International Journal of Pharmaceutical Research and Applications
Volume 7, Issue 4 July-Aug 2022, pp: 776-780 www.ijprajournal.com ISSN: 2456-4494

Review on Microsponge Drug Delivery System for Bioavailability Enhancement of PotentDrugs

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Submitted: 10-07-2022 Accepted: 23-07-2022

ABSTRACT: Microsponges are polymeric delivery system composed of porous microspheres which having a particle size range of 5-300 µm with a capability to entrap a wide range of active ingredients and are used as a carrier for topical drug delivery. Microsponges are tiny sponge-like spherical particles that consist of a myriad of interconnecting voids within a non-collapsible alignment having a large porous outer body . The microsponge technology was developed by Won in 1987 and the original patent was assigned to Advanced Polymer Systems, Inc. This company established a high number of differentiation of the strategy and applied those to cosmetic as well as over the counter and prescription based pharmaceutical drug products. At the recent time, thisinnovated technology has been licensed to Cardinal Health, Inc. for use in topical applied drug products. Microsponges are purposefully designed to provide a pharmaceutically active ingredient sufficiently at the minimum strength of dose and also to maximize stability, reduce adverse side effects of drug product, and modifying drug release profile.With advances in biotechnology, genomics, and combinatorial chemistry, a wide variety of new, more potent and specific therapeutics are being created. Because of commonly occured problems such as low solubility, high potency, and/or poor stability of many of these new drugs, the means of drug delivery can impact efficacy and potential for commercialization as much as the nature of the drug delivery. There are many different drug delivery systems designed to deliver a active therapeutic agent in the sufficient quantified amount, at the proper time, to the right body part location in the specific manner at the site, in a manner that optimizes efficacy, increase compatibilityof drug and minimize side effects of drug product.

KEYWORDS:interconnectingvoids ,microsphere.

I. INTRODUCTION

A Microsponge Delivery System is patented, highly cross-linked, porous, polymeric composed type of drug carrier of complex structure in form of variety of size in microspheres that can capturebroad range of actives and then release them with control and desired rate required for therapy. This system is benificial for the improvement of therapeutic efficacy of topically applied drug. It is a unique technology for the controlled release of topicalapplied active agents and consists of beads in the form of microspheres, typically 10-25 microns in diameter, incorporated with therapeutically active agent. Their is maximum range ofdegree of cross-linking which ultimately lead in particles that are insoluble, inert and of optimum amount of strength to resist against the high shear commonly required in manufacturing of creams, gels, lotions, and powder. Their characteristics feature is the adsorb or load a high degree of active materials into the particle and on to its surface. Moreover, they may improvise thestability, reduce side effect and modify drug release as in the desired rate of pattern . Microsponge technology has many benificial characteristics, which make it a versatile drug delivery vehicle for many of high potent drug which are low soluble and permeable . Microsponge Drug Delivery System can provide enhancement in efficacy for topically actives agents with increased safety, extended product stability and improved aesthetic properties in an proper manner.Conventional drug delivery systems are not showing proper tendency and therapeutic effectiveness due to pre-systemic metabolism and lack of concentration at the targeted drug delivery site. Due to several adverse effects of the traditional drug delivery systems made to control the therapeutically active ingredient administered to the human body has been one of the major challenges need to be identified for the

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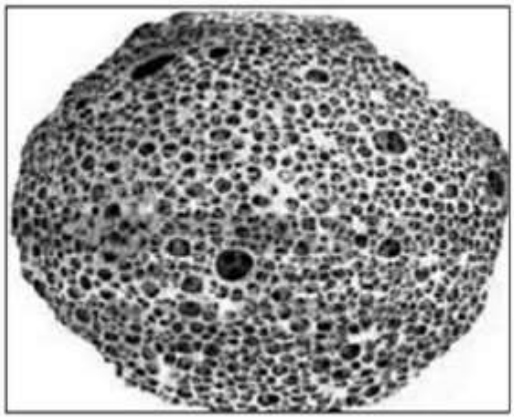
pharmacological activity. To prevent and avoid such problem multi-particulate drug delivery systems are a suitable carrier for obtaining therapeutic effectiveness and lower theoccurrence of dose dumping and flexibility with controlled or delay the release to the systemic circulation. Various drug carriers are targeting the inflamed part of tissue and showing activity but the microsponges are one of the best carrier for local targeting with enhanced stability, formulation flexibility, reduction of adverse effects, and improving appearance.



Characteristics of Microsponge

It should be either fully miscible in monomer or capable of being made miscible by addition of small amount of a water immiscible solvent.

•It should be water insoluble orit can be the slightly soluble.

