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Design and evaluation of fast dissolving core tablets for press coating

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ABSTRACT

The demand for Fast dissolving tablets has been growing during the last decade especially for elderly and children who have swallowing difficulties. Model drug is commonly used as non steroidal anti-inflammatory. Aceclofenac is used for the treatment of various types of Diseases Related to Arthritis. Formulation and evaluation of mouth dissolving tablet of Aceclofenac by using direct compression method. Formulation was carried out using different three types of super disintegrants (sodium starch glycolate, Crospovidone, Croscarmellose sodium) separately and in combination. The use of combination of superdisintergrants shows better result than the use of single superdisintergrants. In all formulations use of superdisintergrants was in same concentration i.e. (8mg) but the batch A1 was without superdisintergrants. The compatibility of the drug with the excipients was confirmed through FTIR studies. The effects of same concentrations of superdisintergrants on FDT of Aceclofenac were studies. It was found that Aceclofenac tablet passes not only preformulation parameter but also Weight variation, hardness, friability, wetting time, water absorption ratio, disintegration time as well as in vitro dissolution. Among all of the batches the batch A6 shows better results than other batches.

Keywords: Fast dissolving tablet, Aceclofenac, Crospovidone, Core Tablets, Press Coating

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INTRODUCTION

The most popular solid dosage forms are being tablets and capsules. However one important drawback of these dosage forms for some patients is the difficulty to swallow. This difficulty in swallowing or dysphasia is currently affecting 35% of general population. Drinking water plays an important role in the swallowing of oral dosage forms. Often times people experience in convenience in swallowing conventional dosage forms such as when water is not available. For these reasons tablets that can easily dissolve or disintegrate in the oral cavity have attracted a great deal of attention.

Fast dissolving tablet are the fast growing and highly accepted drug delivery system in now a day mainly to improve patient compliance. Fast dissolving tablet have number of advantages over conventional dosage forms, because of that Fast dissolving tablet have emerged as an alternative to conventional dosage forms. Oral route of drug administration have wide acceptance of up to 50-60% of total dosage forms. Solid dosage forms are popular because of ease of administration, accurate dosage, self-medication, pain avoidance and most importantly the patient compliance. **[1]**

The concept of fast dissolving Drug Delivery System emerged from the desire to provide patient with more conventional means of taking their medication. It is difficult for many patients to swallow tablets and hard gelatin capsules. Hence they do not comply with prescription, which results in high incidence of non-compliance and ineffective therapy. **[2]**

In some cases such as motion sickness, sudden episodes of allergic attacks or coughing and unavailability of water, swallowing conventional tablets may be difficult. Particularly the difficulty is experienced by paediatric and geriatric patients. Such problems can be resolved by means of Fast Dissolving Tablet. **[3]**

MATERIALS AND METHODS

Aceclofenac is procured from Concept pharmaceutical Ltd, Aurangabad, India. Crospovidone, Croscarmellose and sodium starch glycolate were procured from Signet Chemical Corporation, Mumbai. Aspartame, Microcrystalline cellulose and Magnesium stearate were procured from Cipla pharmaceutical. Ltd. Goa, India All reagents and chemicals used were of analytical grade.

Preparation of Aceclofenac tablets

Fast dissolving core tablets containing 100 mg of Aceclofenac were prepared by direct compression method and the various formulae used in the study are shown in Table. All the ingredients without magnesium stearate were mixed uniformly followed by addition of magnesium stearate. The prepared powder blend was evaluated for various parameters like bulk density, tapped density, angle of repose, compressibility index and Hausner's ratio. After evaluation of powder blend the tablets were compressed with a nine station rotary punch- tableting machine (Rimek Mini Press-II) using 7 mm flat punches. [Table No. 01]

I) Pre-compression parameters: [Table No. 02]

a) Bulk density: - Apparent bulk density was determined by pouring pre- sieved drug excipients blend into a graduated cylinder and measuring the volume and weight "as it is". It is expressed in g/ml and is given by

$$\mathbf{Db = M / V0}$$

Where, M is the mass of powder and V0 is the Bulk volume of the powder.

b) Tapped density: - It was determined by placing a graduated cylinder, containing a known mass of drug-excipient blend, on mechanical tapping apparatus. The tapped volume was measured by tapping the powder to constant volume.

