IJPSR (2018), Volume 9, Issue 3 (Research Article)

INTERNATIONAL JOURNAL OF PHARMACEUTICAL SCIENCES AND RESEARCH

Received on 04 June, 2017; received in revised form, 28 November, 2017; accepted, 06 January, 2018; published 01 March, 2018

FAST DISSOLVING TABLETS OF FEXOFENADINE HYDROCHLORIDE BY MELT TECHNOLOGY: FORMULATION AND CHARACTERIZATION

Bhavana Gupta* and Sachin Kumar

NKBR College of Pharmacy and Research Centre, Hapur Road Phaphunda, Meerut - 245206, Uttar Pradesh, India.

Keywords:

Fexofenadine hydrochloride, Superdisintegrants, Melt technology, Wetting time

Correspondence to Author:
Bhavana Gupta

Assistant Professor,
NKBR College of Pharmacy and Research Centre, Hapur Road Phaphunda, Meerut - 245206, Uttar Pradesh, India.

E-mail: gupta.bhavana35@gmail.com

ABSTRACT: The objective of present study was formulation and evaluation of fast release tablets of Fexofenadine hydrochloride. Fexofenadine hydrochloride is an anti-histamine with selective H_1-receptor antagonist activity. In this investigation fast release tablets of Fexofenadine hydrochloride were prepared using cross povidone as a superdisintegrants by melt technology method. The Fourier transform infrared spectroscopy revealed absence of any drug - excipient interactions. The tablets were evaluated for pre-compressed evaluation like bulk density, tapped density, Hauser's ratio, Carr's index and angle of repose and post-compressed evaluation like weight variation, thickness, hardness, friability, wetting time, disintegration time, content uniformity and *in-vitro* drug release profile. The wetting time for all batches was found in the range of 20.1 to 80.1 sec. All the tablets were disintegrated within 100 seconds. *In-vitro* percent drug release was found up to 98.25 % in 30 min. The formulation 4 showed better result in wetting time, disintegration time and drug release profile.

INTRODUCTION: The oral route is considered as the preferred route of administration which includes painless, ease of administration, patient friendly so on [1, 2]. Several new technologies had been developed for oral Delivery, available To improve the patient compliance [3]. Fast dissolving drug delivery system is gaining popularity in pharmaceutical companies as they are new drug delivery technique in order to provide the patient with medicine without obstacles in swelling [4]. FDT formulations have the advantage of both conventional tablet formulation and liquid dosage form.

QUICK RESPONSE CODE

DOI: 10.13040/IJPSR.0975-8232.9(3).1226-30

Article can be accessed online on: www.ijpsr.com

DOI link: http://dx.doi.org/10.13040/IJPSR.0975-8232.9(3).1226-30

These tablets are designed in such a way that they disintegrate and then to be swallowed without the need of water as compared to other conventional dosage forms. These tablets are also called mouth dissolving, melt-in mouth tablets, orodispersible tablets, rapid melts, porous tablets, quick dissolving etc [5]. These tablets are prepared by using either of effervescent melt technology, addition of super-disintegrant or melt technology. All the technologies formulate rapidly disintegrate tablet and release desired drug concentration at the end of 10 minutes. There are various patented technologies such as Zydis, WOW TABS, FLASH DOSE, CEFORM and ORAQUICK [6].

Fexofenadine hydrochloride selected for the fast release drug delivery system comes under the anti-histamine class. Fexofenadine hydrochloride is suitable for the preparation of fast release drug delivery system because in case of allergic

condition, this drug is rapidly absorbed from GI tract following oral administration. The bio-availability of fexofenadine hydrochloride tablet formulation is equivalent when administered in equal doses. This formulation is effective for the pediatrics, geriatrics and adults. Fexofenadine hydrochloride is an antihistamine with selective H_1-receptor antagonist activity.

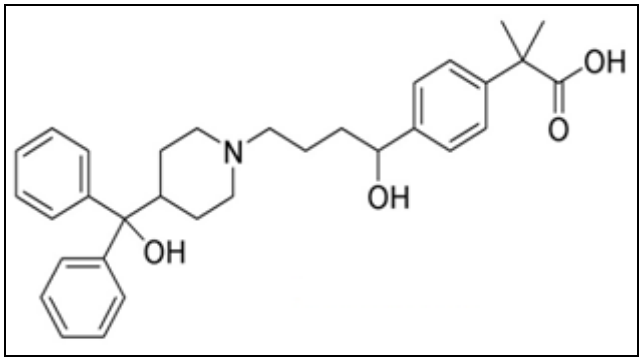

FIG. 1: FEXOFENADINE HYDROCHLORIDE

MATERIAL AND METHOD:

Materials: Fexofenadine hydrochloride and cross povidone were obtained as a gift sample from Gromore Laboratories, Haridwar, Uttarakhand. Mannitol, microcrystalline cellulose, sucrose, sorbitol, citric acid, sodium bicarbonate, talc, magnesium stereate, Isopropyl alcohol were obtained from Central Drug House Pvt. Ltd. Poly vinyl pyrrolidone was obtained from Fine Chemicals, Mumbai.

