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Nanoparticle and Microparticle based Drug Delivery Systems for Bioactive Phytochemicals

What are Bioactive Phytochemicals? Bioactive components that are isolated from plant sources are known as phytochemicals. Lately, there has been a lot of research interests in phytochemicals for their potential use in functional foods, supplements, and pharmaceuticals. However, the applications of these bioactive components in foods, supplements, and pharmaceuticals are often limited due to their poor solubility, stability, and bioavailability [1, 2]. Researchers have shown that many of these bioactive phytochemicals could potentially promote human health and wellbeing by preventing or treating certain diseases, or by improving physical or mental performance [1, 2]. Phytochemicals based drugs are different than traditional drugs in terms of the dosage administration. For example, unlike conventional drug administration that happens in a specific dose at a particular time under well-controlled conditions, bioactive phytochemicals are usually taken at low and variable levels. This is usually done as part of a complex diet at irregular intervals over extended periods of time [3].

A considerable amount of research has focused on to ascertain whether any change in health status can be associated with consumption of a particular phytochemical [2]. Additionally, research has also been conducted on phytochemicals to understand any chemical or physical alteration during processing, storage, and ingestion. The reason is such alterations can affect their bioavailability and bioactivity [2]. Further, it has also been shown that the quantity, composition, and structure of the foods consumed can seriously affect the efficacy of a phytochemical that is employed with the specific food [2, 4].

Types of Phytochemicals that can be incorporated into Foods

Researchers have shown many different bioactive phytochemicals for their incorporation into foods, supplements, and pharmaceuticals along with their potential health benefits, including carotenoids,

flavonoids, essential fatty acids, peptides, and glucosinolates [5]. However, these phytochemicals are known to vary in their molecular weights, functional groups, charges, and polarities. This leads to differences in their solubilities, partitioning, physical states, and chemical stabilities [2]. In view of these differences, the knowledge of the specific molecular and physicochemical characteristics of the phytochemical to be encapsulated is critically important. This enables suitable design of a viable phytochemical oral delivery systems (PODS) [6].

