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论文

α-取代的对甲磺酰基苯丙烯酰胺的合成及抗炎活性

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摘要：

目的寻找高效低毒的非甾体抗炎药。方法合成α-取代的对甲磺酰基苯丙烯酰胺，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25个新化合物（II1-25），其结构经IR、^{1}H NMR、MS和元素分析确证。角叉菜胶致大鼠足跖肿胀模型试验结果显示，12个化合物(II1,3,5,7,8,10-12,17,18,20,23)的抗炎活性与双氯芬酸钠（DC）和罗非昔布（RC）相当（P>0.05）。其中II3,8,10,11,18,20的GI副作用均显著小于DC (P<0.01)，与RC和羧甲基纤维素钠(CMC-Na)无明显差别(P>0.05)。结论α-取代的对甲磺酰基苯丙烯酰胺抗炎活性强，GI不良反应低，值得深入研究。

关键词： α-取代的对甲磺酰基苯丙烯酰胺 合成 抗炎活性 胃肠道副作用

Synthesis and anti-inflammatory activity of α-substituted *P*-(methanesulfonyl) phenylpropenamides

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Abstract:

AimTo search for new compounds with strong anti-inflammatory activity and low gastrointestinal (GI) side effects. MethodsA series of α-substituted *P*-(methanesulfonyl)phenyl-propenamides 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 rats were examined. ResultsTwenty-five target compounds (II1-25) were obtained, and their structures were determined by IR, ^{1}H NMR, MS and elemental analysis. Thirteen compounds (II1,3,5,8-13,15,18,19,23) exhibited marked anti-inflammatory activity comparable to diclofenac sodium (DC) and rofecoxib (RC) in xylene-induced mice ear swelling model, and twelve compounds (II1,3,5,7,8,10-12,17,18,20,23) showed remarkable anti-inflammatory activity comparable to DC and RC in carrageenan-induced rat paw edema. Compounds II3,8,10,11,18,20 showed GI side effects less than DC (P<0.01), and no significant difference compared with RC and CMC-Na (P>0.05). Conclusionα-Substituted *P*-(methanesulfonyl) phenylpropenamides showed strong anti-inflammatory activity but few GI side effects and deserve to be further investigated.

Keywords: synthesis anti-inflammatory activity gastrointestinal side effects α-substituted *P*-(methanesulfonyl)phenylpropenamides

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