It is expressed in g/ml and is given by

$$\mathbf{Dt = M / Vt}$$

Where, M is the mass of powder and Vt is the tapped volume of the powder

c) Hausner's ratio: - It is expressed in percentage and is expressed by

$$\mathbf{H= Dt / Db}$$

Where,

Dt is the tapped density of the powder and Db is the bulk density of the powder.

d) Compressibility index:-

The compressibility index of the granules was determined by Carr's compressibility index. **[4]**

(%) Carr's Index can be calculated by using the following formula

$$\text{Carr's Index (\%)} = \frac{TD - BD}{TD} \times 100$$

e) Angle of repose (θ):

Angle of repose is defined as the maximum angle possible between the surface of a pile of the powder and horizontal plane. The frictional force in a loose powder or granules can be measured by angle of repose. **[5]**

$$\tan \theta = h / r$$

$$\theta = \tan^{-1} (h/r)$$

II) Post-compression parameters:

a) Hardness test:

It is expressed in Kg/cm^2. Three tablets were randomly picked from each formulation and the mean and standard deviation values were calculated. Hardness was determined by Pfizer tester.

b) Friability test:
It is expressed in percentage (%). six tablets were initially weighed ($W_{initial}$) and transferred into friabilator. The friabilator was operated at 25 rpm for 4 minutes or run up to 100 revolutions. The tablets were weighed again (W_{final}). The percentage friability was then calculated by,

$$F = \frac{W_{initial} - W_{final}}{W_{initial}} x100$$

% Friability of tablets less than 1% is considered acceptable

c) Weight variation test:
Twenty tablets from each formulation were selected at a random and average weight was determined. Then individual tablets were weighed and was compared with average weight.

d) Uniformity of thickness:
Uniformity of thickness was determined by vernier caliper by taking 3 tablets from each formulation.

e) Drug content uniformity:
Five tablets weighed and crushed in a mortar then weighed powder equivalent to 100 mg of drug was transferred in 100 ml of volumetric flask and add 10 ml of methanol in to it. Then volume make up with phosphate buffer pH 6.8 made suitable concentration and measure Absorbance at 274 nm by using UV visible spectrophotometer.

f) Wetting time:
A piece of paper folded twice was kept in a Petri dish (internal diameter 5.5 cm) containing 6 ml of phosphate buffer pH 6.8. A tablet having a small amount of Rosaline dye powder on the upper surface was placed on the tissue paper. The time required to develop a red colour on the upper surface of the tablet was recorded as the wetting time. **[6]**

g) Water absorption ratio:
A piece of tissue paper folded twice was placed in a small petri dish containing phosphate buffer pH 6.8. A tablet was put on the paper and time required for complete wetting was measured. The wetted tablet was then weighed. Water absorption ratio, R was determined using following equation.

$$R = 100 (W_a – W_b)/ W_b$$

Where, W_b – weight of tablet before absorption
W_a – weight of tablet after absorption

Three tablets from each formulation were performed and standard deviation was also determined.

h) *In vitro* disintegration time:
The process of breakdown of a tablet into smaller particles is called as disintegration. The in-vitro disintegration time of a tablet was determined using disintegration test apparatus as per I.P. specifications: Place one tablet in each of the 6 tubes of the basket. Add a disc to each tube and run the apparatus using distilled water maintained at 37° ± 2°C as the immersion liquid. The assembly should be raised and lowered between 30 cycles per minute in the pH 6.8 maintained at 37° ± 2°C. The time in seconds taken for complete disintegration of the tablet with no palpable mass remaining in the apparatus was measured and recorded. **[7]**

i) *In vitro* dissolution studies:
The release of from FDT was determined using USP dissolution testing apparatus (paddle)The dissolution test was performed using 900 ml of phosphate buffer , pH 6.8 at 37 ± 0.5°C and 50 rpm. A sample (5 ml) of the solution was withdrawn from the dissolution apparatus at different time intervals and the samples were replaced with fresh dissolution medium the samples were filtered through a 0.45µ membrane filter and diluted to suitable concentration with phosphate buffer, pH 6.8. Absorbance of these solutions was measured at 274 nm using Shimadzu-1800 UV/Vis double beam spectrophotometer. Cumulative percentage drug release was calculated using an equation obtained from a standard curve. **[8]**

[Table No: 04 and Figure No: 01]

RESULTS AND DISCUSSION

Attempt was made in the present investigation to make a fast dissolving tablet of Aceclofenac by direct compression method. Formulation was carried out using different three types of superdisintergrants (sodium starch glycolate, Crospovidone, Croscarmellose sodium) separately and in combination.

