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Review Article

Microsponge: A Review

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Abstract

Microsponge are little spherical molecules with a broad porous surface that resemble sponges. The use of microsponges is a unique medication delivery method that has several benefits. For a range of illnesses, the Microsponges drug delivery system is utilized to improve the effectiveness of oral, parenteral, or topical medicine administration. A novel approach of managing drug release and administering medication to specific locations is microsponge technology. The efficient and novel way that microsponge medicine administration advances properties, boosts item stability, and improves security can all contribute to the effectiveness of topically dynamic operators.

In an effective and creative way, it can boost the efficiency of topically active medications while improving safety, product stability, and aesthetic qualities. Recently, microsponges, which are typically used topically, have also been employed for oral delivery. Microsponge Systems may suspend or trap a wide range of substances. They can also be integrated into a product that is made to resemble a gel, cream, liquid, or powder. Microsponge Systems are built on atomic, polymer-based microspheres. In topical medication solutions, microsponge technology has been employed to provide controlled release of active drug into the skin, therefore decreasing systemic exposure and local cutaneous responses to active pharmaceuticals. We will talk about microsponge's characteristics, benefits, and drawbacks as well as the drug release mechanism, formulation techniques, and assessment in this review paper.

Keywords: Microsponge, NDDS, Novel drug delivery system, MDS

INTRODUCTION:

The porous, cross-linked polymeric microspheres known as microsponges are flexible enough to hold a wide range of active substances. With varying release rates, they are mostly employed for topical and oral delivery.[1] Microsponges are a polymeric delivery system made up of permeable microspheres with a molecule estimate run of 5-300 µm. They are used as a carrier for topical medication distribution and have the capacity to collect a wide range of dynamic fixes.[2] The microsponge technology was devised in 1987 by Won, and the initial patents were granted to Advanced Polymer Systems, Inc. This business developed many versions of the technology and applied it to over-the-counter and prescription medications, as well as cosmetics.[3] The microsponge system is made up of tiny microspheres made of polymers that are able to capture different kinds of substances and then mix them into the final product, which can be powder, gel, cream, or liquid. They resemble spherical sponges and have a big porous surface area. MDS is stable at a pH range of 1 to 11. MDS have a high loading capacity of about 50 and 60 percent. They are non-toxic, non-allergenic, non-irritating, and non-mutagenic.[4] The magnifying tool has a width measurement between 5 and 300µm. They can be added to standard measurement forms such pills, powder, salves, gels, creams, and treatments.[5] The porous, cross-linked polymeric microspheres known as microsponges are flexible enough to hold a wide range of active substances. With varying release rates, they are mostly employed for topical and oral delivery. Microsponges, also known as microsponge drug delivery systems (MDDS), have an internal structure with holes comparable to 10 feet in length, a diameter ranging from 5 to 150 µm, and a typical spherical size of 25 µm. Together, these pores may accommodate up to 250000 pore volumes.[1] Microsponges are stable in a pH range of 1 to 11 and at temperatures as high as 130°C. As of right now, Cardinal Health, Inc. has been granted permission to use this intriguing breakthrough in topical products.[6,7,8] Drug delivery methods based on microsponges do not exhibit any early release of encapsulated medicines.[3]

In order to address the issue of pharmaceutical companies delivering drugs to specific parts of the human body at predetermined rates, many non-diagnostic drug delivery systems (NDDS) were created. Microsponges have the potential to deliver a wide range of compounds in a regulated way, including antimicrobials, sunscreens, anti-acne, and anti-inflammatory agents.[9] In order to minimize transdermal penetration into the body and maximize the amount of time an active substance is available on the skin's surface or in the epidermis, delivery methods are required. The only polymeric microspheres that satisfy these criteria are those based on microsponge technology.[35]

PROPERTIES OF MICROSPONGES:

⇒ Up to six times the weight of oil may be absorbed by microsponges without drying up.[4]

⇒ Microsponges compositions can be economical, even for mass-market cosmetic applications where material costs are crucial.[4]

⇒ ¬They may be efficiently combined into a variety of definitions, such as tablets, salves, gels, creams, and treatments.[10]

⇒ It should be stable at temperatures as high as 130°C.[4]

⇒ Microsponges are more economical and free-flowing, yet they may carry a larger payload (50–60%).[3]

⇒ They are inexpensive, self-sterilizing, and offer free streaming.

