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FORMULATION AND EVALUATION OF FLOATING TABLET OF CEFUROXIME AXETIL

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Abstract

The aim of the present work was to design and development of hydro dynamically balanced tablet of Cefuroxime Axetil to enhance the bioavailability and therapeutic efficacy of the drug. Cefuroxime Axetil is classified as a second-generation cephalosporin antibiotic and beta lactum antibiotics based on spectrum activity. Tablets are prepared by the wet granulation technique by using Eudragit RL 100, Hydroxypropyl methyl cellulose and their combination as polymers along with sodium bicarbonate as gas generating agent. Formulations were evaluated for in vitro buoyancy and drug release study using up dissolution apparatus using 0.1N HCl as a medium. The result indicate that floating tablets of Cefuroxime Axetil containing combination of HPMC K4M: Eudragit RL 100 provides a better option for control release action and improved bioavailability than Plain polymers containing formulations such as Eudragit RL. and HPMCK4M respectively.

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INTRODUCTION

Oral administration is the most convenient and preferred means of any drug delivery to the systematic circulation. Oral controlled release drug delivery have recently been of increasing interest in pharmaceutical field to achieve improved therapeutic advantages, such as ease of dosing administration, patient compliance and flexibility in formulation. Drugs that are easily absorbed from gastrointestinal tract (GIT) and have short half-lives are eliminated quickly from the systemic circulation. Frequent dosing of these drugs is required to achieve suitable therapeutic activity. To avoid this limitation the development of oral sustained-controlled release formulations is an attempt to release the drug slowly into the gastrointestinal tract (GIT) and maintain an effective drug concentration in the systemic circulation for a long time. After oral administration, such a drug delivery would be retained in the stomach and release the drug in a controlled manner, so that the drug could be supplied continuously to its absorption sites in the gastrointestinal tract (GIT).[1] Cefuroxime Axetil(CA) is abroad spectrum ß-lactamase cephalosporin that has well defined pharmacokinetics after intramuscular and intravenous administration in the form of sodium salt.9,10 In humans, gastrointestinal absorption of Cefuroxime is negligible.9,11 Cefuroxime (Cefuroxim Axetil) an oral prodrug shows a bioavailability of 30% to 40% when taken on fasting and 5% to 60% when taken after food.[2,3,4,5]Cefuroxime Axetil had saturation kinetics that could be overcome by slow release of drug from the formulation, by incorporating Cefuroxime Axetil in sustained drug delivery system. Also, because Cefuroxime Axetil had higher absorption in the proximal region of GI tract and poor absorption, as well as antibiotic associated colitis, when a large amount of drug entered the colon suggest it is an ideal candidate for a gastro retentive drug delivery system that will prolong the gastric residence time of the dosage form, giving prolonged drug release in the upper GI tract, where absorption of Cefuroxime is well defined.

MATERIALS & METHODS

Cefuroxime Axetil is procured by, Alkem laboratories, Mumbai (India). Eudragit RL,

HPMC K4M are procured by Signet, Mumbai and Mannitol, Sodium bi carbonate, Citric Acid, Magnesium Stearate, Talc are procured by Loba chemie,cochin.

Preparation of standard curve of Cefuroxime Axetil

100 mg Cefuroxime Axetil was accurately weighted &transferred to 100ml volumetric Flask. It was dissolved & diluted to volume with 0.1N HCl to give stock solution containing 1000 mg/ml.The absorbance of solution were measured against 0.1N as blank at 280 nm using uv-spectrophotometer.cofficient of correlation was found to be 0.997 in 0.1N HCl.[4]

Preparation of floating tablet:

Each floating tablets containing 250mg Cefuroxime Axetil were prepared by a conventional wet granulation method. Using variable concentration of Eudragit RL and HPMC K4M with sodium bi carbonate. All powders were passed through 60 mesh sieves. Required quantity of drugs polymers were mixed thoroughly. talc and magnesium stearate were added as glident &lubricant. The granules were directly compressed using tablet compression machine. each tablet contained 250 mg of Cefuroxime Axetil.

RESULTS AND DISCUSSION

Hydrodynamic ally balance floating tablets of Cefuroxime Axetil were prepared & evaluated to

Increase its local action & bioavailability. In the present two formulations with variable concentration of eudragit and ethylcellulose were prepared & evaluated for physio-chemical parameters & *in vitro* buoyancy studies.

Shape of the tablet

Macroscopic examination of tablets from F1 and F2 were found to be circular shape with no cracks.

Hardness test

The measured hardness of tablets of each batch ranged between 4 to 5 kg/cm^2 this ensures good handling characteristics of all batches.

Friability test

The % friability was less than 1% in all the formulations ensuring that the tablets were mechanically stable.

Weight variation test

All the formulated tablets passed weight variation test as the % weight variation was within the pharmacopoeial limits of + or – 7.5% of the weight.

