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A RESEARCH ON FORMULATION DEVELOPMENT AND EVALUATION OF FLOATING MICROSPHERE OF CEFUROXIME AXETIL

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ABSTRACT
This study focuses on the formulation and evaluation of floating microspheres containing Cefuroxime axetil, a broad-spectrum cephalosporin antibiotic, to create a gastroretentive drug delivery system. The goal is to enhance the drug's oral bioavailability by prolonging its residence time in the stomach. Preformulation studies established Cefuroxime axetil's properties: a light-yellow crystalline powder with a bitter taste, soluble in water and 0.1 N HCl, and freely soluble in ethanol, methanol, and chloroform. Its melting point was 132−135∘C, and its λmax was 282.0 nm. The floating microspheres were prepared by the solvent diffusion-evaporation method using HPMC and EC polymers. Formulation F3 was identified as the optimum batch, demonstrating the highest percentage yield (73.32±0.65%), maximum drug entrapment efficiency (75.56±0.23% w/w), and highest buoyancy (76.65±0.52%) with a short floating lag time (32±4 sec). In vitro release studies for the optimized F3 formulation showed sustained drug release, following Zero-order kinetics (R2=0.958). The conclusion is that this delivery system successfully achieves a prolonged gastric residence time and continuous drug release, thereby improving Cefuroxime axetil's absorption and efficacy.
Key Words: Cefuroxime axetil; Floating microspheres; Gastroretentive; In vitro release.

1. INTRODUCTION

1.1. Microsphere

Medication activity can be enhanced by growing a new medication delivery system, for example, the microsphere sedate delivery system. These frameworks stay in close contact with the ingestion tissue, the mucous layer, discharging the medication at the activity site, prompting a bioavailability increment and both local and systemic impacts [1]. The oral course of medication organization constitutes the most helpful and favored methods for sedate conveyance to foundational dissemination of body. However oral organisation of the greater part of the medications in traditional measurements frames has here and now restrictions because of their failure to limit and confine the framework at gastro- intestinal tract [2].

Microspheres constitute an essential piece of these particulate medication conveyance frameworks by uprightness of their little size and productive bearer limit. Microspheres are the bearer connected medication conveyance framework in which molecule estimate is ranges from 1-1000 µm extend in distance across havinga center of medication and completely external layers of polymer as covering material. Be that as it may, the accomplishment of these microspheres is restricted because of their short habitation time at site of assimilation [3]. It would, in this way be worthwhile to have implies for giving a private contact of the medication conveyance framework with the engrossing layer. Microspheres have focal points like proficient retention and upgraded bioavailability of the medications because of a high surface to volume proportion, a substantially cozier contact with the bodily fluid layer and particular targeting of medications to the ingestion site [4].

Types of microspheres [5]

- Bioadhesive microspheres
- Magnetic microspheres
- Floating microspheres
- Radioactive microspheres
- Mucoadhesive microspheres

1.2. Cefuroxime Axetil

Broad-spectrum cephalosporin antibiotic resistant to beta-lactamase. It has been proposed for infections with gram-negative and gram-positive organisms, gonorrhea, and haemophilus [6].

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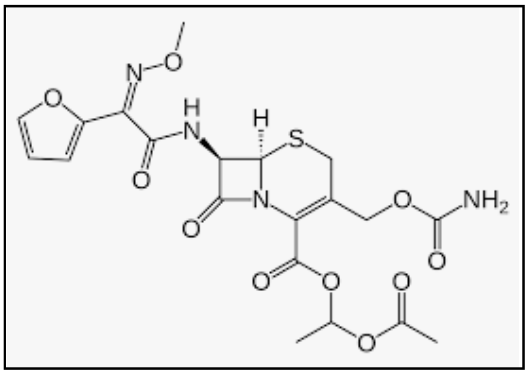
1-[(6R, 7R)-3-[(carbamoyloxy) methyl]-7-[(2Z)-2-(furan-2-yl)-2- (methoxyimino) acetamido]-8-oxo-5-thia-1-azabicyclo [4.2.0]



oct-2-ene-2- carbonyloxy] ethyl acetate.

Pharmacology

Indication: For the treatment of many different types of bacterial infections such as bronchitis, sinusitis, tonsillitis, ear infections, skin infections, gonorrhea, and urinary tract infections [7].

Pharmacodynamics: Cefuroxime is a β-lactam type antibiotic. More specifically, itis a second-generation cephalosporin. Cephalosporins work the same way as penicillins: they interfere with the peptidoglycan synthesis of the bacterial wall by inhibiting the final transpeptidation needed for the cross-links. This effect is bactericidal. Cefuroxime is effective against the following organisms: Aerobic Gram-positive Microorganisms: *Staphylococcus aureus*, *Streptococcus pneumoniae*, *Streptococcus pyogenes*. Aerobic Gram-negative Microorganisms: *Escherichia coli*, *Haemophilus influenzae* (including beta-lactamase-producing strains), *Haemophilus parainfluenzae*, *Klebsiella pneumoniae*, *Moraxella catarrhalis* (including beta- lactamase-producing strains), *Neisseria gonorrhoeae* (including beta-lactamase- producing strains). Spirochetes: *Borrelia burgdorferi*. Cefuroxime axetil is the prodrug [8].

