

Abstract

Charles University, Faculty of Pharmacy in Hradec Králové

Department of Pharmaceutical Technology

Author: *Petr Tírala*

Supervisor: *PharmDr. Barbora Švecová, Ph.D.*

Title of thesis: *Formulation and (trans)dermal application of liposomes containing imiquimod*

Imiquimod (IMQ), a substance belonging to the class of heterocyclic imidazoquinolines, shows significant immunomodulatory effects after topical administration, which is used to treat a variety of viral and malignant diseases of the skin. IMQ is currently used in clinical practice in the form of cream Aldara® containing 5% of active substance, which is unstable and irritating and after removal from the skin IMQ poses an ecological load for the environment.

The aim of this thesis was preparation of liposomes for topical administration containing lower - 1% amount of IMQ and evaluation of penetration of IMQ into human skin in vitro. To improve the properties of liposomes and promote patency of the active ingredient through the skin barrier to the deeper skin layers various additives were used.

Permeation experiments were carried out in Franz diffusion cells on the human skin in order to create the conditions that are as physiological as possible. Amount of IMQ was determined in the uppermost layer of the skin, epidermis, dermis, acceptor phase simulating blood flow and surrounding tissue by HPLC.

The evaluation of penetration of IMQ into the skin was conducted in three application schemes. Firstly, after eight hours of application, then after use of a double amount of liposomes, and then after twenty four hours running administration. In all cases the concentrations of IMQ in epidermis as the target site of action was always lower compared with the effect of the commercially available Aldara. The concentrations of IMQ released from the liposomes, however, were also lower in other segments of the skin, which is positive from the point of view of side effects.