

论文

新型头孢菌素的合成和抑菌试验

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摘要:

从7-氨基头孢烷酸(7 ACA)和7-氨基-3-去乙酰氧基头孢烷酸(7 ADCA)合成了六个7-取代连氮基头孢菌素和六个7 α -甲氧基-7 β -取代脞基头孢菌素,体外抑菌试验表明大部分化合物的抑菌活性较弱。

关键词: 7-取代连氮基头孢菌素 7 α -甲氧基-7 β -取代脞基头孢菌素

SYNTHESIS AND ANTIMICROBIAL TEST OF SOME NEW CEPHALOSPORINS

KL Sun and RS Li

Abstract:

Six new 7-substituted-azino-cephalosporins and six new 7 α -methoxy-T β -substituted-hydrazono-cephalosporins were synthesized from 7ACA and 7ADCA. A new method of introducing 7 α -methoxy in this type of cephalosporin was developed. While an electrophilic centre was formed at C₇ position of 7-substituted-azino-cephalosporins with the help of nitro and conjugated chain, in the presence of p-TsOH in MeOH, methoxy was introduced into C-7 α position easily due to steric effect. All compounds were subjected to antimicrobial test in vitro, II a~VIa and II b~VIb showed weak activity against Gram positive bacteria. The MIC of cephalosporins with a 7 α -methoxy group is lower than cephalosporins without the 7 α -methoxy group.

Keywords: 7 α -Methoxy-7 β -substituted-hydrazono-cephalosporins 7-Substituted-azino-cephalosporins

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