Mechanism of action: Cefuroxime, like the penicillins, is a beta-lactam antibiotic. By binding to specific penicillin-binding proteins (PBPs) located inside the bacterial cell wall, it inhibits the third and last stage of bacterial cell wall synthesis. Cell lysis is then mediated by bacterial cell wall autolytic enzymes such as autolysins; cefuroxime may interfere with an autolysin inhibitor [9].

Metabolism: The axetil moiety is metabolised to acetaldehyde and acetic acid.
Half-life: approximately 80 minutes following intramuscular or intravenousinjection [10].
Uses: Cefuroxime is used to treat a wide variety of bacterial infections. This medication isknown as a cephalosporin antibiotic. It works by stopping the growth of bacteria [11].
Side effects: Nausea, vomiting, diarrhoea, a strange taste in the mouth, and stomach pain [11].

2. EXPERIMENTAL WORK

Preformulation studies

Preformulation studies are an important tool for determining the physical and chemical properties of the drug before incorporating it into the formulation development programme. The nature of the drug highly affects the processing parameters like method of preparation, loading efficiency, compatibility and pharmacokinetic response of the formulation. Preformulation studies are indispensable protocols for the development of safe, effective and stable dosage forms as well. Thus, in order toensure optimum conditions for a clinically beneficial delivery system, the following preformulation studies were carried out [12,13].

Formulation Development

Preparation of a floating microsphere of Cefuroxime axetil

Floating microspheres loaded with Cefuroxime axetil were prepared using the solvent diffusion-evaporation method using HPMC and EC in different ratios, like 1:0.5, 1:1.5, 1:2 w/w (Patel *et al.,* 2006). Drug and polymer in proportion of drug and polymers were dissolved in a 1:2 mixture of the solvent system of ethanol and dichloromethane. This clear solution was poured slowly in a thin stream into the aqueous solution of 1% polyvinyl alcohol. The emulsion was continuously stirred for 3 h at a speed of 500 rpm at 27±2°C. The floating microspheres were collectedby decantation, while the non-floating microspheres were discarded. The microspheres were dried overnight at 40±2°C and stored in a desiccator. And then microspheres were evaluated, and stability was determined [14,15].

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Table 1: Formulations of the floating microspheres prepared

Sr. No	FormulationCode	Cefuroxime Axetil(mg)	HPMC (mg)	EC (mg)
1.	F1	100	100	50
2.	F2	100	100	150
3.	F3	100	100	200
4.	F4	100	100	100
5.	F5	100	150	100
6.	F6	100	200	100

3. RESULT AND DISCUSSION

Results of the preformulation study of Cefuroxime axetil

Organoleptic evaluation

Table 2: Organoleptic properties of Cefuroxime axetil

Color	Light Yellow crystalline powder
Odor	Odorless
Taste:	Bitter

Solubility

Solubility studies of Cefuroxime axetil have been done in various solvent such aswater, 0.1N NaOH, Ethanol, Methanol, and 0.1N HCl solution.

Table 3: Solubility studies of Cefuroxime axetil in different solvents

S. No.	Solvent used	Solubility
1.	Water	Soluble
2.	0.1 N HCl	Soluble
3.	Ethanol	Freely Soluble
4.	Methanol	Freely Soluble
5.	0.1N NaOH	Soluble
6.	Chloroform	Freely Soluble

Table 4: IP Index

Descriptive term	Parts of solvent required for Parts of solute
Very Soluble	Less than 1
Freely Soluble	From 1to 10
Soluble	From 10 to 30
Sparingly Soluble	From 30to 100
Slightly Soluble	From 100 to1000
Very Slightly Soluble	From 1000 to 10000
Practically Insoluble	10000 or more

Identification test by FTIR

Identification of Cefuroxime axetil by FTIR Spectroscopy with respect to marker compound.

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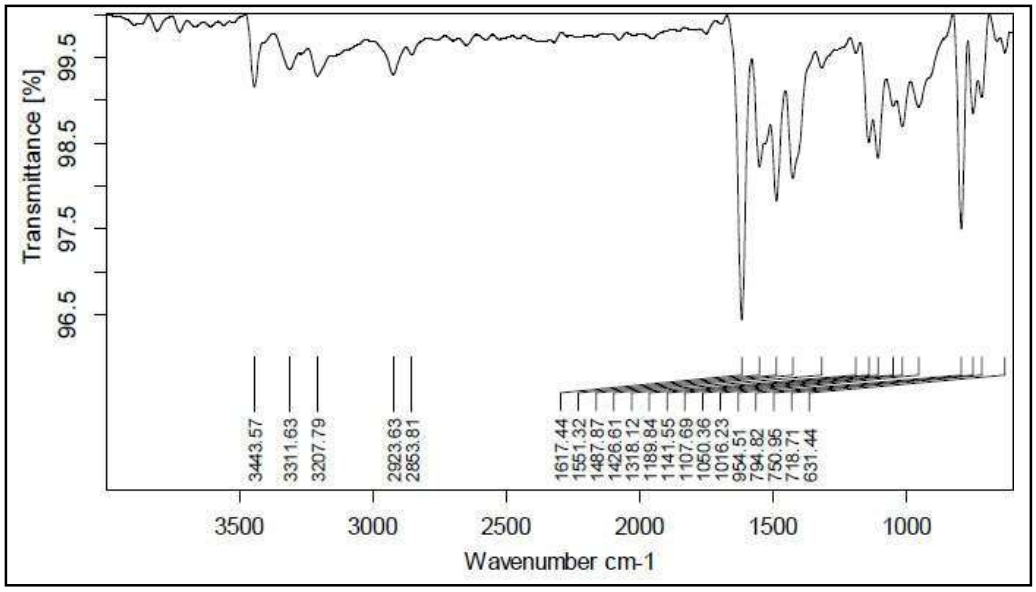

