

## Suitable Polymers in Floating Gastro-Retentive Drug Delivery

Kaustubh Gavali\*, Preeti Karade

Department of Pharmaceutics, Appasaheb Birnale College of pharmacy, Sangli, Maharashtra, India  
Corresponding author- [gavalikaustubh4@gmail.com](mailto:gavalikaustubh4@gmail.com)

### ABSTRACT

Gastro-retentive drug delivery is novel drug delivery system which is emerged for controlled and targeted delivery of drug especially when target site lies in or near the stomach. The drug with absorption window in stomach, locally acting drug in stomach, etc. are the best suitable candidate for this drug delivery system. It can be formulated in various types like floating, expandable & unfoldable, Raft forming, swelling system Bio adhesive, High density system etc. The gastro-retentive form can be used in various dosage forms like tablet, capsule, microsphere, granules, powders, pills and laminated films according to the need. It can be also formulated as single unit and multiple unit dosage form but sometime this gastro-retention is unpredictable due to effect of pH, gastric mobility, effect of food etc. This review mainly focuses on the floating drug delivery its types, polymer used in floating drug delivery and application.

**Keywords :** Gastro retentive, Floating, Polymers.

### I. INTRODUCTION

The Controlled release drug delivery systems (CRDDS) is designed to control the drug release rate and duration of the action with or without targeted action. The CRDDS with ability of being retain in the stomach are called gastro-retentive drug delivery system (GRDDS) and they are designed to prolong the gastric residence time of dosage form after oral administration.

The gastric retention of formulation may be achieved by the various approaches such as mucoadhesion, sedimentation, expansion, floatation and modified shape systems. Among the various approaches, floating drug delivery system (FDDS) promises to be a better approach for gastric retention of drug. Prolonged gastric retention improves bioavailability, reduces drug waste and improves solubility for drugs that are less soluble in a high pH environment. FDDS is useful for drugs acting locally or having absorption

window in the gastro-intestinal tract. It have a bulk density lower than gastric fluids, thus remain buoyant in stomach for a prolonged period of time, without affecting the gastric emptying rate. While the system floats on gastric contents, the drug is released slowly at a desired rate from the system. After the release of drug, the residual system is emptied from the stomach. This results in an increase in gastric retention time and a better control of fluctuations in plasma drug concentrations. The floating system is also used for drugs which are poorly soluble or unstable in intestinal fluids. However the gastric retention is influenced by many factors such as level of fluids in the stomach, gastric mobility, pH and presence of food. These factors are never constant and hence the buoyancy cannot be predicted.<sup>1, 2,3</sup>

### FLOATING DRUG DELIVERY SYSTEM:

Floating systems are hydrodynamically controlled systems having low-density that have sufficient

buoyancy to float over the gastric contents and remain buoyant in the stomach without affecting the gastric emptying rate for a prolonged period of time. While the system is floating on the gastric contents, the drug is released slowly at the desired rate from the system. After release of drug, the residual system is emptied from the stomach. This result is an increased GRT and a better control of the fluctuations in plasma drug concentration. The minimal gastric content and floating force (F) is needed to keep the dosage form reliably buoyant on the surface of the meal. Many buoyant systems have been developed based on granules, powders, capsules, tablets, laminated films and hollow microspheres.

#### **DRUG SELECTION FOR FDDS SYSTEM:**

The floating drug delivery system is formed for numerous drugs from the category of cardiovascular, antidiabetic, diuretics etc. . Drug selection becomes quite important for FDDS and selection criteria for floating system involves various physicochemical characters of drug. Biopharmaceutical classification system (BCS) is vital criteria for drug to be selected. BCS classification is based on solubility and permeability of drug. For FDDS, drug should be highly soluble in stomach to achieve better bioavailability. The dissociation constant of the drug should be greater than 2.5 for acidic drug, so that may it will remain unionized at gastric pH and drug get absorbed in the stomach. For lipophilicity, the partition coefficient of the drug should be greater than 1 for rapid absorption across lipoidal membranes. The half life of drug should be shorter (2 to 6 preferably).

