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Immediate Release Tablets: A Review

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ABSTRACT:
Tablet is the greater among the all of the dosage forms survive today because of it gives satisfaction of self administration, compactness and easy manufacturing; although in more cases immediate onset of action is mandtory than conventional therapy. In immediate release tablet formulation of the tablet is the use of superdisintegrants such as croscarmellose, sodium starch glycolate, and crospovidone, etc. These superdisintegrants gives instantaneous disintegration of the tablet after administration in the stomach. There are novel types of dosage forms that act very rapidly after the administration.. Immediate release liquid dosage forms and parenteral dosage form have also been used for treatment. These progress of immediate release system also brings an opportunity for increase in the marketplace, A different type of drugs (e.g., neuroleptics, cardiovascular drugs, analgesics, antihistamines, and drugs can be considered contender for this immediate release dosage form. These review provides a significant detail about these immediate release tablet and its mechanism of action and Preparation technique, excipients and evaluation of these dosage form.

KEY WORDS : Immediate release drug delivery system, Onset of action and Superdisintegrants.

I. INTRODUCTION:

Immediate release drug delivery system:

Immediate release drug delivery system is also conventional type of drug delivery system because it is outlined as immediate release tablets are prepared to disintegrate and release their medicaments with no special rate controlling features such as special coatings and alternative techniques[1,2].

The Oral route is one of the most sought after route for the systemic impact due to its ease of ingestion, simple, safest, convenient, non-invasive, versatility and most significantly, patient compliance. Solid oral delivery systems are low cost manufactured because they don't need sterile conditions [3] . Although, increased focus and interest within the space of controlled release and targeted drug delivery system in recent years, solid dosage forms that are intended to be swallowed whole, disintegrate, and release their medicaments quick and furiously within the gastrointestinal tract [4] .

An ideal dosage regimen of drug therapy is the one, which immediately nab the desired therapeutic concentration of drug in plasma (or at the site of action) and maintains it constantly for the entire duration treatment [5] . Of late, the scientists have focused their attention on the formulation immediately released tablet. The effort of developing a rapidly disintegrating tablet is accomplished by using suitable diluents and super disintegrants [6].

Definition: Immediate Release Tablets:

Immediate release tablets are invented to disintegrate and release their dose type with no special rate controlling options, such as special coatings and diffrent techniques. Immediate release tablets are those which disintegrate swiftly and get dissolved to release the medicaments [7] .

The oral bioavailability of drug dependent on disintegration, dissolution and numerous physiological factors [8] . An immediate release dosage form helps a manufacturer to diversify market and at the same time giving patients a convenient dosage type or dosage regimen [9].

DESIRED CRITERIA FOR IMMEDIATE RELEASE DRUG DELIVERY SYSTEM [10,11]

Immediate release dosage form should In the case of solid dosage it should disintegrate or dissolve in the stomach within a very less period.

1. In case of liquid dosage form the test masking is required
2. It should not leave less or no residue in the mouth after the oral administration.

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3. Exhibit less sensivity to atmospheric condition as humidity and temperature.
4. Be manufactured using conventional processing and packaging equipment at low cost.
5. fast dissolution and absorption of drug, which may undergoes rapid onset of action.

Advantages of Immediate Release Drug Delivery System [12,13]

1.immidiate release drug delivery system improved stability and bioavailability.
2. it Improves patient compliance/added convenience
3. it gives rapid onset of action.
4. Suitable for activities like controlled/sustained release
5. it allows the high drug loading.
6. These drug delivery system which are suitable for industrial production.

EXCIPIENTS USED IN IMMEDIATE RELEASE DRUG DELIVERY SYSTEM[14-18]

Excipients equals the properties of the actives in immediate release dosage forms. This demands a thorough understanding of the chemistry of these excipients to prevent interaction with the actives. The role of these excipients is important in the formulation of fast-melting tablets. These inactive food-grade ingredients, when incorporated in the formulation, impart the required organoleptic properties and product efficacy .

SUPER DISINTEGRANTS [16,17]

A disintegrant is an excipient, which is added to a tablet blend to aid in the break-sup of the compacted mass when it is put into a fluid environment.

ADVANTAGES

1. These are more effective in lower concentrations
2. these excipients having less effect on compressibility and flow ability
3. disintegrants are more effective intragranularly.

