IJCRT.ORG ISSN : 2320-2882

INTERNATIONAL JOURNAL OF CREATIVE RESEARCH THOUGHTS (IJCRT)

An International Open Access, Peer-reviewed, Refereed Journal

A Review On Floating Microsphers

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ABSTRACT: The present review is a source of detailed information about the various aspects of floating microspheres. Floating microspheres are gastroretentive drug delivery systems based on a non-effervescent approach. Hollow microspheres, microballoons or floating microparticles are terms used synonymously for floating microspheres. Floating microspheres are, in a strict sense, spherical empty particles without a core. These are free-flowing particles, with size ranging from 1 to 1000 µm have developed non-effervescent hollow polycarbonate microspheres by using an emulsion solvent evaporation method. This gastrointestinal transit-controlled preparation is designed to float on gastric juice with a specific density of less than one. This property results in delayed transit through the stomach. The drug is released slowly at desired rate, resulting in increased gastric retention with reduced fluctuations in plasma drug concentration. The objective of the present review is to focus on the method of preparation, and the various parameters affecting the performance and characterization of floating microspheres.

KEYWORDS: Microballoons, Floating, Effervescence, Controlled release, Delayed transit.

INTRODUCTION: Drug action can be improved by developing new drug delivery system, such as the mucoadhesive microsphere drug delivery system. These systems remain in close contact with the absorption tissue, the mucous membrane, releasing the drug at the action site leading to a bioavailability increase and both local and systemic effects. The oral route of drug administration constitutes the most convenient and preferred means of drug delivery to systemic circulation of body. However oral administration of most of the drugs in conventional dosage forms has short-term limitations due to their inability to restrain and localize the system at gastro-intestinal tract.

Microspheres constitute an important part of these particulate drug delivery systems by virtue of their small size and efficient carrier capacity. Microspheres are the carrier linked drug delivery system in which particle size is ranges from 1-1000 µm range in diameter having a core of drug and entirely outer layers of polymer as coating material. However, the success of these microspheres is limited due to their short residence time at site of absorption. It would, therefore be advantageous to have means for providing an intimate contact of the drug delivery system with the absorbing membrane. This can be achieved by coupling bioadhesion characteristics to microspheres and developing “mucoadhesive microspheres”. Mucoadhesive microspheres have advantages like efficient absorption and enhanced bioavailability of the drugs due to a high surface to volume ratio, a much more intimate contact with the mucus layer and specific targeting of drugs to the absorption site.

Mucoadhesion and microspheres: -Mucoadhesion or bioadhesion can be defined as the state in which two materials, at least one of which is biological in nature, are held together for a prolonged time period by means of interfacial forces. In biological systems, bioadhesion can be classified into 3 types.

- Type 1, adhesion between two biological phases, for example, platelet aggregation and wound healing.

- Type 2, adhesion of a biological phase to an artificial substrate, for example tissue, cell adhesion to culture dishes and biofilm formation on prosthetic devices and inserts.

- Type 3, adhesion of an artificial substance to a biological substrate, for example, adhesion of synthetic hydrogels to soft tissues.

Mucoadhesive microspheres include microparticles and microcapsules (having a core of drug) of 1-1000µm in diameter and consisting either entirely of a Mucoadhesive polymer orhaving an outer coating of it, respectively. Microspheres, in general, have the potential to be used for targeted and controlled release drug delivery; but coupling of bioadhesive properties to microspheres has additional advantages e.g. efficient absorption andbioavailability of the drugs due to high surface to volume ratio, a much more intimatecontact with the mucous
layer, specific targeting of drugs to the absorption site. Bioadhesive microspheres can be tailored to adhere to any mucosal tissue including those found in eye, nasal cavity.

Advantages of mucoadhesive microspheres drug delivery system

1. As a result of adhesion and intimate contact, the formulation stays longer at the delivery site improving API bioavailability using lower API concentrations for disease treatment.

2. The use of specific bioadhesive molecules allows for possible targeting of particular sites or tissues, for example the gastrointestinal (GI) tract.

3. Increased residence time combined with controlled API release may lead to lower administration frequency.

4. Offers an excellent route, for the systemic delivery of drugs with high first-pass metabolism, there by offering a greater bioavailability.

5. Additionally significant cost reductions may be achieved and dose-related side effects may be reduced due to API localization at the disease site.

6. Better patient compliance and convenience due to less frequent drug administration.

7. Uniform and wide distribution of drug throughout the gastrointestinal tract which improves the drug absorption.

8. Prolonged and sustained release of drug.

9. Maintenance of therapeutic plasma drug concentration.

10. Better processability (improving solubility, dispersibility, flowability).

11. Increased safety margin of high potency drugs due to better control of plasma levels.

12. Reduction in fluctuation in steady state levels and therefore better control of disease condition and reduced intensity of local or systemic side effects.

