Abstract

Charles University Faculty of Pharmacy in Hradec Králové Department of Pharmacology and Toxicology Student: Ondrej Tomáš Supervisor: PharmDr. Marie Vopršalová, CSc. Consultant supervisor: mjr. PharmDr. Vendula Hepnarová, Ph.D. Title of diploma thesis: Evaluation of newly prepared insecticides *in vitro*

Malaria is a widespread infection and one of the most dangerous diseases transmitted by insects. It threatens lives of millions of people all around the world, thus its regulation is necessary. Most common malaria vectors are mosquitoes of genus *Anopheles*. Novel structures of insecticides with selective inhibition of mosquito acetylcholinesterase are subjects of research, with an intention to deal with this problem.

The aim of this work was to test six newly prepared succinimide derivatives with insecticidal potential. The ability of these compounds to inhibit *Anopheles gambiae* mosquito (AgAChE) and human acetylcholinesterase (hAChE) was evaluated. Leading structures of these compounds were also tested to find relations between chemical structure and biological activity. The modified Ellman's method was used to obtain the half maximal inhibitory concentration (IC₅₀) values for both enzymes.

Tested substances were able to inhibit only hAChE and none of them displayed activity against AgAChE. Compound LG-488 possessed IC₅₀ value for human enzyme in the same range as tacrine. Even though these compounds are not suitable as insecticides, further modifications could lead to finding new structures able to successfully fight pest insects.

Key words: acetylcholinesterase, insecticide, malaria, Ellman's method