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Formulation and Evaluation of Floating Drug Delivery System of Caffeine

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Abstract: The objective of the present study was to formulate and evaluate a Floating Drug Delivery System (FDDS) of caffeine using natural and synthetic polymers such as Gum Copal, Gum Damar, and Ethyl Cellulose. Caffeine, a central nervous system stimulant with a narrow absorption window in the upper gastrointestinal tract, requires a formulation that ensures prolonged gastric retention to enhance its bioavailability and therapeutic effectiveness.

Floating tablets were prepared by direct compression method, incorporating sodium bicarbonate as a gas-generating agent to achieve buoyancy. The prepared formulations were evaluated for various pre-compression and post-compression parameters including hardness, friability, weight variation, drug content, floating lag time, total floating duration, and in vitro drug release.

Among the various formulations, the optimized batch exhibited a floating lag time of less than 1 minute and sustained buoyancy for more than 12 hours. In vitro drug release studies demonstrated controlled and prolonged release of caffeine over 12 hours, with a cumulative drug release exceeding 99.38%. The combination of Gum Copal, Gum Damar, and Ethyl Cellulose contributed to the sustained matrix formation and floating efficiency.

The study concludes that the developed floating tablet formulation of caffeine using both natural and synthetic polymers can be a promising approach for gastroretentive drug delivery, offering improved.

Keywords: Formulate and Evaluate a Floating Drug Delivery System , Caffeine, Gum Copal, Gum Damar, and Ethyl Cellulose.

1. INTRODUCTION

Oral delivery of drugs is the most preferable route of drug delivery. Oral route is considered most natural, uncomplicated, convenient and safe due to its ease of administration, patient compliance and flexibility in formulation and cost effective manufacturing process. Many of the drug delivery systems, available in the market are oral drug delivery type systems Pharmaceutical products designed for oral delivery are mainly immediate release type or conventional drug delivery systems, which are designed for immediate release of drug for rapid absorption. These immediate release dosage forms have some limitations such as:

1. Drugs with short half-life require frequent administration, which increases chances of missing dose of drug leading to poor patient

compliance.

2. A typical peak-valley plasma concentration-time profile is obtained which makes attainment of steady state condition difficult.
3. The unavoidable fluctuations in the drug concentration may lead to under medication or overmedication as the C_{ss} values fall or rise beyond the therapeutic range.
4. The fluctuating drug levels may lead to precipitation of adverse effects especially of a drug with small therapeutic index, whenever overmedication occurs.

In order to overcome the drawbacks of conventional drug delivery systems, several technical advancements have led to the development of controlled drug delivery system that could revolutionize method of medication and provide a number of therapeutic benefits.[1-9]

1.1 Controlled Drug Delivery Systems:[10-16]

Controlled drug delivery systems have been developed which are capable of controlling the rate of drug delivery, sustaining the duration of therapeutic activity and/or targeting the delivery of drug to a tissue.

Controlled drug delivery or modified drug delivery systems are divided into four categories.

1. Delayed release
2. Sustained release
3. Site-specific targeting
4. Receptor targeting

More precisely, controlled delivery can be defined as:-

1. Sustained drug action at a predetermined rate by maintaining a relatively constant, effective drug level in the body with concomitant minimization of undesirable side effects.
2. Localized drug action by spatial placement of a controlled release system adjacent to or in the diseased tissue.
3. Targeted drug action by using carriers or chemical derivatives to deliver drug to a particular target cell type.
4. Provide physiologically/therapeutically based drug release system. In other words, the amount and the rate of drug release are determined by the physiological/ therapeutic needs of the body.

A controlled drug delivery system is usually designed to deliver the drug at particular rate. Safe and effective blood levels are maintained for a period as long as the system continues to deliver the drug (Figure 1).[6] Controlled drug deliveries usually results in substantially constant blood levels of the active ingredient as compared to the uncontrolled fluctuations observed when multiple doses of quick releasing conventional dosage forms are administered to a patient.

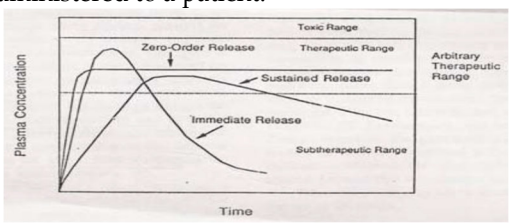

Fig 1.1: Drug level verses time profile showing differences between zero order, controlled releases, slow first order sustained release and release from conventional tablet

Oral drug delivery systems have progressed from immediate release to site-specific delivery over a period of time. Every patient would always like to have a ideal drug delivery system possessing the two main properties that are single dose or less frequent dosing for the whole duration of treatment and the dosage form must release active drug directly at the site of action.[17]

Thus the objective of the pharmacist is to develop systems that can be as ideal system as possible. Attempts to develop a single- dose therapy for the whole duration of treatment have focused attention on controlled or sustained release drug delivery systems. Attention has been focused particularly on orally administered sustained drug delivery systems because of the ease of the administration via the oral route as well as the ease and economy of manufacture of oral dosage forms. Sustained release describes the delivery of drug from the dosage forms over an extended period of time. It also implies delayed therapeutic action and sustained duration of therapeutic effect. Sustained release means not only prolonged duration of drug delivery and prolonged release, but also implies predictability and reproducibility of drug release kinetics. A number of different oral sustained drug delivery systems are based on different modes of operation and have been variously named, for example, as dissolution controlled systems, diffusion controlled systems, ion-exchange resins,

osomotically controlled systems, erodible matrix systems, pH- independent formulations, swelling controlled systems.[18-20]