The drug which possesses acid stability can only be formulated as FDDS. Furthermore, drug should have stomach as its absorption window so as to get absorbed at any segment of stomach (only stomach or proximal part of small intestine). At the same time,

drugs showing extensive first pass metabolism are the candidate of choice. Among these properties, drug solubility, dissolution rate, Particle size partition coefficient polymorphic forms and stability are plays important role in preformulation study.<sup>4</sup>

In spite of above said factors in finding the suitable drug properties, the physicochemical modification of drugs with poor aqueous solubility and low permeability issue, although quite expensive, may be a great favour in utilization of floating systems as an advantageous tool in the era of controlled delivery of drug.<sup>5</sup>

#### **IDEAL DRUG CANDIDATES FOR FDDS SYSTEM:**

1. Drugs those are locally active in the stomach.  
E.g. Drugsfor H.Pylori viz. Misoprostol and antacids etc.
2. 2.Drugs which have narrow absorption window in theGIT.  
E.g. Furosemide, L-dopa, Para-amino benzoic acid, riboflavin.
3. Drugs that exhibit low solubility at high pH values.  
E.g. Diazepam, Chlordiazepoxide, Verapamil hydrochloride.
4. Drugs those are unstable in the intestinal or colonic environment.  
E.g. Captopril, ranitidine HCl, Metronidazole.
5. Drugs that disturb normal colonic microbes.  
E.g. Antibiotics against Helicobacter pylori.
6. Drugs having a specific site of absorption in the upper part of small intestine.<sup>6,7</sup>

#### **ADVANTAGES OF FDDS:**

1. Increased bioavailability of the drugs having shorter half life or especially drugs which get

metabolized in the upper gastro intestinal track region.

2. Increased in gastric residence time of the drug result in sustained release of the drug therefore this result in the improved action at the local sites of the stomach and intestine.
3. Fluctuation in the peak plasma concentration reduced as compared to the conventional oral drug delivery system.
4. Floating drug delivery system delivered the drug specifically to the site of action therefore side effects are minimized.
5. FDDS are advantageous for drugs meant for local action in the stomach e.g.: Antacids
6. Avoidance of gastric irritation, because of sustained release effect.
7. Enhanced absorption of drugs which are only soluble in stomach.
8. Inter and intra variability are less observed as compared to other formulation.

#### DISADVANTAGES OF FDDS

1. Gastric retention is influenced by many factors such as gastric motility, pH, and presence of food. These factors are never constant and hence the buoyancy cannot be predicted.
2. Drugs that cause irritation and lesion to gastric mucosa are not suitable to be formulated as floating drug delivery systems.
3. High variability in gastric emptying time due to its all or non-emptying process.
4. Gastric emptying of floating forms in supine subjects may occur at random and becomes highly dependent on the diametric size. Therefore patients should not be dosed with floating forms just before going to bed.<sup>8,9</sup>

#### FLOATING SYSTEMS

Floating systems are low-density systems that have sufficient buoyancy to float over the gastric contents and remain in the stomach for a prolonged period.

While the system floats over the gastric contents, the drug is released slowly at the desired rate which results in increased GRT and reduces fluctuation in plasma drug concentration. The floating drug delivery system and bio adhesive drug delivery are widely used technique for gastro retention and floating systems in particular has been extensively researched, mainly because the floating system does not adversely affect the motility of gastro-intestinal tract.<sup>10</sup>

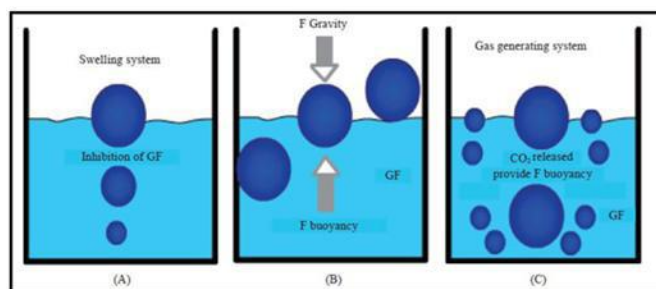


Figure 1 : Mechanism of floating systems<sup>10</sup>

Based on the mechanism of buoyancy, two distinctly different technologies have been utilized in the development of FDDS:

1. Non-Effervescent FDDS.
2. Effervescent FDDS.

#### 1. NON-EFFERVESCENT FDDS:

Non effervescent systems incorporate a high level (20–75 % w/w) of one or more gel-forming, highly swellable, cellulosic hydrocolloids (e.g., hydroxyethyl cellulose, hydroxypropyl cellulose, hydroxypropyl methylcellulose (HPMC), and sodium carboxymethyl cellulose), polysaccharides, or matrix forming polymers (e.g., polycarbophil, polyacrylates, and polystyrene) into tablets or capsules. Upon coming into contact with gastric fluid, these gel formers (polysaccharides and polymers hydrate) form a colloidal gel barrier that controls the rate of fluid penetration into the device and consequent drug release<sup>11</sup>. As the exterior surface of the dosage form dissolves, the gel layer is maintained by the hydration

of the adjacent hydrocolloid layer. The air trapped by the swollen polymer lowers the density and confers buoyancy to the dosage form. The following approaches are used in designing intragastric floating systems.<sup>12</sup> various types of this system are as:

- Hydrodynamically balanced systems OR Colloidal Gel Barrier System
- Microporous compartment system
- Alginate Beads
- Hollow Microspheres

### 1. Hydrodynamically balanced systems or Colloidal Gel Barrier System:

They are formulated by intimate mixing of drug with a gel-forming hydrocolloid, which swells in contact with gastric fluid and maintains bulk density of less than unity.

