

生物化学促进剂对水溶性化合物经皮渗透性的影响

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摘要

目的 研究胰脂肪酶作为生物化学促进剂对水溶性化合物经皮渗透性的影响, 为水溶性化合物的经皮吸收提供有效的促渗方法。方法 采用体外经皮渗透实验方法, 考察胰脂肪酶对水溶性模型化合物异硫氰荧光黄 (FITC) 及其标记葡聚糖系列, 相对分子质量为 4000、10000、20000 的经皮吸收促进作用。并观察皮肤经胰脂肪酶处理前后的组织切片, 采用示差扫描量热法对胰脂肪酶处理前后的皮肤进行解析, 研究其促渗机理。结果 胰脂肪酶对 FD4 (相对分子质量 4000) 的经皮吸收具有显著的吸收促进作用, 其经皮渗透性随着胰脂肪酶对皮肤的预处理时间的延长而增加, 与胰脂肪酶的浓度有一定的相关性。胰脂肪酶对相对分子质量为 4000 到 20000 的 FD 系列化合物都表现出透皮吸收促进作用 (尽管渗透参数随分子量的增加逐渐降低)。胰脂肪酶可能直接作用于角质层脂质部分, 通过某种特异的生物化学反应, 使其构造疏松或变为无序状态, 从而促进药物的透皮吸收。结论 胰脂肪酶能够促进水溶性化合物的经皮吸收。

关键词 [药剂学](#) [经皮渗透性](#) [体外经皮渗透实验](#) [水溶性化合物](#) [生物化学促进剂](#)

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The effect of biochemical enhancer on the permeability of water-soluble compounds

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Abstract

Objective The effect of enzyme on the permeability of water-soluble compounds was investigated for providing an effective enhancing method to the transdermal of water-soluble compounds. Method The transdermal of FITC and FITC labeled dextrans with molecular weight of 4000 to 20000 was evaluated with Franz diffusion cells in vitro. Microscopy was employed to examine the transport mechanisms of the model compounds through the rat skin treated by lipase. DSC was applied to assay the change of rat skin caused by lipase treatment. Results Lipase markedly increased the transdermal delivery of FD4, the permeability increased with the time of lipase treatment and it was also related to the concentration of lipase. The significant enhancement effect of lipase on the compounds with molecular weight between 400 and 20000 was obtained, although the permeability coefficient decreased with the increasing of molecular weight. This enhancing activity of lipase might be attributed to the structural changes of the stratum corneum by some special biochemical reactions of lipase to lipids. Conclusions Lipase is effective for the enhancement of transdermal delivery of water-soluble compounds.

Key words [pharmaceutics](#) [transdermal permeability](#) [water-soluble compounds](#) [in vitro permeation experiment](#) [biochemical enhancer](#)

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