An orally administered controlled drug delivery system encounters a wide range of highly variable conditions, such as pH, agitation intensity, and composition of the gastrointestinal fluids as it passes down the G.I tract. Considerable efforts have been made to design oral controlled drug delivery systems that produce more predictable and increased bioavailability of drugs. However, the development process is precluded by several physiological difficulties, like inability to retain and localize the drug delivery system within desired regions of the G.I tract and highly variable nature of the gastric emptying process. An important factor, which may adversely affect the performance of an oral controlled drug delivery system, is the G.I transit time. The time for absorption in the G.I transit in humans, estimated to be 8-10 hr from mouth to colon, is relatively brief with considerable fluctuation. G.I transit times vary widely between individuals, and depend up on the physical properties of the object ingested and the physiological conditions of the gut. This variability may lead to predictable bioavaialability and times to achieve peak plasma levels. One of the important determinants of G.I transit is the residence time in the stomach.[21-25]

Majority of the drugs are well absorbed from all the regions of the G.I tract while some are absorbed only from specific areas, principally due to their low permeability or solubility in the intestinal tract, their chemical instability, the binding of the drug to the gut contents, as well as to the degradation of the drug by the microorganisms present in the colon. Therefore, in instances where the drug is not absorbed uniformly over the G.I tract, the rate of drug absorption may not be constant in spite of the drug delivery system delivering the drugs at a constant rate into the G.I fluids. More particularly, in instances where a drug has a clear cut absorption window, i.e., the drug is absorbed only from specific regions of the stomach or upper parts of the small intestine; it may not be completely absorbed when administered in the form of a typical oral controlled drug delivery system. It is due to the relatively brief gastric emptying in humans, which normally averages 2-3 hrs through the major absorption zone. It may cause incomplete drug release from the dosage form at absorption sites leading to diminished efficacy of the administered dose. It is apparent that for a drug having such an absorption window, an effective oral controlled drug delivery system should be designed not only to deliver the drug at a controlled rate, but also to retain the drug in the stomach for a long period of time. For this drug, increased or more predictable availability would result if controlled release systems could be retained in the stomach for extended periods of time.[26-30]

It is suggested that compounding narrow absorption window drugs in a unique pharmaceutical dosage form with gastro retentive properties would enable an extended absorption phase of these drugs. After oral administration, such a dosage form would be retained in the stomach and release the drug there in a controlled and prolonged manner, so that the drug could be supplied continuously to its absorption sites in the upper gastrointestinal tract. This mode of administration would best achieve the known pharmacokinetic and pharmacodynamic advantages of controlled release dosage form for these drugs.

Incorporation of the drug in a controlled release gastroretentive dosage form (CRGRDF) can yield significant therapeutic advantages due to a variety of pharmacokinetic and pharmacodynamic factors.

Controlled release or Extended-release dosage forms with prolonged residence times in the stomach are highly desirable for drugs.[31-34] which are

1. Administered two or more time a day.
2. Only absorbed in the upper GI regions.
3. Insoluble in water.
4. Targeted at sites in the upper GI tract.
5. Bioavailable through active transport mechanisms.
6. Irritating to the mucosa.
7. Misbalancing, irritating, or unsafe in the lower GI region.
8. More effective when plasma levels are more constant.
9. That is locally active in the stomach.
10. That has an absorption window in the stomach or in the upper small intestine.
11. That is unstable in the intestinal or colonic

environment or degrades in colon.

12. Have low solubility at high pH values.

1.2 Biological aspects of gastric retention dosage forms:[35-38]

To comprehend the considerations taken in the design of gastric retention dosage forms and to evaluate their performance the relevant anatomy and physiology of the G.I tract must be fully understood. The extent of drug absorption in a segment of the G.I. tract depends generally on the rate of absorption as well as on the exposed surface area and time available for drug absorption. The G.I. Transit times of dosage forms in the various segments of the G.I. tract are listed in Table 1. The other factors influencing drug absorption are surface area, absorption mechanisms, pH values, enzymes and number of microorganisms.

Table 1.1: the Transit time of Different Dosage Forms across the Segments of GI Tract

Dosage form	Transit time (h)		
	Gastric	Small intestine	Total
Tablets	2.7±1.5	3.1±0.4	5.8
Pellets	1.2±1.3	3.4±1.0	4.6
Capsules	0.8±1.2	3.2±0.8	4.0
Oral solution	0.3±0.07	4.1±0.5	4.4

It is well recognized that the stomach may be used as a depot for controlled release dosage forms. The stomach is J-shaped organ located in the upper left hand portion of the abdomen, just below the diaphragm. The stomach is composed of the following parts. [9,10]

- Fundus
- Body
- Antrumhe proximal stomach made up of the fundus and body regions, Serves as a reservoir for ingested materials while the distal region (antrum) is the major site of mixing motions, acting as a pump to accomplish gastric emptying. The pylorus is an anatomical sphincter situated between the most terminal antrum and the duodenum.

Gastric emptying: [39-40]

The process of gastric emptying occurs in two states:

- Fasting as well as
- Fed states.

The pattern of motility is distinct in both states.

In fasting state: An interdigestive series of electrical events occurs in a cyclic manner both through stomach and small intestine every 2 to 3 hours. This activity is called the interdigestive myloelectric cycle or migrating myloelectric cycle (MMC), which is further divided into following 4 consecutive phases as

- Phase I (basal phase: It is a period with rare contractions lasting from 40 to 60 minutes.
- Phase II (preburst phase): It is period of similar duration lasting for 40 to 60 minutes consisting of intermittent action potentials and contractions that gradually increase in intensity and frequency as the phase progresses.
- Phase III (burst phase): It is a short period of intense, large regular contractions lasting for 4 to 6 minutes. It is this phase, which gives the cycle the term housekeeping wave, since it serves to sweep undigested materials out of the stomach and down the small intestine.
- Phase IV: It is a brief transitional phase that occurs between phase III and phase I of their two consecutive cycles.

