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Research Article

DEVELOPMENT AND CHARACTERIZATION OF POLMACOXIB FLOATING TABLETS

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St. Francis Street, Secunderabad - 500025, India.² Department of Pharmaceutics, St.Mary's college of pharmacy, St. Francis Street,
Secunderabad - 500025, India.**Abstract:**

The present study was carried out to develop and characterise floating tablets of Polmacoxib, a selective cyclooxygenase-2 (COX-2) inhibitor NSAID used in the treatment of osteoarthritis. The objective was to enhance gastric residence time, provide sustained drug release, and improve therapeutic efficacy through a gastroretentive drug delivery system. Floating tablets were prepared by the direct compression method using Polmacoxib, Ethyl Cellulose, Eudragit RS100, Microcrystalline Cellulose (MCC), Sodium Bicarbonate, Talc, and Magnesium Stearate. Four formulations (F1–F4) were developed with varying concentrations of polymers. Preformulation studies, including organoleptic evaluation, solubility studies, UV spectroscopic analysis, and FTIR compatibility studies, were performed. FTIR results confirmed the absence of drug–excipient interactions. The prepared formulations exhibited satisfactory flow properties and were further evaluated for physical characteristics, floating lag time, buoyancy, drug content, and in-vitro drug release. Formulation 1: Lag time is 54 sec; Drug Release is 94.68% Formulation 2: Lag time is 62 sec; Drug Release is 93.26% Formulation 3: Lag time is 42 sec; Drug Release is 93.39% Formulation 4: Lag time is 48 sec; Drug Release is 95.52% 3 Among all formulations, F3 showed the best performance with a floating lag time of 42 seconds, buoyancy exceeding 8 hours, and a cumulative drug release of 96.39% within 8 hours. Stability studies conducted for 90 days indicated no significant changes in the physical and chemical properties of the optimised formulation. The results demonstrated that Polmacoxib floating tablets can be successfully formulated by direct compression, and formulation F3 was identified as the optimised formulation due to its excellent floating behaviour, sustained drug release, and stability. Thus, the developed floating tablet system represents a promising approach for improving the oral delivery and therapeutic effectiveness of Polmacoxib.

Keywords: Polmacoxib, Synthetic Polymers, FTIR studies, Direct compression technique, In vitro drug release studies.

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INTRODUCTION:

Gastroretentive Drug Delivery Systems

Gastroretentive drug delivery systems (GRDDS) are oral dosage forms designed to remain in the stomach for a prolonged period and release the drug in a controlled manner. Conventional oral dosage forms generally undergo rapid gastric emptying, which can limit the residence time of the dosage form in the stomach and consequently affect drug dissolution and absorption. Gastroretention can provide a longer period for drug release and absorption in the upper gastrointestinal tract and can be particularly useful for drugs that have a narrow absorption window, are absorbed mainly from the stomach or upper small intestine, or require prolonged local action in the gastric region. The gastrointestinal tract exhibits considerable physiological variability in terms of gastric motility, gastric emptying rate, pH, and the presence of food. These factors can influence the residence time and performance of orally administered dosage forms. Rapid gastric emptying may result in incomplete drug release and reduced absorption when the drug is preferentially absorbed from the upper gastrointestinal region. Gastroretentive systems are developed to overcome these limitations by prolonging the residence of the dosage form in the stomach and maintaining drug release over an extended period.

Floating Drug Delivery Systems

Floating drug delivery systems (FDDS) are gastroretentive dosage forms that remain buoyant in the gastric contents for a desired period without significantly affecting the normal gastric emptying process. The basic principle of a floating system is to maintain the density of the dosage form below that of gastric fluid. After administration, the dosage form remains on or near the surface of the gastric contents and releases the incorporated drug gradually. The prolonged gastric residence provided by floating systems can increase the duration available for drug dissolution and absorption.

The buoyancy of a floating dosage form depends on several formulation and physiological factors, including polymer characteristics, polymer concentration, tablet density, porosity, swelling behavior, viscosity of the hydrated matrix, and gastric contents. Appropriate selection of these variables is important for maintaining sufficient floating duration while providing the desired drug-release profile.

