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# MICROSPONGES FOR DERMATOLOGICAL APPLICATIONS

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**ABSTRACT**

Dermatological disorders have an infinite psychosocial impact, causing significant impairment of patient's life. Topical therapy plays an important role in management of such disorders. Conventional topical delivery systems in overmedication / undermedication, resulting in adverse effects and reduction in therapeutic efficacy. Consequently, researchers are striving towards the event of other delivery systems for dermatological applications. From a last decade, microsponges emerged as an option for topical delivery. Their characteristic flyspeck size offers enhanced benefits, making them superior to the contemporary microcarriers. This review furnishes a comprehensive account of state of the art, important factors affecting the successful performance and mechanism of drug release from topically applied microsponges, along with characterization techniques. Then a list of marketed products and their applications for common dermatological disorders has been presented. In all, this paper is an attempt to a bibliographic foundation for researchers working during this field and foster further investigations during this arena.

**Keywords:** Microsponges, Acne, Salicylic Acid, Eudragit RS 100, etc.

## INTRODUCTION

A suitable carrier may be a promising approach to scale back its biological toxicity. Microsponges are porous microspheres capable of entrapping a variety of actives such as anti-inflammatory agents, anti-acne agents, fragrances, and essential oils [5–6]. The matrix of microsponges consists of a myriad of interconnecting nanopores having a large internal expanse during a surface area in a non-collapsible structure. The size range of microsponges differs from 5 to 300 μm and their pore volume can extend up to 1 ml/g.

The capability to entrap a wide range of active ingredients and are used as a carrier for topical drug delivery. These microspheres act like microscopic sponges, are storing an active medicine until its release is triggered by application to the skin surface. The release of the drug into the skin can be initiated by various triggers like rubbing, concentration, gradients, high skin temperature, and application of pressure.

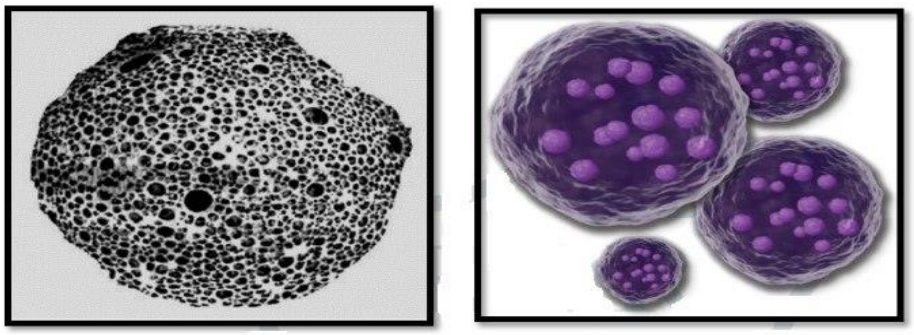


**Fig 1: External and internal structure of microsponges**

**Characteristics of microsponges drug delivery system** [1]

- They are sterilizing self as their average pore size is 0.25 μm where bacteria cannot get penetrate.
- Microsponges show acceptable stability over pH starting from 1 to 11 and at high temp.
- It should be fully miscible in monomer otherwise should be made miscible by the addition of some amount of a water-immiscible solvent.
- It is stable at the temperature up to 130 °C.
- It should be immiscible in water or slightly soluble in water
- The average pore size of microsponges prevent the penetration of bacteria therefore, no need of sterilization or addition of preservatives.
- Microsponges absorb oil up to six times their weight without drying.

- It is free flowing; therefore, it is effectively reduced irritation and hence improved patient compliance.
- It must be inert concerning the monomer.
- It should be immiscible in water or in other hand slightly soluble in water.
- The spherical structure of microsponges shouldn't collapse.
- Microsponges possess free flowing properties.
- To avoid cosmetic problems, the solubility of active ingredients checked must inside the vehicle.
- Microsponges are non-allergenic, on irritating, non-mutagenic and non-toxic.