Applications of mucoadhesive microspheres

Some of the applications of microspheres are described in detail as following: -

1. Controlled and sustained release dosage forms.

2. Microsphere can be used to prepare enteric-coated dosage forms, so that the medicament will be selectively absorbed in the intestine rather than the stomach.

3. It has been used to protect drugs from environmental hazards such as humidity, light, oxygen or heat. Microsphere does not yet provide a perfect barrier for materials, which degrade in the presence of oxygen, moisture or heat, however a great degree of protection against these elements can be provided. For example, vitamin A and K have been shown to be protected from moisture and oxygen through microsphere.

4. The separations of incompatible substances, for example, pharmaceutical eutectics have been achieved by encapsulation. This is a case where direct contact of materials brings aboutliquid formation. The stability enhancement of incompatible aspirin chlorpheniramine maleate mixture isaccomplished by microencapsulating both of them before mixing.

5. Microsphere can be used to decrease the volatility. An encapsulated volatile substance canbe stored for longer times without substantial evaporation.

6. Microsphere has also been used to decrease potential danger of handling of toxic or noxious substances. The toxicity occurred due to handling of fumigants, herbicides, insecticides and pesticides have been advantageously decreased after microencapsulation.

7. The hygroscopic properties of many core materials may be reduced by microsphere.

8. Many drugs have been microencapsulated to reduce gastric irritation.

9. Microsphere method has also been proposed to prepare intrauterine contraceptive device.

10. Therapeutic magnetic microspheres are used to deliver chemotherapeutic agent to liver tumor. Drugs like proteins and peptides can also be targeted through this system. Mucoadhesive microspheres exhibit a prolonged residence time at the site of application andcauses intimate contact with the absorption site and produces better therapeutic action.

Floating microspheres are gastroretentive drug delivery systems based on a non-effervescent approach. Hollow microspheres, microballoons or floating microparticles are terms used synonymously for floating microspheres. Floating microspheres are, in a strict sense, spherical empty particles without a core. These are free-flowing particles, with size ranging from 1 to 1000 μm have developed non-effervescent hollow polycarbonate microspheres by using an emulsion solvent evaporation method. This gastrointestinal transit-controlled preparation is designed to float on gastric juice with a specific density of less than one.

This property results in delayed transit through the stomach. The drug is released slowly at desired rate, resulting in increased gastric retention with reduced fluctuations in plasma drug concentration. The objective of the present review is to focus on the method of preparation, and the various parameters affecting the performance and characterization of floating microspheres. The present review is a source of detailed information about the various aspects of floating microspheres.

Applications of floating microspheres

1. Floating microspheres can be used as carriers for drugs with so-called absorption windows, these substances, for example antiviral, antifungal and antibiotic agents (sulphonamides, quinolones, penicillins, cephalosporins, aminoglycosides and tetracyclines) are taken up only from very specific sites of the GI mucosa.

2. Hollow microspheres of non-steroidal anti-inflammatory drugs are very effective for controlled release, and reduce the major side effect of gastric irritation. For example, floating microspheres of indomethacin are quite beneficial for rheumatic patients.

3. Floating microspheres are especially effective in the delivery of sparingly soluble and insoluble drugs. It is known that as the solubility of a drug decreases, the time available for drug dissolution becomes less adequate, and thus transit time becomes a significant factor affecting drug absorption. For weakly basic drugs that are poorly soluble at an alkaline pH, hollow microspheres may avoid the risk of solubility becoming the rate-limiting step in release, by restricting such drugs to the stomach. Positioned gastric release is useful for drugs efficiently absorbed through the stomach, such as verapamil hydrochloride. The gastroretentive floating microspheres will beneficially alter the absorption profile of the active agent, thus enhancing its bioavailability.

4. Hollow microspheres can greatly improve the pharmacotherapy of the stomach through local drug release, leading to high drug concentrations at the gastric mucosa, thus eradicating *Helicobacter pylori* from the sub-mucosal tissue of the stomach and making it possible to treat stomach and duodenal ulcers, gastritis and oesophagitis.

Advantages of floating microspheres

1. Bioavailability enhances, despite first pass effect, because fluctuations in plasma drug concentration are avoided, and a desirable plasma drug concentration is maintained by continuous drug release.

2. Superior to single-unit floating dosage forms, as such microspheres release drugs uniformly and there is no risk of dose dumping.

3. Enhanced absorption of drugs that solubilise only in stomach.

4. Site-specific drug delivery to the stomach can be achieved.

5. Avoidance of gastric irritation, due to sustained release effect.

6. Better therapeutic effect of short half-life drugs can be achieved.

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