Types of Floating Drug Delivery Systems

Floating drug delivery systems are broadly classified into effervescent and non-effervescent systems. Effervescent systems contain gas-generating components that produce carbon dioxide when they come into contact with gastric fluid. The generated

gas becomes entrapped within the hydrated polymeric matrix, reducing the density of the dosage form and producing buoyancy. Sodium bicarbonate, alone or in combination with acidic components such as citric acid, is commonly used for this purpose.

Non-effervescent floating systems do not depend on gas generation for buoyancy. They generally contain swellable and gel-forming polymers that hydrate after contact with gastric fluid and form a viscous gel barrier. The hydrated matrix retains its low density and remains buoyant while controlling the diffusion of gastric fluid and drug molecules. Hydrophilic polymers such as hydroxypropyl methylcellulose and related matrix-forming materials are frequently used in the development of non-effervescent systems.

Advantages of Floating Drug Delivery Systems

- Prolongs the gastric residence time of the dosage form.
- Provides sustained and controlled drug release.
- Increases the duration of drug availability in the upper gastrointestinal tract.
- May improve the absorption of drugs having a narrow absorption window.
- Can improve the bioavailability of drugs with site-specific absorption.

Disadvantages of Floating Drug Delivery Systems

- Gastric residence time may vary considerably between individuals.
- Gastric emptying is influenced by the presence and nature of food.
- Gastric motility can affect the retention of the dosage form.
- Floating behavior may not be maintained for the required duration in all physiological conditions.
- The system may be unsuitable for drugs that are unstable in the acidic gastric environment.
- Drugs intended for absorption throughout the entire gastrointestinal tract may not always benefit from gastric retention.

Applications of Floating Drug Delivery Systems

Floating drug delivery systems have been explored for drugs that are preferentially absorbed from the stomach or upper portion of the small intestine and for drugs possessing a narrow absorption window. They can also be useful when prolonged gastric residence is required to improve drug dissolution or absorption. Floating systems have been investigated for sustained delivery of drugs requiring prolonged therapeutic action, as well as for agents intended to produce a local effect within the stomach. By maintaining the dosage form in the gastric region for an extended period, these systems provide greater

control over the duration and location of drug release compared with conventional oral dosage forms.

MATERIALS

Polmacoxib was procured from Synpharma Research Labs, Hyd, Ethyl cellulose, Eudragit RS 100,

Microcrystalline cellulose, Magnesium stearate, Sodium bi carbonate and Talc were obtained from Synpharma Research Labs, Hyderabad. Other chemicals and the reagents used were of analytical grade.

METHODOLOGY:

Formulation Table

Table-1: Composition Of Polmacoxib Floating Tablets

Ingredients (mg)	F1	F2	F3	F4
Polmacoxib	2	2	2	2
HPMC K4M	40	40	40	40
Ethyl cellulose	50	90	-	-
Eudragit RS 100	-	-	50	90
MCC	130	90	130	90
Sodium bi carbonate	25	25	25	25
Magnesium stearate	2	2	2	2
Talc	1	1	1	1
Total wt.	250	250	250	250

Preparation of Formulation:

Different tablet formulations were prepared by direct compression method. The formulations are composed of natural polymers. All powders were passed through 40-mesh sieve. The other excipients and the polymer were mixed uniformly. Drug was added to the polymers and other excipients for 20 min. The resulting mixture were mixed with magnesium Stearate and talc in polyethylene bag for 5 min. The lubricated granules were compressed using 8 mm punch (single punch tablet machine) in to tablets. Compression pressure was adjusted during tablet ting of each formula to get the tablet hardness in the range of 2.5 to 5 Kg/cm². The total weight of tablet was kept at 250 mg.

Evaluation Of Tablets

Physical Appearance:

The general appearance of a tablet, its identity and general elegance is essential for consumer acceptance, for control of lot-to-lot uniformity and tablet-to-tablet uniformity. The control of general appearance involves the measurement of size, shape, colour, presence or absence of odour, taste etc.

Size & Shape:

It can be dimensionally described & controlled. The thickness of a tablet is only variables. Tablet

thickness can be measured by micro-meter or by another device. Tablet thickness should be controlled within a $\pm 5\%$ variation of standard value.

Weight variation test:

Twenty tablets were weighed individually and the average weight was calculated. The individual tablet weights are then compared to the average weight. Not more than two tablets should differ in their average weight by more than percentages stated in USP. No tablet must differ by more than double the relevant percentage.

