Formulation of Tocopherol Nano-Carriers and Their In Vitro Delivery into Human Skin

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Purpose
To formulate and characterize d-alpha tocopherol nano-carriers using different formulations approaches and investigate their in vitro permeation using human skin.

Methods
Nano-emulsion was prepared by adding 0.1% tocopherol to the surfactant (1% F68) solution and homogenized at 15,000rpm for 15 minutes. To prepare nanostructured lipid carriers (NLC), a known amount of surfactant was dissolved in DI water and heated up to 80°C. All lipids were mixed together along with 0.1% tocopherol and heated to 80°C. Melted lipid+tocopherol mixture was then slowly added to the aqueous phase with continuous stirring at 500rpm and then passed through high pressure homogenizer. Both the formulations were characterized for particle size, zeta potential using Malvern zetasizer. The particle size of tocopherol nano-carriers was also confirmed by TEM imaging. Entrapment efficiency of nano-carriers was carried out using dialysis method. The antioxidant property of tocopherol in nano-carriers and skin irritation test (SIT) of the formulation was tested by FRAP (Ferric Reducing Antioxidant Power) assay and Epiderm skin irritation kit, respectively. Finally, in vitro permeation studies were performed on vertical Franz diffusion cell using human skin.

Results
Tocopherol nano-carriers were characterized and particle size of nano-emulsion was 103 ± 2 nm (pdi- 0.290) and for NLC 56 ± 4 (pdi- 0.160) nm. Zeta potential for nano-emulsion was -6.0 whereas for NLC it was -38.0. The entrapment efficiency for nano-emulsion and NLC was 93% and 84%, respectively. Particle size of both formulations was confirmed by TEM imaging. FRAP assay results confirmed that tocopherol did retain its antioxidant property in both the formulations and skin irritation testing confirmed NLC to be non-irritant. The result from permeation study showed that higher amount of tocopherol (762.32ng ± 184.60) could be delivered in epidermis when formulated as NLC as compared to nano-emulsion (182.37ng ± 52.71).

Conclusion
Tocopherol was formulated into nano-carriers with particle size of 100nm and less. High entrapment efficiency for both the formulations was achieved. Permeation data showed that NLC delivers significantly higher amount in the epidermis. Finally, the antioxidant activity of tocopherol was retained in the formulations and SIT proved NLC formulation to be non-irritant.