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# DESIGN AND EVALUATION OF TASTE MASKED DESLORATADINE ORALLY DISINTEGRATING TABLETS

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**ABSTRACT**

Orally disintegrating Tablet [ODT] is a marvel dosage form which disintegrates in mouth with in seconds with rapid onset of action and most convenient for oral route of administration. Patient compliance will be impediment for bitter drugs become unpleasant unless taste masked. Desloratadine is an antihistaminic and often prescribed for elderly and children hence the objective of this study is to develop a pleasant, patient friendly orally disintegrating tablet with superior patient compliance. Taste masking of Desloratadine was done with Polacrillin potassium, ion- exchange resin complexation. The taste masked complex was formulated as ODT with a novel co-processed excipient system used as diluent. Superior product performance characteristics were observed in terms of mechanical strength and disintegration time. The Desloratadine orally disintegrating tablets have undergone accelerated and real time stability study up to six months and found stable. A laboratory scale up was performed to ensure the feasibility of commercial manufacturing.

**Key Words:-** Desloratadine, Orally disintegrating tablet [ODT], Taste masking, Ion exchange resin, Complexation, Stability study.

## INTRODUCTION

Oral solid dosage forms are the technologies that permeates active pharmaceutical ingredient to systemic circulation when ingested through oral cavity. The ideal dosage form is to be easy to administer and convenient that ultimately results in superior patient compliance that ensures success of therapy. Traditionally tablets and capsules are administered through oral route with a glass of water. Patients with dysphagia [difficulty in swallowing] mostly elderly and children have difficulty with these dosage forms (Mallet L, 1996). To overcome this difficulty orally disintegrating tablets (ODTs) have been developed to rapidly disintegrate in the mouth without chewing upon oral administration and without the need for water, unlike other drug delivery systems and conventional oral solid immediate-release dosage form (Habib *et al*., 2000). For acute conditions, ODT is easier for patients to take anytime; anywhere those symptoms occur without water. USFDA defines ODT as "A solid dosage form containing medicinal substances which disintegrates rapidly, usually within a matter of seconds, when placed upon the tongue" (USFDA - Guidance for Industry "Orally Disintegrating Tablets", Chemistry, 2008).

ODTs disintegrate and some dissolve in oral cavity, get chance to expose to taste buds. This creates an unpleasantness with bitter drugs with ODT platform which affects the patient compliance. So there is a requirement of taste masking technologies for ODTs formulated with bitter drugs (Brown D, 2001; Maniruzzaman M, *et al*.,

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2012). There are different types of taste masking technologies employed like addition of strong flavors and sweeteners to mask unpleasant taste perception is the simplest technique for taste masking (Douroumis D, 2007), cyclodextrin complexation (Arima H *et al*., 2012), ion-exchange complexation (Jong-Il Kim, etal.,2013), extrusion followed by spheronization, microencapsulation, solubility modification (Patent No. WO2004017976, 2004, Phoqus Pharmaceutical Ltd) and multiple emulsions (Shalini sharma *et al*., 2010).

The ultimate aim of the orally disintegrating tablet with bitter taste masked API is to delay the drug release in oral cavity thereby masking to taste buds in mouth and expected to behave as that of immediate release tablet once it crosses oral cavity. Though there are several techniques available for bitter taste masking, the ion exchange complexation has the advantage of lowest particle size possibly and results without grittiness. Ion exchange complexes offer multifunctional such as tablet disintegrant, delay or sustain the release, physical – chemical stability and taste masking. The drug-ion exchange complex requires a favorable pH environment for drug release there by there is no effect of mastication process in the mouth. Only dissolved drugs elicit bitter taste in mouth, ion exchange resin complexes are insoluble in nature. The drug-ion exchange resin complex warrant the insoluble drug passage from buccal cavity and rapid drug release in stomach. Ion exchange resins have well established safety profiles and have been pharmaceutical excipient for around sixty years (Van Abbe´ NJ *et al*., 1958). There are few choices available for ion exchange resins to choose and based on the ionic functions available in drug substance can bring the molecule beneficial for ion exchange complexation.

