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Preparation and evaluation of alpha-mangostin solid self-emulsifying drug delivery system

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Alpha-mangostin (AMG), a natural xanthone extracted from *Garcinia mangostana* Linn, has a variety of pharmacological therapeutic effects such as antioxidant activity, antibacterial activity, anticancer, and anti-inflammatory [1]. However, it has poor aqueous-solubility and dissolution, which results in low bioavailability. Solid self-emulsifying drug delivery system (solid-SEDDS), an effective pharmaceutical strategy, offers the potential for enhancing the oral bioavailability of poorly water-soluble drugs [2]. Therefore, solid-SEDDS is of interest as a potential method for enhancing the solubility and dissolution of AMG. The aim of this study was to develop and evaluate a solid self-emulsifying drug delivery system (solid-SEDDS) containing AMG for enhancing its solubility and dissolution.

Solubility of AMG in water, and various excipients including oil, surfactant and co-surfactant were determined at 30 °C for 72 hours and the results showed that all excipients could enhance the solubility of AMG when compared to its aqueous solubility. Pseudo-ternary phase diagram was used to determine the self-emulsifying existence area. The liquid-SEDDS was formulated using Captex 200P/Tween 80/Capryol90 (20/70/10 w/w/w) as oil, surfactant, and co-surfactant, respectively. After reconstituted with water, the droplet size of liquid-SEDDS was determined by photon correlation spectroscopy (PCS) and the results showed that the average droplet size of liquid-SEDDS and AMG-loaded liquid-SEDDS were 59.28 ± 3.54 nm and 106.9 ± 24.3 nm, respectively. Moreover, no effect of reconstituted volume of water on droplet size of AMG-loaded liquid-SEDDS was observed, indicating that it was robust to dilution.

After that, the liquid-SEDDS was converted to solid form by adsorption on two types of silica (Aeroperl 300 and Sylysia 350) (Fig. 1). It was found that the solid-SEDDS with Aeroperl 300 showed better performance in powder flowability than the solid-SEDDS with Sylysia 350. Solid state characterizations of the solid-SEDDS performed by differential scanning calorimetry

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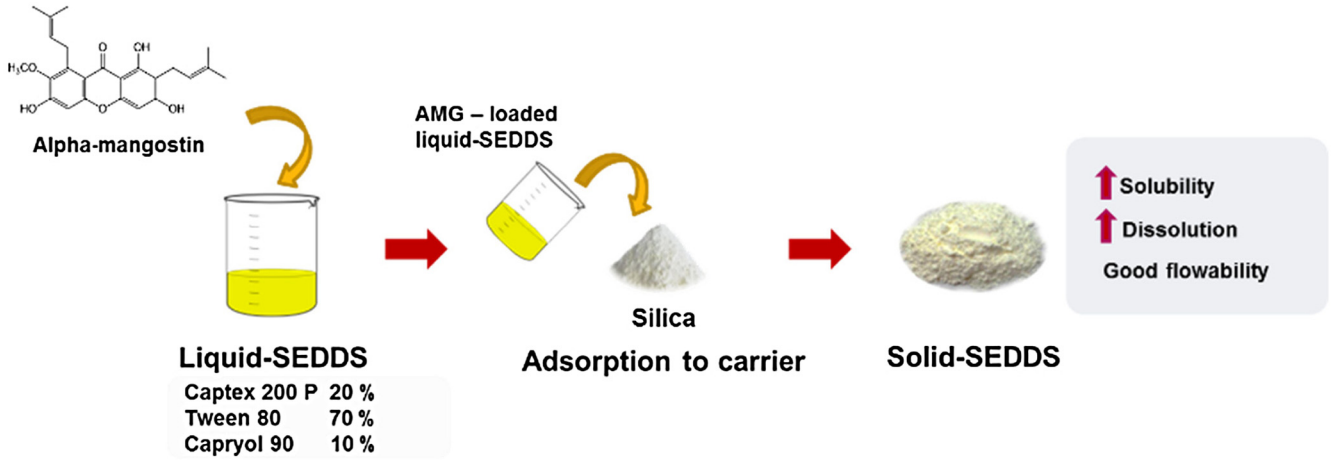

Fig. 1 – Preparation process of alpha-mangostin solid self-emulsifying drug delivery system.

(DSC) and powder X-ray diffraction (PXRD) suggested that AMG in the solid-SEDDS was in the amorphous or molecular dispersion state. The comparison of dissolution profiles in simulated gastric fluid (SGF) without pepsin showed that the solid-SEDDSs with Aeroperl 300 and Sylysia 350 released 18.82% and 7.71% of AMG within 60 minutes, respectively. Whereas, intact AMG powder dissolved only 0.26%. In conclusion, the solid-SEDDS is a promising method to enhance the solubility and dissolution of AMG.

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