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论文

麝香保心pH依赖型梯度释药微丸的研究

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摘要:

目的制备麝香保心pH依赖型梯度释药微丸并进行体内外考察。方法分别以HPMC,Eudragit L-30D-55和Eudragit L100-Eudragit S100(1∶5)为包衣材料制备pH依赖型梯度释药微丸,并进行体外释放度、胃肠道转运和体内药代动力学研究。结果冰片和人参总皂苷体外释放度的f_2值为79.6,3种包衣微丸分别在胃、十二指肠和空回肠部崩解,由3种微丸组成的缓释胶囊中冰片的T_{max}与原丸剂相近,而C_{max}明显降低,相对生物利用度为96%。结论 缓释胶囊中的冰片和人参总皂苷在体外可同步缓释,在体内具有pH依赖性崩解溶散的特征,冰片作为指标性成分具有梯度缓释的药代动力学特点。

关键词: 复方中药 缓释 麝香保心微丸 pH依赖型梯度释药系统 胃肠道转运 人体内药代动力学

STUDIES ON HEART-PROTECTING MUSK pH-DEPENDENT GRADIENT-RELEASE PELLETS

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Abstract:

AIMTo prepare heart-protecting musk pH-dependent gradient-release pellets and investigate the drug release *in vitro* and in vivo. METHODSThe pH-dependent gradient-release pellet system was prepared by using HPMC, Eudragit L-30D-55 and Eudragit L100-Eudragit S100 (1∶5) combinations as coater. The release of borneol and total ginsenoside from pH-dependent gradient-release pellets were determined according to the method of Pharmacopoeia of the People's Republic of China (2000) in the simulated gastrointestinal pH conditions. The gastrointestinal transit and disintegration of pellets was investigated by using γ-scintigraphic trace in volunteers. The pharmacokinetics of borneol of heart-protecting musk pH-dependent gradient-release pellets was studied in 6 healthy volunteers by GC methods. RESULTSThe f_2 value of release data of borneol and total ginsenoside of the heart-protecting musk pH-dependent gradient-release pellets was 79.6 in the simulated gastrointestinal pH conditions. The γ-scintigraphic trace evaluation demonstrated that the pellets coated with HPMC, Eudragit L-30D-55 or Eudragit L100-Eudragit S100 (1∶5) combinations can disintegrate in stomach, duodenum and jejunum or ileum. The gastrointestinal transit time of pellets was about 5 hours in fasted state and about 6 hours in fed state. The concentration-time curves of borneol of heart-protecting musk pills fit in two-compartment model. The pharmacokinetics data showed that borneol had a short time of absorption and elimination. The mean residence time (MRT) of borneol of heart-protecting musk pills was 2.61 hours. The plasma concentration of borneol of heart-protecting musk sustained-release capsule which consisted of three kinds of pellets coated with HPMC, Eudragit L-30D-55 or Eudragit L100-Eudragit S100 (1∶5) combinations was steadier than those of heart-protecting musk pills, its C_{max} was lower than and T_{max} was near to those of heart-protecting musk pills, its MRT was 4.0 hours, and its relative bioavailability was 96%. CONCLUSIONThe lipidsoluble borneol and watersoluble total ginsenoside of heart-protecting musk pH-dependent gradient-release pellets can release simultaneously while sustained-releasing *in vitro*. The heart-protecting musk pH-dependent gradient-release pellets had the characteristics of pH-dependent gradient-releasing and disintegration while transiting in gastrointestinal tract. A characteristic of gradient sustained-release was shown in the concentration-time curves of borneol of heart-protecting musk sustained-release capsule in volunteers.

Keywords: sustained-release heart-protecting musk pellet pH-dependent gradient-release system gastrointestinal transit human pharmacokinetics traditional Chinese medicine compound recipe

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