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芬苯达唑前药的体外代谢研究

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温爱丹,段李平,刘丛珊,陶奕,薛剑,吴宁波,姜斌,张皓冰

中国疾病预防控制中心寄生虫病预防控制所,世界卫生组织疟疾、血吸虫病和丝虫病合作中心,卫生部寄生虫病原与媒介生物学重点实验室,上海 200025

In vitro Metabolism of Fenbendazole Prodrug

WEN Ai-dan,DUAN Li-ping,LIU Cong-shan,TAO Yi,XUE Jian,WU Ning-bo, JIANG Bin,ZHANG Hao-bing*

National Institute of Parasitic Diseases, Chinese Center for Disease Control and Prevention; Key Laboratory of Parasite and Vector Biology, MOH; WHC Collaborating Centre for Malaria, Schistosomiasis and Filariasis, Shanghai 200025, China

摘要 相关文章 参考文献

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摘要 以人工胃液、人工肠液和小鼠肝匀浆为体外模型,采用高效液相色谱法,对合成的芬苯达唑前药MPT在上述3种生物基质中的代谢进行定量 研究,绘制代谢曲线,并测定其对细粒棘球蚴原头节的杀伤作用。结果表明,芬苯达唑前药在人工胃液、人工肠液和小鼠肝匀浆中均可发生代 谢,在肝脏匀浆中代谢为有活性的芬苯达唑,代谢率为7.92%。在10 μg/ml芬苯达唑前药的体外作用下,细粒棘球蚴原头节死亡率为45.9%。

芬苯达唑前药 体外代谢 棘球蚴 原头节 关键词:

Abstract: Synthesized fenbendazole prodrug N-methoxycarbonyl-N' - (2-nitro-4-phenylthiophenyl) thiourea (MPT) was analyzed in vitro in artificial gastric juice, intestinal juice and mouse liver homogenate model by using HPLC method, and metabolic curve was then generated. MPT was tested against Echinococcus granulosus protoscolices in vitro. The result showed that MPT could be metabolized in the three biological media, and to the active compound fenbendazole in liver homogenate, with a metabolic rate of 7.92%. Besides, the prodrug showed a weak activity against E. granulosus protoscolices with a mortality of 45.9%.

Keywords: Fenbendazole prodrug In vitro metabolism Echinococcus granulosus Protoscolex

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