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Formulation of Desloratadine Oral Disintegrating Tablets

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ABSTRACT

Desloratadine (DS) is a tricyclic antihistaminic, characterized by bitter taste and slight water solubility. The aim of this study is to prepare DS as orally disintegrating tablets (ODT) to mask the bitter taste and improve compliance. Twelve different placebo ODT (F1-F12) were prepared using mannitol as diluent, in addition to functional excipients. The formulations were evaluated for relevant in vitro characteristics. DS powder was treated by different techniques and polymers (hydroxypropyl methyl cellulose (HPMC), Eudragit RL 30, and Eudragit EPO) for taste masking of DS. The placebo and DS- ODT tablets were assessed for taste masking efficiency by a panel of 10 volunteers. All placebo formulations were non sticky except four formulations (F8-F11), and compressible with the exception of F2. F12 showed the least disintegration time (20 sec) without sticking tendency.The compressible non sticky formulations were used for preparation of DS tablets and subjected to further in vitro evaluation. Fairly good weight uniformity values were observed in all the tested ODT formulations. F12 exhibiting the shortest wetting time (14.7 seconds) and the least disintegration time (20 seconds). 100% DS release was attained after 2.5 minDS-ODT, compared to 82% from conventional marketed tablets (Aerius®) at same time interval.

INTRODUCTION

The oral route of administration continue to be the most preferred route due to its manifold advantages including ease of ingestion, pain avoidance, versatility and most importantly patient compliance. The most popular dosage forms are tablets and capsules, however geriatric patients may have difficulty in swallowing and/or chewing resulting in non-compliance and ineffective therapy (Prateek et al., 2012). To overcome these problems, oral disintegrating tablets (ODT) are a good alternative since they disintegrate and dissolve rapidly in saliva without need for drinking water. Although the primary benefit of ODT is to improve patient compliance, yet other benefits such as accuracy of dosage, rapid onset of action and increase in bioavailability may be accomplished. The increased bioavailability compared to conventional tablets could be due to dispersion in saliva and pregastric absorption which avoids first pass metabolism and could be of great advantage in drugs that undergo extensive hepatic metabolism. Despite the growing popularity and success of ODT, they possess intrinsic problems as low mechanical strength, high friability, unpleasant taste or grittiness in mouth, hygroscopic nature and need for special packaging (Prateek et al., 2012). Therefore, the requisites for successful ODT include: a) have pleasant mouth feel, and acceptable taste masking property, b) have sufficient hardness to withstand rigors during manufacturing processes and post manufacturing handling, d) should allow high drug loading, e) leave minimal or no residue in mouth after disintegration, and f) should exhibit low sensitivity to environmental conditions such as temperature and humidity (Prateek et al., 2012). All these characteristics represent true challenges in formulating ODT. Desloratadine (DS) is a tricyclic antihistaminic, which has a selective and peripheral H1-antagonist action, having a white to off-white color, bitter taste and is slightly soluble in water, but very soluble in ethanol and propylene glycol (Manivannan et al., 2010). It has a long-lasting effect and in moderate and low doses, does not cause drowsiness (RxList, 2014). DS is used to treat or prevent symptoms of allergies. It is also used to treat itchy skin rash and hives. DS is the

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major metabolite of loratadine, which produce the same pharmacologic effect. DS is rapidly absorbed after oral administration reaches maximum concentration in 3 hours (RxList, 2014). The aim of this study is the preparation of ODT of DS and mask the bitter taste of the drug. The proposed tablet formulations will be evaluated by relevant in vitro testing procedures against conventional tablets (Aerius®) available in the local market.

MATERIAL AND METHODS

Materials

Desloratadine was obtained from Dr. Reddey`sLab. (Andhra Pradesh, India), mannitol and citric acid anhydrous from Roquette (Lestrem, France), crospovidone from BASF (Rosenberg, Germany), Eudragit RL 30, croscaremellose sodium and microcrystalline cellulose from FMC (Philadelphia, USA), sodium bicarbonate and aspartame from Frenchem (Nanjing, China), talc powder from MERK (Darmstadt, Germany), magnesium stearate from Redachem (China), Polyplasidone from ISP (USA) and PRUV from JRS (Rosenberg, Germany), colloidal silicon dioxide, Colours and flavor from IFF (USA), hydroxypropyl methyl cellulose from Colorcon (USA), and Eudragit EPO from Degussa (Darmstadt, Germany).

