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Formulation and Evaluation of Rapid Dissolving Tablet of Bilastine

L. Bharathi*[1], Ch. Suresh[2], Afifa Osman[3], Afra Naaz[3], Ayenia Sayeed[3], S. Nithin Kumar[3]
[1]Assistant Professor, Department of Pharmaceutics, Vijay College of Pharmacy, Nizamabad–503003, Telangana, India
[2]Professor and Principal, Vijay College of Pharmacy, Nizamabad–503003, Telangana, India
[3]B.Pharm student, Vijay College of Pharmacy, Nizamabad–503003, Telangana, India

A B S T R A C T

The demand for fast dissolving tablets has been growing during the last decade especially for elderly and children who have swallowing difficulties. In the present work fast dissolving tablets of Bilastine were prepared with Plantago ovata seed powder ,Tulsion 33 and Locust bean gum as superdisintegrants by direct compression method. The tablets were evaluated for various parameters including weight variation , hardness, friability, drug content ,*In vitro* drug release and FTIR. The tablets prepared by direct compression method had a weight variation in the range of 118.35 to 122.31, hardness of 2.36 to 3.25 Kg/cm^2 , percentage friability of 0.33 to 0.52 % is with in the limits, drug content uniformity between 97.21 to 99.83 % and *In vitro* drug release of B4 formulation was 99.25% for 30mints. The FTIR spectral analysis showed no drug interaction with formulation additives of the tablet and the formulation indicated no significants.

Keywords: Bilastine, Plantago ovata seed powder ,Tulsion 33 and Locust bean gum

A R T I C L E I N F O

Corresponding Author
L. Bharathi
Assistant Professor, Department of Pharmaceutics,
Vijay College of Pharmacy,
Nizamabad–503003, Telangana, India

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C O N T E N T S

1. Introduction

An Fast disintegrating tablet (FDT) is a solid dosage form that contains medicinal substances and disintegrates rapidly (within seconds) without water when placed on the tongue. The drug is released, dissolved, or dispersed in the saliva, and then swallowed and absorbed across the GIT[1].

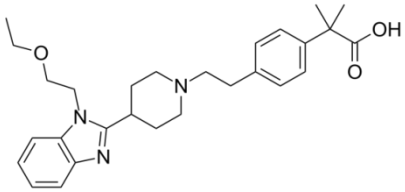

Fig.1. Bilastine

Drug : Bilastine
Synonym : Bilastine , Bilastina

Drug category : peripheral histamine H1-antagonist
Chemical name/ Nomenclature / IUPAC Name : 2-[4-(2-{4-[1-(2-Ethoxyethyl)-1H-benzimidazol-2-yl]-1-piperidinyl}ethyl)phenyl]-2-methylpropanoic acid
Molecular Formula : $C_{28}H_{37}N_3O_3$
Molecular Weight : 463.622 gm/mole.
Official Pharmacopoeia : IP
Physicochemical properties:
Description(Physical State): White, crystalline powder
Solubility: soluble in the organic solvent chloroform at a concentration of approximately 30 mg/ml, slightly soluble in water, Practically insoluble in acetonitrile
Stability: most stable in neutral, alkaline, lower temperature conditions and lower ionic strength
Storage Conditions: No special storage conditions are required.

Melting point: 202°C
pKa(strongest acidic): 4.06
Log P: 5.02
Pharmacokinetic properties:
Bioavailability : 61%
Half-life : 14.5hrs
Absorption : Bilastine has a Tmax of 1.13 h. The absolute bioavailability is 61%. No accumulation observed with daily dosing of 20-100 mg after 14 days. Cmax decreased by 25 % and 33% when taken with a low fat and high fat meal compared to fasted state. Administration with grapefruit juice decreased Cmax by 30%.
Protein binding : 84-90 %
Metabolism **:** Bilastine does not interact with the cytochrome P450 system and does not undergo significant metabolism in humans
Time of peak action : 1.13 hr
Excretion : 95% via urine and faeces
Adverse effects/Side effects **:** abdominal pain, headache, dizziness, dry mouth, sore throat, nausea, cold-like nose symptoms (in children), or diarrhea (in children)
Pharmacodynamics:
Mechanism of action: Bilastine is a selective histamine H1 receptor antagonist (Ki = 64nM).During allergic response mast cells undergo degranulation which releases histamine and other subastances. By binding to and preventing activation of the H1 receptor, bilastine reduces the development of allergic symptoms due to the release of histamine from mast cells.
Therapeutic efficacy/ Indications: For symptomatic relief of nasal and non-nasal symptoms of seasonal rhinitis in patients 12 years of age and older and for symptomatic relief in chronic spontaneous urticaria in patients 18 years of age and older

