论文

7-(1,7-双取代-1,4-二氢-4-酮-1,8-萘啶-3-甲酰氨基)头孢菌素的合成

周家成;段廷汉;周慧殊

中国药科大学制药化学教研室,南京210009

摘要：

本文报道了以1,7-双取代-1,4-二氢-4-酮-1,8-萘啶-3-羧酸,用混合酸酐法与7-ACA,7-ADCA,7-ACT和7-ACD缩合,合成了24个1,7-双取代-1,4-二氢-4-酮-1,8-萘啶-3-甲酰胺头孢菌素衍生物,通过葡聚糖凝胶(Sephadex LH-20)及离心薄层层析纯化精制,得到纯品。体外抑菌试验结果表明:大多数新头孢菌素衍生物对革兰氏阳性菌具有较好的抗菌作用。尚有一些新头孢菌素衍生物对某些革兰氏阴性菌具有中等敏感程度。

关键词： 1,7-双取代-1,4-二氢-4-酮-1,8-萘啶-3-甲酰胺头孢菌素　混合酸酐　离心薄层层析　抗菌活性

SYNTHESIS OF 7-(I,7-DISUBSTITUTED- 1,4-DIHYDRO-4-OXO-1,8-NAPHTHYRIDINE-3-CARBOXAMIDO)-CEPHALOSPORINS

JC Zhou; TH Duan and HS Zhou

Abstract:

A series of new 7-(1, 7-disubstituted-I, 4-dihydro-4-oxo-1, 8-naphthyridine-3-carboxamido)— cephalosporins has been prepared by acylation of the 7-amino group of 7-ADCA, 7-ACA, 7-ACT and 7-ACD with 1, 7-disubstituted-1, 4-dihydro-4-oxo-1,8-naphthyridine-3-carboxylic acid. Mixed carboxylic-carbonic anhydride method was adopted in the reactions. Isolation and purification were fulfilled with Sephadex LH-20 column chromatography and centrifugal-TLC technigue. Twenty four new cephalosporin derivatives were synthesized. Their structures have been confirmed by elemental analysis, IR and [1]HNMR. In preliminary In vitro antibacterial sensitivity tests, most of these new derivatives were found to show good sensitivity to Gram-positive bacteria. Bacteriostasis were also observed for some Gram-negative bacteria.

Keywords: Mixed carboxylic-carbonic anhydride　CentrifugalTLC　Antibiotic activity.　7-(1,7-Disubstituted- 1,4-dihydro-4-oxo- 1,8-naphthyridine3-carboxamido)-cephalosporin

通讯作者：

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