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# A Comparative Study between Market products and Immediate Release Loratadine Tablets Formulation using different Superdisintegrants

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**ABSTRACT**

Aim of the present study was to develop immediate release tablets of loratadine. Loratadine immediate release tablets were formulated by using different ratios of different superdisintegrants like sodium starch glycolate and kollidon CL with direct compression method. Tablets were prepared and evaluated for different quality parameters. A comparison of commercial loratadine tablets has also been evaluated. The percent releases of the all formulations (total six) were from 91.47%- 98.70% after 1 hr dissolution studies. Best formulation was F3 because of lesser disintegration time and highest percentage drug release at the end of 1 hr. On the other hand, sample-A released 99.83% of drug while sample-B released 99.04% of drug within 1 hr from release pattern of commercial product of loratadine tablets. The study reveals that the superdisintegrants of the study have the capacity to speed up the release of the drug from the immediate release tablets and the more is the amount of the superdisintegrants in the formulation the more is the release of drug showing more immediate action of drug release.

**Key words:** Loratadine, immediate release, superdisintegrants, *in vitro* dissolution.

## INTRODUCTION

The goal of any drug delivery system is to provide a therapeutic amount of drug in the proper site in the body to achieve promptly and then to maintain the desired drug concentration i.e. the drug delivery system should deliver drug at a rate dedicated by the needs of the body over a specified period of treatment [1]. Tablet is the most popular among all dosage forms existing today because of its convenience of self administration, compactness and easy manufacturing; however in many cases immediate onset of action is required than conventional therapy. To overcome these drawbacks, immediate release pharmaceutical dosage form has emerged as alternative oral dosage forms [2]. An immediate release dosage form allows a manufacturer to extend market exclusivity, while offering patients a convenient dosage form or dosage regimen. Immediate release tablets are those tablets which are designed to disintegrate and release their medication with no special rate controlling features, such as special coatings and other techniques. Immediate release and fast dispersing drug delivery system may offer a solution to these problems. Recently immediate release tablets have started gaining popularity and acceptance as a drug delivery system, mainly because they are easy to administer, has quick onset of action is economical and lead to better patient compliance. They are also a tool for expanding markets, extending product life cycles and generating opportunities [3]. Superdisintegrants such as cross carmellose sodium (CCS), sodium starch

glycolate (SSG) and kollidon CL (KCL) are now frequently used in tablet formulations to improve the rate and extent of tablet disintegration thereby increasing the rate of drug dissolution [4].

Loratadine, a piperidine derivative related to azatadine, is a long-acting, non-sedating antihistamine with no significant antimuscarinic activity. It is used for the symptomatic relief of allergic conditions such as runny nose, itchy or watery eyes, sneezing, and nasal or throat itching and chronic urticaria. It is also licensed to alleviate itching due to hives [5]. Unlike most classical antihistamines (histamine $H_1$ antagonists) it lacks central nervous system depressant effects such as drowsiness. Loratadine competes with free histamine and exhibits specific, selective peripheral $H_1$ antagonistic activity [6]. Loratadine also has a weak affinity for acetylcholine and alpha-adrenergic receptors [7].

The purpose of the present study was to formulate immediate release tablets of loratadine with an aim of providing faster onset of action by using different superdisintegrants with various ratios.

## METHODS AND MATERIALS

***Evaluation of powder blends*** According to the reliable reference of Wagh *et al*., (2010) [8] the following pre-formulation studies like bulk density, tapped density, angle of repose, compressibility index and hausner's ratio were performed for different ingredients of loratadine.

***Preparation of loratadine tablets*** Direct compression method was employed to prepare immediate release tablets of loratadine using sodium starch glycolate & kollidon CL as superdisintegrants. All the ingredients were weighed accurately according to the formulation code and then sieved to get uniform particle size and mixed in for 10 min except lubricants. After uniform mixing, lubricants were added and again mixed for 2 min. After proper mixing, the appropriate amounts of the granules were compressed for each tablet using a laboratory hydraulic press with an 8 mm flat punch and die set.

**Measurement of some physical parameters of resulting tablets**

***Physical tests*** The compressed tablets were characterized by their physical properties. For each formulation, the physical appearance, average weight, friability, thickness and diameter were determined for 20 tablets [9]. The physical parameters for the compressed tablets were represents in table 3.

***In Vitro disintegration time*** The test was carried out on 6 tablets using tablet disintegration tester ED-20 (Electrolab, Mumbai, India) distilled water at 37±2°C was used as a disintegration media and the time taken for the entire tablet to disintegrate completely was noted in table 3.