⇒ They are quite adaptable.[11-13]

⇒ Microsponges exhibit pH stability between 1 and 11.[3]

ADVANTAGES OF MICROSPONGE:

⇒ Demonstrates patient adherence.[1]

⇒ Convert liquids into powders to advance material handling.

⇒ This will improve treatment efficiency and bioavailability.[14,15]

⇒ Makes the product more elegant.[1]

⇒ Pay stack can reach 50–60%.

⇒ Because bacteria are too big to fit into the microsponge, shelf-life and item soundness may be postponed without the need for additives.

⇒ Fluids can be converted into free streaming powder, which provides benefits for fabric care. [16]

⇒ Because of the increased tolerance and decreased pain, consumer acceptance is high.[3]

⇒ Prevent the active substance from building up in the dermis and epidermis.[1]

⇒ Microsponge conveyance frameworks are non-allergic and non-toxic, and their definition is straightforward.[10]

⇒ Give a larger dose of the medication and engage in continuous activity for up to 12 hours.[9]

DISADVANTAGES OF MICROSPONGE:

⇒ Extremely flammable.

⇒ Presenting a risk to public safety.

⇒ Remaining monomer residues might be toxic and harmful to human health.

⇒ A risk to the environment.[4]

METHODS OF MICROSPONGE FORMULATION:

Liquid-Liquid Suspension Polymerization: In order to facilitate the development of suspension, immiscible monomers are first dissolved with active ingredients in a competent solvent monomer. They are then dispersed in waterless phases comprising complements that are comparable to surfactants and suspending agents.[4] A suspension specialist is included in addition to other chemicals. A catalyst can be added to initiate polymerization, or the temperature can be raised. Eventually, the dissolvable is forced out, removing the permeable circular structure.[17,18,19] The dissolvable is ejected following polymerization handle, containing the microsponges. Filtered, the contained microsponges are dried for 12 hours at 40°C.[10] Solvent can be used to insert functional compounds more quickly and efficiently. When a medication is sensitive to polymerization, a functional group replaces the porogen employed in the two-step process of polymerization.[1]

Quasi-Emulsion Dissolvable Dissemination: This method is used to choreograph microsponges by varying specific quantities of monomers. A second piece of medication is broken up under ultrasonic heat at 35 °C after the polymer has been broken up in an acceptable dissolvable stage to plan the internal natural stage.[1] This internal layout was established. The exterior stage (polyvinyl liquor arrangement in water) receives the inside stage poured into it. After mixing, the mixture is sieved to separate the microsponges that were produced. The microsponges are dried at a temperature suitable for polymer in a broiler that has been slightly heated.[20-22] When the medication is thermo-labile and cannot withstand the conditions of polymerization, this handle is used.[10]

Ultrasound-Assisted Production

The method was changed to employ diphenyl carbonate as the cross-linker and alpha-cyclodextrin (beta-CD) as the monomer in order to create nanosponges. To adjust the size of the microparticles, the mixture is next heated and sonicated. After allowing the reaction mixture to cool, the product was crushed into large particles and cleaned with ethanol and distilled water. Drug carriers can be made from beta-CD microparticles that have been cross-linked with porosity microparticles. The potentially hazardous issue with this method is that cross-linking residues are retained.[4]

