INTERNATIONAL JOURNAL OF PHARMACEUTICAL SCIENCES
[ISSN: 0975-4725; CODEN(USA): IJPS00]
Journal Homepage: https://www.ijpsjournal.com

OPEN ACCESS

Research Article

Formulation And Evaluation of Cimetidine Floating Tablet

Nikita Mhase*, Ashwini Wakade, Dr. Megha Salve

Shivajirao Pawar College Of Pharmacy, Pachegaon, Ahmednagar- 413725.

ARTICLE INFO

Published: 02 Jun. 2025
Keywords:
Cimetidine, floating tablet, HPMC
DOI:
10.5281/zenodo.15578805

ABSTRACT

Among the more promising GRDS is the Floating Drug Delivery System (FDDS). Beneficial, FDDS improves stomach retention and boosts the effectiveness of medical treatment. With oral dose, site-specific delivery, sustained release, delayed release, and instant release have all advanced significantly. Gastric ulcers and gastro-oesophageal reflux disease (GERD) are commonly treated with cemetidine, a histamine H_2-receptor antagonist. The 1970s saw the discovery of the first H_2 blocker. To increase its bioavailability, a gastroretentive drug delivery method must be developed due to its brief biological half-life and limited window for absorption in the upper gastrointestinal tract. Several hydrophilic polymers, including carbopol and hydroxypropyl methylcellulose (HPMC), were used in the formulation of floating tablets of cimetidine in this study in order to increase the duration of stomach retention. The buoyancy was achieved by adding sodium bicarbonate as a gas-generating agent. In vitro buoyancy, in vitro drug release, hardness, friability, drug content, and physical appearance were among the factors that were assessed for the tablets. A non-Fickian diffusion mechanism was used to explain the optimised formulation's sustained drug release over 12 hours and floating lag time of less than one minute. According to this study, the distribution of cimetidine and possibly other medications with comparable absorption properties may be facilitated by floating tablets. A prototype medication for H2-receptor antagonists is cimetidine.

INTRODUCTION

Floating drug delivery systems (FDDS) are oral dosage forms designed to prolong the residence time of the drug in the stomach by maintaining buoyancy in gastric fluid. This approach is particularly beneficial for treating peptic ulcers, which are localized in the stomach and upper duodenum.

1.Prolonged Gastric Residence Time: Floating tablets remain buoyant in the stomach for extended periods, allowing the drug to be released slowly

***Corresponding Author:** Nikita Mhase
Address: *Shivajirao Pawar College Of Pharmacy, Pachegaon, Ahmednagar- 413725.*
Email ✉: nikitamhase68@gmail.com
Relevant conflicts of interest/financial disclosures: The authors declare that the research was conducted in the absence of any commercial or financial relationships that could be construed as a potential conflict of interest.

and act locally at the ulcer site. This prolonged contact improves therapeutic efficacy.[1]

2. Improved Bioavailability and Local Action: Drugs that are better absorbed in the acidic environment of the stomach or are intended for local action on the gastric mucosa benefit from floating systems.[2]

3. Reduced Dosing Frequency: FDDS can reduce dosing frequency and improve patient compliance due to sustained drug release. stomach ulcers, GERD, and disorders involving excessive stomach acid output are frequently treated with cemetidine, a histamine H_2-receptor antagonist. The top portion of the gastrointestinal tract is where cimetidine is mainly absorbed, and it has a brief biological half-life of about two hours. Because of these pharmacokinetics restriction frequent dosing is necessary to maintain therapeutic plasma concentrations, which may have an impact on patient compliance.[3]

Mechanistic aspects of floating drug delivery system:

Various attempts have been made to retain the dosage form in the stomach as a way of increasing the retention time. These attempts include introducing floating dosage forms (gas-generating systems and swelling or expanding systems), mucoadhesive systems, high-density systems, modified shape systems, gastric- emptying delaying devices and co administration of gastric-emptying delaying drugs. Among these, the floating dosage forms have been used most commonly. However, most of these approaches are influenced by a number of factors that affect their efficacy as a gastro retentive system. Incorporation of the drug in a controlled release gastro retentive dosage form (CR-GRDF) Can yield significant therapeutic advantages due to a variety of pharmacokinetic and pharmacodynamic factors.

Pharmacokinetic aspects:-

Absorption window validation that the drug is within the category of narrow window

• Enhanced bioavailability

• Enhanced first pass biotransformation

• Improved bioavailability due to reduced Pglycoprotein (P-gp) activity in the duodenum.

Pharmacodynamic Aspects:

Reduced fluctuation of drug concentration.