Content Uniformity:

Randomly select 30 tablets. 10 of these assayed individually. The Tablet pass the test if 9 of the 10 tablets must contain not less than 85% and not more than 115% of the labeled drug content and the 10th tablet may not contain less than 75% and more than 125% of the labeled content. If these conditions are not met, remaining 20 tablets assayed individually and none may fall outside of the 85 to 115% range.

Friability:

A number of tablets are weighed and placed in the apparatus where they are exposed to rolling and repeated shocks as they fall 6 inches in each turn within the apparatus. After four minutes of this treatment or 100 revolutions, the tablets are weighed and the weight compared with the initial weight. The loss due to abrasion is a measure of the tablet friability. The value is expressed as a percentage. A

maximum weight loss of not more than 1% of the weight of the tablets being tested during the friability test is considered generally acceptable and any broken or smashed tablets are not picked. The percentage friability was determined by the formula:

$$\% \text{ friability} = (W_1 - W_2) / W_1 \times 100$$

W_1 = Weight of tablets before test

W_2 = Weight of tablets after test

Floating lag time:

The time between the introduction of the tablet into the medium and its rise to upper one third of the dissolution vessel is termed as floating lag time and the time for which the dosage form floats is termed as the floating or flotation time. These tests are usually performed in simulated gastric fluid or 0.1N HCl maintained at 37 °C, by using USP dissolution apparatus containing 900 ml of 0.1N HCl as the dissolution medium.

Drug release studies:

The drug release from the Polmacoxib tablets was investigated in a USP-II (paddle) apparatus, 900 ml of 0.1N HCl (50 rpm, 37°C). At predetermined time intervals, 5-ml samples were withdrawn and take 1ml sample and diluted to 10 ml and then analyzed with UV spectrophotometry.

Stability studies:

The success of an effective formulation can be evaluated only through stability studies. The purpose of stability testing is to obtain a stable product which assures its safety and efficacy up to the end of shelf life at defined storage conditions and peak profile. The prepared Polmacoxib floating tablets were placed on plastic tubes containing desiccant and stored at ambient conditions, such as at room temperature, 40±2°C and refrigerator 2-8°C for a period of 90 days.

RESULTS AND DISCUSSION

Pre-compression Parameters

Bulk Density: The bulk density for the formulated blend was carried out for all formulation and found in the range of 0.479-0.493.

Tapped density: The tapped density for the formulated blend was carried out for all formulation and found in the range of 0.580-0.589.

Angle of repose: The angle of repose for the formulated blend was carried out. It concludes that all the formulations blend was found to be in the range of 27° to 30°

Compressibility index: Compressibility index was carried out; it found between 10% to 17.47 % indicating the powder blend have the required flow property for compression.

Hauser ratio: Hauser ratio was carried out; it found between 1.20 to 1.21 indicating the powder blend have the required flow property for compression.

Table-2: Evaluation parameters of Polmacoxib

S. no	Bulk density	Tapped density	Compressibility index	Hausner ratio	Angle of repose (°)
F1	0.493	0.589	15.62	1.20	30°
F2	0.479	0.580	17.47	1.21	270
F3	0.484	0.582	16.83	1.20	30°
F4	0.490	0.585	16.15	1.21	29 0

Evaluation of the Prepared Tablets for Physical Parameters

All formulations were tested for Physical parameters like Hardness, thickness, Weight Variation, Friability and found to be within the Pharmacopoeial limits. The results of the tests were tabulated. The drug content of all the formulations was determined and was found to be within the permissible limit. This study indicated that all the prepared formulations were good.

Table-3: Evaluation parameters of Polmacoxib floating tablets

Parameter	F1	F2	F3	F4
Weight variation	250	249	250	250
Thickness (mm)	2.9	2.6	2.8	2.1
Hardness (kg/cm ²)	4.3	4.5	4.8	4.1
Friability	0.26	0.30	0.29	0.32
Content uniformity	79.68	80.12	82.69	81.25
Floating lag time (Sec)	45	47	42	49

Floating lag time

The prepared formulations were evaluated for floating lag time and buoyancy time. Sodium bicarbonate induced carbon dioxide generation in presence of dissolution medium (0.1 N HCl). It was observed that the gas generated is trapped and protected within the matrix, formed by polymers, thus density of the tablet decreased and it becomes buoyant. The floating lag time of the optimized formulation F3 was 42 sec.