***Dissolution studies*** Dissolution tests of loratadine immediate release tablets were conducted according to the USP method (USP XXII) apparatus II (paddle method). In all cases the conditions were maintained to be exactly the same, i.e. the rpm was maintained at 50 while the temperature maintained always at 37± 0.5°C and phosphate buffer of pH 6.8 was used as dissolution media. The dissolution was carried out for 8 hrs. This was done to get a simulated picture of drug release in the *in vivo* condition. The sample that was collected was first filtered, and then diluted before being assayed at 248 nm using a Shimadzu UV-1601 UV/Vis double beam spectrophotometer. The percentage of drug released is thus calculated and plotted against time (figure 1).

## RESULTS AND DISCUSSION

***Evaluation of powder blends*** Flow properties of different ingredients are showed in table 2. The bulk density and tapped density for different ingredients varied from (0.561 - 0.662) gm/ ml and (0.671-0.767) gm/ml respectively. Using these two densities data, compressibility index and hausner's ratio was calculated. The percent compressibility lies within the range of (13.68-16.39) % and hausner's ratio is in the range of (1.15-1.19) for different ingredients respectively. Different ingredients showed the angle of repose within $30^0$. However, the outcomes of these parameters indicated good flow properties of different ingredients and suitable for compression.

***Physical parameters of loratadine immediate release tablets*** The average weight of the tablets were found uniform and standardized at approximately 130 mg. The average diameter and thickness were also found to be much consistent varying between the ranges of 8.01mm and (2.26-2.28) mm respectively. In contrast, the friability of the tablets of different formulations varied greatly ranging from (0.152-0.277) %. According to some authentic references the maximum friability range should be (0.5-1) % [10]. Hardness of the tablets of the different formulations varied widely ranging from (2.36 ±0.009-2.93±0.011) $Kg/cm^2$. All the formulations show disintegration time less than 60 seconds whereas kollidon CL shows more disintegration time than sodium starch glycolate (table 3).

***Effect of superdisintegrants on drug release*** Immediate release of loratadine tablets were subjected to *in vitro* drug release studies for 1hr and release profile includes a plot of percent release of drug versus time. Values indicate that at the end of 60 min, maximum drug was released by the F-3 (98.70%) while F-4 released only (91.47%) of drug at the same time and thus F-3 showing a more immediate action than other formulations and high dissolution may occur due to faster breakdown. Figure 1, follows the zero order release of loratadine from all the formulations of the present study. At low concentration of superdisintegrant, the release of drug was generally seen to decrease and at the high concentration of superdisintegrant, the release of drug was generally seen more. So in the comparison of percent release of drug of immediate release formulations showed the rapid and complete release of drug immediately after administration due to the presence of different superdisintegrants in same manner.

***Release profile of market product of loratadine tablets*** In this research work dissolution profile of some market products of loratadine tablets also have been done. Loratadine tablets are very much available as immediate release tablet in the market. Release profile includes a plot of percent release of drug versus time. Values indicate that at the end of 1 hr, tablets of sample-A released 99.83% of drug while sample-B released 99.04% of drug at the same time and thus sample-A showing a more release than sample-B (figure 2).

In view of that moderately highest release was found for market products compared to formulated tablets of loratadine.

## CONCLUSION

*In vitro* release studies demonstrated that the release of loratadine from all tablet formulations were generally immediate due to the use of different superdisintegrants in the formulation. On the other hand the release patterns of market product of loratadine tablets were also immediate in case of conventional dosage form. The release uniqueness were significantly influenced by the characteristics and concentration of the superdisintegrants used. The release rate increased with the increase of superdisintegrants and hence the immediate action was obtained.

**Table 1.** Formulation of loratadine immediate release tablets based on different superdisintegrants*

| Formulation Code | API | Sodium starch glycolate | Kollidone-CL | Lactose | Povidone K-30 | Magnesium Stearate | Talc | Total weight |
|---|---|---|---|---|---|---|---|---|
| F-1 | 10 | 50 | - | 42 | 15 | 10 | 3 | 130 |
| F-2 | 10 | 60 | - | 32 | 15 | 10 | 3 | 130 |
| F-3 | 10 | 80 | - | 12 | 15 | 10 | 3 | 130 |
| F-4 | 10 | - | 50 | 42 | 15 | 10 | 3 | 130 |
| F-5 | 10 | - | 60 | 32 | 15 | 10 | 3 | 130 |
| F-6 | 10 | - | 80 | 12 | 15 | 10 | 3 | 130 |

