

论著

多沙唑嗪及其手性对映体对离体兔血管 α_1 受体的拮抗特性

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摘要 目的 分析 α_1 肾上腺素受体阻断药多沙唑嗪手性对映体对兔胸主动脉和颈总动脉的选择性作用, 以探讨作为良性前列腺增生症治疗药物的可能性。方法 测定去甲肾上腺素 (NE) 诱发兔离体胸主动脉和颈总动脉收缩反应, 并采用Schild作图法计算rac-多沙唑嗪、R-多沙唑嗪和S-多沙唑嗪的 pA_2 值。结果 在兔胸主动脉和颈总动脉, 0.03, 0.1和0.3 $\mu\text{mol} \cdot \text{L}^{-1}$ 的rac-多沙唑嗪、R-多沙唑嗪和S-多沙唑嗪均使NE诱发的血管收缩反应量效曲线平行右移, E_{max} 不变; 由Schild作图法计算得到的多沙唑嗪及其手性对映体的斜率值, 经统计学分析符合竞争性拮抗。3种拮抗剂 pA_2 值的强度顺序为: R-多沙唑嗪>rac-多沙唑嗪>S-多沙唑嗪。结论 与多沙唑嗪及其手性对映体对人前列腺组织作用的报道结果不同, S-多沙唑嗪对兔胸主动脉和颈总动脉 α_1 肾上腺素受体拮抗作用的选择性显著低于rac-多沙唑嗪和R-多沙唑嗪。

关键词 多沙唑嗪 对映体 受体, 肾上腺素, α 主动脉, 胸 颈动脉 兔

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α_1 -Adrenoceptor antagonist profile of doxazosin and its enantiomers in isolated rabbit blood vessels

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Abstract

AIM: To investigate the different selectivity of α_1 -adrenoceptor antagonist R- doxazosin and S-doxazosin in the rabbit thoracic aorta and carotid artery as potentially therapeutic agent for benign prostatic hyperplasia. **METHODS** Isometric contractile responses to nor-epinephrine(NE) in the rabbit thoracic aorta and carotid artery were observed, and the pA_2 values of doxazosin and its enantiomers were calculated from the Schild plots. **RESULTS** rac-Doxazosin, R-doxazosin or S-doxazosin at 0.03, 0.1 and 0.3 $\mu\text{mol} \cdot \text{L}^{-1}$ produced parallel shifts to the right of the concentration response curves for NE without significant decrease in the E_{max} values in the rabbit thoracic aorta and carotid artery. The slope of the Schild plot for rac-, R- or S-doxazosin was not significantly different from unity, indicating that three agents competitively inhibited the concentration-response curves for NE. The rank order of the α_1 -adrenoceptor antagonist pA_2 was R-doxazosin>rac-doxazosin>S-doxazosin in the rabbit thoracic aorta and carotid artery. **CONCLUSION** To be contrary to the previously reported results in the human prostate, the selectivity of S-doxazosin against α_1 -adrenoceptor is significantly lower than that of rac-doxazosin and R-doxazosin in the rabbit thoracic aorta and carotid artery.

Key words doxazosin enantiomers receptors adrenergic α aorta thoracic carotid arteries rabbits

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