

论文

抗疟药咯萘啶有关化合物的合成及抗疟活性比较

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摘要:

合成了咯萘啶(I)的有关化合物II~V,以探讨抗疟药咯萘啶化学结构中母环1位上氮杂原子及吡咯烷基Mannich碱侧链的存在,对该化合物抗疟作用的关系。经对有抗药性的疟原虫体内试验,合成的有关化合物II~V以及抗疟药氯喹和阿的平等的抗疟作用,均不如咯萘啶。提示上述氮杂原子及Mannich碱侧链的存在,对咯萘啶的抗疟作用,起着重要的和不可缺少的作用。

关键词: 咯萘啶 1-去氮咯萘啶 氮吡啉 5-氮氯喹 5-氮双咯喹

SYNTHESIS OF PYRONARIDINE RELATED COMPOUNDS AND COMPARISON OF ANTIMALARIAL ACTIVITIES

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Abstract:

The paper reports the synthesis of pyronaridine(I) related compounds II~V for exploring whether the antimalarial activity of pyronaridine is by virtue of a nitrogen atom at position 1 in the ring and a pair of pyrrolidinyl Mannich base side chains in its structure. The condensation of 2-methoxy-6, 9-dichloroacridine or 4, 7-dichloro-1, 5-naphthyridine with 4-hydroxy-3, 5-bis-(pyrrolidinyl-1'-methyl) aniline yielded the related compound II, 1-deazapyronaridine, or V, 5-azabispyroquine, respectively. 2-Methoxy-7, 10-dichlorobenzo (b) 1, 5-naphthyridine or 4, 7-dichloro-1, 5-naphthyridine was condensed with 4-diethylamino-1-methylbutylamine to obtain the related compound III, azacrin, or IV, 5-azachloroquine, respectively. The results of in vivo tests against Plasmodium berghei chloroquine-resistant ANKA strain, drug-sensitive P. berghei N line and drug-resistant P. yoelii nigeriensis line showed that all the related compounds II~V were less effective than pyronaridine(I). It suggests that the nitrogen atom at position 1 and pyrrolidinyl Mannich base side chains on the structure of pyronaridine play an important and indispensable role for antimalarial activity of pyronaridine. The pyrrolidinyl Mannich bases impart increased activity to the corresponding compounds.

Keywords: 1-Deazapyronaridine Azacrin 5-Azaehloroquine 5-Azabispyroquine Pyronaridine

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