***In vitro* buoyancy study [6, 7]**

On immersion in 0.1(N) HCl solution ph (1.2) at 37 degree, the tablets floated, and remained buoyant without disintegration. From the results it can be concluded that the batch F3 showed good buoyancy lag time & total floating time.

***In vitro* dissolution study [8]**

The dissolution study was carried out using USP II (paddle method) apparatus in 900 ml of 0.1 N HCl (pH 1.2) for 12 hours. The temperature of the dissolution medium was kept at 37± 0.5^{o}C and the paddle was set at 100 rpm. 10 ml of sample solution was withdrawn at specified interval of time and filtered through Whatman filter paper. And the sample was replaced with fresh dissolution medium. The sample diluted to a suitable concentration with 0.1 N HCl. The absorbance of the withdrawn samples was measured at λmax 280 nm using a Shimadzu UV- 1601 UV/VIS double beam spectrophotometer.

Discussion

Cefuroxime Axetil is bacteriostatic &bactericidal depending on the organism &antimicrobial agent.. The parameters hardness, friability, and content uniformity etc. were evaluated for all the formulated for all the formulated batches.The results were complies with the official specifications. Buoyancy vb lag time, total floating time, tablet density showed satisfactory results for batch F3. The F3 was optimized& selected for further studies.). From the in-vitro dissolution data in using USP dissolution apparatus indicates the formulation F1 and F2,F3 containing HPMC K4M,Eudragit RL,and combination of HPMC K4M:Eudragit RL released 73.91% & 65.91%,91.59% of drug within 12 hours.

Effect of HPMC on Drug Release

The batches F1, F2and F3 were prepared using polymers HPMC K4M, Eudragit RL100 HPMC K4M:Eudragit RL100 respectively and Floating tablets were prepared for each batch.

The drug release rate decreased in the rank order;

F3 > F1 > F2

This can probably be attributed to the different diffusion and swelling behavior of the combination of these polymers. With increasing molecular weight, the degree of entanglement of polymer chain increases. Thus, the mobility of the drug molecules in the fully swollen systems decreases. This leads to decreased drug diffusion coefficients and decreased drug release rate with increase molecular weight. It is stated that a faster and greater drug release was expected for reasons with the evolution of gas, the matrix would become more relaxed allowing water penetration and diffusion of drug might be easier.[9,10]

The drug release from F3 (HPMC K4M: Eudragit RL 100) shows that, the drug release of formulation is increases as compare to F1 (HPMC K4M),& F2 (Eudragit RL 100) This is because of the swelling properties of polymers. From the above observation it is concluded that formulation F7 (HPMC-K: Eudragit RL 100) is the best formulation among all other formulations because it is showing very controlled release of drug from Tablet formulations.

CONCLUSION

The present investigation deals with the formulation and evaluation of effervescent based floating tablet of Cefuroxime Axetil using four different polymers such as HPMC K4M, ,Eudragit-RL 100,. For the present study an attempt was made to prepare the GRDDS of Cefuroxime Axetil with two different polymers such as HPMC K4M, ,Eudragit-RL 100,and their combination. The study reveals that the drug release from formulations is depend upon the swelling, molecular weight and diffusion ability of polymers. From the observation it is concluded that the drug release from F3 (HPMC K4M: Eudragit RL 100) shows that, as HPMC K4M used in combination with eudragit RL 100, the drug release of formulation is increases as compare to F1 (HPMC K4M),F2(Eudragit L 100). This is because of the swelling properties of polymers.

Developed floating tablets possessed the required physico-chemical parameter such as like hardness, friability, weight variation, drug content, swelling index and floating properties. All the developed floating tablets floated up to 12 h. From the above

observation it is concluded that formulation F3 (HPMC-K:Eudragit RL100) is the best formulation among all other formulations because it is showing very controlled release of drug from Tablet formulations. Thus, the objective of the present work of formulating a floating dosage form for Cefuroxime Axetil by using different proportions and combinations of release rate controlling and gel forming polymers has been achieved with success.

