Chapter 4

Innovative Strategies for Lipid-Based Drug Delivery

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ABSTRACT

In the last decade, there has been a mounting concern in lipid-based formulations to deliver water-soluble drugs. Lipid-based drug delivery systems are one of the budding and promising technologies designed to tackle the poor bioavailability problems. This chapter stresses the different mechanisms of lipophilic drug absorption along with its advantages and limitations. It points out the different mechanisms of how lipid-based excipients and the different formulations interact with the absorption process. This review provides a comprehensive summary about the lipid formulation classification scheme (LFCS), a guide for the selection of appropriate formulation and commonly used excipients for lipid-based formulations, along with the important factors to be considered in formulation design and excipient selection. This review also focuses on the formulation of solid lipid-based formulations, important evaluation aspects, and commercial formulations available for the purpose.

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INTRODUCTION

Design and development of suitable drug delivery systems are necessary to localize and improve drug delivery. Targeting drugs to the specific sites with minimal side effects is not easy as specific carrier drug is needed to be get developed for the improved drug delivery. Different types of drugs as a carrier have been used depending on the routes of administration. The most commonly employed route owing to its safety as well as convenience and ease of administration to the patient is the oral administration of the drug (Umeyor, Kenchekwu, Uronnachi, Osonnwa, & Nwakile, 2012). Development of orally administered drug is challenging due to their stability or their absorption in the gastrointestinal tract (GIT) and it may be less effective at the target site (Nnamani, Attama, Ibezim, & Adikwu, 2010). To improve the absorption capacity of such drugs, lipid systems such as emulsions, micellar solutions, liposomes, lipid nanoparticles, structured lipid carriers, self-emulsifying lipid formulations, solid dispersions, dry emulsions, solid-liquid compacts, and drug-lipid conjugates are in use as drug carriers (Chime, Onyishi, Obito, Onunkwo, & Odo, 2013). Solid lipid microparticles (SLMs) have been developed, that have a lower risk of the reaction with the carrying substance in respect to the emulsion system. By altering either one or both the inner solid vesicle or the outer phospholipid layer the release rate of substance from the SLMs can be manipulated (Jaspart, S., Bertholet, P., Piel, G, Dogné, J.M., Delattre, L., & Evrard, B., 2007).

DIFFERENT TYPES OF ROUTES IN LIPID-BASED DRUG DELIVERY SYSTEM

Lipid-Based Drug Delivery Through the Skin

Among the different type of routes in lipid-based drug delivery system (Figure 1), skin is one of them. Routes like oral, parenteral, ocular, intranasal, dermal/transdermal, and vaginal can be preferred for the administration of the lipid based drug delivery systems (Gershkovich, P., Wasan, K.M., & Barta, C.A., 2008; Paveli, Z., Skalko-Basnet, N., Filipovi-Gr,ˇJ., Martinac, A., & Jal’senjak, I., 2005). Transdermal drug delivery has various advantages over conventional oral and intravenous routes. The amount of the drug may be reduced as a result of metabolism in the stomach when it is administered orally. Transdermal drug delivery has many other advantages over other routes of the drug administration such as minimization of pain, and possible controlled drug release (Subedi, Oh, Chun, & Choi, 2010). Drugs that have the capability to penetrate the skin may produce therapeutic effects at the target site inside the human body or in other animals. Drugs absorption through the skin may be affected by the stratum corneum, which has functioned as a first line of the defense in the skin (Bouwstra, J.A., Honeywell-Nguyen, P.L., & Gooris, G.M., 2006; Morgan, C.J., Renwick, A.G., & Friedmann, P.S., 2003). Penetration enhancers may be used to facilitate drug delivery through the skin. They may cause a temporary reversible reduction in the barrier function of the stratum corneum. Penetration enhancers are extensively used to increase percutaneous absorption (Bouwstra & Ponec, 2006). The important example of the penetration enhancer is terpenes (limonene or cineole) which are naturally occurring compounds consisting of isoprene (C5H8) units. They have been used in transdermal research as skin penetration enhancer. Food and Drug Administration (FDA) classified terpenes as an effective class of the penetration enhancers and its use is safe. Lipid nanoparticles composited in the terpene and evaluated for dermal delivery of All-trans-retinoic acids (ATRA) (Vikas, Seema, Gurpreet, Rana, & Baibhav, 2011).