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清开灵注射剂等5种中药注射剂对大鼠肝微粒体 CYP3A的体外抑制作用

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中文摘要:目的: 考察清开灵注射剂、金纳多注射剂、疏血通注射剂、参麦注射剂、康艾注射剂这5种临床常用的中药注射剂对大鼠肝微粒体CYP3A的体外抑制作用,预测发生药物相互作用的可能性,以确保这些中药注射剂临床应用的安全性与有效性。 方法 :采用SD大鼠肝微粒体体外孵育法,在孵育体系中加入底物睾酮和不同体积的清开灵注射剂等5种中药注射剂,用高效液相色谱法测定睾酮的羟化代谢产物6β-羟基睾酮的生成量反映CYP3A的活性,酮康唑用作阳性对照药。 结果 :在体外孵育体系中,10%的清开灵注射剂大约能抑制93.0%的6β-羟基睾酮生成,抑制效果明显高于其他4种相同浓度的中药注射剂。根据其抑制动力学曲线,计算出清开灵注射剂的IC_{50}和K_i值分别为1.0%和0.7%。 结论 :在体外系统中,金纳多注射剂和疏血通注射剂对大鼠肝微粒体CYP3A无抑制作用,参麦注射剂和康艾注射剂对CYP3A显示出弱的抑制作用,清开灵注射剂对CYP3A有明显的抑制作用。提示当清开灵注射剂与经CYP3A代谢的药物联合用药时可能会发生药物相互作用,临床联合用药须谨慎。

中文关键词:清开灵注射剂 鼠肝微粒体 CYP3A 抑制作用 药物相互作用

In vitro inhibition of five traditional Chinese medicine injections on rat liver microsomal CYP3A

Abstract: Objective : Qingkailing injection (QKLI), Jinnaduo injection (JNDI), Shuxuetong injection (SXTI), Shenmai injection (SMI) and Kangai injection (KAI) are widely used in China. To predict the herb-drug interactions in clinical application, they were evaluated for their *in vitro* inhibition effect on CYP3A in rat liver microsomes. Method : The rat liver microsomes were incubated with different doses of 5 kinds of traditional Chinese medicine injections (TCMIs) in the present of testosterone, a specific substrate of CYP3A. 6β-hydroxytestosterone, the metabolite of testosterone, was monitored by HPLC to compare the inhibition effect of 5 TCMIs on CYP3A in rat liver microsomes. Ketoconazole was used as a positive control. Result : 10% QKLI reduced the formation of 6β-hydroxytestosterone by approximately 93.0%, which is more significant than other four TCMIs. The half maximal inhibitory concentration (IC_{50}) and the enzym-inhibotor constant K_i were 1.0% and 0.7% respectively. Conclusion : QKLI showed much stronger inhibition activity against CYP3A, comparing to other 4 TCMIs. The results revealed that QKLI may be involved in herb-drug interactions by inhibition of CYP3A.

keywords: Qingkailing injection rat liver microsomes CYP3A inhibition herb-drug interactions

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