Fig.2. Plantago ovata seed powder

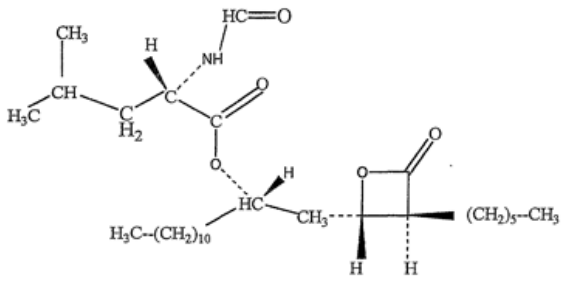

Fig.3

Chemical name : N-formyl-L- leucine ester with (3S,4S)-3-hexyl-4-[(2S)-2-hydroxytridecyl]-2-oxetanone.
Molecular formula: $(H0\text{-}(CH_2CH_20)_x(CH_2CH_3CH0)_y(CH_2CH_20)_z$

Chemical Description: Psyllium fiber can be fractionated into three components:

- A highly (greater than 80%) fermentable component totalling 15–20% of psyllium weight
- An unfermentable (less than 20%) component comprising 10–15% of psyllium weight
- A poorly (30%) fermentable bulk-forming component constituting 55–60% of psyllium weight
- Psyllium is richest in Xylose (59%) and arabinose (22.3%) while also possessing a uronic acid content (6.1%), galactose (3.7%), glucose (3.5%), rhamnose (3.0%), mannose (1.6%) and barely detectable ribose content (0.01%).

2. Methodology

Buffer Preparation:
Preparation of 0.2M Potassium dihydrogen orthophosphate solution: Accurately weighed 27.218 gm of monobasic potassium dihydrogen orthophosphate was dissolved in 1000 mL of distilled water and mixed.
Preparation of 0.2M sodium hydroxide solution:
Accurately weighed 8 gm of sodium hydroxide pellets were dissolved in 1000 mL of distilled water and mixed
Preparation of pH 6.8 phosphate buffer:
Accurately measured 250 mL of 0.2M potassium dihydrogen ortho phosphate and 112.5 mL of 0.2M NaOH was taken into the 1000 mL volumetric flask. Volume was made up to 1000 mL with distilled water.
Analytical method development for Bilastine:
a) Determination of absorption maxima
A solution containing the concentration 10 µg/ ml drug was prepared in 6.8 phosphate buffer UV spectrum was taken using Lab India Double beam UV/VIS spectrophotometer (Lab India UV 3000+). The solution was scanned in the range of 200 – 400 nm.
b) Construction of standard graph
100 mg of Bilastine was dissolved in 100 ml of pH 6.8 phosphate buffer to give a concentration of 1mg/ml (1000 µg/ml). From the above standard solution (1000 µg/ml) 1ml was taken and diluted to 100ml with pH 6.8 phosphate buffer to give a concentration of 0.01mg/ml (10µgm/ml). From this stock solution aliquots of 0.5ml, 1.0ml, 1.5ml, 2.0ml and 2.5ml were pipette out in 10 ml volumetric flask and the volume was made up to the mark with pH 6.8 phosphate buffer to produce concentration of 5, 10, 15, 20 and 25µg/ mL respectively. The absorbance (abs) of each conc. was measured at respective (λ_{max}) i.e., 275 nm.
Formulation Development:

- Drug and different concentrations of super Disintegrates (Plantago ovata seed powder, Tulsion 339, Locust bean gum) and required ingredients were accurately weighed and passed through a 40-mesh screen to get uniform size particles and mixed in a glass mortar for 15 minutes.
- The obtained blend was lubricated with Magnesium stearate and glidant (Aerosil) was added and mixing was continued for further 5 minutes.

