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A Review on Microsponge Gel as Topical Drug Delivery System

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Abstract:

Microsponge is a novel drug delivery system that enables controlled release and targeted drug delivery. With ongoing developments in drug delivery, microsponge technology provides a cost-effective and efficient approach to therapy. The microsponge drug delivery technology reduces transdermal penetration of the active component into the skin while boosting drug retention on the skin's surface or within the epidermis. This review article describes microsponge technology, method of preparation, releasing mechanisms and application of microsponge.

Keywords: Skin, Microsponges, Controlled Release, Quasi-Emulsion Diffusion Solvent Method, Suspension Polymerization, Application.

Introduction

Tropical drug delivery is a preferred approach to treat dermatological disorders. However, the skin's outermost layer, the stratum corneum, presents a significant challenge by acting as a barrier to drugs. To overcome this, researchers have turned to lipid-based colloidal carriers, like liposomes and microsponges, to facilitate drug delivery. Microsponges, in particular, have gained popularity for their unique properties, including their ability to adhere to the skin, improve hydration, and exchange lipids with the epidermis.

These characteristics make them an ideal option for delivering drugs to the skin while overcoming the barrier presented by the stratum corneum. [1,2,3] Microsponges are a type of drug delivery system that has been widely used in the pharmaceutical industry for the past few decades. In recent years, they have also gained attention in the field of skincare, where they have been shown to improve the delivery and efficacy of active ingredients in topical formulations. Microsponges are small, porous particles made of cross-linked polymers, such as polyurethane or polyacrylate. These particles are capable of absorbing and releasing a variety of substances, including drugs, vitamins, and other active ingredients. [4,5]

When used in skincare products, microsponges can help to enhance the penetration of active ingredients into the skin, reduce irritation, and increase the stability and shelf life of formulations. They can also provide sustained release of active ingredients over time, which can improve their efficacy. [6,7]

One of the most promising applications of microsponges in skincare is in the treatment of acne. Studies have shown that microsponge-based formulations can deliver acne-fighting ingredients directly to the affected area, resulting in a reduction in acne lesions and an improvement in skin texture. Microsponges have also been used in anti-aging products to deliver ingredients that promote collagen production and improve skin texture. In addition, they have been used to deliver sunscreens and other UV-blocking agents, which can protect the skin from damage caused by UV radiation.

Advantages of microsponges:

Microsponges are a type of delivery system that consist of tiny porous particles, usually made of polymers that can absorb and release active ingredients. Here are some advantages of microsponges:

1. **Controlled release of active ingredients:**

Microsponges can release active ingredients in a controlled manner, which means they can provide sustained release of a drug over a longer period of time. By reducing the frequency of dosing and lowering side effects, this can increase the drug's effectiveness and safety. [12]

2. **Protection of active ingredients:**

Microsponges can protect active ingredients from degradation, oxidation, or other chemical reactions that can reduce their potency. This can improve the stability of the drug and increase its shelf-life. [9]

3. **Improved bioavailability:**

Microsponges can improve the bioavailability of poorly soluble drugsby increasing their solubility and absorption in the body. This can enhance the therapeutic effect of the drug and reduce the required dosage. [8]

4. **Targeted delivery:**

Microsponges can be engineered to target specific areas of the body, such as the skin, mucosa, or lungs, by adjusting their size, shape, and surface properties. This can improve the local delivery of drugs and reduce systemic exposure. [12]

5. **Versatility:**

Microsponges can be employed with a variety of active compounds, such as drugs, cosmetics, and nutrition. They can also be formulated into various dosage forms, such as creams, gels, and foams, for different applications. [11]

6. **Ease of formulation:**

Microsponges are easy to formulate and can be prepared using simple and cost-effective methods. [8]

7. **Enhanced drug penetration:** Microsponges can adhere to the skin and enhance drug penetration to different skin layers, including the epidermis and dermis. [10]

8. **Reduced systemic toxicity:** Microsponges can reduce systemic toxicity by restricting the therapeutic effect to the affected area of the skin and minimizing systemic absorption. [10]

Benefits of microsponges over other formulations:

Microsponges offer sustained drug release, stability, high payload capacity, and versatility, making them advantageous for topical formulations.

Benefits over conventional formulations:

Conventional topical drug formulations target the outer layers of the skin, releasing their active ingredients upon application and resulting in the rapid absorption of concentrated ingredients. This can lead to excessive accumulation in the epidermis and dermis, causing side effects such as irritation. Microsponge systems can minimize such side effects while maintaining efficacy by delivering the active ingredient gradually to the skin. For example, microsponge loaded Benzoyl peroxide formulations, have shown excellent efficacy in treating skin conditions like acne while minimizing irritation. [13]

Benefits over microencapsulation and liposomes:

While microcapsules can regulate the release rate of the API, the drawback is the entire API is released when the wall ruptures. Liposomes are effective transporters, but stability issues and the need for preservatives can limit their efficacy. Microsponges are stable across a wider pH range and at high temperatures. They also have a higher entrapment effectiveness and do not require preservatives, making them an economically feasible and microbiologically stable option for drug delivery systems. [14,15,16]

Drug release mechanism: [17,18]

Microsponge technology allows for controlled release of active ingredients in response to external triggers such as temperature, pressure, solubility, and pH. These triggers use to adjust the release rate and optimize drug delivery for various applications.

Method for preparing microsponges:

Microsponges can be prepared using various methods, but two common approaches are liquid-liquid suspension polymerization and quasi-emulsion solvent diffusion.

