International Journal of Pharmaceutical Research and Applications
Volume 7, Issue 1 Jan-Feb 2022, pp: 1024-1031 www.ijprajournal.com ISSN: 2249-7781

A Review on Effervescent Floting Tablet

Nitin Shankar Patil

Submitted: 05-02-2022 Accepted: 20-02-2022

ABSTRACT:-

Now a days more advancement has been made in development of oral dosage forms because the oral route is widely accepted and most preferred route for administration of drugs. Gastro retentive dosage forms (GRDF) has achieved significant interest in the past few years because some limitations encountered with conventional and oral controlled release drug delivery system can be avoided.

Effervescent floating drug delivery systems release gas (CO), thus reduce the density of the system 2 and remain buoyant in the stomach for a prolonged period of time and released the drug slowly at a desired rate so it can be used to prolong the gastric residence time in order to improve the bioavailability of drug.

In the present article we will discuss mechanism of effervescent floating drug delivery system, some marketed product related to this as well as various patents on this.

The purpose of writing this review on floating drug delivery systems (FDDS) was to compile the recent literature with special focus on the principal mechanism of floatation to achieve gastric retention.

This review explains briefly about types of floating system, advantages, limitation, floating mechanism, factors affecting floating system, drug candidates suitable for floating, evaluation parameters and application of the system.

I. INTRODUCTION:-

Floating drug delivery systems are designed to prolong the gastric residence time after oral administration. Floating drug delivery systems are known as hydrodynamically controlled systems.

It is having a low bulk density that have sufficient buoyancy to float over the gastric contents and remain buoyant (floating) in the Gastric juice of stomach without affecting the gastric emptying rate for a prolonged period. This leads to an increased gastric retention time (GRT) and better control of the fluctuations in plasma drug concentration

Effervescent floating drug delivery system remains buoyant in the stomach without affecting the gastric emptying rate & increases the residence time of the dosage form at the site of the absorption.

The effervescent floating drug delivery system is a part of a controlled delivery system.

EFFDS prolongs the residence time of the dosage form at the site of application or absorption.

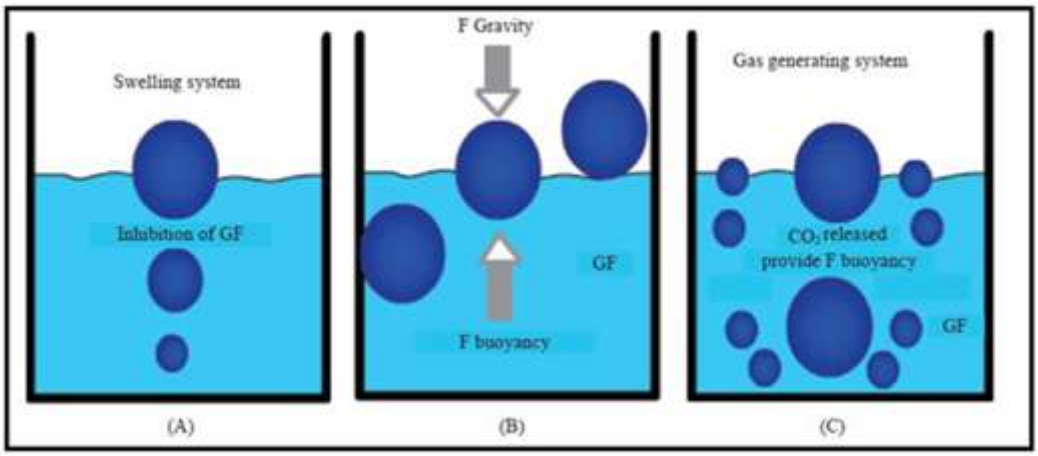
Intimate contact of the dosage form with the underlying absorption. Improve the therapeutic performance of drug. Should not cause irritation. High drug loading capacity.

MECHANISM OF FDDS :-

FDDS has a bulk density less than gastric fluids and so remains buoyant in the stomach without affecting the gastric emptying rate for a prolonged period.

