ejpmr, 2025, 12(4), 169-180

SJIF Impact Factor 7.065

EUROPEAN JOURNAL OF PHARMACEUTICAL AND MEDICAL RESEARCH

www.ejpmr.com

Research Article

ISSN 2394-3211

EJPMR

FORMULATION DEVELOPMENT AND EVALUATIONOF LORATADINE FAST DISSOLVING TABLETS BY USING DIFFERENT SUPER DISINTEGRATES

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Article Received on 10/02/2025 **Article Revised on 02/03/2025** **Article Accepted on 22/03/2025**

ABSTRACT

This study aimed to develop and evaluate fast dissolving tablets (FDTs) of loratadine to provide rapid onset of action and enhance patient compliance. Loratadine, an antihistamine used for quick relief from allergic reactions such as urticaria and angioedema, was formulated into FDTs using the direct compression method. Three superdisintegrants-croscarmellose sodium, sodium starch glycolate, and crospovidone-were incorporated in varying ratios (5:2, 5:3, and 5:4) to assess their impact on tablet disintegration and drug release profiles. Microcrystalline cellulose and mannitol served as diluents, while a combination of Aerosil and magnesium stearate (2:1) functioned as glidant and lubricant, respectively. The formulations underwent comprehensive evaluation, including assessments of appearance, weight variation, thickness uniformity, hardness, friability, content uniformity, disintegration time, wetting time, water absorption ratio, and in vitro dissolution studies. Among the nine formulations, the one containing crospovidone in a 5:4 ratio (F9) exhibited the most promising results, with a disintegration time of 12 ± 1.67 seconds and a cumulative drug release of 99.10% within 12 minutes. This optimized formulation remained stable under accelerated stability conditions (40°C ± 2°C temperature and 75% ± 5% relative humidity) for three months. The study concludes that loratadine FDTs, particularly the F9 formulation, offer rapid onset of action, quick relief, pleasant taste, and improved patient compliance, making them a viable alternative to conventional oral dosage forms.

KEYWORDS: Loratadine, fast dissolving tablets, superdisintegrants, direct compression, crospovidone, rapid onset, patient compliance.

INTRODUCTION

Oral drug delivery remains the most widely accepted and convenient route of administration due to its non-invasiveness ease of production, and high patient compliance. However, conventional solid oral dosage forms, such as tablets and capsules, may present challenges for certain patient populations, including pediatric, geriatric, and dysphagic individuals who experience difficulty in swallowing. Additionally, patients with conditions like nausea and motion sickness may find it inconvenient to ingest conventional tablets with water. To overcome these limitations, fast dissolving tablets (FDTs) have been developed as an innovative drug delivery system that disintegrates rapidly in the oral cavity without the need for water, leading to faster drug absorption and improved therapeutic efficacy. The formulation of FDTs is primarily dependent on the selection of suitable excipients, particularly superdisintegrants, which facilitate rapid tablet disintegration and dissolution.[1-5]

Loratadine, a second-generation non-sedative histamine H_1 receptor antagonist, is extensively used for the treatment of allergic conditions such as seasonal allergic rhinitis, chronic idiopathic urticaria, and other hypersensitivity reactions. It functions by selectively

blocking peripheral histamine receptors, thereby alleviating symptoms like sneezing, nasal congestion, itching, and skin rashes.[5-8] Loratadine is classified as a Biopharmaceutics Classification System (BCS) Class II drug, indicating low aqueous solubility and high permeability. Due to its poor water solubility, conventional loratadine tablets may exhibit slower dissolution rates, leading to delayed onset of action and inconsistent bioavailability. Thus, developing a fast dissolving tablet formulation of loratadine with improved dissolution characteristics can enhance its therapeutic efficiency by ensuring rapid drug release and absorption.[9-15]

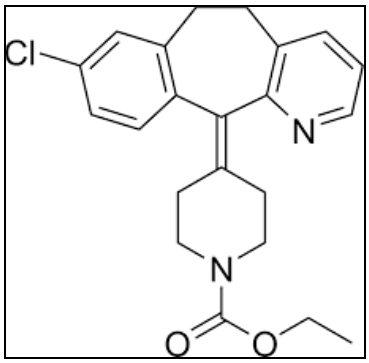

Figure 01: Structure of Loratadine.

The incorporation of superdisintegrants plays a crucial role in the optimization of FDTs. Superdisintegrants such as sodium starch glycolate, croscarmellose sodium, and crospovidone are commonly used in tablet formulations to facilitate rapid disintegration through various mechanisms, including swelling, capillary action, and deformation. These excipients promote water uptake and tablet disintegration, thereby increasing the surface area for drug dissolution and absorption.[16-21] The selection of an appropriate superdisintegrant and its optimal concentration is essential to achieving the desired balance between disintegration time, drug release, and mechanical properties of the tablet.[22-26]

In this study, loratadine fast dissolving tablets are formulated and evaluated using different superdisintegrants to determine their impact on tablet disintegration time, dissolution profile, and overall drug release characteristics. The objective is to develop an optimized FDT formulation that enhances the bioavailability of loratadine, providing a faster onset of action and improved patient convenience. The findings of this research can contribute to the advancement of oral drug delivery systems, particularly for drugs with poor solubility, ultimately improving therapeutic outcomes for patients suffering from allergic disorders.[27-32]

MATERIALS AND METHODS

MATERIALS

The formulation of loratadine fast dissolving tablets included various pharmaceutical ingredients sourced from reputed suppliers. Loratadine, the active drug, along with the superdisintegrants sodium starch glycolate, crospovidone, and croscarmellose sodium (Ac-di-sol), was procured from Sun Pharma, Mumbai, to enhance tablet disintegration. Aerosil, used as a glidant, was obtained from LOBA Chemie, Mumbai, while magnesium stearate (lubricant), mannitol (sweetener), and microcrystalline cellulose (binder) were sourced from Madras Pharmaceuticals, Chennai. To improve taste and patient acceptability, aspartame and strawberry flavoring were included, both obtained from Orchid Pharmaceuticals, Chennai. These excipients were carefully selected to ensure the tablets' rapid disintegration, stability, and palatability.

