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论文

疟疾防治药物的研究——Ⅲ.2,4-二氨基-6-取代哌啶嗪基喹唑啉衍生物的合成及其抗疟作用

张秀平;李广云;戴祖瑞;钱永乐;陈林

上海医药工业研究院;第二军医大学,上海

摘要:

本文报道2,4-二氨基-6-取代哌啶嗪基喹唑啉衍生物的合成及其抗疟活性。这类化合物的合成是以间氯苯甲腈为原料,经硝化与哌啶嗪缩合,还原制得2-氨基-5-(哌啶嗪-1′)苯甲腈,再与各种卤代物反应,然后与二氰二胺环合;也可以2-硝基5-氯苯甲腈与取代的哌啶嗪缩合,经还原,然后与二氰二胺环合而得。经鼠疟抑制性治疗初筛,有4个化合物($X_{1,2,3,8}$有效;经鼠疟病因性预防初筛,有3个化合物($X_{1,8,10}$有效;经蚊模抑制孢子增殖初筛,当浓度0.01%时,有2个化合物($X_{8,9}$)使70%蚊虫的孢子增殖受到抑制。

关键词:

STUDIES ON ANTIMALARIALS Ⅲ. SYNTHESIS AND ANTIMALARIAL ACTIVITIES OF SOME DERIVATIVES OF 2,4-DIAMINO-6-SUBSTITUTED PIPERAZINYL QUINAZOLINES

Zhang Xiuping and Li Guangyun Dai Zurui; Qian Yongle and Chen Lin

Abstract:

A series of 2,4-diamino-6-substituted piperazine quinazolines was synthesized. The key intermediates of the substituted piperazino-2-aminobenzonitriles were synthesized from m-chloro-benzonitrile by nitration, reacting with piperazine, reduction and condensation with various substituted halogen compounds. They were cyclized smoothly with cyanoguanidine to form 2,4-diamino-6-substituted piperazinoquinazolines. The latter could also be prepared by the condensation of 2-nitro-5-chloro-benzonitrile with the substituted piperazines, followed by reduction and cyclization with cyanoguanidine.After primary screening tests on infected mice, it was found that among these compounds four of them (compounds $X_{1,2,3,8}$) showed suppressive effect on Plasmodium berghei, and three compounds ($X_{1,8,10}$) possessed causal prophylactive activity against P. yoelii. They were also screened for sporontocidal activity using P. gallinaccum-Ae, albopictus system, with the result that the sporogony of the parasite was inhibited in 70% of the infected mosquitoes by two of these compounds ($X_{8,9}$) at a concentration of 0.01%.

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