F= F buoyancy – F gravity = (Df-Ds)gv
Where,
F= total vertical force,
Df = fluid density,
Ds = object density,
v = volume
g = acceleration due to gravity

International Journal of Pharmaceutical Research and Applications
Volume 7, Issue 1 Jan-Feb 2022, pp: 1024-1031 www.ijprajournal.com ISSN: 2249-7781



ADVANTAGES:-

1. Enhanced bioavailability
2. Sustained drug delivery/reduced frequency of dosing
3. Targeted therapy for local ailments in the upper GIT
4. Reduced fluctuations of drug concentration
5. Improved selectivity in receptor activation
6. Reduced counter-activity of the body
7. Extended effective concentration
8. Minimized adverse activity at the colon
9. It prolongs the residence time of the dosage form at the site of absorption.
10. Due to increased residence time, it enhances absorption and hence the therapeutic efficacy of the drug.
11. Excellent accessibility.
12. Rapid absorption because of enormous blood
13. Supply and good blood flow rates.
14. Avoids first-pass metabolism.
15. Drug is protected from degradation in the acidic pH of the stomach.
16. Increases patient compliance.
17. Rapid onset of action.

II. LIMITATION:-

1. A high level of fluid in the stomach is required for drug delivery to float and work efficiently.
2. Drugs that have stability and solubility problems in the GIT are not suitable candidates for this system.
3. Drugs that undergo first-pass metabolism, such as nifedipine are not desirable for preparation into FDDS.
4. Drugs which are irritant to mucosa are not desirable.
5. Drug substances that are unstable in the acidic environment of stomach are not suitable candidates.

Factors Affecting the Gastric Residence Time of Effervescent Floating Drug Delivery System:

Nature of Meal:

Motility pattern of the stomach can change to fed state when indigestible polymers or fatty acid salts are fed and because of this the gastric emptying rate is decreased and drug release is prolonged.

Frequency of Feed:

When successive meals are given, the GRT can increase by over 40 minutes compared with a single meal because of the low frequency of migrating myoelectric complex.

Gender:

Mean GRT of a male in meals (3.4±0.4 hours) is less compared to the female of the same age and race (4.6±1.2 hours), regardless of the height, weight and body surface of the two.

Age:

Elderly people have a significantly longer GRT, especially those who are over 70 years of age.

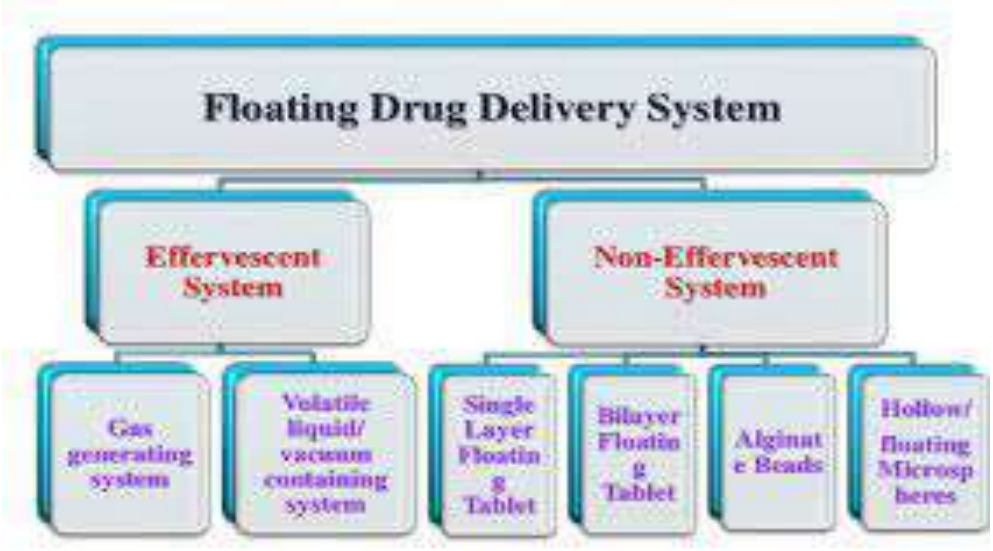
Fed and Unfed State:

under fasting conditions, the GI motility is characterised by periods of strong motors activity or the migrating myoelectric complex (MMC) that occurs every 1.5 to 2 hours. The MMC sweeps

