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甘草酸二铵脂质囊泡的体外经皮渗透研究

Study on Transdermal Permeation and Skin Accumulation of Diammonium Glycyrrhizinate Vesicular Carriers

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中文关键词： 甘草酸二铵 磷脂复合物 醇质体 柔性脂质体 凝胶 经皮渗透

英文关键词：diammonium glycyrrhizinate phospholipid complex enthosome elastic liposome gel skin permeation

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中文摘要:

目的 考察不同种类的甘草酸二铵(DG)脂质囊泡的体外经皮渗透情况，并制备脂质囊泡凝胶剂。方法 分别采用非质子传递溶剂法制备磷脂复合物，薄膜分散法制备柔性脂质体，注入法制备醇质体，并测定粒径；采用改良的Franz扩散池，以离体人皮进行经皮渗透实验；HPLC测定接收液和皮肤组织中药物含量。最后，将皮肤渗透性较好的囊泡处方制备成凝胶剂，考察凝胶的经皮渗透情况。结果 DG磷脂复合物24 h累计透过量为(8.07±5.42) μg·cm^{-2}，其余处方透过液中均未检测到药物。24 h药物在皮肤中的累积量大小顺序为磷脂复合物>醇质体>柔性脂质体>水溶液。DG磷脂复合物凝胶透皮效果与卡波姆浓度有关，0.5%卡波姆处方的皮肤中药物滞留量为1%卡波姆处方的2.2倍，降低卡波姆的浓度不但能提高DG在表皮层的含量，而且还能使药物进一步渗透至真皮层。结论 磷脂复合物能显著促进DG在皮肤中的渗透，并增加药物在皮肤中的蓄积。采用0.5%卡波姆制备磷脂复合物凝胶具有较好的经皮渗透性。

英文摘要:

OBJECTIVE To investigate the skin permeability of diammonium glycyrrhizinate from differents vesicular carriers, and prepare vesicles gel. METHODS The phospholipid complex, enthosome and elastic liposome of diammonium glycyrrhizinate were prepared by aprotic solvent method, film dispersing and ethanol injection method, respectively. Particle sizes of the vesicles were determined. Skin permeation experiments were

carried out on modified Franz diffusion cells, using excised human skin. The concentrations of diammonium glycyrrhizinate in the receptor compartment and skin were determined by HPLC. Finally, vesicle with good skin permeability was prepared as a gel agent, and its skin permeation was also determined. RESULTS The quantity of diammonium glycyrrhizinate from phospholipid complex in the receptor was (8.07±5.42) μg·cm^{-2}, while others were negligible. The cumulative amounts of drug in the skin after 24 h were in the order of that: phospholipid complex>enthosome>elastic liposome>water solution. Skin permeability of diammonium glycyrrhizinate phospholipid complex gel was affected by the concentrations of carbomer. The accumulation of diammonium glycyrrhizinate from phospholipid complex gel with 0.5% carbomer was 2.2 times higher than gel with 1% carbomer. Reduce the concentration of carbomer not only can improve glycyrrhizic acid content in the epidermis, but also make the drug to further penetrate into the dermis. CONCLUTIONS Phospholipid complex can significantly increase percutaneous penetration and skin cummulation of diammonium glycyrrhizinate. Phospholipid complex gel with 0.5% carbomer has a good percutaneous penetration.

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