QUESTIONS OF THE *IN VITRO* INHIBITION OF CHOLINESTERASES BY SELECTED ORGANOPHOSPHORUS PESTICIDES

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The aim of this study was to describe organophosphorus inhibitors paraoxone, DDVP and DFP from the aspect of the kinetics of their reaction with human erythrocyte acetylcholinesterase (AChE) and plasma butyrylcholinesterase (BuChE), to find IC_{50}, and to test the *in vitro* potency of five selected oximes (pralidoxime, methoxime, trimedoxime, obidoxime and HI-6) to reactivate AChE and BuChE inhibited by three mentioned organophosphorus inhibitors. The inhibition of AChE and BuChE was performed by incubation with organophosphorus inhibitors at a convenient concentration and such time, that would result in about 90% activity of an enzyme. A solution of a reactivator at a final concentration 1 μM or 10 μM was added to an enzyme, after 10 min of reactivation, a solution of a substrate – acetylthiocholine-iodide or butyrylthiocholine-iodide was added, and the activity of an enzyme was measured by the spectrophotometric Ellman´s method. The experiment was performed at 25 ºC, pH 7,4 and in a 0,1 M phosphate buffer.

According to IC_{50} values, the highest potency to inhibit AChE had poraoxone, the second potent was DFP, the best potency to inibit BuChE showed DFP, then paraoxone. From the aspect of the kinetics, the fastest reaction of both AChE and BuChE was with DDVP, the slowest with DFP.

The results of the reactivation potency obtained in this experiment showed that the currently available oximes used for AChE reactivation were of poor activity in case of BuChE reactivation – none reached the activity of 20 %. Due to this, oximes tested in this work as potencial pseudocatalytic scavangers, seem to be ineffective in prophylaxis against poisonings caused by paraoxone, DDVP and DFP.