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The SMART Guide to "MICROSPONGES" in Modern Medicine

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Abstract

A microsponge's delivery system is a profoundly cross-connected, non-collapsible, permeable, polymeric microsphere, polymeric framework comprising of permeable microspheres. Polymeric microspheres having molecule size range from 5 to 300 µm that can hold large amount of active ingredients and release them over extended time

They are profoundly powerful, consistent, non-aggravation, nontoxic, non-hypersensitive, non-mutagenic and furthermore least side effects. Microsponge's preparations are steady over a pH range of 1 to 11. Microsponge's are consistent at temperature up to 130°c reasonable with most solvents and polymers.

This delivery system gives extended discharge with decreased side effect, improved holding capacity, improved product, physical and compound strength during stability.

Different polymers like Eudragit RS100, ethyl cellulose, polystyrene, PHEMA (Poly (2-hydroxyethyl methacrylate), and so on can be used in shaping microsponges.

Keywords: Microsponges, Microspheres, Polymer, Non-toxic, Controlled Release

Introduction

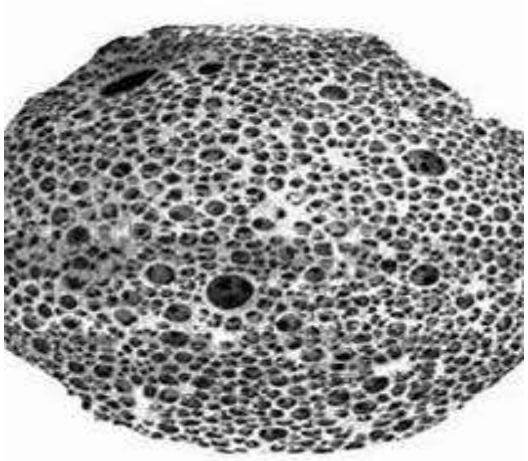
Microsponges represent a sophisticated approach to treating skin diseases by overcoming the limitations of standard topical therapies. This system ensures a controlled delivery of medication to the epidermis, increasing the drug's residence time at the site of action. Crucially, it minimizes systemic exposure by reducing transdermal flux, effectively controlling the side effects typically seen with less precise delivery methods.[1,8]

It is an exceptional innovation for the controlled release of effective specialists and comprises of miniature porous beads, typically 10-25 microns in diameter, loaded with drug. Their high level of cross-connecting brings about particles that are insoluble, idle and of adequate solidarity to face the high shear usually utilized in formulation of creams, gels, and powder, etc. Their qualities include is the adsorb or hold a high level of drug into the molecule and on to its surface.[2]

The Microsponge Drug Delivery System enjoys upper hands over different advancements like microencapsulation and liposomes. Microcapsules can't ordinarily control the delivery pace of actives.[3]

Microsponges are spherical, non-collapsible structures characterized by a complex network of internal voids and an extensive porous surface. These particles, typically ranging from 5 to 300 µm in diameter, facilitate the controlled release of active pharmaceutical ingredients (APIs). A standard 25 µm microsponge can contain approximately 250,000 pores, creating an internal architecture equivalent to a length of 10 feet. This structural complexity yields a total pore volume of roughly 1 mL/g, providing high capacity for drug loading and entrapment.[4-5]

In addition, These systems enhance physicochemical stability, mitigate adverse effects, and offer precisely modulated release kinetics. The inherent versatility of Microsponge Drug Delivery Systems (MDDS) allows for the optimized delivery of topical active agents. By integrating high efficacy with an improved safety profile, MDDS ensures prolonged product stability and superior aesthetic characteristics, making it a highly efficient therapeutic platform.[5,7,8,9]



1. Picture of Microsponges[1]

2. Picture of Microsponges

Attributes of Microsponges[9,10,11]

1. Robust pH Stability: These formulations maintain structural integrity across a broad pH spectrum, ranging from 1 to 11.
2. Thermal Resilience: Microsponges exhibit significant thermostability, remaining stable at temperatures reaching 130 °C.
3. Broad Compatibility: The system demonstrates excellent physicochemical compatibility with a wide array of pharmaceutical vehicles and excipients.
4. Inherent Self-Sterilization: Due to an average pore diameter of 0.25µm, the structures effectively exclude bacterial penetration, rendering them self-sterilizing.
5. High Loading Efficiency: Microsponges support a substantial payload capacity (50–60%) while maintaining free-flowing characteristics and cost-efficiency.