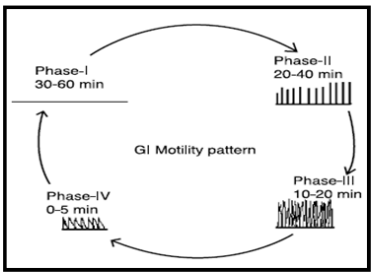

Figure 1.2: Gastrointestinal motility pattern

In fed state: The motor activity in the fed state is induced 5-10 min after ingestion of a meal and persists as long as food remains in the stomach. This is also known as digestive motility pattern and comprises continuous contractions as in phase II of fasted state These contractions are not as severe as those in the third phase of the fasted motility pattern.. These contractions result in reducing the size of food particles (to less than 1 mm), which are propelled toward the pylorus in a suspension form. During the fed state onset of MMC is delayed resulting in slowdown of gastric emptying rate.

Orally administered controlled release dosage forms are subjected to basically 2

complications that of short gastric residence time and unpredictable gastric emptying rate. These can be overwhelmed by altering the gastric emptying, which is affected by age, sex and health condition of a subject. So with extended gastro intestinal residence time, controlled release dosage forms are formulated.

METHODOLOGY

Analytical method development:

a) Determination of absorption maxima:

A solution containing the concentration 10 µg/ mL drug was prepared in 0.1N HCL UV spectrum was taken using Double beam UV/VIS spectrophotometer. The solution was scanned in the range of 200 – 400 nm.

b) Preparation calibration curve:

10mg Caffeine pure drug was dissolved in 10ml of methanol (stock solution1) from stock solution1 1ml of solution was taken and made up with10ml of 0.1N HCL (100µg/ml). From this 1ml was taken and made up with 10 ml of 0.1N HCL (10µg/+ml). The above solution was subsequently diluted with 0.1N HCL to obtain series of dilutions Containing 2, 4, 6, 8, 10 µg /ml of per ml of solution. The absorbance of the above dilutions was measured at 387nm by using UV-Spectrophotometer taking 0.1N HCL as blank. Then a graph was plotted by taking Concentration on X-Axis and Absorbance on Y-Axis which gives a straight line Linearity of standard curve was assessed from the square of correlation coefficient (R^2) which determined by least-square linear regression analysis.

Pre formulation parameters

The quality of tablet, once formulated by rule, is generally dictated by the quality of physicochemical properties of blends. There are many formulations and process variables involved in mixing and all these can affect the characteristics of blends produced. The various characteristics of blends tested as per Pharmacopoeia.

Angle of repose:

The frictional force in a loose powder can be measured by the angle of repose. It is defined as, the maximum angle possible between the surface of the pile of the powder and the horizontal plane. If more powder is added to the pile, it slides down the sides of the pile until the mutual friction of the particles producing a surface angle, is in equilibrium with the gravitational force. The fixed funnel method was employed to measure the angle of repose. A funnel was secured with its tip at a given height (h), above a graph paper that is placed on a flat horizontal surface. The blend was carefully pored through the funnel until the apex of the conical pile just touches the tip of the funnel. The radius (r) of the base of the conical pile was measured. The angle of repose was calculated using the following formula:

$\tan \theta = h / r$ $\tan \theta$ = Angle of repose

h = Height of the cone, r = Radius of the cone base

Table 7.1: Angle of Repose values (as per USP)

Angle of Repose	Nature of Flow
<25	Excellent
25-30	Good
30-40	Passable
>40	Very poor

Bulk density:

Density is defined as weight per unit volume. Bulk density, is defined as the mass of the powder divided by the bulk volume and is expressed as gm/cm^3. The bulk density of a powder primarily depends on particle size distribution, particle shape and the tendency of particles to adhere together. Bulk density is very important in the size of containers needed for handling, shipping, and storage of raw material and blend. It is also important in size blending equipment. 10 gm powder blend was sieved and introduced into a dry 20 ml cylinder, without compacting. The powder was carefully leveled without compacting and the unsettled apparent volume, Vo, was read.

The bulk density was calculated using the formula:

Bulk Density = M / V_o

Where, M = weight of sample

V_o = apparent volume of powder

Tapped density:

After carrying out the procedure as given in the measurement of bulk density the cylinder containing the sample was tapped using a suitable mechanical tapped density tester that provides 100 drops per minute and this was repeated until difference between succeeding

measurement is less than 2 % and then tapped volume, V measured, to the nearest graduated unit. The tapped density was calculated, in gm per L, using the formula:

Tap = M / V

Where, Tap= Tapped Density

M = Weight of sample

V= Tapped volume of powder

Measures of powder compressibility:

The Compressibility Index (Carr's Index) is a measure of the propensity of a powder to be compressed. It is determined from the bulk and tapped densities. In theory, the less compressible a material the more flowable it is. As such, it is measures of the relative importance of interparticulate interactions. In a free- flowing powder, such interactions are generally less significant, and the bulk and tapped densities will be closer in value.