Figure 1: FT-IR Spectrum of Pure Drug (Cefuroxime axetil)

Loss on Drying (LOD)

Procedure: Loss on drying directly measuring by IR moisture balance. Firstly calibrate the instrument by knob then take 1 gm sample (powder) and set the temp at100°C to 105°C for 5 minutes and constant reading set the knob and check % moisture.
Result: The percentage of loss on drying of Cefuroxime axetil was found 0.151 %w/w.

Melting Point determination

Melting point determination of the obtained drug sample was done because it is a good first indication of purity of the sample since the presence of relatively small amount of impurity can be detected by a lowering as well as widening in the meltingpoint range.

Result: The melting point of Cefuroxime axetil range found to be 132-135ºC.
Flow property of Cefuroxime axetil powder

Bulk density

A known quantity of powder was poured into the measuring cylinder carefully levelthe powder without compacting, if necessary and read the unsettled apparentvolume, V_o, to the nearest graduated unit. Calculate the bulk density, in gm/ml or gm/cc, by the formula.

Bulk density = Bulk Mass/ Bulk Volume

Table 5: Bulk density of Cefuroxime axetil

S. No.	Bulk mass	Bulk volume	Bulk density	Avg. bulk density
1.	10 gm	22 ml	0.454 g/ml	0.454 g/ml
2.	10 gm	22 ml	0.454 g/ml	
3.	10 gm	25 ml	0.400 g/ml	

(Mean of 3 replicate)
Results: Bulk density of powder was found 0.454 g/ml.

Tapped density

Tapped density is determined by measuring the volume of a known mass of powdersample before and after the tapping that has been passed through a screen into a graduated cylinder or through a volumetric measuring apparatus into a cup. Accurately weighed 10gm of powder was poured into the measuring cylinder carefully level the powder and read the tapped volume (after 50-60 times tapping),V_t to the nearest graduated unit. Calculate the tapped density in gm per ml, gm/ $cm^3$by the formula:

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Tapped density = Bulk Mass/ Tapped Volume

Table 6: Tapped density of Cefuroxime axetil

S. No.	Bulk mass	Tapped volume	Tapped density	Avg. tapped density
1.	10 gm	19 ml	0.526 g/ ml	0.526 g/ ml
2.	10 gm	18 ml	0.555 g/ ml	
3.	10 gm	19 ml	0.526 g/ ml	

(Mean of 3 replicate)

Results: Tapped density of Cefuroxime axetil was found to be 0.526 g/ ml.

Compressibility Index (%)

Table 7: C.I. of Cefuroxime axetil

S. No.	Bulk density	Tapped density	C.I.
1.	0.454 g/ml	0.526 g/ml	13.68

Result: The compressibility index of Cefuroxime axetil was found 13.68%.

Hausner ratio

Hausner Ratio = Tapped density / Bulk Density

Table 8: Hausner of Cefuroxime axetil

S. No.	Bulk density	Tapped density	Hausner ratio
1.	0.454 g/ml	0.526 g/ml	1.15

Result: The Hausner ratio of Cefuroxime axetil was found 1.15.

Angle of Repose

$\tan \theta = h/r$

Result: The Angle of repose of Cefuroxime axetil is 40.07 degree.

Moisture by Karl-Fischer Apparatus (KF)

Result: The Moisture content of Cefuroxime axetil is 0.3281%

Determination of λ_{max} by UV-Visible Spectroscopy Procedure:

Result: The λ_{max} found for Cefuroxime axetil is 282.0 nm as shown in Figure 7.3.