### 2. Micro porous compartment system:

This technology is based on the encapsulation of a drug reservoir inside a micro porous compartment with pores along its top and bottom walls.

### 3. Alginate Beads:

Spherical beads of approximately 2.5 mm diameter can be prepared by dropping a sodium alginate solution into the aqueous solution of calcium chloride, causing precipitation of calcium alginate leading to the formation of the porous system, which can maintain a floating force for over 12 hours.

### 4. Hollow Microspheres:

Hollow microspheres (micro balloons), loaded with a drug in their outer polymer shells were prepared by a novel emulsion-solvent diffusion method. The micro balloons float continuously over the surface of acidic dissolution media containing the surfactant for more than 12 hours in vitro.



Fig 2 : Hallow microsphere

## II. EFFERVESCENT SYSTEMS

Effervescent systems are the systems which are filled with vacuum, air or an inert gas. Gas can be introduced into the floating chamber by the volatilization of an organic solvent (e.g., ether or cyclopentane) or by the CO<sub>2</sub> produced as a result of an effervescent reaction between organic acids and carbonate–bicarbonate salts. These devices contain a hollow deformable unit that converts from a collapsed to an expanded position and returns to the collapsed position after a pre determined amount of time to permit the spontaneous ejection of thin floatable system from the stomach.

These effervescent systems further classified into two types.

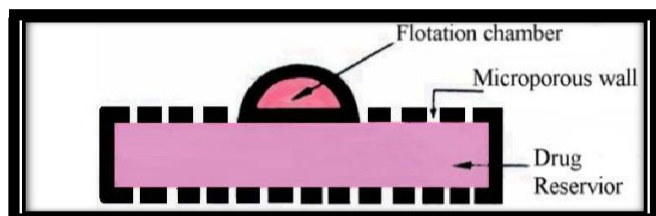
- a) Volatile liquid containing systems
- b) Gas generating system

### a) Volatile Liquid/Vacuum Containing Systems<sup>13</sup>:

- Intra-gastric Floating Gastrointestinal Drug Delivery System
- Inflatable Gastrointestinal Delivery Systems
- Intra-gastric Osmotically Controlled Drug Delivery System

### 1. Intra-gastric Floating Drug Delivery System:

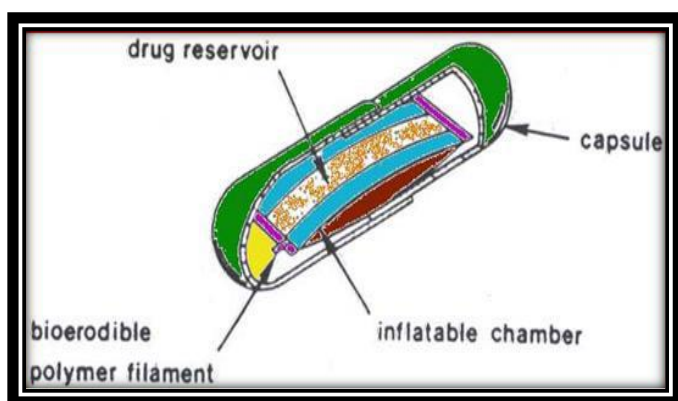
These systems can be made to float in the stomach because of floatation chamber, which may be a vacuum or filled with air or a harmless gas, while drug reservoir is encapsulated inside a micro porous compartment.



**Fig 3 :** Intra-gastric Floating Gastrointestinal Drug Delivery Device

### 2. Inflatable Gastrointestinal Delivery Systems

In these systems, an inflatable chamber is incorporated, which contains liquid ether that gasifies at body temperature to cause the chamber to inflate in the stomach. These systems are fabricated by loading the inflatable chamber with a drug reservoir having drug and impregnated polymeric matrix which can be encapsulated in a gelatin capsule.

