

论著

一种结合代谢的抗疟药体外筛选方法

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摘要

目的: 建立一种结合肝微粒体代谢的抗疟药体外筛选方法。方法: 在恶性疟原虫体外培养筛选抗疟药的常规方法基础上, 加入以大鼠肝微粒体及氧化型辅酶II等辅助因子组成的体外代谢系统, 分别检测常用抗疟药氯喹、咯萘啶、环氯胍与氯胍的抗疟活性。结果: 除氯胍外, 其它3药的原药即有抗疟活性, 但氯胍必须经代谢转化成代谢产物后才有抗疟作用。结论: 结合代谢的抗疟药体外筛选方法可以避免漏筛那些需经代谢转化后才具抗疟作用的化合物。

关键词 [体外筛选方法](#) [代谢转化](#)

分类号

***IN VITRO* ASSAY INCORPORATED WITH METABOLISM FOR SCREENING ANTIMALARIALS**

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Abstract

AIM: To develop an *in vitro* assay incorporated with metabolism for screening antimalarials. METHODS: Antimalarial activities of chloroquine, pyronaridine, cycloproguanil and proguanil were tested with an *in vitro* assay based on the routine *in vitro* culture of *P. falciparum* incorporated with a metabolic system comprising rat liver microsomes and NADP cofactors. RESULTS: Except proguanil, the three drugs *per se* all showed antimalarial activities, but proguanil must be metabolized into its active metabolite for the antimalarial effect. CONCLUSION: The *in vitro* assay incorporated with metabolism could overcome the shortcoming of miss-screening the potential antimalarials which must be metabolically biotransformed into the active metabolite.

Key words [In vitro screening assay](#) [metabolic transformation](#)

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