DOI: 10.14232/syrptbrs.2022.21

Solubility and skin permeability of imiquimod in liposome-dendrimer systems

Eleni Panoutsopoulou[1,2], Georgios Paraskevopoulos[1,2], Jarmila Zbytovská[2,4], Kateřina Vávrová[1,3]

[1] Skin Barrier Research Group, [2] Department of Pharmaceutical Technology and [3] Department of Organic and Bioorganic Chemistry, Faculty of Pharmacy in Hradec Králové, Charles University, Hradec Králové, Czech Republic
[4] University of Chemistry and Technology, Faculty of Chemical Technology, Prague, Czech Republic

Imiquimod (IMQ) is a topically applied imidazoquinoline used for the treatment of several skin diseases, like actinic keratosis and basal cell carcinoma. Traditional formulations deliver only 2% of the applied IMQ because of the drug's poor solubility (<0.002 mg/ml) and low cutaneous permeability. [1] Dendrimers, a new class of polymers, have proved their efficacy in improving the solubility of poorly soluble drugs. [2] The aim of this work was to investigate the effect of a new type of dendrimers on the solubility and skin permeability of IMQ. Different concentrations and generations (G0, G1, G2, and G3) of dendrimers were tested for their effect on IMQ's solubility. The effect of dendrimers was evidenced as their use resulted in a 4× 10^3 fold increase in the drug's solubility. The optimal preparations were later combined with liposomes and the skin profile of the formulations (with or without liposomes) was examined. G0 with a S_{IMQ}~7.5 mg/ml provided a 10 times higher amount of IMQ to the human epidermis ex vivo than the commercial product, which contains more than 6 times higher IMQ concentration. The addition of liposomes resulted in a lower amount in the epidermis probably due to the lower concentration in the purified liposomes. In conclusion, the findings of this study indicate that dendrimers can increase IMQ's solubility and may be a promising alternative for its topical administration.

References

1. Ma, M. J. Mater. Sci. Mater. Med. 26, (2015)
2. Choudhary S. Front Pharmacol. 8, (2017)