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Is failure of cocrystallization actually a failure? Eutectic formation in cocrystal screening of hesperetin.

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Abstract:

Cocrystal screening of hesperetin with certain countermolecules, generated highly soluble non covalent derivatives in the form of eutectics, instead of expected cocrystals. As adhesive forces established by complimentary functional groups on hesperetin and coformers were unable to overcome the stress due to size shape mismatch of component molecules, thus, eutectics were formed. Hesperetin, a polyphenolic antioxidant with potent anticancer and cardioprotective effects has an underdeveloped role in modern therapeutics due to its critically low aqueous solubility resulting in stunted bioavailability. The liquid assisted cogrinding of hesperetin and coformers generated binary phase eutectics in fixed stoichiometry with theophylline (1:1.5), adenine (2:1), gallic acid (1.5:1) and theobromine (2:1). Primarily characterized by lower melting endotherm in DSC, the eutectics showed complete melting in hot stage microscopy. Apart from characteristic V shaped binary phase diagram, no discernible changes in the FT-IR and PXRD spectra further confirm eutectic formation. The morphological differences were analyzed by SEM measurements. A two to four times enhanced dissolution profile of the eutectics measured in pH 7.4 aqueous buffer was coupled with the in vitro (DPPH antioxidant assay and RBC antihaemolytic assay) studies to present a complete preliminary data on the improved bioavailability of hesperetin eutectics.

keywords: Calorimetry (DSC), Biopharmaceutical classification system (BCS), Co-crystals, Crystal engineering, Free radicals, In vitro models, Solubility, Thermal analysis, X-ray diffractometry.

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