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DEVELOPMENT & COMPARISON OF PERCENT DRUG RELEASE FROM ASCORBIC ACID IMMEDIATE RELEASE TABLET USING VARIOUS POLYMER COATING IN DISSOLUTION MEDIA

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ABSTRACT

An aqueous granulation process was adopted to formulate ascorbic acid tablets. Wet granulations methods have been utilized for the formulations of ascorbic acid tablet. Ascorbic acid, MCC, stearic acid, talc was used for preparation. And coating ingredients HPMC, ethyl cellulose, acetate phthalate. Preformulations studies of ascorbic acid and drug excipient compatibility study was carried to optimize the formulations variables. Immediate release [IR layer] has been developed and evaluated for the various parameters. Thickness, hardness, friability, weight variation, in-vitro drug dissolution studies. The therapeutic efficacy of drug depends mainly types of behaviour of release of drug from dosage form the developed ascorbic acid tablets were taken on a model dosage form various coating are prepared to be applied on the dosage form and thus monitoring. The drug release in a controlled manner. The prepare of the study is to emerge the release behaviour in a controlled way and to compare various polymer and their grader on drug release pattern.

Key words: Ascorbic Acid, Formulation, Polymer, Dissolution, HPMC, Polymer Coating

INTRODUCTION

Tablet is a unit solid dosage form containing active ingredient with or without suitable excipient. These are most widely used dosage form (Lachman *et al.,* 1990). The main objective of the design and manufacture of the compressed tablet is to deliver orally correct amount of drug in the proper form over proper time and at desired location, so as to have suitable chemical integrity protected at the point of its action. The physical design, manufacturing process, and complete

chemical makeup of the tablet can have a profound effect on the efficiency of the drug being administered (Reddy *et al.,* 2014).

Poorly water soluble drugs are associated with slow drug absorption leading eventually to inadequate and variable bioavailability (Chhaprel *et al.,* 2012) and nearly 40% of the new chemical entities currently being discovered are poorly water-soluble drugs (Abu and Serajuddin, 1999). Tablets are available in different shapes, forms, or sizes depending on the dose. The size and shape of the tablets are typically decided by the company's marketing group (Rahse and Hoffmann, 2003). Tablets are most stable pharmaceutical dosage form. They are easy to carry forthe patients and bulk the transport can be done safely. An accurate drug dosage of the medicament can be administered easily. Polymers are the giant molecules of chemistry. Chemists also call them macro-molecules. The small building-block molecules are called monomers. Synthetic polymers are a mainstay of modern life, but nature also makes polymers; they are found in all living matter.

Early enzymatic release prevents. The tablet coating are taste masking, odor masking, physical and chemical protection, protects the drug in thestomach and to controls its release profile. Coating may be applied to a wide range of oral solid dosage form, such as particles, powders,granules, crystals, pellets and tablets (Wan *et al.,* 2015).

The Oral route of administration still continues to be the most preferred route due to its manifold advantages including ease of administration, accurate dosage, self-medication, versatility and most importantly patient compliance (Aagaard and Rossi, 2007). Therefore, oral solid dosage forms are more popular.

MATERIALS AND METHODS

Formulation of tablets

The formula for ascorbic acid Tablets were prepared by the process of wet compression. A powder blend of the drug and wet compression excipient without the lubricant (Stearic acid) and glidant (Talc) was uniformly mixed for 5mins using a mortar and pestle (Reddy *et al.,* 2011). The predetermined quantities of the lubricant and glidant were then added and mixing continued for another 5mins. A single punch tableting machine fitted with 12mm normal concave faced punches was used for the tableting at varying compression loads. The tablets were stored over silica gel for 24 hr to allow for elastic recovery and hardening, and prevent false low yield values. The target tablet weight was 500mg.

Table 1: Formula for ascorbic acid tablets

S. No	Ingredient	F1	F2	F3	F4	F5
1	Ascorbic acid	200	200	200	200	200
2	MCS/MCC	293	290	295	296	294
3	Stearic acid	4.5	7.5	2.5	3.5	3.5
4	Talc	2.5	2.5	2.5	2.5	2.5
	Total weight	500	500	500	500	500

All units are in mg.