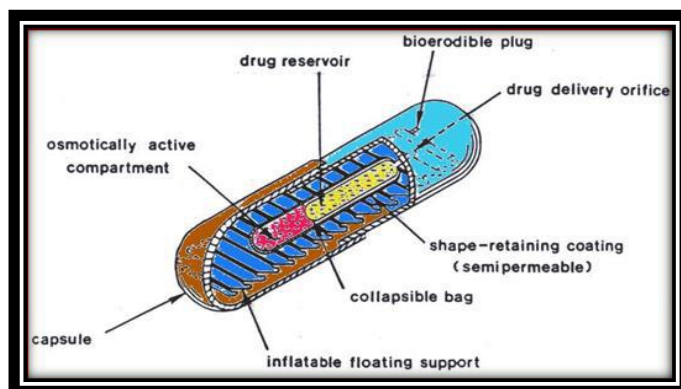


**Fig 4 :** Inflatable Gastrointestinal Delivery System

### 3. Intra-gastric Osmotically Controlled Drug Delivery System

It is comprised of an osmotic pressure controlled drug delivery device and an inflatable floating support in a

biodegradable capsule. The osmotic pressure controlled drug delivery device consists of two components; drug reservoir compartment and an osmotically active compartment. The drug reservoir compartment is enclosed by a pressure responsive collapsible bag, which is impermeable to vapor and liquid and has a drug delivery orifice. The osmotically active compartment contains an osmotically active salt and is enclosed within a semipermeable housing.



**Fig 5 :** Intra-gastric Osmotically Controlled Drug Delivery System

#### b) Gas generating system:

Floatability can also be achieved by generation of gas bubbles. CO<sub>2</sub> can be generated *in situ* by the incorporation of carbonates or bicarbonates, which react with acid (the natural gastric acid or co-formulated as citric or tartaric acid). The optimum stoichiometric ratio of citric acid and sodium bicarbonate for gas generation is reported to be 0.76:1.<sup>14</sup> An alternative is to incorporate a matrix with entrapment of liquids, which forms a gas at body temperature. These approaches have been used for single and multiple unit system.

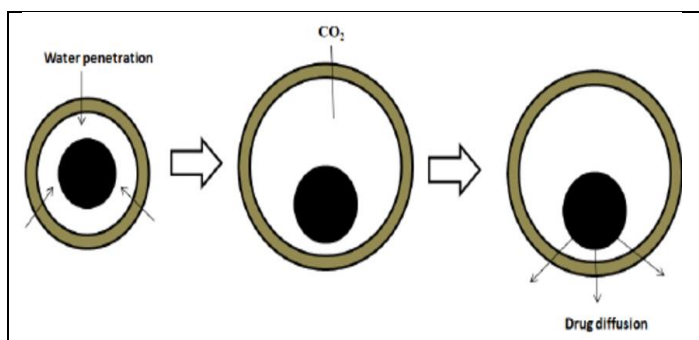


Fig 6 : Gas generating system<sup>15</sup>

## DIFFERENT CATEGORIES OF BUOYANCY-GENERATING AGENTS

The general structure of different floating systems using versatile buoyancy mechanisms is illustrated in a schematic (Fig No 6) Summarizes the different parameters affecting the floating behavior of dosage forms based on the type of buoyancy-generating agents.

### Gas-generating agents

These systems achieve low density requirement by entrapping gas inside it. Carbonate or bicarbonate salts as sodium bicarbonate (NaHCO<sub>3</sub>) and calcium carbonate (CaCO<sub>3</sub>) are usually incorporated in the formulation alone or blended with organic acids like citric and tartaric acid and then dispersed in the polymeric matrix. This mixture was found to be appropriate for achieving desired buoyancy characteristics. Upon immersion, carbonate or bicarbonate ions start the reaction immediately *in vitro* with the acidic dissolution media or *in vivo* with the gastric hydrochloric acid and the added organic acids, if present. This reaction generates sufficient amount of CO<sub>2</sub> which get entrapped and protected within the gel layer formed by hydrophilic polymer hydration. This leads to decreased density of the tablet (below 1 g/cm<sup>3</sup>), as a result of which the tablet becomes buoyant. Furthermore, since the pH of the stomach is elevated under fed state (~3.5), citric or

tartaric acid incorporated in the formulation was found to provide sufficiently acidic medium for the salts to react. This will allow the system to float independent of the pH of the medium. Based on this approach, many research works have formulated gastro retentive dosage forms either as monolithic or multiparticulate systems. Based on GRDDS research, various factors were proven to influence the buoyancy behavior of gas-generating agents containing systems.<sup>16,17</sup>

## APPROACHES TO DESIGN FLOATING DOSAGE FORMS

The following approaches have been used for the design of floating dosage forms of single and multiple unit systems.<sup>18</sup>

- **Single-Unit Dosage Forms:**

A buoyant dosage form can also be obtained by using a fluid-filled system that floats in the stomach. In coated shells popcorn, poprice, and polystyrol have been exploited as drug carriers. Sugar polymeric materials such as methacrylic polymer and cellulose acetate phthalate have been used to undercoat these shells. These are further coated with a drug-polymer mixture. The polymer of choice can be either ethyl cellulose or hydroxypropyl cellulose depending on the requirement.

