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Review Article

Food macromolecule based nanodelivery systems for enhancing the bioavailability of polyphenols

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ABSTRACT

Diet polyphenols—primarily categorized into flavonoids (e.g., flavonols, flavones, flavan-3-ols, anthocyanidins, flavanones, and isoflavones) and nonflavonoids (with major subclasses of stilbenes and phenolic acids)—are reported to have health-promoting effects, such as antioxidant, antiinflammatory, anticarcinoma, antimicrobial, antiviral, and cardioprotective properties. However, their applications in functional foods or medicine are limited because of their inefficient systemic delivery and poor oral bioavailability. Epigallocatechin-3-gallate, curcumin, and resveratrol are the well-known representatives of the bioactive diet polyphenols but with poor bioavailability. Food macromolecule based nanoparticles have been fabricated using reassembled proteins, crosslinked polysaccharides, protein–polysaccharide conjugates (complexes), as well as emulsified lipid via safe procedures that could be applied in food. The human gastrointestinal digestion tract is the first place where the food grade macromolecule nanoparticles exert their effects on improving the bioavailability of diet polyphenols, via enhancing their solubility, preventing their degradation in the intestinal environment, elevating the permeation in small intestine, and even increasing their contents in the bloodstream. We contend that the stability and structure behaviors of nanocarriers in the gastrointestinal tract environment and the effects of nanoencapsulation on the metabolism of polyphenols warrant more focused attention in further studies.

1. Introduction

Hippocrates, the father of medicine, once said "Let food thy medicine and medicine thy food." Certain foods possess medicinal functions that prevent chronic diseases. Since ancient times, numerous beneficial medical treatments have been attributed to plant-derived compounds, which are used as an important source of materials to treat various diseases. As shown by epidemiological studies and meta-analyses, diets

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rich in fruit and vegetables can reduce the incidence of several chronic diseases, including type 2 diabetes [1], cardiovascular disease [2], and even several cancers [3,4]. Furthermore, the consumption of polyphenols, either in plant based medicine or in diets rich in fruit and vegetables, has been observed to have health-promoting effects [5].

Epigallocatechin-3-gallate (EGCG) from green tea, curcumin isolated from turmeric, and resveratrol in wine (Figure 1) are the well-known representatives of the bioactive polyphenols that have been extensively studied for their preventive properties (including antioxidant, antiinflammatory, anticarcinoma, antimicrobial, antiviral and cardioprotective properties) against chronic diseases [6–9]. These food polyphenols can reduce the risk of chronic diseases, because of their inhibition effects on enzyme activities and signal transduction pathways during the course of disease development.

However, the inefficient systemic delivery and poor oral bioavailability of bioactive polyphenols have largely limited their applications to humans [10]. Low solubility, instability under conditions encountered in the gastrointestinal (GI) tract (pH, enzymes, presence of other nutrients), insufficient gastric residence time, and the difficulty for many polyphenols to diffuse across the cells through the lipid-bilayer cell membranes in the intestine account for the low bioavailability of diet polyphenols [11,12].

Nanoparticles had been formally referred to as sphere-like substrates with dimensions ranging between 1 nm and 100 nm, which have been extended to range from 1 nm to 1000 nm especially in the biomedical fields. Polymer-based delivery nanoparticle systems that encapsulate bio-functional ingredients within networks have been developed extensively for the biomedical and functional food sectors to protect and transport them to target functions [12–14]. The biomacromolecular based nanoparticles enhance the absorption and bioavailability of bioactive molecule mainly through the following pathways: (1) protection of the bioactive molecule from the harsh environment of the GI tract, (2) prolongation of the residence time in the gut by mucoadhesion, (3) endocytosis of the particles, and/or (4) permeabilizing effect of the polymer [15]. For the purpose of oral consumption and minimizing carrier-induced undesirable cytotoxicity in the delivery of food polyphenols, we believe that there is no better option than food-grade macromolecules that are generally recognized as safe, which are suitable for developing such delivery systems. Macromolecules of food origin are natural sources of biopolymeric soft materials—they are not only biodegradable and biocompatible, but are also bio-functional [16,17]. Lipid based nanoencapsulator, nanoemulsions, biopolymeric nanoparticles, nanocomplexes formed with food-grade ingredients including food biopolymers (proteins, carbohydrates), fats, and copolymers (protein–carbohydrate conjugates) have been used to deliver a range of functional ingredients in pharmacy and foods [18,19].

In this review, the classification of food polyphenols and the factors influencing their bioavailability during oral consumption are described. Then, recent studies on enhancing the bioavailability of polyphenols, mainly EGCG, curcumin, and resveratrol, through encapsulation with food grade macromolecule nanoparticles are summarized.

2. Classification of polyphenols

Polyphenols can be categorized primarily into flavonoids and nonflavonoids, which constitute a diverse class of secondary plant compounds, or phytochemicals. The main subclasses of

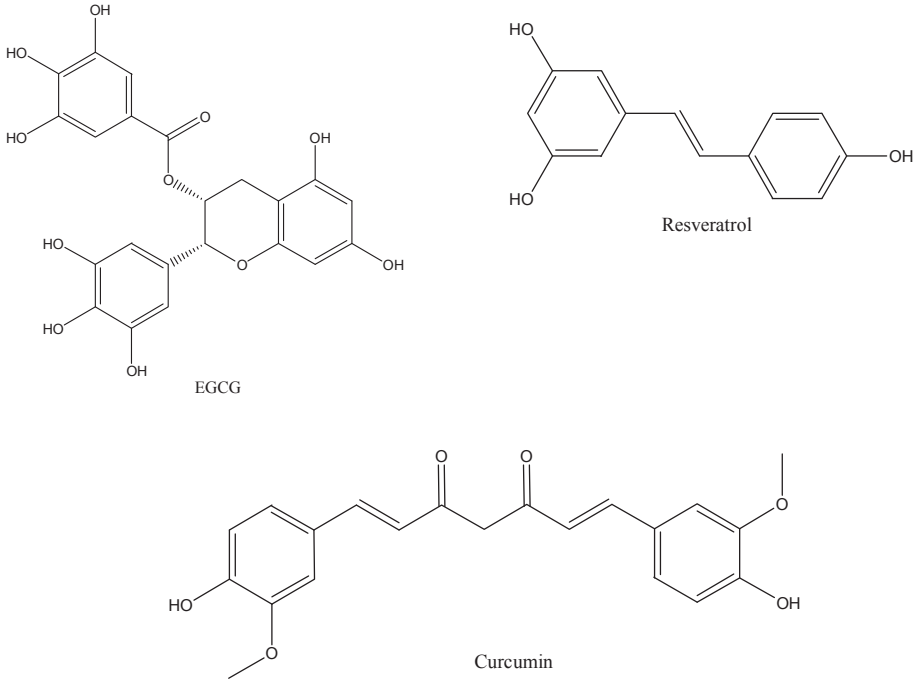

Figure 1 – The chemical structure of epigallocatechin-3-gallate, resveratrol, and curcumin.