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Gastroretentive Fexofenadine tablets: Formulation, evaluation and release studies

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ABSTRACT

The objective of the present work was to formulate gastroretentive floating tablets of fexofenadine for achieving prolonged action. Total six formulations of floating tablets were prepared using direct compression (F1 to F6). The concentration and type of polymeric matrix was varied; HPMC K5 (F1 to F3) and HPMC K16 (F4-F6). All the formulations were subjected to post compression evaluation test and the results indicate that the formulation had hardness of around 5 Kg/cm^2, thickness of 5 mm, weight variation in the range of 2.7-3.3 %, friability of less than 1 %, drug content in the range of 97.2 to 98.9 %. The floating lag time of all the formulations was less than 45 sec and the floating duration was more than 12 h.

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Introduction

Fexofenadine is an over-the-counter second-generation antihistamine used in the treatment of various allergic symptoms (drugbank, 2022). It relieves allergic symptoms by antagonizing the actions of histamine. It is said to be an inverse antagonist of H1 histaminic receptor. The relatively long duration of action of fexofenadine (approximately 24 hours) allows for once or twice daily dosing, and its rapid absorption allows for an onset of action within 1-3 hours.

Gastroretentive delivery systems are known to improve the drug bioavailability by increasing the residence time of the drug in the gastrointestinal tract (Jaimini et al., 2007; Khan and Narwariya, 2021; Kushwah and Kaushik, 2021; Patel et al., 2007; Gambhire et al., 2007).

The bioavailability of any drug affects the dosage regimen of the drug and a lower bioavailability may present patient compliance problems owing to higher frequency of drug administration. Prolonging the duration for which the drug resides in the stomach may be helpful in increasing the bioavailability of the drug molecule.

In view of the above fact, it was envisioned to formulate gastroretentive tablets of antiallergic drug fexofenadine and evaluate the same for prolonged drug release owing to higher residence time in the gastric fluids.

Material and Methods

Fexofenadine was procured from Yarrow Pharmaceuticals; Polyvinyl Pyrolidone (PVP), citric acid, hydroxypropyl methyl cellulose (HPMC), sodium bicarbonate and lactose were purchased from Oxford fine chemicals; talc and magnesium stearate were procured from CDH.

Preformulation Study

The preformulation studies were carried out in the terms of tests of identification like physical appearance, melting point and FTIR spectroscopy. It also includes solubility profile of drug in various solvent systems, determination of partition coefficient and quantitative estimation of drug (Ahirwar et al, 2021) .

Calibration curve of fexofenadine in ethanol

Aliquots of the standard solution (1000 µg/mL) were transferred volumetrically into 20 ml flasks, making up the volume with ethanol, to obtain final concentrations of 8.0; 10.0; 12.0; 14.0; 16.0; 18.0, and 20.0 µg/mL. The absorption of these solutions was determined at 220 nm using UV Visible spectrophotometer. The determinations were conducted in triplicate.

Formulation of floating tablets (Jalonya et al., 2018)

The floating tablets of fexofenadine were prepared by direct compression method according the batch formula given in Table 1.

All the ingredients were separately sifted through 60 mesh sieve. The drug and microcrystalline cellulose were mixed in small portions of both and blending it to get a uniform mixture. This mixture was kept aside for blending. All the other ingredients were accurately weighed and mixed in geometrical order and tablets and blended in a double cone blender. The blend was compressed to tablets of 8 mm sizes using flat round punch using single punch compression machine.

The prepared blends were evaluated for micromeritic properties including angle of repose,

bulk and tap densities, Carr's Index and Hausner's ratio using previously reported methods (Khan and Narwariya, 2021).

Evaluation of Formulations (Kushwah & Kaushik, 2021)

The tablet formulation from each batch was tested for hardness, thickness, friability, weight variation, drug content, in vitro release and in vitro buoyancy studies.

The *in vitro* buoyancy was determined by floating lag time as per the method described by Rosa *et al, 1994.* The tablets were placed separately in a 100 ml glass beaker containing simulated gastric fluid (SGF), 0.1N HCl, pH 1.2. The time required for the tablet to rise to the surface and float was determined as floating lag time and the total time taken by the tablets to maintain floating was considered as floating duration.

