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Original Article

Microsphere as Novel Drug Delivery System

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ABSTRACT

Microspheres are characteristically free flowing powders consisting of proteins or synthetic polymers which are biodegradable in nature and ideally having a particle size less than 200 µm. A welldesigned controlled drug delivery system can overcome some of the problems of conventional therapy and enhance the therapeutic efficacy of a given drug. There are various approaches in delivering a therapeutic substance to the target site in a sustained controlled release fashion. One such approach is using microspheres as carriers for drugs. It is the reliable means to deliver the drug to the target site with specificity, if modified, and to maintain the desired concentration at the site of interest without untoward effects. Microspheres received much attention not only for prolonged release, but also for targeting of anticancer drugs at tumor site. In future by combining various other strategies, microspheres will find the central place in novel drug delivery, particularly in diseased cell sorting, diagnostics, gene and genetic materials, safe, targeted and effective in vivo drug delivery and supplements as miniature versions of diseased organ and tissues in the body.1

Keywords: Microspheres; Controlled drug delivery system; Target site; Specificity; Therapeutic efficacy

INTRODUCTION

A controlled drug delivery system is used to overcome some problems associated with conventional therapy and enhance the therapeutic efficacy of a given drug. To obtain maximum therapeutic efficacy, it becomes necessary to deliver the agent to the target tissue in the optimal amount in the right period of time, thereby causing little toxicity and minimal side effects. There are various approaches in delivering a therapeutic substance to the target site in a sustained controlled release fashion.[1] To minimize drug degradation and loss, to prevent harmful side-effects and to increase drug bioavailability and the fraction of the drug accumulated in the required zone, various drug delivery and drug targeting systems are currently under development. Among drug carriers, one can name soluble polymers, micro particles made of insoluble or biodegradable natural and synthetic polymers, microcapsules, cells, cell ghosts, lipoproteins, liposomes, and micelles. The carriers can be made slowly degradable, stimuli-reactive (e.g. pH-or temperature-sensitive), and even targeted by conjugating them with specific antibodies against certain characteristic components of the area of interest. One such approach is using micro spheres as carriers for drugs. Microspheres can be described as small particles (in 1-1000 micrometer size range) for use as carriers of drugs and other therapeutic agents consisting of proteins or synthetic polymers which are biodegradable in nature. The term microsphere describes a monolithic spherical structure with the drug or therapeutic agent distributed throughout the matrix or as a dispersion of particles.[2]

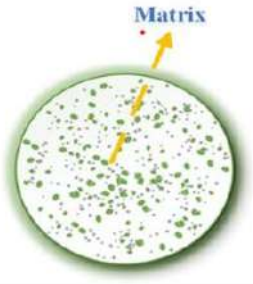

Figure 1. Microsphere

Advantages of Microspheres:

- Microspheres have a consistent and long-lasting therapeutic impact.

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- Reduces the frequency of dosing and thereby improves patient compliance.
- Owing to their spherical form and smaller size, microspheres may be inserted into the body.
- Improved drug utilization will improve bioavailability while lowering the risk of side effects.
- The morphology of microspheres allows for controlled variability in drug release and degradation.[3]
- Oils and other liquids are converted to solids to make them easier to handle.

Disadvantages of Microspheres:

- In case of parenteral application of microspheres, it is difficult to remove carrier completely from the body if the drug produces some adverse toxic effects.
- The release rate of the controlled release dosage form may vary from a variety of factors like food and the rate of transit though gut.
- Differences in the release rate from one dose to another.
- Controlled release formulations generally contain a higher drug load and thus any loss of integrity of the release characteristics of the dosage form may lead to potential toxicity.
- Dosage forms of this kind should not be crushed or chewed.

Properties Of Microsphere:

Microspheres are small spherical particles, typically with diameters in the micrometer range (1–1000 µm). They are often used in medical, pharmaceutical, and material science applications. Here are some key properties of microspheres:

1. Size and Shape:

- Diameter: Usually ranges from 1 to 1000 micrometers.
- Shape: Typically, spherical, which can enhance flow properties and packing efficiency.