Finally the product floats on the gastric fluid while releasing the drug gradually over a prolonged duration. Fluid-filled floating chamber type of dosage forms includes incorporation of a gas-filled floatation chamber into a micro porous component that houses a drug reservoir. Aperture or opening are present along the top and bottom walls through which the gastrointestinal fluid enters to dissolve the drug. The other two walls in contact with the fluid are sealed so that the undisclosed drug remains there in. The fluid

present could be air, under partial vacuum or any other suitable gas, liquid or solid having an appropriate specific gravity and an inert behavior. The device is of swallow able size, remains afloat within the stomach for a prolong time, and after the complete release the shell disintegrates, passes off to the intestine, and is eliminated. Hydro dynamically balanced systems (HBS) are designed to prolong the stay of the dosage form in the gastro intestinal tract and aid in enhancing the absorption.<sup>19</sup>

To remain in the stomach for a prolong period of time the dosage form must have a bulk density of less than 1. It should stay in the stomach, maintain its structural integrity, and release drug constantly from the dosage form. Single unit formulations are associated with problems such as sticking together or being obstructed in the gastrointestinal tract, which may have a potential danger of producing irritation.<sup>20</sup>

• **Multiple-Unit Dosage Forms**

The multiple-unit dosage form is designed to develop a reliable formulation that has all the advantages of a single-unit form and also is devoid of any of the above mentioned disadvantages of single-unit formulations. In pursuit of this endeavor many multiple unit floatable dosage forms have been designed. Microspheres have high loading capacity and many polymers have been used such as albumin, gelatin, starch, polymethacrylate, polyacrylamine, and poly alkyl cyanoacrylate. Spherical polymeric microsponges also referred to as “micro balloons” have been prepared. Microspheres have a characteristic internal hollow structure and show excellent in- vitro floatability.<sup>21</sup> These dosage forms are excluded from the passage of the pyloric sphincter if a diameter of 12 to 18 mm in their expanded state is exceeded.

**Table 1 :** List of Drugs Formulated as Single and Multiple Unit Forms of Floating Drug Delivery Systems

Dosage form	Drug
Tablets	Nimodipine, Ampicillin, Cinnarazine, Diltiazem, Fluorouracil, Piretanide, Acetylsalicylic acid, Verapamil HCL, Isosorbide mononitrate, Furosemide, piretanide.
Capsules	Nicardipine, Chlordizepoxide HCL, Furosamide, Micsoprostal, L-Dopa and benserazide, Diazepam, Propranolol.
Microspheres	Verapamil, Aspirine, Griseofulvin and p-nitroaniline, Ketoprofen, Tranilast
Granules	Indomethacin, Diclofenac sodium, Prednisolone
Films	Cinnarizine
Powders	Several basic drugs.

**CLASSIFICATION OF POLYMER BASED ON ITS ORIGIN**

Table 2 : Polymer and its origin

Origin	Polymer name
Natural	Guar gum, Xanthan gum, Gellan gum
Synthetic	Ethyl cellulose, HPMC, Eudragit

**CLASSIFICATION OF POLYMER BASED ON ITS MECHANISM**

**Table 3 :** Polymers and its Mechanism<sup>22,23</sup>

Polymer	Mechanism
Modified starch, HPMC, Carbopol 974P	Slower release of drug

Ethyl cellulose	Controlled release for longer period of time
PLGA, Chitosan	Vaccine delivery
Chitosan coated PLGA microspheres	Targeted drug delivery
Polyvinylalcohol, polyacrylamide	Adsorption of harmful substance in blood

**Natural polymers:**

Natural gums (obtained from plants) are hydrophilic carbohydrate polymer of high molecular weight. They are generally insoluble inorganic solvents like hydrocarbon, ether. Gums either water soluble or absorb water and swell up or disperse in cold water to give a viscous solution or jelly. List of natural gum given below:

**1. Guar gum**

Guar gum is naturally occurring galactomannan polysaccharide. Guar gum hydrates and swells in cold water forming viscous colloidal dispersions or sols. This gelling property retard the drug release and make it a flexible carrier for extended release Dosage forms. In pharmaceutical guar gum is used as disintegrants and as a polymer in floating drug delivery system.<sup>24</sup>

**2. Chitosan**

Chitosan is natural polymer obtained by deacetylation of chitin. It has favorable biological properties such as non-toxic, biodegradable, biocompatible. It is a bio adhesive polymer having anti-bacterial properties thus make it suitable for site specific delivery. Chitosan is high molecular weight polycationic weak base with pka value of 6.2-7 and On addition to acidic pH of 1.2 or neutral media it become buoyant in nature and provide control release. By increasing

thickness of chitosan film, release rate can be decreased.<sup>24</sup>

**3. Xanthan gum**

Xanthan gum is a high molecular weight extracellular polysaccharide produced by pure culture aerobic fermentation of carbohydrate. Xanthan is a long chained polysaccharide with large number of trisaccharide side chains. Gum also has an excellent solubility and stability under acidic and alkaline conditions and in the presence of salts and resists common enzymes.<sup>25</sup>