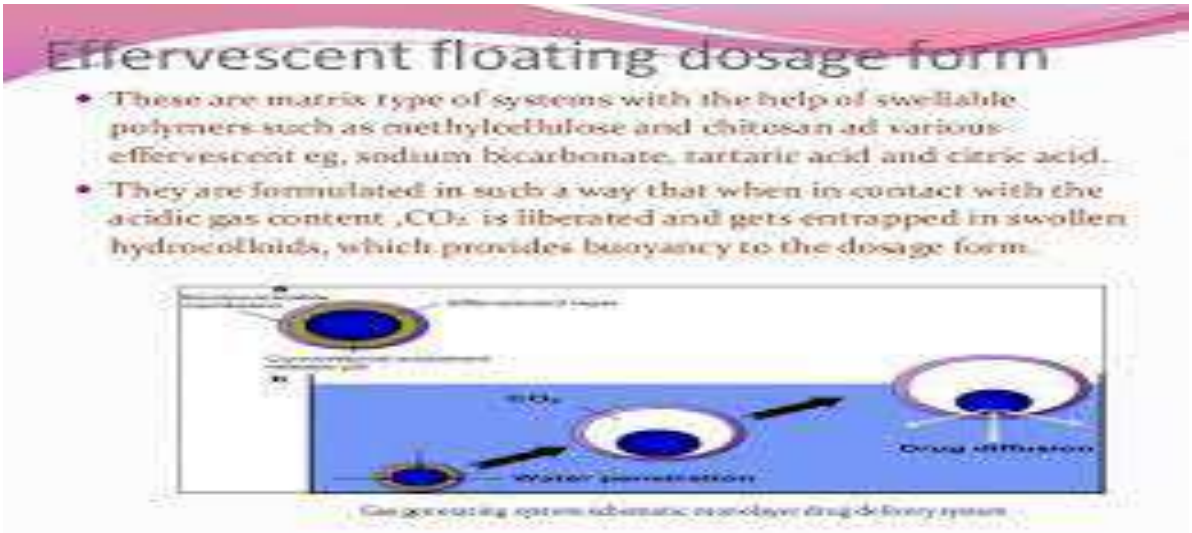
International Journal of Pharmaceutical Research and Applications
Volume 7, Issue 1 Jan-Feb 2022, pp: 1024-1031 www.ijprajournal.com ISSN: 2249-7781

undigested material from the stomach and, if the timing of the administration of the formulation coincides with that of the MMC the GRT of the unit can be expected to be very short. However, in the fed state, MMC is delayed and GRT is considerably longer.

TYPES OF FLOATING DRUG DELIVERY SYSTEMS:-



EFFERVESCENT SYSTEM:-



NEED AND OBJECTIVES:-

1. Drug absorption in GI tract is highly variable procedure and prolonging gastric retention of dosage form extends the time for drug absorption.
2. The Effervescent floating drug delivery system has a bulk density lower than gastric fluids and thus remains buoyant in the stomach without affecting the gastric emptying rate for a prolonged period.
3. Drugs that have a short half-life are eliminated quickly from the blood circulation, require frequent dosing.
4. Tofacitinib citrate has been associated with hypersensitivity reactions including angioedema. It is highly absorbed, Prodrug and extensively metabolized in the liver. No special therapy was needed.
5. Tofacitinib citrate and its inactive metabolite bind plasma protein 98% and 94%. It is the

International Journal of Pharmaceutical Research and Applications
Volume 7, Issue 1 Jan-Feb 2022, pp: 1024-1031 www.ijprajournal.com ISSN: 2249-7781

elimination half-life of an active metabolite is approx. 3 to 5 hr

6. To carry out Preformulation studies of drug and excipient. :-
7. To carry out compatibility studies between drug and excipient.
8. To design formulation of an effervescent floating tablet for controlled release.
9. To develop an optimized method for effervescent floating tablet
10. Study the effect of various processing parameters.
11. Formulation and evaluation of effervescent floating table.

Sr No.	Author	Topic	Study
1	Shammy Jindal et.al	Development of Metoclopramide Floating Tablets Based on HPMC Matrices. International Journal of Pharmacy Teaching & Practices 2015, Vol.6, Issue 3	Introduction, Basic Concepts, Objective.
2	A. Arunachalam Et.al	Floating drug delivery systems. International Journal of Research in Pharmaceutical Sciences	Introduction, Advantages& Disadvantages, Basic GIT Physiology,

3	**MukeshP et.al**	**Formulation And Development Of Floating Drug Delivery Of Itopride Hcl Journal of Drug Delivery & Therapeutics; 2013, 3(4), 222-228**	**Introduction, materials method, evaluations**
4	**Pakhale NileshV., GondkarS.B et.al**	**Formulation Development and evaluation of Fluoxetine Effervescent Floating Tablet Journal of Drug Delivery and Therapeutics**	**Material and method, Evaluation, Stability studies, Swelling index studies**
5	**Gaur Aand Shah H. P. et.al**	**Formulation, Development And Evaluation Of Floating Tablet Of Metformin Hydrochloride Using Optimization Of Gas Generating Agent International Journal Of Current Pharmaceutical Review And Research Volume 1, Issue 3, November 2010 - January 2011**	**Introduction, criteria, evaluation, preparation and polymer**
6	**GehanBalata et.Al**	**Design And Evaluation Of Gastroretentive Floating Tablet Of Nizatidine International Journal Of Pharmacy And Pharmaceutical Sciences. Vol6, Issue 5, 2014**	**Factors, thickness, Hardness, friability tests of drug release have been studied.**