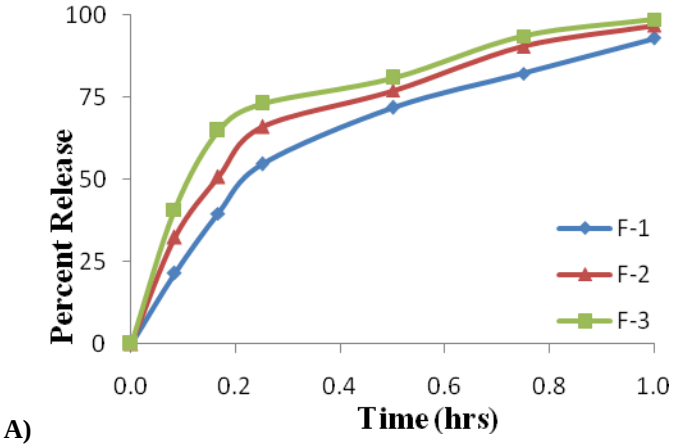
*Weight of each ingredient was taken in mg

**Table 2.** Evaluation of powder flow properties of different ingredients of loratadine

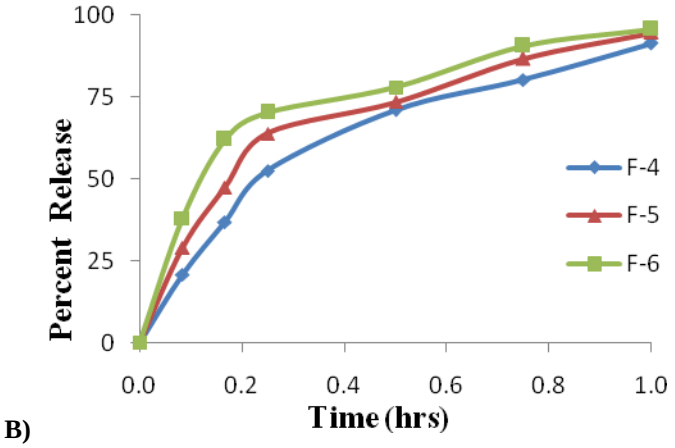
| Ingredients | Bulk density (gm/ ml) | Tapped density (gm/ml) | Carr's index (%) | Hausner's ratio | Angle of repose ($^0$C) |
|---|---|---|---|---|---|
| Sodium starch glycolate | 0.662 | 0.767 | 13.68 | 1.15 | 22 |
| Lactose | 0.600 | 0.700 | 14.28 | 1.16 | 21 |
| Talc | 0.561 | 0.671 | 16.39 | 1.19 | 24 |

**Table 3.** Physical properties of loratadine immediate release tablets of formulation F-1 to F-6 (Number of sample=20)

| Formulation Code | Weight variation (gm) | Diameter (mm) | Thickness (mm) | Friability (%) | Hardness (kg/cm$^2$) | Disintegration time (sec) |
|---|---|---|---|---|---|---|
| F-1 | 129±0.4 | 8.01±0.01 | 2.26±0.01 | 0.152 | 2.36±0.009 | 31 |
| F-2 | 126±0.3 | 8.01±0.01 | 2.26±0.01 | 0.277 | 2.46±0.012 | 25 |
| F-3 | 129±0.4 | 8.01±0.01 | 2.28±0.12 | 0.277 | 2.57±0.011 | 18 |
| F-4 | 131±0.8 | 8.01±0.01 | 2.28±0.12 | 0.277 | 2.77±0.007 | 40 |
| F-5 | 129±0.4 | 8.01±0.01 | 2.28±0.12 | 0.152 | 2.36±0.009 | 28 |
| F-6 | 131±0.8 | 8.01±0.01 | 2.28±0.12 | 0.152 | 2.93±0.011 | 20 |




**A)**




**B)**

**Figure 1.** Zero order release of loratadine from different formulations having different ratio of A) sodium starch glycolate and B) kollidon CL

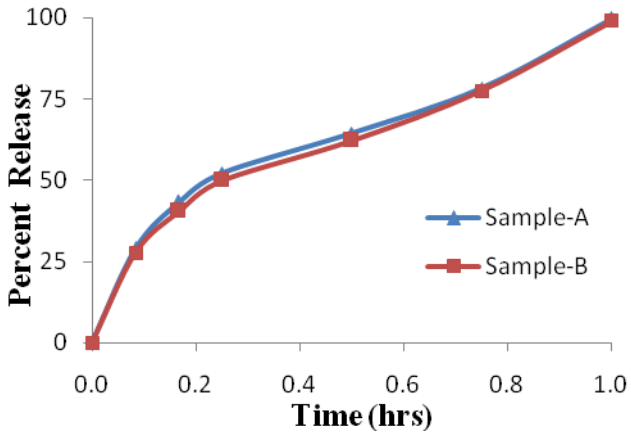



**Figure 2:** Percent Release of market product of loratadine

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