2 and HT-29.

In the test for determining antifungal, antimicrobial and antimalarial activity of galanthamine and caranine, no interesting values were measured.

Keywords: *Narcissus* cv. PROFESSOR EINSTEIN, Amaryllidaceae, Alzheimer disease, acetylcholinesterase, caranine, galanthamine, pluviine