METHODS

Preformulation study

The identification of loratadine was carried out using two analytical techniques: Fourier Transform Infrared (FTIR) spectroscopy and melting point determination.[33-35]

FTIR Spectroscopy

The infrared spectra of loratadine and the selected polymers were recorded using an FTIR spectrophotometer (Schimadzu 8400 SCCE). A small quantity of the sample was mixed with an equal amount of potassium bromide (KBr) to form a pellet, which was then placed in the sample holder for spectral analysis. The characteristic peaks obtained in the IR spectrum helped confirm the functional groups present in the drug.[36-42]

Melting Point Determination

The melting point of loratadine was determined using a melting point apparatus to verify the purity of the drug. As an essential identification parameter, the observed melting point was compared with the standard reference value, ensuring the authenticity and quality of the drug sample.[43-44]

Physicochemical Characterization

The physicochemical properties of loratadine were evaluated to ensure its suitability for formulation development. These parameters included organoleptic properties, solubility profile, and loss on drying.[45-47]

i. Organoleptic Properties

The physical appearance of the tablets is crucial for consumer acceptance. The evaluation involved observing characteristics such as size, shape, color, odor, taste, surface texture, and the presence of any physical flaws or markings. These attributes were assessed visually to ensure uniformity and appeal.

ii. Solubility Profile

Solubility is a critical parameter, especially for poorly soluble drugs, as it directly affects bioavailability. A drug with solubility below 10 mg/mL across a pH range of 1-8 may exhibit absorption challenges. The solubility of loratadine was determined using descriptive terminology as per the Indian Pharmacopoeia (2007), measuring the maximum amount of solvent required to

dissolve the drug.

iii. Loss on Drying (LOD)

Loss on drying determines the percentage of moisture and volatile content in the drug, which can influence stability. A precisely weighed 1 g sample was placed in a glass-stoppered weighing bottle, weighed, and then dried in an oven at 105°C for three hours.

Analytical Methods

The analytical methods used in this study focused on determining the absorption maximum of loratadine, preparing its standard calibration curve, and assessing its purity. Additionally, drug-polymer compatibility was evaluated using Fourier Transform Infrared (FTIR) spectroscopy to detect any possible interactions.

Determination of Absorption Maximum in Different Solvents

To determine the absorption maxima (λmax) of loratadine, a stock solution was prepared by dissolving 10 mg of the drug in three different solvents: methanol, 0.1N HCl, and phosphate buffer (pH 6.4), each made up to 100 mL. A 1 mL aliquot from each solution was further diluted to obtain a final concentration of 10 µg/mL. The solutions were scanned in the UV region (200-400 nm) using a UV-Visible spectrophotometer, and the λmax values were recorded as 222 nm in methanol, 222 nm in 0.1N HCl, and 274 nm in phosphate buffer (pH 6.8).

Preparation of Standard Calibration Curve

A series of standard solutions were prepared from the stock solution, ranging from 5 to 30 µg/mL in the three solvents. The absorbance of each concentration was measured to establish a calibration curve, ensuring that the drug followed Beer's law. This curve was used for subsequent quantification studies.

Determination of Percentage Purity of Loratadine

To determine the purity of loratadine, 100 mg of the drug was accurately weighed and dissolved in a small volume of 0.1N HCl. The solution was then diluted to 100 mL to obtain a stock solution with a concentration of 1000 µg/mL. From this, a 3 mL aliquot was taken and diluted to 10 mL with 0.1N HCl. The absorbance of the prepared solution was measured at 275 nm against a blank using a Shimadzu-1700 Pharmaspec UV-Visible spectrophotometer. The percentage purity of loratadine was calculated using the calibration curve method (least square method).

Formulation and Characterization of Powder Blend

The formulation of the powder blend was carried out by accurately weighing loratadine and excipients as per the composition outlined in Table 01. Except for Aerosil and magnesium stearate, all ingredients were blended uniformly using a mortar and pestle for 15 minutes. The resulting powder blend was passed through a #60 sieve to ensure uniform particle size distribution. Aerosil and magnesium stearate, which were pre-sieved through a #30 sieve, were then incorporated and mixed for an additional 10 minutes. The final powder blend was subjected to pre-compression characterization, including flow properties such as angle of repose, bulk density, tapped density, compressibility index, and Hausner's ratio.[48-52]

Table 01: Formulated Composition of different Batches of Fast Dissolving Loratadine Tablets.

S. No.	Ingredients(mg/tab)	Formulation code								
		F1	F2	F3	F4	F5	F6	F7	F8	F9
1	Loratadine	10	10	10	10	10	10	10	10	10
2	Croscarmellose sodium (Ac-di-sol)	4	6	8	-	-	-	-	-	-
3	Sodium starch glycollate(Explotab)	-	-	-	4	6	8	-	-	-
4	Crospovidone (Polyplasdone)	-	-	-	-	-	-	4	6	8
5	Microcrystallinecellulose	74	72	70	74	72	70	74	72	70
6	Mannitol	100	100	100	100	100	100	100	100	100
7	Aerosil	4	4	4	4	4	4	4	4	4
8	Aspartame	6	6	6	6	6	6	6	6	6
9	Magnesium stearate	2	2	2	2	2	2	2	2	2
10	Strawberry flavour	q.s	q.s	q.s	q.s	q.s	q.s	q.s	q.s	q.s
11	Total	200	200	200	200	200	200	200	200	200

Powder Blend Characterization

The flow properties of the powder blend were evaluated using the angle of repose, bulk density, tapped density, compressibility index, and Hausner's ratio. The angle of repose was determined using the fixed funnel method, where the powder formed a conical heap, and its flowability was assessed based on standard classifications. Bulk density was measured by pouring a known weight of powder into a graduated cylinder, while tapped density was obtained by mechanically tapping the cylinder until a constant volume was reached. Compressibility index was calculated to determine the powder's ability to consolidate, with lower values indicating better flow. Hausner's ratio was used as an indirect measure of flowability, with values below 1.25 suggesting good flow and those above 1.5 indicating poor flow. These parameters provided essential insights into the blend's suitability for further processing and tablet formulation.[53-57]

Preparation of fast dissolving loratadine tablets

Fast-dissolving loratadine tablets were formulated using

the direct compression method, incorporating superdisintegrants-croscarmellose sodium, sodium starch glycolate, and crospovidone-in ratios of 5:2, 5:3, and 5:4, respectively. Microcrystalline cellulose and mannitol served as diluents, while a 2:1 mixture of aerosil and magnesium stearate functioned as glidant and lubricant. The accurately weighed drug and excipients, excluding aerosil and magnesium stearate, were blended for 15 minutes, passed through a #60 sieve, then combined with sieved aerosil and magnesium stearate, and mixed for an additional 10 minutes. Each 200 mg portion of the blend was manually fed into a 16-station Cadmach tablet compression machine, equipped with 9 mm flat-faced punches, to produce tablets under consistent compression force and hardness. A total of nine formulations were prepared.[58-62]

Evaluation of Loratidine FDTs

The evaluation of Loratadine Fast Dissolving Tablets (FDTs) encompasses several critical quality control parameters:

Appearance

Tablets are visually inspected for defects such as capping, chipping, or lamination to ensure uniformity and integrity.

Weight Variation

Twenty tablets from each batch are individually weighed. The batch complies with pharmacopeial standards if no more than two tablets deviate from the average weight beyond the permissible limits.

