

论文

三七总皂苷鼻腔给药的药代动力学与药效学

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摘要:

目的研究三七总皂苷鼻腔用粉雾剂以混悬液形式给药后在大鼠体内的药代动力学过程及对心脑血管疾病的保护作用。方法HPLC测定三七总皂苷混悬液大鼠鼻腔给药后血样中人参皂苷Rg1的浓度,考察药物在体内的动力学过程,并计算其绝对生物利用度;结扎SD大鼠的左冠状动脉建立急性缺血性心肌梗死模型,夹闭沙鼠的双侧颈总动脉建立脑缺血再灌注模型,考察三七总皂苷混悬液对心脑血管疾病的保护作用。结果三七总皂苷混悬液鼻腔给药后,Rg1在大鼠体内的过程符合二室模型,其绝对生物利用度为103.56%;对大鼠急性缺血性心肌梗死及沙鼠脑缺血再灌注所引起的脑水肿和脑卒中症状均具有明显的缓解作用,且呈剂量依赖性,剂量越高,保护作用越强。结论药代动力学和药效学结果证明,三七总皂苷鼻腔给药制剂具有很好的开发前景。

关键词: 三七总皂苷 鼻腔给药 药代动力学 急性缺血性心肌梗死 脑缺血再灌注

The pharmacokinetics and pharmacodynamics of intranasal preparation of *Panax notoginseng* Saponins

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Abstract:

AimTo investigate the pharmacokinetic course of intranasal powders of *Panax notoginseng* Saponins (PNS) in a rat model and its protective effects against cardio-cerebrovascular diseases administrated in the form of its suspension. MethodsAfter administration, Rg1 concentration in the serum was analyzed by HPLC and the absolute bioavailability was calculated. The protective effects against cardio-cerebrovascular diseases were studied on acute myocardial infarction model in rats built by occlusion of left coronary artery and cerebral ischemia-reperfusion model in gerbils built by occlusion of bilateral common carotid artery (CCA). ResultsThe *in vivo* course of Rg1 in rats conformed to two-compartment model after intranasal administration of PNS suspension and the absolute bioavailability was 103.56%. The suspension significantly reduced myocardial infarct size induced by occlusion of the left coronary artery, alleviated cerebral edema and the stroke symptoms induced by occlusion of bilateral common carotid artery (CCA). And the effects were dose-dependent, the higher dose, the better effects. ConclusionThe results of pharmacokinetics and pharmacodynamics demonstrated that PNS intranasal preparation has a pretty prospect to develop.

Keywords: intranasal administration pharmacokinetics acute myocardial infarction cerebral ischemia-reperfusion *Panax notoginseng* Saponins

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