In all of formulations use of superdisintergrants was in same concentration i.e. (8mg) but the batch A1 having without superdisintergrants. The Crospovidone, sodium starch glycolate Croscarmellose sodium (8mg) are used in A2, A3, A4 Formula respectively and combination of Crospovidone and Sodium starch glycolate , Crospovidone and Croscarmellose sodium and Sodium starch glycolate and Croscarmellose sodium are used in A5, A6 and A7 formula respectively in (4mg) of each superdisintergrants. And optimized the concentration and hardness of the tablet to give the minimum disintegration time and get maximum drug release. Since the flow properties of the powder mixture are important for the uniformity of the mass of the tablets, the flow of the powder mixture was analyzed before compression of the tablets. The results of loose bulk density and tapped bulk density ranged from (0.35±0.01 gm/cm3 to 0.39±0.04 gm/cm3) and (0.46±0.01 gm/cm3 to 0.56±0.03 gm/cm3), respectively Hausner's ratio for the blend was performed. The Hausner's ratio for the entire formulation blend varied from 1.082±0.01 gm/cm^3 to 1.110±0.03 gm/cm$^{3.}$

The results of angle of repose and compressibility index (%) ranged from (26.23±0.04º to 28.43±0.01º) and (15.22±0.04 to 21.27±0.02), respectively. The results of physical properties of different batches of Aceclofenac fast dissolving tablets are given in Table. Tablet mean thickness was almost uniform in all the formulations. The thickness varies between 3.69±0.01 mm to 3.80±0.03 mm. The prepared tablets in all the formulations possessed good mechanical strength with sufficient hardness in the range of 3.2±0.01 kg/cm2 to 3.7±0.04 kg/cm2. Friability values below 1% were an indication of good mechanical resistance of the tablets. All the tablets from each formulation passed weight variation test, as the % weight variation was within the Pharmacopoeial limits of ±7.5% of the weight. The weight variation in all the formulations was found to be 198.85±0.10mg to 199.10±0.17 mg. The percentage drug content of all the tablets was found to be between 98.75±0.19 to 99.88±0.23 percent of Aceclofenac which was within the acceptable limits. The wetting time for all the formulations was performed in triplicate The time for all formulations varied between 17±1.23 to 36±1.65 sec and The Water absorption ratio was found of all the formulation in the range 100% (±1.10) to 147.5 (±1.65). The standard deviation values indicated that all the formulations were within the range. The results of wetting time for tablets were shown in Table.

Table No. 01: Table Shows Formulation of Fast Dissolving Tablet

Ingredients (mg/tab)	Formulation code (QTY: mg/tab)						
	A1	A2	A3	A4	A5	A6	A7
Aceclofenac	100	100	100	100	100	100	100
MCC	87.5	79.5	79.5	79.5	79.5	79.5	79.5
Crospovidone	-	8	-		4	4	-
SSG	-	-	8	-	4	-	4
Ac-di-sol	-	-	-	8	-	4	4
Magnesium stearate	2.5	2.5	2.5	2.5	2.5	2.5	2.5
Aspartame	10	10	10	10	10	10	10
Total Tablet Weight	200	200	200	200	200	200	200

Table No. 02: Characterization of Blend of all formulation

Formulation	Bulk density (gm/cm3)	Tapped density (gm/cm3)	Compressibility Index (CI) (%)	Hausner's ratio (HR)	Angle of repose (θ)
A1	0.36±0.03	0.53±0.04	21.27± 0.02	1.110±0.01	28.43±0.03
A2	0.37± 0.02	0.49± 0.02	18.75± 0.01	1.094± 0.04	27.12± 0.02
A3	0.39±0.04	0.50± 0.01	21.10± 0.04	1.105± 0.02	28.98± 0.04
A4	0.38± 0.03	0.48± 0.03	20.33± 0.03	1.090± 0.03	27.67± 0.02
A5	0.35± 0.02	0.46± 0.02	15.80± 0.02	1.084± 0.02	26.63± 0.02
A6	0.39±0.01	0.48± 0.01	15.22± 0.01	1.082± 0.03	26.23± 0.03
A7	0.38± 0.02	0.49± 0.04	16.90± 0.04	1.090± 0.04	26.82± 0.02