•It should be non reactive to monomers hence it can react or interact with other excipients in the formulation

•The solubility of active ingredients in the solvent must be limited to avoid cosmetic problems; not more than 10 to 12% w/w microsponges must be added into the vehicle to prepare formulation. Otherwise, the vehicle will deplete the microsponges before its application.

•The spherical structure of microsponges should not break down or collapse.

•Polymer design and payload of the microsponges for the active must be in optimum concentration to release active constituents at particular rate for certain time period.

•The material used in microsponges should be compatible with polymerization catalyst and conditions polymerization.

Mechanism of Release Microsponge

Microsponge can be designed to release given amount of active ingredients over time in response to one or more external triggers.

a) Temperature change: At room temperature, few incorporated active pharmaceutical ingredients can be highly viscous to flow suddenly from microsponges in to the deeper layer of skin. With increase in skin temperaturethis also increases flow rate and therefore release is also improved.

b) Pressure: Rubbing or pressure applying can be release the of active ingredient from microsponges intothe skin.

c) Solubility: Microsponges composed with water loving ingredients like antiseptics and antiperspirants which will release the ingredient in the presence of water. The release of drug can also be activated by diffusion process but taking into consideration about the partition coefficient of the ingredient between the microsponges and the external system.

d) PH triggered systems: Triggering the pH-based release of the active can be achieved by enhancing the coating on the microsponge structure.

Method of preparation of Microsponge

Drug loading in microsponges can take place in two different types of method that is one-step process or by two-step process as summarized in liquid-liquid suspension polymerization and quasi emulsion solvent diffusion techniques which are typically based on physicochemical properties of drug substancethat is loadedin. Morphology and surface topography of microsponges.

1)Liquid-liquid suspension polymerization: In this Liquid-liquid suspension polymerization method, the porous microspheres are formulated by suspension polymerization method in liquid-liquid systems. In thismethod of preparation, the monomers are first dissolved along with active ingredients in a suitable solvent solution of monomer and are then dispersed in the aqueous phase, which consist of additives.

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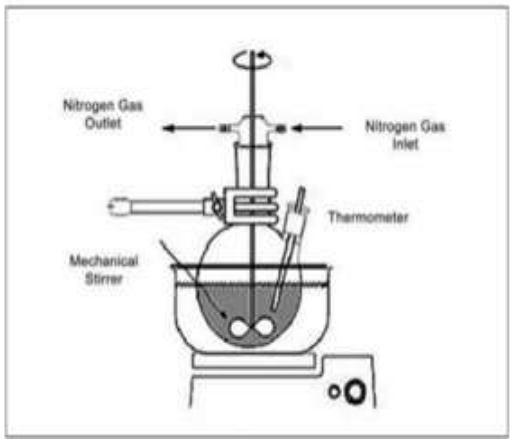

2) Quasi-emulsion solvent diffusion:
In this Quasi-emulsion solvent diffusion method that is two steps process where the microsponges can prepared by quasi emulsion solvent diffusion method by using polymer at different concentrations. To prepare the inner phase, Eudragit RS 100 was dissolved in ethyl alcohol solvent. Then, drug can be added to solution and dissolved under ultrasonication at 35°c. The inner phase was poured into the PVA solution in water which is anouter phase. Following 60 min of stirring, the mixture is filtered to separate out the microsponges. The microsponges are dried in an air-heated oven at the temperature of 40°c for time period of 12 Hr and weighed the yeild obtained to determine production yield (PY) from the process**.**

Evaluation of Microsponges
1) Particle size determination:
Laser light diffractometry or any other suitable methods are using to Particle size analysis of loaded and unloaded microsponges. The values can be expressed for all formulations and for varioussize range. Cumulative percentage drug release from microsponges of different particle size will be plotted against time to indicate study effect of particle size on drug release pattern. Particles larger than 30 µm can show the gritty feeling and hence particles of sizes between 10 and 25µm are preferred to use in final topical formulation of drug product.

2) Scanning electron microscope study:
For morphology and surface topography, of prepared microsponges can be coated with gold palladium cover under an argon atmosphere at room temperature and then the surface morphology of the microsponges can be studied by scanning electron microscopy (SME). SEM of a fractured microsponge's particle can be taken its ultra structure to perform studies.

4) Production yield: The production yield of the micro particles can be examined by calculating accurately the initial weight of the raw materials before the preparation and the last weight of the microsponge obtained from the process of Preparation.

5) Determination of true density: The true density of Microsponges can be quantifiedusing an ultra-pycnometer which work under helium gas and is calculated from a mean of repeated determinations from the process.

6) Compatibility studies: Compatibility of drug with reaction adjuncts can be studied by chromatographic technique like thin layer chromatography (TLC) and Fourier Transform Infrared spectroscopy (FT-IR). Effect of polymerization on crystallinity of the drug can be understood by powder X-ray diffraction (XRD) and Differential Scanning Calorimetry (DSC).