For poorer flowing materials, there are frequently greater interparticle interactions, and a greater difference between the bulk and tapped densities will be observed. These differences are reflected in the Compressibility Index which is calculated using the following formulas:

Carr's Index = [(tap - b) / tap] × 100

Where, b = Bulk Density

Tap = Tapped Density

Table 7.2: Carr's index value (as per USP)

Carr's index	Properties
5 – 15	Excellent
12 – 16	Good
18 – 21	Fair to Passable
2 – 35	Poor
33 – 38	Very Poor
>40	Very Very Poor

FORMULATION OF TABLETS

Table: 7.3 Formulation composition for Floating tablets

Ingredients (mg)	F1	F2	F3	F4	F5	F6	F7	F8	F9
Caffeine	100	100	100	100	100	100	100	100	100
Gum Copal	50	100	150	-	-	-	-	-	-
Gum Damar	-	-	-	50	100	150	-	-	-
Ethyl Cellulose	-	-	-	-	-	-	50	100	150
Sodium bicarbonate	20	20	20	20	20	20	20	20	20
Citric acid	15	15	15	15	15	15	15	15	15
Talc	10	10	10	10	10	10	10	10	10
Mg stearate	5	5	5	5	5	5	5	5	5
Lactose	150	100	50	150	100	50	150	100	50
Total weight	350	350	350	350	350	350	350	350	350

All the quantities were in mg

Evaluation of post compression parameters for prepared Tablets

The designed compression tablets were studied for their physicochemical properties like weight variation, hardness, thickness, friability and drug content.

Weight variation test:

To study the weight variation, twenty tablets were taken and their weight was determined individually and collectively on a digital weighing balance. The average weight of one tablet was determined from the collective weight. The weight variation test would be a satisfactory method of deter mining the drug content uniformity. Not more than two of the individual weights deviate from the average weight by more than the percentage shown in the following table and none deviate by more than twice the percentage. The mean and deviation were determined. The percent deviation was calculated using the following formula.

% Deviation = (Individual weight – Average weight / Average weight) × 100

Table 7.4: Pharmacopoeial specifications for tablet weight variation

Average weight of tablet (mg)	Average weight of tablet (mg)	Maximum percentage difference

(I.P)	(U.S.P)	allowed
Less than 80	Less than 130	10
80-250	130-324	7.5
More than	More than 324	5

Hardness:

Hardness of tablet is defined as the force applied across the diameter of the tablet in order to break the tablet. The resistance of the tablet to chipping, abrasion or breakage under condition of storage transformation and handling before usage depends on its hardness. For each formulation, the hardness of three tablets was determined using Monsanto hardness tester and the average is calculated and presented with deviation.

Thickness:

Tablet thickness is an important characteristic in reproducing appearance. Tablet thickness is an important characteristic in reproducing appearance. Average thickness for core and coated tablets is calculated and presented with deviation.

Friability:

It is measured of mechanical strength of tablets. Roche friabilator was used to determine the friability by following procedure. Pre weighed tablets were placed in the friabilator. The tablets were rotated at 25 rpm for 4 minutes (100 rotations). At the end of test, the tablets were re-weighed, and loss in the weight of tablet is the measure of friability and is expressed in percentage as

% Friability = [(W1-W2) / W1] × 100

Where, W1 = Initial weight of tablets

W2 = Weight of the tablets after testing

Determination of drug content:

Both compression-coated tablets of were tested for their drug content. Ten tablets were finely powdered quantities of the powder equivalent to one tablet weight of clopidogrel were accurately weighed, transferred to a 100 ml volumetric flask containing 50 ml water and were allowed to stand to ensure complete solubility of the drug. The mixture was made up to volume with water. The solution was suitably diluted and the absorption was determined by UV –Visible spectrophotometer. The drug concentration was calculated from the calibration curve.

***In vitro* Buoyancy studies:**

The *in vitro* buoyancy was determined by floating lag time, and total floating time. (As per the method described *by Rosa et al*) The tablets were placed in a 100ml beaker containing 0.1N HCL. The time required for the tablet to rise to the surface and float was determined as floating lag time (FLT) and duration of time the tablet constantly floats on the dissolution medium was noted as Total Floating Time respectively (TFT).

***In vitro* drug release studies**

Dissolution parameters:

Apparatus	--	USP-II, Paddle Method
Dissolution Medium	--	0.1 N HCL
RPM	--	50
Sampling intervals (hrs)	--	0.5,1,2,3,4,5,6,7,8,10,11,12
Temperature	--	37°c ± 0.5°c

As the preparation was for floating drug release given through oral route of administration, different receptors fluids are used for evaluation the dissolution profile.

Procedure:

900ml 0f 0.1 HCL was placed in vessel and the USP apparatus –II (Paddle Method) was assembled. The medium was allowed to equilibrate to temp of 37°c ± 0.5°c. Tablet was placed in the vessel and the vessel was covered the apparatus was operated for 12 hours and then the medium 0.1 N HCL was taken and process was continued from 0 to 12 hrs at 50 rpm. At definite time intervals of 5 ml of the receptor's fluid was withdrawn, filtered and again 5ml receptor fluid was replaced. Suitable dilutions were done with media and analyzed by spectrophotometrically at 313 nm using UV-spectrophotometer.

Application of Release Rate Kinetics to Dissolution Data:

Various models were tested for explaining the kinetics of drug release. To analyse the mechanism of the drug release rate kinetics of the dosage form, the obtained data were fitted into zero-order, first order, Higuchi, and

Korsmeyer-Peppas release model.

Zero order release rate kinetics:

To study the zero–order release kinetics the release rate data are fitted to the following equation.

$$F = K_o t$$

Where, 'F' is the drug release at time't', and 'K_o' is the zero order release rate constant. The plot of % drug release versus time is linear.

First order release rate kinetics: The release rate data are fitted to the following equation

Log (100-F) = kt

A plot of log cumulative percent of drug remaining to be released vs. time is plotted then it gives first order release.

Higuchi release model: To study the Higuchi release kinetics, the release rate data were fitted to the following equation.

F = k t1/2

Where, 'k' is the Higuchi constant.

In Higuchi model, a plot of % drug release versus square root of time is linear.

