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Fabrication of polyphenol nanoparticles co-stabilized with different polyvinylpyrrolidone concentrations: Effects on particle stability, drug release and cellular uptake

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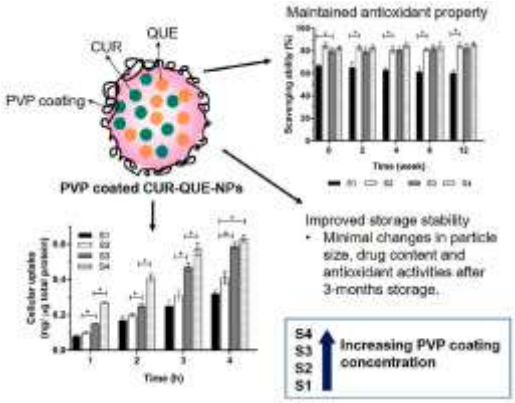
Abstract

Curcumin (CUR) and quercetin (QUE) possess low stability owing to their limited solubility in physiological conditions, and hence low bioavailability. This study evaluated polyvinylpyrrolidone (PVP) as a co-stabilizer and coating material to overcome the abovementioned limitations as well as improve the physical stability of fabricated CUR and QUE nanoparticle (NP). CUR and QUE were encapsulated into a pluronic based nanocarrier and co-stabilized with different PVP concentrations (0.1–0.7% w/v). The nanoparticles co-stabilized in different PVP concentrations followed the anomalous Non-Fickian involving both diffusion and swelling controlled transport release behaviors. The fabricated nanoparticles were evaluated for its stability in different pH conditions (pH 5.6 and 7.4 which mimics tumor microenvironment and physiological condition, respectively). The particle sizes, polydispersity index and drug contents did not undergo significant changes at different pH conditions. The effect of storage stability (25°C/60% RH and 40°C/75% RH) on CUR and QUE anti-oxidant activity and cellular internalization were also measured at different predetermined time points (up to 3 months). Higher PVP

concentration enhanced cellular uptake and permeability into Calu-3 cells as well as stabilized the NP with minimal loss of drug content up to 3 months of storage. As a conclusion, higher PVP concentration as a co-stabilizer was needed to improve the stability of CUR-QUE-NPs for a prolonged period of storage and subsequently retained their antioxidant activities.

Graphical abstract



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Introduction

Human body is naturally equipped with complex endogenous antioxidant mechanisms which include, i) inhibiting production of free radicals and secondary toxic metabolites contributing to oxidative stress, ii) scavenging oxidants radical and blocking its biosynthesis pathway and iii) reducing toxic effect of free radicals. Oxidative stress usually occurs in situations where there is an imbalance between the production of free radicals and scavenging rate of the cells potentially contributed from excessive exposure to heavy metals, smoke of smoking, radiations and toxicity of drugs [1]. The presence of excessive free radicals such as reactive oxygen and nitrogen species (ROS/RNS) and the corresponding oxidative stress contribute to damages towards several cellular components such as lipid, protein, and DNA contributing to various communicable (i.e. infections) and non-communicable diseases (i.e. cancer, diabetes, cardiovascular and neurodegenerative-associated diseases). Phytochemicals such as polyphenols, carotenoids, phytosterols and terpenoids are emerging bioactive compounds widely used in food processing and daily supplements [1,2]. These compounds target the ROS levels to reduce the initiation and progression of chronic diseases [1,3,4].

Curcumin [CUR, (1,7-bis(4-hydroxy-3-methoxyphenyl)-1,6-heptadiene-3,5-dione] is a natural dietary polyphenol compound isolated from the rhizomes of turmeric. Quercetin