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Original Article

Effect of formulation variables on the properties of a new vesicular system of an anthraquinone derivative

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ABSTRACT

Drug delivery through the human skin is limited by the barrier function of the stratum corner. Several attempts have been investigated to enhance the transdermal permeation including vesicular structure. Diacerein is an anthraquinone derivative approved for osteoarthritis treatment. Owning to its oral side effects, transdermal delivery seems as an attractive approach. Vesicular carrier showed a promising results in enhancement of transdermal permeation. The present investigation aimed to screen the best surfactant and the optimum cholesterol-to-surfactant ratio needed for successfully entrapping the lipophilic investigated drug diacerein with the novasome. Diacerein novasomes has been successfully prepared by the thin film hydration method using different surfactant types. Also, Different cholesterol to span 60 ratio investigated. The vesicle size, PDI and EE% were determined for all of the prepared formulas. Results showed that span 60 as a surfactant gives the best results regarding entrapment efficiency owing to its unique physicochemical properties. A cholesterol to surfactant ratio of 1:4 gives superior entrapment efficiency. However, F1 needs further optimization by a suitable size reduction technique to produce a suitable a homogenous size distribution and an appropriate size range that is acceptable for transdermal delivery.

Keywords: Diacerein, Dermal delivery, Novasome, Surfactants

Introduction

The human skin is considered as the largest organ in the human body [1]. It exerts a protective function represented by the presence of the stratum corneum [2]. Therefore, unless the barrier property of the skin is manipulated, the low diffusion of the drugs across the stratum corneum is the major obstacle to topical delivery [3, 4].

Extensive research has been introduced to overcome stratum corneum and enhance drug permeation through the skin [5]. Vesicular systems are considered a wide area of investigation in these researches. Vesicle adhesion to the skin surface changes the structure of the stratum corner by fluidization of the lipid matrix is expected to result in this enhancement [6, 7]. Also, intact vesicular penetration related to their nano-size range allows close contact of the carrier with the skin [8, 9].

In the design of the vesicular system to enhance dermal permeation, it is essential to identify the skin layer intended as a target for drug deposition or whether crossing all layers of the skin is required so that drug molecules need to be in the viable epidermis to produce a beneficial effect as the case in transdermal delivery [10].

Conventional Vesicular systems such as liposomes and niosomes have several disadvantages in terms of drug loading and long-term stability [11]. Furthermore, these systems showed limited ability in crossing the skin layers and mainly deposited in the skin [12].

Novasomes (NS) are a new development of vesicular structure [13]. Their structure consists of non-ionic surfactants, cholesterol, and free fatty acids [14]. Surfactants are the basic unit and the building block of the vesicular structure that determines their structure and properties [6]. Cholesterol contributes to vesicular stability by increasing the transition temperature and

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