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论文

7β-(6-取代-2-喹诺酮-3-乙酰氨基)头孢菌素的合成

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摘要:

以6-取代-2-喹诺酮-3-乙酸为侧链,用CDI法和潘化酯法与7-ADCA,7-ACA,7-ACT,和7-ACD缩合,合成了16个新的7β-(6-取代-2-喹诺酮-3-乙酰氨基)头孢菌素类化合物,通过溶媒转提,葡聚糖凝胶(Sephadex LH-20)柱层析及离心薄层层析分离精制,得到纯品。初步体外抑菌试验表明:新化合物对革兰氏阳性及某些阴性菌具有高度敏感性。大多数化合物对所试试验菌的抗菌活性与头孢唑啉和青霉素G钠相当,有些比它们还强。

关键词: 7β-(6-取代-2-喹诺酮-3-乙酰氨基)头孢菌素 羰基二咪唑 活化酯 离心薄层层析 抗菌活性

SYNTHESIS OF 7β-(6-SUBSTITUTED-2-QUINOLONE-3-ACETAMIDO) CEPHALOSPORINS

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Abstract:

A series of new 7β- (6-substituted-2-quinolone-3-acetamido)-cephalos porins has been prepared by acylation of the 7β-amino group of 7-ADCA, 7-ACA, 7-ACT and 7-ACD with 6-subsbstituted-2-quinolone-3-acetic acids. CDI (N,N'-Carbonyldiimidazole) method was mainly adopted and active ester method was also employed in the reactions. Isolation and purification were fulfilled with the combined methods of Sephadex LH-20 column chromatography and centifugal-TLC technique. Sixteen new cephalosporin derivatives were synthesized. Their structures have been confirmed by elemental analysis, IR and ^{1}HNMR. The preliminary *in vitro* antibacterial tests showed that these new compounds exhibited high activity to gram-positive and some negative bacteria. Bacteriostasis of most of the compounds was equal to cefazolin and sodium penicllin G. Compound III_3, III_4, III_8,III_{10} and III_{11} possessed higher activity on the resistant *Staphylococcus aureus* S22 and *Proteus vulgaris* OX19 than cefazolin and sodium penicillin G. Further biological evaluation for these compounds is expected to be carried out.

Keywords: N,N'-Carboyldiimidazole (CDI) Active ester Centrifugal-TLC Antibacterial activity 7β-(6-Substituted-2-quinolone-3-acetamido) -cephalosporin

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