Apparatus

Sartorius top balance TE 313 S (Gottingen, Germany), Heraeus Oven from Thermo Fisher Scientific (Waltham, Massachusetts, USA), fluid-bed dryer/granulator (Glatt AG, Binzen, Deutschland), Mettler-Toledo Moisture Analyser model HBU3-S (Greifensee, Switzerland), single punch compression machine model CP-501 (Vanguard, Texas, USA), disintegration apparatus, dissolution apparatus model DT 600, friability tester, and Hardness tester model TBH 125D (Erweka, Heusenstamm, Germany), UV spectrophotometer UV-1800 and FTIR (Shimadzu, Columbia, USA).

Methods

Preparation of mannitol granules

Mannitol was granulated using water then dried in oven at 70°C till moisture content is less than 1%. Dried granules were sieved through sieve 710 µm and subsequently used for tablet preparation by direct compression.

Preparation of placebo oral disintegrating tablets

Twelve different placebo tablet formulations (F1-F12) were prepared Table (1). Firstly, mannitol was granulated by wet granulation method. Then, dried mannitol granules were mixed with other tablet ingredient and compressed by direct compression technique. Tablets were compressed mechanically at a rate of 20 tablets/min, using 8mm flat rounded punch.

Masking of desloratadine taste

Different trials were done in an attempt to mask the bitter taste of DS. These trials included granulation of the drug with hydroxypropyl methylcellulose (HPMC) in a ratio of 1: 0.35 drug to polymer. Granulation was done manually by spraying the drug powder with HPMC/water solution and sieving, followed by drying at 40-50 ºC using a fluid bed drier. The dried granules were finally sieved through sieve size of 1 mm. Another attempt was done by spraying the drug with a coating layer of Eudragit RL 30 (30% aqueous dispersion), followed by sieving and drying of the granules as mentioned before. A third trial was done by dry mixing the drug with Eudragit EPO in a ratio of 1: 0.5. This was followed by granulating the mixture with hydroalcoholic solution of 8: 2 alcohol to water ratio, and sieving (1 mm), followed by fluid-bed drying.

Preparation of oral disintegrating desloratadinetablets

Coated DSgranules,equivalent to 5mg DS per tablet, were added to the selected placebo formulation (F12) to constitute a percentage of 2.5 % w/w of the tablet weight by deduction from mannitol, so that total weight of tablet remained 200 mg. Coated DS, mannitol granules and directly compressible excipients were mixed in a plastic bag. Magnesium stearate was then mixed with the final mixture, followed by compression on a single punch machine at a speed of 20 rpm. A moderate compression force was applied and the target tablet hardness was (5-7kp).

Evaluation of Formulations

In vitro evaluation of the placebo oral disintegrating tablets

Different placebo tablet formulations (F1-F12) (Table 1) were evaluated for compressibility, sticking, hardness, disintegration time, and acceptability of taste of bases before selecting the optimum formulation to prepare DS-ODT.

In vitro evaluation of the desloratadine ODT

Weight uniformity test was conducted on each formulation. Twenty tablets were weighed individually and the average mass was determined. Not more than 2 of individual masses should deviate from the average mass by more than 7.5% according to Eur. Ph 7.5. The hardness test was performed on 20 tablets. The tablet was placed between the plungers and the force of fracture was recorded in kilopound (Kp). The disintegration time was determined by USP disintegration apparatus using one liter distilled water at 37 °C ± 0.5 °C as disintegrating medium. The average time required for complete dispersion of 6 tablets was determined. Tablet friability test was performed according to Eur. Ph 7.5 Twenty tablets were carefully de-dusted, accurately weighed (W1) and rotated in the friability drum at 25 rpm for 15 minutes, followed by dedusting and weighing (W2). The percentage of weight loss was calculated using the following equation:

$$\% \text{ Friability} = [(W1-W2)100]/W1$$

The results should be less than 1 % (Panigrahi and Behera, 2010, Siraj and Khirsaga, 2010). Wetting time was performed using twice-folded tissue paper placed in a Petri dish having an internal diameter of 5 cm containing 6 ml of water. One tablet was carefully placed on the surface of the tissue paper and the time

required for water to wet the tablet completely was recorded. The average of 6-replicates was estimated. Water absorption percent was measured by folding a piece of tissue paper twice and placing it in small Petri dish (10 cm diameter) containing 6ml water. One tablet was weighed (Wb) and placed on the tissue paper and allowed to wet completely. The wetted tablet was then reweighed (Wa). Replicates experiments were conducted 6 times.

Water absorption ratio (R) was determined using the following equation:

$$R = 100 (Wa-Wb)/ Wb$$

Drug-Excipient interactions were investigated using Fourier transform infrared (FTIR) studies, on the pure drug and the optimized formula (F12) to identify the potential formation of a complex. Samples were analyzed by potassium bromide pellets method in IR spectrophotometer in the region between 3000-1000 cm^{-1}. In-vitro release studies were carried out in USP dissolution test (apparatus II) using paddles. Dissolution medium (500 ml of 0.1N HCl) was transferred to covered vessels and the temperature was maintained at 37 ± 0.5 °C. The speed of the paddle was set at 50 rpm. Sampling was done at 2.5, 5, 10, 15, 20, 30, 45 minutes. Each 10 ml sample was replaced by equal volume of fresh dissolution medium at 37°C. The sample withdrawn was filtered through a filter paper (Whatman#1) and analyzed spectro-photometerically at 242 nm.

