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Preparation and characterization of new pseudo ceramide liposomes for the administration of baicalein transdermal

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Abstract

To address the shortcomings of natural ceramides, pseudo ceramides (PO3C, 6C, and 9C) were synthesised and used to build pseudo ceramide liposomes. When the PO9C:PC content was 2:1, the liposomes prepared with PO9C were 30 the smallest in size, with a particle size of 130 nm. Furthermore, the stability was higher than that of standard ceramide liposomes (CLs). Finally, a PC:ceramide ratio of 2:1 was chosen to carry baicalein because it optimized the particle size and stability of the liposome (BAI). The common CLs had an entrapment efficiency of 78.40 percent, whereas the pseudo-CLs had an entrapment efficiency of more than 80 percent. Notably, liposomes containing 9CL had the highest entrapment efficiency. TEM was used to confirm the morphology of BAI35-loaded pseudo-CLs. The concentrations of BAI loaded in ordinary CLs present in the stratum corneum, epidermis, and dermis, as well as transdermal permeation, were, respectively, and 4g/cm3. Concentrations of the pseudo-CLs, BAI-9CL were very similar. Finally, it is proposed that pseudo-CLs containing BAI (BAI-9CLs) are as effective as regular CLs in delivering the drug to the skin, but have the added benefit of being more stable.

KeyWords: Transdermal drug delivery system, pseudo ceramide, ceramide liposome, and baicalein.

Introduction:

The epidermis, dermatic dermis, fat layers, and epidermal cells of the four skin are basal stratus, spinosum stratus, granular layer and corneum stratum [1, 2, 3]. The nuclei & cell organelles dissolve during differentiation, and humidity decreases, resulting in the collection of deadly keratinocytes as layers of the outermost layer [4, 5]. Not only does the stratum cornea play an essential function in skin durability, but it also acts as a response to external stimuli for cells' signals. Between the intercellular fillers (Mortar) and the keratinocytes (Brics), "Bricks and Mortar" are characterized [6, 7]. Keratinocytes consist of keratin bundles that offer skin structural stability and elasticity and help create and sum up natural humidifying substances [8, 9, 10]. Due to its multi-layered structure, lipids such as ceramics, cholesterol, & fatty Acids

function as a barrier to water permatia and hydrophilic material instead of hydrophilically permeable biological membranes [11, 12, 13].

The primary components of intercellular lipids are ceramides, cholesterol, fatty acids, and a 1:1:1 M ratio. Every constituent and their composition ratio in the skin barrier plays a vital function [14, 15]. Ceramide is a fatty acid linked to the structural sphingoid amide. Ceramide is divided into 12 types by the composition & esterification of 4 categories of sphingoid foundations and three kinds of human fatty acids. Approximately half the lipid bulk is formed by ceramides and is a critical factor in skin deterioration. Ceramide levels in lesions and normal skin affected by diseases such as atopy, psoriasis and LPS are significantly lower in the research of the skin irritation due to chemically-induced inflammation.Transdermal water loss is associated with reduced exogenous ceramides (TEWL). Ceramide deficit was also associated with failure of the epidermal barrier, increased TEWL, reduced NMF content or inflammatory cytokine production. A decreasing deficit of ceramide synthesis such as glucosylceramidase or sphingomyelinase and an abnormal rise of ceramide metabolism due to ceramidaseis hypothesized to be caused. The polyphenol flavonoid component in the root of an antioxidant is baicalein (BAI), antibacterial, anti-inflammatory and antifungal plants GeorgiScutellarinbaicalinase, a medicinal plant [16].

Aim and Objective:

This study aims to determine the liposome formed with pseudo ceramide, as well as the weakly soluble medicine baicalein transmitted to the skin.

Material and Methods:

PC (99.0 per cent), cholesterol, oleic acid, baicalein, folin-phenol-ciocalteu reactive bromide, bromide (MTT), monobasic sodium phosphate (NaH2PO42H2O), sodium phosphate dibasic (Na2HPO42H2O), sodium phosphoric acid dibasic (Na2HPO42H2O) (St. Louis, MO, USA). Ceramide-3 was developed from DS-CERAMIDE Y30, & PO3C, PO6C and PO9C were synthesized by Daebong. Daejung Chemical supplied ethanol, methanol, and chloroform solvents, while Milli-Q filtered the distilled water. Thin-film hydration was used to make the ceramide liposomes, and their elements and compositions are illustrated (Table 1).

