

论文

2-(*E*)-苯亚甲基-5-(*N*-取代胺甲基)环戊酮的合成及抗炎作用

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摘要:

本文报道19个2-(*E*)-苯亚甲基环戊酮Mannich碱类化合物的合成。所有产物结构经元素分析、核磁共振氢谱和红外光谱证实。初步药理试验表明部分化合物有较强的抗炎活性。其中1个化合物对二甲苯致小鼠耳廓肿胀、角叉菜胶致大鼠足爪肿胀和乙酸致小鼠腹腔毛细血管通透性增加均有显著的抑制作用,抑制能力与布洛芬、阿司匹林相近。

关键词: 抗炎药物 环戊酮Mannich碱 胺交换反应

SYNTHESIS AND ANTI INFLAMMATORY ACTIVITY OF 2-(*E*)-BENZYLIDENE-5-(*N*-SUBSTITUTED AMINOMETHYL)CYCLOPENTANONES

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Abstract:

Nineteen kinds of 2-(*E*)-benzylidene-5-(*N*-substituted aminomethyl) cyclopentanones were synthesized via Mannich reaction or amine exchange reaction and identified spectrometrically. One compound exhibited significant antiinflammatory activity, showing obvious inhibitory effect on xylene induced mice ear swelling, carrageenin induced rats paw edema and increased capillary permeability induced with acetic acid in mice. Its ED₅₀ values in these inflammatory models were calculated to be 67.8 mg·kg⁻¹, 25.3 mg·kg⁻¹ and 41.8 mg·kg⁻¹ respectively, nearly equal to those of ibuprofen and aspirin.

Keywords: Cyclopentanone Mannich base Amine exchange reaction Antiinflammatory agent

收稿日期 1997-11-10 修回日期 网络版发布日期

DOI:

基金项目:

通讯作者: 计志忠

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