Desloratadine, the major active metabolite of loratadine, is a long-acting tricyclic antihistamine with selective peripheral histamine H1-receptor antagonistic activity that possesses peripheral antihistaminic effects with no sedative or other central nervous system effects at clinically recommended doses. Chemically Desloratadine is 8-chloro-6, 11-dihydro-11-(4-piperidinylidene)-5H-benzo [5,6] cyclo hepta [1,2-b] pyridine and bitter nature.

The objective of this experiment is to develop orally disintegrating Desloratadine tablets designed for rapid disintegration in the mouth upon administration to allow ease of swallowing. Due to bitter nature Desloratadine entails a taste masking for pleasant orally disintegrating tablet to ensure patient compliance. Desloratadine is sparingly soluble in water. In solubilized form exhibits cationic ions. Up on a suitable pH Desloratadine ionizes and tend to form complex with weakly acidic resin [Cation-exchange resin] like Polacrillin potassium. Polacrillin potassium occurs as a cream-colored, odorless and tasteless, free-flowing powder. Polacrilin resin is prepared by the copolymerization of methacrylic acid with divinylbenzene (DVB). Polacrilin potassium is then produced by neutralizing this resin with potassium hydroxide. The homogeneity of the resin structure depends on the purity, nature and properties of the copolymers used as well as the controls and conditions employed during the polymerization reaction. The nature and degree of crosslinking have significant influence on the physicochemical properties of the resin matrix. The functional groups introduced on the matrix confer the property of ion exchange (Rowe RC *et al*., 2009). It is practically insoluble in water and most other liquids, although swell rapidly when wetted. Polacrillin potassium is weakly acidic with $H^+$ ion as exchangeable cation.

The Desloratadine and Polacrillin potassium complex was prepared by adsorbing Desloratadine on to resin at three different ratios [1:2, 1:1 and 2:1]. The orally disintegrating tablets are prepared by using optimized novel coprocessed excipient diluent system by direct compression method (Mathivanan N *et al*., 2015). *In vitro* taste masking efficiency was determined by dissolution testing in simulated salivary medium. Other quality attributes for orally disintegrating tablets like *in vitro* disintegration time, Dissolution testing, hardness, friability and Desloratadine content are performed. A laboratory scale up performed for stability studies. The scale up batch Desloratadine orally disintegrating tablets were packed in high density poly ethylene bottles with silica gel bag, induction seal and loaded for accelerated and real time stability studies as per ICH guideline.

## MATERIALS AND METHODS:

### Materials

Desloratadine was a gifted sample from Sai mirra pharmaceuticals, Chennai. Polacrillin potassium [Amberlite IRP 88, Dow Chemical Corp.], Tartaric acid [Ashland Corp.], Mannitol [Mannitol 25, extra fine crystalline Mannitol with mean diameter of 25 µ, Roquette], Microcrystalline Cellulose [Avicel PH105, mean diameter 20µ, FMC bio polymer], Crospovidone [Polyplasdone XL10, ISP], Aspartame [NutraSweet Company], Strawberry flavor [Firmenich], Peppermint flavor [Firmenich], Colloidal silicon dioxide [Syloid 244FP,Grace Davison], Magnesium stearate [Ferro Pfanstiehl Laboratories Inc.] was used as supplied.

**Preparation of Desloratadine ion exchange resinate complex**

Accurately weighed quantity of tartaric acid was dissolved in purified water under constant stirring till becomes clear solution. The observed pH was 6.5. Polacrillin potassium was added to the above solution and stirring was continued for 60 minutes. Weighed quantity of Desloratadine was added and stirring continued for another 5 hours. The slurry was kept aside for 3 hours and supernatant liquid was decanted. The sediment was dried in a hot air oven with the temperature of 50º C till loss on drying reach not more than 2.0 % w/w [Measurement done at 70°C using infrared]. Final dried granules passed through #40 mesh.