International Journal of Pharmaceutical Research and Applications
Volume 7, Issue 1 Jan-Feb 2022, pp: 1024-1031 www.ijprajournal.com ISSN: 2249-7781

7	**Rakesh Pahwaet.al**	**Formulation And In-vitro Evaluation Of Effervescent Floating Tablets Of An Antiulcer Agent Journal Of Chemical And Pharmaceutical Research, 2012, 4(2):1066-1073**	**In-vitro evaluation, drug release study.**

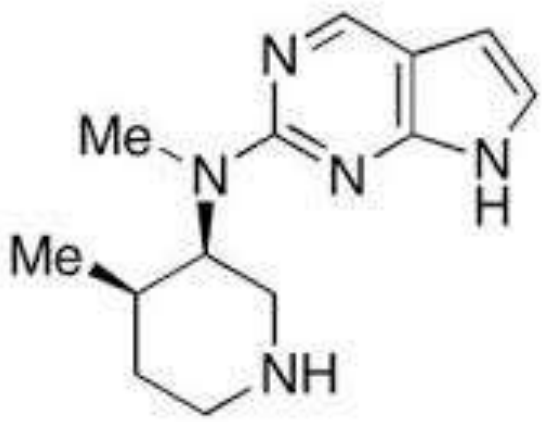
Criteria of Drug Selection:-

1. Drugs with narrow absorption window in stomach.
2. Drugs are absorbed from the stomach and upper part of GIT
3. Drugs that disturb normal colonic bacteria.
4. Drugs that are locally active in stomach
5. Drugs that degrade in the colon.
6. Drugs that have poor bioavailability because of site-specific
7. Absorption from the upper part of GIT are potential candidates
8. to be formulated as FDDS
9. Drugs with less elimination half-life.
10. Drugs that have poor bioavailability.
11. Drugs that require frequent dosing.
12. Drugs that show local action in the oral mucosa.

Drug Profile:-

IUPAC Name:-

(3R,4R)-4-methyl-3-(methyl-7H-pyrrolo [2,3-d]pyrimidin-4-ylamino)-ß-oxo-1-piperidinepropanenitrile, 2-hydroxy-1,2,3-propanetricarboxylate



PHARMACOKINETIC:-

Absorption:-

Rapidly but incompletely absorbed after oral doses. Absorption appears to be at least 50%.

DISTRIBUTION:-

Volume of distribution about 0.5 to 1.5 L/kg.

Metabolism:-

International Journal of Pharmaceutical Research and Applications
Volume 7, Issue 1 Jan-Feb 2022, pp: 1024-1031 www.ijprajournal.com ISSN: 2249-7781

The metabolism of tofacitinib is primarily mediated by CYP3A4 with minor contribution from CYP2C19.

Excretion:-
Clearance mechanisms for tofacitinib are approximately 70% hepatic metabolism and 30% renal excretion of the parent drug.

III. MATERIAL AND METHOD:-

WET GRANULATION:-
Mixing of drugs and excipient.
Preparation **of binder solution.**

DRY GRANULATION:-

In dry granulation process, the powder mixture is compressed without the use of heat and solvent. It is the least desirable of all methods of granulation. The two basic procedures are to form a compact of material by compression and then to mill the compact to obtain granules. Two methods are used for dry granulation. The more widely used method is slugging, where the powder is recompressed and the resulting tablet or slug is milled to yield the granules. The other method is to recompress the powder with pressure rolls using a machine such as Chilosonator

ROLLER COMPACTION:-

The compaction of powder using pressure roll can also be accomplished by a machine called chilsonator. Tablet machine, the chilsonator turns out a compacted mass in a steady continuous flow. The powder is fed down between the rollers from the hopper which contains a spiral auger to feed the powder into the compaction zone. Like slugs, the aggregates are screened or milled for production into granules.

Direct Compression:

In Direct compression vehicles can be used which are having good free-flowing properties, no segregating and are having compressible mixture. Direct compression technique is mainly used in the formulation of floating effervescent tablet and for all moisture sensitive product.