Taste Masking Evaluation

Evaluation of the taste of different tablets was performed employing a taste panel of ten volunteers. Evaluation of taste of the prepared tablets was ranked according to the following score: very bitter (1-2), bitter (3-4), slightly bitter (5-7), and acceptable (8-10).

RESULTS AND DISCUSSION

Taste Evaluation of the Tablet Bases

The taste of ODT bases (F1-F12) were evaluated for its acceptability by the test panel. Formulations with cherry flavor (F1-F4) were not acceptable, which may be due to bitterness of the cherry flavor. On the other hand, using orange flavor, some tablets (F5, F6, F7, and F12) were found acceptable with pleasant taste. F8-F11 were not included as exhibited sticking tendency and could not be compressed into tablets.

Masking of Desloratadine Bitter Taste

Four different trials were done to mask the bitter taste of DS. Using the drug without any treatment, the taste was very bitter and unpleasant (trial 1). Granulating DS with hydroxypropylmethyl cellulose (HPMC), 1: 0.35 drug to polymer ratio (trial 2), slightly decreased the bitterness, compared to trial 1. In trial 3, spraying the drug with a coating layer of Eudragit RL 30® showed improvement in the bitter taste but did not improve acceptance of volunteers. Eudragit RL 30® coated DS showed longer taste-masking effect and better mouth feel, compared to HPMC coated DS. This may be due to pH independence of Eudragit RL 30® and its high swelling index. Fluid-bed dried mixture of DS with Eudragit EPO® (trial 4) showed best masking of bitter taste, compared with other trials and improved the acceptance of volunteers. Since Eudragit EPO® is a pH dependent polymer, soluble in gastric fluid up to pH 5 and swellable at pH greater than 5, thus drug release is expected in the stomach (Evonik, 2010). Furthermore, as the pH of saliva lies in the range of 5.5- 7, so Eudragit EPO® will not be soluble in mouth, resulting in effective taste masking of bitter drugs (Siddiqui et al., 2011). Accordingly, the effect of taste masking of the four trials, as evaluated by ten volunteers, followed the following decreasing order; Trial 4> Trial 3> Trial 2> Trial 1. The detailed results of taste masking of the four trials by ten volunteers is summarized in Table (2). The overall average scale of bitterness for trial 1, 2, 3 and 4 was 2.05, 2.85, 5.33 and 7.65 respectively. From these results, it is obvious that the untreated DS showed unpleasant bitter taste. On the other hand, the use of Eudragit EPO increased the acceptance of volunteers as it showed complete masking of bitter taste of DS.

In vitro Testing of Placebo Oral Disintegration Tablets

The tested placebo ODT were prepared using different functional excipients as detailed in Table (1). Crosspovidone (polyplasidone®) and sodium starch glycolate (Explotab®) were used as super disintegrants. Directly compressible mannitol was included as diluent whereas, magnesium stearate and Aerosil were used as lubricants and anti-adherent to facilitate proper flow and ejection of compressed tablets. The sweetening agents used were saccharin sodium and aspartame and orange as flavor. The proposed formulations (Tables 1) were subjected to physical evaluation and the results are summarized below.

Firstly, all formulation were tested for sticking and compressibility, and those proved to be compressible and with non-sticky behavior (F1, F3, F4, F5, F6, F7, and F12) were selected for further in vitro tests. The selected formulations exhibited variable disintegration times ranging between 20 sec (F12) and 120 sec (F7). The shortest disintegration time encountered with F12 could be due to the inclusion of relatively larger quantity of the superdisintegrant Explotab characterized by high swelling capacity, and Polyplasidone with its distinct water wicking and swelling capacity, as well as the presence of small quantity of directly compressible mannitol, which is water soluble excipient (Hirani et al., 2009, Biswas and Dutta, 2012). Superdisintegrants provide quick disintegration due to combined effect of swelling and water absorption by the formulation. Following the swelling of super-disintegrants, the wetted surface of carrier increases, and this promotes the wettability and dispersability of tablet, thus enhancing the disintegration process (Sharma and Telange, 2011). The minimum disintegration time encountered with F12 renders it a suitable candidate formulation for further studies. The hardness of the selected formulations were reasonable and ranged between 4-7 Kp. In order to allow ODT to disintegrate in the oral cavity, it must be of either very porous and soft-molded matrices or compressed into tablets with very low

compression force, which makes the tablets disintegrate in matter of seconds (Hirani et al., 2009). The limit of hardness for a ODT is usually kept in a lower range to facilitate early disintegration in the mouth (Velmurugan and Vinushitha, 2010).

