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Research Paper

Formulation and Evaluation of Metoprolol Succinate Floating Tablets Using Chia Seeds

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ABSTRACT

Floating tablets are a special type of drug delivery system that stays in the stomach for a longer time.The development of floating tablets falls under gastroretentive drug delivery systems, aiming to increase drug bioavailability. The aim of the present study is to formulate, develop and characterize gastroretentive floating tablets of Metaprolol Succinate, an Anti-hypertensive drug that acts by blocking β-1 adrenergic receptors. Metaprolol Succinate, is a BCS Class I drug having high solubility and permeability. The objective of the study involves retainment of the drug in the gastric environment to prolong the drug release time. Four formulations were prepared by varying the concentration of chia seeds. The FTIR and DSC studies indicated compatibility between the drug and chia seeds. Fours formulations were prepared by granulation method and were evaluated for various parameters such as tablet hardness, weight variation, friability, floating time and in vitro drug release profile. Formulation FM 3 containing 150mg of chia seeds was optimized as better formulation as 96.54±0.12 of drug was released in 12hrs.

INTRODUCTION

Oral drug delivery is the predominant and convenient method widely adopted for both traditional and novel drug delivery systems. Tablets are the most prevalent oral solid formulations, preferred by patients and healthcare providers due to their ease of administration and high acceptance. However, in long-term therapy for chronic conditions, conventional formulations

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necessitate multiple doses, posing inherent challenges. Oral administration also introduces presystemic elimination challenges, including gastrointestinal degradation and first-pass hepatic metabolism, leading to reduced bioavailability, shorter therapeutic activity, and the formation of inactive or toxic metabolites. Sustained release, sustained action, prolonged action, controlled release, extended release, depot release these are the various terms used to identify drug delivery systems that are designed to achieve a prolonged therapeutic effect by continuously releasing medication over a long period of time after administration of a single dose of drug. Floating tablets are a special type of drug delivery system that stays in the stomach for a longer time. This helps to improve the absorption and effectiveness of certain medications. By floating on top of the gastric contents, these tablets release the drug slowly and consistently. It's a clever way to ensure optimal drug delivery and bioavailability. The development of floating tablets falls under gastroretentive drug delivery systems, aiming to increase drug bioavailability. These systems address challenges like drugs with limited absorption windows, low water solubility in the small intestine's alkaline pH, or instability in the intestinal environment. The key is not just extending drug delivery beyond 12 hours but ensuring the dosage form remains in the stomach until the desired drug release period. Rapid gastrointestinal transit can lead to incomplete drug release and reduced efficacy. The rational approach is to retain the drug reservoir in the stomach, releasing it in a controlled manner for prolonged, zero-order kinetics. This strategy benefits drugs with poor bioavailability due to narrow absorption windows. Floating drug delivery enhances bioavailability by keeping the dosage form at the absorption site ideal floating tablets and systems aim for predictable and increased bioavailability, offering a single dose for the entire treatment duration and delivering the drug directly to the target site.

MATERIALS AND METHODS:

Metoprolol succinate, active pharmaceutical ingredient was obtained from MSN laboratories,Visakhapatnam. Chia seeds were purchased from the local market, Sodium alginate and Calcium carbonate were obtained from Finar Chemicals Ahmedabad. Citric acid was procured from Fischer Scientific India Private Ltd Powai,Talc from Qualikems Lifesciences private limited,Vadodara and Magnesium sterate from Otto Chemicals, Mumbai.

S.no	Ingridients	FM 1(mg)	FM 2(mg)	FM 3(mg)	FM 4(mg)
1	Metaprolol Succinate	200	200	200	200
2	Chia Seeds	50	100	150	200
3	Sodium Alginate	40	40	40	40
4	Citric Acid	5	5	5	5
5	Calcium Carbonate	199	149	99	49
6	Talc	3	3	3	3
7	Magnesium Stearate	3	3	3	3

1.1.Compatibility studies

FTIR analysis

Infrared (IR) spectra of drug, and excipients were performed for detection of any interactions in the range of 400cm^{-1} to 4000cm^{-1} by using ZnSe engine diamond ATR model (Agilent, Cary 630) and studied for the presence of characteristic peaks [12].

Differential scanning Calorimetry

The DSC analysis of pure drugs, polymers, and crushed tablets was carried out to evaluate any possible drug-polymer interaction. The analysis

was performed at a rate 10 ^{0}C/min from 20 ^{0}C to 300 ^{0}C temperature range under a nitrogen flow of 25 mL/min [13].

Determination of micrometric properties

The tablet powder mix was characterized for bulk density, tapped density, compressibility index, Hausner's ratio, and angle of repose [14].

Determination of post-compression parameters

Hardness: This test was performed to check the hardness of tablets as they may undergo chipping or breakage during storage, transportation, and handling. Six tablets were selected randomly and the hardness of every tablet was measured using a Monsanto hardness tester.