The *in vitro* dissolution profile indicated faster and maximum drug release from formulation A-6. Formulation A-6 which showed promising results, In the formulation using superdisintergrants in combination with the concentration of 4 % and hardness range of 3.2 kg/cm2, disintegration time and drug release found to be 12±0.80 seconds and 100.5±0.01 % respectively within 35 minutes. Percentage friability and % drug content were found 0.33±0.02% and 99.88±0.19 %, respectively and were within the acceptable limit. In the present study, the effects of same concentrations of superdisintergrants on FDT of Aceclofenac were studies. It was found that Aceclofenac tablets passes for hardness, friability, wetting time, DT, and *in vitro* dissolution profile. It was observed that when

Crospovidone used at 4 % concentration in combination with Croscarmellose sodium used at 4 % concentration (formulation A-6) shows 100.5% drug release was maximum in 35 min.

Table No: 03 Characterizations of Tablets

Formula	Average weight of Tablet(mg)	Thickness (mm)	Average hardness (mg)	Content uniformity (%)	% friability	Wetting time (sec)	Water absorption (%)	Disintegration (sec)
A1	199.80±0.13	3.79±0.02	5.8± 0.05	98.75±0.22	0.41±0.02	540±1.95	53± 1.89	660± 1.95
A2	199.50±0.43	3.69± 0.03	3.3±0.03	99.16±0.58	0.58±0.04	23± 1.28	125± 1.35	21± 0.98
A3	198.85±0.17	3.70± 0.01	3.7± 0.04	99.00±0.67	0.75±0.03	36± 1.65	100± 1.65	37± 1.23
A4	199.70±0.23	3.69±0.04	3.4±0.03	99.37±0.56	0.66±0.02	25± 1.87	120± 1.23	23± 1.10
A5	199.80±0.20	3.78±0.03	3.5± 0.02	99.16±0.53	0.41±0.01	19±1.43	140± 1.34	15± 0.97
A6	199.90±0.10	3.80±0.01	3.2±0.01	99.88±0.19	0.33±0.02	17± 1.23	147.5±1.10	12± 0.80
A7	199.60±0.28	3.75± 0.02	3.6± 0.04	98.75±0.23	0.50±0.03	20± 1.58	135± 1.73	19± 0.93
	SD ± n=20	SD± n=3	SD ± n=3	SD ± n=5	SD ± n=6	SD ± n=3	SD ± n=3	SD ± n=3

Table No: 04 In-Vitro Dissolution Profile of All Batches

	Time in Minutes									
Batch	**5 min**	**10 min**	**15 min**	**20 min**	**25 min**	**30 min**	**35min**	**40 min**	**45 min**	**50 min**
A1	1.8± 0.01	3.61±0.03	6.33± 0.02	8.16± 0.04	10.91±0.02	12.77±0.04	15.54±0.02	17.42±0.03	17.31±0.02	22.09±0.04l
A2	47.70±0.03	56.9± 0.02	65.38±0.01	74.74±0.01	80.55±0.03	85.49±0.01	90.45±0.03	93.69±0.01	97.48±0.04	100.3±0.02
A3	36.9±0.02	45.20±0.01	52.65±0.02	63.74±0.02	68.59±0.01	73.47±0.03	76.57±0.01	80.58±0.02	86.21±0.01	92.73±0.01
A4	45.00±0.02	54.25±0.02	61.75±0.03	71.99±0.03	77.78±0.04	82.71±0.04	85.86±0.02	90.82±0.03	95.56±0.02	98.48±0.02
A5	52.2±0.02	61.49±0.02	69.93±0.04	79.31±0.01	85.15±0.03	90.11±0.03	94.20±0.04	100.23±0.02	-	-
A6	54.9± 0.01	63.30±0.01	71.75±0.03	80.25±0.02	85.19±0.03	92.85±0.04	100.1±0.01	-	-	-
A7	50.4±0.03	59.68±0.03	68.11±0.04	77.48±0.02	83.31±0.01	88.26±0.02	92.34±0.04	96.44±0.02	100.2±0.03	-