In vitro Evaluation of Desloratadine ODT

The previously mentioned compressible non sticky formulations (F1, F3, F4, F5, F6, F7 and F12) were subjected to further evaluation after addition of the coated DS particles; namely weight uniformity, wetting time, hardness and friability testing (Table 3). The wetting time which is an indication of how fast a formulation will absorb saliva when placed in the mouth (Biswas and Dutta, 2012, Velmurugan and Vinushitha, 2010) showed variability of results with the longest time (84.9 seconds) in case of F7, whereas the shortest time (14.7 seconds) was exhibited by F12. These results are parallel to the results of disintegration time shown in Table (3), i.e. the faster the wetting time, the shorter will be the disintegration time (Biswas and Dutta, 2012). This correlation is a logical finding since a tablet will disintegrate only after being wetted with the disintegration solution. Disintegration time is a critical factor in ODT and is desired to be less than 30 seconds (Hirani et al., 2009, Biswas and Dutta, 2012, Rosie et al., 2009), which is the case with F12. Comparison of the wetting times and disintegration times of the selected formulations is shown in Figure (1), where the wetting time for every individual formulation is always shorter than its disintegration time. This results confirm the fact that a tablet formulation should first be wetted in order to undergo disintegration (Velmurugan and Vinushitha, 2010, Olmez and Vural, 2009). Friability is closely related to tablet hardness and is designed to evaluate the ability of the tablet to withstand abrasion in packaging, handling and shipping as friction and shock are the forces that most often cause tablets to chip, cap or break. All percentage friability results ranged between 0.16- 0.3%. These results are within the acceptance limit for friability of USP 36 and European Medicines Evaluation Agency (EMEA); i.e. less than 1%. Water absorption ratio was calculated for the selected formulations. This ratio represents the amount of absorbed water relative to weight of the tested tablet (Biswas and Dutta, 2012, Velmurugan and Vinushitha, 2010). This ratio indicates the ability of the formulation to easily absorb disintegration solution (saliva) when placed in the buccal cavity. Formulation F12 showed the highest water absorption percentage (90 %), indicating the superiority of this formulation to absorb water, which was reflected in the short wetting time (14.7 sec) and consequently a very short disintegration time (20 sec) (Table 3). Based on the above findings, F12 was chosen as the formula of choice and was selected for further studies after inclusion of the drug candidate DS.

Drug- Excipient Interactions

Fourier transform infrared (FTIR) spectra were performed on pure drug (DS), Eudragit EPO, DSC coated with Eudragit EPO, and on the selected formula (F12) containing the taste masked drug are shown in Figures(2-5). The FTIR of DS (Figure 2) shows the main characteristic peaks between the range 1350-1700 cm $^{-1}$. The figure shows also alkene (C=C stretch (conjugated)) at 1610-1640 cm $^{-1}$, imines (R2C=N-R stretch) at 1640-1690 cm $^{-1}$, aromatic (C=C stretch) at 1475 cm $^{-1}$ and 1600 cm $^{-1}$, (C-H stretch) at 3000-3020 cm $^{-1}$, alkanes (C-H stretch) at 2800-2950 cm $^{-1}$, and amines (N-H bend) 3300-3500 cm^{-1}. FTIR spectrum of Eudragit EPO (Figure 3) shows a characteristic band at 1750 cm $^{-1}$. The spectrum of coated DS (Figure 4) maintained all the characteristic peaks of the drug indicating the absence of any interaction with the coating taste masking material. The same characteristic peaks were observedwith a very minor change in intensity noted in some absorption bands of the examined DS ODT powder mixture (Figure 5). It is assumed that coating of the drug with Eudragit EPO would form a layer around drug particles that would prevent direct contact with other added excipients. This isolation would be expected to guard against any possible interactions. Since there was no disappearance or change in position of the absorption bands characteristic for the drug, this clearly demonstrates the absence of interaction with the polymer-forming the coating taste masking layer and other added excipients.

Comparative Dissolution Study of DS-ODT and Aerius® Tablets

The dissolution profile of DS from the selected tablet formulation (F12) was performed in 0.1 N Hcl using type II (paddle) USP dissolution apparatus (Figure 6). Almost 100 % of DS was released from prepared ODT after only 2.5 minutes.

