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论文

7-(3-氨基-4-烷氧亚胺基-1-哌啶基)喹诺酮类化合物的合成与抗菌作用

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摘要:

为寻找新的更加优秀的喹诺酮类抗菌药,设计合成了21个7-(3-氨基-4-烷氧亚胺基-1-哌啶基)喹诺酮类化合物,并测定其体内外抗菌活性。化合物结构经 1 H NMR和HRMS得到确证,并用单晶X-衍射分析确定其双键构型。化合物 14a和14m表现出优秀的广谱抗菌活性,它们对所实验的12株革兰氏阳性菌的活性,总体上明显优于3个对照药,特别是对包括MRSA和MRSE在内的金葡菌和表葡菌的活性是吉米沙星和巴罗沙星的4~16倍、左氧氟沙星的8~64 倍。它们对金葡菌的MIC值分别是0.25~1 mg·L $^{-1}$ 和0.125~1 mg·L $^{-1}$,对表葡菌的MIC值分别是0.5~4 mg·L $^{-1}$ 和1~8 mg·L $^{-1}$,对小鼠系统感染的体内保护作用与吉米沙星、莫西沙星基本相当(P>0.05)。

关键词: 抗感染药 氟喹诺酮 化学合成 抗菌活性

Synthesis and antibacterial activity of 7-(3-amino-4-alkoxyimino-1-piperidyl)-quinolones

WANG Xiu-yun; GUO Qiang; WANG Yu-cheng; LIU Bing-quan; LIU Ming-liang; SUN Lan-ying; GUO Hui-yuan

Abstract:

To explore new agents of quinolone derivatives with high activity against Gram-positive and Gramnegative microorganisms, 7-(3-amino-4-alkoxyimino-1-piperidyl) quinolones were designed and synthesized, and their activity against Gram-positive and Gram-negative microorganisms were tested *in vivo* and *in vitro*. Twenty one target compounds were obtained. Their structures were established by ¹H NMR, HRMS and X-ray crystallographic analysis. The target compounds possess different antimicrobial activities against both Gram-negative and Gram-positive microorganisms. Compounds 14a and 14m have broad spectral antibacterial activities. They show better antibacterial activities against 12 strains Gram-positive bacteria than three references. In particular, their activities against *S.aureus* and *S.epidermidis* (including MRSA and MRSE) were 4-16 times than that of gemifloxacin and balofloxacin, and 8-64 times than that of levofloxacin. The MIC values to *S.aureus* strains of compounds 14a and 14m were 0.25-1 mg·L⁻¹ and 0.125-1 mg·L⁻¹, to *S.epidermidis* strains were 0.5-4 mg·L⁻¹ and 1-8 mg·L⁻¹, respectively. The *in vivo* results showed that they have as good internal protection as gemifloxacin and moxifloxacin against systemic infection model in mice (*P*>0.05).

Keywords: fluoroquinolone chemical synthesis antibacterial activity anti-infective agent 收稿日期 2008-01-16 修回日期 网络版发布日期

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