Mostly common Super disintegrates used are Sodium Starch Glycolate (Explotab, primogel) used in concentration of 2-8 % and optimum is 4%.

Mechanism of Action

Fast and extensive swelling with minimal gelling. Microcrystalline cellulose (Synonym: Avicel, celex) used in concentration of 2-15% of the desired tablet weight. Water wicking. Cross-linked Povidone (crospovidone) (Kollidone) used in concentration of 2-5% of desired weight of tablet. Completely insoluble in water.

Mechanism of Action:

Water wicking, swelling and possibly some deformation recovery. Rapidly disperses and swells in water, but does not gel even after prolonged exposure. Greatest rate of swelling compared to other disintegrants. Greater surface area to volume ratio than other disintegrants.

Low-substituted hydroxyl propyl cellulose Which is insoluble in water. Rapidly swells in water. Grades LH-11 and LH-21 exhibit the greatest degree of swelling. Certain grades can also provide some binding properties while retaining disintegration capacity. Recommended concentration 1- 5 %.

Conventional Technique Used in the Preparation of Immediate Release Tablets

1. **Tablet molding technique**
2. **Direct compression technique**
3. **Wet granulation technique**
4. **Mass extrusion technique Tablet Molding [13]**

In this technology, water-soluble ingredients are used so that tablet disintegrate and dissolve quickly. The powder blend is moistened with a hydro alcoholic solvent and is molded in to tablet using compression pressure less than used in conventional tablets compression. The solvent is then removed by air-drying. Molded tablets have a porous structure that enhances dissolution.

Direct Compression[21,14-16]

The term direct compression is employed to outlined the metod by that tablets are compressed directly from powder blends of the active ingredient and appropriate excipients which is able to flow uniformly into a die cavity and kind into a firm compact.

Granulation

Granulation is defined as a size enlargement method that converts small particles into physically stronger & larger agglomerates. The target of granulation is to enhance powder flow and handling, decrease dustiness, and prevent segregation of the constituents of the product. Granulation methodology can be broadly classified into two types

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1. **Wet granulation**
2. **Dry granulation**

Wet granulation[11,13]

Wet granulation is a commonly used unit operation within the pharmaceutical company. Wet granulation is usually alloted out utilizing a high-shear mixer. The high-shear granulation method is a quick process which is susceptible for over-wetting. Thus, the liquid quqntity added is essential and the optimal quantity is affected by the properties of the raw materials. Power consumption of the impeller motor and also the impeller torque have been applied to watch the rheological properties of the wet mass throughout agglomeration and, thereby, have been used to verify the end-point of water addition.

Dry Granulation[13,19,20]

Dry granulation processes produce granules by light compaction of the powder blend beneath low pressures. The compacts so-formed are broken up gently to produce granules (agglomerates). This method is usually used when the product to be granulated is sensitive to moisture and heat. Dry granulation can be conducted on a tablet pressuring slugging tooling or on a roll press known as a roller compactor. Dry granulation instrumentation offers a wide range of pressures to attain correct densification and granule formation. Dry granulation needs medication or excipients with cohesive properties, and a 'dry binder' might have to be added to the formulation to facilitate the formation of granules. At last powdered lubricants are added.

EVALUATION PARAMETERS OF IMMEDIATE RELEASE TABLETS

Pre compression parameters Angle of repose[22]

The angle of repose of granules blend was determined by the fixed funnel technique. The accurately weighed amount of granules was taken in a funnel. The height[25] of funnel was adjusted in such some way that the tip of the funnel simply touched the apex of the heap of the granules. The granules are allowed to flow through the funnel freely onto the surface. The diameter of powder cone was measured and angle of repose was calculated using the following equations

$\tan\Theta = h/r$. (1)

$\Theta = \tan^{-1}(h/r)$ (2)

Where Θ is the angle of repose, h is the height of cone in cm and
r is the radius of the cone base in cm.

Bulk density [23]

Bulk density was determined by pouring the granules into a graduated cylinder in bulk density apparatus . The bulk volume (Vb) and mass (m) of the granules was determined. The bulk density was calculated by using the following formula.

$eb = m/Vb$ (3)

Tapped density

The measuring cylinder containing known mass of granules blend was tapped 1000 times for a fixed time in bulk density apparatus .The minimum volume occupied in the cylinder(Vt) and mass of the granules(m) was measured. The tapped density was measured by using the following formula.