For comparison purpose, a reference conventional tablet (Aerius®5 mg) manufactured by Schering-Ploughwas subjected to dissolution study under the same experimental conditions. Average weight of twenty tablets of Aerius® was 105 mg and hardness between 9 and 11 Kp. The results shown in Figure (6) clearly indicate that 100% DS release was attained after only 2.5 minutes from the prepared ODT (F12), compared to only 82 % from conventional Aerius®marketed tablets at the same time interval.

The relatively higher and faster release rate of the drug from the developed ODT formulation compared to the conventional marketed tablet is consistent with the previously observed short disintegration time (20 seconds) and the fast wetting time (14.7 seconds) of this proposed formulation, in comparison to disintegration time (4 minutes) for conventional tablet. This rapid disintegration would render the drug readily exposed to the dissolution medium, thus offering high dissolution as shown in Figure (6).

Dissolution was further evaluated by the dissolution efficiency (DE %), which is the area under a dissolution curve between defined time points expressed as a percent of the curve maximum dissolution Y 100 over the same period (Khan, 1975). The areas under the dissolution profiles were calculated using the trapezoidal principle. DE% for oral disintegrating tablets containing coated DS was found to be 95.055 % while that of Aerius® conventional tablets was 90.72%.

Table 1: Ingredients of placebo oral disintegrating tablet formulations (mg/tab).

Ingredient	F1	F2	F3	F4	F5	F6	F7	F8	F9	F10	F11	F12
Coated desloratadine	……	……	……	……	……	……	……	……	……	……	……	……
Mannitol granules	156.1	138.1	129.9	156.1	156	156	145	131	133.5	113.7	103.8	88.7
Starch 1500	18	18	26.21	-----	-----	-----	-----	-----	-----	-----	-----	-----
Polyplasidone	18	36	36	18	-----	18	18	18	18	18	18	20
Saccharin sodium	2	2	2	2	-----	-----	-----	-----	-----	-----	-----	-----
Erythrocine lake	0.34	0.34	0.34	0.34	-----	-----	-----	-----	-----	-----	-----	-----
Cherry flavor	2	2	2	2	-----	-----	-----	-----	-----	-----	-----	-----
PRUV [a)]	3.6	3.6	3.6	3.6	3.6	3.6	3.6	-----	-----	-----	-----	-----
Explota	-----	-----	-----	18	18	18	-----	18	18	18	18	20
Ac-Di-Sol	-----	-----	-----	-----	18	-----	-----	-----	-----	-----	-----	-----
Orange flavor	-----	-----	-----	-----	2	2	2	2	2	2	2	2.2
Sun set yellow	-----	-----	-----	-----	0.4	0.4	0.4	0.4	0.4	0.4	0.4	0.4
Citric acid anhydrous	-----	-----	-----	-----	-----	-----	15	15	12.5	12.5	12.5	16.5
Sodium bicarbonate	-----	-----	-----	-----	-----	-----	10	10	10	10	10	11
Aspartame	-----	-----	-----	-----	2	2	2	2	2	2	2	2.2
Avicel pH 101	-----	-----	-----	-----	-----	-----	-----	-----	-----	18	27	30
Aerosil	-----	-----	-----	-----	-----	-----	-----	-----	-----	1.8	1.8	2
Talc	-----	-----	-----	-----	-----	-----	-----	-----	-----	-----	-----	2
Magnesium stearate	-----	-----	-----	-----	-----	-----	-----	3.6	3.6	3.6	4.5	5
Total wt (mg)	200	200	200	200	200	200	200	200	200	200	200	200

Sodium StearylFumarate

Table 2: Taste evaluation of different trials for masking bitter taste by ten volunteers.

Volunteer		**Trial 1**	**Trial 2**	**Trial 3**	**Trial 4**
1	1st reading	1	1	4	5
	2nd reading	1	3	5	7
	Average	1	2	4.5	6
2	1st reading	2	3	6	8
	2nd reading	1	2	5	9
	Average	1.5	2.5	5.5	8.5
3	1st reading	1	4	6	9
	2nd reading	2	3	7	7
	Average	1.5	3.5	6.5	8
4	1st reading	2	5	5	8
	2nd reading	3	2	4	9
	Average	2.5	3.5	4.5	8.5
5	1st reading	2	2	6	8
	2nd reading	2	3	5	7
	Average	2	2.5	5.5	7.5
6	1st reading	3	4	5	9
	2nd reading	2	3	7	8
	Average	2.5	3.5	6	8.5
7	1st reading	2	2	5	7
	2nd reading	1	3	7	6
	Average	1.5	2.5	6	6.5
8	1st reading	3	3	4	9
	2nd reading	1	3	6	6
	Average	2	3	5	7.5
9	1st reading	4	4	4	8
	2nd reading	3	1	5	8
	Average	3.5	2.5	4.5	8
10	1st reading	3	3	5	7
	2nd reading	2	3	6	8
	Average	2.5	3	5.5	7.5
Average	1st reading	2.3±0.948	3.1±1.197	5±0.816	7.8 ±1.229
	2nd reading	1.8±0.788	2.6±0.699	5.7±1.059	7.5±1.08
	Average	2.05±0.724	2.85±0.529	5.35±0.709	7.65±0.851

Table 3: Comparison of weight variation, wetting time, water absorption ration, disintegration time, hardness, and friability of coated desloratadine oral disintegrating tablets.