Different emulsion dissolvable diffusion strategy: The method was developed to produce biodegradable and permeable microspheres. Stearyl amine was added to a fluid internal stage, and the span was delivered in an organized manner. At that point, this w/o emulsion is distributed again with polyvinyl liquor in a fluid stage to form a (w/o/w) twofold emulsion. The benefit of collecting both solvent and insoluble actives is revealed by this approach. Moreover, this method may be applied to extract thermolabile substances such as proteins..[23]

Water in oil in water emulsion solvent diffusion: This process involved dispersing an internal aqueous phase containing an emulsifying agent throughout an organic polymeric solution. The water in the oil emulsion was distributed in an external aqueous phase containing PVA to create a double emulsion. This strategy may be used to identify medications that are both water-soluble and water-insoluble.[3]

Expansion of porogen: In this method, the different emulsions were replaced by porogens like hydrogen peroxide or sodium bicarbonate. In order to do this, a single-phase framework was created by dissolving the porogen in a polymeric arrangement. This framework was then distributed in a water stage that included poly vinyl liquor. Next, in order to create different emulsions, an initiator was added. The natural dissolvable was then assessed, removing the leftover particles to create Microsponge.[23]

Lyophilisation: This procedure includes rapidly removing the solvent from the microspheres to create porous microspheres. For this, chitosan hydrochloride solution is utilized. The microspheres are cultivated in this solution and then lyophilized. The quick removal of the solvent may cause the microparticles to shrink and break.[3]

MICROSPONGES DRUG RELEASE MECHANISM:

The following variables affect the release of active substances from microsponges:

i. Pressure: When applying pressure or rubbing to topical microsponges, the medication is released onto the skin.

ii. Solubility: Microsponges, a water-soluble component, release the medication when water is present.

iii. Temperature change: If the medicine included in the microsponge is too viscous to flow on the skin, raising the

skin's temperature will accelerate the drug's flow and release. Diffusion cells made by Franz are used to analyze medication release.

iv. pH-dependent systems: pH-triggered release can be achieved by coating microsponges.[1]

EVALUATION OF MICROSPONGES:

Particle size and size distribution: Microsponges that are loaded or unloaded can have their particle sizes measured using laser light diffractometry.[9] Scanning electron microscopy (SEM) and conventional optical microscopy (LM) are the methods most frequently employed to see microparticles. Both are useful for figuring out the exterior structure and form of microparticles.[4]

Microsponges morphology and surface topography: The resulting microsponges were placed in an argon atmosphere and coated with gold–palladium at room temperature. Microsponges' surface morphology is investigated using SEM.[9,10] SEM may be used to study the surface morphology of microsponges. The tiny structure of a fractured microsponge particle may also be seen by taking a SEM picture of it.[4]

Production yield and drug content: One may determine the total amount of medication and polymer used by dividing the weight of the microsponges in practice by their theoretical weight. The production yield results from this. To break up microparticles, a predetermined weight of microsponges is dissolved in an appropriate solvent. The drug concentration is then ascertained by ultrasonically scanning the microsponges. A UV-VIS spectrophotometer is used to measure absorbance at the drug's recommended wavelength after the dispersion has been filtered.[9]

Polymer/monomer composition: Research on the composition of polymers is necessary in order to calculate the release rate of microsponges. The polymer composition influences the partition coefficient between the microsponge system and the entrapped drug vehicle, hence influencing the release rate. You may explore it by plotting the cumulative % of medicine release versus time.[3]

True Density Determination It is measured with an ultra-pycnometer in an atmosphere of helium gas. [3]

Entrapment efficiency determination: The following formula is used to calculate entrapment efficiency.