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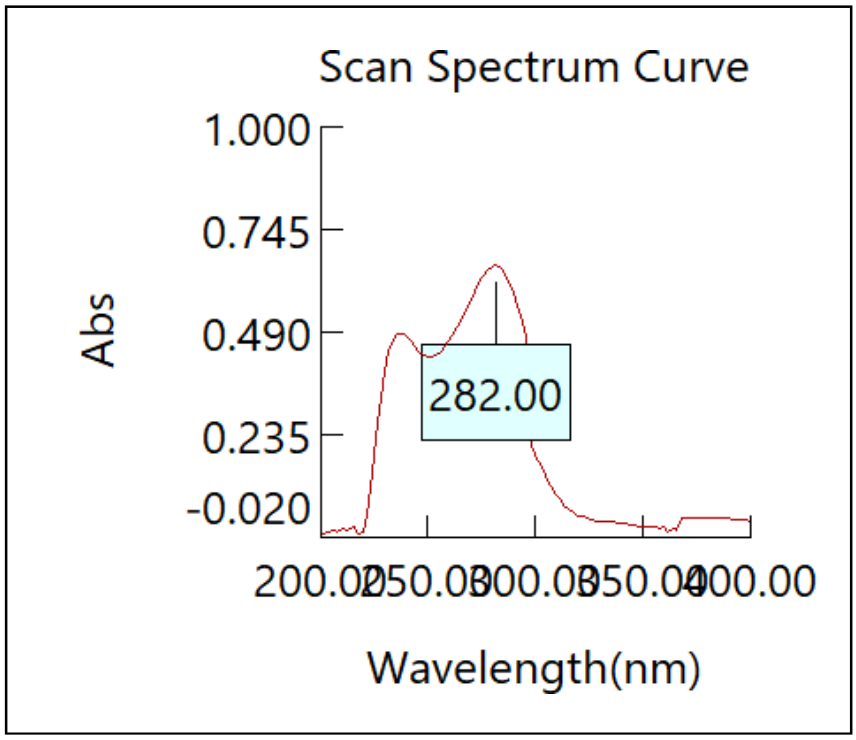

Figure 2: Determination of λ_{max} of Cefuroxime axetil

Calibration curve of Cefuroxime axetil

Table 9: Calibration curve of Cefuroxime axetil

S. No.	Concentration (µg/ml)	Absorbance
1	10	0.110
2	20	0.202
3	30	0.282
4	40	0.394
5	50	0.473

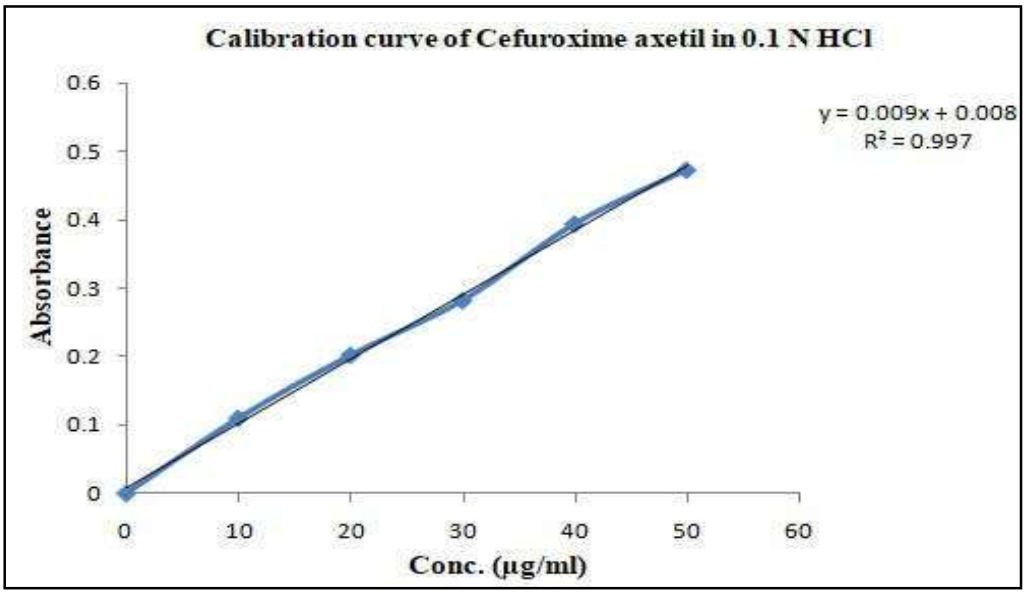

Figure 3: Calibration Curve of Cefuroxime axetil at 282 nm

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Statistical Data for Linearity

Table 10: Statistical Data For Linearity

S. No.	Parameter	Remark
1	Linearity Range	10-50 µg/ml
2	Regression Equation	Y = 0.009x + 0.008
3	Correlation Coefficient	0.997

Evaluation of Cefuroxime axetil floating microspheres

Percentage Yield

The percentage yield of different formulations was determined by weighing the Microspheres after drying. The percentage yield of different formulations was in the range of 62.23±0.85–73.32±0.65%. The maximum Percentage Yield was found in formulation F3, 73.32±0.65 as compare to all formulations.

Table 11: Percentage Yield for Different Formulations

Formulation	Percentage Yield
F1	69.98±0.98
F2	70.12±0.95
F3	73.32±0.65
F4	65.56±0.58
F5	62.23±0.85
F6	66.56±0.32

(Mean of 3 replicate, Mean±SD)