- The resultant mixture was directly compressed into tablets by using punch of rotary tablet compression machine. Compression force was kept constant for all formulations.

Evaluation of tablets:

Pre compression parameters:

Measurement of Micromeritic Properties of Powders

1. Angle of repose

The angle of repose of API powder is determined by the funnel method. The accurately weight powder blend are taken in the funnel. The height of the funnel is adjusted in a way that, the tip of the funnel just touched the apex of the powder blend. The powder blend is allowed to flow through the funnel freely on to the surface. The diameter of the powder cone is measured and angle of repose is calculated using the following equation.

$\tan \theta = h/r$……(1)

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

2. Bulk density

The powder sample under test is screened through sieve No.18 and the sample equivalent to 25 gm is weighed and filled in a 100 ml graduated cylinder and the power is leveled and the unsettled volume, V_0 is noted. The bulk density is calculated in g/cm^3 by the formula.

Bulk density = M/V_0 ……..(2)

M = Powder mass

V_0 = apparent unstirred volume

3. Tapped density

The powder sample under test is screened through sieve No.18 and the weight of the sample equivalent to 25 gm filled in 100 ml graduated cylinder. The mechanical tapping of cylinder is carried out using tapped density tester at a nominal rate for 500 times initially and the tapped volume V_0 is noted. Tappings are proceeded further for an additional tapping 750 times and tapped volume, V_b is noted. The difference between two tapping volume is less the 2%, V_b is considered as a tapped volume V_f. The tapped density is calculated in g/cm^3 by the formula.

Tapped density=M/V_f..... (3)

M =weight of sample power taken

V_f =tapped volume

4. Compressibility Index

The Compressibility Index of the powder blend is determined by Carr's compressibility index to know the flow character of a powder. The formula for Carr's Index is as below:

Carr's Index (%) = [(TD-BD) /TD] x100…….. (4)

5. Hausner's ratio

The Hausner's ratio is a number that is correlated to the flowability of a powder or granular material. The ratio of tapped density to bulk density of the powders is called the Hasner's ratio. It is calculated by the following equation.

$H = \rho T / \rho B$……..(5)

Where ρT = tapped density, ρB = bulk density

a) Thickness

The thickness of tablets was determined by using Digital micrometer. Ten individual tablets from each batch were used and the results averaged.

b) Weight variation

Twenty tablets were randomly selected from each batch and individually weighed. The average weight and standard deviation three batches were calculated. It passes the test for weight variation test if not more than two of the individual tablet weights deviate from the average weight by more than the allowed percentage deviation and none deviate by more than twice the percentage shown. It was calculated on an electronic weighing balance.

c) Friability

The friability values of the tablets were determined using a Roche-type friabilator. Accurately weighed six tablets were placed in Roche friabilator and rotated at 25 rpm for 4 min. Percentage friability was calculated using the following equation.

Friability = $([w_O - w] / w_O) \times 100$

Where; w_O = weight of the tablet at time zero before revolution.

w = weight of the tablet after 100 revolutions.

d) Drug content

The content of drug carried out by five randomly selected tablets of each formulation. The five tablets were grinded in mortar to get powder; this powder was dissolved in pH 6.8 phosphate buffer by sonication for 30 min and filtered through filter paper. The drug content was analyzed spectrophotometrically at 275 nm using UV spectrophotometer. Each measurement was carried out in triplicate and the average drug content was calculated.

e) Disintegration test: Six tablets were taken randomly from each batch and placed in USP disintegration apparatus baskets. Apparatus was run for 10 minutes and the basket was lift from the fluid, observe whether all of the tablets have disintegrated.

f) Dissolution test of Bilastine tablets

Drug release from Bilastine tablets was determined by using dissolution test United States Pharmacopoeia (USP) 24 type II (paddle). The parameters used for performing the dissolution were pH 6.8 medium as the dissolution medium of quantity 900 ml. The whole study is being carried out at a temperature of 37^{0}C and at a speed of 50rpm. 5ml aliquots of dissolution media were withdrawn each time at suitable time intervals (5, 10, 15,20, 30, 45, 60minutes.) and replaced with fresh medium. After withdrawing, samples were filtered and analyzed after appropriate dilution by UV spectrophotometer. The concentration was calculated using standard calibration curve.

