Research Article

Formulation and Evaluation of Fast Dissolving Tablets of Cetirizine HCL

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ABSTRACT

The objective of this research was to prepare fast dissolving tablets of Cetirizine HCl using as superdisintegrating agents. Fast dissolving tablets formulations having different concentration of superdisintegrants like crospovidone and L-HPC were prepared by direct compression technique. Tablets were evaluated for various evaluation parameter likes drug content, weight variation, friability, hardness, wetting time and invitro disintegration time. Batch F3 showed shortest in-vitro disintegration time and fast drug release. From the study it was concluded that use of superdisintegrant can be useful for preparation of fast dissolving tablets when rapid onset of action of drug is needed.

Keywords: Cetirizine HCl, Fast dissolving tablets, Crospovidone, L-HPC.

INTRODUCTION

Many patients find it difficult to swallow tablets and hard gelatin capsules and that results in high incidence of non-compliance and ineffective therapy.[1] Fast dissolving tablets are gaining prominence as new drug delivery systems.[2] Fast dissolving tablets are those when put on tounge disintegrate instateneously, releasing the drug which dissolve or disperses in the saliva.[3] Some drugs are absorbed from the mouth, pharynx and oesophagus as the saliva passes down in to the stomach. In such cases, bioavailability of a drug is significantly greter than those observed from conventional tablet dosage form. Cetirizine hydrochloride is an orally active and selective H1-receptor antagonist used in seasonal allergic rhinitis, perennial allergic rhinitis and chronic urticaria. In the conditions like allergy, quick onset of action is desired by patient. In such condition fast dissolving tablets would serve as an ideal dosage form for the patients in order to get the quick onset of action. Hence the present work was aimed to formulate fast dissolving tablets of Cetirizine HCl using superdisintegrant.

MATERIALS AND METHODS

Cetirizine HCl was received as a gift sample from Cipla Ltd, Mumbai, India. Crospovidone (CP) and Low substituted hydroxypropyl cellulose (LHPC) was obtained as gift sample from Signet Chemicals Mumbai. All other materials like aspartame, mannitol, microcrystalline cellulose, magnesium stearate, talc used was of analytical grade and procured from commercial sources.

Preparation of Fast dissolving tablets

Cetirizine HCl fast dissolving tablets were prepared by direct compresion method according to formula given in the table 1. The drug and microcrystalline celullose were mixed and blended to get a uniform mixture and kept aside. Then the ingriedents were weighed and mixed in geometrical order and the tablets were compressed using rotary tablets compression machine. Before tablets preparetion, the mixture blends of all the formulation were subjected for compatibility studies (IR) and pre-compression parameter like bulk density, tapped density, angle of repose, percentage compressibility and Hausner ratio.[7]

Table 1: Formulation Design

Ingredient	F1 (mg)	F2 (mg)	F3 (mg)	F4 (mg)	F5 (mg)	F6 (mg)
Cetirizine HCl	10	10	10	10	10	10
Crospovidone	3	6	9	-	-	-
L-HPC	-	-	-	3	6	9
Mannitol	20	20	20	20	20	20
Aspartame	3	3	3	3	3	3
Talc	1.5	1.5	1.5	1.5	1.5	1.5
Mg. stearate	1.5	1.5	1.5	1.5	1.5	1.5
Avicel PH102	111	108	105	111	108	105
Total	150	150	150	150	150	150

Evaluation of Tablets

Weight variation

Twenty tablets were selected at a random and average weight was calculated. Then individual tablets were weighed and the individual weight was compared with an average weight.[8,9,10]

Hardness, Friability and content uniformity Tests

Tablets were evaluated for hardness and friability test using pfizer hardness tester and Roche friabilator respectively.[11,12] Content uniformity test were done as per procedure given below:

Twenty tablets from each batch were powdered and weighed accurately equivalent to 10 mg Cetirizine HCl. powder was taken and dissolved in suitable quantity of

methanol. After that the solution was filtered, suitably diluted and drug content was analyzed in triplicate on spectrophotometer at 239 nm.[13]

Wetting Time

A piece of tissue paper folded double was placed in a petri plate containing 10 ml of water. The tablet was placed on the paper and the time required for water to reach the upper surface of the tablets or complete wetting of the tablet was measured in seconds as wetting time.

In-vitro Disintegration time

The in-vitro disintegration time was determined using disintegration test apparatus. A tablet was placed in each of the six tubes of the apparatus and one disc was added to each tube. The time in seconds taken for complete disintegration of the tablet with no palatable mass remaining in the apparatus was measured in seconds.

In vitro drug release study

In-Vitro drug release studies were carried out using USP tablet dissolution test apparatus at 50 rpm. The dissolution medium consisted of 900 ml phosphate buffer pH 6.8 During the study the temperature of the medium was maintained at 37±0.5^{0}C. The sample of 5 ml was withdrawn at predetermined time intervals and an equivalent amount of fresh dissolution fluid equilibrated at the same temperature was replaced. After suitable dilution, the diluted samples were assayed at 403 nm against blank and cumulative percent drug release was calculated.[13,14,15]

RESULTS AND DISCUSSION

Fast dissolving tablets of Cetirizine HCl were prepared by using Crospovidone and L-HPC as a superdisintegrants (Table 1). Sic formulations were prepared by direct compression technique using various concentration of superdisintegrant. The pre-compression parameters for all batched were evaluated and found within prescribed limit and showed good free flowing property (Table 2). IR spectroscopy was used as means of studying drug-excipient compatibility and it was confirmed the purity of Cetirizine HCl with excipients, indicating no drug-excipient interaction.