Thickness Uniformity

The thickness of three randomly selected tablets is measured using a Vernier caliper to ensure consistency in tablet dimensions.

Hardness

The crushing strength of six tablets is assessed using a Monsanto Hardness Tester to determine the force required to break them, ensuring they can withstand handling.

Friability

Using a Roche Friabilator, tablets are subjected to mechanical stress to evaluate their tendency to crumble. A weight loss of less than 1% typically indicates acceptable friability.

Content Uniformity

The uniform distribution of Loratadine in the tablets is verified by assaying the drug content, ensuring each tablet contains the intended dosage.

Disintegration Time

The time taken for tablets to disintegrate in a specified medium at 37°C is measured using a USP disintegration apparatus, ensuring rapid dissolution in the oral cavity.

Wetting Time and Water Absorption Ratio

A tablet is placed on a wetted tissue paper, and the time for water to reach the tablet's upper surface is recorded as wetting time. The water absorption ratio is calculated by comparing the tablet's weight before and after water uptake, reflecting the tablet's ability to absorb moisture and disintegrate promptly.

In-vitro Dissolution Studies

Tablets are placed in a dissolution apparatus containing 0.1N HCl at 37°C. Samples are taken at regular intervals to measure the percentage of drug released over time, ensuring the tablet releases Loratadine as intended.[63-67]

RESULTS AND DISCUSSIONS

Preformulation parameters

Identification of drug by FTIR spectroscopy

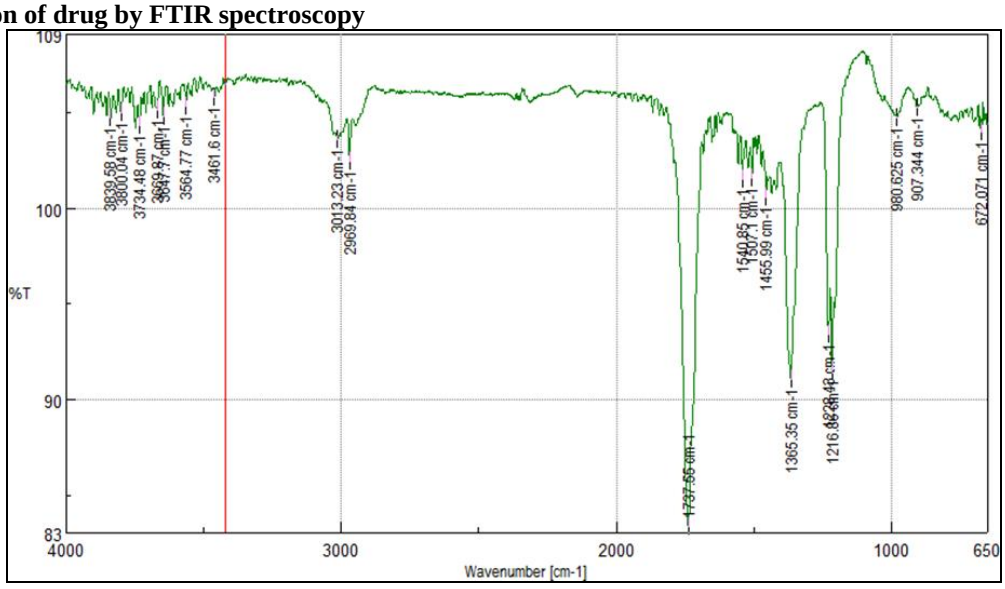

Figure 02: FTIR spectra for Loratadine.

Major functional groups present in Loratadine show characteristic peaks in IR spectrum. Figure 02 shows peaks observed at different wave numbers and the functional group associated with these peaks. The major peaks are identical to functional group of Loratadine. Hence, the sample was confirmed as Loratadine.

By melting points

Melting range of Loratadine sample was found to be 135 ± 10C. The reported melting point range for Loratadine is 134 to 136ºC. Hence, experimental values are in good agreement with theoretical values.

Physicochemical parameters of drug organoleptic properties:

Color: White, **Nature:** Fine powder,**Odour:** Odorless

Solubility study of the drug

Table 02: The solubility of Loratadine in different solvents.

S. No	Solvent	Parts of solvent requiredper part of solute	Inference
1	Distilled water	1000	PracticallyInsoluble
2	Acetone	2	Freely Soluble
3	Methanol	2	Freely Soluble
4	Chloroform	2	Freely Soluble
5	Toluene	2	Freely Soluble
6	0.1 N HCl	2	Freely Soluble

ANALYTICAL METHODS

Determination of λmax. and Preparation of Calibration Curve ofLoratadine by using 0.1 N HCl.

UV absorption spectrum of Loratadine in 0.1 N HCl shows λmax at 247.5 nm. Absorbance obtained for various concentrations of Loratadine in 0.1 N HCl. The graph of absorbance vs. concentration for Loratadine was found to be linear inthe concentration range of 10µg /ml. The drug obeys Beer- Lambert's law in the range of 10 µg /ml.

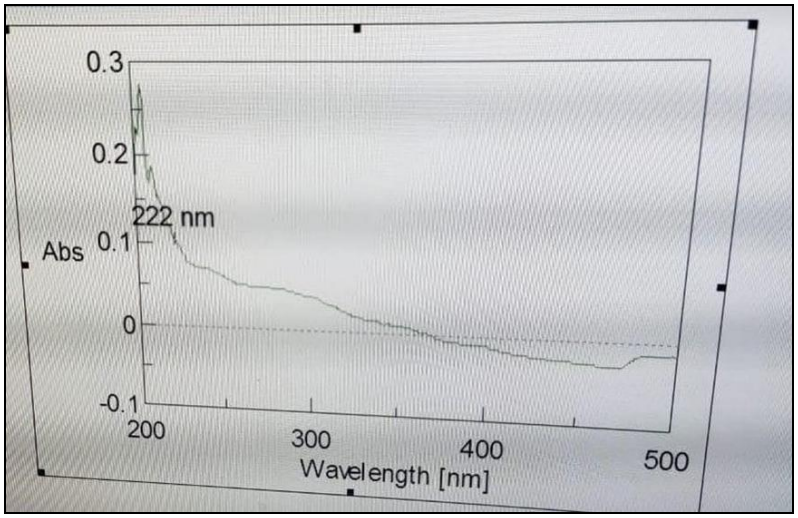

Figure 03: UV Spectra of Loratadine in 0.1 N HCL.

Percentage purity of pure drug

The percentage purity of drug was calculated by using calibration graph method (least square method). The reported percentage purity for Loratadine is 99 to 101% (I.P. 2007).

Table 03: Percentage purity of pure drug

S. No.	Percentage purity (%)	Avg. percentage purity (%)
1	100.98	100.10 ± 0.64
2	99.64	
3	99.58	

All values are expressed as mean±SEM, n=3.

