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论文

咪唑并［2,1-b］［1,3,4］噻二唑及杂环氨曼尼希碱盐酸盐的合成及抗菌活性

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摘要：

为了进一步优化由噻二唑核稠合的水溶性稠杂环化合物的合成方法及抗菌活性，本文用2-(4-甲氧苯基)-5-氨基-1,3,4-噻二唑(2)与*α*-氯代-4-氯苯乙酮(3)缩合得6-(4-氯苯基)-2-(4-甲氧苯基)-咪唑并［2,1-b］［1,3,4］噻二唑(4)，4与取代哌嗪发生亲核取代反应得到6-(4-取代哌嗪-1-苯基)-2-(4-甲氧苯基)-咪唑并［2,1-b］-［1,3,4］噻二唑(5)，5与杂环氨进行曼尼希反应并与盐酸成盐得目标化合物6-(4-取代哌嗪-1-苯基)-2-(4-甲氧苯基)-5-杂环氨基甲基-咪唑并［2,1-b］［1,3,4］噻二唑盐酸盐(1)。用试管二倍稀释法评价了15个新化合物的体外抗菌活性，结果表明，随着极性基团的引入，抗菌活性显著提高，提示该类化合物的结构修饰值得进一步研究。

关键词： 咪唑并［2,1-b］［1,3,4］噻二唑 曼尼希碱 抗菌活性

Synthesis and antibacterial activity of imidazothiadiazoles and heterocyclic-amine Mannich-base hydrochloride

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Abstract:

To optimize the synthetic method and antibacterial activity of fused heterocyclic thiadiazole compounds, cyclocondensation of 2-(4-methoxyphenyl)-5-amino-1,3,4-thiadiazole (2) with *α*-chloro-4-chloro acetophenone (3) resulted in a key intermediate (4), 6-(4-chlorophenyl)-2-(4-methoxyphenyl)-imidazo-［2,1-b］［1,3,4］thiadiazole, which was carried out an nucleophilic substitution with substituted piperazine to give the corresponding free bases of piperazine (5a-5c), then followed by Mannich reaction with heterocyclicamines and formaldehyde to yield the corresponding Mannich bases, (1a-1l) as respective hydrochloride salts. The structures were confirmed by IR, ^{1}H NMR, MS and elemental analysis and the antibacterial activities *in vitro* of fifteen newly synthesized compounds were also tested against Gram positive bacteria and Gram negative bacteria with the standard 2-fold agar dilution method. The antibacterial results showed that the introduction of a polar group resulted in the enhancement of antibacterial activity *in vitro*. Thus, the structures of these fused compounds could further be investigated.

Keywords: Mannich base antibacterial activity imidazolo［2,1-b］［1,3,4］thiadiazole

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