Development of nanoemulsion containing kojic dipalmitate and rosehip oil

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Introduction

Kojic dipalmitate (KDP) is a highly lipophilic molecule that-suffers hydrolysis in the skin, releasing kojic acid *in situ* (1). It is employed to treat skin hyperpigmentation disorders. Rosehip oil is rich in fatty acids and also has ascorbic acid, phenols and mineral salts (2). The oil has antioxidant activity and acts as healing agent. The objective of this study was to develop a nanoemulsion containing KDP and rosehip oil, evaluating different conditions aiming the most suitable formulation for skin whitening purposes.

Experimental section

An oil in water emulsion was prepared, the oil phase consisting of surfactant, rosehip oil and KDP, and the aqueous phase consisting of surfactant and water. The emulsion was submitted to a high energy method (Ultra-Turrax[®]) in order to obtain a nanoemulsion (3). Different conditions were employed aiming the most suitable formulation: processing temperature (room temperature or 60°C), KDP concentration (0.1, 0.2 or 0.3%), total surfactant concentration (5.0 or 7.5%) and processing time (40 or 60 minutes). Formulations were evaluated regarding resistance to centrifugation (30 minutes, 3600 rpm). Samples that did not precipitate had their size distribution analyzed by laser diffraction (Mastersizer[®]2000, Malvern Instruments, UK).

Results and Discussion

Heating was needed to solubilize KDP (4), so the processing temperature was the first condition tested. Keeping the processing temperature (formulation with 0.1% KDP) at 60°C allowed the obtainment of a stable formulation, while processing at room temperature led to precipitation. Therefore, heating was necessary. Higher concentrations of KDP were then tested. Incorporation of 0.1% and 0.2% of active did not form precipitate after centrifugation, while 0.3% did. Size distribution profiles of formulations with 0.1% and 0.2% of active did not form precipitate after centrifugation, while 0.3% did. Size distribution profiles of formulations with 0.1% and 0.2% of active showed profiles in the nanometric scale, with satisfactory average diameter (D4,3) and Span values. Hence, higher concentration of KDP was selected. The third parameter analyzed was the concentration of surfactant, which was initially used at 7.5%. Lowering it to 5.0% led to formation of precipitate. Finally, an attempt to reduce processing time was performed, changing the six cycles of 10 minutes each to four cycles. However, when analyzing size distribution profiles, after 40 minutes of processing, there was a micrometric peak. The selected formulation, which contained 5% of rosehip oil, 0.2% KDP, 7.5% of total surfactant, employing temperature of 60°C during all processing time (60 minutes) in the dispersing equipment, was prepared in triplicate of batches. The developed nanoemulsion presented a homogeneous and opaque aspect, and particle diameter of 121 ± 3 nm with Span values of 0.894 ± 0.092 .

Conclusions

A suitable nanoemulsion containing KDP and rosehip oil was developed by a high energy method, at 60°C for 60 minutes. Surfactants were applied at 7.5% and it was possible to incorporate up to 0.2% of KDP. Perspectives include a full characterization of the formulation including KDP content and stability studies.

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