Results and Discussion

The physical characterization of the drug obtained as gift sample was carried out in order to confirm the identity of the drug. Fexofenadine appeared as white crystalline powder with a bitter taste, freely soluble in ethanol and exhibited a melting point range of 194-196°C.

Calibration Curve

The absorbance of 8 to 20 µg/mL solutions was measured at 220 nm by UV spectrophotometer and a plot of absorbance versus concentration was constructed. The linear regression correlation was found to be 0.999 for the calibration curve and the regression equation was found to be y = 0.026x (Figure 1).

Precompression parameters

All the formulations were subjected to preformulation testing of the blends in order to ascertain their suitability for compression. The bulk and tapped density, angle of repose, Hausner's ratio and Carr's Index are used to determine the compressibility and flow properties of the blends. The angle of repose is a measure of the frictional forces in the loose powder blend that may hinder the flow property of the blend making it unsuitable for feeding through the hopper of the tablet machine. The angle of repose of all the formulation blends ranged from 29°17' to 30°31'. A θ value of less than 30° of powder or blends is known to exhibit excellent flow properties.

The results of precompression evaluation of the formulation blends are presented in Table 2.

Evaluation of floating tablets

The hardness of all the tablet formulations was less than 5 Kg/cm^2 indicating uniform hardness and sufficient mechanical strength. The thickness of all the tablets was found to be less than 5 mm and uniform. The thickness of tablets is an indicator of its appearance and exhibits the uniformity of flow of the blends in to the die cavity of the punching machine. The weight variation for all the formulations was found to be in the range of ±7.5% specified by the pharmacopoeias for tablets of average weight less than 324 mg. All the formulations exhibited friability of less than 1 % indicating good mechanical strength in the tablets. The drug content of each formulation was found in the range of 97.2 to 98.9 % (Table 3).

Floating Lag time and duration

The floating lag time is largely effected by the properties of the polymers used in the blend. Incorporation of sodium bicarbonate and citric acid decreases the lag time significantly. The floating lag time of all the formulations was found to be less than 45 sec while the formula-

tions were able to exhibit floating duration of more than 12 h.

***In vitro* drug release from the floating tablet formulations**

The highest drug release was observed with formulation (F4), while the lowest was observed for the same polymeric formulation (F6) which was unable to release complete amount of drug at the end of 24 h (Figure 2).

Based on the release data and post compression evaluation, the formulation F4 was considered to be the optimized formulation and its release data was subjected to study of release kinetics. The release data was mathematically explored to determine the best fit in mathematical models that describe the release of drug from the formulation.

The regression coefficient values of all the mathematical models provide a strong indication that the release of fexofenadine form the floating tablets followed first order kinetics (R^2 = 0.946) wherein the drug release rate is dependent on the concentration in system and release occurs as a result of matrix dissolution and diffusion of drug from it. The sustained release of the drug from the system is also justified by the first order release kinetics (Figure 3).

Conclusion

It can be concluded from the study that hydrodynamically balanced systems of fexofenadine with shorter lag time can be prepared using direct compresson method. The floating system produced prolonged action for 24 h and hence once a day dosing of fexofenadine would be possible with dissolution and diffusion controlled release of the drug from the delivery system.

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Table 1. Batch formula per tablet

Ingredients (mg)	F1	F2	F3	F4	F5	F6
Fexofenadine	60	60	60	60	60	60
HPMC K 5	100	110	120	-	-	-
HPMC K 16	-	-	-	100	110	120
PVP K30	15	15	15	15	15	15
Citric acid	10	10	10	10	10	10
Sodium bicarbonate	15	15	15	15	15	15
Magnesium Stearate	5	5	5	5	5	5
Talc	10	10	10	10	10	10
Lactose	40	30	20	40	30	20
Total Weight	255	255	255	255	255	255