Pre- Compression Evaluation

Angle of repose: Angle of Repose is defined as the maximum angle possible between the surface of a pile of the powder and the horizontal plane. The angle of repose is determined by funnel method (Pinate *et al.,* 2012). The funnel is fixed at a particular height (2.5 cm) on a burette stand. The powder sample was passed through the funnel allowing it to form a pile. No more granules are added as the pile touches the tip of the funnel. This region is encircled to measure radius. The same procedure is done for triplicate, the average value is taken. The angle of repose is calculated by using equation.

$$\text{Tan } \theta = h/r$$

Where,

h = height of pile

r = radius of the base of the pile

θ = angle of repose

Bulk density determination: Weighed quantity of the powder (W) is taken in a graduated measuring cylinder and volume (V_o) is measured. Bulk density is calculated using the formula:

Bulk density (BD) = Weight of the powder/ Volume of powder.

Tapped density determination

Weighed quantity of powder (W) is taken in a graduated cylinder and the volume is measured. The graduated cylinder was fixed in the 'Tapped Densitometer' and tapped for 500, 750 and 1250 times until the difference in the volume after consecutive tappings was less than 2%. The final reading was denoted by (Vf). The volume of blend was used to calculate the tapped density, Hausner's ratio and Carr's Index. Tapped density (TD) = W/ Vf g/ml

Hausner ratio

Hausner ratio indicates the flow properties of the powder and measured by the ratio of tapped density to bulk density (Patel *et al.,* 2011).

Compressibility Index (% Compressibility)

Compressibility indicates the flow property and packing ability of the tablet. It is determined by measuring both the bulk and tapped density of a powder. When the % compressibility ranges from 5 to 16, the materials have acceptable flow property and packing ability. Compressibility Index was calculated using following equation:

CI (%) = [(Dt -Db)/Dt] x100

Where, Dt = tapped density,

Db = bulk density

Preparation of tablet by wet granulation method

Compressed tablet each containing 500 mg of ascorbic acid were prepared by wet compression method F3 employing as wet compressible vehicle. All the materials require as per the formulae were blended in a closed polyethylene beg. The blends were compressed into tablets on a punching machine m/s cadmach machinery co.pvt. ltd to a hardness of 6kg/cm^2 using mm round and flat punches in each case 100 tablet were compressed.

Evaluation of tablets

Thickness test

Six tablets from each batch were selected and measured for thickness and diameter using digital Vernier calipers. The extent to which the thickness of each tablet deviated from ± 5% of the standard value was determined.

Hardness test

The Monsanto hardness tester was used to determine the tablet hardness. The tablet was held between a fixed and moving jaw. Scale was adjusted to zero; load was gradually increased until the tablet fractured. The value of the load at that point gives a measure of hardness of the tablet. Hardness was expressed in Kg/cm^2 (Vaishnani *et al.,* 2011).

Friability test

20 tablets from each batch were selected randomly and weighed. These tablets were subjected to friability testing using Roche friabilator for 100 revolutions. Tablets were removed, de-dusted and weighed again. Following formula was used to calculate the % friability (Chowdary *et al.,* 2011).

% Friability= Initial wt- Final wt / Initial wt x100.

Weight variation test

Twenty (20) tablets from each batch were individually weighed. The average weight and standard deviation were calculated, individual weight of each tablet was also calculated using the same and compared with average weight Weight Variation limits as per USP and the values were showed in the table no. 4 (Rai *et al.,* 2009).

Preparation of coating solution

1. HPMC: All ingredient were accurately weighed as per formula and dispensed. Feeric oxide red and ferric oxide yellow were dissolved in HPMC and titanium dioxide were dissolved in water. Both the solution were mixed togather and stirred for 45 min to get a homogeneous solution.

2. Ethyl cellulose: All ingredients were accurately weighed as per formula and dispensed. Feeric oxide red and ferric oxide yellow were dissolved in ethyl cellulose and titanium dioxide weredissolved in water. Both the solution were mixed togather and stirred for 45 min to get a homogeneous solution.

3. Cellulose acetate phthalate: All ingredients were accurately weighed as per formula and dispensed. Acetate ester of cellulose and tertiary organic base such as pyridine were dissolved in sulfuric acid. Both the solution were mixed togather and stirred for 45 min to get a homogeneous solution.

