International Journal of Current Trends in Pharmaceutical Research
Journal Home Page: www.pharmaresearchlibrary.com/ijctpr
CODEN (USA): IJCTGM | ISSN: 2321-3760 | Publisher: Pharma Research Library
Int. J. Curnt. Tren. Pharm, Res., 2024, 12(1): 01-04
DOI: https://doi.org/10.30904/j.ijctpr.2024.4572

Microballoons A Gastroretentive Drug Delivery System

M. Penchala Anitha*[1], P. Venu Gopalaiah[2], Y. Prapurnachandra[3], M. Penchala Pravallika[1]
[1]Student, Department of Pharmaceutics, Ratnam Institute of Pharmacy, Pidthapolur (Village), Muthukur (Mandal), Nellore, Andhra Pradesh – 524343, India.
[2]Professor, Head of the Department, Vice - Principal, Department of Pharmaceutics, Ratnam Institute of Pharmacy, Pidthapolur (Village), Muthukur (Mandal), Nellore (Dist), Andhra Pradesh – 524343, India.
[3]Professor, Principal, Department of Pharmacology, Ratnam Institute of Pharmacy, Pidthapolur (Village), Muthukur (Mandal), Nellore (Dist), Andhra Pradesh–524343, India.

A B S T R A C T
One of the most promising oral medication delivery systems is the gastro-retentive system because of its ability to remain in the stomach area for extended periods of time. This makes the medication more soluble, which enhances bioavailability and lowers drug waste. The foundation of microballoons drug delivery systems is a non-effervescent system made up of spherically shaped, empty particles without a core, ideally less than 200 micrometers. The floating microballoons demonstrated a possible method for stomach retention by demonstrating gastroretentive controlled release delivery with an effective way to increase bioavailability. In environments with a higher pH, they dissolve less readily. Delivery devices using microballoons prolong drug retention in the stomach pH and improve the solubility of medications that are less soluble in high pH environments. The preparation, temperature, and smoothness of the surface affect the creation of a cavity inside the microballoons, which in turn affects their floatability and release rate.

Keywords: Microballoons, Gastroretentive, Drug delivery system, Emulsion solvent diffusion method.

A R T I C L E I N F O

***Corresponding Author**
M. Penchala Anitha
Ratnam Institute of Pharmacy, Pidthapolur (V),
Muthukur (M), Nellore (Dt),
Andhra Pradesh – 524343, India

Article History:
Received : 11 Sept 2023
Revised : 18 Oct 2023
Accepted : 19 Dec 2023
Published : 05 Jan 2024

Citation: *M. Penchala Anitha, et al. Microballoons A Gastroretentive Drug Delivery System. Int. J. Curnt. Tren. Pharm, Res.*, 2024, 12(1): 01-04.

C O N T E N T S

1. Introduction

The non-effervescent method is the foundation of the gastro-retentive drug delivery device, known as microballoons. Microballoons typically have a spherical form without a core. These microballoons, which range in size from 200µm, are free-flowing powders made of synthetic polymers and protein. Because of their low density, these microballoons can float above stomach liquids for extended periods of time without irritating the gastrointestinal tract. A variety of methods, including simple solvent evaporation, double emulsion, phase separation coacervation, polymerization, spray drying, spray congealing, and hot melt encapsulating, are used to create microballoons[1].

Gastroretentive drug delivery system:

Gastroretentive drug delivery systems are dosage formulations that have a longer half-life in the stomach (GRDDS). These medications benefit from GRDDS since they increase the drugs' absolute bioavailability, therapeutic effectiveness, gastric residence time (GRT), potential dose reduction, decrease drug waste, and increase the solubility of medications that are less soluble in high pH environments[2].

Microballoons:

Microballoons are non-effervescent medication delivery methods that are gastrointestinal retentive. In a literal sense, microballoons, also known as hollow microspheres, are spherically shaped, empty particles devoid of a core. Usually consisting of proteins or synthetic polymers, these microspheres are characterized by their free-flowing powder and are less than 200micrometres[3].Strictly speaking, microballoons are spherically shaped, empty particles with an interior hollow structure and air inside that lack a core. The use of microballoons with pharmaceuticals dissolved or disseminated throughout the particle matrix offers the possibility of controlled drug release[4] Fig. no: 1.

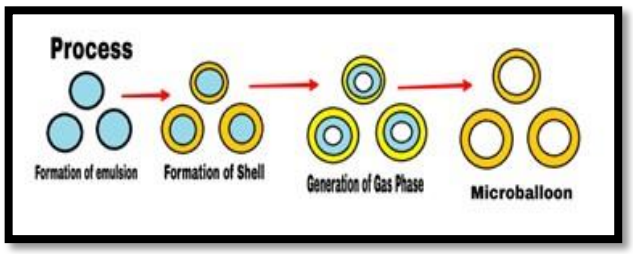

Figure no 1: Process of microballoons

2. Mechanism of drug release

Gel formers, polysaccharides, and polymers hydrate to create colloidal gel barriers when microballoons come into contact with stomach fluid; these barriers govern the rate at which fluid enters the device and the controlled release of medication. The drug's surface dissolves the gel layer, which is kept in place by hydration. The air inside the swelled polymers is trapped, reducing density and giving the microballoons buoyancy[4] (Figure no: 2).