Fourier Transform Infra-Red Spectroscopy (FT-IR):

Major functional groups present in Loratadine show characteristic peaks in IR Spectrum. Peaks observed at different wave numbers and the Functional group associated with these peaks. The major peaks are identical to functional group of Loratadine. Hence, the sample was confirmed as Loratadine.

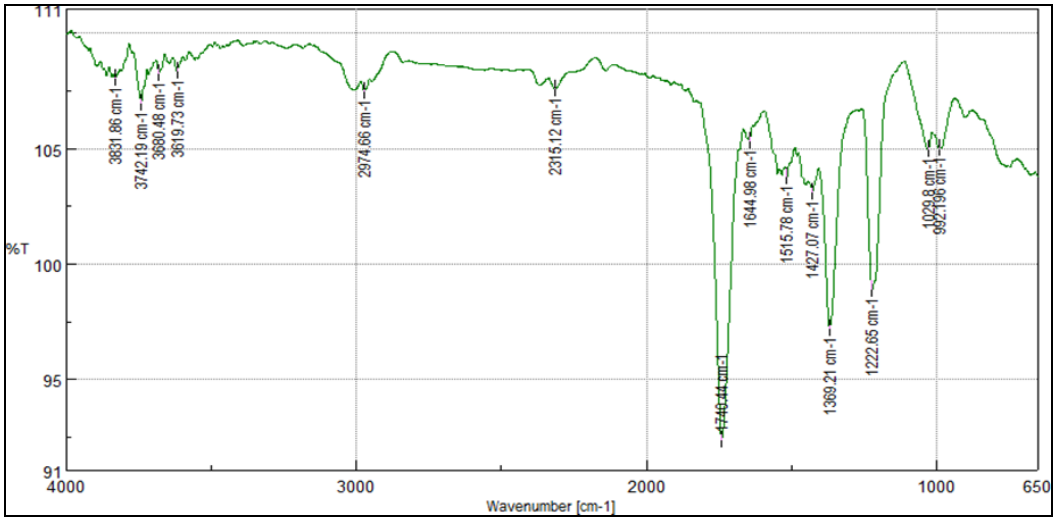

Figure 04: FTIR spectrum of Loratadine.

Evaluation of Powder Blends of Loratadine

Table 04: Evaluation of Powder Blends of Loratadine.

Formulation Code	Bulk density(g/ml)	Tapped density(g/ml)	Angle of repose(Ɵ)	Carr's index (%)	Hausner'sratio
F1	0.45±0.0125	0.50±0.0231	31.78±1.8815	11.19±0.00	0.8880±0.00
F2	0.43±0.0165	0.49±0.0099	30.67±0.9514	11.45±0.00	0.8854±0.00
F3	0.45±0.0042	0.50±0.0063	34.53±1.7870	9.56±0.00	0.9043±0.00
F4	0.41±0.0105	0.47±0.0124	28.42±1.2725	12.26±0.00	0.8773±0.00
F5	0.45±0.0090	0.52±0.0213	33.78±1.4577	13.79±0.00	0.8620±0.00
F6	0.47±0.0120	0.54±0.0217	29.04±1.1461	12.69±0.00	0.8730±0.00
F7	0.46±0.0103	0.50±0.0107	33.65±0.5445	9.65±0.00	0.9034±0.00
F8	0.48±0.0134	0.56±0.0216	28.66±1.673	14.18±0.00	0.8581±0.00
F9	0.43±0.0171	0.48±0.0263	26.59±0.4705	10.31±0.00	0.8968±0.00

All values are expressed as mean± SEM, n=3.

Angle of Repose

The Angle of repose of various powder mixed blends, prepared with different superdisintegrants, was measured by funnel method. Angle of repose was found in the range 26.59 ± 0.4705 - 34.53^0 ± 1.7870**.** The good flow ability of powder blend was also evidence with angle of repose.

Bulk density

The bulk density of various powder mixed blends prepared with different superdisintegrants was measured by graduated cylinder. The bulk density was found in the range 0.41 ± 0.0105 - 0.48 ± 0.0134 g/ml.

Tapped Density

The Tapped density of various powder mixed blends prepared with different superdisintegrants was measured by using measuring cylinder. The tapped density was found in the range 0.47 ± 0.0124 - 0.56 ± 0.0216 g/ml. These values indicate good packing characteristics and the powder was not bulky.

Compressibility Index

The Compressibility index of various powder mixed blends, prepared with different superdisintegrants, using bulk density and tapped density data, compressibility index was calculated. It was found in the range 9.56 ± 0.00 - 14.18± 0.00 %. This indicatesgood flowproperties.

Hausner's ratio

The Hausner's ratio of various powder mixed blends, prepared with different superdisintegrants, it is calculated by using bulk density and tapped density data. It was found in the range of 0.8581 ± 0.00 – 0.9043 ± 0.00, reveals good flow properties (<1.25).

Evaluation of Loratadine Tablets

Dimension (Thickness and Diameter)

Tablets were evaluated by using Vernier caliper. Excessive variation in the tabletthickness and diameter can result in problems with packaging as well as consumeracceptance. There were no marked variations in the thickness and diameter of tablets within each formulation indicating uniform die fill throughout the compression process. The size (diameter) of the tablets of all formulations was found to be 7.73 ± 0.3214 – 7.96 ± 0.2081 mm and thickness of the tablets was found in the range of2.70 ± 0.17 mm – 3.0 ± 0.10 mm.

Weight variation

Tablets were prepared using direct compression technique. Since the material was free flowing, tablets

were obtained of uniform weight due to uniform die fill. Tablets wereobtained in the range with acceptable weight variations as per Pharmacopoeia specifications, less than 7.5.

Hardness

Tablets were evaluated by using Monsanto Hardness tester. Hardness of the tabletswas in the range 3.0 ± 0.1 - 3.4 ± 0.1 kg/cm^2. Uniform hardness was obtained due to equal compression force. The obtained hardness range showed good mechanical strength with an ability to withstand physical and mechanical stress conditions.

Friability

Tablets were evaluated by using Roche Friabilator and friability of tablets was observed in acceptable range. 0.8 ± 0.090 - 0.9 ± 0.117 (less than 1%) This indicated a good mechanical resistance of the prepared fast dissolving tablets.

Drug content of Loratadine

Tablets were evaluated by using assay method. The drug content was obtained in the acceptable limit. The drug content was found in the range 95.25 ± 0.13 to 98.75 ± %w/w. (i.e. 99-101% w/w). The found range was within the specified limit as per Indian Pharmacopoeia 2007.

Figure 05: Prepared FDT's.

Table 05: Evaluation of Loratadine tablets.