Improved selectivity in receptor activation.

Reduced counter-activity of the body.

Extended time over critical (effective) concentration [4,5,6,7,8]

MATERIAL AND METHOD:

MATERIAL:

Ingredient	Use
Cimetidine	API
HPMC	Matrix polymer
Carbopol	Swelling agent
Sodium bicarbonate	Gas generating
Citric acid	Gas generating
Ethyl cellulose	Release float
PVP	Binder
Lactose monohydrate	Filler
Magnesium stearate	Lubricant
Talc	Glidant

METHOD:

The direct compression method was used to create floating cimetidine pills utilising various ingredients. Individually, cimetidine and the other ingredients were run through sieve number 80. Using a digital balance, the necessary quantity of ingredients was weighed in accordance with various formulations. Talc and magnesium stearate were used to lubricate the powder blends after the drug, HPMC, carbopol, citric acid, ethyl cellulose, PvP, and sodium bicarbonate were combined geometrically in a mortar and pestle. Using a plastic bag, the final mixing was completed. The dye used in the punching machine was modified to produce a 400 mg tablet with a hardness of 4-6 kg/cm2. The tablets were gathered and examined. Four cimetidine floating tablet formulations (F1–F4) were made with varying HPMC K4M concentrations.

PRE-COMPRESSION PARAMETERS OF POWDER BLENDS:

1.Bulk and Tapped density:

They weighted 10 grammes of powder. The specified powder was weighed and added to a 100 ml measuring cylinder. After the powder was placed into a measuring cylinder, the initial volume was recorded for bulk density. The cylinder was then continuously tapped until there was no more volume change. Note the final tapped density volume. Next, using the provided formula, the bulk and tapped densities were computed.

Bulk density=Weight of powder / Initial volume

Tapped density=Weight of powder/Tapped volume

2.Carr's index;

The compressibility index is another name for Carr's index. It is an important figure that can be derived from both tapped and bulk density. Using the provided formula, Carr's compressibility index was used to determine the compressibility of the blend and raw materials.

Carr's index (%) = {(tapped density) - (bulk density) / (tapped density)} ×100.

3.Hausner's ratio:

One metric that shows a powder's flowability is the Hausner's ratio. Using the following formula, Hausner's ratio is determined

Hausner's ratio = Tapped density / Bulk density

4.Angle of repose:

The angle of repose is the maximum angle that can exist between a powder pile's surface and the horizontal plane. Inappropriate flow results when the angle of repose is utilised to assess frictional force. The angle of repose was calculated using the funnel stand method. Using the provided equation, the angle of repose was computed and the average value was taken.

$$\tan \theta = h/r.$$

$$\text{Tan-1 } (h/r) = \theta$$

Where θ is the angle of repose.

h = heap height

R is the heap's radius.[9,10]

FORMULATION TABLE:

Table no:1 Formulation Table (Batches F1 to F5,400mg tablet)

Ingredient	F1	F2	F3	F4
Cimetidine	200	200	200	200
HPMC	50	60	70	80
Carbopol	50	10	10	15
Sodium bicarbonate	30	30	30	30
Citric acid	15	15	15	15
Ethyl cellulose	10	15	20	20
PVP	5	5	5	5
Lactose monohydrate	75	55	40	25
Magnesium stearate	5	5	5	5
Talc	5	5	5	5
Total	400	400	400	400

POST-COMPRESSION PARAMETER (EVALUTOIN):

The prepared floating tablet were evalution for general appearance, thickness, hardness, friability, weight variation.

1.General Appearance:

The primary factor influencing a tablet's acceptance is its organoleptic qualities, or overall look. It has a significant impact on customer acceptance. The prepared pills' organoleptic qualities (colour, taste, odour, and form) were assessed.

2.Thickness:

Six tablets were chosen at random from each formulation, and their thickness was measured using vernier callipers before an average value was determined.

3.Hardness:

The ability of a tablet to tolerate mechanical shocks is referred to as its hardness. Tablets are tested for breaking points using hardness testing. From every formulation, six pills were taken. Using a Pfizer hardness tester, the tablet's hardness was assessed. The unit of hardness was Kg/cm2.

4.Friability:

Friability was calculated using the Roche friabilator and is shown as a percentage. After being first weighed (W initial), 20 pills were consumed. For four minutes, preweighed, chosen tablets were put in the friabilator, which rotates at 25 rpm (100 revolutions per minute). After being taken out of the chamber, the tablets were cleaned and weighed once more (W final).

