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SUNJIN BEAUTY SCIENCE

Novel Delivery System for Insoluble Active Ingredients in Cosmetics

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Introduction:

Clean beauty, which advocates the use of cleaner, greener, more natural, and safer cosmetic ingredients, is currently a major trend in cosmetics. Among the surfactants used to realize clean beauty, PEG- and silicone-free ingredients have garnered the most attention, as they are the main building blocks of thickeners and emulsifiers for cosmetics [1]. Naturally abundant clay particles such as hectorite and laponite have been widely used in various fields. Recently, the use of hectorite has increased, owing to its beneficial properties such as null toxicity, chemical inertness, specific surface area, and cation exchange capacity [2]. However, there is few research on the use of surface-modified hectorite as a stabilizer for insoluble active ingredients in cosmetics.
Ceramides, the major components of intercellular lipids in the stratum corneum, play a crucial role in the barrier function and moisture retention ability of the skin. When damaged or aged, the skin exhibits low ceramide levels in the stratum corneum [3]. Hydroxypropyl bispalmitamide MEA is a synthetic pseudo-ceramide (p-Cer), which has been found to display functions similar to those of natural ceramides when applied to damaged or dried skin [4]. The barrier properties of this p-Cer can be enhanced by adding components such as fatty acids and cholesterol. Despite their contribution to skin barrier function, p-Cers are difficult to apply in cosmetic formulations with high concentrations due to their high crystallization [5]. Therefore, recent research on the skin delivery system has been aimed at the effective stabilization and delivery of the insoluble ingredients through the skin barrier into the skin, similar to skin barrier into the skin, similar to liposomes [6]. Liposomes are double phospholipid structures comprising phospholipids that can support both hydrophilic and lipophilic active ingredients. However, liposomes have several disadvantages, such as low active ingredient loading efficiency, physicochemical instability, and complicated manufacturing processes [7]. Therefore, further research on a novel active ingredient delivery system is required.
Herein, we introduce a novel disteardimonium hectorite–based lipid carrier system, which serves as a colloidal carrier for p-Cers. The most stable composition was investigated to apply them to the O/W formulation. In addition, the stability and moisturizing effect of stable O/W formulation were compared to liposome-based formulations.

Materials & Methods:

Preparation of the O/W emulsion containing the hectorite-lipid carrier

A cream containing 5% of the lipid carrier was prepared to confirm the stability and efficacy of the lipid carrier in cosmetics; the composition of this cream is summarized in Table 1. The cream without lipid carriers was prepared as a control. As shown in Table 1,PEG, PPG surfactants, and silicone oils, were not used for skin safety. Furthermore, the formulation was developed by carefully selecting only EWG green grade raw materials. The cream was prepared by emulsifying and mixing at 1200 rpm and room temperature for 5 min.

Turbiscan analysis

Stability of the prepared O/W creams were evaluated by Turbiscan analysis. The experimental temperature was kept constant at 50 ± 3 °C, and the stability of the emulsion was measured for three days. The backscattering (BS %) level of the emulsified particles was evaluated after labeling. The measurement principle is schematically represented in Fig. 1.

Table 1. Ingredients of the O/W emulsions

	Ingredient	Formulation (w/w, %)			
		O/W cream	O/W cream with the hectorite-lipid carrier	O/W cream with liposome	O/W cream with pseudo-ceramide
A	Water	Up to 100	Up to 100	Up to 100	Up to 100
	Carbomer	0.15	0.15	0.15	0.15
	1,3-Butylene glycol	5	5	5	5
	Glycerin	2	2	2	2
B	Hydroxypropyl bispalmitamide MEA	-	-	-	0.5
	Phytosterols	-	-	-	0.25
	Stearic acid	-	-	-	0.25
	Hydrogenated lauryl olive esters	4	4	4	4
	Medofoam seed oil	2	2	2	2
	Hydrogenated polydecene	3	3	3	3
	Arachidyl alcohol/behenyl alcohol/arachidylglucoside	1	1	1	1
	Polyglyceryl-10 oleate	4	4	4	4
	Cetyl alcohol	1	1	1	1
	Squalane	3	3	3	3
	Butyrospermum parkii (shea) butter	1	1	1	1
	Dipentaerythrityl hexahydroxystearate/hexastearate/hexarosinate	3	3	3	3
C	Water	5	5	5	5
	Trimethylamine	0.15	0.15	0.15	0.15
	1,2-Hexanediol	1	1	1	1
	Ethylhexylglycerin	0.1	0.1	0.1	0.1
D	Hectorite-lipid carrier	-	5	-	-
	Liposome	-	-	5	-

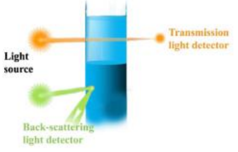

Fig. 1 Schematic principle of Turbiscan analysis.

