

渗透促进剂对尼美舒利透皮吸收的影响

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摘要

目的 考察了油酸(OA)、氮酮(azone)和肉豆蔻酸异丙酯(IPM)3种渗透促进剂(PE)对尼美舒利经离体鼠皮渗透性的影响。方法 采用Franz扩散池进行尼美舒利体外经皮渗透实验,采用紫外分光光度法测定接受液中尼美舒利的含量。结果 应用质量分数为5%的油酸(OA)、氮酮和肉豆蔻酸异丙酯(IPM)为渗透促进剂,药物的稳态流量与对照组比较均有提高,增渗倍数分别为2.04、1.86、1.46;药物的表观扩散系数分别增至4.43、4.19、1.76倍,但表观分配系数却分别降低为45.98%、44.30%、83.32%。质量分数为5%的油酸对尼美舒利经皮渗透的促进效果最佳。结论 3种渗透促进剂的作用机制主要是改变角质层的通透性,降低了药物经皮渗透的阻力,提高了药物在皮肤角质层的扩散系数。

关键词 [药剂学](#) [透皮吸收](#) [紫外分光光度法](#) [尼美舒利](#) [渗透促进剂](#)

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Studies of effects of enhancers on percutaneous absorption for nimesulide across excised full thickness rat skin

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Abstract

Objective To study the in vitro permeability of nimesulide across full thickness rat skin treated with penetration enhancers (PE). Methods The modified Franz diffusion cells were used to do penetration experiments, UV analytic method was used to determine the content of nimesulide in the receptor medium. Results The enhancers including 5% oleic acid (OA), 5% Azone and 5% isopropyl myristate (IPM) were able to increase the nimesulide percutaneous steady-state fluxes 2.04, 1.86 and 1.46 times to the control, respectively. And the diffusion and partition coefficients for nimesulide were calculated. It was indicated that OA, Azone and IPM increased the diffusion coefficients of nimesulide to 4.43, 4.19 and 1.76 times respectively to the control, while decreased the SC/medium partition coefficients to 45.98%, 44.30%, 83.32% respectively. 5% OA is the best choice in increasing penetration of nimesulide across the rat skin. Conclusion It is shown the main enhancement mechanism of three skin penetration enhancers used is to destroy the barrier function of stratum corneum, reduce the resistance of drug transport thought the skin and increase the diffusion coefficients of nimesulide.

Key words [pharmaceutics](#) [percutaneous absorption](#) [UV analytic method](#) [nimesulide](#) [penetration enhancers](#)

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