Friability: Roche friability was used to perform the friability test where the percentage loss in weight of the tablets or friability (F) was calculated by the formula

$F = (1-W/W_0) \times 100$

F=Friability; W_0= Initial weight; W=Final weight

Weight variation: To check the uniformity of weight of the tablets, this test was performed by finding the weight of 20 random tablets and calculating their average weight to know the deviation in weight of the tablets.

$$\frac{\textit{Initial weight-Final weight}}{\textit{Initial weight}} \times 100$$

Drug content: This test was performed by taking twenty tablets randomly which were weighed and made into a fine powder. A quantity of powdered tablet equal to 75 mg of Ranitidine hydrochloride was dissolved in 0.1 N HCl in a 100 ml volumetric flask. It was diluted and absorbance was measured at 314 nm using 0.1 N HCl as a blank [15].

Disintegration Test (U.S.P.): The U.S.P. device to test disintegration uses 6 glass tubes open at the top and 10 mesh screens at the bottom end. To test for disintegration time, one tablet is placed in each tube and the basket rack is positioned in a 1L beaker of water, simulated gastric fluid or simulated intestinal fluid at 37 ± 2℃ such that the tablet remains 2.5 cm below the surface of liquid on their upward movement and not closer than 2.5 cm from the bottom of the beaker in their downward movement. Move the basket containing the tablets up and down through a distance of 5-6 cm at a frequency of 28 to 32 cycles per minute. Floating of the tablets can be prevented by placing perforated plastic discs on each tablet. According to the test the tablet must disintegrate and all particles must pass through the 10mesh screen in the time specified. If any residue remains, it must have a soft mass. Disintegration time: Uncoated tablet: 5-30 minutes coated tablet: 1-2 hours.

Dissolution Study: *In vitro* drug release of the formulation was carried out using USP dissolution apparatus type II paddle type under sink condition with rotating speed of 50 rpm and at temperature of 37 ± 0.5 °C. The dissolution medium used was 900ml 0.1NHCl. The samples were withdrawn at predetermined time intervals for period of 6hours and replaced with the fresh medium, suitably diluted and were analysed using UV/Visible spectrophotometer.

Floating lag time and total floating time: Floating lag time (FLT) and total floating time (TFT) of floating tablets were measured visually in dissolution apparatus Type II containing 100 ml of 0.1 N HCl with a paddle rotated at 50 rpm (pH 1.2) at 37 ± 0.5 °C.

RESULTS AND DISCUSSION:

Formulation	Angle of Repose	Bulk Density (g/ml)	Tapped Density (g/ml)	Hausner' S Ratio	Carr'S Index (%)
FM 1	22.3±1.5	0.46 ±0.07	0.59±0.04	1.12±0.01	20±1.8
FM 2	18.9±1.3	0.42±0.03	0.55±0.06	1.15±0.02	13±1.6
FM 3	25.8±0.3	0.49±0.04	0.63±0.03	1.11±0.01	10±2.1
FM 4	20.1±0.3	0.44±0.02	0.57±0.02	1.19±0.03	22±1.2

Tabel 9- Results of hardness, weight variation, friability and disintegration:

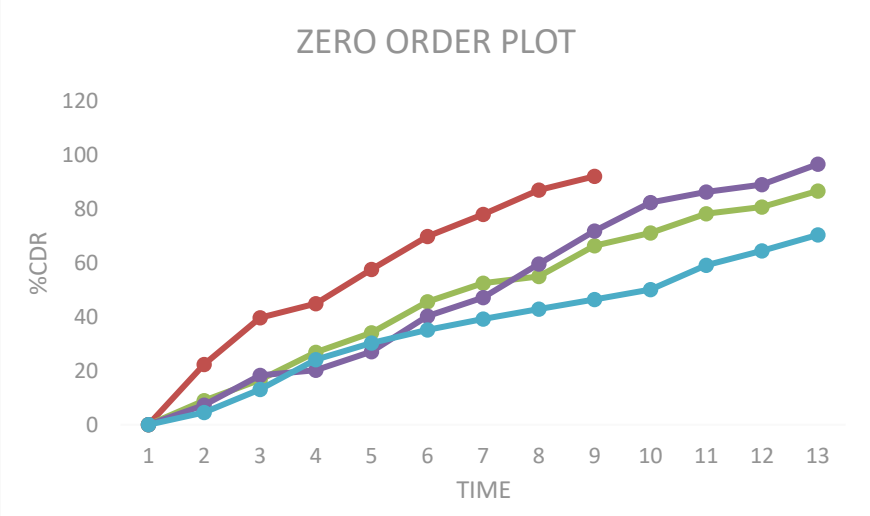
Formulations	Hardness	Weight variation	Friability	Disintegration (min)
FM 1	5.4±0.2	PASS	0.7±0.1	40±3
FM 2	5.5±0.4	PASS	0.6±0.3	42±2
FM 3	4.8±0.3	PASS	0.4±0.5	45±4
FM 4	5.6±0.5	PASS	0.5±0.2	39±5