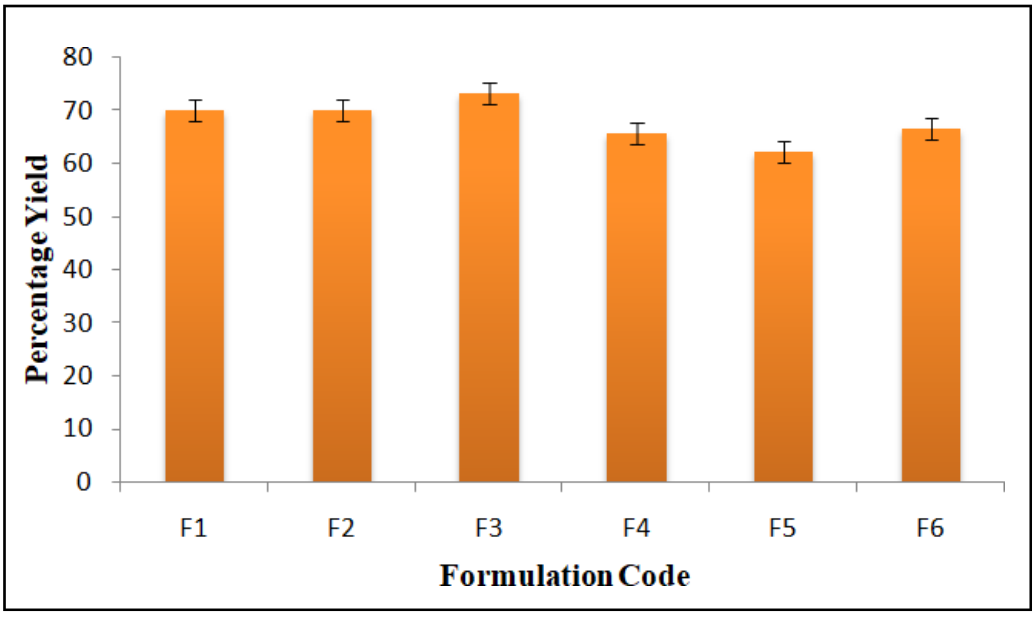

Figure 4: Percentage Yield for Different Formulations

Drug Entrapment

The drug entrapment efficacies of different formulations were in the range of63.23±0.65- 76.56±0.65% w/w.

Table 12: Drug Entrapment for Different Formulations

Formulation	Drug entrapment (% w/w) of prepared microsphere*
F1	65.56±0.95
F2	69.98±0.65
F3	75.56±0.23
F4	62.23±0.54
F5	59.98±0.52
F6	63.32±0.45

* (Mean of 3 replicate, Mean±SD)

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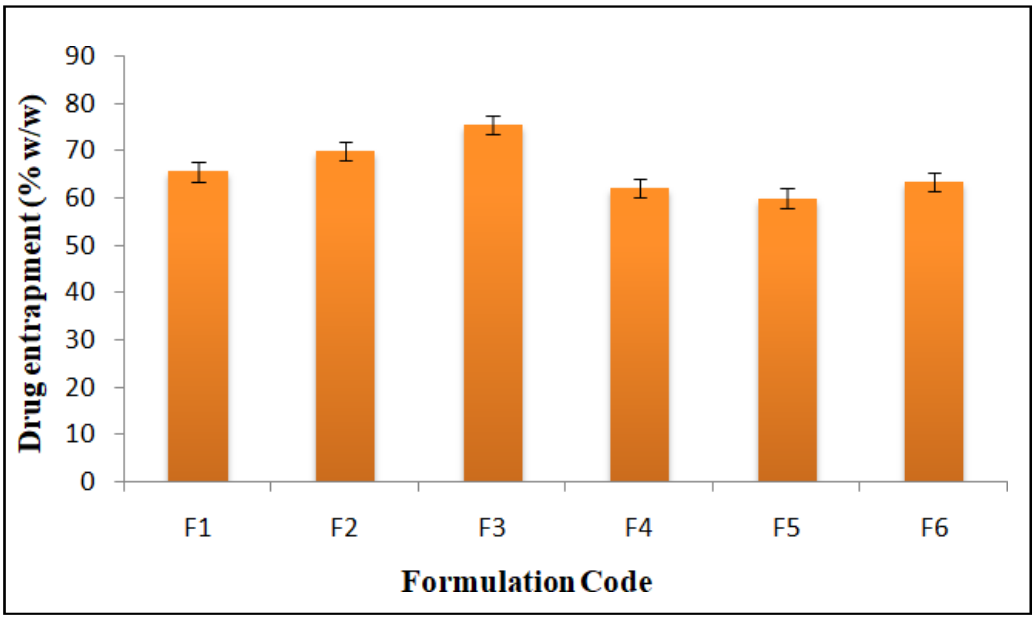

Figure 5: Drug Entrapment for different formulations

Percentage Buoyancy and the floating lag time of the floating microsphere

Table 13: Percentage Buoyancy and floating lag time of floating microsphere

Formulation	Floating Lag Time (Sec.) *	Percentage Buoyancy*
F1	45±3	55.65±0.65
F2	49±2	66.65±0.69
F3	32±4	76.65±0.52
F4	45±3	56.65±0.47
F5	43±2	65.56±0.32
F6	48±3	73.21±0.45

* (Mean of 3 replicates, Mean±SD)

The maximum percentage yield, drug entrapment, percentage buoyancy and floatinglag time were found to be formulation F3 in the floating microsphere. The optimized formulation of both batches was subjected to further studies.

