Abstract

This thesis deals with effects of some chosen 7-methoxitacrine (7-MEOTA) analogues on enzymatic activity of acetylcholinesterase (AChE). 7-MEOTA is a derivative of tacrine, which had been used for symptomatic treatment of Alzheimer's disease (AD), until drugs with better therapeutic index were developed. 7-MEOTA the same way as tacrine therapeutically acts by inhibition of acetylcholinesterase and a neurotransmitter acetylcholine rise in the organism. It shows similar strength and type of inhibition, but it’s less toxic contrary to tacrine. Some of the previously examined analogues of 7-MEOTA were as strong or even stronger AChE inhibitors than 7-MEOTA and so promising future medicaments. However, all the compounds analyzed in this thesis showed weaker enzymatic reaction inhibition and AChE affinity. For each of the examined compounds IC$_{50}$, K$_i$ and K$_{i^*}$ were calculated and AChE inhibition type was determined. All the 7-MEOTA analogues showed a mixed type of the inhibition. The theoretical part of this thesis deals with manifestations and origins of AD, its genetic factors etc. and tries to show some of the anthropological findings a theories connected with the theme.