ORAL EMULSIONS CONTAINING SUCROSE FATTY ACID ESTERS TO ADMINISTER LIPOPHILIC MOLECULES

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Purpose

Development of oral emulsions containing sucrose fatty acid esters (SEs) as emulsifying agents to improve oral bioavailability of resveratrol (Res). The research was developed in cooperation with the company Labomar s.r.l. that deals with dietary supplements. Consequently the developed product is subjected to food regulation restrictions.

Methods

The materials selected to produce oral emulsions were: capryc/caprylic triglyceride as oil phase, SEs with high HLB value as surfactants, and a mixture of water and glycerine as water phase. The procedure was selected on the basis of preliminary trials. Water, SEs and glycerine were mixed and heated until 75°C. The oil phase was heated and added to the water phase under mixing. Res was solubilized into the oil phase before emulsifying. The formulation was cooled at room temperature. Pseudo-ternary phase diagram was constructed in order to identify optimal amount of the emulsion components. Emulsions were subjected to stability evaluation and characterized by light scattering and zeta potential measurements. In order to demonstrate the ability of these formulations to increase dissolution properties of Res, the formulation having the greater amount of oil phase and the lower particle size was selected and characterized by dissolution test. The emulsion was then adsorbed into an hydrophilic solid carrier (sorbitol) in order to produce granules which were subjected to the dissolution test.

Results

The pseudo-ternary phase diagram allowed to select a stable formulation with a large amount of oil and small droplet size. The selected formulation contains: oil phase 75 % (w/w), SEs 10% (w/w) and water phase 15% (w/w). This emulsion presents a particle size of 300 nm and a Z-potential of -30±1.8 mV.

The comparison of pure Res dissolution profile to the emulsion one shows that the formulation is able to improve the Res solubility. In particular, the amount of Res dissolved is double when formulated in emulsion form. The dissolution test performed on the granules highlights that the introduction of sorbitol facilitates the emulsion dispersion and leads to an increase in the dissolution rate of the Res.

Conclusions

The SEs are efficient surfactants able to produce stable emulsions with small particle size that can be used to produce solid oral dosage forms useful to increase oral availability of resveratrol.