**Desloratadine loading yield on ion exchange resinate complex**

The amount of Desloratadine loaded was determined by taking the resinate complex equivalent to 50 mg of Desloratadine, then soaking performed into a 100 ml volumetric flask, dissolved and diluted to volume with 0.1N hydrochloric acid and sonication done for 60 min. 1.0 ml of this solution transferred into a 50 ml volumetric flask and diluted to volume with 0.1N hydrochloric acid and mixed. The quantitative analysis of Desloratadine was performed using High Performance Liquid Chromatography [Waters E2695 Separation module with Photo diode array 2998 manufactured in USA; assembled at Singapore] with chromatographic conditions mentioned in Table 2.

$$\text{Desloratadine loading yield (\%)} = \frac{\text{Amount of Desloratadine actually present}}{\text{Theoretical Desloratadine expected}} \times 100$$

***In vitro* taste evaluation of Desloratadine ion exchange resinate complex**

For *In vitro* testing of taste evaluation, dissolution testing on the simulated saliva medium is proposed. The composition of simulated saliva composition (Ritschel, W *et al*., 1983) is given in Table 3.

The proposed dosage form Desloratadine orally disintegrating tablet is expected to disintegrate in mouth by not more than 30 seconds and completely move to stomach through oesophagus within five minutes. As a worst case dissolution testing was performed up to 20 minutes with dissolution conditions mentioned in Table 4. The resinate complex equivalent to 5 mg of Desloratadine was taken for dissolution testing.

**Preparation of Desloratadine orally disintegrating tablets**

Orally disintegrating tablets were manufactured by direct compression. Desloratadine ion exchange resinate complex equivalent to 5mg of Desloratadine was taken for drug product manufacturing. An optimized coprocessed diluent excipient system suitable for orally disintegrating tablet was used in the formulation[13]. The coprocessed diluent excipient system consists of Mannitol, microcrystalline cellulose, Crospovidone and colloidal silicon dioxide. The optimization of composition was done using statistical fitted models and the contour plot of disintegration time response. Sweetener, colorant, flavouring agents and lubricant were other ingredients of the formulation. Since the taste masked resinate equivalent to Desloratadine 5mg is theoretically 11.5 mg, different tablet weight option was explored and evaluated against tablet mechanical strength and *in vitro* disintegration time. Detailed formulation composition and compression observation of Desloratadine orally disintegrating tablet was given in table 5. Different compositions were made with different levels of optimized coprocessed diluent system and taken for evaluation.

The robust tablets and desirable disintegration time are observed with 300 mg of tablet weight composition. Hence laboratory scale up and stability studies were conducted with F6 [300mg] composition.

**Average weight of orally disintegrating tablet**

Accurately twenty individual tablets are weighed and cumulative weight was determined. The average weight was calculated by the formula,

$$\text{Average weight} = \frac{\text{Weight of twenty tablets}}{20}$$

**Hardness**

Randomly selected ten tablets tested for hardness using Hardness tester [Agilent 200 hardness tester]. Hardness was measured using kilopond [kp] unit and average was reported.

**Percentage friability**

Randomly selected tablets weighed between 6.0 to 6.5 grams carefully de-dusted and placed and tumbled in a friabilator (Electro lab, model EF2) at 25 rpm for 4 minutes. Tablets were carefully removed, de-dusted and accurately weighed and friability was calculated using following formula,

$$\text{Friability} = \frac{(W1 - W2) \times 100}{W1}$$

Where,
W1 = Initial weight of the tablets taken
W2 = Final weight of the tablets taken after testing

If cracked, laminated or broken tablets were present after tumbling, the sample fails the test.

**Moisture Content (By KF)**

Moisture content of the orally disintegrating tablet is a critical quality attribute because disintegration efficiency is proportional. About 40 ml of anhydrous methanol was added to the titration vessel and titrated to the end point with Karl Fischer Reagent. Accurately weighed 1.0 g of Desloratadine orally disintegrating tablet pulverized in humidity controlled environment transferred quickly to the titration vessel, stirred for a minute and again titrate to the end point with Karl Fischer Reagent.
Percentage of water present in the tablet calculated by

$$\text{Water \%} = V \times F \times 100/Wt$$

Where,
V = Volume (ml) of Karl Fischer Reagent consumed for the sample titration
F = Factor of Karl Fischer Reagent used
Wt = Weight of the sample in mg

***In vitro* disintegration study**

*In vitro* disintegration study was performed using Lab India disintegration test apparatus with 900 mL of purified water maintained at 37± 0.5º C. Disintegration time was noted when the tablets were completely disintegrated and cleared through the mesh. Six tablets were placed in the tubes of the apparatus without disc. The specification limit for disintegration test is not more than 30 seconds. If 1 or 2 tablets fail to disintegrate completely, repeat the test on 12 additional tablets; not less than 16 of the total of 18 tablets tested disintegrate completely.