Korsmeyer and Peppas release model:

The mechanism of drug release was evaluated by plotting the log percentage of drug released versus log time according to Korsmeyer-Peppas equation. The exponent 'n' indicates the mechanism of drug release calculated through the slope of the straight Line.

$$M_t / M_\infty = K t^n$$

Where, M_t / M_∞ is fraction of drug released at time 't', k represents a constant, and 'n' is the diffusional exponent, which characterizes the type of release mechanism during the dissolution process. For non-Fickian release, the value of n falls between 0.5 and 1.0; while in case of Fickian diffusion, n = 0.5; for zero-order release (case I I transport), n=1; and for supercase II transport, n > 1. In this model, a plot of log (M_t / M_∞) versus log (time) is linear.

Drug – Excipient compatibility studies

Fourier Transform Infrared (FTIR) spectroscopy:

The compatibility between the pure drug and excipients was detected by FTIR spectra obtained on Bruker FTIR Germany(Alpha T).The solid powder sample directly place on yellow crystal which was made up of ZnSe. The spectra were recorded over the wave number of 4000 cm^{-1} to 550 cm^{-1}.

RESULTS AND DISCUSSION

Analytical Method

Calibration Curve

The standard curve is based on the spectrophotometry. The maximum absorption was observed at 274 nm. Graphs of Caffeine was taken in 0.1N HCL (pH 1.2)

Table : Observations for graph of Caffeine in 0.1N HCL

Concentration (µg/ml)	Absorbance
0	0
2	0.185
4	0.371
6	0.537
8	0.729
10	0.905

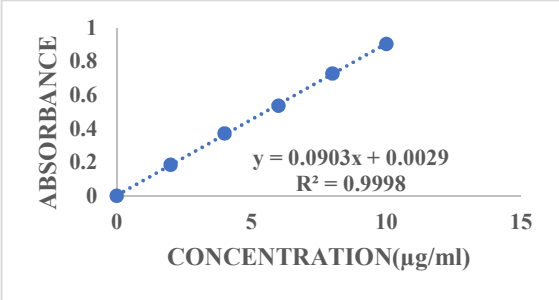

Fig 8.1: Standard graph of Caffeine in 0.1N HCL

Standard graph of Caffeine was plotted as per the procedure in experimental method and its linearity is shown in Table and Fig. The standard graph of Caffeine showed good linearity with R^2 of 0.998, which indicates that it obeys "Beer-Lamberts" law.

Preformulation parameters of powder blend:

Table: Pre-formulation parameters of blend

Formulation Code	Angle of Repose	Bulk density (gm/mL)	Tapped density (gm/mL)	Carr's index (%)	Hausner's Ratio

F1	27.528±0.235	0.561±0.032	0.634±0.043	0.115	1.130
F2	24.512±0.290	0.567±0.045	0.660±0.057	0.141	1.164
F3	27.210±0.352	0.574±0.058	0.652±0.083	0.119	1.135
F4	27.050±0.252	0.582±0.026	0.674±0.048	0.136	1.158
F5	24.625±0.374	0.575±0.048	0.680±0.061	0.154	1.182
F6	28.561±0.380	0.624±0.043	0.691±0.053	0.096	1.107
F7	24.840±0.972	0.607±0.057	0.667±0.063	0.089	1.098
F8	29.653±0.784	0.605±0.086	0.682±0.049	0.113	1.127
F9	28.462±0.850	0.611±0.048	0.679±0.057	0.100	1.111

Tablet powder blend was subjected to various pre-formulation parameters. The angle of repose values indicates that the powder blend has good flow properties. The bulk density of all the formulations was found to be in the range of 0.561 to 0.624 (gm/ml) showing that the powder has good flow properties. The tapped density of all the formulations was found to be in the range of 0.634 to 0.682 showing the powder has good flow properties. The compressibility index of all the formulations was found to be below 0.096 -0.141 which shows that the powder has good flow properties. All the formulations has shown the Hausner's ratio ranging between 1.098 to 1.164 indicating the powder has good flow properties.

8.4. Quality Control Parameters For tablets:

Tablet quality control tests such as weight variation, hardness, and friability, thickness, Drug content and drug release studies were performed for floating tablets.

Table*: In vitro* quality control parameters

Formulation codes	Average Weight (mg)	Hardness (kg/cm²)	Friability (%loss)	Thickness (mm)	Drug content (%)	Floating Lag Time (Seconds)	Total Floating Time (Hours)
F1	348.48	4.25±0.8	0.45±0.08	2.26±0.6	99.48	69.95	12
F2	347.36	5.00±0.91	0.48±0.07	2.48±0.19	98.63	63.25	12
F3	349.73	4.28±0.27	0.47±0.05	3.01±0.27	99.72	43.15	12
F4	345.69	5.25±0.67	0.43±0.02	2.85±0.36	97.39	38.02	12
F5	349.26	4.20±0.29	0.49±0.09	2.79±0.74	98.83	35.01	12
F6	350.72	4.05±0.62	0.40±0.08	2.86±0.61	99.27	31.25	12
F7	348.99	4.50±0.18	0.53±0.06	2.57±0.28	97.69	52.05	12
F8	347.77	5.25±0.25	0.58±0.08	2.64±0.38	98.88	72.57	12
F9	349.54	4.25±0.38	0.61±0.04	2.91±0.54	99.43	71.35	12

All the parameters for Floating Tablets such as weight variation, friability, hardness, thickness, drug content were found to be within limits.