Entrapment Efficiency (%) =

$$\frac{amount\ of\ drug\ entrapped\ in\ microsponge}{Total\ amount\ of\ drug\ used} x100$$

A 5 m stainless steel mesh USP XXIII modified basket dissolving apparatus is used to obtain microsponges' in-vitro dissolution. A constant rotating speed of 150 rpm is maintained. Samples are pipetted at different intervals and subjected to the relevant analytical technique. To maintain ideal sink conditions, the solubility of the active component determines the choice of dissolution media.[9]

Determination of pH: The pH of a topical treatment or microsponge containing gel can be measured using an advanced PH metre.[3]

Compatibility studies: Fourier transform infrared spectroscopy (FTIR) and thin layer chromatography (TLC) would be used to examine the drug's compatibility with reaction adjuncts. Differential scanning calorimetry and powder X-ray diffraction (XRD) were used to examine how polymerization affected the drug's crystalline shape.[10]

Resilience: Sponge resilience was reportedly modified to produce beadlets that were softer in accordance with the specifications of the finished composition. Release rates are slowed by increased crosslinking.[10]

APPLICATIONS OF MICROSPONGES:

⇒ Microsponges are used to administer a medicinal active ingredient at a minimal dosage, concurrently enhancing stability, diminishing side effects, and altering medication release. The microsponge medicine delivery method is used by several over-the-counter moisturizers, sunscreens, and specialty rejuvenation products.[3]

⇒ Because of the unique physiology and structure of human skin, microsponges can reduce local adverse effects and increase the efficacy of dermatological treatments. Microsponges are also used in anti-inflammatory, sunscreen, and anti-acne medications.[10]

⇒ Microsponge for the administration of medicine for psoriasis. Skin-related psoriasis is a chronic inflammatory disease. For those who are sick, it lowers their quality of life. Psoriasis treatment via microsponge medication delivery has also been researched. Emulsion solvent diffusion is the method used to create Microsponge for the drug mometasone furoate.[23]

⇒ These are used as sunscreens, anti-acne, anti-pruritics, and skin-depigmenting agents, among other uses.[4] These mechanisms can stop the accumulation of active chemicals in the dermis and epidermis that isn't essential.[8] Apart from the aforementioned uses, several studies have shown that microsponge carriers exhibit non-irritating properties, decreased adverse effects, and enhanced stability. Nevertheless, the presence of leftover monomers from the microsponge manufacturing process may be poisonous and dangerous for human health.[24] Red lipsticks among other colored cosmetic items can be extended in duration. Commercially available skincare products with microsponge delivery system compositions will make your skin look great and take away excess oil.[25]

⇒ When mixed with tricalcium phosphate grains, calcium-deficient hydroxyapatite powders, and liquid methyl methacrylate monomer in water, polymethyl methacrylate powder produced formations that resembled real bone. The resultant composites had holes in them and looked like microsponges. The basic fibroblast growth factor (bFGF), which was introduced, seeped into the mouse sub-cutis as the collagen sponge sheet collapsed.[23]

⇒ Water-soluble medications can be used topically as ointments or aqueous solutions, in contrast to water-insoluble medicines, which are likewise applicable topically. After that, the drug is transferred into the anterior chamber and over the blood–aqueous barrier. The drug is transported from the anterior chamber to Schlemm's canal and the trabecular meshwork, where it is removed via aqueous humour turnover.[23]

Table 1: Formulations Examples of microsponge drug delivery[4]

Sr. No.	Formulation	Drug name	Disease
1.	Tablets	Meloxicam	Arthritis
2.	Lotions Benzoyl	peroxide	Anti-Acne
3.	Gels	Diclofenac sodium	Inflammation

CONCLUSION:

Microsponge delivery systems may be a more effective approach for the upcoming generation of cosmetics and medications. Microsponges are a novel method for the controlled release of topical active chemicals, which is also employed while ingesting pharmaceuticals, giving them an edge over various traditional topical dose forms for local ailments. When it comes to the pain and mutagenicity of medications, microsponges are quite advantageous. Future applications for these microsponges include the development of topical formulations with sustained release. Microsponge drug delivery is a novel method of delivering drugs to the targeted region in a controlled way by encasing a range of active ingredients. Because of the superior physical, chemical, and thermal stability of microsponges, manufacturing dosage forms can be more flexible.

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Conflicts Of Interests

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All the authors have contributed equally.

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