Formulation Code	Dimension		Hardness (kg/cm2)	Friability (%)	Drug content (%w/w)	Weight variation
	Thickness (mm)	Diameter (mm)				
F1	2.90±0.10	7.86±0.20	3.26± 0.05	0.8±0.05	98.50±0.11	204.6± 1.18
F2	2.9±0.17	7.73±0.32	3.36± 0.11	0.8±0.15	98.75±0.01	205.15 ± 1.59
F3	2.76±0.25	7.83±0.24	3.26± 0.15	0.9±0.1	98.25±0.15	206.15 ± 1.63
F4	2.80±0.10	7.96±0.20	3.36± 0.15	0.9±0.13	95.25±0.13	207.15 ± 1,53
F5	2.70±0.17	7.76±0.32	3.33± 0.25	0.8±0.07	98.50±0.06	207.10 ± 1.61
F6	3.0±0.10	7.80±0.45	3.4± 0.10	0.8±0.09	97.70±0.23	205.10 ± 1.48
F7	2.86±0.11	7.93±0.35	3.4± 0.10	0.8±0.06	97.75±0.14	206.40 ± 1.66
F8	2.96±0.05	7.76±0.30	3.4± 0.10	0.9±0.10	98.75±0.17	207.15 ± 1.53
F9	2.8±0.10	7.83±0.20	3.0± 0.10	0.9±0.11	98.75±0.01	201.55 ± 1.63

All values are expressed as mean± SEM, n=3

Disintegration time

Tablets were subjected for the *in-vitro* disintegrate time in the USP Disintegrate test apparatus.(Veego scientific VTD-DV) The *in-vitro* disintegrate time for all nine formulations varied from 12 ± 1.8973 to 30 ± 1.8973 seconds. The rapid disintegrate was seen in the formulations containing Crospovidone and Croscarmellose sodium. This is due to rapid intake of the water from the medium, swelling and burst effect. It also noticed that the concentration of Crosscarmellose sodium followed by Crosspovidone and Sodium starch glycollate increased, the time taken for the disintegrate was reduced. Figure 06. Reveals that the formulations with highest concentration of Crosscarmellose sodium with Crosspovidone shown significant rapid disintegrate. Disintegrate time was to be found very less for F9 formulation which contains highest concentration and efficiency of Crosspovidone.

Table 06: Disintegration time in seconds.

Formulations	Disintegrate time (sec) (Mean ± S.D, n = 3)
F1	25±3.2863
F2	19±1.4142
F3	15±1.4142
F4	30±1.8973
F5	22±1.4142
F6	18±1.4142
F7	20±2.000
F8	15±1.4142
F9	12±1.8973

All values are expressed as mean± SEM, n=3.

Figure 06: Disintegration of tablet

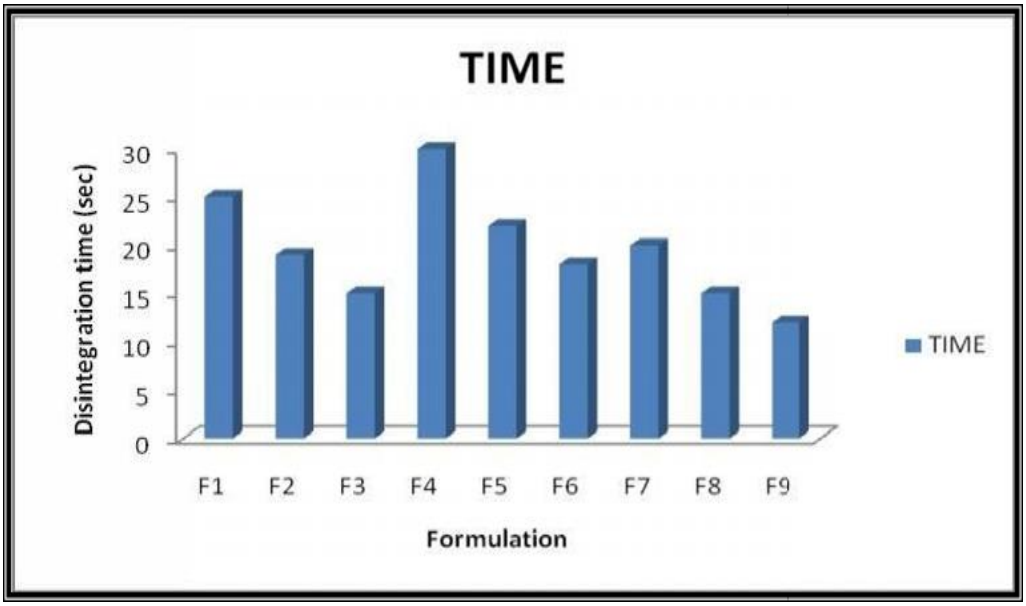

Figure 07: Disintegrate profile of fast dissolving Loratadine tablets (F1 - F9).

Wetting time and water absorption ratio

The wetting time for all nine formulations was performed in duplicate. The values lie between 11 ± 1.4142 to 42 ± 1.8973 seconds. The wetting time was rapid in Crosscarmellose sodium followed by Crosspovidone and Sodium starch glycollate. Herealso it was observed that as the concentration of disintegrant increased the time taken for wetting was reduced.

Water absorption ratio which is important criteria for understanding the capacity of disintegrants to swell in presence of little amount of water was calculated. It was found in the range of 78.45 ± 5.92 to 125.80 ± 5.10 %. (table 08) Water absorption ratio (R) increases with the increased concentration of Croscarmellose sodium followed by crosspovidone and sodium starch glycollate. Hence Crospovidone had shown highest water absorption 125.80 % of F9 and in turn rapid bursting of the same formulations.

Table 08: Wetting time and Water absorption ratio.

Formulation	Wetting time(sec)	Water absorption ratio(%)
F1	25±3.2863	81.26±0.9832
F2	20±2.0000	90.28±3.982
F3	17±1.4142	117.40±1.88
F4	42±1.8973	78.45±5.92
F5	31±1.4142	84.44±2.96
F6	23±2.2803	96.66±1.41
F7	26±2.0000	84.24±6.02
F8	17±1.4142	96.66±5.40
F9	11±1.4142	125.80±5.10

*All values are expressed as mean± SE, n=3.

