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IMPROVED CHEMICAL AND PHYSICAL PHOTOSTABILITY OF E-RESVERATROL NANOENCAPSULATED IN DIFERENT STUCTURES

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Introduction: Lately nanoencapsulation has been widely proposed as a technique to overcome inactivation of photo sensitive drugs. The material and design used to build nanostructures capable of protecting active molecules from light varies imensily. Even though all these particles can protect the drug from photo reactions it is not known which one protects the most. Resveratrol (3,5,4-trihyroxystilbene) is a naturally occurring nonflavonoid polyphenolic compound that has *E* - and *Z*-isomeric forms. This substance has received considerable interest of the scientific community over the last years as a potential chemopreventive agent for cancer, as well as its activity in reducing heart diseases. The presence of 4 -OH as well as the stereoisomery in *E* conformation is absolutely required for the inhibition of cell proliferation. Unfortunately *E*-resveratrol (*E*-RSV) is susceptible to UV-induced isomerization, and is converted almost completely to *Z* conformation by UVA irradiation. Thus, it is desirable and challenging to prevent resveratrol from isomerizing in order to preserve its biological and pharmacological activities.
Objective: The objective of this research was to photo stabilize *E*-RSV in nanoparticles capable of maintaining size distribution under UVA irradiation.
Materials and Methods: Initially, liposomes (L), nanocapsules (NC), nanospheres(NS) and solid lipid vesicles (SLV) encapsulating *E*-RSV were prepared and their photostability was compared to that of an ethanolic solution of *E*-RSV and also among themselves. Liposomes were prepared by the thin lipid hydration method followed by high pressure homogenization. The solid lipid vesicles were also prepared by high pressure homogenization. The polymeric vesicles were prepared by the precipitation of pre-formed polymer with poli-ε-caprolactone. The particle size and polydispersity index were determined by photon correlation spectroscopy (Zetasizer Nanoseries, Malverns). The dose and encapsulation efficiency were determined by a validated high pressure liquid cromatografy method. The photon stability assay was realized irradiating the samples in a mirrored chamber with a UVA light sources (<1 mW/cm^2). Degradation was verified by UV photospectroscopy. The physical stability of the particles was evaluated by measuring the particle size by photon correlation spectroscopy after UVA irradiation of 0, 120, and 240 minutes.
Results and Discussion: The ethanolic solution reduced its *E-RSV* concentration 96.4% in 2h, this photoreaction was slowed down by all four types of vesicles. Liposomes were the particles capable of maintaining *E-RSV* concentration for the longest time. Concentrations of *E-RSV* reached 50% of initial concentration in less than 6h for nanocapsules, nanospheres and solid lipid vesicles while in liposomes a 50% loss was only observed at the end of 12 h. The particles mean size varied little with UVA exposure for all of the particles, but the polidispersion of liposome increased with UVA irradiation as a result of the appearance of a new population of particles leading with a mean size around 86 nm and another of 240 nm.
Conclusions: Nanoencapsulation in different structures increased *E*-RSV photo stability. Liposomes were the vesicles that maintained *E*-RSV concentration for the most time under UVA irradiation, but liposomes presented bimodal and dynamic size distribution profile after irradiation. Nanocapsules and solid lipid vesicles were the particles that most improved *E*-RSV stability while maintaining their size distribution.