	Properties					
	Weight variation (mg± SD), n=20	**Wetting time (seconds± SD)**	**Water absorption ratio**	**Disintegration time (seconds± SD)**	**Friability (%)**	**Hardness (Kp)**
F1	198.7± 1.26	38.4±0.69	54.1±0.69	44±0.67	0.18	4-7
F3	198.7± 1.26	62.7±0.95	86.7±0.88	70±0.56	0.19	4-7
F4	198.05±1.47	39±0.82	90.1±0.82	52±0.44	0.2	4-7
F5	197.75±1.45	58.6±0.69	88.6±0.69	75±0.67	0.27	4-7
F6	197.9±1.59	60±0.67	98±0.67	80±0.8	0.3	4-7
F7	198.35±1.18	84.9±0.57	145±0.57	120±0.77	0.21	4-7
F12	198.9±0.96	14.7±0.82	90±0.69	20±0.67	0.16	4-7

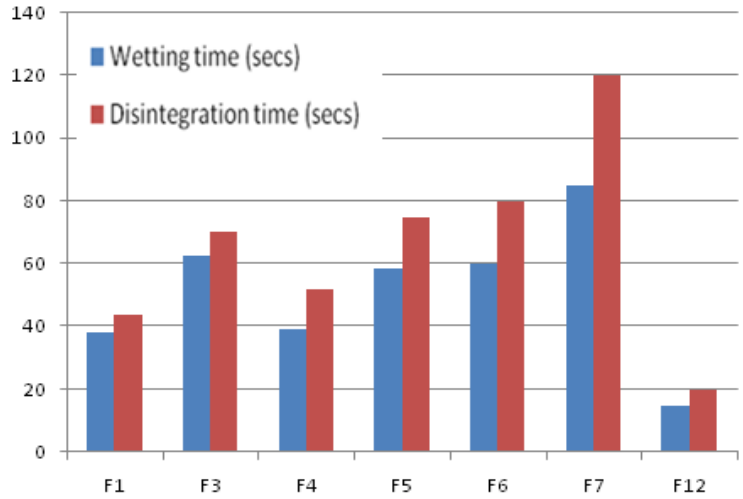

Figure (1): Comparison of wetting times and disintegration times of coated desloratadine oral disintegrating tablets.

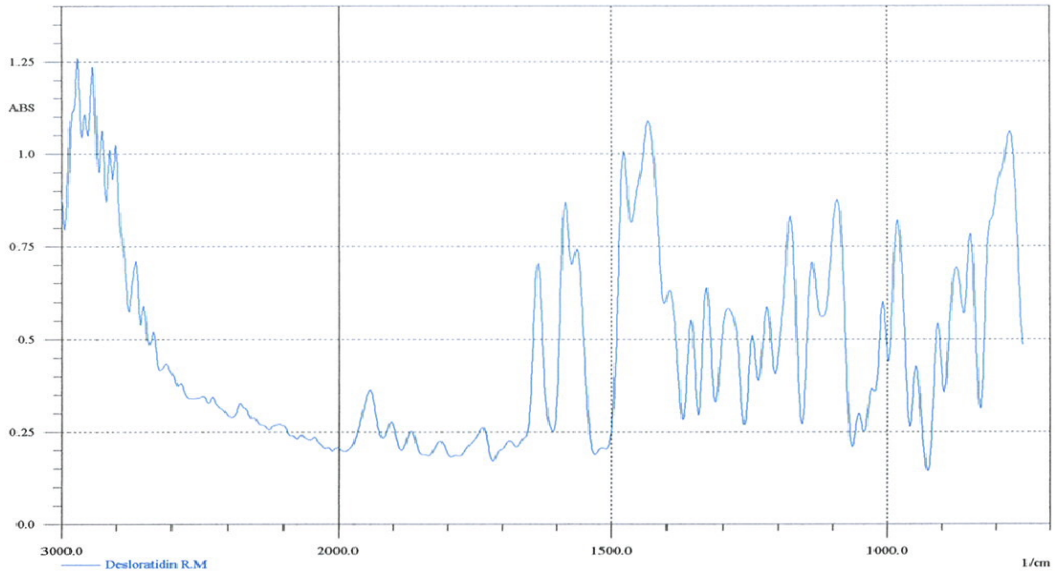

Fig. 2: FTIR spectra of pure drug desloratadine.