In vitro Dissolution studies

The dissolution conditions used for studying the drug release from tablet of Polmacoxib are:

Apparatus	: USP apparatus II (Paddle)
Agitation speed (rpm)	: 50rpm
Medium	: 0.1N HCl
Volume	: 900 ml
Temperature	: 37.0 ± 0.5 C
Time	: 1,2,3,4,5,6,7 and 8hrs.
Wavelength	: 238 nm

Table-4: In vitro drug release of Polmacoxib floating tablets

Time	F1	F2	F3	F4
0	0	0	0	0
1	18.42	17.86	19.12	18.14
2	36.93	35.46	34.56	32.10
3	47.86	45.19	44.25	42.10
4	58.46	55.43	59.60	55.18
5	67.86	66.50	69.52	67.25
6	78.42	77.86	79.82	72.18
7	85.10	84.25	86.15	85.20
8	94.68	93.26	96.39	95.52

The samples were withdrawn at predetermined time points, and were analysed spectrophotometrically at 238 nm.

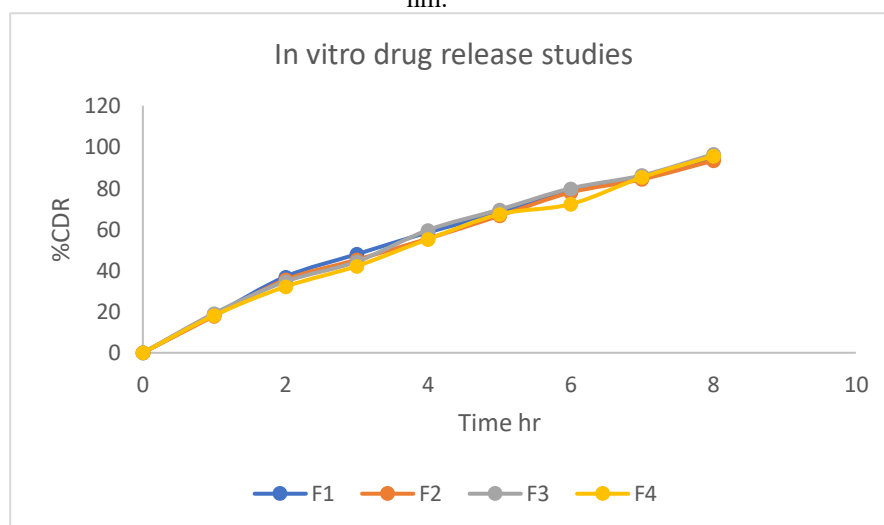


Fig-1: In vitro drug release for all the formulations

Stability Study

There was no significant change in physical and chemical properties of the tablets of formulation F-3 after 90 days. Parameters quantified at various time intervals were shown. Optimized formulations F3 was selected for accelerated stability studies as per ICH guidelines. The floating tablets were observed for % cumulative drug release of the formulation was found to be decreasing.

Table-5: Stability study for optimized formulation

Formulation Code	Parameters	Initial	1st Month	2nd Month	3rd Month	Limits as per Specifications
F-3	25°C/60%RH % Release	96.39	95.25	94.26	93.15	Not less than 85 %
F-3	30°C/75% RH % Release	96.39	95.18	94.27	93.19	Not less than 85 %
F-3	40°C/75% RH % Release	96.39	95.10	94.23	93.25	Not less than 85 %

SUMMARY & CONCLUSION:

The objective of the present study is to formulate a Floating tablet of Polmacoxib. In this present study an attempt was made to increase the GI residence time of Polmacoxib, as the drug is having less gastric residence time, by formulating in to Floating tablets. Systematic studies were conducted using different concentration of rate releasing different polymers for extending the drug release in upper GIT. All the prepared systems were evaluated for the different properties. Before the preparation of tablets, Preformulation studies to find out the micromeritic properties to assess flowability, compressibility properties and solubility studies and all the formulations gave good results for above Preformulation studies. Formulated tablets gave satisfactory results for various physical tablet evaluation parameters like tablet dimensions, hardness, friability, weight variation, buoyancy, content uniformity, all the formulations were found within the permissible range.

Finally, it was concluded that:

Among all the formulations (F1-F4), it was observed that formulation-3 has shown better buoyancy and dissolution profile. So, Formulation-3 was found to be the best formulation among others.

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