Microballoons: A Gastro Retentive Drug Delivery System
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ABSTRACT

Oral route is most preferable and widely used route for the administration of drug. Microballoons becomes novel technology in pharmaceutical field in the floating drug delivery for achieving the gastric retention. Microballoons are also called as hollowspheres which are porous smooth in nature and thus show good floating properties in gastric fluid. Microballoons release the drug in controlled manner on the targeted site. Microballoons are spherical empty vesicles without core and that can remain buoyant in gastric region for prolong period of time without irritation in gastrointestinal tract. Multiparticulate particles having a low density system that can efficiently prolong the gastric retention time of the drugs, thus enhanced bioavailability and thus improve the dosing frequency. As microballoons delivery systems provide longer retention in gastric pH and enhance the solubility of drugs that are less soluble in high pH environment. The formation of cavity inside the microballoons depend on the preparation, temperature and the surface smoothness determine the floatability and the release rate of microballoons.

Keywords: Microballoons, Gastro retentive drug delivery system, Hollowspheres, Controlled release

Introduction

Microballoons are the gastro retentive drug delivery system and it is based on the non-effervescent approach. Generally microballoons are in spherical shape without core. These microballoons are free flowing powder which consists of protein and synthetic polymers and these microballoons size ranges from 200 μm. These microballoons are low density system which have sufficient buoyancy to float over the gastric fluid for prolonged period of time without any irritation to gastro intestinal tract.1 Microballoons are prepared by using different techniques such as simple solvent evaporation method, double emulsion method, phase separation coacervation method, polymerization method, spray drying method, spray congealing method and hot melt encapsulation method.2

Advantages

- Improves patient compliance by decreasing dosing frequency.
- Gastric retention time is increased.
- Plasma drug concentration is maintained.
- Controlled release of drug for prolonged period of time.
- Site-specific drug delivery to stomach can be achieved.
- No risk of dose dumping.
- Enhanced absorption of drug which solubilize only in stomach.3,4

Mechanism of drug release

When microballoons comes in the contact with the gastric fluid the gel formers, polysaccharides and the polymers will hydrate to form colloidal gel barriers that controls the rate of fluid penetration in the device and the drug will release in controlled manner. The surface of the drug dissolves the gel layer is maintained by the hydration. The air trapped by swollen polymers which lowers the density and confers buoyancy to the microballoons.5,6
Formulation method

1. Emulsion Solvent Evaporation Method

- Aqueous solution of drug is prepared
- Organic phase having polymeric solution is added in solvent like chloroform with stirring
- Formed emulsion is added to large amount of water having emulsifier to form multiple emulsion
- Emulsion is constantly stirred till organic solvent evaporate giving microspheres
- Hollow microsphere are washed and dried
2. **Emulsion Solvent Diffusion Method**

In this method, a solution of polymer and drug in ethanol methylene chloride is poured into an agitated aqueous solution of polyvinyl alcohol. The ethanol rapidly partitions into the external aqueous phase, and the polymer precipitates around methylene chloride droplets. The evaporation of entrapped methylene chloride leads to the formation of internal cavities within the micro particles.\(^8\), \(^9\)

![Figure 4: Emulsion solvent diffusion method](image)

3. **Single emulsion technique**

![Figure 5: Single emulsion technique](image)
4. Double emulsion technique

![Diagram of double emulsion technique](image)

**Figure 6: Double emulsion techniques**

5. Coacervation phase separation technique

![Diagram of coacervation phase separation](image)

**Figure 7: Coacervation phase separation method**

6. Spray drying and spray congealing

**Spray drying**: The coating solidification can be done by rapid evaporating of solvent in which coating material is dissolved.

**Spray congealing**: The coating solidification can be done by thermally congealing a molten coating material. The removal of solvent is done by sorption, extraction or evaporation.
Application of Microballoons

- Gastro retentive floating microspheres are very effective in the reduction of major adverse effect of gastric irritation.
- Floating microballoons are very effective approach in delivery of drug that have poor bioavailability because of their limited absorption in the upper GIT.
- The higher dose of drug can reduced due to increase in gastric retention times which lead to low dose frequency.
- These system remain in stomach for long period of time and hence drug release in controlled manner.

Evaluations of Microballoons

Microballoons can be evaluated for their micromeritic properties, particle size, scanning electron microscopy, bulk density, tapped density, Carr’s index, Angle of repose, production yield and in vitro drug release.

Marketed formulations

<table>
<thead>
<tr>
<th>Drug</th>
<th>Brand name</th>
<th>Manufacturer name</th>
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<tbody>
<tr>
<td>Nizatidine</td>
<td>Tazac</td>
<td>Dr. Reddy Laboratories LTD.</td>
</tr>
<tr>
<td>Propranolol Hydrochloride</td>
<td>Inderal</td>
<td>Pellets Pharma Limited</td>
</tr>
<tr>
<td>Domperidone</td>
<td>Motilium</td>
<td>Nischem International Pvt. Ltd</td>
</tr>
<tr>
<td>Theophylline</td>
<td>Uniphyl</td>
<td>Kores India Limited</td>
</tr>
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</table>

Table 1: List of marketed formulations of Microballoons

Conclusion

Microballoons are low-density system and have sufficient buoyancy to float over gastric contents and remain in stomach for prolonged period without any irritation to gastro intestinal tract. The drug is released in controlled manner at desired rate when it floats over gastric fluid it resulting in the reduced fluctuations in plasma drug concentration. Hollow spheres promises to be a potential approach for the gastric retention. Optimized microballoons are novel drug delivery, particularly in diseased cell sorting, diagnostics, gene & genetic materials, safe, targeted and effective in vivo delivery.

References