**4. Gellan gum**

Gellan gum is an anionic, high molecular weight, deacetylated extracellular, linear polysaccharide. This gum has an outstanding release, high gel strength, an excellent stability, process flexibility, high clarity, good film former and thermally reversible gel characteristics. Gellan gum is produced as a fermentation product from spingomonas elodea.<sup>25</sup>

**5. Sodium alginate**

Sodium alginate consists chiefly of the sodium salt of alginic acid, which is a mixture of polyuronic acids composed of residues of dmannuronic acid and L-guluronic acid. The block structure and molecular weight of sodium alginate Samples have been investigated.<sup>24</sup>

**Synthetic polymers:**

Synthetic polymer are becoming increasingly important in pharmaceuticals. Synthetic polymers are used as a binder, film coating agent, etc. Polymer are macromolecule having variety of functional group. Synthetic polymers are either purely synthetic or they are modified form of natural polymer known as semi-synthetic.

List of synthetic polymer used is as follows:

1. Hydroxy propyl methyl cellulose.



2. Eudragit.
3. Ethyl cellulose.

### 1. Hydroxy propyl methyl cellulose-

Hydroxypropyl methylcellulose ethers belong to an extensive family of white to off-white, odorless, water soluble polymers that bind, retain water, thicken, form films, lubricate. It is a semi synthetic, inert, viscoelastic polymer, used as an excipient and controlled delivery component in oral medicaments, found in a variety of commercial products. Synonym for hydroxypropyl methylcellulose (HPMC) is Hypromellose.<sup>26</sup>

### 2. Ethyl Cellulose-

Ethocel (Ethylcellulose polymers) has been widely used in the pharmaceutical industry for over 50 years. Ethylcellulose has been used for choice in pharmaceutical formulations for various purposes, such as taste-masking of bitter actives, moisture protection, stabilizer, extended release multiparticulate coating, micro-encapsulation of actives<sup>6</sup>, extended release binder in inert matrix systems, solvent and extrusion granulation. The application of EC in wet extrusion processes is limited, since the polymer has considerable elastic properties, but can be successfully used as matrix former in combination with some plasticizing agents MCC was included in their formulations to contribute its plasticity to the wetted mass during extrusion and to the extrudate during spheronization. Ethyl cellulose is a water insoluble cellulose ether, which is prepared from cellulose, it is a partly O-ethylated cellulose, its ethoxy content (-OC<sub>2</sub>H<sub>5</sub>) is between 44-51 %. Ethyl cellulose is an ideal polymer for the formation of products allowing modified drug release. It is insoluble at any pH that occurs in organism, but in the presence of the gastric juice it undergoes swelling. It is then permeable for water and permits extended modified drug release. This makes it suitable for improved patient compliance.<sup>27</sup> A small number of

Ethyl cellulose polymers have been approved for general pharmaceutical application and are used in extended release solid dosage formulations. Several types of such Ethyl cellulose exist, e.g. Ethocel 4, Ethocel 10 and Ethocel 45, which differ in the length of the polymer chains, The rate of dissolution, and the viscosity of their solution. Ethyl cellulose is suitable to prepare MR coatings.

### 3. Eudragit

Polymethacrylates (Eudragit) are primarily used in oral capsule and tablet formulations as film-coating agents. Depending on the type of polymer used, films of different solubility characteristics can be produced. Eudragit is Soluble in gastric fluid below pH 5 ; in contrast Eudragit L, S and FS types are used as enteric coating agents because they are resistant to gastric fluid. Different types of enteric coatings are soluble at different pH values: e.g. Eudragit L is soluble at pH greater than 6 whereas Eudragit S and FS are soluble at pH greater than 7. The S grade is generally used for coating tablets, while the flexible FS 30 D dispersion is preferred for coating particles.

Eudragit RL, RS, NE 30D, NE 40D, and NM30D are used to form water-insoluble film coats for sustained-release products. Eudragit RL films are more permeable than those of Eudragit RS, and films of varying permeability can be obtained by mixing the two types together. Polymethacrylates are also used as binders in both aqueous and organic wet-granulation processes. Larger quantities (5–20%) of dry polymer are used to control the release of an active substance from a tablet matrix. Solid polymers may be used in direct compression processes in quantities of 10–50%. Polymethacrylates polymers may additionally be used to form the matrix layers of transdermal delivery systems and have also been used to prepare novel gel formulations for rectal administration.<sup>28</sup>

### III. APPLICATION OF FLOATING DRUG DELIVERY SYSTEMS

Floating drug delivery offers several applications for drugs having poor bioavailability because of the narrow absorption window in the upper part of the gastrointestinal tract. It retains the dosage form at the site of absorption and thus enhances the bioavailability. These are summarized as follows.

