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ANTIOXIDANT ACTIVITY EVALUATION OF IDEBENONE LOADED-THEOSPHERES INTENDED TO TOPICAL APPLICATION

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Introduction: Among the different drug delivery systems, lipid nanoparticles are colloidal carriers essentially based on pure lipids or lipid mixtures. In our research group, we have been using non-refined natural biodegradable and biocompatible lipids – Cupuaçu seed butter and Brazil nut seed oil – for the preparation of nanoparticles named theospheres¹. Cupuaçu (*Theobroma grandiflorum*) seed butter presents important compounds as unsaturated fatty acids, amino acids, vitamins and known flavonoid antioxidants², being interesting for the cosmetic use. Similarly, Brazil nut (*Bertholletia excelsa*) seed oil contains about 70% unsaturated fats and it is the highest known plant-based source of selenium³. Idebenone (IDB) is a synthetic analogue of coenzyme Q10 with known antioxidant properties. IDB has been proposed for the treatment of neurodegenerative diseases. However, IDB has also been studied as an antiaging component in skin formulations⁴ since the skin is constantly exposed to a prooxidative environment which plays a critical role in photoaging and photocarcinogenesis.

Objective: The aim of this study is to prepare IDB-loaded theospheres, a novel lipid nanoparticle intended for topical application, and to evaluate their antioxidant activity by thiobarbituric method.

Materials and Methods: Theospheres were prepared by emulsification-solvent evaporation technique using Cupuaçu seed butter with (T5-5) or without (T10-0) Brazil nut seed oil. Theosphere suspensions were characterized by measurement of pH, viscosity, encapsulation efficiency, size and size distribution (laser diffractometry). Additionally, in vitro lipid peroxidation experiments were conducted by thiobarbituric method.

Results and Discussion: IDB theospheres presented size in nanometrical range. The obtained span values indicated narrow size distribution of the colloids. Regarding the rheological characterization, all theospheres presented Newtonian behavior. Concerning the pH values no difference ($p > 0.05$) was observed regarding the influence of lipid ingredients or presence of drug in the theospheres. Encapsulation efficiency values were close to 100 % for both IDB-loaded theospheres with or without Brazil nut seed oil. Regarding the in vitro antioxidant activity all theosphere formulations showed significative difference from the positive control solution. The protection results ranged within 67.2 ± 2.3 % to 87.6 ± 1.6 %, within 79.1 ± 1.1 % to 97.1 ± 3.9 % and within 75.4 ± 4.7 to 97.3 ± 3.8 % for IDB solution, IDB-loaded T10-0 teospheres and IDB-loaded T5-5 theospheres, respectively, considering all drug concentrations tested. Theospheres containing IDB showed better antioxidant activity ($p < 0.05$) than IDB solution in 0.4 and 0.8 mM drug concentration (79.9 ± 2.3 %, 93.0 ± 3.9 %, 85.5 ± 4.0 % in 0.4 mM; 87.6 ± 1.6 %, 97.1 ± 3.9 %, 97.7 ± 3.8 % in 0.8 mM, for IDB solution, IDB-loaded T10-0 teospheres and IDB-loaded T5-5 theospheres, respectively).

Conclusions: Stable theospheres prepared using Cupuaçu seed butter and Brazil nut seed oil showed size in the nanometrical range and narrow distribution. Idebenone was successfully incorporated with high encapsulation efficiency values. IDB-loaded theospheres showed to be better than free IDB for avoiding lipid peroxidation, proving to be valuable to topical administration of antioxidant compounds.

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