**Advantages [1-3]:**

1. Extended release.
2. Shelf-life and product stability can be prolonged without using preservatives, since bacteria are too large to enter into the microsponges.
3. Improved product elegancy & Flexibility to develop novel products forms.
4. Undesirable properties like oiliness and tackiness, or undesirable feel or odor of ingredients can be considerably reduced which makes them suitable for topical delivery to skin.
5. Microsponges system are non-irritating, non-mutagenic, non-allergic & Improved thermal, physical and chemical parcels.
6. Microsponges help in improving elegance of the formulation.
7. Microsponges correspond of hitching voids within a non-collapsible structure, with large porous surface.

Stable over a wide pH range of 1–11 and over to a temperature of 130 °C.

**Table 1: Active moieties and polymers employed in microsponges formulations**

| **Active moieties and polymers employed in microsponges formulations** | | |
|---|---|---|
| **Active moieties** | **Polymers** | **Drug polymers ratio** |
| Benzoyl Peroxide | Ethyl cellulose | 1:1, 1:3, 1:5, 1:7, 1:9 |
| Salicylic Acid | Eudragit RS 100 | 1:1sd |
| Dicyclomine | Eudragit S100 | 1:3, 1:6, 1:9, 1:12 |

| | | |
|---|---|---|
| Hydroxyzine HCL | Eudragit RS 100 | 1:2, 1:2, 1:3, 1:4 |
| Diclofenac diethylamine | Eudragit RS 100 | 1:1, 1:2, 1:3, 1:4, 1:5, 1:6 |
| Acyclovir sodium | Ethyl cellulose | 1:2, 1:3 |

**Methodology of microsponges**

**Equipment:** Magnetic stirrer, Ultrasonicator, Oven, weighing balance, etc.

**Apparatus:** Dropper, Measuring cylinder, Volumetric flask, Beaker, Funnel, etc.

**Procedure:**

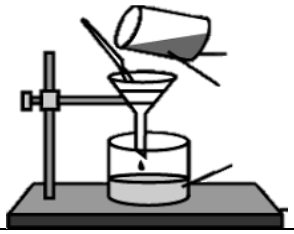
Main role in regulating the performance of this delivery system. Microsponges production achieved by techniques like Liquid-liquid suspension and Quasi-emulsion solvent diffusion method, with or without modification. Grochowicz et al. reported how for microsponges preparation supported atom suspension polymerization technique. this may be one-step process within which monomers are dissolved with non-polar drug in an exceedingly suitable solvent & the resulting solution is dispersed in aqueous phase containing suitable surfactant and suspending agent. Although, this could be often convenient method, it ends up in non-uniform structures with poor reproducibility. Further, it requires a protracted time for reaction of the monomers. Another limitation is that the entrapment of unreacted monomer residues. This limitation is often overcome by using quasi-emulsion solvent diffusion method

**Quasi-emulsion solvent diffusion method:**

1. Microsponges production that was a two-step process, internal phase consisting of Eudragit RS100 polymer is dissolved in solvents like Ethyl alcohol with presence of a plasticizer and a diffusible substance (porogen).
2. Then drug added to solution (solution of eudragit & Ethyl alcohol) & dissolve under Ultrasonicator at 35°C.
3. This internal phase is then, dispersed into an external aqueous phase, comprising of polyvinyl alcohol, which acts as a stabilizer.
4. After emulsification, the system is continuously stirred for accurate interval and maintained at a high temp, if needed. Porogen diffuses into the external medium, occur in an exceedingly highly porous scaffold structure called ‘microsponges’.
5. Then product is subsequently washed and dried in vacuum oven at 60 °C for 24hrs. This process might prove an improved option when the active molecule is sensitive to polymerization conditions.