Moisturizing analysis

O/W cream was applied once evenly to the volar forearm of the participants in a double-blind manner. The opposing volar forearm served as an untreated buffer. Before every set of measurements, participants were required to equilibrate in a closed environment at a constant temperature (20 ± 2 °C) and humidity (45%–55% RH). TEWL was measured using GPSkin Barrier® (GPOWER Inc., Republic of Korea) at t = 0 (pre-application) and at 0.5, 1, 3, 5, and 7 h (post-application). Any adverse effects observed during the entire study period were documented by the investigator.

Results & Discussion:

Disteardimonium hectorite

Hectorite is a trioctahedral clay mineral with peculiar cation exchange capacity, surface reactivity and adsorption. Since cationic-hectorite have high ion exchange capacity and large total surface areas, they can be easily hybridized with drugs, polymers, and organic substances.

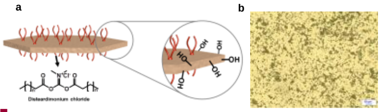

Fig.2 The structure of hectorite (a). The optical image of disteardimonium hectorite nanoplate (b).

Compatibility of emulsifiers with p-Cers

The binding energy between the pseudo-ceramide and emulsifier should be sufficiently high to encapsulate a sufficient amount of pseudo-ceramide. As shown in Fig. 3, polyglyceryl-10 distearate exhibits the highest binding energy among the tested emulsifiers.

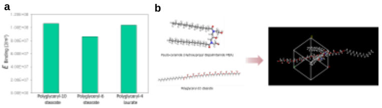

Fig.3 Binding energy of pseudo-ceramide molecule with emulsifiers (a). Model of the pseudo-ceramide molecule and polyglyceryl-10 stearate (b). The gray, white, red, and blue spheres represent C, H, O, and N atoms, respectively.

Morphology characterization

Fig. 4 show that the emulsion is spherical with a multilayer structure on its surface, while the lipid carrier is well dispersed in the formulation and does not form crystalline pseudo-ceramide.

Fig.4 Morphology of O/W emulsion with hectorite-lipid carrier was characterized by bright field(a), crossed polarized (b), and Cryo-SEM microscopes.

Stability analysis

The O/W cream with a hectorite-lipid carrier is the most stable with a level similar to that of the O/W placebo cream.

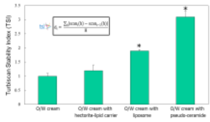

Fig.5 Stability analysis of O/W cream, O/W cream with Hectorite-lipid carrier, O/W cream with Liposome, and O/W cream with pseudo-ceramide by Turbiscan for 3days at 50 ℃.

Evaluation of moisturizing efficacy

The O/W cream with the hectorite-lipid carrier continued to exhibit a significantly greater decrease in TEWL compared to the O/W reference product.

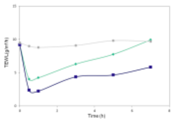
Fig.6 TEWL measurements (g/m²/h) over time following the single application of (■) O/W cream with the hectorite-lipid carrier, (●) O/W reference product, and (●) bare skin.

Conclusions:

In this study, hectorite technology, which is applied in pharmaceuticals, was introduced into the stabilization system of insoluble ingredients in cosmetics. A lipid carrier comprising disteardimonium hectorite, surfactant, oil, and p-Cers was applied to the O/W-type emulsion, and the formation of a multilayer structure was confirmed by cryo-SEM. In addition, Turbiscan analysis confirmed that the emulsion containing the hectorite-lipid carrier had better stability than that of the emulsion containing the liposome. As shown in Fig. 7, the surface-modified hectorite was arranged at the interface of the emulsified particles, which may be a contributor to the improvement in stability. In addition, when an emulsion containing the hectorite-lipid carrier was applied to the skin, it showed an excellent moisturizing effect. Based on these findings, disteardimonium hectorite–based lipid carriers are expected to play an important role as stable insoluble ingredient delivery systems in cosmetics.

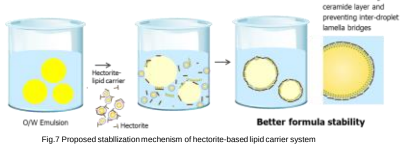

Fig.7 Proposed stablIization mechenism of hectorite-based lipid carrier system

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