

论著

对硫磷与对氧磷抑制的人脑乙酰胆碱酯酶的老化及重活化

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摘要 目的 了解对硫磷与对氧磷在试管内对人脑乙酰胆碱酯酶(AChE)的抑制, 磷酰化酶的老化速率及胍类药物的重活化效能有何差别。方法 微量羟胺比色法测定AChE活性。结果 对硫磷与对氧磷抑制人脑AChE 50%活性的摩尔浓度的负对数值(pI_{50})分别为4.10及7.51, 抑制人脑AChE 90%活性的摩尔浓度的负对数值(pI_{90})值分别为2.55及6.57。氯磷定、双复磷、双磷定和酰胺磷定4种重活化剂对对硫磷与对氧磷抑制的人脑AChE的重活化作用有较大差异, 等摩尔浓度条件下, 其对对氧磷的重活化作用普遍好于对硫磷。且双胍类重活化剂作用普遍强于单胍类重活化剂; 对硫磷与对氧磷的最佳重活化剂均为双复磷。对硫磷与对氧磷人脑AChE磷酰化酶的半老化时间($t_{0.5}$)分别为14及12 h, 老化达到99%的时间($t_{0.99}$)分别为95及81 h。结论 对硫磷或对氧磷中毒时应尽早使用双复磷或双磷定。且在急性中毒症状控制后, 仍须连续使用重活化剂4 d。

关键词 [有机磷化合物](#) [乙酰胆碱酯酶](#) [胍类](#) [重活化](#) [老化](#)

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Reactivation and aging of parathion- and paraoxon-inhibited human brain acetylcholinesterase *in vitro*

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Abstract

AIM To explore the differences in reactivation and aging of phosphorylated human brain AChE caused by parathion or paraoxon *in vitro*. **METHODS** Micro-spectrophotometric assay was used to determine the activity of AChE. **RESULTS** The pI_{50} 's inhibition of the human brain acetylcholinesterase(AChE) by parathion and paraoxon was 4.10 and 7.51, whereas the pI_{90} 's was 2.55 and 6.57, respectively. Efficacies of reactivation of parathion or paraoxon-inhibited human brain AChE by pralidoxime chloride(2-PAM), pyramidoxime(HI-6), obidoxime chloride(LüH₆) and trimedoxime(TMB₄) were obviously different. On the equivalent molar basis, the potency of LüH₆ and TMB₄ was higher in reactivation of the inhibited human brain AChE than that of 2-PAM and HI-6. The four oxime reactivators were more effective in reactivation of the paraoxon-inhibited human brain AChE than its parathion counterpart. The optimal reactivator for parathion and paraoxon AChE was LüH₆. The half aging time($t_{0.5}$) of parathion and paraoxon AChE was 14 and 12 h, and the 99% aging time($t_{0.99}$) was 95 and 81 h, respectively. **CONCLUSION** Obidoxime or trimedoxime should be used with atropine at the earliest time in the therapy of intoxication of parathion and paraoxon. Even when the acute symptoms have been well controlled, the reactivator should be consecutively used within four days.

Key words [organophosphorus compounds](#) [acetylcholinesterase](#) [oxime](#) [reactivation](#) [aging](#)

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