

论文

对甲磺酰基苯乙烯环酮类衍生物的合成及抗炎活性

敖桂珍;张奕华;季晖;邓钢

中国药科大学 1. 新药研究中心, 2. 药理学教研室, 江苏 南京 210009

摘要:

目的寻找新型高效低毒的非甾体抗炎药。方法合成对甲磺酰基苯乙烯环酮类衍生物,用二甲苯致小鼠耳肿胀模型和角叉菜胶致大鼠足跖肿胀模型评价其抗炎活性,并考察连续经口给药对大鼠胃肠道(GI)的影响。结果合成了9个新化合物(ZA₁₋₉),结构经IR,¹HNMR,MS和元素分析确证。小鼠试验表明ZA_{3,5-9}的抗炎活性与双氯芬酸钠(DC)和罗非昔布(RC)相当(P>0.05),大鼠试验显示ZA_{3,7,8}的抗炎活性与DC和RC相当(P>0.05),ZA₆的抗炎作用显著强于DC和RC(P<0.05),ZA_{3,5-9}对GI损伤显著小于DC(P<0.05,P<0.01),与RC相当(P>0.05)。结论对甲磺酰基苯乙烯环酮类衍生物的抗炎作用较强,GI不良反应小,值得进一步研究。

关键词: 对甲磺酰基苯乙烯环酮类衍生物 合成 抗炎活性 胃肠道副作用

Synthesis and anti-inflammatory activity of *P*-(methanesulfonyl) styrene-linked cyclic ketone derivatives

AO Gui-zhen; ZHANG Yi-hua; JI Hui; DENG Gang

Abstract:

AimTo search for new compounds with strong anti-inflammatory activity and low gastrointestinal (GI) side effects. MethodsA series of *P*-(methanesulfonyl)styrene-linked cyclic ketone derivatives were synthesized. Their anti-inflammatory activities against xylene-induced mice ear swelling and carrageenan-induced rat paw edema were evaluated, and their GI side effects in the rats were examined. ResultsNine target compounds (ZA₁₋₉) were obtained, and their structures were determined by IR, ¹HNMR, MS and elemental analysis. Compared with controls diclofenac (DC) and rofecoxib (RC), ZA_{3,5-9} showed no significant difference in anti-inflammatory activity against xylene-induced ear swelling in mice. ZA_{3,7,8} showed potency comparable to DC and RC (P>0.05) and ZA₆ was more potent than DC and RC (P<0.05) in the treatment of carrageenan-induced rat paw edema. ZA_{3,5-9} showed less GI side effects than DC (P<0.05, P<0.01) and no significant difference compared with RC (P>0.05). Conclusion*P*-(Methanesulfonyl) styrene-linked cyclic ketone derivatives showed strong anti-inflammatory activity but few GI side effects and deserve to be further investigated.

Keywords: synthesis anti-inflammatory activity gastrointestinal side effects *P*-(methanesulfonyl) styrene-linked cyclic ketone derivatives

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通讯作者: 张奕华

作者简介:

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