***8.6. In Vitro* Drug Release Studies**

Table: Dissolution data of Floating Tablets

Time (hrs)	F1	F2	F3	F4	F5	F6	F7	F8	F9
0	0	0	0	0	0	0	0	0	0
0.5	11.11	15.67	19.39	10.07	12.43	19.78	12.43	16.48	18.09
1	14.23	19.66	22.16	16.92	18.86	25.41	19.65	24.39	25.16
2	19.22	25.53	29.73	22.56	26.66	32.26	22.86	27.58	33.63
3	23.98	29.29	35.22	27.29	35.27	38.52	31.93	34.62	45.12
4	29.63	34.27	39.35	32.44	41.81	43.16	35.32	42.51	53.87
5	35.74	39.35	45.76	38.71	49.23	52.35	48.21	49.86	59.64
6	43.05	49.68	52.25	43.83	55.26	61.24	52.75	54.21	66.58

7	52.29	57.77	63.14	49.15	62.83	68.83	57.22	58.87	74.76
8	59.88	64.26	72.88	56.66	69.27	72.93	62.04	63.24	79.13
9	65.73	75.92	84.39	69.38	73.84	78.22	69.57	74.62	86.22
10	73.63	82.81	89.46	77.29	81.65	84.45	72.61	78.81	88.08
11	84.91	89.34	92.17	89.45	88.43	89.37	85.05	89.35	91.13
12	92.34	96.44	97.51	91.15	94.29	99.38	96.63	94.82	95.75

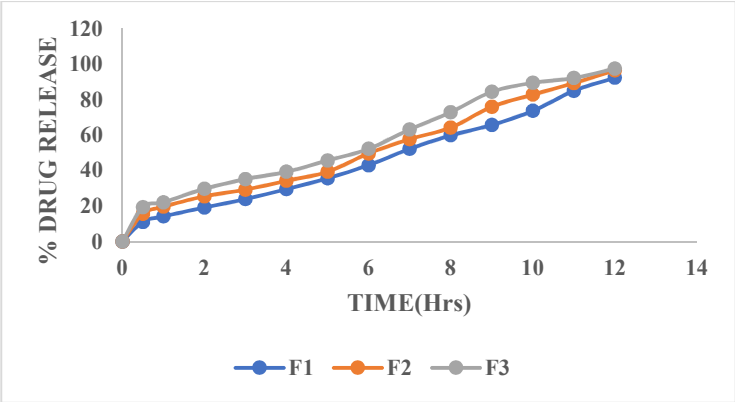

Fig: Dissolution data of Caffeine Floating tablets containing Gum Copal

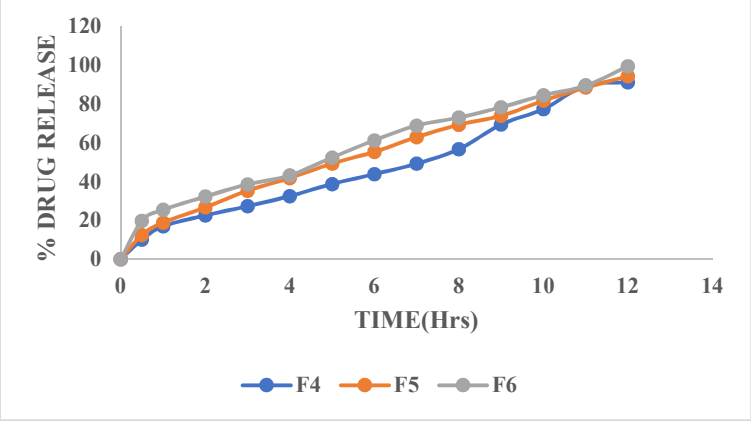

Fig: Dissolution data of Caffeine Floating tablets containing Gum Damar

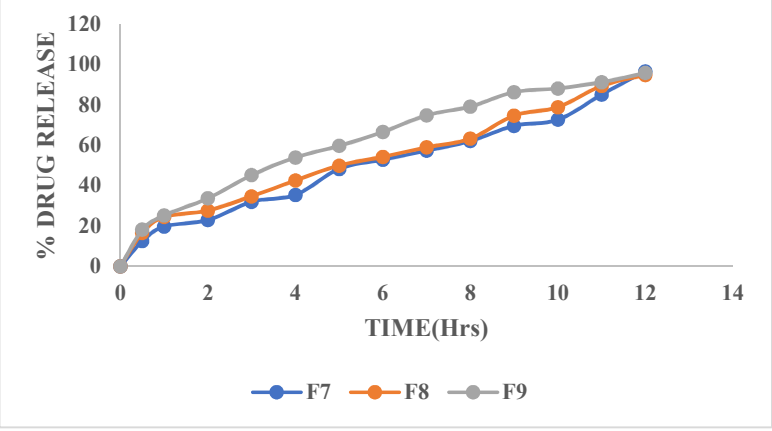

Fig: Dissolution data of Caffeine Floating tablets containing Ethyl Cellulose

From the dissolution data it was evident that the formulations prepared with Gum Copal

polymer were retarded the drug release 12 hours.

Whereas the formulations prepared with higher concentration of Gum Damar retarded the drug release up to 12 hours. In lower concentrations the polymer was unable to retard the drug release.

The formulations prepared with Ethyl Cellulose showed very less retardation capacity hence they were not considered.

Hence from the above dissolution data it was concluded that F6 formulation was considered as optimized formulation because good drug release (99.38%) in 12 hours.

