ENCAPSULATION OF ETHYLHEXYL METHOXYCINNAMATE, A LIGHT-SENSITIVE UV FILTER, IN SOLID LIPID NANOPARTICLES

INTRODUCTION

Among the different UVB filters acceptable in topical formulations, Ethylhexyl Methoxycinnamate (EMC) is one of the most commonly used in sunscreen products. Its maximum absorption wavelength is 320 nm. However, the major problem regarding the use of EMC in sunscreen products is its relatively fast degradation under UV radiation. The original conformation of EMC is the "trans" isomer but a cis-isomerisation appears after light exposure. This isomer is characterized by a lower level of absorption in UVB range than the "trans" configuration, thereby decreasing its effectiveness. This phenomenon constitutes a non-negligible drawback to ensure suitable protection against UV radiations for long exposition times. In order to decrease its photostability, EMC was encapsulated in a nanoparticulate solid lipid matrix system. Three lipids were investigated: glyceryl behenate (Compritol® 888 ATO, Gattefosse®), a mineral wax (Ozokerite Wax no.7726, Poth Haile) and rice bran wax (vegetal wax: Rice Bran Wax III 224F, Koster Keunen Holland nv). These lipids possessed different HLB values and were characterized by a relative high melting temperature. The aqueous lipid suspensions were prepared with different emulsifiers depending on the nature of the lipid matrix.

MATERIALS AND METHODS

Preparation and characterization of formulations

Formulations | Lipids | EMC | Surfactant
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SLN 1 | Glyceryl behenate (HBU2; 69-74°C) | 6% | Poloxamer 188 | 1%
SLN 2 | Ozokerite (HLB 9; 63-68°C) | 6% | Span60 +Twed60 | 1.35%+0.65%
SLN 3 | Rice Bran Wax (HLB 10; 77-82°C) | 6% | Sodium cocomphoacetate | 2.5%

The lipid suspensions contained 70% of EMC (w/w, related to the lipid mass). The lipid-EMC blends were analyzed by differential scanning calorimetry (DSC) and hot stage microscopy (HSM) in order to evaluate their crystallinity and melting point value.

RESULTS AND DISCUSSION

As we can see (Graphs 1 and 2), compared to microparticles and free product, EMC showed an important shift to higher absorption values when encapsulated in nanoparticles. Indeed, the nanoparticles presented an important capacity to scatter the UV-light due to their smaller size. Before irradiation (Graph 3), each formulation presented different absorbance in UVB range; using the same EMC concentration (2.8 mg/ml), the absorbance values at 310nm were 0.64, 0.62 and 0.58 for SLN2, SLN1 and SLN3, respectively. This variability was due to the crystallinity of each lipid mixture: the UV-blocking ability increased with the theoretical crystallinity index of the SLN (this was determined using the ratio between the melting enthalpy (J/g) of raw lipid and EMC-lipid mixture present in the nanoparticles). Moreover, in each case, UV protection was effective (Graph 4); while free EMC presented a 30,0% loss of its efficiency after two hours of irradiation, the three other SLN formulations showed a loss of absorbance less than 21.0%. The best protection was obtained with the SLN 3 containing Rice Bran Wax (1,0%0) and Glyceryl behenate (SLN 2) (12.8%).

The EMC loading was of 87±4%, 92±6% and 93±4% for SLN 1, SLN2 and SLN3, respectively. Therefore, each formulation presented high capacity of encapsulation. This was due to the high melting point value of the selected lipids and to the homogenous mixing of EMC with these lipids in the matrix system.

CONCLUSION

The encapsulation by solid lipids seems to be effective to protect EMC against UV light degradation. The evaluated nanoparticles may be useful in sunscreen formulations as they permit to decrease the final concentration of EMC, while the same Sun Protection Factor is preserved. Further in vitro penetration tests should be conducted to make sure that nanoparticles are safe to use.