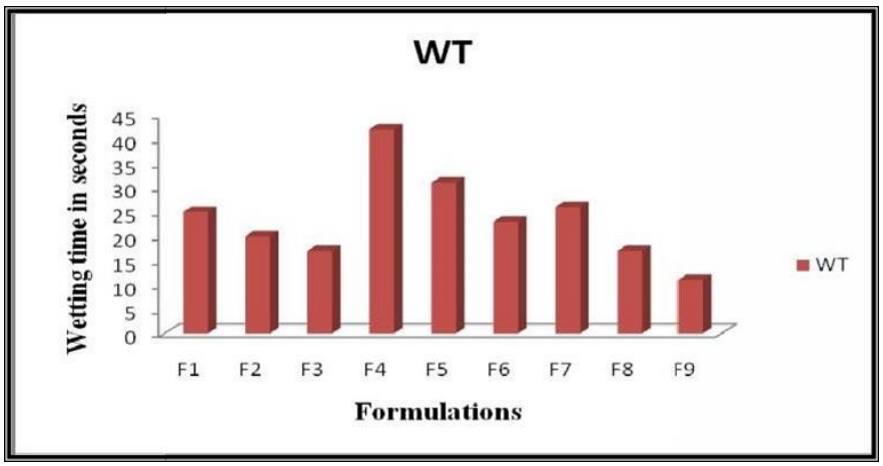

Figure 08: Wetting profile of fast dissolving Loratadine tablets (F1 - F9).

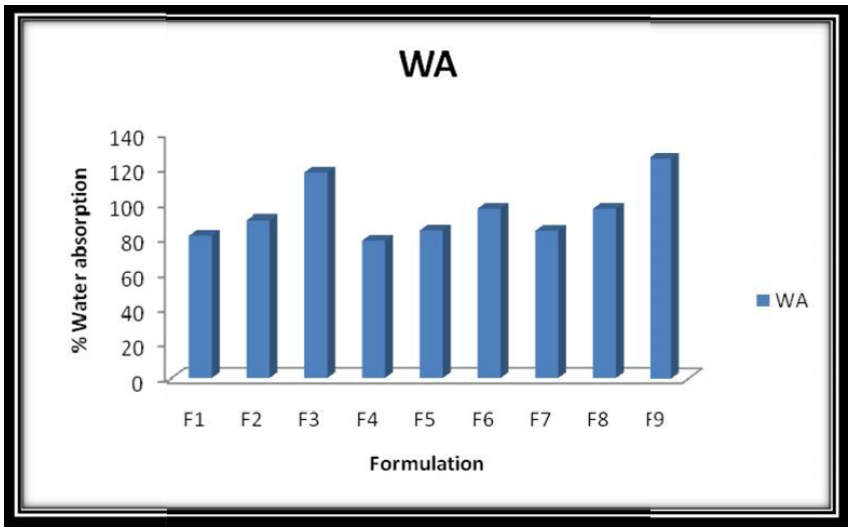

Figure 09: Water absorption ratio of fast dissolving Loratadine tablets (F1 - F9).

***In –Vitro* Dissolution Studies**

Dissolution Profile for formulation F9

Table 09: *In-vitro* dissolution data of formulation F9.

S. No.	Time (Minute)	Amount ofdrug released (mg)	%DE	FDT (Minute)	Cumulative %drug Release
1	0	0.00	0.00	0.00	0.00 ± 0.00
2	3	9.49	42.92	1.50	85.42 ± 1.6733
3	6	9.17	65.79	1.68	91.78 ± 0.9055
4	9	9.69	75.33	2.04	96.40 ± 1.5832
5	12	9.90	81.12	2.23	99.10 ± 0.9422

All the values are expressed as a mean ± SD., n = 3

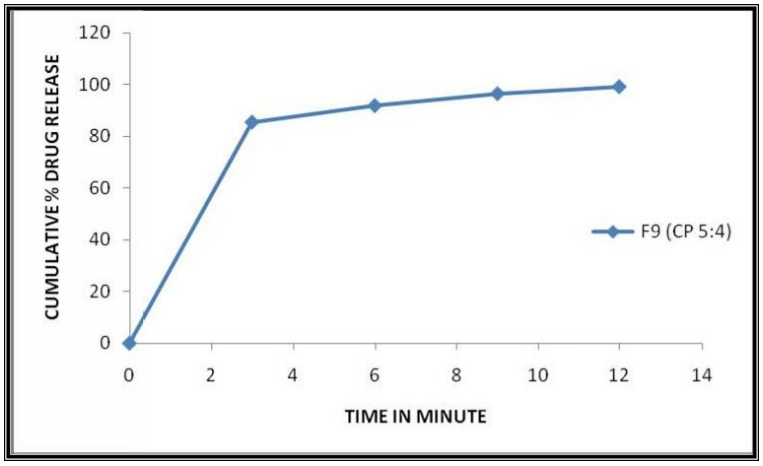

Figure 10: Cumulative % drug release profile of formulation F9.

CONCLUSIONS

This study successfully developed fast-dissolving tablets (FDTs) of loratadine, aiming for rapid onset of action and enhanced patient compliance. Using direct compression, various formulations incorporated superdisintegrants like sodium starch glycolate, crospovidone, and croscarmellose sodium. Among these, the formulation containing the highest concentration of crospovidone (F9) demonstrated superior performance, with a disintegration time of 12 ± 1.67 seconds and a cumulative drug release of 99.10% within 12 minutes. The optimized F9 formulation remained stable under accelerated conditions over three months. These findings suggest that loratadine FDTs, particularly the F9 formulation, offer rapid relief from allergic reactions, improved bioavailability, and greater patient satisfaction.

FUNDING

This research received no specific grant from any funding agency.

CONFLICTS OF INTEREST

The authors declare no conflicts of interest related to this work.