Application of Release Rate Kinetics to Dissolution Data for optimised formulation:

Table: Application kinetics for optimised formulation

CUMULATIVE (%) RELEASE Q	TIME (T)	ROOT (T)	%) REL	LOG (T	LOG (%) REMAIN	RELEASE RATE (CUMULATIVE % RELEASE / t)	1/CUM% RELEASE	PEPPAS log Q/100	% Drug Remaining	Q01/3	Qt1/3	Q01/3-Qt1/3
0	0	0			2.000				100	4.642	4.642	0.000
19.78	**0.5**	0.707	1.296	-0.301	1.904	39.560	0.0506	-0.704	80.22	4.642	4.313	0.329
25.41	**1**	1.000	1.405	0.000	1.873	25.410	0.0394	-0.595	74.59	4.642	4.209	0.432
32.26	**2**	1.414	1.509	0.301	1.831	16.130	0.0310	-0.491	67.74	4.642	4.076	0.565
38.52	**3**	1.732	1.586	0.477	1.789	12.840	0.0260	-0.414	61.48	4.642	3.947	0.695
43.16	**4**	2.000	1.635	0.602	1.755	10.790	0.0232	-0.365	56.84	4.642	3.845	0.797
52.35	**5**	2.236	1.719	0.699	1.678	10.470	0.0191	-0.281	47.65	4.642	3.625	1.016
61.24	**6**	2.449	1.787	0.778	1.588	10.207	0.0163	-0.213	38.76	4.642	3.384	1.257
68.83	**7**	2.646	1.838	0.845	1.494	9.833	0.0145	-0.162	31.17	4.642	3.147	1.494
72.93	**8**	2.828	1.863	0.903	1.432	9.116	0.0137	-0.137	27.07	4.642	3.003	1.639
78.22	**9**	3.000	1.893	0.954	1.338	8.691	0.0128	-0.107	21.78	4.642	2.793	1.849
84.45	**10**	3.162	1.927	1.000	1.192	8.445	0.0118	-0.073	15.55	4.642	2.496	2.146
89.37	**11**	3.317	1.951	1.041	1.027	8.125	0.0112	-0.049	10.63	4.642	2.199	2.443
99.38	**12**	3.464	1.997	1.000	0.500	8.282	0.0101	-0.003	0.62	4.642	0.853	3.789