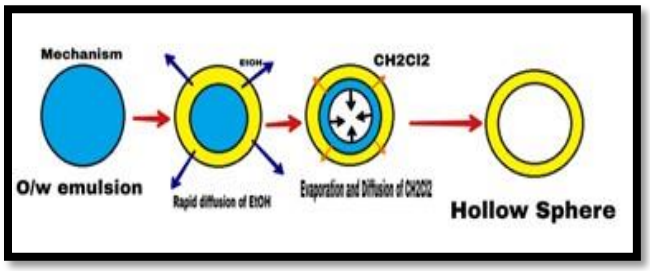

Figure no 2: Mechanism of drug release

Advantages:

- Improve patient compliance by lowering the frequency of the dose.
- Because of their buoyancy, hollow microspheres lengthen the gastric retention period while reducing material density.
- Improved absorption of medications that only dissolve in the stomach.
- Regulated release of drugs over an extended period of time.
- Gastric irritation can be avoided thanks to the sustained release effect.
- Medication with a short half-life can have better therapeutic effects[5, 6, 7].

Disadvantages:

- The adjusted discharge from the mixtures.
- A number of variables, including the rate of transit through the gut and the type of food consumed, might affect the controlled release dosage form's release rate.
- Variations in the rate of release between doses.
- These dosage forms are not meant to be chewed or crushed[5,7].

Emulsion of solvent evaporation method:

A medication-aqueous solution is made.

↓

Stirring, an organic phase containing a polymeric solution is introduced to a solvent such as chloroform.

↓

To create several emulsions, a considerable amount of water with an emulsifier is added to the formed emulsion.

↓

Until the organic solvent evaporates, the emulsion is continuously agitated, producing microspheres.

↓

After washing, hollow microspheres are dried[8].

Emulsion solvent diffusion method:

Using this technique, a polymer and drug solution in ethanol is added to an agitated polyvinyl alcohol aqueous solution. The ethanol quickly separates into the external aqueous phase, and the polymer precipitates around the droplets of ethylene chloride. Internal cavities within the micro particles occur as the trapped ethylene chloride evaporates [9, 10](Figure no: 3).

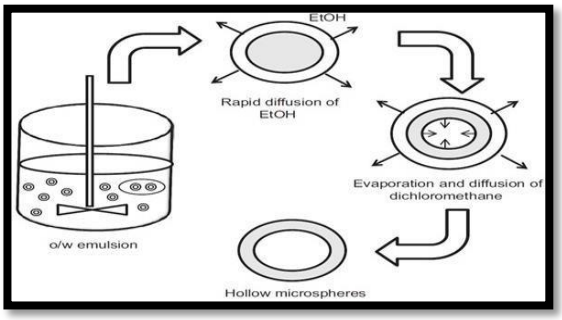

Figure no 3: Emulsion solvent diffusion method

Solvent diffusion - evaporation technique:

Both the emulsion solvent diffusion method and the emulsion solvent evaporation method have been somewhat modified in this methodology. The drug is combined with polymers and 0.1% surfactant (e.g., PEG) in a room-temperature ethanol: dichloromethane (1:1) solution. As an emulsifier, this solution is gradually added to 80 millilitres of 0.46% w/w polyvinyl alcohol. To allow the organic solution to evaporate, it is agitated for an hour using a propeller agitator before being filtered. Based on the optimal results of several process variables, including the ratio of polymer to medicine, the speed at which the mixture is stirred, and the concentration of the emulsifier, the ideal formulation is chosen[11].

3. Spray drying method

The most common industrial method for drying and forming particles is spray drying. When the necessary particle size distribution, bulk density, and particle shape can be achieved in a single step, this procedure is optimal. To create slurry, the polymer is first dissolved in an appropriate volatile organic solvent, such as acetone, dichloromethane, etc. Following the slurry's spraying into the drying chamber, a concentration gradient of the solute forms inside the tiny droplet, with the droplet surface exhibiting the highest concentration. This is due to the fact that the solute takes longer to diffuse than the solvent in the droplets takes to evaporate during the drying process. A solid shell then forms, which facilitates the creation of microspheres. A cyclone separator is typically used to separate the solid products from the gases, and the products are vacuum-dried to eliminate any remaining solvent before being stored for future use[12] (Figure no:4).

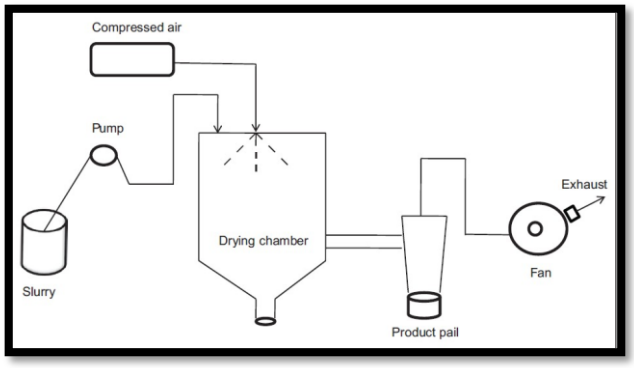

Figure no 4: Spray drying method

Appllications of microballoons:

- The main negative effect of stomach irritation can be significantly reduced with the use of gastro-retentive floating microspheres.
- Because floating microballoons have limited absorption in the upper gastrointestinal tract, they are a very effective way to deliver drugs with low bioavailability.
- Because of the longer gastric retention durations that result in lower dose frequency, the greater medication dose can be decreased.
- These systems stay in the stomach for extended periods of time, allowing for regulated medication release[13, 14].

Evaluation 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[15].

4. 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. Microballoons ensures very effective in the reduction of major adverse effect of gastric irritation. Effective approach in delivery of drug that have poor bioavailability, particularly in diseased cell sorting, diagnostics, gene & genetic materials, safe, targeted and effective in vivo delivery.

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