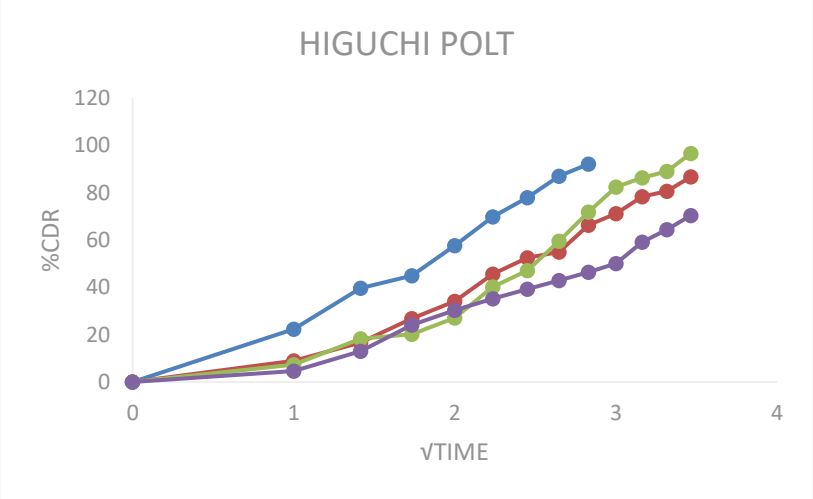
Table 6- *In Vitro* Floating Ability of Metaprolol Succinate:

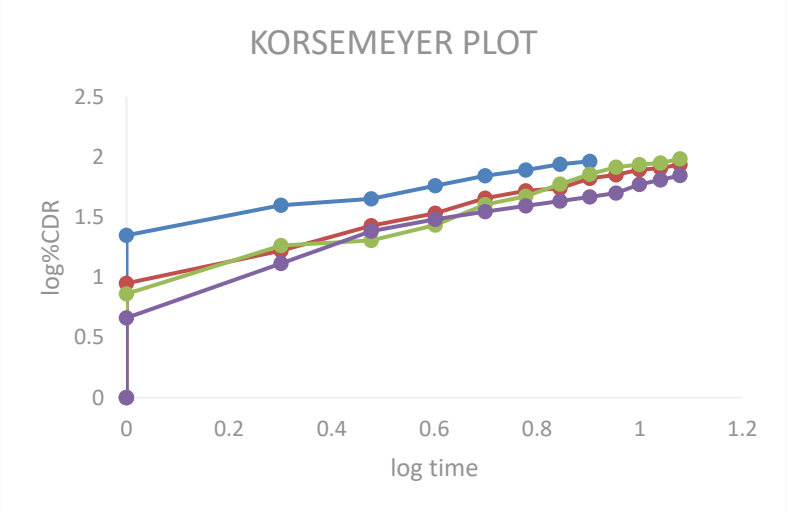
Formulations	Folating Lag Time	Total Floating Time
FM 1	135sec	>12hrs
FM 2	112sec	>12hrs
FM 3	90 sec	>12hrs
FM 4	121sec	>12hrs

Table 7-*In Vitro* Dissolution Profile Of Formulation (Fm 1- Fm 4)

Time	Cumulative percentage drug release			
	FM 1	FM 2	FM 3	FM 4
0min	0	0	0	0
30min	9.47±0.12	2.43±0.19	3.49±0.22	2.5±0.13
60min	22.32±0.15	8.92±0.26	7.28±0.36	4.6±0.15
2hrs	39.63±0.22	16.65±0.35	18.29±0.15	13.06±0.50
3hrs	44.92±0.19	26.82±0.65	20.18±0.45	24.12±0.15
4hrs	57.56±0.22	34.07±0.25	27.10±0.25	30.24±0.14
5hrs	69.72±0.35	45.53±0.36	40.19±0.36	35.14±0.25
6hrs	77.96±0.46	52.41±0.24	47.11±0.25	39.22±0.36
7hrs	86.98±0.25	54.97±0.42	59.53±0.14	42.90±0.68
8hrs	92.05±0.23	66.33±0.36	71.80±0.14	46.44±0.26
9hrs		71.09±0.25	82.29±0.56	50.08±0.45
10hrs		78.25±0.13	86.30±0.58	59.12±0.26
11hrs		80.65±0.18	89.04±0.26	64.42±0.36
12hrs		86.63±0.42	96.54±0.12	70.33±0.45







SUMMARY AND CONCLUSIONS:

From the above studies it can be concluded that the chia seeds can be used as a natural polymer for sustained release. The drug Metoprolol, used to treat hypertension that belongs to Class I has high solubility and high permeability can be given as a

sustained release dosage form using chia seeds as polymer. This was proved by the results obtained from the dissolution studies. The physical attributes of the FM-3 floating tablets were found to be satisfactory with all the desired characters.

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