In liquid-liquid suspension polymerization, a polymer is dissolved in a water-immiscible organic solvent along with a cross-linking agent, and then a water-soluble monomer is added to create a water-in-oil emulsion. The emulsion is then polymerized, resulting in microsponges suspended in the organic solvent. [19]

In quasi-emulsion solvent diffusion, a water-soluble polymer and cross-linking agent are dissolved in an organic solvent, which is then added to an aqueous phase containing a surfactant. The two phases are stirred to create a quasi-emulsion, and the solvent is slowly diffused into the aqueous phase, resulting in the formation of microsponges. [20]

Recently, new methods for microsponge preparation have been developed, such as supercritical fluid technology and electrospraying. Supercritical fluid technology involves the use of supercritical fluids to dissolve and expand a polymer, which is then rapidly depressurized to form microsponges. Electrospraying involves the use of an electric field to create microdroplets of a polymer solution, which are then solidified to form microsponges.

Each preparation method has its advantages and disadvantages, such as scalability, reproducibility, and complexity. The method used is determined by the formulation's requirements. And the intended application of the microsponge.

Other methods:

Water-in-oil emulsion solvent diffusion in water:

The water-in-oil emulsion solvent diffusion in water method involves dispersing an emulsifier-containing aqueous phase into an organic polymer solution. A water-in-oil emulsion is then formed and re-dispersed into an outer aqueous phase containing PVA to create a double emulsion. This technique is versatile and can incorporate drugs with varying solubilities, including both water-soluble and water-insoluble drugs [21]

Solvent diffusion in oil-in-oil emulsion:

This approach creates an emulsion because the interior phase contains a volatile organic liquid. In most preparations, dichloromethane is used as the volatile solvent. And the polymer used here is polylactide glycolic acid with a range of 85. With continuous stirring, the internal phase was added dropwise to the dispersing medium to obtain a microsponge. [22]

Applications of microsponges:

Microsponges for Skin protection:

Microsponges can enhance the effectiveness of sunscreens by protecting against harmful UV rays. Researchers developed microsponges for topical administration of the broad-spectrum sunscreen agent Oxybenzone to address its skin irritation, dermatitis, and systemic absorption issues in lotion and cream forms. Through a semi-emulsion solvent diffusion technique, they optimized the use of ethyl cellulose and dichloromethane and dispersed it into a hydrogel for testing.

The optimized microsponge gel demonstrated an entrapment efficiency of 96.9%, controlled release, high elasticity, and non-irritating properties. It also had a higher sun protection factor of 25 compared to the SPF of 20 in the marketed lotion, indicating prolonged oxybenzone retention. [26]

Microsponges for skin infections:

Gels and creams of microsponges are also used to treat a variety of skin infections, including eczema and dermatitis. Mupirocin is an antibiotic that is commonly used to treat skin infections caused by bacteria, including methicillin-resistant Staphylococcus aureus (MRSA). However, frequent use of mupirocin can lead to the development of bacterial resistance. By incorporating mupirocin into microsponges, the drug can be delivered more effectively and efficiently, which may help to reduce the risk of resistance. [31]

The controlled and sustained release properties of microsponges can help to ensure that the drug is available at the wound site for an extended period, which can contribute to faster and more efficient wound healing. [32]

Microsponges for Acne:

Acne vulgaris is a prevalent skin condition that impacts almost 80% of teenagers and young adults. It is caused by the obstruction and inflammation of sebaceous glands and hair follicles, resulting in the formation of comedones, papules, pustules, and nodules. Current treatment options for acne include topical and oral medications, such as antibiotics, retinoids, and benzoyl peroxide. However, these treatments often have limitations, such as poor patient compliance, skin irritation, and bacterial resistance. [35]

Microsponges are a promising drug delivery system for the treatment of acne, due to their ability to encapsulate and release anti-acne agents in a controlled manner, reducing skin irritation and improving patient compliance. Microsponges are porous polymeric particles that can absorb and retain large amounts of drugs, and can be formulated into topical gels, lotions, and creams. [36,37]

One study investigated the use of microsponge technology for the delivery of benzoyl peroxide, a widely used anti-acne agent that can cause skin dryness, irritation, and erythema. The authors prepared benzoyl peroxide-loaded microsponges using a solvent evaporation method and evaluated their physical properties, drug release behavior, and anti-acne efficacy. They found that the microsponges had a high drug loading capacity, sustained release pattern, and improved stability compared to conventional benzoyl peroxide formulations. Moreover, the microsponge gel showed a greater reduction in acne lesions and skin irritation in vivo than the commercial benzoyl peroxide gel. [36,37]

Microsponges for skin cancer:

A gel containing 5-FU was developed using microsponge technology to treat skin cancer. The microsponge formulation showed better surface area and pore volume than the commercial cream, and had improved texture properties. In an in-vivo study, the optimized formulation resulted in a 5.5-fold increase in skin deposition and less skin irritation compared to the commercial cream. Hence, the microsponge-based formulation could be a potential alternative for skin cancer treatment. [41,42]

Conclusions:

Microsponge-based delivery systems offer enhanced therapeutic performance for active molecules in cosmetic and dermal applications. They increase permeation while reducing transdermal penetration, extend drug residence in skin, and allow for prolonged drug release. This technology has promising potential for a new generation of dermatological and cosmetic treatments. Microsponge technology is an important tool for both scientific research and the commercialization of innovative dermatological solutions.

Microsponges can be used as carriers for actives, providing controlled release and improving therapeutic delivery. They also overcome the problem of local irritation associated with certain drugs. Microsponges are too large to be absorbed through the skin, making them safe for use. However, there are still some unexplored areas about their biocompatibility and toxicity, which calls for further studies. The use of microsponge-based cosmetic and dermatological products is likely to increase in the near future.

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