

Preparation and *in vitro* screening of quaternary inhibitors of acetylcholinesterase

Abstract

Reversible acetylcholinesterase (AChE) inhibitors are extensively used in human medicine. Among other applications they are used as a pre-exposure treatment for the prevention of organophosphorus poisoning. For this purpose carbamate inhibitors are recently used (e.g. pyridostigmine chloride). Carbamates reversibly block AChE active site and thus protect AChE against irreversible inhibition by organophosphorus compounds. However, these drugs have many undesirable side-effects and thus there are efforts to find a more suitable alternative among reversible AChE inhibitors.

In this diploma thesis, 19 potential AChE inhibitors were prepared. Their ability to inhibit AChE and butyrylcholinesterase was evaluated *in vitro* and compared to selective standard cholinesterase inhibitors BW284c51 and ethopropazine. None of the prepared compounds was superior to used standards in inhibitory ability or selectivity. The structure activity relationship of the novel compounds was determined from the obtained data.