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论文

1-(3',4'-二甲氧基)苯甲酰基-3-酰氨基-4-取代苯基-2-吖丁啶酮类化合物的合成及其抑制 β -内酰胺酶的作用

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摘要:

本文设计和合成了14个新的1-(3',4'-二甲氧基)苯甲酰基-3-酰氨基-4-取代苯基-2-吖丁啶酮类化合物,分别经红外光谱、核磁共振氢谱、质谱和元素分析证实。其中11个化合物具有 β -内酰胺酶抑制作用。 \mathbb{W} e-1及 \mathbb{W} g-1的活性约为临床应用的青霉烷砜酸的两倍。

关键词: β -内酰胺酶抑制剂 1-(3',4'-二甲氧基)苯甲酰基-2-吖丁啶酮 单环 β -内酰胺

THE SYNTHESIS OF 1- (3', 4'-DIMETHOXY) BENZOYL-3-AMIDO-4-SUBSTITUTED PHENYL-2-AZETIDINONES AND THEIR BETA-LACTAMASE INHIBITION ACTIVITIES

Gu Qu-Ming and Li Zheng-Hua

Abstract:

Fourteen novel title compounds were. designed and synthesized through cyclocondensation, deprotection, acylation and oxidative reactions. Their chemical structures were identified by elemental analysis, IR and mass spectra. The cis-configuration of these beta-lactams were determined by coupling constants in 360 MC ¹HNMR spectra. The inhibition activities of these compounds to acetobacter beta-lactamase were testedprcliminarily. Eleven of them exhibited marked activities. Among them, the inhibiting activities of IIIe-1 and IIIg-1 are twice as that of sulbactam.

Keywords: 1-(3', 4'-Dimethoxy)-benzoyl-2-azetidinone Monocyclic β -lactam Beta-lactamase inhibitor

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