***In vitro* dissolution study**

Dissolution tests are one of the most frequently used tests in the characterization of drugs, drug products and in the quality control by studying the rate at which dosage forms allow their formulated drug to dissolve. The *In vivo* performance of a dosage form is ensured with the knowledge of biopharmaceutic classification system [BCS] and a right selection of dissolution testing parameters.

Standard Preparation

Accurately weighed 50.0 mg of Desloratadine working reference standard was transferred into a 100 ml volumetric flask, dissolved and diluted to volume with 0.1N hydrochloric acid and mixed. 1.0 ml was transferred into a 100 ml volumetric flask and diluted to volume with 0.1N hydrochloric acid and mixed.

Procedure

Accurately weighed six Desloratadine orally disintegrating tablets were placed in dissolution vessel containing 900 mL of 0.1N hydrochloric acid which has been equilibrated to the temperature of 37 ± 0.5°C. Immediately start the apparatus and run for 45 minutes. Samples were withdrawn [after 5,10,20,30 and 45mins] from a zone midway between the surface of the medium and top of the rotating paddle and not less than 1 cm from the vessel wall and filter through 0.45 µ membrane filter by discarding the first 5 ml.
Absorbance was measured of both Standard and Samples at 281 nm using 0.1N hydrochloric acid as blank by single beam UV/Visible spectrophotometer [Model: Perkin Elmer, Lambda 45 UV/VIS Spectrometer].The amount of Desloratadine dissolved from each tablet at different time point, in percentage on label claim was calculated using the formula:

$$\cdot \frac{At}{As} X \frac{Sw}{100} X \frac{1}{100} X \frac{900}{5} X P$$

Where,
At = Absorbance of Sample preparation
As = Absorbance of Standard preparation
Sw = Weight of Desloratadine Working Standard taken in mg
P = Potency of Desloratadine Working Standard used

**Desloratadine Assay**

Desloratadine assay was performed by using crushed twenty tablets and 10.0 mg Desloratadine equivalent powder to 100 mL volumetric flask. 20mL of 0.1N Hydrochloric acid was added, shaken for 15 minutes, and diluted to volume with 0.1M Hydrochloric acid and mixed well. Above mixture filtered through 0.45 µ membrane filter by discarding the first 5 ml. Further 5ml diluted to 50ml with 0.1 M Hydrochloric acid. With this sample preparation Desloratadine assay was performed using HPLC method as described.

**Laboratory scale-up**

The selected optimized composition [F6] of Desloratadine, tartaric acid, polacrillin potassium was weighed accurately with the batch size of three thousand two hundred tablets and the procedure followed as mentioned in the resinate preparation. The resinate complex based on Desloratadine assay equivalent to batch

size of three thousand tablets weighed, other ingredients other ingredients except lubricant, weighed accurately, sifted through #40 mesh and transferred to double cone blender [DCB] with the over flow capacity of two liters. The DCB occupancy was around forty four percentage. Blending performed for fifteen minutes at twenty revolutions per minute. Accurately weighed quantity of Magnesium stearate sifted through #60 mesh transferred to DCB and blending continued for five more minutes with same speed.

The blend was unloaded and tablets were compressed with 16 station cadmach tablet compression machine using 9 mm flat faced and bevel edged tablet tooling. The tablets were evaluated for weight variation, hardness, friability and disintegration time at initial, middle and final stages of compression. Observations were given in Table 7 & 8 with two different compression machine revolutions [10 & 20 rpm].

**Stability study**

The Desloratadine orally disintegrating tablets from laboratory scale up were packed in a high density polyethylene bottle of fifty tablets with silica gel pouch [1gm] and induction seal. These samples were loaded for stability studies as per ICH recommendation up to six months of accelerated and real time conditions.

## RESULTS AND DISCUSSIONS

**Characterization of Desloratadine ion-exchange resinate complex:**

Desloratadine loading yield and taste masking efficiency are the deciding factors for selection of drug and ion exchange resin ratio. The results are given in Table 9.

