

论文

可逆性胆碱酯酶抑制剂——N,N-二甲氨基甲酸5-(1,2-取代苯咪唑)酯的合成

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摘要:

本文报道了用还原环合法制备1,2-二取代苯咪唑,并应用相转移催化反应于N-(4-甲氧基2-硝基苯基酰胺)的N-烷化及5-羟基苯咪唑的二甲基甲酰化,合成了一系列N,N-二甲氨基甲酸5-(1,2-取代苯咪唑)酯,其碘甲烷季铵盐有一定的体外抑酶作用。

关键词: 1,2-取代苯咪唑 相转移催化 胆碱酯酶抑制剂

SYNTHESIS OF ANTI CHOLINESTERASES 5-(1,2-SUBSTITUTED BENZIMIDAZOLYL)-N,N-DIMETHYL CARBAMATES

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Abstract:

A series of 5-(1,2-substituted benzimidazolyl)-N,N-dimethyl carbamates had been synthesized. The N-alkyl-4-methoxy-2-nitroanilides were converted into the 1,2-dialkyl-5-methoxy benzimidazoles by reductive cyclization. The technique of phase transfer catalysis was successfully used in the N-alkylation of anilides and the N,N-dimethyl carbamylation of 5-hydroxybenzimidazoles. The bioactivity on cholinesterases of all these compounds have been tested. The methiodides were found to be more active than the hydrochlorides.

Keywords: Phase transfer catalysis Anticholinesterase 1,2-Substituted benzimidazole

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