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论文

新化合物三环哌酯的抗N和M胆碱受体作用

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摘要：

三环哌酯盐酸盐（ＴＣＰＮ·ＨＣｌ）和碘甲烷盐（ＴＣＰＮ·CH_3Ｉ）为新化学实体。本文以烟碱诱发小鼠惊厥，豚鼠回肠收缩为评价中枢和外周神经元性Ｎ受体功能的指标，以槟榔碱诱发小鼠震颤为评价中枢Ｍ受体功能的指标，并在肌细胞上进一步观察药物对Ｎ受体离子通道的影响。结果表明，ＴＣＰＮ·ＨＣｌ使烟碱诱发小鼠惊厥的量效曲线平行右移；并对抗槟榔碱诱发小鼠震颤的作用，也可对抗烟碱诱发回肠收缩，阻断神经肌肉接头处自发微终板电流，并优先阻断开放时间长、电流强度大的Ｎ受体离子通道。提示ＴＣＰＮ·ＨＣｌ有强效抗中枢Ｎ和Ｍ受体作用。

关键词： 抗胆碱药物；三环哌酯；烟碱受体；毒蕈碱受体；乙酰胆碱

ANTAGONISM OF THE NOVEL CHOLINOLYTIC TRICYCLOPINATE ON NICOTINIC AND MUSCARINIC CHOLINERGIC RECEPTORS

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Abstract:

Tricyclopinate hydrochloride(TCPN·HCl) and methiodide(TCPN·CH_3I) have been identified as new chemical entities. The effects of these two compounds on central and peripheral nicotinic and muscarinic cholinergic receptor activities were investigated. Excitation of the central nicotinic receptors by nicotine produced convulsions in mice. The dose-response curves of nicotine for producing convulsions were shifted rightward by TCPN·CH_3I in a paralled manner. Excitation of the central muscarinic receptors by arecoline produced tremors in mice. TCPN·HCl was shown to prevent arecoline-induced tremors. In isolated guinea-pig ileum preparations. TCPN·HCl was found to antagonize nicotine-induced contractions due to excitation of ganglionic nicotinic receptors. In xenopus laevis embryo neuron-muscle co-cultured cells, TCPN·HCl blocked spontaneous miniature endplate currents, and showed preference to blocking the nicotinic receptor ion channels, which had a long open time, and high current amplitute. The anticholinergic effects of TCPN·CH_3I were weaker than those of TCPN·HCl. In conclusion, TCPN·HCl has potent effects against nicotinic and muscarinic receptors in the central and periphery nervous systems.

Keywords: Tricyclopinate Nicotinic receptors Muscarinic receptors Acetylcholine Cholinolytics

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