The post-compression parameters likes hardness, friability, weight variation, amount of drug content, in-vitro wetting time and in-vitro disintegration time are shown in (Table 3). The hardness for all the formulations indicating good mechanical strength with an ability to withstand physical and mechanical stress conditions while handling. In all the formulation the friability values are less than 1% and meet the IP limits. All the tablets passed weight variation test. The weight of all the tablets was found to be uniform with low standard deviation values indicating efficient mixing of drug, disintegrants and excipients. The percentages drug contents of all the tablets batch were found to be between 96.26 ± 1.52% to 99.91 ±0.61% of Cetirizine HCl, which was within the acceptable limits. All batch formulation showed faster in-vitro wetting and in-vitro disintegration time. Wetting time for aa batch formulation was found in the range of 42.4 ±1.15 to 70.4±0.85 sec. while the disintegration time for all batches was found to be in the range of 35.6±1.22 to 52.4±2.42 sec. It was observed that tablets prepared with crospovidone as superdisintegrant showed fastest wetting time and in vitro disintegration time as compared to LHPC. Also, it was found that as the concentration of superdisintegrant increased the wetting time and disintegration time decreased, this might be due to swelling ability of the crospovidone and LHPC. Formulation F3 prepared with crospovidone showed lowest wetting time and disintegration time as compared with other formulations which is an ideal characteristic of a fast dissolving type tablet.

Table 2: Micromeritic properties of power blend

Formulation Code	Bulk density (gm/ml)	Tapped density (gm/ml)	Hausner ratio	Percentage compressibility (%)	Angle of repose (θ)
F1	0.58	0.68	1.172	14.706	25.71
F2	0.56	0.67	1.196	16.418	25.07
F3	0.55	0.64	1.164	14.063	24.68
F4	0.53	0.62	1.170	14.516	25.50
F5	0.52	0.6	1.154	13.333	24.78
F6	0.54	0.62	1.148	12.903	26.30

Table 3: Evaluation of Fast Dissolving Tablets

Formulation code	Weight* variation	Thickness (mm)	Hardness* (kg/cm^2)	Friability* (%)	In-vitro disintegration Time* (sec)	Wetting Time* (sec)	Drug content * (%)
F1	Passes	2.54	3.5±1.32	0.72±1.32	48.3±1.53	68.8±1.04	98.14 ±1.66
F2	Passes	2.51	3.4±0.88	0.78±0.44	42.0±1.30	54.2±0.95	99.02 ±0.50
F3	Passes	2.64	3.5±1.84	0.76±1.21	35.6±1.22	42.4±1.15	98.51 ±1.30
F4	Passes	2.65	3.5±1.52	0.71±0.92	52.4±2.42	70.4±0.85	99.91 ±0.61
F5	Passes	2.52	3.2±0.76	0.71±1.02	50.4±2.11	62.4±1.58	97.51± 1.43
F6	Passes	2.54	3.4±0.58	0.71±1.20	44.4±1.42	56.6±1.24	96.26 ± 1.52

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

The *in vitro* drug released study conducted on all batch formulations showed that all batches give faster drug release meeting the criteria of fast dissolving tablets. All batches showed more than 80 % of drug release in 10 min. Formulation F3 prepared with 6 percent of crospovidone showed the better and faster drug release of 99.60% at the end of 15 min showing good bioavailability of the drug from these formulations (figure 1).

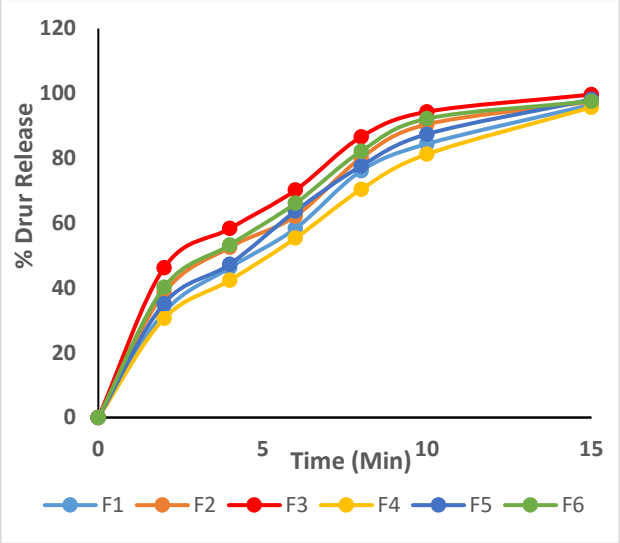

Figure 1: *In Vitro* Dissolution profile of Citrizine HCl Fast Dissolving Tablets

Crospovidone when comes in contact with water gets swell immediately and burst out there by releasing the drug in the short duration of time. Further formulation F3 was subjected to stability studies for the period of three months at 40^0/75 % RH and were analyzed after specific time period of thirty days' interval. No significant changes were seen in hardness, wetting time, in vitro disintegration time and in vitro drug release after three months. Overall results indicate that formulation F3 is the optimum one, and satisfies all the criteria as a fast dissolving tablet.

CONCLUSION

The Cetirizine HCL fast dissolving tablets were made using the direct compression method using different concentration of crospovidone and L-HPC as a Superdisintegrants. Both superdisintegrant showed faster wetting time and disintegration time. Decreased in in vitro disintegration time and faster drug release was observed with increase in superdisintegrant concentration. Formulation F3 formulated with 9 mg of crospovidone satisfied all the criteria for fast dissolving tablets. Hence from this research it was concluded that superdisintegrant like crospovidone play an important role in the development of cetirizine HCl based fast dissolving tablets for the management of allergic reaction.

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