#### 1. Sustained Drug Delivery:

Hydrodynamically balanced systems can remain in the stomach for long periods and hence can release the drug over a prolonged period of time. The problem of short gastric residence time encountered with an oral CR formulation hence can be overcome with these systems. These systems have a bulk density of less than 1 as a result of which they can float on the gastric contents. eg. Sustained release floating capsules of nifedipine hydrochloride were developed and were evaluated in -vivo. The formulation compared with commercially available *MICARD* capsules using rabbits. Plasma concentration time curves showed a longer duration for administration (16 hours) in the sustained release floating capsules as compared with conventional *MICARD* capsules (8 hours).

#### 2. Site-Specific Drug Delivery:

These systems are particularly advantageous for drugs that are specifically absorbed from stomach or the proximal part of the small intestine, eg, riboflavin and furosemide. Eg. Furosemide is primarily absorbed from the stomach followed by the duodenum. It has been reported that a monolithic floating dosage form with prolonged gastric residence time was developed and the bioavailability was increased. AUC obtained with the floating tablets was approximately 1.8 times those of conventional furosemide tablets.

#### 3. Absorption Enhancement:

Drugs that have poor bioavailability because of site specific absorption from the upper part of the gastrointestinal tract are potential candidates to be formulated as floating drug delivery systems, thereby maximizing their absorption. E.g. A significantly increase in the bioavailability of floating dosage forms(42.9%) could be achieved as compared with commercially available LASIX tablets (33.4%) and enteric coated LASIX-long product(29.5%).<sup>29,30,31</sup>

### IV. CONCLUSION

Gastro retention is novel technique used for increasing bioavailability of drug. In the recent years there is increase in list of drugs which can be formulated as gastro retentive drug delivery system due to its wide suitability of candidates. The molecules having narrow absorption window near the stomach, extensive first pass metabolism, intestinal pH unstable candidates, etc are successfully formulated by gastro retentive drug delivery system. There is also role of different polymers in formulating this drug delivery system. The polymers are useful of controlled release of drug, to increase the buoyancy of formulation or as a swelling or gelling agent. Some of the polymers which are widely used are enlisted in this paper which is helpful for improving the knowledge regarding polymers. In future gastro retentive drug delivery system is widely used for formulating the different dosage forms of drug.

### V. REFERENCES

- [1]. Swarbrick J. Encyclopaedia of Pharmaceutical Technology. Third edition, Volume 2; InformaHealthcare, New York, 2007.
- [2]. Kawashima Y, Takeuchi H, Yamamoto H. Handbook of Pharmaceutical Controlled Release Technology. MarcellDekker Inc, New York, 2000.