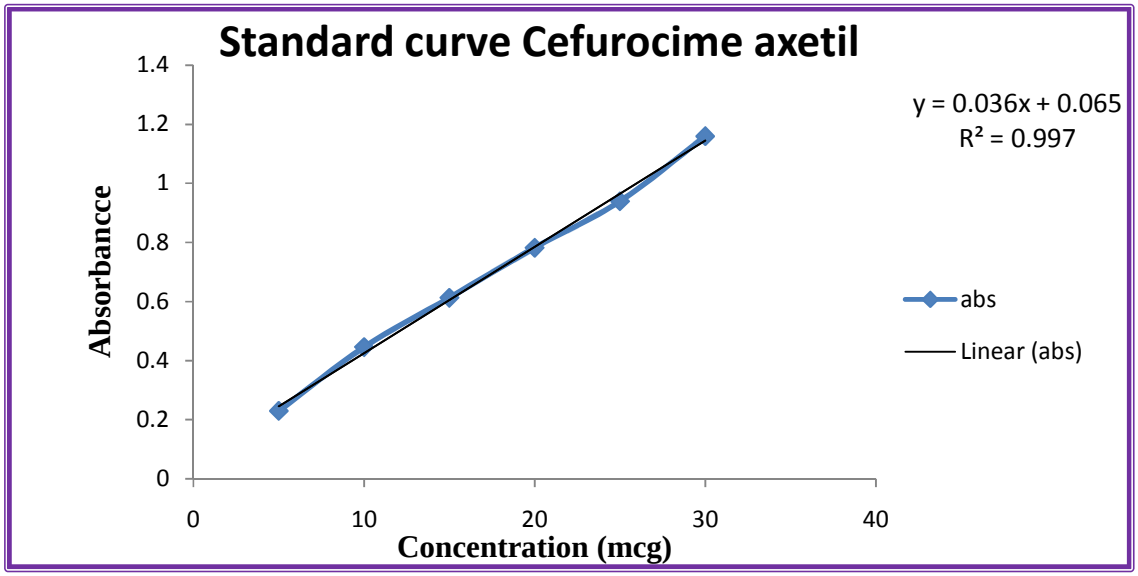

Figure 1 calibration curve of Cefuroxime Axetil

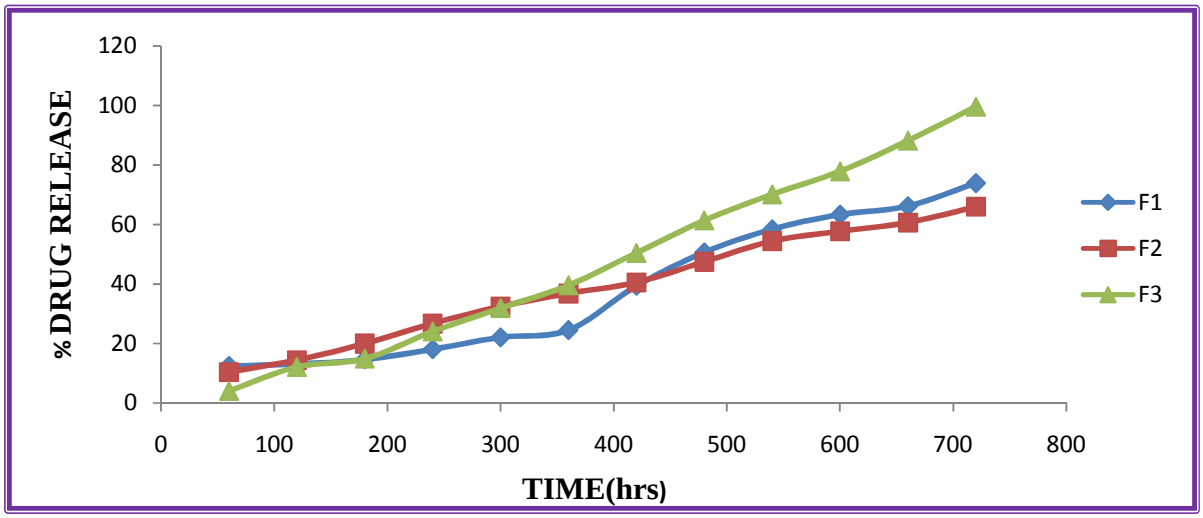

Figure 2 % Drug release of formulation F_1, F_2 & F_3

Table 1.

Composition of Floating tablets

Formulation Code	F1	F2	F3
DRUG	250	250	250
HPMC K4M	60	--	30
EUDRAGIT-RL-100	--	60	30
$NaHCO_3$	100	100	100
CITRIC ACID	30	30	30
Mg. STEARATE	5	5	5
LACTOSE	5	5	5
Total (mg)	**500**	**500**	**500**

Table 2

Evaluation of floating tablets

Evaluation Parameter	F1	F2	F3
Weight Variation	Pass	Pass	Pass
Hardness (Kg/cm^2)	4.5	4.8	4.6
Friability (%)	0.56	0.34	0.38
Drug Content (%)	95.05	97.80	98.36
Buoyancy Lag Time (sec)	18	23	15
Total floating time(hrs)	>12	10.5	>12

Table 3

Cumulative % drug released from floating tablet formulations of F1,F2,F3

Time (Hours)	Cumulative % release		
	F1	F3	F7
1	12.433	10.432	4.102
2	13.102	14.395	12.125
3	14.575	19.969	14.892
4	18.055	26.679	24.074
5	22.053	32.426	31.907
6	24.474	36.803	39.582
7	39.307	40.505	50.456
8	50.622	47.425	61.376
9	58.399	54.383	70.111
10	63.317	57.678	77.893
11	66.161	60.598	88.217
12	73.919	65.916	99.595

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