Drug-Excipients compatibility studies:

Drug Excipients compatibility studies were carried out by mixing the drug with various excipients in different proportions (in 1:1 ratio were prepared to have maximum likelihood interaction between them) was placed in a vial, and closed with rubber stopper and sealed properly. Fourier Transform Infrared Spectroscopy (FTIR) studies were performed on drug, optimized formulation using Bruker FTIR. The samples were analyzed between wave numbers 4000 cm^{-1} and 550 cm^{-1}.

3. Results and Discussion

Preparation of Calibration Curve Of Bilastine:

The Regression Coefficient was found to be 0.999 which indicates a linearity with an equation of $y = 0.0254x - 0.0028$. Hence beer - Lambert's law was obeyed.

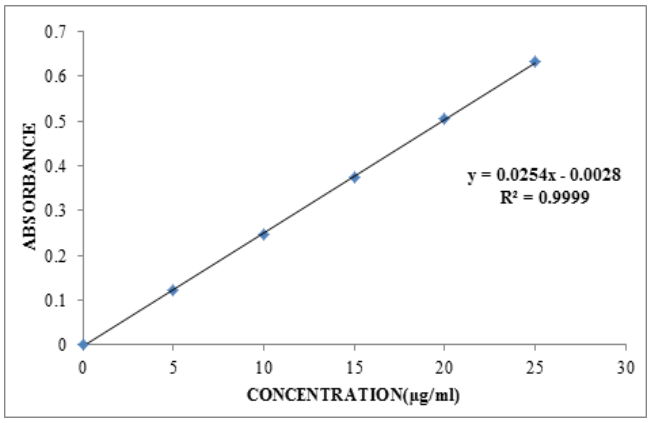

Fig.4: Calibration curve

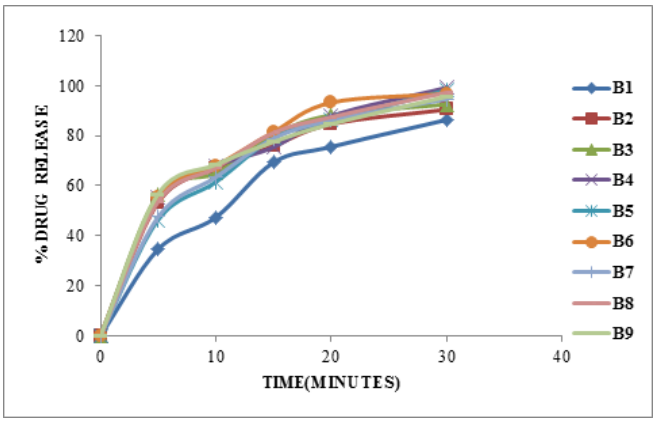

Fig : Dissolution profile of all formulations B1- B9

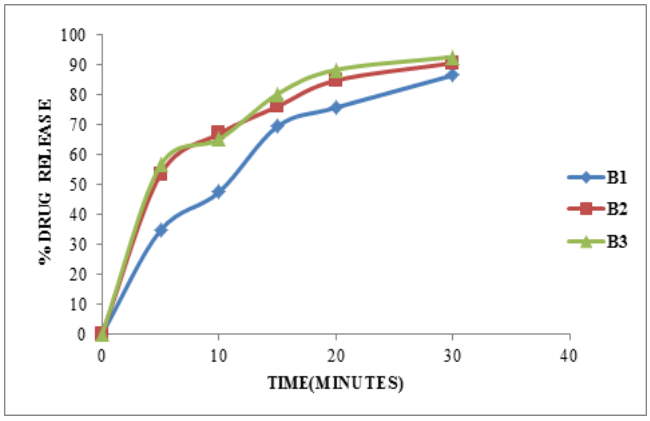

Fig: Dissolution profile of formulations B1, B2, and B3

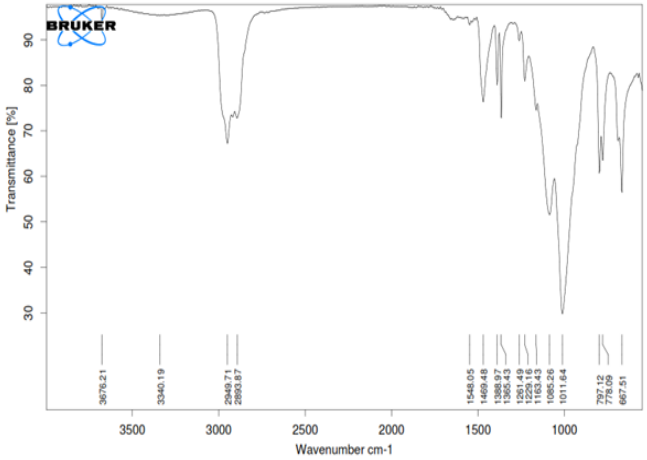

Fig: FTIR of Bilastine Pure drug

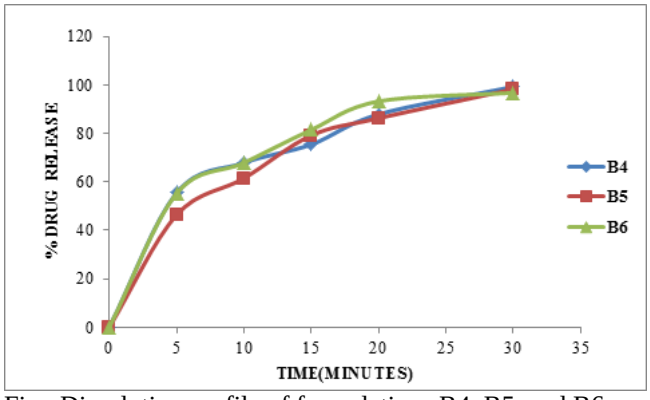

Fig : Dissolution profile of formulations B4, B5, and B6

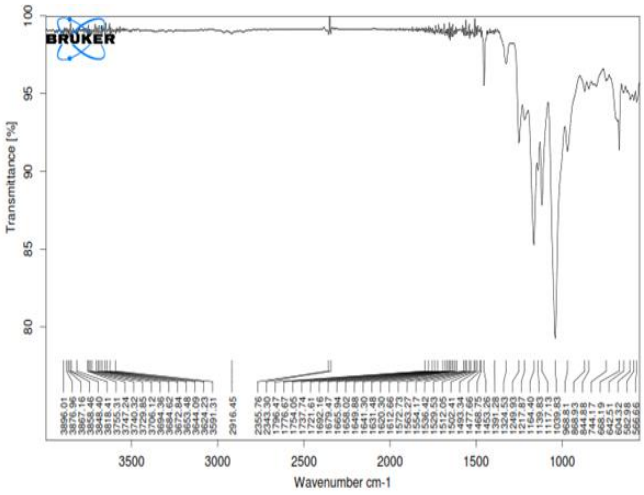

Fig : FTIR of Bilastine optimized Formulation

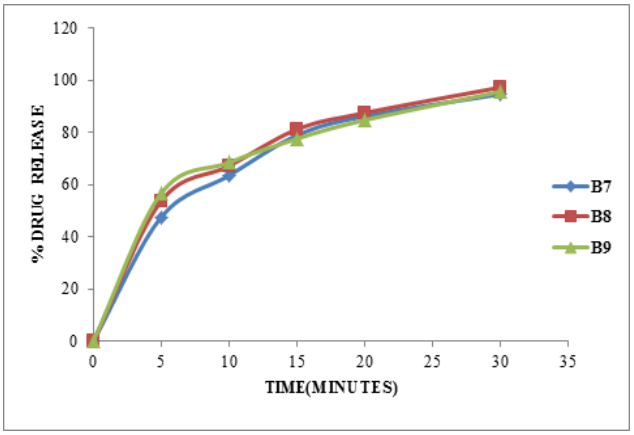

Fig : Dissolution profile of formulations B7, B8 and B9

Table 1: Calibration curve data of Bilastine in ph 6.8 phosphate buffer

Concentration (µg/mL)	Absorbance
0	0
5	0.124
10	0.247
15	0.376
20	0.505
25	0.633

Table 2: Formulation table showing various compositions