**Desloratadine ion-exchange resinate complex *in vitro* taste masking evaluation:**

The taste masking efficiency was evaluated by dissolution of Desloratadine in simulated salivary media. The bitter taste is only due to released or dissolved Desloratadine in mouth. Hence the dissolution in simulated salivary fluid is true indicator of evaluating taste masking efficiency. The dissolution study was performed up to twenty minutes since this time is considered as maximum for Desloratadine orally disintegrating tablet to stay in mouth. There was no significant difference observed between 1:2 and 1:1ratio by considering lowest ion exchange resin level in final formulation was preferred. Based on the different experiments performed with different Polacrillin potassium ratio the drug loading yield and dissolution in simulated salivary media the 1:1 ratio of Desloratadine and Polacrillin potassium was selected for further formulation studies.

**Orally disintegrating tablets manufacturing and stability study:**

Desloratadine orally disintegrating tablets were manufactured with 1:1 drug resinate complex with other essential excipients and optimized coprocessed diluent system (Mathivanan N, *et al*., 2015). ODTs were manufactured by direct compression method [F6 composition] and conventional tablet press to ensure simple, cost effectiveness and industrially feasible.

Tablets were compressed with 9 mm diameter, FFBE [Flat Faced & Bevel Edged] tablet tooling in a Cadmach sixteen station tablet press with twenty revolutions per minute speed. These tablets were taken for stability study. Table 10 shows the physico-chemical parameters of laboratory scale up stability study.

The optimized composition was found well within the stability specification limit throughout six months of accelerated and real time stability conditions.

***In vitro* dissolution study:**

The objective of the dosage form is to mask the bitter taste without altering the Desloratadine pharmacokinetics. The dissolution profile in 0.1 N HCl shows that the drug release is rapid and drug was easily released from ion-exchange resin of orally disintegrating tablet at pH 1.2. The results indicated that the extent and rate of drug release in the stomach anticipated behaving in similar fashion. The Polacrillin potassium resin occurs as unionized form in acidic conditions and ionized form in pH greater than 6. Hence the drug release was rapid in acidic condition, delayed in salivary pH condition [6.2] and exhibits taste masking. The table 8 shows dissolution profile of Desloratadine orally disintegrating tablet.

**Table 1. Resinate complex formula**

| Formula | F1 | F2 | F3 |
|---|---|---|---|
| **Drug : Resin Ratio** | **1:2** | **1:1** | **2:1** |
| | Quantity [in gms] | | |
| Desloratadine | 10.0 | 10.0 | 10.0 |
| Polacrillin Potassium | 20.0 | 10.0 | 5.0 |
| Tartaric acid | 3.0 | 3.0 | 3.0 |
| Purified water [mL] | 200 | 200 | 200 |

**Table 2. Chromatographic conditions**

| | |
|---|---|
| **Mobile Phase** | Buffer: Acetonitrile: Methanol (50: 40: 10)<br>Buffer: Dissolve 8.0 g of potassium dihydrogen orthophosphate in 1000 ml of water; adjust the pH to 3.0 with ortho phosphoric acid |
| **Filtration** | The mobile phase was filtered through a 0.45 µm membrane filter under vacuum then degassed prior to use |
| **Column** | Syncronics - C18, 250 x 4.6 mm 5 µ |
| **Column temperature** | Ambient |
| **Flow rate** | 0.8 mL / minute |
| **Wavelength** | 247 nm |
| **Load** | 20 µl |
| **System suitability** | Standard preparations injected in six replicates into the liquid chromatographic system and record the peak area of Desloratadine. The relative standard deviation of replicate injections is not more than 2.0% |

**Table 3. Simulated Saliva composition**

| S.No | Ingredients | Molecular formula | mmol/Litre | Gm/Litre |
|---|---|---|---|---|
| 1 | Potassium dihydrogen phosphate | $KH_2PO_4$ | 12 | 1.632 |
| 2 | Sodium chloride | NaCl | 40 | 2.34 |
| 3 | Calcium chloride | $CaCl_2$ | 1.13 | 0.1257 |
| 4 | Sodium hydroxide | NaOH | 0.2M Solution to adjust pH 6.2 | |

**Table 4. Dissolution conditions**

| S.No | Dissolution conditions | |
|---|---|---|
| 1 | Medium | Simulated Saliva –pH 6.2 |
| 2 | Apparatus | USP-Type II /Paddle |
| 3 | Revolution | 50 rpm |
| 4 | Volume | 500 mL |
| 5 | Sampling time | 20 minutes |
| 6 | Specification | Not more than 5% Desloratadine released |