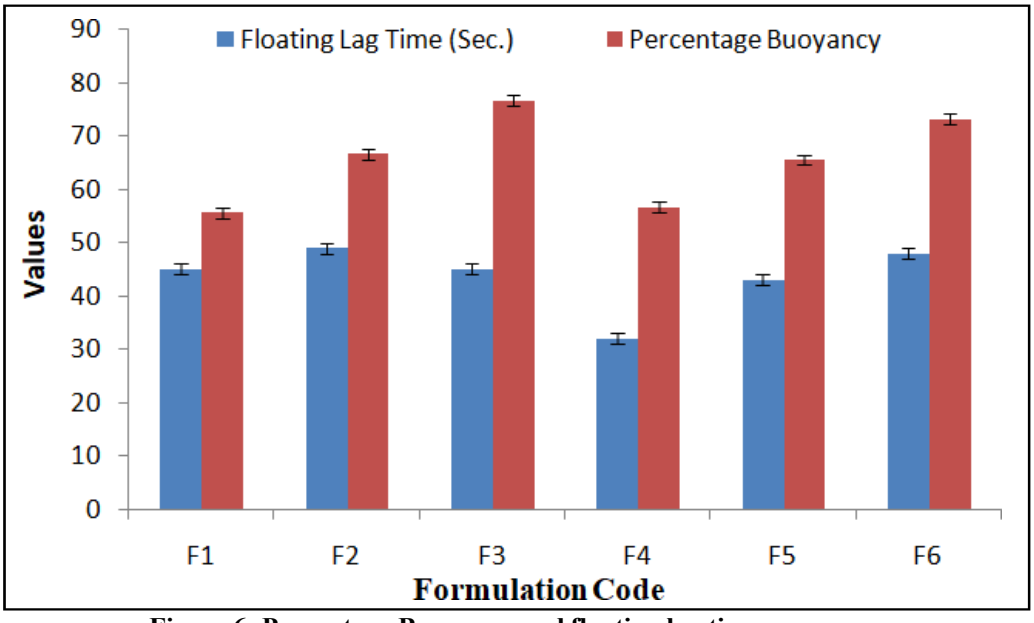

Figure 6: Percentage Buoyancy and floating lag time

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Particle Size Analysis

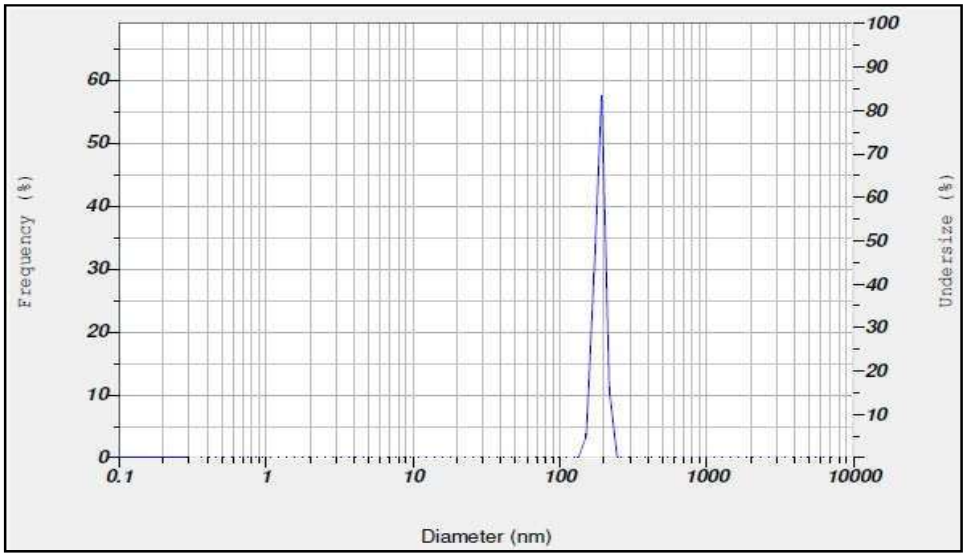

Figure 7: Particle size data of optimized microsphere formulation F3

Zeta Potential

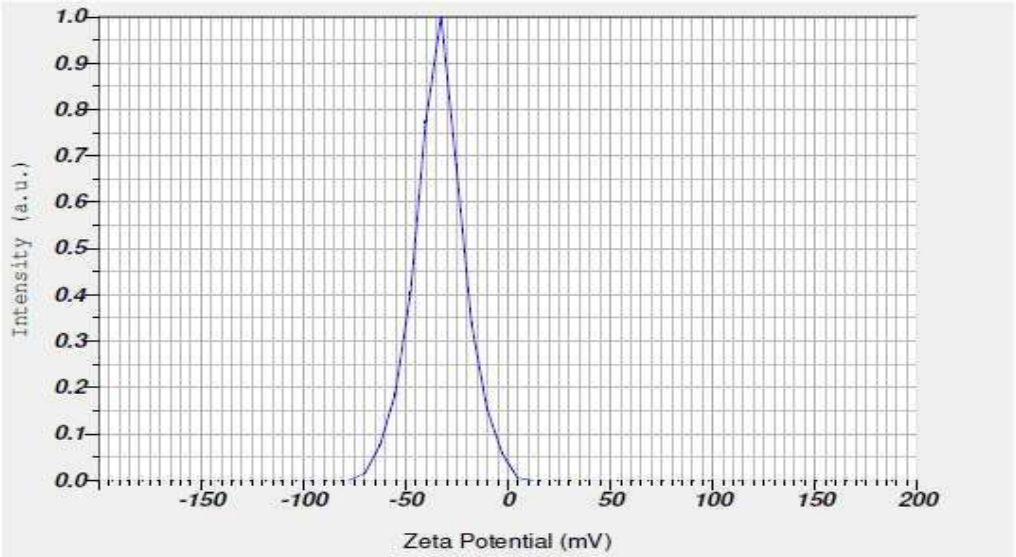

Figure 8: Zeta potential data of floating microsphere F3

***In-vitro* comparative release study of all formulation F1-F6**

Table 14: Release Study data of formulation F1-F6

Time	Percentage Drug Release					
(hr)	F1	F2	F3	F4	F5	F6
0.5	33.25	30.25	29.98	25.56	20.23	15.56
1	46.65	41.25	39.98	30.56	26.65	23.32
2	59.98	52.36	46.65	42.23	31.25	33.32
4	73.23	69.98	63.32	60.32	46.65	45.56
6	89.98	85.56	80.56	75.56	53.32	51.48