Ingrediants	B1	B2	B3	B4	B5	B6	B7	B8	B9
Bilastine	20	20	20	20	20	20	20	20	20
Plantago ovata seed powder	20	40	60	-	-	-	-	-	-
Tulsion 339	-	-	-	20	40	60	-	-	-
Locust bean gum	-	-	-	-	-	-	20	40	60
Aerosil	7	7	7	7	7	7	7	7	7
Magnesium stearate	5	5	5	5	5	5	5	5	5
Aspartame	3	3	3	3	3	3	3	3	3
Spraydried lactose	65	45	25	65	45	25	65	45	25
Total Weight	120	120	120	120	120	120	120	120	120

Table 3: Flow Properties and Corresponding Angle of Repose

Flow Property	Angle of Repose (^{0})
Excellent	25-30
Good	31-35
Fair- aid not needed	36-40
Passable-may hang up	41-45
Poor-must agitate, Vibrate	46-55
Very Poor	56-65
Very, very Poor	>66

Table 4: Evaluation of pre-compression parameters of powder blend

Formulation Code	Angle of Repose (°)	Bulk density (gm/mL)	Tapped density (gm/mL)	Carr's index (%)	Hausner's Ratio
B1	27.52	0.52	0.65	18	1.21
B2	28.87	0.51	0.62	17	1.21
B3	30.02	0.53	0.63	15	1.20
B4	30.01	0.53	0.64	17	1.20
B5	28.43	0.50	0.63	20	1.26
B6	28.26	0.55	0.65	15	1.14
B7	28.85	0.51	0.62	17	1.21
B8	30.14	0.52	0.63	17	1.21
B9	28.04	0.54	0.65	16	1.20

Table 5: Evaluation of post compression parameters of Bilastine Fast dissolving tablets

Formulation codes	Average Weight (mg)	Hardness (kg/cm^2)	Friability (%loss)	Thickness (mm)	Drug content (%)	*In vitro* Disintegration Time (min)
B1	119.49	2.36	0.45	1.25	99.38	3.12
B2	118.85	2.88	0.34	1.37	98.08	3.44
B3	121.37	3.14	0.41	1.55	97.21	3.28
B4	119.74	2.75	0.33	2.12	98.39	2.36
B5	120.88	3.25	0.64	1.89	99.42	3.57
B6	122.31	2.45	0.52	1.45	99.83	3.89
B7	121.63	2.59	0.39	1.44	98.47	2.77
B8	119.44	2.88	0.48	1.62	97.31	3.15