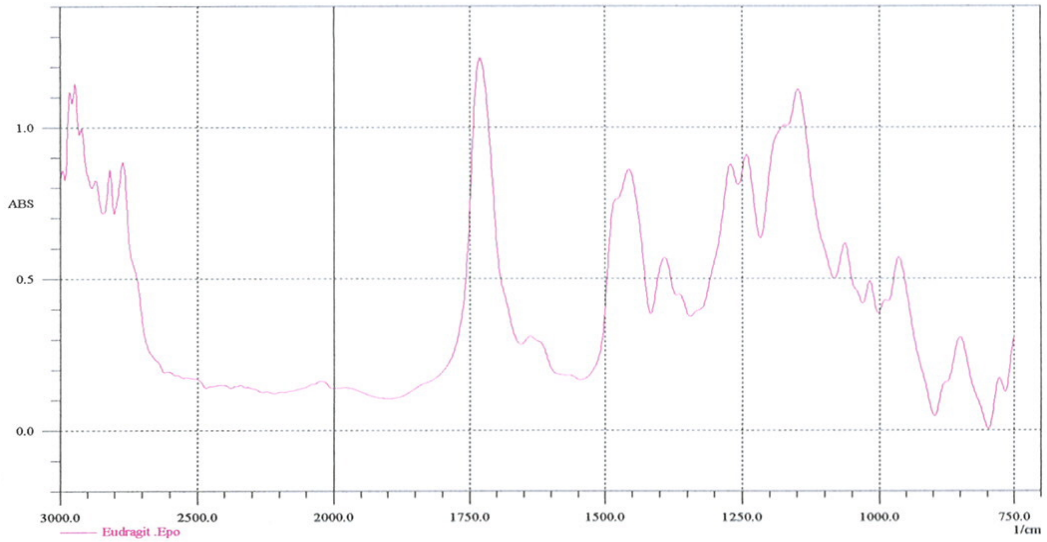

Fig. 3: FTIR spectra of Eudragit EPO.

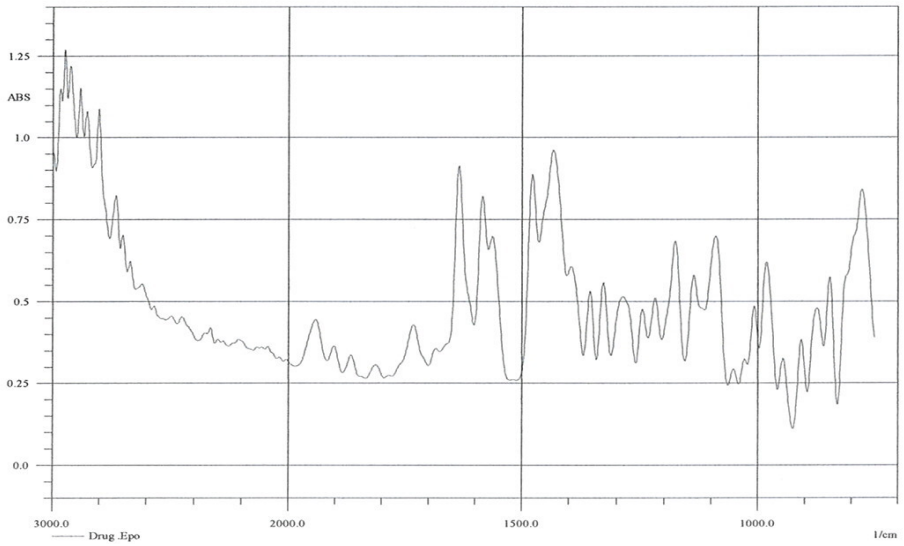

Fig. 4: FTIR spectra of desloratadine coated with eudragit EPO.

