LipoOrganiSil™ Benefits White Paper

With Related Research References

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LipoOrganiSil™ Liposomal Organic Silicon White Paper with Research References

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1. Silica health benefits

Silicon—specifically in the form of porous silicon nanoparticles (pSi)—has emerged as a promising active ingredient in nutraceutical formulations. Food-grade amorphous silica, the form most commonly used in nutraceuticals, is recognized as safe for consumption by regulatory authorities, including the U.S. Food and Drug Administration (FDA, as GRAS) and the European Food Safety Authority (EFSA).

Silicon is necessary for the synthesis of collagen and elastin, and it is important for the health of the connective tissues, bones, cartilage, tendons and joints. The collagen acts as a scaffold that provides support to the tissues, whereas elastin gives elasticity to tissues, skin, hair and blood vessels. Silicon as a supplement supports multiple health areas.

1.1. Silicon Health Benefit Listing:

- Skin
- Hair
- Cartilage
- Bones
- Blood vessels
- Tissue Elasticity
- Connective tissues
- Tendons and joints

1. Introduction to Liposomes

Liposomes are nano particles that are primarily used as an enhanced delivery system for active ingredients in pharmaceuticals, cosmetics, and nutraceuticals.

Liposomes are comprised of lipid bilayers that closely resemble the structure of cell membranes. These bilayers consist of phospholipids which are natural ingredients. Liposomes are amphiphilic molecules—meaning they contain both hydrophilic (water-attracting) heads and hydrophobic (water-repelling) tails. This dual nature allows phospholipids to spontaneously arrange themselves into bilayers when in aqueous environments, forming spherical vesicles known as liposomes. Their unique structure allows liposomes to encapsulate both hydrophilic (water-soluble) ingredients within their aqueous core, as well as hydrophobic (fat-soluble) active ingredients within their lipid bilayer and deliver them to cell membranes where they attach and empty the encapsulated material through the cell membrane. Liposomes provide a safe delivery system for active ingredients. They enhance solubility and stability of ingredients as well as provide better bioavailability thus increasing their effectiveness in the human body.

2. Advantages of using Liposome delivery in Nutraceuticals

Liposomes offer significant advantages in the delivery of nutraceutical supplements. Their ability to encapsulate both water-soluble and fat-soluble nutrients enhances the stability and absorption of these compounds in the body. For example, liposomal formulations of vitamin C, curcumin, and coenzyme Q10 have shown improved bioavailability compared to traditional forms.

Active ingredients are protected inside liposomal vesicles and thus can be more stable and less prone to degradation as compared to unencapsulated ingredients. Due to the inherent nature of liposomes to attach to cell membranes and deliver their payload through cell membranes, they increase the bioavailability of active ingredients. Additionally, liposomes protect sensitive nutrients from degradation in the digestive tract, ensuring that a higher percentage reaches systemic circulation. This makes them particularly useful for delivering antioxidants, omega-3 fatty acids, and herbal extracts.

Liposome Advantages:

- **Improved bioavailability**: Active ingredients are more readily absorbed and utilized by the body.
- **Enhanced stability**: Protects sensitive compounds from degradation due to environmental factors like pH, enzymes, or oxidation.
- **Controlled release**: Allows for sustained or targeted delivery, reducing side effects and improving efficacy.

3. Active ingredient used in liposomal delivery: Silicon

Silicon—specifically in the form of a Mesoporous Silica Nanoparticle (MSN), also called **porous silicon nanoparticles (pSi)**—has emerged as a promising active ingredient in liposomal delivery systems. Food-grade amorphous silica, the form typically used in nutraceuticals, is considered safe for consumption by regulatory bodies like the FDA (as GRAS) and EFSA.

LipoOrganiSil™
Liposomal Silicon

4. Background Information about elemental Silicon

Silicon is a chemical element (Si) that is important to human health for nail, hair and bone and skin tissue growth. Silicon is generally well-tolerated, with **no significant toxicity** reported at typical supplement doses (EFSA Panel, 2004). Recent studes found that **silicon supplements improved skin roughness, hair strength, and nail brittleness in women with photo-damaged skin** (Barel et al 2005). Dietary silicon has been shown to strengthen bones and improve immune response in humans by playing a role in the synthesis of collagen, a key protein in bone and connective tissue. A study showed this was particularly true for premenopausal women (Jugdaohsingh et al 2004).