ADVANTAGES[12,13]

1) Advanced oil control, absorb up to 6 times its weight without drying
2) Improved product elegancy
3) Extended release
4) Reduced irritation formulas
5) Allows novel product form
6) These are non-irritating, non-mutagenic, non-allergenic and non-toxic.
7) Improved product aesthetics

8) Extended release, continuous action up to 12 hours
9) Reduced irritation, better tolerance means broader consumer acceptance
10) Improves stability, thermal, physical and chemical stability
11) Allows incorporation of immiscible products
12) Improves material processing e.g. liquid can be converted to powders
13) Improves efficacy in treatment.

CHARACTERISTICS OF MATERIALS THAT IS ENTRAPPED IN MICROSPONGES[14,15]

1) Monomer Miscibility: The active pharmaceutical ingredient (API) must be completely miscible with the monomer, or rendered so through the addition of a minimal quantity of a water-immiscible co-solvent.

2) Aqueous Solubility: The substance should be hydrophobic (water-immiscible) or exhibit negligible aqueous solubility.

3) Chemical Inertness: It must remain inert toward the monomers to prevent premature polymerization reactions, though it may interact with other excipients within the final formulation.

4) Vehicle Compatibility: To prevent premature drug leaching, the solubility of the actives within the delivery vehicle must be strictly limited. It is recommended that the final formulation contains no more than 10–12% (w/w) microsponges to ensure the vehicle does not deplete the internal reservoirs prior to application.

5) Structural Integrity: The spherical architecture must possess a robust, non-collapsible network of internal voids.

6) Polymerization Stability: The API must remain stable and unaffected when exposed to polymerization catalysts and the specific environmental conditions of the synthesis process.

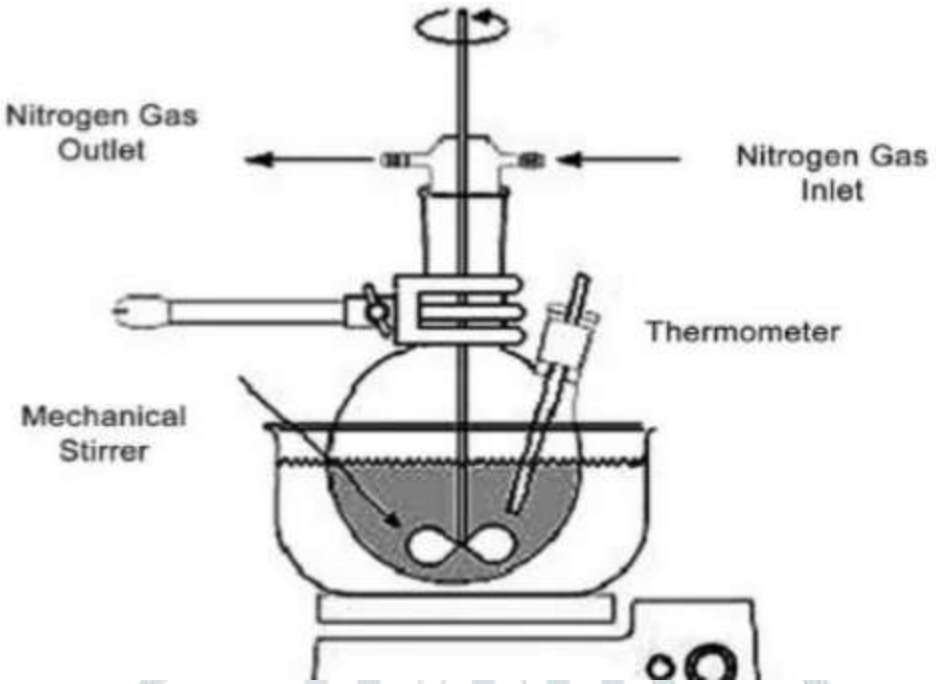
PREPARATION OF MICROSPONGE:

Drug can be loaded into microsponges by two methods, one-step process or by two-step process as discussed in **liquid-liquid suspension polymerization** and **quasi emulsion solvent diffusion techniques** which are based on physicochemical properties of drug to be loaded.