7) Dissolution studies: Dissolution apparatus USP with certain modifications was used for studying the dissolution profile of the drug loaded microsponges. The dissolution medium is selected by considering the solubility of the drug to assess sink conditions. After different time intervals, samples were withdrawn from the dissolution medium and analyzed by using a suitable analytical procedures.

8) Polymer/monomer composition: Factors such as microsphere size, drug loading, and polymer composition govern the drug release from microspheres. Polymer composition of the microsponge delivery system can affect partition coefficient of the entrapped drug between the vehicle and the microsponge system and hence have direct effect on the release rate pattern of entrapped drug. Release of drug from microsponge systems made up of different polymer compositions can be studied by plotting cumulative percentage drug release against time.

9) Effect of the drug to the polymer ratio : When the amount of polymer is kept constant while the ratio of drug to polymer is modified, the drug loading capacity is not much affected by the change in this ratio, however, the production yield can extremely be alteredfrom minimum ratio to maximum one. In addition, the particle size varies

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and also affected by the change of the drug to the polymer ratio. Microsponge improved by amount of drug increases

10) Effect of stirring rate : Stirring rate is one of the important process parameters to obtain microsponges particle with small particle size and high entrapment tendency. During solvent evaporation, the droplets are solidified to produce particles and the drug is adsorbed on the surface and/or entrapped within the polymer matrix of these particles. Moreover, during the transition from droplets to form particles, the drug may have a tendency to diffuse out into the external phase. Thus, it is important to control the solidification rate of the droplets in order to achieve small particle size and high entrapment efficiency.

Applications of MicrospongeDrug Delivery

Microsponge are widely applicable for topical application and now a days used for oral administration as well as biopharmaceutical delivery. The following are a few uses, which arestudied and some areunder research.

Burn wound therapy: Silver sulfadiazine-loaded microsponges were manufactured using water in oil in water Quasi-emulsion solvent diffusion method. The prepared loaded microsponges were mixed in the gel base, which improved the efficacy of the drug by reduction of the cytotoxicity towards the keratinocytes and fibroblasts without affectingtheirantimicrobial properties. Microsponges have an capability to enhance the delivery of silver sulfadiazine to burn wounds and decreasing cytotoxicity towards host cells.

Anti-fungal: Eberconazole nitrate-loaded microsponges were made up using the Quasi-emulsion solventdiffusion method. The obtained microsponges were dispersed in to the gel medium, and an in-vivo skin deposition study was performed and showed that the loaded microsponges had fourfold higher retention power in the stratum corneum layer of skin than other compared commercial cream .

Anti-acne: Retinoic acid-loaded microsponges were developed and tested for drug release and anti-acne efficacy. Statistically significant, greater reductions in inflammatory and non-inflammatory lesions were observed with tretinoin incorporated in the microsponge structure.

Colon-specific drug targeting for treating rheumatoid arthritis: The controlled delivery of flurbiprofen was performed by using a commercial Microspongesystem. In-vitro studies exhibited that compression-coated colon-specific tabletformulations started to release the drug for 8h, corresponding to the proximal colon arrival time. This is because of the addition of the enzymes and modifications in the drug release pattern, while the drug release from the colon-specific formulations prepared by poreplugging the microsponges showed an increase for 8h, which was the point of time when the enzyme addition was made in to the microsponges.

Anti-glaucoma: Stable acetazolamide microsponges were successfully prepared by the Quasi-emulsion solvent diffusion technique. Ex-vivo studies concluded that acetazolamide microsponges in-situgel formulation could be successfully used for topical ocular administration for the treatment of disease like glaucoma, and avoiding systemic side effects compared to the oral acetazolamide .

Anti-cancer: Microsponges based topical gel formulation of 5-Fluorouracil (5-FU) for the treatment of skin cancer with improved skin deposition and reduced skin irritation potential. Brunauer Emmett Teller analysisexhibited higher surface area and pore volume of developed microsponges' formulation. The optimized formulation shown the better thixotropic and texture properties compared to the other commercial cream formulation, used as the control for comparison purposes.

II. CONCLUSION

The microsponge delivery system is a unique technology for the controlled release of macroporous particles which are loaded with active pharmaceutical ingredients. Microsponges offer a potential decrease in side effects while maintains its therapeutics pharmacological effect. In addition, microsponges improve the stability, increases the elegance, and enhance formulation flexibility. Previous studies were done and confirmed that microsponges are non-irritant, non-allergenic, non-mutagenic, and non-toxic to the application. This technology is being used currently in cosmetics, sunscreens, and prescription products. Therefore, the microsponges based drug delivery technology is likely to become a valuable drug delivery matrix

substance for various therapeutic applications for the future use.

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