

论文 冠心病药物的研究——III.若干取代氨基苯酚的Mannich碱的合成

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摘要:

临床验证常咯啉(I)对短阵室性心动过速和室性早搏等症状非常有效,但存在一些副作用。为了寻找优于常咯啉的新抗心律失常药物,我们合成了一系列芳环或芳杂环的Mannich碱化合物37个。化合物的制备系将各类相应的氯化物分别与对氨基酚缩合,所得的中间体再与甲醛及胺反应,得到预期的Mannich碱(列于表2~表4)。药理试验结果表明。化合物9,12,13,30和33均能对抗乌头碱型实验性心律失常,其中化合物9,12和13的作用较强,安全范围较大。药理结果将另文发表。

关键词: 常咯啉 抗心律失常药物 Mannich碱

STUDIES ON DRUGS FOR CORONARY DISEASES. III. SYNTHESIS OF SOME MANNICH BASES OF SUBSTITUTED AMINOPHENOLS

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Abstract:

Changrolin, a quinazoline derivative, is one of the most effective drugs in the treatment of paroxysmal ventricular tachycardia and ventricular premature beats in clinical trial but with some side effects. In an attempt to search for new antiarrhythmic agents superior to changrolin, a series of Mannich bases derived from various aromatic or heterocyclic compounds was synthesized. These compounds were prepared by condensation of the corresponding chlorocompounds with p-aminophenol and the resulting intermediates reacted with formaldehyde and various amines to give the different Mannich bases. Compounds 9, 12, 13, 30 and 33 exhibited protective effects against experimental arrhythmias induced by aconitine, among them compounds 9, 12 and 13 were found to be comparatively safe and effective. Detailed results of pharmacological tests will be published elsewhere.

Keywords: Antiarrhythmic drug Mannich base Changrolin

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