6. Further, the strategy has the advantage of avoiding solvent toxicity. Importantly, some factors like drug solubility, nature of solvent, temperature and speed of emulsification, nature of polymer cross-linking, infusibility of porogen, type and concentration of plasticizer also affect the formation of microsponges. This process, besides being rapid, is practicable and reproducible. Furthermore, this system yields uniform microsponges with narrow size distribution [4]

**Table 2: Steps involved in the preparation of microsponges**

| Steps | Description | Diagram |
|---|---|---|
| Step 1 | Internal Phase = Polymer + solvent |  |
| Step 2 | External Phase = PVA + Dis. Water |  |
| Step 3 | Addition of Internal phase solution into External phase solution dropwise along with stirring |  |
| Step 4 | Filtration |  |
| Step 5 | Formation of microsponges | |

| | | |
|---|---|---|
| Step 6 | Drying of microsponge |  |

**Evolution parameters:**

**Size of particle:**

The free-flowing property of the powders can be modified by controlling the size of the microspheres at the time of formulation. The microsponges having diameters of 5 to 300 micrometers are freely flowable. Smaller particles are not desirable. Larger particle size should formulate if desire. The release rate of the active ingredient is greatly influenced by Particle size and diameter of the same.

***In vitro* drug release study:**

The dissolution profile of microsponges is frequently studied by use of dissolution apparatus USP with a modified basket consisted of 5micrometerstainless steel mesh. Sample equivalent to 100 mg of oxiconazole nitrate was taken in basket, the speed of rotation is 100 rpm & temperature of 37 ±0.5$^0$C.

**Spreadability:**

One of the criteria for a gel to meet an ideal quality is that it should possess good spreadability. It is term expressed to dense the extent of the area to which gel radially spread on application to the skin of affected part. The therapeutic efficacy of a, formulation also depends upon its spreading value. Spreadability is stated in term of time in alternate taken by two slides to slip off form gel placed in between the slide under the instruction of certain load lesser the time is taken for partition of two slides, bettered spreadability.

**Viscosity measurement:**

The viscosity of the different gel formulations was determined using a Brookfield viscometer with spindle no 64 at 100 rpm at temperature 25$^o$C the viscosity of optimized formulation was determined as such without dilution using Brookfield viscometer.

**Production yield:**

The production yield of microsponges was determined by working out accurately the initial weight of the raw material and final weight of the microsponges attained.

$$\% \text{ Production yield} = \frac{\text{Practical mass of microsponge} \times 100}{\text{Theoretical mass (polymer + drug)}}$$

**Encapsulation efficiency:**

A sample of dried microsponges equivalent to 10mg was taken into mortar and pestle and add little amount of phosphate buffer of pH 7.4 and allowed to stand for 24hr.then, transfer content into 100 ml volumetric flask and make up volume to 100ml with phosphate buffer. The solution was filter through Whatman filter paper. From the resulting solution take 1ml into 10 ml volumetric flask and then make up volume to 10 ml with phosphate buffer of pH 7.4. drug content was determined by UV spectrophotometer at 261nm

The drug content an encapsulation efficiency was calculated using the following formula

$$\% \text{ Encapsulation Efficiency} = \frac{\text{Actual drug content in microsponges} \times 100}{\text{Theoretical drug content}}$$

**CONCLUSION**

Dermatologist and druggist is to develop new technology and made products. It has become highly evolving technology and research is going on to optimize the cost of formulation and usefulness of the therapy. Microsponges delivery system have been one of the crucial, high efficiency and innovative technology it is used in pharmaceutical as well as cosmetics.

Microsponges delivery system can be a better strategy for a new generation of Pharmaceutical and Cosmetic products. Microsponges possess a distinct advantage over the various conventional topical dosage forms for the topical diseases, it is a unique technique for the controlled release of topical agents, also used for oral as well as biopharmaceutical drug delivery. This drug delivery is advantageous over other products by non- mutagenic, non-toxic, non-irritant nature, also it has free flowing properties & prevent the penetration of bacteria therefore, no need of sterilization or addition of preservatives. So, the microsponges drug delivery technology has got a lot of potentials and is a versatile delivery system.

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