

论文

5,6-二芳基-2,3-二氢-1-吡咯里嗪酮类化合物的合成及抗炎镇痛活性

赵丽琴;杨志;张守芳;赵丽琴;

沈阳药科大学有机合成研究室, 辽宁沈阳 110015

摘要:

目的寻找高效低毒、有抗炎镇痛活性的新的吡咯里嗪酮类化合物。方法以二芳基取代杂环类COX-2选择性抑制剂为模板,以吡里酮为母体,设计并合成了5,6-二芳基-2,3-二氢-1-吡咯里嗪酮类化合物。用IR,¹HNMR和MS确定其结构。用二甲苯致小鼠耳肿胀法和小鼠醋酸扭体法测定这些化合物的(po 200mg·kg⁻¹)抗炎及镇痛活性。结果合成了17个新化合物(1-17)。生物实验结果显示,多数化合物有一定的抗炎和(或)镇痛活性。结论化合物3,8,11,14和15抗炎活性优于对照药布洛芬;化合物9,10和11镇痛活性接近于对照药布洛芬,值得进一步研究。

关键词: 2,3-二氢-1-吡咯里嗪酮; 抗炎; 镇痛

5,6-DIARYL-2,3-DIHYDRO-1-PYRROLIZINONE DERIVATIVES SYNTHESIS AND ANTIINFLAMMATORY AND ANALGESIC ACTIVITIES

ZHAO Li-qin; YANG Zhi; ZHANG Shou-fang

Abstract:

AIM To search for more potent and less toxic antiinflammatory and analgesic activity compounds. METHODS A series of 5,6-diaryl-2,3-dihydro-1-pyrrolizinone derivatives were designed and synthesized based on the structures of diarylheterocyclic COX-2 selective inhibitors. Their structures were determined on the basis of spectral data (IR, MS and ¹HNMR). Their antiinflammatory and analgesic activities *in vivo* were tested by xylene-induced mouse ear edema model and acetic acid-induced mouse writhing model po dose of 200 mg*kg⁻¹. RESULTS Seventeen new compounds (1-17) were synthesized. Many of these compounds showed antiinflammatory and analgesic activities. CONCLUSION Compound 3, 8, 11, 14 and 15 showed antiinflammatory activities more potent than ibuprofen. Compound 9, 10 and 11 showed analgesic activities comparable to ibuprofen. These compounds are regarded to be promising to develop new potent drugs.

Keywords: antiinflammation analgesia 2,3-dihydro-1-pyrrolizinone

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作者简介:

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