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### 论文

邪蒿素及其衍生物的合成及镇痛活性

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摘要:

本文报道了合成天然产物邪蒿素及其衍生物的新方法,以7-羟基-8-乙酰基香豆素或取代香豆素为原料,经与丙酮缩合、还原、脱水得到单一的角型三环邪蒿素类化合物。三步反应条件温和,各步收率均>80%。12个邪蒿素类衍生物的小鼠醋酸扭体镇痛试验结果表明合成的邪蒿素(4a)和4,8,8-三甲基-9,9-二氢-吡喃[2,3-f]色烯-2,10-二酮(2b)具有明显的镇痛活性,抑制率分别为85%和50%,明显优于或相当于同试验中的对照药阿司匹林。

关键词: 邪蒿素 合成 镇痛活性

Synthesis and antinociceptive activity of seselin derivatives

GUO Huan-fang; ZHOU Pei-lan; GONG Ze-hui; XIE Lan

#### Abstract:

Natural product seselin and related derivatives with an angular pyranocoumarin skeleton were synthesized from 8-acetyl-7-hydroxycoumarins by condensation with acetone, reduction, and dehydration successively under mild conditions with total yield of >50%. Twelve seselin derivatives were tested by the writhing response assay induced by acetic acid at a dose of 40 mg·kg<sup>-1</sup>. Seselin (4a) and 4,8,8-trimethyl-9,9-dihydro-pyran [2,3-f] chromene-2,10-dione (2b) showed obviously antinociceptive activity with inhibitory effect of 85% and 50%, respectively, more or quite potent than aspirin in the same assay, suggesting that seselin derivatives could be a novel kind of potential antinociceptive agents.

Keywords: synthesis antinociceptive activity seselin

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