- [3]. Brahma N. Singh, Kwon H. Kim., Floating drug delivery systems - an approach to oral controlled drug delivery via gastric retention, *Journal of Controlled Release*, 2000; 63 (3): 235-259.
- [4]. Kengar Manohar D, Howal Rohit S., Aundhakar Dattatray B., Nikam Amit V., Hasabe Priyajit S., Physico-chemical Properties of Solid Drugs: A Review, *Asian Journal of Pharmacy and Technology* 2019; 9(1): 53-59.
- [5]. Sushil Shah. Floating drug delivery systems: Rationale for drug selection. *Journal of Pharmaceutical Care Health Systems* 2015; 2 (4). 8.
- [6]. Vinod KR, Gangadhar M, Sandhya S, David Banji. Critical assessment pertaining to gastric floating drug delivery systems. *Hygeia Journal for drugs and medicines* 2013; 5(1): 41-58.
- [7]. Nayak A K., Maji R, Das B. Gastroretentive drug delivery systems: a review. *Asian J Pharm Clinical Research* 2010; 3 (1), 2-10.
- [8]. Gurangpatel Ajay Tiwari. Floating microspheres as a novel route for H<sub>2</sub> blocker. Nirav Rabadia Jaipur National University, Jagatpur, Jaipur (Rajasthan) India. *IJRP*, 3(2): 45-52.
- [9]. Talukder R, Fissihi R. *Drug Development and Ind Pharm*, 2004; 30(10): 1019-1028.
- [10]. Sangekar, S., Evaluation of effect of food and specific gravity of the tablets on gastric retention time. *Int.J.Pharm*, 1985; 35:34-53.
- [11]. Nayak AK, Maji R, Das B. Gastroretentive Drug Delivery systems: a review. *Asian Journal of Pharmaceutical and Clinical Research*. 2010;3(1):2-10.
- [12]. Whitehead L, Fell JT and Collett JH. Development of a gastroretentive dosage form. *Eur J Pharm Sci*. 1996;4:182.
- [13]. Hoffman A, Stepensky D, Lavy E, Eyal S, Klausner E, Friedman M, Pharmacokinetic and pharmacodynamics aspects of gastroretentive dosage forms. *Int J Pharm* 2004;277:141 -153.
- [14]. Garg S, Sharma S. Gastroretentive drug delivery systems. *Business Briefing: Pharmatech 2003*: 160-66.
- [15]. Alzaher W, Shaw J, Al-kassas. Gastroretentive Formulations for Improving Oral Bioavailability of Drugs-Focus on Microspheres and their Production. *Current Drug Delivery*. 2016; 13(5):646-651.
- [16]. Shakyaa R, Thapaa P, Sahab RN. In vitro and in vivo evaluation of gastroretentive floating drug delivery system of ofloxacin *Asian J Pharm Sci*. 2013;8(3):191-8.
- [17]. Baumgartner S, Kristl J, Vrečer F, Vodopivec P, Zorko B. Optimisation of floating matrix tablets and evaluation of their gastric residence time. *Int J Pharm*. 2000;195(1-2):125-35.
- [18]. Yang L, Fassihi R. Zero order release kinetics from self correcting floatable configuration drug delivery system. *J Pharm Sci*. 1996; 85:170-173.
- [19]. Burns SJ, Attwood D, Barnwell SG. Assessment of a dissolution vessel designed for use with floating and erodible dosage forms. *Int J Pharm*. 1998; 160:213-218.
- [20]. Joseph NJ, Laxmi S, Jayakrishnan A. A floating type oral dosage form for piroxicam based on hollow microspheres: in vitro and in vivo evaluation in rabbits. *J Control Release*. 2002; 79: 71-79.
- [21]. Soppimath KS, Kulkarni AR, Rudzinski WE, Aminabhavi TM. Microspheres as floating drug delivery system to increase the gastric residence of drug. *Drug Metab Rev*. 2001; 33:149-160.
- [22]. Chowdary, K. P. R., Koteswara Rao, N., & Malathi, K. (2004). Ethyl cellulose microspheres of glipizide: Characterization, in vitro and in vivo evaluation. *Indian Journal of Pharmaceutical Sciences*, 66(4), 412-416.
- [23]. Fischer, S., Foerg, C., Merkle, H. P., & Gander, B. (2004). Chitosan coated PLGA microspheres—A modular system for targeted drug delivery. *Eur Cell Mater*, 7, 11-12.

- [24]. Singh, A. kumar. role of natural polymers used in floating drug delivery system floating drug delivery system. *J. Pharm. Sci. Innov*, June, 2012; 1: 11–15.
- [25]. Kumar, G. natural polymers in the development of floating drug delivery systems: a review. *Int. J. Pharm. Life Sci.*, 2013; 2(4): 165–178.
- [26]. Patra CN, Priya R, Swain S, Kumar Jena G, Panigrahi KC, Ghose D, Pharmaceutical significance of Eudragit: A review, *Future Journal of Pharmaceutical sciences*, 2017. doi: 10.1016/j.fjps.2017.02.001
- [27]. Hegyesi, d. study of the widely used ethylcellulose polymer as film forming and matrix former ph. d. Thesis DiánaHegyesi Pharmacist, 2016.
- [28]. Rowe Raymond, Paul Sheskey. Pharmaceutical press. *Handbook of Pharmaceutical Excipient Sixth Edition*, 2009.
- [29]. Fell J T, Whitehead L, Collet H, Prolonged gastric retention using floating dosage forms, *Pharm Technol.* 2000; 24(3):82-90.
- [30]. Moursy NM, Afifi NH, Ghorab DM, El-Saharty Y. Formulation and evaluation of sustained release floating capsules of Nicardipinehydrochloride. *Pharmazie.*2003; 58:38-43.
- [31]. Koli Vikranti W., Kengar Manohar D., Kamble Amruta B., Kumbhar Suraj B., Jadhav Rahul P., Binders Need and used in Solid Formulation, *Asian J. Pharm. Tech.* 2019; 9(2):129-134.

**Cite this article as :**

Kaustubh Gavali, Preeti Karade, "Suitable Polymers in Floating Gastro-Retentive Drug Delivery", *International Journal of Scientific Research in Science and Technology (IJSRST)*, Online ISSN : 2395-602X, Print ISSN : 2395-6011, Volume 7 Issue 2, pp. 371-382, March-April 2020. Available at doi : <https://doi.org/10.32628/IJSRST207269>  
Journal URL : <http://ijsrst.com/IJSRST207269>