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Original Article

Formulation and Evaluation of Mouth Dissolving Tablets of Buclizine HCl by Direct Compression Technique.

Juber Pathan*, Vishal Patil, Abhijit Jadhav, Manoj Nitalikar, Shrinivas Mohite.

Department of Pharmaceutics, Rajarambapu College of Pharmacy, Kasegaon, Dist. Sangli-415404, Maharashtra, India.

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Abstract

Aim of novel drug delivery system is to enhance safety and efficacy of drug molecule to achieve better patient compliance. One of the above advances is the formulation of mouth dissolving tablets (MDTs) which dissolve instantaneously. Within a few seconds the releasing of drug without the need of water. Main objective of this paper was to prepare and develop MDTs of Buclizine (BZ HCl) with sufficient mechanical integrity, content uniformity, and acceptable palatability to assist patients of any age for easy administration. Buclizine HCl is an anti-allergic drug used for management of allergic reactions. The MDTs of Buclizine HCl were prepared by direct compression method. The effect of varying concentrations of different superdisintegrants such as crospovidone, croscarmellose sodium, and sodium starch glycolate on disintegration time and dissolution rate was studied. The prepared tablets were evaluated for hardness, friability, disintegration time and *in-vitro* drug release. Results obtained conclusively demonstrated successful rapid disintegration of the formulated tablets and acceptable palatability.

Keywords: Buclizine HCl, Mouth dissolving tablets, *In vitro* evaluation, Superdisintegrants.

1. Introduction

New dosage forms are been developed recently with the emerging techniques of formulation development. Several developments have taken place in drug administration. From almost all the dosage forms mouth dissolving tablet (MDT) is the most widely preferred commercial products[1]. Because of ease in administration, oral cavity is considered to be an attractive site for the administration of drugs. Dosage forms like tablets, capsules, liquid preparations are administered by oral route. In last decade, mouth dissolving tablet (MDT) technologies that make tablets which disintegrate in the mouth without chewing and additional water intake have pulled a great deal of attention[2].

The effect of varying concentrations of different superdisintegrants such as crospovidone, croscarmellose sodium and sodium starch glycolate on disintegration time and dissolution rate was studied.[3] The MDT is also known as fast melting, fast dispersing, rapid dissolve, rapid melt, and quick disintegrating tablet. All MDTs are approved by the Food and Drug Administration (FDA). Recently, the European Pharmacopeia adopted the term orodispersible tablet for a tablet that disperses or disintegrates in less than 3 minutes in the mouth before swallowing. Such a tablet disintegrates into smaller granules or melts in the mouth and form a hard solid to a gel-like structure, allowing easy swallowing by patients. The disintegration time for good MDTs varies from several seconds to about a minute. Mouth disintegrating tablets shows an advantage especially for pediatric and geriatric populations with difficulty in swallowing conventional tablets and capsules[4,5]. Buclizine

Corresponding author.
E-mail address: jubs.786@rediffmail.com
(Juber Pathan)

Hydrochloride (BZ) is an antiallergic drug and also an antiemetic agent. It is good candidate to formulate oral disintegrating tablet which disperse quickly and give onset of action. Hence the formulation will be very useful for pediatric and geriatric patients whose suffer swallowing problem.

2. Materials and Methods

Buclizine HCl was procured from Srikem laboratories, Mumbai as a gift sample and Microcrystalline cellulose (Avicel PH 101), Crosscarmelose Sodium, Sodium starch glycolate, and Crosspovidone were purchased from Loba Chemicals, Mumbai.

2.1. Preparation of Buclizine HCl tablets by direct compression method

Exact quantities of BZ, avicel pH 101 and superdisintegrant were accurately weighed and mixed thoroughly for five minutes as shown in table 1. Corresponding amount of mannitol was accurately weighed and was added to above mixture and was mixed for 10 min. Finally the amount of magnesium stearate was incorporated. The powder was compressed into tablets weighing 100 mg using 8 station rotary tablet machine.

2.2. Evaluation of the prepared tablets

The formulated tablets were evaluated for various physicochemical parameters including weight variation, thickness, hardness, friability, percentage drug content and percentage cumulative *in-vitro* drug release. Results were mentioned in table 2.

2.2.1. Weight variation

Twenty tablets from each batch were individually weighed on analytical balance, the average weight and standard deviation were calculated.[7]

2.2.2. Thickness

Weighed ten tablets accurately were tested for thickness using a vernier caliber micrometer, the average thickness and standard deviation were calculated.[7]

2.2.3. Hardness

Tablet hardness was determined with the Monsanto hardness tester with known weight and thickness of each batch; the average hardness and standard deviation were reported.[8]

2.2.4. Friability

Tablet friability was determined by using Roche friabilator. Twenty tablets (W1) were accurately and placed into the friabilator which was rotated at 25 rpm for 4 min. The tablets were reweighed after removal of fines (W2), and the loss % was calculated by the following formula:[9]

$$100 \times (W1 - W2)/W1.$$

2.2.5. BZ HCl content

Formulated tablets weighing 100 mg and equivalent to 25 mg Buclizine were accurately weighed finely powdered and were transferred into a volumetric flask. About 60 ml of 0.1 N HCl was added, sonicated for 10 min, then shaken by mechanical means for 30 min and completed to 100 ml with the same solvent and then sonication and filtration was performed. Percentage drug content was determined spectrophotometrically at 230 nm. Test was performed on placebo, fresh, and conditioned Buclizine ODT and repeated trice.