Elemental silicon is not bioavailable. The most common form of elemental silicon is in the form of silicon dioxide, commonly referred to as silica. Silica in the presence of water can be converted into a bioavailable form called orthosilicic acid (OSA).

Hydrophilic fumed silica is used in food and animal feed industries, and we have identified it as a suitable source for elemental silicon in our liposomal pipeline. Fumed silica is amorphous and is produced by the hydrolysis of chlorosilane in an oxygen hydrogen flame above 1000°C.

$$SiCl_4 + 2H_2O \rightarrow SiO_2 + 2NaCl + H_2O$$

The product formed from this process is a white fluffy powder with pore sizes in the low nanometer range. This material, also known as mesoporous silica, influences the polymerization and aggregation of silica species. The Mesoporous Silica Nanoparticle (MSN) is a tiny meso-scale size silicon nanoparticle. The particle size and pore size of mesoporous silica hinders polymerization and enables the conversion to monomeric orthosilicic acid. This conversion is faster than silica with a larger pore size, especially when considering the conditions encountered in biological or environmental settings. The conversion to the bioavailable form of orthosilicic acid can then enable enhanced absorption into the human body.

5. Evidence supporting Transformation in the Digestive System

Research suggests that MSNs undergo biodegradation in physiological conditions, releasing orthosilicic acid (OSA) as a degradation product. A key study by Moya et al. (2024) discusses the degradation of mesoporous silica materials in the context of drug delivery, noting that they dissolve at physiological pH, triggering the release of silicic acid species, which include OSA. This process is driven by the hydrolytic breakdown of siloxane (Si-O-Si) bonds, a mechanism detailed in another study (Poscher and Salinas, 2020), which explicitly states that MSNs degrade into "biocompatible and excretable orthosilicic acid ($Si(OH)_4$)" in aqueous solutions, aligning with digestive conditions.

6. Considered Mechanisms of Conversion to bioavailable form of silica

The conversion of mesoporous silica into OSA involves hydrolysis, where water molecules interact with the silica surface, breaking Si-O-Si bonds. This process is detailed in a study on trends in degradable mesoporous organosilica-based nanomaterials (Poscher and Salinas, 2020), which notes that the structure of MSNs is susceptible to hydrolytic breakdown into OSA. The reaction can be represented as:

$$SiO_2 + 2H_2O \rightleftarrows Si(OH)_4$$

This hydrolysis is more pronounced in **neutral to alkaline conditions**, such as conditions in the small intestine, compared to the acidic stomach, where degradation is slower but still occurs, as noted in studies using simulated gastric fluid (SGF, pH 1.2) and simulated intestinal fluid (SIF, pH 6.5).

7. Factors Influencing Degradation

Several factors affect the rate and extent of mesoporous silica degradation into OSA:

- **pH**: Degradation increases with pH, with slower rates in the stomach (pH 1.5–3.5) and faster rates in the intestines (pH 6–7.4), as discussed in a biodegradability review (Hu et al 2021).
- **Specific Surface Area**: Higher surface area enhances degradation, as more surface is available for hydrolysis, a point highlighted in studies on MSN biodegradability (Narayan et al., 2018).
- **Morphology and Pore Size**: Particle shape and pore size influence degradation rates, with smaller, more porous particles degrading faster, as noted in research on MSN degradation kinetics (Braun et al 2016).
- **Surface Functionalization**: Functional groups can alter degradation, with some coatings slowing the process, as seen in studies on surface-modified CMS nanoparticles (Lopez et al 2010).

8. Summary: LipoOrganiSil™ Liposomal Silica from Vesta

Vesta utilizes its proprietary liposomal technology to introduce a new product, LipoOrganiSil™, a Liposomal Silica by LipoQuest™, that incorporates MSNs into a liposomal delivery system.

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