

论文

半合成头孢菌素抗生药的研究——II. 7-(7-或8-取代香豆素-3-甲酰胺基)头孢菌素的合成

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摘要:

本文报道了以7-或8-取代香豆素-3-羧酸为侧链酸,用酰氯法和Vilsmeier试剂法与7-ADCA, 7-ACA和7-ACT缩合,合成了17个7-或8-取代香豆素-3-甲酰胺头孢菌素类衍生物,通过有机溶媒、葡聚糖凝胶(Sephadex LH-20)及离心薄层层析纯化精制,得到纯品。初步抑菌试验结果表明:化合物V<sub>2</sub>, V<sub>3</sub>, V<sub>8</sub>, V<sub>9</sub>, V<sub>14</sub>和V<sub>15</sub>对耐药性金黄色葡萄球菌有较强烈的作用。

关键词: 7-或8-取代香豆素-3-甲酰胺头孢菌素 酰氯 Vilsmeier试剂 离心薄层层析 抗菌活性

STUDIES ON ANTIBIOTICS OF SEMI SYNTHETIC CEPHALOSPORINS. II. SYNTHESIS OF 7-(7- OR 8-SUBSTITUTED COUMARIN-3-FORMAMIDO)-CEPHALOSPORINS

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Abstract:

A series of new 7-(7- or 8-substituted coumarin-3-formamido)-cephalosporins has been prepared by acylation of the 7-amino group of 7-ADCA, 7-ACA and 7-ACT with 7- or 8-substituted coumarin-3-carboxylic acids which were made from 3-or 4-substituted salicylaldehyde and malonic acid orbits ester by Knoevenagel condensation. Acyl chloride method anti Vilsmeier reagent method were adopted in the reactions. Isolation and purification were fulfilled with the combined methods of Sephadex LH-20 column chromatography and centrifugal-TLC technique. Sixteen new cephalosporin derivatives were synthesized. Their structures have been confirmed by elemental analysis, IR and <sup>1</sup>HNMR. The preliminary antibacterial sensitivity tests showed that V<sub>2</sub>, V<sub>3</sub>, V<sub>8</sub>, V<sub>9</sub>, V<sub>14</sub> and V<sub>15</sub> of these new derivatives exhibited high sensitivity to staphylococcus aureus which was resistant to eight other antibiotics. Further biological evaluation for these compounds was expected to be carried out.

Keywords: Acyl chloride Vilsmeier reagent Centrifugal-TLC Antibiotic activity 7-(7-or 8-Substituted coumarin-3-formamido)-cephalosporin

收稿日期 1985-12-08 修回日期 网络版发布日期

DOI:

基金项目:

通讯作者:

作者简介:

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