Table 2: Coating ingredient batch code

S. No.	Batch Code
1	P1F3
2	P2F3
3	P3F3

***In vitro* drug dissolution studies:**

Dissolution studies were conducted using a USP II paddle method (75 rpm, 37 °C, and 900 ml dissolution medium) with a immediate release Tablet dissolution tester (Electrolab) (Govedarica *et al.,* 2011). Ascorbic acid tablet (500mg) was exposed for 1 hr in 0.1N HCl (pH 1.2). Samples were withdrawn from the dissolution medium at predetermined intervals (10, 15, 20, 25, 45 and 60 min) and then drug

concentration was determined by UV (Shimadzu) at 295.1 nm. An equivalent amount of fresh medium was added to maintain a constant dissolution volume.

RESULTS AND DISCUSSION

The flow properties of the granulation and the subsequent evaluation of tablets provide critical insights into the manufacturability and potential performance of the formulations. In terms of bulk density, an increase from F1 to F5 suggests improved packing efficiency, while consistent tapped density values indicate good flow properties. The compressibility index and Hausner's ratio reveal fair to good flow properties in all formulations, with some potential flow issues in F4 and F5.

The angle of repose values within the range of 31° to 32° signifies good to excellent flow properties. Moving to tablet evaluations, variations in thickness, hardness, friability, and weight variation are observed among formulations, influencing mechanical strength and uniformity. The in-vitro drug dissolution profiles in 0.1 N HCl underscore the sustained-release characteristics of P1F3, P2F3, and P3F3 compared to the uncoated formulation. Notably, the polymer concentration in P3F3 correlates with a slower drug release, emphasizing the influence of polymer content on release rates. This comprehensive assessment aids in understanding the formulations' behavior, guiding potential adjustments for further optimization.

Table 3: Flow Properties of granulation

S. No	Flow Properties	F1	F2	F3	F4	F5
1	Bulk density	0.260±0.05	0.281±0.04	0.299±0.04	0.291±0.01	0.311±0.02
2	Tapped density	0.510±0.03	0.504±0.01	0.507±0.01	0.499±0.04	0.501±0.07
3	Compressibility index	11.15±0.01	13.11±0.03	13.15±0.07	12.26±0.06	12.96±0.07
4	Hausner's ratio	1.14±0.02	1.10±0.05	1.13±0.08	2.1±0.06	2.2±0.03
5	Angle of repose	31º50	32º55	31º55	31º52	31º56

Table 4: Evaluation of Tablets

S. No	Batch code	Thickness	Hardness	Friability	Weight variation
1	F1	3.13±0.06	7.1±0.24	0.101±0.16	494±0.12
2	F2	2.69±0.02	6.9±0.21	0.201±0.13	498±0.14
3	F3	3.65±0.06	7.9±0.24	0.107±0.16	499±0.12
4	F4	3.19±0.01	5.7±0.29	0.203±0.16	495±0.32
5	F5	2.78±0.07	6.8±0.87	0.202±0.12	496±0.28

Table 4: *In-vitro* drug dissolution profile (0.1 N HCl)

Time (Min.)	P1F3	P2F3	P3F3	Uncoated
10	39.8	40.1	2.2	91.9
15	53.0	49.5	3.7	97.6
20	67.3	65.3	6.1	98.8
25	72.4	70.6	10	96.9
45	81.6	79.9	11	98.4
60	84.7	79.9	12	97.8

CONCLUSION

In the present work efforts have been made to develop compare percent drug release from Ascorbic acid Immediate Release (IR) tablets using various polymer coating in different dissolution media by wet granulation technique. Dissolution profiles of F3 formulation are P1 P2 and P3 showed polymer coating drug dissolution also immediate release in dissolution. Formulation F3 was prepared with different disintegrants with different concentration. Trial batch P1F3, P2F3 and P3F3 showed good dissolution i.e. 84.7% in 0.1 N HCl. These results indicated that the selected formulation is stable. Also the aged samples showed no change in the physical appearance, hardness or drug content. As compare to uncoated tablet and polymer coated tablet like HPMC and Ethyl cellulose result gives appropriate drug dissolution in given time and Cellulose acetate phthalate is a enteric coated polymer so that this not dissolve in HCl dissolution media.

DECLARATION OF INTEREST

The authors declare no conflicts of interests. The authors alone are responsible for the content and writing of this article.

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