F= {(W initial)- (W final)/(W initial)}×100

5.Weight variation:

Variations in weight Twenty pills were randomly selected from each formulation and weighed separately. The formula provided was used to calculate the average weight and calculate the % departure from the average weight.

percentage deviation = {(average weight - starting weight) /average weight} X 100

6.In vitro dissolution studies:

Research on in vitro dissolution Using USP type II apparatus (paddle type), in vitro dissolution tests of cimetidine floating tablets were conducted. The medium's temperature was adjusted to 37±0.50C after 900ml of 0.1 N HCL pH 1.2 was added to the dissolution vessel. After the paddle's rotational speed was adjusted to 50 rpm, one tablet was added to each dissolving vessel. Every hour for eight hours, 10 millilitres of the solution were

taken out of the dissolving containers, and the samples were swapped out for 10 millilitres of brand-new dissolution media. The absorbance of this solution was determined with a UV spectrophotometer at 218 nm.

7.Floating lag time:

When tablets are placed by the Dissolution method, the time it takes for the tablet to reach the top of the Is called floating time. A Beaker with a capacity of 250 ml containing 0.1 N HCl was used for the test.

8.Floating time:

This is the time it takes for the tablet to float on the surface of the solution. Float time was measured using a USP- Type II dissolution test kit containing 900 mL of 0.1 N HCI held at 37 ± 0.5°. C. [11,12,113,14,15]

RESULT AND DISCUSSION:

Cimetidine is a histamine H2 receptor antagonist. It is widely used in condition where inhibition of gastric acid secreation may be beneficial such as heart burn associated with acid reflux , duodenal and gastric ulcer, gastroesophagal reflux diseases and hyper-secretory syndrome such as Zollinger – Ellision's. Floating tablets of cimetidine were developed to increase the gastric residence time of the drug, hence they could be retained in stomach for prolong time and drug is releases lowly at the desired rate

Table no:2 Evaluation Pre-compressional parameter

Parameter	F1	F2	F3	F4
Angle of repose	26-28 Good flow	27-29 Good flow	28-30 Good flow	29-31 Good flow
Bulk density	0.45-0.50	0.48-0.52	0.50-0.55	0.52-0.57
Tapped density	0.55-0.60	0.58-0.62	0.60-0.65	0.62-0.68
Carr's Index	10-12% Excellent	12-14% Good	14-16% Good	15-17% Good
Hausner's Ratio	1.11-1.14	1.12-1.16	1.14-1.18	1.15-1.20

Table no:3 Evaluation Post-compression Parameter

Parameter	F1	F2	F3	F4
Appearance	Good Smooth	Good Smooth	Slightly hard surface	Hard surface
Weight Variation	± 2-3%	±2-3%	±2-3%	± 2-3%
Thickness	4.5-5.0mm	4.5-5.0	4.5-5.2	4.8-5.3
Hardness	4-5	5-6	5-7	6-7
Friability	<0.5%	<0.5%	<0.5%	<0.5%

Table no:4 In vitro drug Release

Time	F1	F2	F3	F4
1	35-35%	25-30%	20-25%	15-20%
2	50-55%	45-50%	35-40%	30-35%
4	70-75%	65-70%	55-60%	45-50%
6	85-90%	80-85**%**	70-75%	65-70%
8	95-100%	90-95%	85-90%	80-85%
10	-	95-100%	90-95%	85-90%
12	-	-	95-100%	90-95%

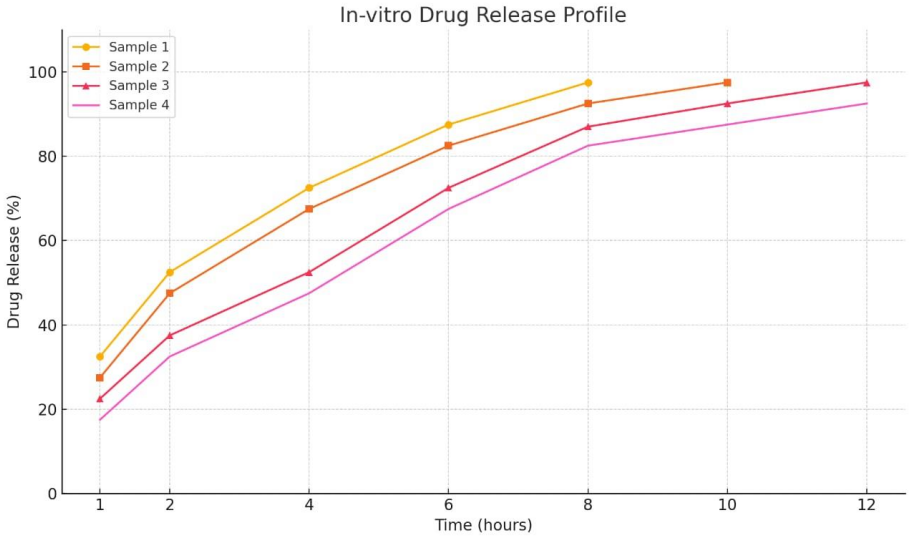

Figure no:1 In vitro drug release of cimetidine floating tablet

Floating Behaviour:

Floating log time	**<20sec**	**<0sec**	**<25sec**	**<25sec**
Total Floating duration	>12h	>12h	>12h	>12h

CONCLUSION:

By using the direct compression method, the floating drug delivery system for cimetidine was effectively created. According to preformulation experiments, all formulations had good flow qualities and there was no indication of any drug-polymer interaction. Every formulation was assessed for its physiochemical characteristics, and the results were found to be within the range. F3 exhibited the best buoyancy and medication release profile of any formulation. In vitro dissolution showed that when the polymer concentration dropped, the drug release rate rose. The medication release rate from HPMC K4M was higher than that of HPMC K15M. Studies on stability revealed no appreciable alterations in buoyancy, drug release rate, or physical characteristics. The current study's findings recommended additional research for F3 on the assessment of long-term stability studies and the use of animal models for in vivo performance.

REFERENCES

1. Patel, A., Ray, S., & Thakur, R. S. (2006). Formulation and evaluation of floating drug 0503
2. Arora, S., Ali, J., Ahuja, A., Khar, R. K., & Baboota, S. (2005). Floating drug delivery systems: A review. AAPS PharmSciTech, 6(3), E372-E390.
3. Garg, R., & Gupta, G. D.(2008). Progress in controlled gastroretentive delivery systems. Tropical Journal of Pharmaceutical Research, 7(3), 1055-1066.
4. Streubel A, Siepmann J, Bodmeier R. Floating microparticles based on low-density foam powder. Int J Pharm. 2002;241:279-292
5. Ramesh. R. Putheti, Mahesh. C. Patil, Pharmaceutical formulation and development of floating and swellable drug delivery systems: a review, eJournal of Science & Technology,2009; 4(2):1-12.
6. C.Sauzet, M.Claeys-Bruno, M. Nicolas, J. Kister, P .Piccerelle, P. Prinderre, An innovative floating gastro retentive dosage system: Formulation and in vitro evaluation.

International journal of Pharmaceutics, 2009; 378:23-39.

7. Amnon Hoffamn, David Stepensky, Eran Lavy, Sara Eyal, Eytan klausner, Michael Friendman. Pharmacokinetic and pharmacodynamic aspects of gastroretentive dosage formsInternational journal of pharmaceutics, 2004; 277:141-153.
8. Baumgartner S, Kristel J, Vreer F, Vodopivec P, Zorko B. Optimization of floating matrix tablets and evaluation of their gastric residence time. Int J Pharm 2000; 195 (1-2):125-35
9. Patel A, Jha S K, Panchal H, Shukla T and Shah A : formulation development and evaluation of famotidine floating tablet . International journal of pharmaceutical science review and research ,2010:4(3):224-229
10. Bhoi P, Dash R.K and Dalai M.K : Formulation and in-vitro evaluation of oral floating matrix tablets of Diclofenac sodium. International journal of pharmtech research ,2010:2(4):2420-2428
11. Shivhare U.D, Chilkar P.M, Bhusari K.P, Mathur V.B: Formulation and evaluation of floating matrix tablet for controlled drug release,2011:6(4): 1841-1850
12. Haritha B,:A review on evaluation of tablets, jourbal of formulation science and bioavailablility, 2017:1(1):2-5
13. Z. Rahman, M. Ali, R. K. Khar, Design and evaluation of bilayer floating tablets of captopril,.Acta Pharm.2006 56, 49-57
14. Devarajan K, Rangasamy M, Selvaraj J and Natesan S : Development and evaluation of floating tablet of ciprofloxacin hcl . IJPASA,2012:1(1):17-25.
15. Hoffman A. Pharmacodynamic aspects of sustained release preparations. Adv Drug Delivery Rev

HOW TO CITE: Nikita Mhase*, Ashwini Wakade, Dr. Megha Salve, Formulation And Evaluation of Cimetidine Floating Tablet, Int. J. of Pharm. Sci., 2025, Vol 3, Issue 6, 276-282. https://doi.org/10.5281/zenodo.15578805