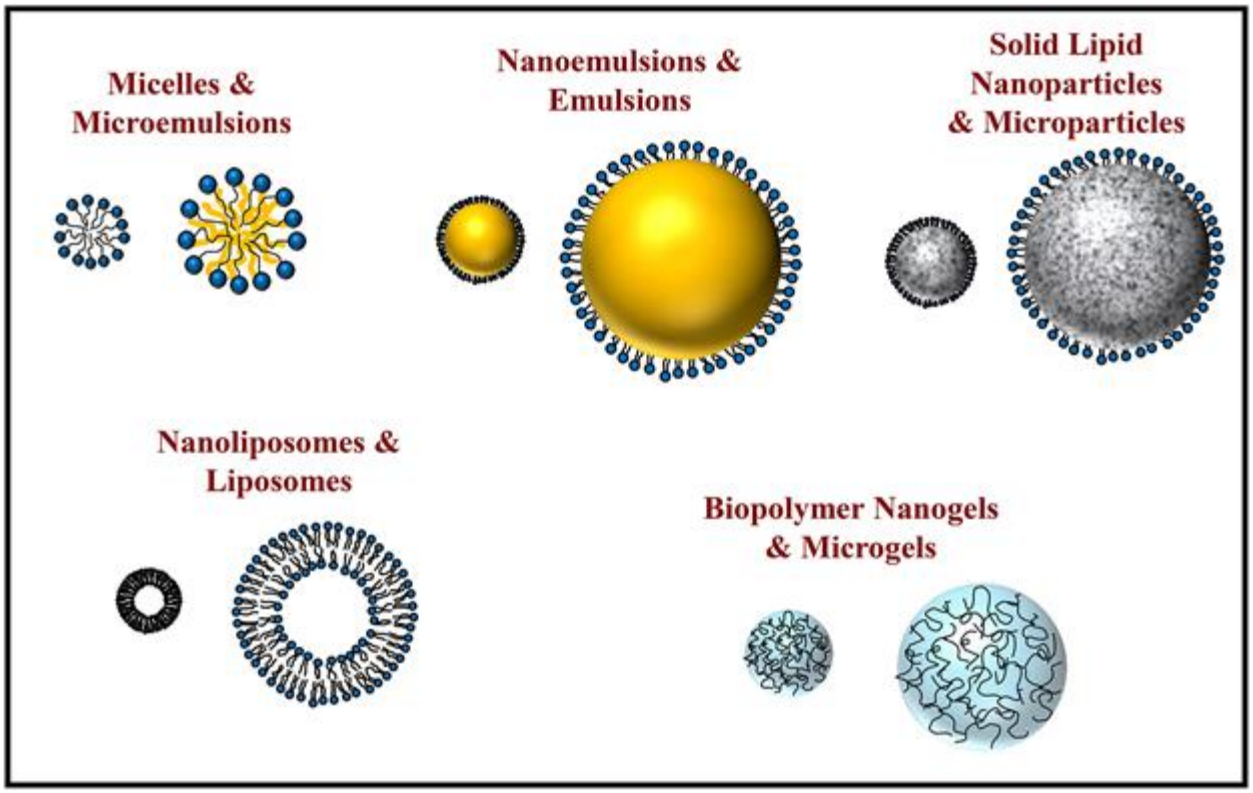

Figure 1: Typical examples of colloidal delivery systems based on nano and microparticles that can be used to encapsulate phytochemicals [Source: Biotechnology Advances (2020)].

Phytochemical Oral Delivery Systems based on Micro and Nanoparticle Encapsulations

Phytochemical oral delivery systems (PODS) consist of phytochemical-loaded nanoparticles or microparticles that can overcome the challenges of efficient delivery phytochemicals. Researchers have demonstrated production of PODS in liquid, gel, paste, or solid forms [2]. However, it is usually recommended that these PODS must be carefully formulated in order to be compatible with the product matrix, economical, robust, and also to maintain phytochemical bioactivity [2].

Many different kinds of colloidal delivery systems have been developed to encapsulate phytochemicals for use as PODS) including micelles, emulsions, solid lipid nanoparticles, liposomes, and biopolymer microgels (Figure 1) [2]. These delivery systems have been shown to vary in

terms of the composition, structure, and dimensions of the particles they contain [2]. In these systems, nano and microparticles that are used typically have diameters that lie within the range of about 1 and 100 nm and 100 nm and 1000 µm, respectively [7]. In general, it has been shown that many colloidal delivery systems exhibit relatively broad particle size distributions and may therefore contain both types of particles (nano and micro) [2].

Current research has focused on optimizing the composition, size, charge, and loading characteristics of the colloidal particles. This is aimed for their optimal selection to make it sure that they are compatible with the final product matrix, such as pH, ionic strength, ingredient interactions, mechanical stresses, and thermal stability [2]. Research focus has also been to study the appearance, rheology, flavor profile, and shelf-life of the final product to identify suitable PODS that are compatible with the food matrix [2].

Concluding Remarks

Bioactive phytochemicals that are derived from plants offer attractive possibilities for drugs and new therapeutics to solve many health challenges and issues. We anticipate future studies to be focused on producing PODS that are commercially feasible. This implies cost-effective large scale production of such PODS for delivery of phytochemicals. It is also required that the process employs generally recognized safe food ingredients and commonly utilized processing operations in order to be commercially viable.

References for further reading

1. A. Araiza-Calahorra, M. Akhtar, A. SarkarRecent advances in emulsion-based delivery approaches for curcumin: from encapsulation to bioaccessibility, Trends Food Sci. Technol., 71 (2018), pp. 155-169.
2. David Julian McClements, Advances in nanoparticle and microparticle delivery systems for increasing the dispersibility, stability, and bioactivity of phytochemicals, Biotechnology Advances, 38 (2020).
3. D.J. McClements, H. Xiao, Excipient foods: designing food matrices that improve the oral bioavailability of pharmaceuticals and nutraceuticals, Food Funct., 5 (7) (2014), pp. 1320-1333.
4. D.J. McClements, H. Xiao, Designing food structure and composition to enhance nutraceutical bioactivity to support cancer inhibition, Semin. Cancer Biol., 46 (2017), pp. 215-226.
5. R.C. Gupta, Nutraceuticals: Efficacy, Safety and Toxicity, Academic Press, London, UK (2016).
6. D.J. McClements, Delivery by design (DbD): a standardized approach to the development of efficacious nanoparticle- and microparticle-based delivery systems, Compr. Rev. Food Sci. Food Saf., 17 (1) (2018), pp. 200-219.
7. M. Vincekovic, M. Viskic, S. Juric, J. Giacometti, D.B. Kovacevic, P. Putnik, F. Donsi, F.J. Barba, A.R. Jambrak, Innovative technologies for encapsulation of Mediterranean plants extracts, Trends Food Sci. Technol., 69 (2017), pp. 1-12.