REFERENCES

1. Badvel P, Gannu R, Yamsani MR. Formulation and evaluation of fast disintegrating tablet of loratadine by using isabgol mucilage. Int J Pharm Sci Res., 2024; 15(4): 1167-73.
2. Kumar A, Gupta S, Prajapati SK, Soni G, Singh M. Formulation and evaluation of oral dispersible tablets of loratadine by direct compression method. Int J Pharm Sci Res., 2019; 10(4): 1845-52.
3. Kumar A, Gupta S, Prajapati SK, Soni G, Singh M. Formulation and evaluation of oral dispersible tablets of loratadine by direct compression method. Int J Pharm Sci Res., 2019; 10(4): 1845-52.
4. Gowtham M, Kumar KP, Rani AP, Kumar NS. Formulation and evaluation of fast dissolving tablets of loratadine solid dispersion using super disintegrants. Int J Pharm Sci Res., 2014; 5(10): 4438-44.
5. Patel DM, Patel NM, Shah RR. Development and assessment of loratadine fast-disintegrating tablets via β-cyclodextrin complexation with superdisintegrants. Orient J Chem., 2023; 39(3): 1132-40.
6. Kumar A, Gupta S, Prajapati SK, Soni G, Singh M. Formulation and evaluation of oral dispersible tablets of loratadine by direct compression method. Int J Pharm Sci Res., 2019; 10(4): 1845-52.
7. Kumar A, Gupta S, Prajapati SK, Soni G, Singh M. Formulation and evaluation of oral dispersible tablets of loratadine by direct compression method. Int J Pharm Sci Res., 2019; 10(4): 1845-52.
8. Kumar A, Gupta S, Prajapati SK, Soni G, Singh M. Formulation and evaluation of oral dispersible tablets of loratadine by direct compression method. Int J Pharm Sci Res., 2019; 10(4): 1845-52.
9. Kumar A, Gupta S, Prajapati SK, Soni G, Singh M. Formulation and evaluation of oral dispersible tablets of loratadine by direct compression method. Int J Pharm Sci Res., 2019; 10(4): 1845-52.
10. Kumar A, Gupta S, Prajapati SK, Soni G, Singh M. Formulation and evaluation of oral dispersible tablets of loratadine by direct compression method. Int J Pharm Sci Res., 2019; 10(4): 1845-52.
11. Kumar P, Singh S, Jha SK, Kumar R, Kumar A. Formulation and evaluation of fast disintegrating tablet of loratadine by using isabgol mucilage. Int J Pharm Sci Res., 2024 Apr; 15(4): 1167-1173.
12. Gupta S, Gupta R, Sharma R, Sharma A. Formulation and evaluation of oral dispersible tablets of loratadine by direct compression method. Res J Pharm Technol., 2019 Apr; 12(4): 1921-1926.
13. Bhowmik D, Chiranjib B, Krishnakanth CB, Pankaj K, Chandira RM. Fast dissolving tablet: An overview. J Chem Pharm Res., 2009; 1(1): 163-177. □cite□turn0search8□
14. Patel DM, Patel NM, Shah RR. Development and in vitro evaluation of fast dissolving tablets of loratadine. Indian J Pharm Sci., 2009 Jan-Feb; 71(1): 72-76.
15. Sreenivas SA, Dandagi PM, Gadad AP, Godbole AM, Hiremath SP, Mastiholimath VS, et al.

Orodispersible tablets: New-fangled drug delivery system – A review. Indian J Pharm Educ Res., 2005; 39(4): 177-181.
16. Kuchekar BS, Badhan AC, Mahajan HS. Mouth dissolving tablets: A novel drug delivery system. Pharm Times, 2003; 35: 7-9.
17. Sharma S, Gupta GD. Formulation and characterization of fast-dissolving tablet of promethazine theoclate. Asian J Pharm., 2008; 2(1): 70-72.
18. Goel H, Rai P, Rana V, Tiwary AK. Orally disintegrating systems: Innovations in formulation and technology. Recent Pat Drug Deliv Formul. 2008; 2(3): 258-274.
19. Deshmukh VN, Jadhav JK, Sakarkar DM. Formulation and in vitro evaluation of rapidly disintegrating tablets of loratadine. Int J PharmTech Res., 2009; 1(3): 654-658.
20. Kuchekar BS, Arumugam V. Fast dissolving tablets. Indian J Pharm Educ., 2001; 35(4): 150-152.
21. Lenik J, Wesoły M, Ciosek P, Wróblewski W. Evaluation of taste masking effect of diclofenac using sweeteners and cyclodextrin by a potentiometric electronic tongue. J Electroanal Chem., 2016 Nov 1; 780: 153–9.
22. Sammour OA, Hammad MA, Megrab NA, Zidan AS. Formulation and optimization of mouth dissolve tablets containing rofecoxib solid dispersion. AAPS PharmSciTech., 2006 Jun; 7(2): E167–75.
23. Katsuno E, Tahara K, Takeuchi Y, Takeuchi H. Orally disintegrating tablets prepared by a co-processed mixture of micronized crospovidone and mannitol using a ball mill to improve compactibility and tablet stability. Powder Technol., 2013 Jun 1; 241: 60–6.
24. Jain N, Mandal S, Banweer J, Jain S. Effect of superdisintegrants on formulation of taste masked fast disintegrating Ciprofloxacin tablets. Int Curr Pharm J., 2012; 1(4): 62–7.
25. Garg A, Gupta MM. Taste masking and formulation development & evaluation of mouth dissolving tablets of Levocetrizine Dihydrochloride. J Drug Deliv Ther., 2013 May 14; 3(3): 123–30.
26. Comoglu T, Inal O, Yaacoub HB. Formulation and in vitro evaluation of ketoprofen fast-dissolving tablets. Pharm Dev Technol., 2016 Nov 16; 21(8): 901–8.
27. Amelian A, Wasilewska K, Wesoły M, Ciosek-Skibińska P, Winnicka K. Taste-masking assessment of orally disintegrating tablets and lyophilisates with cetirizine dihydrochloride microparticles. Saudi Pharm J., 2017 Dec 1; 25(8): 1144–50.
28. Chamsai B, Sriamornsak P. Novel disintegrating microcrystalline cellulose pellets with improved drug dissolution performance. Powder Technol., 2013 Jan 1; 233: 278–85.
29. Nimisha N, Pal P, Srivastava D. Formulation and evaluation of meclizine hydrochloride mouth dissolving tablets: An attempt to enhance patient compliance. Asian J Pharm., 2014 Aug 26; 6(4).
30. Kamble MD, Zaheer Z, Mokale S, Zainuddin R. Development and biopharmaceutical characterization of BCS class II drug–Naproxen by two-way complexation solid dispersion technique. Int J Bio-Pharma Res., 2019 Apr 1; 8(4): 2523–30.
31. Venkateswarlu K, Preethi JK, Chandrasekhar KB. Enhancement of loperamide dissolution rate by liquisolid compact technique. Adv Pharm Bull, 2016 Sep; 6(3): 385.
32. Florey K. Analytical profiles of drug substances. Vol. 19. London: Academic Press, 1990; 341–65.
33. Indian Pharmacopoeia Vol. II. Delhi: Govt of India, Ministry of Health and Family Welfare, 2007; 694–5.
34. Weuts I, Kempen D, Verreck G, Decorte A, Heymans K, Peeters J, et al. Study of the physicochemical properties and stability of solid dispersions of loperamide and PEG6000 prepared by spray drying. Eur J Pharm Biopharm., 2005 Jan 1; 59(1): 119–26.
35. Nukala PK, Palekar S, Patki M, Fu Y, Patel K. Multi-dose oral abuse deterrent formulation of loperamide using hot melt extrusion. Int J Pharm., 2019 Oct 5; 569: 118629.
36. Sareen S, Mathew G, Joseph L. Improvement in solubility of poor water-soluble drugs by solid dispersion. Int J Pharm Investig., 2012 Jan; 2(1): 12.
37. Widjojokusumo E, Veriansyah B, Youn YS, Lee YW, Tjandrawinata RR. Co-precipitation of loperamide hydrochloride and polyethylene glycol using aerosol solvent extraction system. Korean J Chem Eng., 2013 Sep; 30(9): 1797–803.
38. Jadhav YL, Bharat P. Formulation and evaluation of mouth dissolving tablet of glipizide by solid dispersion. Int J Pharm Sci Res., 2012 Dec 1; 3(12): 4929.
39. Singh S, Shah D. Development and characterization of mouth dissolving tablet of zolmitriptan. Asian Pac J Trop Dis., 2012 Jan 1; 2: S457–64.
40. Madgulkar AR, Bhalekar MR, Padalkar RR. Formulation design and optimization of novel taste masked mouth-dissolving tablets of tramadol having adequate mechanical strength. AAPS PharmSciTech., 2009 Jun; 10(2): 574–81.
41. Pathan IB, Shingare PR, Kurumkar P. Formulation design and optimization of novel mouth dissolving tablets for venlafaxine hydrochloride using sublimation technique. J Pharm Res., 2013 Jun 1; 6(6): 593–8.
42. Jyothi G, Lakshmi PK. Comparative evaluation of natural and synthetic superdisintegrants with newer superdisintegrant Kyron T-314. Acta Pharm Sci., 2011; 53(1).
43. Woertz C, Kleinebudde P. Development of orodispersible polymer films with focus on the solid state characterization of crystalline loperamide. Eur J Pharm Biopharm., 2015 Aug; 94: 52-63.
44. Ritesh E, Regupathi T, Surfraj S, Shrikrishna B. Formulation development and evaluation of fast dissolving tablet Loperamide HCl. J Pharm Res.,