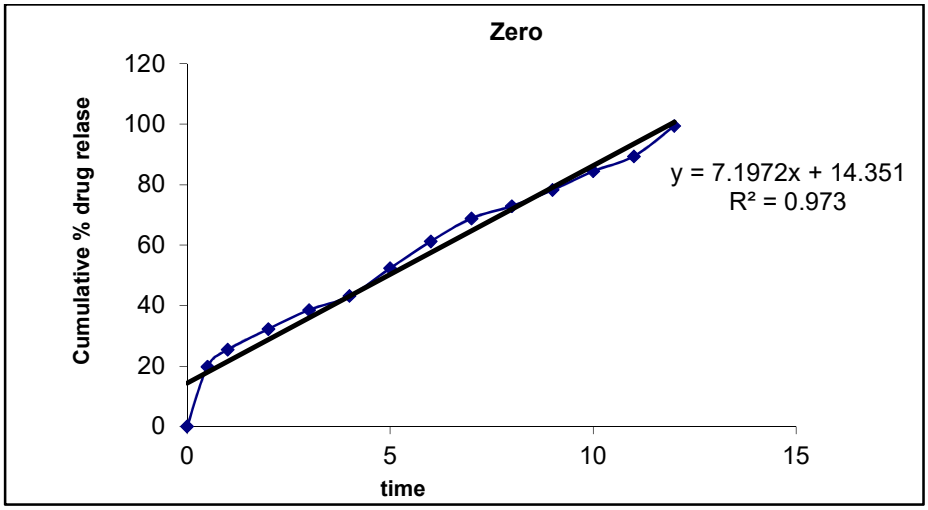

Fig: Zero order release kinetics

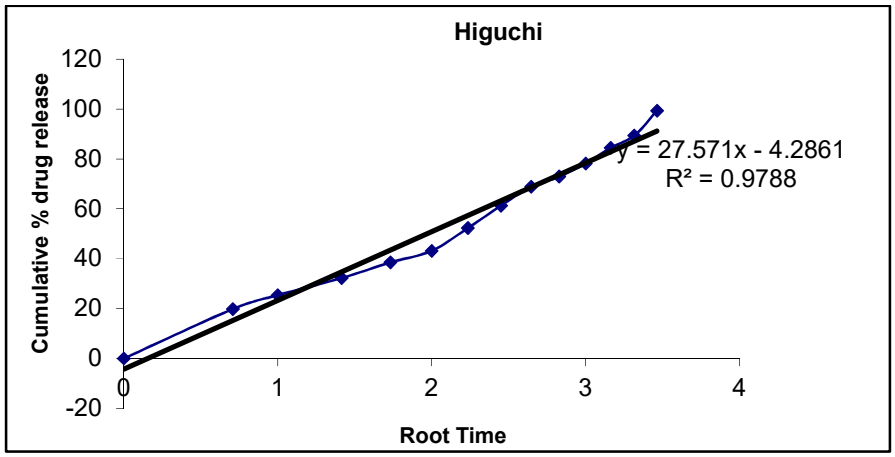

Fig : Higuchi release kinetics

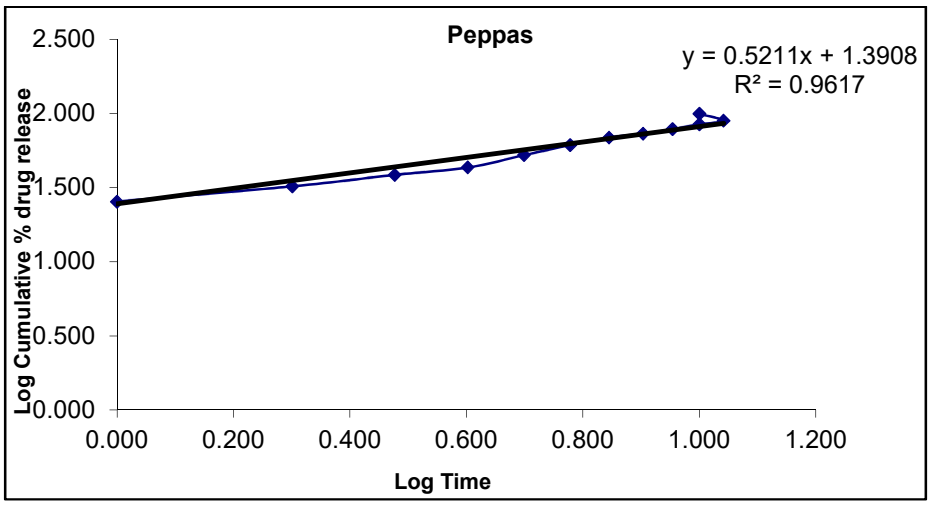

Fig: Kors mayer peppas release kinetics

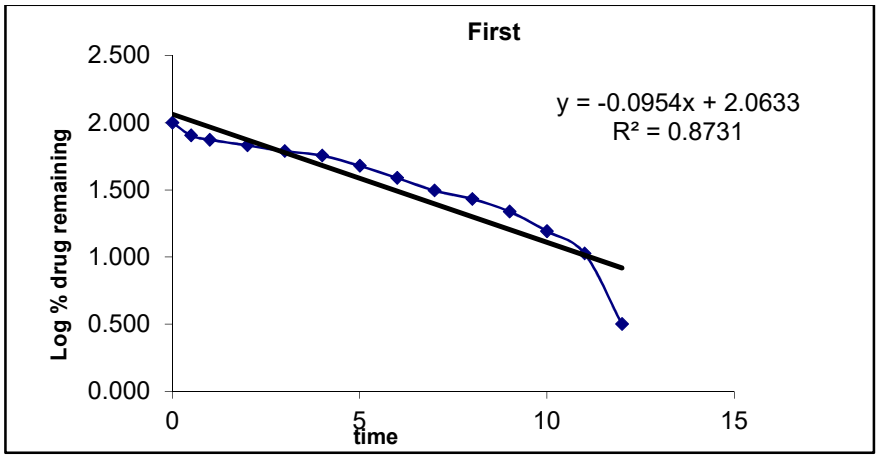

Fig: First order release kinetics

Optimised formulation F6 was kept for release kinetic studies. From the above graphs it was evident that the formulation F6 was followed Zero order release mechanism.

Drug – Excipients compatibility studies

Fourier Transform-Infrared Spectroscopy:

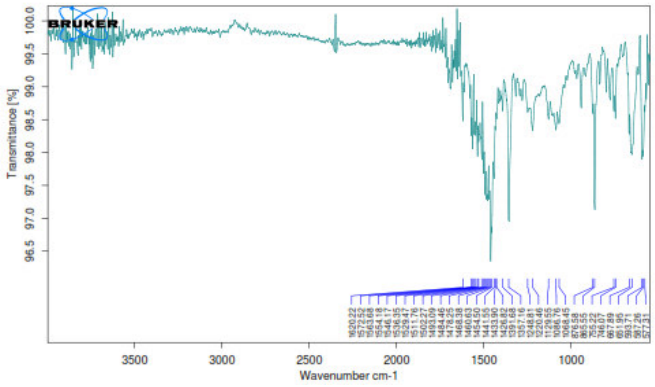

Fig: FTIR Spectrum of pure drug

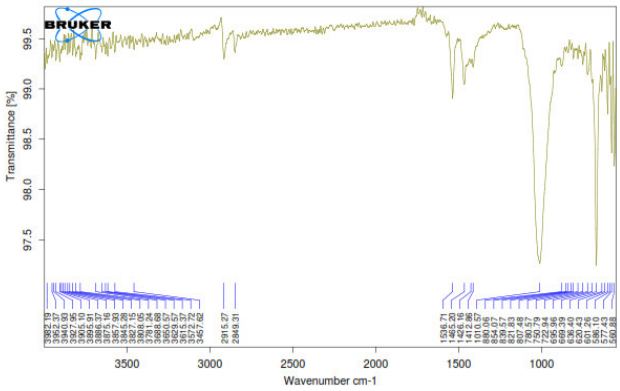

Fig: FTIR Spectrum of optimized formulation

There was no disappearance of any characteristics peak in the FTIR spectrum of drug and the polymers used. This shows that there is no chemical interaction between the drug and the polymers used. The presence of peaks at the expected range confirms that the materials taken for the study are genuine and there were no possible interactions.

Caffeine is also present in the physical mixture, which indicates that there is no interaction between drug and the polymers, which confirms the stability of the drug.

CONCLUSION

The present study successfully formulated and evaluated a Floating Drug Delivery System (FDDS) of caffeine to enhance its gastric retention time and improve its bioavailability. Various formulations were prepared using different concentrations of polymers such as Gum Copal, Gum Damar , Ethyl Cellulose and sodium bicarbonate as a gas-generating agent. Among all the formulations, the optimized batch demonstrated satisfactory pre-compression and post-compression parameters, including hardness, friability, drug content uniformity, and buoyancy characteristics.

The in vitro drug release profile indicated a sustained release of caffeine over an extended period, with the optimized formulation showing 99.38% drug release over 12 hours and a floating lag time of less than 1 minute, maintaining buoyancy for more than 12 hours. These results confirmed that the FDDS effectively prolonged the gastric residence time of caffeine and controlled its release, thereby potentially improving therapeutic efficacy and patient compliance.

Thus, the formulated floating tablet system of caffeine offers a promising approach for gastroretentive drug delivery, especially for drugs with narrow absorption windows in the upper gastrointestinal tract.

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