8	99.23	90.23	92.23	82.56	63.32	56.65
10	-	99.89	99.23	89.98	72.23	65.56
12	-	-	-	98.85	85.56	73.32

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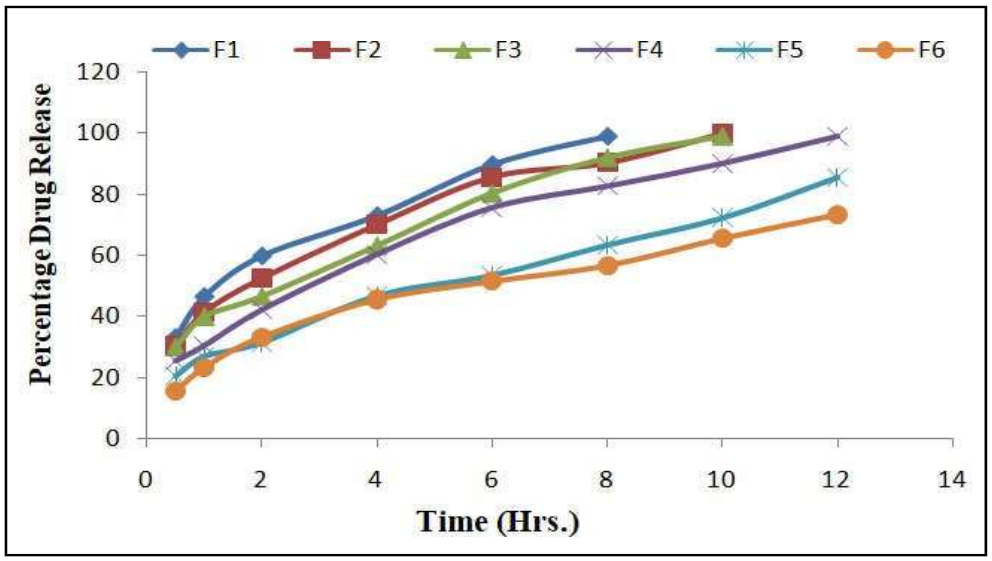

Figure 9: Graph of release study of formulation F1-F6

Table 15: Release Kinetics of optimized formulation of microsphere F3

Time(h)	Square Root of Time(h)$^{1/2}$	Log Time	Cumulative % DrugRelease	Log Cumulative % Drug Released	Cumulative % Drug Remaining	Log Cumulative % Drug Remaining
0.5	0.707	-0.301	25.56	1.408	74.44	1.872
1	1	0	30.56	1.485	69.44	1.842
2	1.414	0.301	42.23	1.626	57.77	1.762
4	2	0.602	60.32	1.780	39.68	1.599
6	2.449	0.778	75.56	1.878	24.44	1.388
8	2.828	0.903	82.56	1.917	17.44	1.242
10	3.162	1	89.98	1.954	10.02	1.001
12	3.464	1.079	98.85	1.995	1.15	0.061