Comparative Release Profile of All Batches in Combination:-

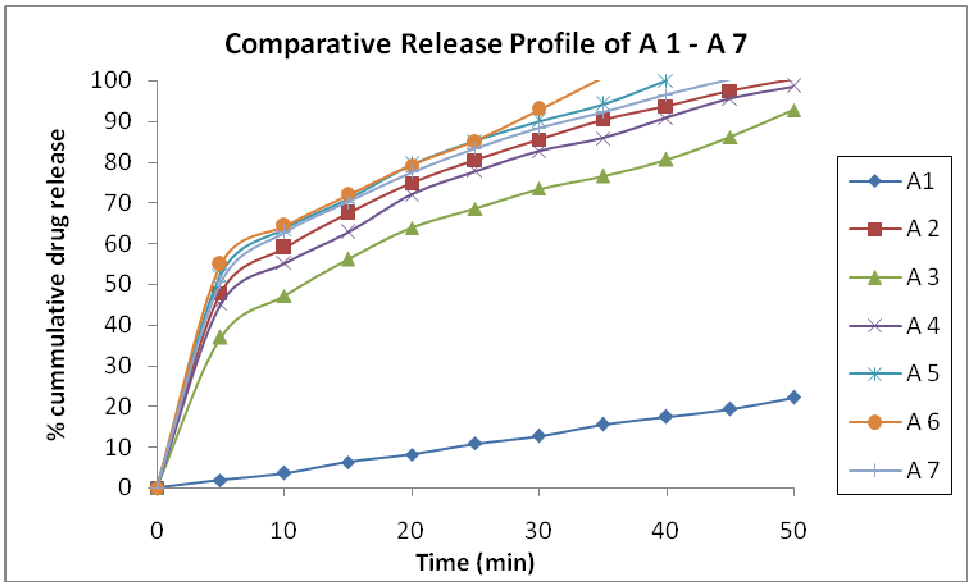

Figure No: 01 Comparative Release Profile of A1-A7

CONCLUSION

In present study it was concluded that fast dissolving core tablets of Aceclofenac can be successfully prepared by direct compression method, using three superdisintergrants (sodium starch glycolate, Crospovidone, Croscarmellose sodium) separately and in combination. The relative efficiency of these Superdisintergrants to improve disintegration rate of fast dissolving tablet was observed when Crospovidone with Croscarmellose sodium used at 4 % concentration each shows 100.5% drug release in 35 min.

Comparative disintegration time of A2-A7 Batches in Combination

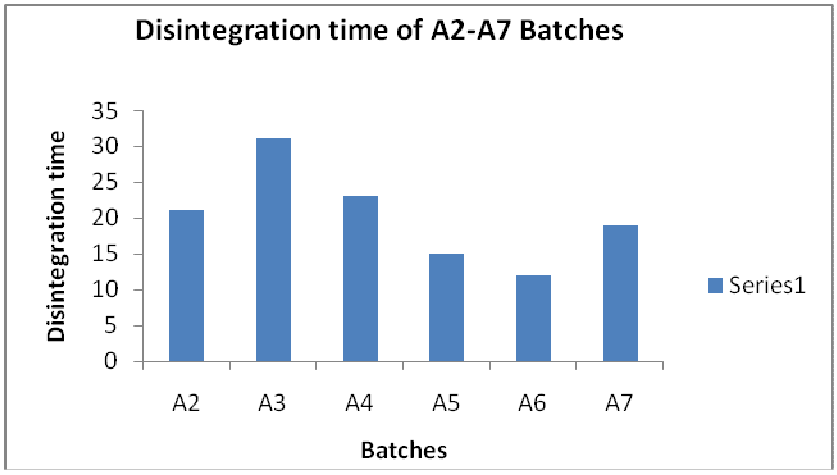

Figure No: 02 Comparison of A2-A7 Batches having superdisintergrants.

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