**Table 5. Formulation composition of Desloratadine orally disintegrating tablets**

| S.No | Ingredients | Quantity in mg/ODT | | | | | |
|---|---|---|---|---|---|---|---|
| | | F4 | F5 | F6 | F7 | F8 | F9 |
| **Taste masked Desloratadine ion exchange resinate** | | | | | | | |
| **Desloratadine : Polacrillin potassium ratio 1:1** | | | | | | | |
| 1 | Desloratadine | 5.00 | 5.00 | 5.00 | 5.00 | 5.00 | 5.00 |
| 2 | Tartaric acid | 1.50 | 1.50 | 1.50 | 1.50 | 1.50 | 1.50 |
| 3 | Polacrillin potassium | 5.00 | 5.00 | 5.00 | 5.00 | 5.00 | 5.00 |
| 4 | Purified water [mL]* | 0.10 | 0.10 | 0.10 | 0.10 | 0.10 | 0.10 |
| **Coprocessed excipient diluent system** | | | | | | | |
| 5 | Mannitol | 146.01 | 184.84 | 223.68 | 262.53 | 301.37 | 379.05 |
| 6 | Microcrystalline cellulose | 11.23 | 14.22 | 17.21 | 20.19 | 23.18 | 29.16 |
| 7 | Crospovidone | 16.85 | 21.33 | 25.81 | 30.29 | 34.77 | 43.74 |
| 8 | Silicon dioxide | 5.62 | 7.11 | 8.60 | 10.10 | 11.59 | 14.57 |
| **Organoleptic additives** | | | | | | | |
| 9 | Strawberry flavor | 1.00 | 1.25 | 1.50 | 1.75 | 2.00 | 2.50 |
| 10 | Peppermint flavor | 1.00 | 1.25 | 1.50 | 1.75 | 2.00 | 2.50 |
| 11 | Aspartame | 2.66 | 3.33 | 4.00 | 4.66 | 5.32 | 6.65 |
| 12 | Color Ponceau 4R | 0.13 | 0.17 | 0.20 | 0.23 | 0.27 | 0.33 |

| | | | | | | | |
|---|---|---|---|---|---|---|---|
| **Lubricant** | | | | | | | |
| 13 | Magnesium stearate | 4.00 | 5.00 | 6.00 | 7.00 | 8.00 | 10.00 |
| **Total weight** | | **200.00** | **250.00** | **300.00** | **350.00** | **400.00** | **500.0** |
| **Tablet compression observation** | | | | | | | |
| 1 | Average weight [mg] | 201.8 | 252.3 | 301.8 | 351.2 | 400.3 | 501.4 |
| 2 | Disintegration time [sec] | 8-12 | 10-13 | 13-18 | 17-24 | 22-25 | 27-32 |
| 3 | Hardness [kp] | 4-6 | 4-6 | 4-6 | 4-6 | 4-6 | 4-6 |
| 4 | Friability [%w/w] | Lamination observed | Lamination observed | 0.36 | 1.56 | Lamination observed | Lamination observed |

* - Purified water was used as medium for resin complexation process and will not be present in final product except traces

**Table 6. Dissolution conditions**

| S.No | Dissolution conditions | |
|---|---|---|
| 1 | Medium | 0.1N Hydrochloric acid |
| 2 | Apparatus | USP-Type II /Paddle |
| 3 | Revolution | 50 rpm |
| 4 | Volume | 900 mL |
| 5 | Sampling time | 10,20,30 and 45 minutes |
| 6 | Specification | Not less than 70% Desloratadine released by 10 minutes |