Method: All ingredients were accurately weighed. The drug and all additives like mannitol, MCC, sucrose, sorbitol, cross povidone and half quantity of citric acid and sodium bicarbonate were mixed in a pestle mortar. The 5 % solution of PVP in 100 ml isopropyl alcohol was prepared. It was used as a binding solution. The granules were prepared by wet granulation method, using PVP as a binding agent. The granules were dried in hot air oven at 70^{O}C temperature for 10 minutes. Then remaining quantity of citric acid and sodium bicarbonate were mixed. Talc and magnesium stereate were added as lubricants. The tablets were punched using single punch hand operated tablet punching machine. The tablets were placed in hot air oven for 30 minute, at 70 ^{O}C to melt mannitol, which made tablet porous.

TABLE: 1 FORMULATION FOR FEXOFENADINE HYDROCHLORIDE FAST RELEASE TABLET

S. no.	Ingredients	Batch 1 (mg)	Batch 2 (mg)	Batch 3 (mg)	Batch 4 (mg)	Batch 5 (mg)
1	Fexofenadine hydrochloride	120	120	120	120	120
2	Mannitol	35	30	25	20	15
3	MCC	25	22.5	20	17.5	15
4	Sucrose	55	50	45	40	35
5	Sorbitol	25	30	35	40	45
6	Citric acid	-	-	5	10	15
7	Sodium bicarbonate	-	12.5	20	27.5	35
8	Crosspovidone	35	30	25	20	15
9	Talc	2.5	2.5	2.5	2.5	2.5
10	Mag. stereate	2.5	2.5	2.5	2.5	2.5
11	PVP in isopropyl alcohol	5 %	5 %	5 %	5 %	5 %

Evaluation of Fast Release Tablet of Fexofenadine Hydrochloride:

Pre-compression Study:

Bulk Density: An accurately weighed quantity of granules (w) (which was previously passed through sieve No: 40) were carefully transferred into 250 ml measuring cylinder and Bulk volume (Vo) was measured. The cylinder was kept on a wooden surface from the height of 2.5 cm. The keeping was continued until no further change in volume (until a constant volume) was obtained (Vf) [7]. The bulk density was calculated by using the formula:

$$\text{Bulk density} = \frac{W}{Vo}$$

Tapped Density: Tapped density was determined by placing a graduated cylinder containing same mass of powder used for bulk density on a mechanical tapper apparatus which was operated for a fixed number of taps (approx 500) until powder bed volume has reached a minimum.

$$\text{Tapped density} = \frac{\text{Mass of the powder}}{\text{Tapped volume}}$$

Compressibility Index and Hausner ratio: The compressibility and the Hausner ratio may be calculated by using measured values of bulk density and tapped density as follows:

$$\text{Compressibility index} = \frac{\text{Bulk density} - \text{Tapped density}}{\text{Bulk density}} \times 100$$

TABLE 2: STANDARDS FOR CARR'S INDEX

Carr's index	Flow
5 – 15	Excellent
12 – 16	Good
18 – 21	Fair
23 – 35	Poor
35 – 38	Very poor
More than 40	Extremely poor

$$\text{Hausner's ratio} = \frac{\text{Bulk density}}{\text{Tapped density}}$$

TABLE 3: STANDARDS FOR HAUSNER RATIO

Hausner´s ratio	Flow
1.2 – 1.3	Excellent
1.3 – 1.4	Good
1.4 – 1.5	Fair
1.5 – 1.6	Poor

Angle of Repose: Angle of repose has been defined as the maximum angle possible between the surface of pile of powder and horizontal plane. The angle of repose for the granules of each formulation was determined by the funnel method.

$$Ø = \tan^{-1}(h/r)$$

Where h and r are the height and radius of the powder cone.

TABLE 4: STANDARDS FOR ANGLE OF REPOSE

Angle of repose	Flow
25 – 30	Excellent
30-35	Good
35-40	Fair
40-45	Poor
45-50	Very poor

Post-compression Study:

Weight Variation: 20 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.