Table 2. Precompression parameters of blends

Formulation Code	Bulk density (g/cm³)	Tap density (g/cm³)	Angle of repose (°)	Carr's Index (%)	Hausner's Ratio
F1	0.391	0.415	29°89’	5.78	1.06
F2	0.384	0.428	30°03’	10.28	1.11
F3	0.397	0.433	30°01’	8.31	1.09
F4	0.376	0.441	30°31’	14.74	1.17
F5	0.379	0.429	29°36’	11.66	1.13
F6	0.381	0.437	29°17’	12.81	1.15

Table 4 Post compression parameters of gastroretentive tablets

Formulation Code	Hardness (Kg/cm²)	Thickness (mm)	Average Weight variation (%)	Friability (%)	Drug content (%)	Floating Lag time (s)
F1	4.6±0.2	3.4±0.1	4.6	0.56	97.80	32.5 ± 2.6
F2	4.6±0.1	3.5±0.2	5.3	0.61	97.20	27.1 ± 1.8
F3	4.4±0.2	3.4±0.3	3.8	0.50	98.60	13.6 ± 0.6
F4	4.3±0.2	3.4±0.2	4.1	0.53	97.90	27.4 ± 1.3
F5	4.4±0.1	3.3±0.2	2.7	0.61	98.30	22.3 ± 2.1
F6	4.6±0.1	3.4±0.2	2.9	0.53	98.90	12.5 ± 1.2

Figure 1. Calibration curve of Fexofenadine

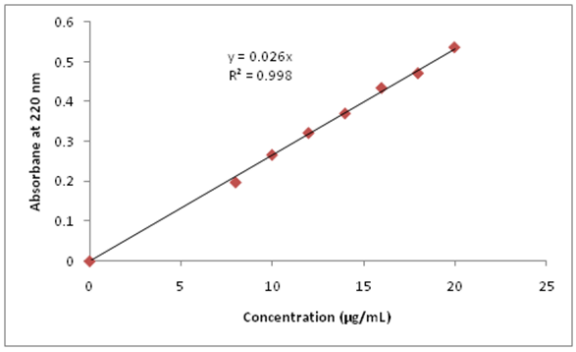


Figure 2. Cumulative Release of Fexofenadine from floating tablets

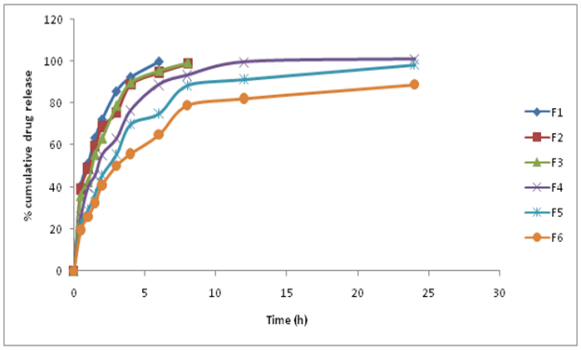
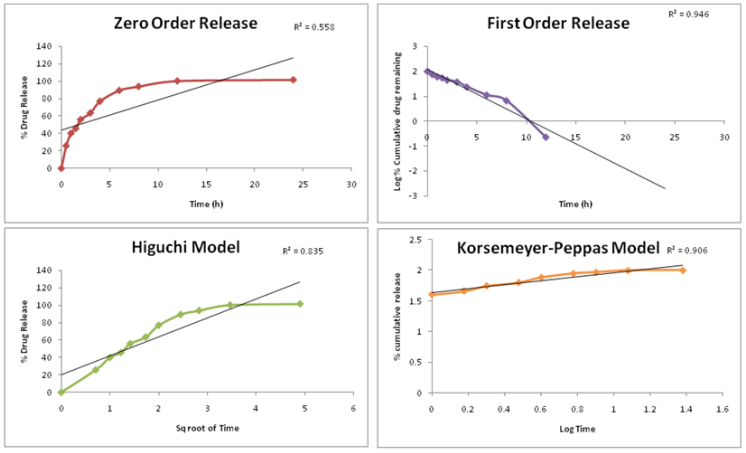


Figure 3. Release kinetics graphs of F4



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