$et = m/Vt$ (4)

Compressibility index (Carr's index) [24]

The compressibility index determines the flow property characterstics of granules developed by Carr. The percentage compressibility of granules is a direct measure of the potential powder arch and stability. The Carr's index can be calculated by the following formula.

$\%\text{Carr's index} = et - eb / et \times 100$. (5)

Where et is the tapped density of granules and eb is bulk density of granules Hausner's ratio Hausner's ratio is used for the determination of flow properties of granules. The ratio can be calculated by the taking the ratio of tapped density to the ratio of bulk density.

Post compression parameters Thickness [25]

The thickness of individual tablets are measured by using vernier caliper. Generally the unit for thickness measurement is mm. The limit of the thickness deviation of each tablet is 5%.

Hardness[26]

Hardness of a tablet is associated with the resistance of the solid specimen towards fracturing and attrition. The hardness of tablets can be determined by using Monsanto hardness tester and measured in terms of kg/cm2 .

Friability[27]

Friability of the tablet determined using Roche friabilator. This device subjects the tablet to the combined effect of abrasion and shock in a plastic chamber revolving at 25 rpm and dropping a tablet at the height of 6 inches in each revolution. Pre weighted sample of tablets was placed in the

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friabilator and were subjected to the 100 revolutions. Tablets were dusted
using soft muslin cloth and reweighed.

The %friability (% F) is given by the formula
% Friability = (Initial weight – Final weight) / Initial weight× 100
Where, the weight of the tablets before (initially weight) and after (final weight) the test respectively.

Weight Variation[33]
The weight variation test was done by weighing 20 tablets individually (Shimadzu digital balance), calculating the average weight and comparing the individual tablet weights to the average. The percentage weight deviation was calculated and then compared with USP specifications.

Disintegration test[28]
The disintegration time was measured using disintegration test apparatus. One tablet was placed in each tube of the basket. The basket with the bottom surface made of a stainless-steel screen (mesh no.10) was immersed in water bah a 37±2°C. The time required for complete disintegration of the tablet in each tube was determined using a stop watch. To be complied with the pharmacological standards, dispersible tablets must disintegrate within 3min when examine by the disintegration test for tablets.

In vitro dissolution studies [29]
In vitro dissolution studies for all the fabricated tablets was carried out using USP paddle method at 100 rpm in 900 ml of HCl buffer pH 1.2 as dissolution media, maintained at 37±0.5°C. 5 ml aliquot was withdrawn at the specified time intervals, filtered through whattman filter paper and then equal volume of fresh medium, which was pre-warmed at 37°C was replaced into the dissolution media after each sampling to maintain the constant volume throughout the test. The samples are then analyzed spectrophotometrically at 210 nm and the absorbance can be known.

Stability studies[30]
The formulation was subjected to accelerated stability studies as per ICH (The International Conference of Harmonization) guidelines. The packed tablets14 in air tight container were placed in stability chambers (Thermo lab scientific equipment Pvt.Ltd. Mumbai, India) maintained at 40±2ºC/75±5% RH for 3 months. Tablets were periodically removed and evaluated for physical characteristics, drug content, in- vitro drug release etc.. At intervals of one week, the tablets were visually examined for any physical changes, and changes in drug content.

Wetting time [31]
The wetting time of the tablets can be measured using a simple procedure. Five circular tissue papers of 10 cm diameter are placed in a petridish with a 10 cm diameter. Ten millimeters of water- containing Eosin, a water soluble dye, is added to petridish. A tablet is carefully placed on the surface of the tissue paper. The time required for water to reach upper surface of the tablet is noted as a wetting time.

In vitro dispersion time[32]
Tablet was added to 10 ml of phosphate buffe r solution (pH 6.8) at 37 ± 0.5°C. Time required for complete dispersion of a tablet was measured.

II. CONCLUSION

Most of the patients needs a rapid therapeutic action of drug , these dosage form which gives a rapid on set of action. These immediate release tablet having good patient compliance, and having much more advantages over another dosage form.

In these review work was done with an aim to design an immediate release oral dosage forms and evaluation of the tablets, excipients used for immediate release tablets, mechanism of action and also various parameters including in vitro drug dissolution studies. The powdered blend were compressed into tablets and were analyzed for the parameters such as average weight, disintegration time, friability, thickness, weight variation, hardness.

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