2.2.6. In-vitro disintegration studies

In-vitro disintegration test was performed as per Indian Pharmacopoeia monograph. One dosage unit was introduced into each of the six tubes of the basket. The apparatus was operated using phosphate buffer (pH 6.8) as the immersion fluid, maintained at 37°C ± 2°C. Time for complete disintegration of each tablet was determined and standard deviation of 6 tablets was calculated.

2.2.7. In-vitro dissolution studies

Release measurements were performed using USP dissolution apparatus 2 paddle method, at 100 rpm using a continuous automated monitoring system. In each flask a 500 mL 0.1N HCl with pH 1.2 was filled. The temperature was maintained at 37±0.5°C. At predetermined times intervals (5, 10, 15, 20 and 30 min) absorbances were recorded automatically at 230 nm and the percentage of drug released was determined as a function of time. Test was done on BZ HCl containing tablets in thrice.[10,11]

Table 1: Composition of various Buclizine HCl oral disintegrating tablet formulations.

Formula	Ingredients (% W/W)						
	BZ	**MCC**	**Manitol**	**CCS**	**SSG**	**CPV**	**Mg-Stearate**
F1	12.5	43.25	43.25	-	-	-	1
F2	12.5	42.25	42.25	2.0	-	-	1
F3	12.5	40.75	40.75	5.0	-	-	1
F4	12.5	38.25	38.25	10.0	-	-	1
F5	12.5	42.25	42.25	-	2.0	-	1
F6	12.5	40.75	40.75	-	5.0	-	1
F7	12.5	38.25	38.25	-	10.0	-	1
F8	12.5	42.25	42.25	-	-	2.0	1
F9	12.5	40.75	40.75	-	-	5.0	1
F10	12.5	38.25	38.25	-	-	10.0	1

Tablet weight: 100 mg; BZ: Buclizine, MCC: Microcrystalline cellulose (Avicel PH 101), CCS: Crosscarmelose sodium, SSG: Sodium starch glycolate, CPV: Crosspovidone

Physicochemical evaluation of formulated tablets

The mouth disintegrating tablets of BZ HCl were successfully prepared by direct compression method. The formulated MDTs were evaluated for their weight uniformity, thickness, hardness, friability, BZ HCl content as well as disintegration time, and the obtained data are summarized in table 2. The weight of the tablets in all formulations was found to be in the range of 0.099 g – 0.102 g and the average tablet thickness was found to be 3.5±0.1 mm. Moreover, the tablets exhibited acceptable friability that is less than 1% in all ODTs formulations.

Tablet disintegration

The effect of different disintegrants on the disintegration time of MDTs containing BZ HCl is displayed in table 2. It is clearly evident from the data that the used superdisintegrants cause a pronounced decrease in the disintegration time of the prepared MDTs, especially CCS and CPV. Tablets formulated without superdisintegrants exhibited disintegration time of about 36 seconds.

Table 2: Evaluation of Buclizine HCL Mouth dissolving tablet.

Sr. No.	Batch	Weight Variation(mg)	Thickness (mm)	Hardness (Kg/cm^2)	Friability (%)	Disintegration time (sec)	% Drug Content
1	F1	100±0.40	3.26±0.1	3.8±0.01	0.75±0.05	36±0.03	97.25±0.45
2	F2	100±0.13	2.99±0.2	3.9±0.02	0.74±0.06	35±0.04	98.56±0.47
3	F3	100±0.18	3.45±0.1	4.0±0.03	0.84±0.07	36±0.16	99.80±0.49
4	F4	100±0.20	3.20±0.3	4.0±0.04	0.85±0.09	38±0.20	97.56±0.50
5	F5	100±1.10	3.25±0.2	4.0±0.05	0.70±0.10	33±0.22	98.99±0.40
6	F6	100±0.9	3.36±0.3	3.9±0.03	0.75±0.01	36±0.10	99.10±0.10
7	F7	100±0.4	3.78±0.3	4.0±0.03	0.74±0.08	34±0.11	99.05±0.10
8	F8	100±0.5	3.52±0.5	4.1±0.01	0.79±0.09	37±0.12	97.09±0.11
9	F9	100±0.6	3.45±0.10	4.5±0.2	0.80±0.10	36±0.13	96.99±0.12
10	F10	100±0.4	3.48±0.12	4.4±0.3	0.81±0.12	35±0.14	98.26±0.10

Results and Discussion

Ten formulations of buclizine HCL were prepared with varying concentration of super disintegrants viz. crosscamellose sodium, sodium starch glycolate and crospovidone. For each formulation blending of drug and excipients were prepared and evaluated for various parameters. The powder blend was compressed by direct compression technique. The drug content was found in acceptable limit and the hardness of the tablet between 3.8 to 4.5 kg/cm^2 as mentioned in table 2. The disintegration time in F3 batch was found good correlation. *In vitro* disintegration time is less than 38 sec. Shorter *in vitro* dissolution indicated a faster and maximum of 99.80% drug release in formulation F3 confirm the best disintegrant property of crosscarmellose sodium.

Conclusion

From all the above observations it was concluded that the formulation F3 containing CCS was found to be better formulation in terms of rapid disintegration and maximum percentage drug release when compared with all other formulation. The prepared tablets disintegrate within few second, thus enhance bioavailability. Mouth dissolving tablet may lead to improve efficacy, bioavailability, rapid onset of action and better patient compliance due to its quick absorption from mouth to GIT as the saliva passes.

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