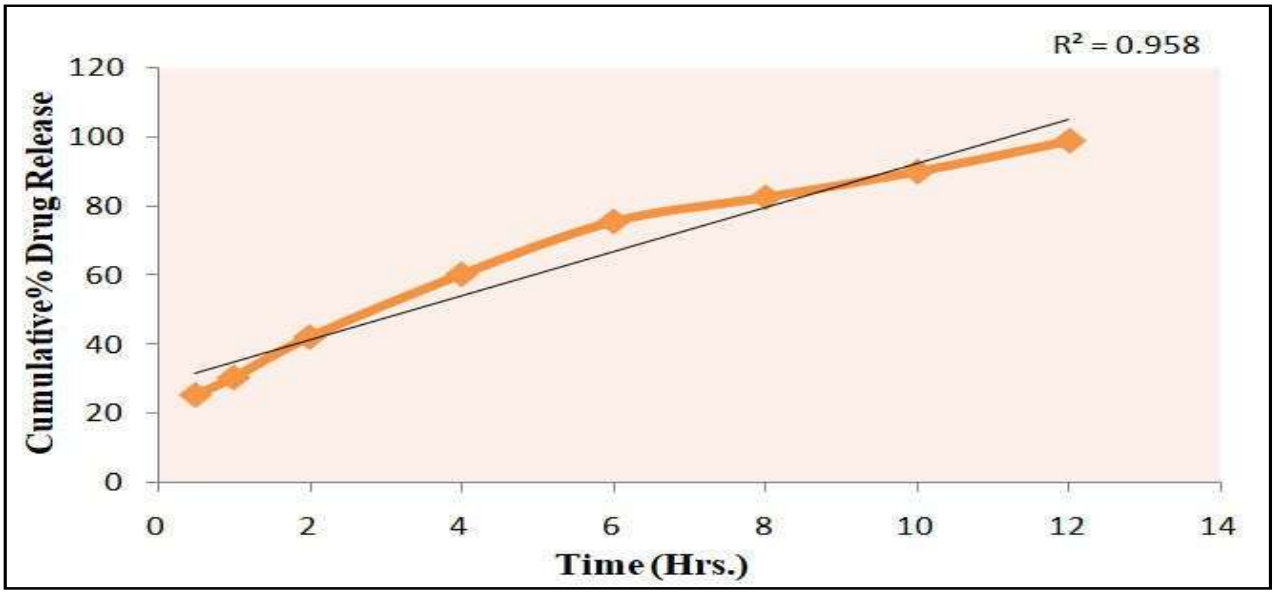

Figure 10: Zero order release kinetics graph of optimized formulations

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Figure 11: First order release kinetics graph of optimized formulations

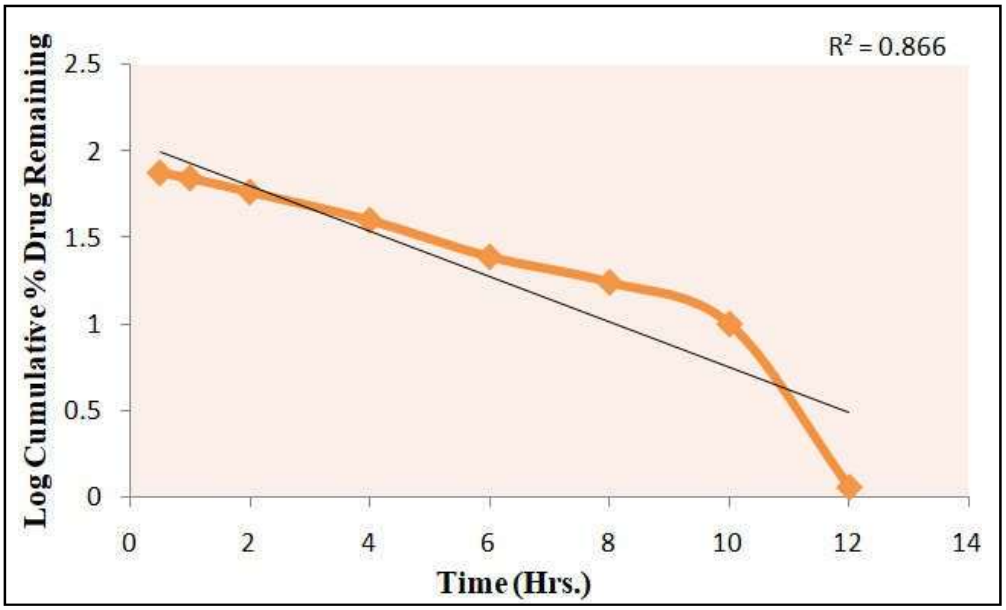

Table 16: Comparative study of regression coefficient for selection ofoptimized Formulation F3

Release Kinetics	Zero order	First order
R^2	0.958	0.866

4. CONCLUSION

Floating microspheres are gastroretentive drug delivery systems based on non- non-effervescent approach. They are spherical empty particles without a core. Ethyl Cellulose and Hydroxypropyl Methylcellulose are used to prepare floating microspheres. Thus, floating microspheres are considered one of the most promisingbuoyant systems. They possess the unique advantages of multiple unit systems and,in addition, better floating properties.

Sample of Cefuroxime axetil was found freely soluble in ethanol, methanol and Chloroform, Soluble in water, 0.1 N HCl and 0.1N NaOH. The melting point of drug sample was found to be 132-135ºC. Drug identification and compatibility study of drug and excipients was done by using Fourier transform infrared spectrum (FTIR).The λ_{max} found for Cefuroxime axetil is 282.0 nm.

Floating microspheres of Cefuroxime axetil were prepared by the solvent diffusion-evaporation method, using various biodegradable polymers such as ethyl cellulose and Hydroxypropyl Methylcellulose. Major advantages of the system include ease of preparation, good floating ability, high encapsulation efficiency and sustained drug release over several hours. From this study, it was concluded that formulation offloating microspheres of Cefuroxime axetil offers prolonged gastric residence timeand continuous release of the medication over an extended period of time, thus oralbioavailability of the drug and subsequent efficacy are improved. This delivery system can play a beneficial role in the absorption of acidic active pharmaceutical ingredients with a decrease in dosing frequency.

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