Natural and Synthetic Polymer Used in Floating Drug Delivery System:

Floating drug delivery system are also called as gastro-retentive drug delivery system that controlled the release of drug and prolong the retention time of drug in compression to the conventional drug by the use conventional drug by use of various polymeric substances including natural polymer such as gaur gum,Xanthan gum, gellan gum or synthetic polymer s such as-HPMC (K4M, K 15M,K100M), Carbopol 934 p,

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;

a. **Sustained Drug Delivery:**

HBS 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 <1 as a result of which they can float on the gastric contents. These systems are relatively large in size and passing from the pyloric opening is prohibited e.g.: Sustained release floating capsules of nicardipine 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) 18.

b. 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 (Riboflavin and Furosemide) e.g.: 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

Outcomes:-

1. Enhanced bioavailability
2. Sustained drug delivery /reduced frequency of dosing

3. Targeted therapy for local ailments in the upper GIT reduced fluctuations of drug concentration
4. Improved selectivity yin receptor activation reduced counter-activity of the body
5. Extended effective concentration.
6. Minimized adverse activity at the colon it prolongs the residence time of the dosage form at the site of absorption.
7. Due to increase residence time, it enhances absorption and hence the therapeutic efficacy of the drug.
8. Excellent accessibility.
9. Rapid absorption because of enormous blood supply and good blood flow rates.
10. Avoids first-pass metabolism.
11. The drug is protected from degradation in the acidic pH of the stomach.
12. Increases patient compliance.

REFERENCE:-

[1]. S.H. Shah, J.K. Patel, N.V. Patel, Int. J. Pharm. Tech. Res., 2009, 1(3), 623-33.
[2]. B.M. Singh, K.H. Kim, J. Control Rel., 2000, 63, 235–59
[3]. J. Hirtz, Br. J. Clin. Pharmacol., 1985, 19, Sppl. 2, 77S-83S
[4]. G.A. Agyilirah, M. Green, R. DuCret, G.S. Banker, Int. J. Pharm., 1991, 75, 241-47.
[5]. V. Iannuccelli, G. Coppi, R. Sansone, G. Ferolla, Int. J. Pharm., 1998, 174(1-2), 55–62.
[6]. N.R. Jimenez-Castellanos, H. Zia, C.T. Rhodes, Drug Dev. Ind. Pharm., 1993, 19, 143.
[7]. S. Baumgartners, J. Kristal, F. Vrecer, P. Vodopivec, B. Zorco, Int. J. Pharm., 2000, 195(1-2), 125- 135.
[8]. A.A. Despande, C.T. Rhodes, N.H. Shah, A.W. Malick, Drug Dev. Ind. Pharm., 1996, 22 (6), 531-539.
[9]. S. Bolton, S. Desai, US Patent. 4, 814, 179, March 21, 1989.
[10]. R. Talukder, R. Fissihi, Drug Dev. Ind. Pharm., 2004, 30(10), 1019-28.
[11]. S. Garg, S. Sharma, Business Briefing Pharmtech., 2003, 160-66.
[12]. N.K. Jain, Progress in Controlled and Novel Drug Delivery Systems. ed. 1, CBS Publishers and Distributors, New Delhi, Bangalore, 2004, 84-85.
[13]. S. Sangekar, Int. J. Pharm., 1987, 35(3), 34-53.
[14]. A.H. El-Kamel, M.S. Sokar, S.S. Algamal, V.F. Naggar, Int.
[15]. J. Pharm., 2001, 220,13-21.
[16]. Y. Kawashima, T. Niwa, H. Takeuchi, T. Hino, Y. Ito, J. Control Rel., 1991, 16, 279-90.
[17]. G. Jayanthi, S.B. Jayaswal, A.K. Srivastava, Pharmazie, 1995, 50, 769-70
[18]. T.H. Gu, S.X. Chen, J.B. Zhu, D.J. Song, J.Z. Guo, H.M. Hou, Chung Kao Yao Li Hsuesh Pao, 1992, 13, 527-531.
[19]. M. Ichikawa, S. Watanabe, Y. Miyake, J Pharm Sci., 1991, 80, 1153-56.
[20]. N. Rouge, E.T. Cole, E. Doelker, P. Buri, Pharm. Dev. Technol., 1998, 3, 73-84.
[21]. H.R. Cheuh, H. Zia, C.T. Rhodes, Drug Dev. Ind. Pharm., 1995, 21, 1725-47.