2011; 1(1): 84-91.
45. Bharathi A, Guptha KM, Rao YU. Formulation development and evaluation of loperamide hydrochloride orally disintegrating tablets. Indian J Res Pharm Biotechnol., 2013 Jan; 1(1): 116.
46. Gautam SP, Rai JP, Billshaiya U, Jain N, Vikram P, Jain DK. Formulation and evaluation of mouth dissolving tablet of loperamide. Int J Pharm Sci Res., 2013 May; 4(5): 1782.
47. Rivai H, Puspita R, Misfadhila S. Recent study on development and validation of loperamide hydrochloride tablet analysis method with absorbance and area under curve methods spectrophotometrically. Technol Innov Pharm Res., 2021 May 12; 3: 48-58.
48. Chauhan D, Koradia H. Formulation, development and evaluation of immediate release tablet of Loperamide HCl.
49. Reddy PS, Rao GK, Murthy KR. Formulation and evaluation of oral fast dissolving films of poorly soluble drug Loperamide HCl using Transcutol HP. Polymer, 2013; 30: 75.
50. Agnese T, Cech T. Evaluating different manufacturing options to formulate Loperamide HCl as orally disintegrating tablet. In: 1st European Conference on Pharmaceutics: Drug Delivery, 2015 Apr 13.
51. Rasve VR, Chakraborty AK, Jain SK, Vengurlekar S. Comparative evaluation of antidiabetic activity of ethanolic leaves extract of *Clematis triloba* and their SMEDDS formulation in streptozotocin-induced diabetic rats. *J Popul Ther Clin Pharmacol.*, 2022; 29(4): 959-971. doi:10.53555/jptcp.v29i04.2360
52. Weuts I, Kempen D, Verreck G, Decorte A, Heymans K, Peeters J, et al. Study of the physicochemical properties and stability of solid dispersions of loperamide and PEG6000 prepared by spray drying. Eur J Pharm Biopharm., 2005 Jan; 59(1): 119-26.
53. Nukala PK, Palekar S, Patki M, Fu Y, Patel K. Multi-dose oral abuse deterrent formulation of loperamide using hot melt extrusion. Int J Pharm., 2019 Oct 5; 569: 118629.
54. Sareen S, Mathew G, Joseph L. Improvement in solubility of poor water-soluble drugs by solid dispersion. Int J Pharm Investig., 2012 Jan; 2(1): 12.
55. Widjojokusumo E, Veriansyah B, Youn YS, Lee YW, Tjandrawinata RR. Co-precipitation of loperamide hydrochloride and polyethylene glycol using aerosol solvent extraction system. Korean J Chem Eng., 2013 Sep; 30(9): 1797-803.
56. Jadhav YL, Bharat P. Formulation and evaluation of mouth dissolving tablet of glipizide by solid dispersion. Int J Pharm Sci Res., 2012 Dec; 3(12): 4929.
57. Singh S, Shah D. Development and characterization of mouth dissolving tablet of zolmitriptan. Asian Pac J Trop Dis., 2012 Jan; 2: S457-64.
58. Madgulkar AR, Bhalekar MR, Padalkar RR. Formulation design and optimization of novel taste masked mouth-dissolving tablets of tramadol having adequate mechanical strength. AAPS PharmSciTech., 2009 Jun; 10(2): 574-81.
59. Pathan IB, Shingare PR, Kurumkar P. Formulation design and optimization of novel mouth dissolving tablets for venlafaxine hydrochloride using sublimation technique. J Pharm Res., 2013 Jun; 6(6): 593-8.
60. Mishra SK, Varma R, Singh S, Singh H. Formulation and evaluation of mouth dissolving tablets of carvedilol. Int J Pharm Bio Sci., 2011; 2(1): 232-9.
61. Jyothi G, Lakshmi PK. Comparative evaluation of natural and synthetic superdisintegrants with newer superdisintegrant Kyron T-314. Acta Pharm Sci., 2011; 53(1).
62. Lenik J, Wesoły M, Ciosek P, Wróblewski W. Evaluation of taste masking effect of diclofenac using sweeteners and cyclodextrin by a potentiometric electronic tongue. J Electroanal Chem., 2016 Nov; 780: 153-9.
63. Sammour OA, Hammad MA, Megrab NA, Zidan AS. Formulation and optimization of mouth dissolve tablets containing rofecoxib solid dispersion. AAPS PharmSciTech., 2006 Jun; 7(2): E167-75.
64. Katsuno E, Tahara K, Takeuchi Y, Takeuchi H. Orally disintegrating tablets prepared by a co-processed mixture of micronized crospovidone and mannitol using a ball mill to improve compactibility and tablet stability. Powder Technol., 2013 Jun; 241: 60-6.
65. Jain N, Mandal S, Banweer J, Jain S. Effect of superdisintegrants on formulation of taste masked fast disintegrating ciprofloxacin tablets. Int Curr Pharm J., 2012; 1(4): 62-7.
66. Garg A, Gupta MM. Taste masking and formulation development & evaluation of mouth dissolving tablets of levocetirizine dihydrochloride. J Drug Deliv Ther., 2013 May 14; 3(3): 123-30.
67. Comoglu T, Inal O, Yaacoub HB. Formulation and in vitro evaluation of ketoprofen fast-dissolving tablets. Pharm Dev Technol., 2016 Nov; 21(8): 901-8.