1) Liquid-liquid suspension polymerization[16,17,18]

In the liquid-liquid suspension polymerization technique, porous microspheres are synthesized within a biphasic system. The process begins by dissolving the monomers and active pharmaceutical ingredients (APIs) into a compatible organic solvent. This monomeric solution is subsequently dispersed into an aqueous continuous phase containing functional additives, such as surfactants and suspending agents, to form stable droplets. Polymerization is then triggered through the introduction of a chemical catalyst, thermal activation, or irradiation. The fundamental stages of this microsponge synthesis are outlined below:

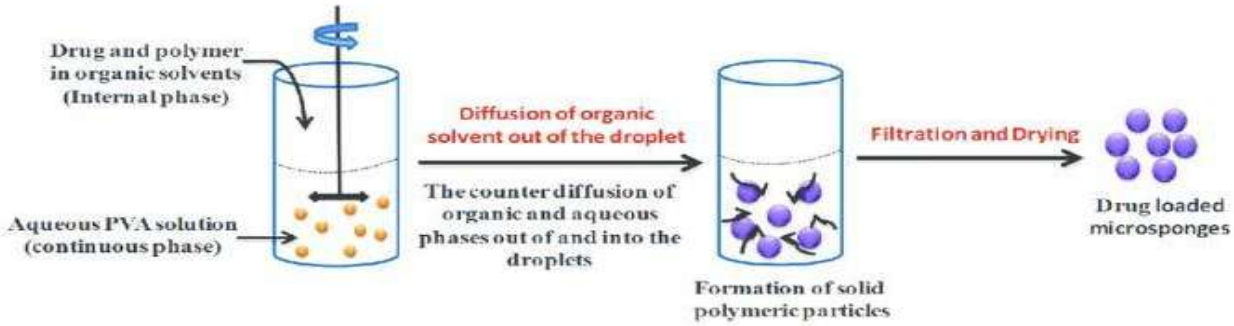
- Choice of monomer or mix of monomers
- Development of chain monomers as polymerization starts.
- Developments of stepping stools because of cross connecting between chain Monomers.
- Collapsing of monomer stepping stool to frame round particles-Agglomeration of microspheres, which lead to development of lots of microspheres.
- Restricting of packs to frame microsponges



Reaction container for preparation of Microsponges by Liquid-Liquid solvent diffusion method

2) **Quasi-emulsion solvent diffusion method**[19,20,21]

The quasi-emulsion solvent diffusion method is the most widely adopted strategy for microsponge synthesis due to its procedural simplicity and reproducibility. The process involves the preparation of a biphasic system consisting of an internal organic phase and an external aqueous phase. The internal phase is formulated by dissolving the polymer in a suitable solvent, followed by the incorporation of the active pharmaceutical ingredient (API). Simultaneously, the aqueous phase is prepared by dissolving Polyvinyl Alcohol (PVA) in distilled water. The organic phase is then introduced dropwise into the aqueous medium under continuous stirring for a duration of two hours. Finally, the resulting microsponges are isolated via filtration and subjected to a drying process, either in an oven at 40°C for 12 hours or at ambient temperature for 48 hours.



Quasi-emulsion solvent diffusion method

Evaluation parameters of Drug loaded microsponges[22,23]

1) Compatibility Study[24]

Compatibility of drug with reaction adjuncts can be studied by thin layer chromatography (TLC) and Fourier Transform Infrared spectroscopy (FT-IR). Effect of polymerization on crystallinity of

the drug can be measured by powder X-ray diffraction (XRD) and Differential Scanning Calorimetry (DSC).

2) Microsponges Drug loading efficiency[25]

The loading efficiency (%) of the microsponges can be determined according to the following equation:

$$\text{Loading efficiency} = \frac{\text{Actual Drug Content in Microsponge}}{\text{Theoretical Drug Content}} \times 100$$

3) Particle size determination[26]

Particle size distribution for both drug-loaded and unloaded microsponges is typically evaluated using laser light diffractometry or equivalent analytical techniques. These values are reported across all formulations to establish a comprehensive size range. To investigate the influence of particle size on elution kinetics, the cumulative drug release rate is plotted against time. Notably, particles exceeding 30µm may impart a gritty or coarse texture to the formulation; therefore, a size range between 10 and 25µm is preferred for optimizing the sensory appeal and performance of the final topical product.

4) Visual Inspection[27]

Microsponges can visually inspected by their colour, odour & appearance.

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