

论文

新型眼用阳离子微乳-原位凝胶的制备及其体外角膜滞留特性的研究

马守伟;甘勇;甘莉;朱春柳;朱家璧

1. 中国药科大学, 江苏 南京 210009; 2. 中国科学院 上海药物研究所, 上海 201203

摘要:

设计了新型眼用阳离子微乳-原位凝胶(cationic microemulsion-in situ gel, CM-ISG)系统,以维生素A棕榈酸酯(vitamin A palmitate, VAP)为模型药物研究了该释药系统的角膜滞留特性,并评价了角膜刺激性。采用外界供能法制备了VAP/CM,考察了维生素A棕榈酸酯阳离子微乳-原位凝胶(vitamin A cationic microemulsion-in situ gel, VAP/CM-ISG)胶凝前后的流变学性质,以及VAP/CM-ISG和市售品诺沛凝胶(Oculotect Gel)中VAP的体外释放和凝胶溶蚀情况;采用束缚泡法研究了VAP/CM-ISG和Oculotect Gel在离体角膜表面的滞留情况,Draize评分法评价了VAP/CM-ISG对兔角膜刺激性。透射电镜表明VAP/CM粒径分布均匀,加入poloxamer 407凝胶材料前后粒径无明显变化;加入VAP/CM后poloxamer 407溶液的胶凝温度下降了1.5℃,胶凝后系统的弹性模量增加了15.7倍;VAP/CM-ISG和Oculotect Gel中药物释放和凝胶溶蚀均呈现良好的零级释放特征。解吸附动力学研究发现,VAP/CM-ISG与Oculotect Gel相比,体外角膜滞留时间延长,且角膜接触角减小,具有良好的角膜铺展和滞留效果;兔角膜刺激性评价试验表明,制得的VAP/CM-ISG眼部生理相容性良好。VAP/CM-ISG能综合阳离子微乳和原位凝胶两种剂型的优点,改善药物在角膜表面的铺展性,提高角膜滞留,是一种具有良好应用前景的新型眼用释药系统。

关键词: 维生素A棕榈酸酯 阳离子微乳 原位凝胶 角膜滞留 流变学

Preparation and in vitro corneal retention behavior of novel cationic microemulsion/in situ gel system

MA Shou-wei1;2; GAN Yong; GAN Li; ZHU Chun-liu; ZHU Jia-bi

Abstract:

The aim was to prepare a novel ocular cationic microemulsion-in situ gel (CM-ISG) system with vitamin A palmitate (VAP) as model drug, and investigate the corneal retention behavior and corneal irritation of the system. VAP/CM was prepared by a process based on supply of energy, and the before-and-after gelation rheology of VAP/CM-ISG was investigated. In vitro VAP release and gel dissolution of both VAP/CM-ISG and Oculotect Gel was determined. And in vitro corneal retention behavior of both formulations was evaluated by captive bubble technique. Ocular irritation test was carried out based on the Draize method. Images of TEM showed that homogenous VAP/CM was made, and no significant differences of particle size were found between the VAP/CM and VAP/CM in Poloxamer 407 gel. Rheology study illustrated that VAP/CM reduced the phase transition temperature of Poloxamer 407 gel by 1.5℃, and the elastic modulus increased about 15.7 times. The in vitro release and gel dissolution profile of both formulations exhibited the characteristics of zero order kinetics. Comparing with Oculotect Gel, desorption kinetics study of VAP/CM-ISG exhibited longer corneal retention time and smaller contact angle. Irritation test showed a good ocular compatibility of VAP/CM-ISG. Therefore, VAP/CM-ISG combined both advantages of the cationic microemulsion and in situ gel system, provided better wettability and longer ocular retention time. It might be a promising ocular drug delivery system.

Keywords: cationic microemulsion in situ gel ocular retention rheology vitamin A palmitate

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