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丹参酮IIA与丹参酮IIA磺酸钠体内药动学与药效学

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摘要
目的 将注射用丹参酮IIA(tanshinone IIA, 简称Tan IIA)制剂在大鼠体内过程和对急性心肌缺血的保护作用与市售药品诺新康（丹参酮IIA磺酸钠注射液）相比较，初步评价2种药物制剂的药动学与药效学。方法 以大鼠为模型动物，测定注射用丹参酮IIA制剂及诺新康在尾静脉注射给药后不同时间下的血药质量浓度，以描述体内的药动学过程。以垂体后叶素致急性心肌缺血大鼠的心电图T波高度变化、PR间期变化和PP间期变化为指标，比较2种药物制剂的药效和注射用丹参酮 IIA的量效关系。结果 药动学实验表明，2种药物制剂均符合二室开放模型，注射用丹参酮IIA及诺新康药时曲线的AUC无明显差异，平均体内滞留时间（MRT）分别为 29.14 min 和39.17 min，平均分布半衰期（t1/2(α)）分别为11.64 min和18.00 min，平均消除半衰期（t1/2(β)）分别为34.92 min和54.00 min，推测注射用丹参酮 IIA比其磺酸钠制剂在体内的吸收分布消除稍快。初步药效学实验显示，注射用丹参酮IIA的中、高剂量组及诺新康组对垂体后叶素所致的大鼠急性心肌缺血有保护作用，2种药物制剂相同剂量下，注射用丹参酮IIA药效在一定程度上优于诺新康。结论：注射用丹参酮IIA与诺新康相比，在体内有相似的药动学过程，具有更好的药效。

关键词：药剂学；注射用丹参酮IIA；丹参酮IIA磺酸钠；药动学；药效学；评价
关键词 药剂学 注射用丹参酮IIA 丹参酮IIA磺酸钠 药动学 药效学 评价
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Pharmacokinetics and pharmacodynamics studies of Tanshinone IIA and tanshinone IIA sulfonate

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Abstract

Objective Compare the in vivo behavior and protective effect for acute myocardial ischemia of tanshinone IIA with sodium Tanshinone IIA sulfonate injection, to evaluate the pharmacokinetics and pharmacodynamics of two formulations. Methods Determinated the Tanshinone IIA and sodium tanshinone IIA sulfonate concentration in rat plasma, their phamacokinetic parameters were calculated by BAPP2.0. acute cardiac ischemia induced by pituitrin. The electrocardiogram(ECG) of acute myocardial ischemia rats induced by pituitrin (Pit) were detected after the injection of two preparations. Results It was found that the plasma concentration-time curves of two preparations were fit into a two-compartment model. AUCs of two preparations had no significantly antagonized, corresponding MRTs were 29.14 and 39.17 min , t1/2(α) were 11.64 and 18.00 min，t1/2(β) were 34.92 and 54.00 min. These illustrated the disposition and elimination of tanshinone IIA complex were a little quicker than sodium tanshinone IIA sulfonate injection. Compared with control group, the changes of T wave, PR period and PP period of ECG in tanshinone IIA high/middle groups and sodium tanshinone IIA sulfonate groups were significantly antagonized, the above results revealed tanshinone IIA injection had a better protective effect than the preparation of sodium tanshinone IIA sulfonate. Conclusions Tanshinone IIA injection and sodium tanshinone IIA sulfonate injection had similar physiological disposition. For the effect of anti-myocardial ischemia, Tanshinone IIA inclusion complex powder injection was better.

Key words： pharmaceutics; tanshinone IIA injection；sodium tanshinone IIA sulfonate； pharmacokinetics； pharmacodynamics; evaluation
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