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Novel technologies to enhance solubility of food-derived bioactive compounds: A review

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ABSTRACT

Food-derived multifunctional bioactive compounds, such as carotenoids, fat soluble vitamins, phytosterols, polyunsaturated lipids, curcuminoids and flavonoid compounds provide promising therapeutic health benefits. However, the efforts in identifying their mode of action and applying them into food industry are still unsuccessful because majority of these compounds are water-insoluble and ingested are not delivered to the site of action, therefore, less bioavailable. Several strategies to enhance the water solubility have been developed over the years. There has been active research in the area during recent times. The present review will comprehensively discuss about novel technologies which have used to improve the aqueous solubility of bioactives.

Contents

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1. Introduction

In light of consumer perception and preferences toward health promoting foods, the development of new functional food is a leading trend in food industry. Various bioactive compounds have been obtained from natural sources and classified into different categories based on their chemical structure and functions: phenolic compounds, vitamins, carotenoids, alkaloids, and organosulfur compounds (Hamri, Zeghichi, Chibane, Kallithraka, & Benhalima, 2011; Jeong et al., 2015; Lim et al., 2017). Many of bioactive components were identified and isolated from vegetables, fruits, legumes, oils, nuts, and whole grains and have shown numerous beneficial effects on human health including antioxidant, anti-inflammatory, antibacterial, and immunomodulatory activities (Hsieh, Yang, Sethi, & Hu, 2015; Imm, Kim, & Imm, 2014; Kris-Etherton et al., 2002). Therapeutic effects of these compounds for instance; allicin (from garlic), curcumin (from turmeric), catechines (from tea polyphenols) helps to prevent diseases including cancer, cardiovascular illness, neuronal degenerative diseases, diabetes, etc. (Pandey & Rizvi, 2009; Pham-Huy, He, & Pham-Huy, 2008). However, the incorporation of these bioactive molecules into commercial food products is a challenging task due to their poor stability and low rate of solubility (Lee et al., 2015; Teleki, Hitzfeld, & Eggersdorfer, 2013; Yousuf, Gul, Wani, & Singh, 2016). Furthermore, the therapeutic health effects of orally administered bioactive compound depend on several factors such as solubility in an aqueous environment and permeability through the epithelial cell membrane, concentration of bioactive compounds in blood/plasma and molecular interactions in gastro intestinal fluids. Numerous technologies and novel food delivery systems have been developed to overcome these solubility and permeability issues.

1.1. The role of bioactive substance solubility in development of functional foods

Solubility is one of the important parameters to achieve the desired concentration of drug/bioactive substance in systemic circulation for therapeutic response (Vemula, Lagishetty, & Lingala, 2010). The aqueous solubility is a major indicator for the solubility in the intestinal fluids and its potential contribution to bioavailability issues (Stegemann, Leveiller, Franchi, De Jong, & Lindén, 2007). Extracted bioactive compounds from plant resources can be used in cosmetics and medicines. For instance, antioxidants derived from plant sources are used in skin and hair care products that affect the biological function of skin and hair and enhance the beauty and health. More than 40% of newly developed drugs in the pharmaceutical industry are practically insoluble in water (Savjani, Gajjar, & Savjani, 2012). The limited aqueous solubility of these compounds results in a low absorption rate in the gut, leading to decreased bioavailability but increased side effects such as gastrointestinal tract irritation because of using high doses or high concentration of surfactants in emulsions (Sivakumar, Tang, & Tan, 2014; Wang et al., 2014). In this context, powerful solubilizing methods have been developed for improved absorption and bioavailability with lower manufacturing cost. The solubility of bioactive compounds can be altered through particle engineering techniques and several formulation approaches. Particle engineering techniques are developed to produce defined particles to modify phycochemical properties of poorly soluble substances (Kale et al., 2014; Koshy, Pacharane, Chaudhry, Jadhav, & Kadam, 2010). Particle engineering, which includes mechanical particle-size reduction techniques (wet-milling, dry-milling, and high-pressure homogenization), cryogenic particle engineering techniques (lyophilization, spray freezing), and other micro/nanoparticle preparation methods such as nano-precipitation, supercritical fluid processing (Kale et al., 2014; Morales, Watts, & McConville, 2016). In formulation strategy, the drugs or bioactive compounds are formulated in solutions which consist of water/oil, stabilizer, drug, and other excipients. General formulations include solid formulations, lipid formulations (for example, emulsion based drug delivery systems) and amorphous formulations (example, amorphous solid dispersions) (Merisko-Liversidge, Liversidge, & Cooper, 2003; Pouton, 2006). These formulations are prepared using spray drying, milling and other techniques.

1.2. Factors that affect solubility

The amount of solute that can be dissolved in a solvent depends on various factors, including temperature, pressure, chemical nature, and physico-chemical forms of substances.

1.2.1. Influence of particle size and shape

The smaller the particle size, the greater the dissolution rate. The thickness of the diffusion layer around each particle reduced with particle specific surface area increases. Therefore, a decrease in particle size with high surface area results in an increase in dissolution rate (Mosharraf & Nyström, 1995; Niebergall, Milosovich, & Goyan, 1963). Furthermore, symmetrical molecules are less