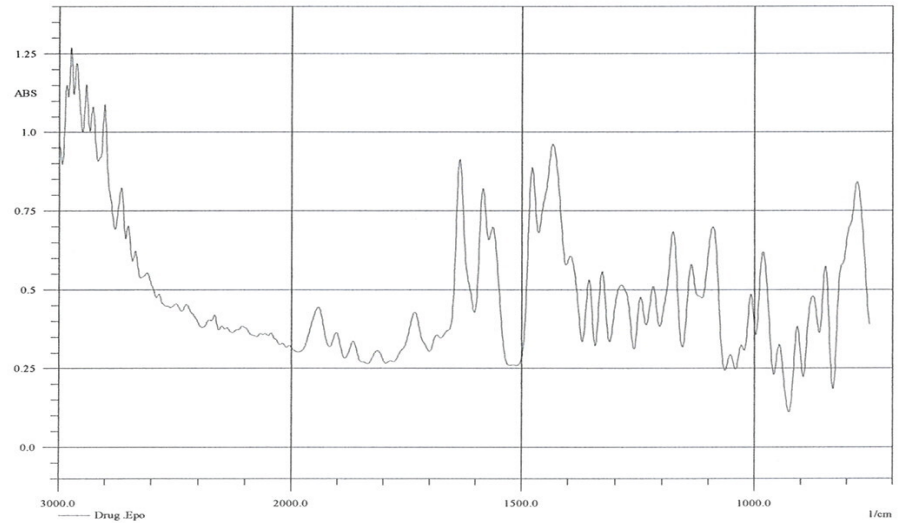

Fig. 5: FTIR spectra of desloratadine coated with eudragit EPO.

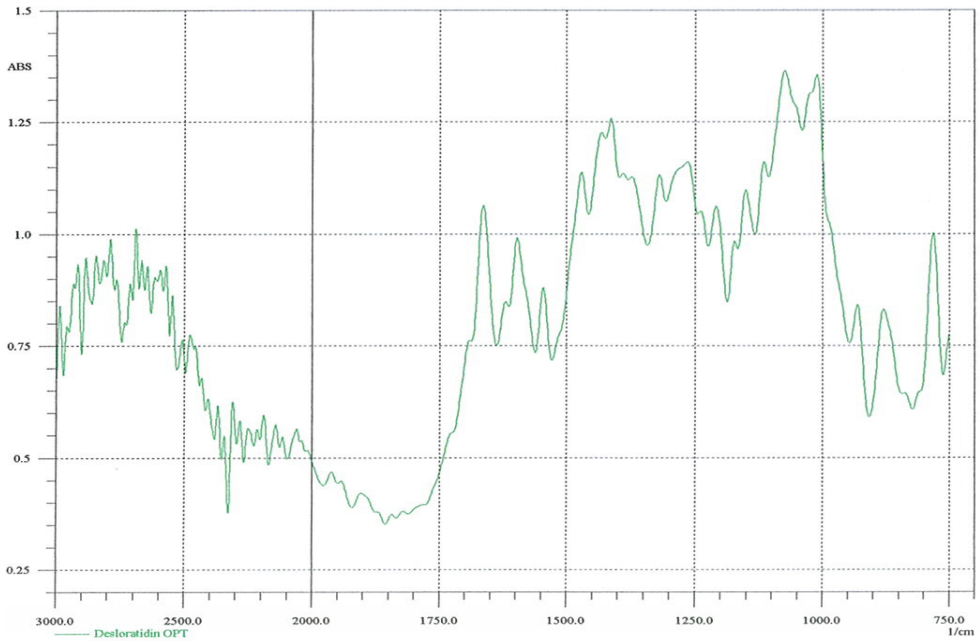

Fig. 5: FTIR spectra of coated desloratadine oral disintegrating tablet.

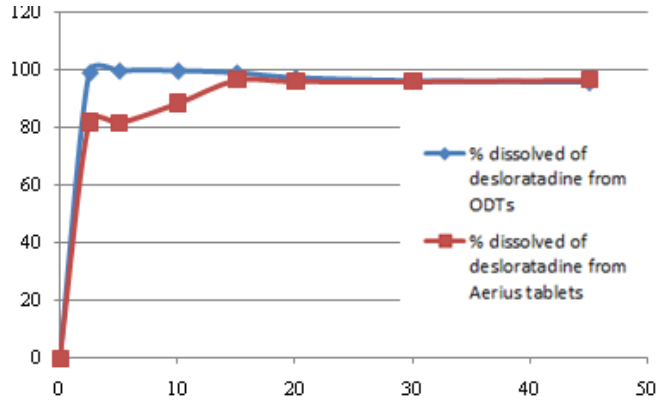

Fig. 6: Comparative dissolution profile of coated desloratadine ODT (F12) and Aerius® conventional tablet in 0.1 N HCl.

CONCLUSION

Placebo ODT (F12) was non-sticky and compressible base with acceptable disintegration time which falls within the acceptable limits, i.e. not more than 30 seconds. Dry mixing of DS and Eudragit EPO followed by granulation with hydroalcoholic solution showed acceptable taste masking of the bitterness of DS in comparison to other methods used. The formulated DS- ODT showed good weight uniformity, reasonable hardness values (5-7 Kp), in addition to an acceptable friability (0.16%). The wetting time was 14.7 sec, which resulted in an observed low disintegration time (20 seconds). 100% drug release was attained after only 2.5 minutes from the prepared ODT, compared to only 82 % from conventional marketed tablets (Aerius®) at the same time interval.

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