Table 1 : Composition Of Pseudo Ceramide Liposomes Containing Baicalein

Molar Ration	PC	Ceramide-3	PO3C	PO6C	PO9C	Chol	OA	Baicalein
BAI-CL	2	2	-	-	-	1	1	0.5
BAI-ω3CL	2	-	1	-	-	1	1	0.5
BAI-ω6CL	2	-	-	1	-	1	1	0.5
BAI-ω9CL	2	-	-	-	1	1	1	0.5
BAI-ω9CLO	2	-	-	-	1	1	0	0.5

The liposome structure loaded with BAI is demonstrated (Table 2). The components were first dissolved in a chloroform-methanol solution of 25 mL (4:1). A rotary evaporator was then used to extract the solvent, which produced a film entirely. Using a sonicator, the film has been hysterical and homogenized for 5 minutes using a ten of phosphate buffer (PB; pH 7.4). It was then stored after passing through a 1.2 m filter.

The ultimate liposome formulation content of pseudoceramide was 0.2% (w/v). The liposome particle size was measured using dynamic light dispersion. The temperature measured was 25°C with a dispersion angle of 165°C and an argon laser from the light source. Incremental approaches were employed to determine the particle size and test its dispersion using the CONTIN method. It is vital to ensure the lack of cytotoxicity before applying produced liposomes to the skin.

Consequently, the cytotoxicity test was performed using the -tetrazolium bromide (MTT) methyl filter. After HaCaT cells had been planted at a density of 1 104 cells, the liposome solution was distributed to 96-well flats, cultured in vitro at 5% CO2 and 37°C for 1 day and liposome solution was discharged. The MTT assay was used to evaluate cytotoxicity by measuring the HaCaT cell survival rates in the sample. An ELISA reader was used to measure the absorbance at 570 nm. The untreated group's absorbance was used as a negative control (100 percent). eq. was used to calculate the cell survival rate in comparison to the treated group (2).

100 treated group untreated group = A A Cell viability (percentage)

The experiment was conducted three times, all data being expressed as a mean SD. The GraphPad Prism 7.0 application was used to determine statistical significance (San Diego, CA). The significance of the results was determined using a one-way ANOVA. The threshold for statistical significance was fixed at p 0.05.

The penetration of the skin of BAI was decreased to 6CL, followed by 3CL. That is because of the rise in particle size by 9CL, 6CL and 3CL, influencing skin permeability in particle size. The amount of BAI penetrated in every skin area is shown (Fig. 7). In the control groups, BAI concentrations dissolved in the 1,3-BG stratocorneum, derma, and transdermal permeation were 3,60, 16,47, and 21,88 g/cm3. The BAI levels of traditional CLs were in the corneum, dermis and dermis stratum, 7,82, 38,59, and 49,46 g/cm3, respectively. The levels for 9CLs of BAI were 8.37, 45.04, and 46.31 g/cm3, respectively, at the stratum corneum, skin (epidermis or dermis). The skin quantity was greater in comparison with BAI-9CLs.

CONCLUSION:

Following that, BAI skin permeability decreased by 6CL to 3CL. This is because part size increases in the 9CL, 6CL and 3CL range, and part size changes the skin's permeability. It shows the amount of BAI that penetrates every skin region. The BAI dissolution levels were 3,60, 16,47, and 21,88 g/cm3, respectively; 1,3-BG in the stratum corner skin (epidermis and dermis) in the control group. A type of CLs in stratum cornea, skin & transdermal permeation with a concentration of BEI of 7.82, 38.59 and 49.46 g/cm2.The BAI concentrations in 9CLs were 8.37 and 45.04, and 46.31 g/cm3 in the stratum cornerum (epidermis & dermis) and the skin (epidermis and dermis) (epidermis and dermis). In contrast, the amount of skin in BAI-9CLs was higher.

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