Thickness: Tablet thickness can be measured using a simple procedure. 20 tablets were taken and their thickness was measured using Vernier calipers. The thickness was measured by placing tablet between two arms of the Vernier calipers.

Hardness: Hardness was determined by taking 20 tablets from each formulation, using a Monsanto Hardness Tester.

Friability: The friability of sample of 20 tablets was measured using a friability rate test apparatus. 20 pre-weighed tablets were rotated at 25 rpm for 4 minutes. The tablets were then reweighed after removal of fine's using 60 mesh screens and the percentage of weight loss was calculated.

$$\% \text{ Friability} = \frac{\text{Initial weight-final weight}}{\text{Initial weight}} \times 100$$

Wetting Time and Water Absorption ratio: A piece of paper folded twice was kept in a Petri dish (internal diameter 5.5 cm) containing 6 ml of purified water. The time required to develop a red colour on the upper surface of the tablet was recorded as the wetting time. The same procedure without Rosaline dye powder was followed for determining the water absorption ratio R was determined according to the following equation:

$$R = [(Wa - Wb)/Wb] \times 100$$

Where Wb and Wa were the weights of the tablet before and after use [8].

Disintegration Time: Disintegration time was measured in 900 ml Phosphate buffer (pH 6.8) according to the USP 24 method without disc at 37 ± 0.5 ^{o}C temperature. The disintegration time of 6 individual tablets were recorded and the average was reported.

Content Uniformity: Twenty tablets were powdered and 10 mg equivalent weight of fexofenadine hydrochloride tablet powder was accurately weighed and transferred into a 100 ml volumetric flask. Initially, 10 ml of Phosphate buffer (pH 6.8) was added and shaken for 10 min. Then, the volume was made up to 100 ml with phosphate buffer (pH 6.8). The solution in the volumetric flask was filtered, diluted suitably and analyzed spectrophotometrically at 266 nm [10.]

Drug Release Profile: It was performed by using USP type II apparatus at 100 rpm and in 900 ml 6.8 PH phosphate buffer. After that formulation (6 tablets) was added to the vessels. A sample (5 ml) of the solution was withdrawn from the dissolution apparatus at different time intervals which was replaced with fresh dissolution medium of same quantity to maintain sink condition [11]. The same procedure was repeated for the all formulations.

RESULT AND DISCUSSION:

Pre-compression Evaluation:

TABLE 5: FLOW PROPERTIES

Formulation Code	Derived properties		Flow properties		
	Bulk density g/ml	Tapped density g/ml	Hausner's ratio	Carr's index	Angle of repose
Batch 1	0.13	0.09	1.4	30	53.67
Batch 2	0.14	0.10	1.4	30	51.34
Batch 3	0.14	0.09	1.5	35	39.00
Batch 4	0.15	0.12	1.25	20	29.68
Batch 5	0.13	0.9	1.4	30	35.75

Post-compression Evaluation:

TABLE 6: PHYSICAL PARAMETERS OF FEXOFENADINE TABLETS

Formulation Code	Weight variation	Thickness (mm)	Hardness (Kg/cm^3)	Friability (%)	Wetting Time (sec)	Disintegration Time (sec)	Content uniformity
Batch 1	PASS	3.3	3.36	0.71	80.1	91.8	13.56
Batch 2	PASS	3.0	3.0	0.67	63.1	70.83	13.55
Batch 3	PASS	2.7	2.63	0.65	43.6	49.33	13.48
Batch 4	PASS	2.2	2.2	0.54	25.2	31.0	13.58
Batch 5	PASS	2.3	2.26	0.59	20.1	26.63	13.58

TABLE 7: PERCENT *IN VITRO* DRUG RELEASE OF DIFFERENT BATCHES

S. no.	Time (min)	Batch -1	Batch -2	Batch -3	Batch -4	Batch -5
1	1	19.72	20.62	27.97	41.92	31.12
2	2	29.92	31.42	33.52	59.15	42.75
3	3	34.05	36.90	44.62	71.99	56.17
4	4	39.8	43.35	52.8	78.70	64.65
5	5	44.85	48.00	58.05	85.12	78.67
6	10	48.60	51.82	62.1	88.62	84.52
7	15	52.12	55.87	67.87	90.37	91.8
8	20	55.42	64.35	72.30	94.17	98.25
9	25	58.27	67.57	79.05	95.63	-
10	30	61.12	70.8	82.57	97.38	-