**Table 7. Compression observation at 10 rpm**

| Initial | Weight of tablet | Middle | Weight of tablet | Final | Weight of tablet |
|---|---|---|---|---|---|
| 1 | 300.2 | 11 | 304.5 | 21 | 301.4 |
| 2 | 299.1 | 12 | 302.1 | 22 | 305.8 |
| 3 | 294.2 | 13 | 300.2 | 23 | 300.4 |
| 4 | 293.2 | 14 | 297.2 | 24 | 301.1 |
| 5 | 302.4 | 15 | 298.4 | 25 | 294.5 |
| 6 | 308.4 | 16 | 307.8 | 26 | 296.5 |
| 7 | 289.2 | 17 | 304.2 | 27 | 300.2 |
| 8 | 297.1 | 18 | 303.2 | 28 | 308.4 |
| 9 | 294.2 | 19 | 311.5 | 29 | 304.6 |
| 10 | 308.2 | 20 | 299.5 | 30 | 308.9 |
| Observation | | | | | |
| Average weight [mg] | | 301.2 | | | |
| Min [mg] | | 289.2 | | | |
| Max[mg] | | 311.5 | | | |
| SD | | 5.4 | | | |
| %RSD | | 1.8 | | | |
| Disintegration time [Sec] | | 12-18 | | | |
| Hardness [kp] | | 4-6 | | | |
| Friability [%w/w] | | 0.38 | | | |

**Table 8. Compression observation at 20 rpm**

| Initial | Weight of tablet | Middle | Weight of tablet | Final | Weight of tablet |
|---|---|---|---|---|---|
| 1 | 298.1 | 11 | 304.5 | 21 | 303.2 |
| 2 | 298.6 | 12 | 309.8 | 22 | 297.1 |
| 3 | 304.5 | 13 | 300.5 | 23 | 299.3 |
| 4 | 302.1 | 14 | 297.0 | 24 | 304.2 |
| 5 | 308.7 | 15 | 304.2 | 25 | 300.8 |
| 6 | 300.2 | 16 | 308.7 | 26 | 309.4 |
| 7 | 297.2 | 17 | 298.7 | 27 | 307.5 |
| 8 | 300.2 | 18 | 299.4 | 28 | 308.4 |

| | | | | | |
|---|---|---|---|---|---|
| 9 | 297.2 | 19 | 300.4 | 29 | 304.5 |
| 10 | 301.2 | 20 | 302.1 | 30 | 299.8 |

| | |
|---|---|
| Average weight [mg] | 302.3 |
| Min [mg] | 297.0 |
| Max[mg] | 309.8 |
| SD | 4.0 |
| %RSD | 1.3 |
| Disintegration time [Sec] | 14-18 |
| Hardness [kp] | 4-6 |
| Friability [%w/w] | 0.28 |

**Table 9. Desloratadine loading yield and dissolution in simulated salivary fluid**

| S.No | Parameter | Desloratadine –Polacrillin potassium ratio | | |
|---|---|---|---|---|
| | | 1:2 | 1:1 | 1:0.5 |
| | | F1 | F2 | F3 |
| 1 | Desloratadine loading yield [%] | 99.4 | 99.4 | 83.2 |
| 2 | % Desloratadine dissolved in 20 minutes – simulated salivary media | 1.3 | 1.2 | 11.4 |
| Dissolution Statistics [Dissolution performed for six units] | | | | |
| 1 | Average | 1.3 | 1.2 | 11.4 |
| 2 | Standard deviation | 0.1 | 0.1 | 0.5 |
| 3 | % Coefficient of variation | 6.1 | 6.6 | 4.8 |

**Table 10. Stability study results of Desloratadine orally disintegrating tablets**

| S.No | Parameter | Stability specification | Observed value | | |
|---|---|---|---|---|---|
| | | | Initial | 25ºC/60%RH 6 Months | 40ºC/75%RH 6 Months |
| 1 | Diameter [mm] | 9.00±0.02 | 9.01 | 9.01 | 9.01 |
| 2 | Thickness [mm] | 3.20±0.10 | 3.22 | 3.24 | 3.24 |
| 3 | Average weight [mg] | 300.0±15.0 | 302.3 | 303.4 | 305.8 |
| 4 | Hardness [kp] | 3-6 | 4-6 | 4-6 | 3-6 |
| 5 | Friability [%w/w] | Not more than 1 | 0.28 | 0.32 | 0.41 |
| 6 | Disintegration time [seconds] | Not more than 30 | 14-18 | 15-22 | 20-24 |
| 7 | Drug Assay [% label claim] | Between 90 and 110 | 99.6 | 98.4 | 99.2 |
| 8 | Dissolution [% dissolved in 10 mins] | Not less than 70 | 78.0 | 78.6 | 79.6 |
| 9 | Water content [%w/w] | Not more than 6.0 | 4.83 | 4.22 | 4.44 |