2. Composition:

- Polymeric Microspheres: Made from materials such as polystyrene, polyethylene glycol (PEG), poly(lactic-co-glycolic acid) (PLGA), etc.
- Glass Microspheres: Composed of soda-lime, borosilicate glass, or other forms of glass.
- Ceramic Microspheres: Made from ceramics, they often exhibit high thermal and mechanical stability.
- Metallic Microspheres: Composed of metals or metal alloys.
- Hollow vs. Solid: Hollow microspheres are used for lightweight applications, while solid microspheres are preferred for higher strength requirements.

3. Density: Density can vary widely based on composition (e.g., hollow microspheres are often used for reducing weight).

4. Porosity: Some microspheres are designed to be porous, which increases their surface area and makes them useful for drug delivery, filtration, or catalysis applications.

5. Mechanical Strength: Microspheres can be engineered to exhibit specific mechanical properties such as toughness, rigidity, or flexibility.

6. Biodegradability (for biomedical applications): Microspheres used for drug delivery or implants are often made of biodegradable polymers that break down within the body.

7. Optical Properties: In some applications, such as optical sensors, microspheres can be designed to exhibit specific light-scattering or reflective properties.

8. Thermal Stability: Depending on their composition, microspheres can have varying degrees of thermal resistance and are used for insulation, coatings, or high-temperature applications.

9. Controlled Release: Microspheres are often used in drug delivery systems to achieve a controlled release of medication over a specified period. This is achieved by encapsulating the drug within the microsphere and controlling its diffusion or degradation rate, making it especially useful in sustained and targeted therapy.

10. Electrical Properties: Some microspheres, especially those made of conductive materials or coated with conductive substances, can have specific electrical properties. They can be used in applications like conductive coatings, electromagnetic shielding, and as components in electronic devices.

Mechanisms of Microsphere Drug Delivery System

A microsphere drug delivery system generally involves tiny spherical particles (microspheres) made of polymers that encapsulate a drug. Their mechanism works through controlled release, enhancing drug stability, bioavailability, and targeting specific sites in the body. The key mechanisms include:

1. Drug Encapsulation: The active pharmaceutical ingredient (API) is encapsulated in biodegradable

polymers like polylactic acid (PLA) or polyglycolic acid (PGA).

2. Release Mechanisms:

Diffusion-controlled release: The drug diffuses out of the microsphere matrix over time.

Degradation-controlled release: The polymer degrades through hydrolysis, releasing the drug gradually.

Erosion-controlled release: The matrix itself erodes, leading to a gradual release.

Types of Microspheres

Bio adhesive microspheres

Adhesion is the process of attaching a drug to a membrane by using the adhesive properties of water-soluble polymers. Bio adhesion is described as the adhesion of a drug delivery system to a mucosal membrane such as the buccal, ocular, rectal, nasal, and other mucosal membranes. These microspheres have a longer residence period at the application site, resulting in close interaction with the absorption site and improved therapeutic action.[8]

Polymeric microspheres

The different types of polymeric microspheres can be classified as:

Biodegradable polymeric microspheres

Natural polymers like starch are used because they are biodegradable, biocompatible, and bio adhesive. Due to its excellent degree of swelling in an aqueous medium, biodegradable polymer extends the residence time when in contact with mucous membranes, resulting in the formation of gels. The concentration of polymer and the release pattern in a sustained manner regulate the rate and degree of drug release. The main disadvantage is that drug loading performance of biodegradable microspheres in clinical use is complicated, making drug release difficult to manage. However, in microsphere-based therapy, they have a wide variety of applications.[14]

Synthetic polymeric microspheres

Synthetic polymeric microspheres are widely used in clinical applications, as well as bulking agents, fillers, embolic particles, drug delivery vehicles, and other applications, and have been shown to be safe and biocompatible. However, the main downside of these microspheres is that they have a tendency to move away from the injection site, posing a risk of embolism and further organ damage.[15]

Method For The Preparation Of Microsphere

1. Spray drying.
2. Emulsion crosslinking method.
3. Solvent evaporation.
4. Ionic gelation method.
5. Phase separation coacervation technique