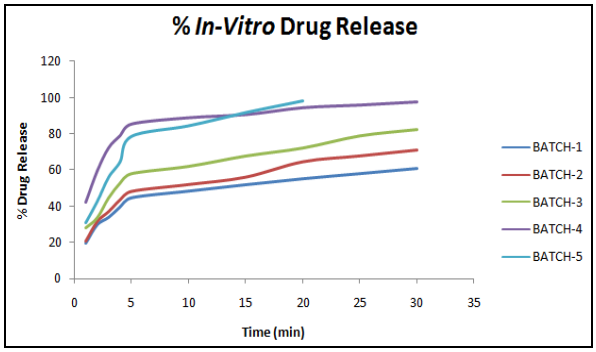

FIG. 2: PERCENT *IN-VITRO* DRUG RELEASE OF ALL BATCHES

CONCLUSION: From the above research work it can be concluded that formulated tablets gave satisfactory result for various physicochemical parameter like hardness, thickness, friability, weight variation, disintegration time, wetting time and content uniformity. Formulation in which cross povidone was used as a superdisintegrants easily break the tablet, and the tablet easily disintegrates when come in contacts with saliva and water. *In-vitro* release rate studies showed that the maximum drug release was observed in batch - 4 and batch - 5 up to 30 minute. Developed fast release oral formulation would be a significant advantage for the patient.

ACKNOWLEDGEMENT: The authors are thankful to Gromore Laboratories, Haridwar, for providing fexofenadine hydrochloride and cross

povidone as a gift sample and Central drug house Pvt. Ltd. and Fine chemicals, Mumbai, for providing the other materials.

CONFLICT OF INTEREST: The authors declared "no conflict of interest" in the manuscript.

REFERENCES:

1. Tarke SR and Shanmugasundaram P: Formulation and evaluation of fast dissolving tablets of antihypertensive drug. Research Journal of Pharmacy and Technology 2017; 1(10): 155-160.
2. Damodar R, Movva B, Mallikarjun PN, Pasumarthy C, Kona N and Varsha PV: Formulation and evaluation of fast dissolving tablets of diclofenac sodium by novel hole technology. Journal of Molecular Pharmaceutics and Organic Process Research 2014; 2(2): 1-6.
3. Shaik AB, Gundraju P, Pallampati SL, Kota J, Pirudula P and Kantamaneni PL: Formulation and evaluation of fast dissolving tablets of an anti-ulcer drug by sublimation method. Am. J. Pharm Tech Res. 2016; 6(3): 555-572.
4. Sumathi M, Senthilkumar B, Rithika S, Tamilselvan G, Sadique MP and Lingesh V: Design and evaluation of fast dissolving tablet of mefenamic acid by direct compression technique. Indian Journal of Research in Pharmacy and Biotechnology 2017; 5(3): 201-204.
5. Kagalkar AA, Nanjwade BK and Srichana T: Development and evaluation of fast dissolving tablets of *Bauhenia veriagata* Linn. World Journal of Pharmacy and Pharmaceutical Sciences 2017; 5(6): 1026-1039.
6. Gunda RK and Kumar JNS: Formulation development and evaluation of moxifloxacin HCl fast dissolving tablets. Pharm Methods, 2017; 8(2): 160-167.
7. Pandey A and Jain S: Formulation development and evaluation of fast dissolving acelofenac tablets. World Journal of Pharmacy and Pharmaceutical Sciences 2017; 6(3): 1276-1283.
8. Chauhan K, Parashar B, Chandel A and Thakur V: Formulation and evaluation of fast dissolving tablets of telmisartan. IJPSR, 2013; 4(4): 1514-1520.
9. Chandra BN, Mazumder B and Phthak K: An overview on fast dissolving drug delivery system. Asian Journal of Pharmaceutical Science and Research 2011; 1: 1-30.
10. Priya YD, Chaudhary YA, Murthy TEGK and Seshagiri B: Approaches for taste masking of bitter drugs: A review. Journal of Advances in Drug Research 2011; (2): 58-67.
11. Saurabh R, Malviya R and Sharma PK: Trends in buccal film: Formulation characteristics, recent studies and patents. European Journal of Applied Sciences 2011; 3(3): 93-101.

How to cite this article:
Gupta B and Kumar S: Fast dissolving tablets of fexofenadine hydrochloride by melt technology: formulation and characterization. Int J Pharm Sci & Res 2018; 9(3): 1226-30. doi: 10.13040/IJPSR.0975-8232.9(3).1226-30.