B9	118.35	3.11	0.37	1.75	98.22	2.44

Table 6: Dissolution data of Bilastine

Time (Minutes)	B1	B2	B3	B4	B5	B6	B7	B8	B9
0	0	0	0	0	0	0	0	0	0
5	34.6	53.26	56.38	55.42	46.34	55.18	47.51	53.69	56.67
10	47.36	66.86	64.99	67.87	61.49	67.85	63.45	67.12	68.44
15	69.32	75.97	80.12	75.43	79.15	81.49	78.86	81.16	77.56
20	75.58	84.67	88.2	87.86	86.25	93.23	86.2	87.45	84.69
30	86.36	90.49	92.52	99.25	98.22	96.79	94.64	97.27	95.61

4. Conclusion

In the present work fast dissolving tablets of Bilastine were prepared by direct compression method using superdisintegrants such as Plantago ovata seed powder, Tulsion 339 and Locust bean gum. All the tablets of Bilastine were subjected to tests for weight variations, hardness, friability, drug content uniformity and *In vitro drug release.* Based on the above studies the following conclusion can be drawn.

- Tablets were prepared by direct compression methods were found to be good and free form chipping and capping.
- The low values of standard deviation of average weight of the prepared tablets indicated weight uniformity with in the batches prepared.
- The hardness of the prepared tablets were found to be 2.36 to 3.25 Kg/cm$^{2.}$
- The Friability values of the prepared tablets were found to be less than 1%.
- IR spectroscopic studies indicated that the drug is compatible with all the excipients.
- The drug content of tablets was uniform across all batches ranging from 97.21 to 99.83 %
- The drug release from the optimized batch B4 was about 99.25 % at 30min.

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