1. Spray Drying

The polymer is first dissolved in a suitable volatile organic solvent, such as dichloromethane or acetone, before being spray dried. Thereafter, the compound is dispersed in a polymer solution using high-speed homogenization. This dispersion is then atomized in a hot air current. The atomization results in the formation of tiny droplets or fine mist from which the solvent evaporates instantaneously leading to the formation of microspheres in the 1-100µm range. Micro particles are separated from hot air using a cyclone separator, and the solvent residue is removed using vacuum drying. One of the main benefits of the procedure is the viability of action under aseptic conditions. This process is rapid, leading to the formation of porous micro particles.[23]

2. Emulsion crosslinking method

This method utilizes the reactive functional group of polymers to crosslink with the aldehyde group of cross- linking agents. In this method water-in-oil (w/o) emulsion was prepared by emulsifying the polymer aqueous solution in the oily phase. Aqueous droplets were stabilized using a suitable surfactant like span 80 or dioctyl sodium sulphosuccinate. The stable emulsion was cross-linked by using an appropriate cross-linker like glutaraldehyde to harden the droplets. Microspheres were filtered and washed repeatedly with hexane or petroleum ether to remove traces of oils. They were eventually washed with water to clear the cross-linkers and then dried at room temperature for 24 hours.[24]

3. Solvent Evaporation Processes

are carried out in a liquid production vehicle. The microcapsule is dispersed by a volatile solvent that is not mixed with the liquid stage of the method of production. The core material to be microencapsulated is dissolved or dispersed in a polymer coating solution. With agitation, the core material mixture is distributed during the liquid manufacturing process of the vehicle in order to achieve the required size of the microcapsule. The mixture is then heated, if possible, to evaporate the solvent for the polymer of the main material dispersed in the polymer solution, the polymer shrinks around the core. If the core material is dissolved in a polymer

coating solution, a matrix – a form of microcapsules is formed. Core materials can be either water- soluble or water-insoluble. Core materials can be water-soluble or water-insoluble. Solvent evaporation entails aqueous (o/w) or non-aqueous formations.[25]

4. Ionic gelation method

This technique was used to prepare the alginate/chitosan particulate system for the release of diclofenac sodium. In this step, the drug is added to an aqueous sodium alginate solution. In order to obtain a complete solution, the stirring continues and the solution containing Ca2+/Al3+ is added dropwise. The microspheres produced were held in the original solution for 24 hours for internal jellification followed by filtration for separation. The full release is obtained at pH 6.4-7.2, but the medication will not release at acidic pH.[11]

5. Phase separation coacervation technique

This method is based on the idea of decreasing the solubility of the polymer in the organic phase in order to influence the formation of a polymer-rich phase called coacervates. In this process, the drug particles are dispersed into a polymer solution and an incompatible polymer is added to the device, which separates the first polymer phase and engulfs the drug particles. Adding the non-solvent results to the solidification of the polymer. This process has been used to prepare polylactic acid (PLA) microspheres by using butadiene as an incompatible polymer. Process variables are very significant as the rate of achievement of the coacervates determines the distribution of the polymer film. The size of the particles and the agglomeration of the formed particles. Agglomeration must be avoided by stirring the suspension using an appropriate speed stirrer, because as the process of microsphere forming starts, the formed polymerized globules begin to adhere and form agglomerates. Process variables are therefore important as they govern the kinetics of the formed particles, since there is no given state of equilibrium attainment.[16]

APPLICATIONS

- For Taste and odour masking
- To delay the volatilization
- For Separation of incompatible substances
- For Improvement of flow properties of powders
- To Increase the stab7533ility of the drug against the external conditions
- For Safe handling of toxic substances
- To improve the solubility of water insoluble substances by incorporating dispersion of such material in aqueous media
- To reduce the dose dumping potential compared to large implantable devices.
- For conversion of oils and other liquids to solids for ease of handling.

Novel Applications of Microsphere:

1. Drug delivery systems
2. Tissue engineering
3. Medical imaging
4. Cosmetic applications
5. Food encapsulation
6. Environmental remediation
7. Self-healing materials
8. Sensors and catalysts
9. Gene/protein delivery

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