**Table 11. Dissolution profile of Desloratadine orally disintegrating tablet**

| S.No | Time point [Minutes] | % Desloratadine dissolved | | |
|---|---|---|---|---|
| | | Initial | 25ºC/60%RH 6 Months | 40ºC/75%RH 6 Months |
| 1 | 0 | 0 | 0 | 0 |
| 2 | 5 | 47.1[±2.4] | 47.8[±3.3] | 49.9[±2.5] |
| 3 | 10 | 78.0[±1.4] | 78.6[±2.3] | 79.6[±1.4] |
| 4 | 20 | 87.4[±3.6] | 89.3[±1.6] | 90.1[±1.0] |
| 5 | 30 | 95.5[±2.3] | 95.7[±2.1] | 95.0[±1.8] |
| 6 | 45 | 99.6[±0.7] | 98.9[±0.5] | 99.1[±1.0] |
| Dissolution performed for six units and average reported [±standard deviation] | | | | |

**Fig 1. Structure of Desloratadine**

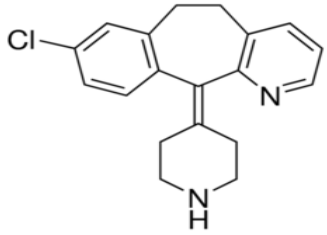



**Fig. 2. Structure of Polacrillin potassium**

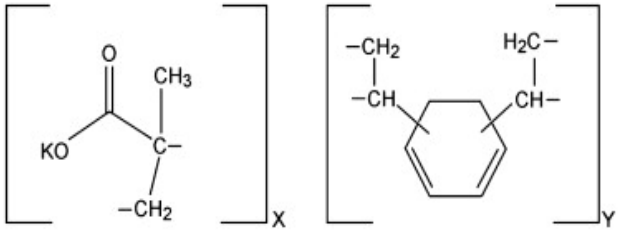
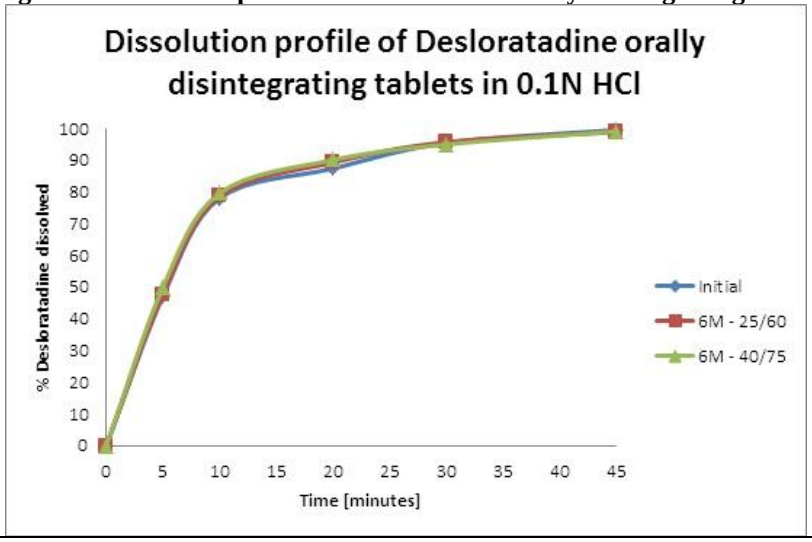



**Figure 3. Dissolution profile of Desloratadine orally disintegrating tablet**




## CONCLUSION

Polacrillin potassium, ion exchange resin was capable of making complex with Desloratadine at optimized conditions to possess bitter taste masking. The Desloratadine – Polacrillin potassium complex was successfully formulated as orally disintegrating tablets with optimized coprocessed excipient diluent system. This ODT possess rapid disintegration and dissolution across the stability study with sufficient mechanical strength. The manufacturing process is simple direct compression and retains the potential for industrial /commercial manufacturing at large scale. Based on stability study results, *in vitro* dissolution in simulated salivary media, the evaluated optimized composition shall be stable, affordable and palatable orally disintegrating tablet for Desloratadine.

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**CONFLICT OF INTEREST:**

The authors declare that they have no conflict of interest

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