



A REVIEW ON IMMEDIATE RELEASE DRUG DELIVERY SYSTEM

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ABSTRACT

Key Words

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About one-third of the patients require rapid drug therapy leading to poor patient compliance with conventional drug therapy application, which may result in reduced overall therapy efficacy such as systems to improve safety, efficacy and patient compliance, thus increasing the life cycle of the product patent. There are different types of dosage forms that are very effective rapidly after its administration. The technique used in development of tablet dosage form by using the super disintegrants like cross carmellose, Primogel, Explotab, Sodium starch glycolate, Cross linked carboxymethyl cellulose, Polyvinyl etc. after oral administration of tablet the tablet dosage form is rapid disintegrate. Liquid dosage form used as a suspension in presence of characteristics dispersion agents such dioctyl sodium sulfosuccinate, hydroxypropyl methylcellulose etc. A broad series of drugs (e.g. cardiovascular drugs, neuroleptic, antihistamines, analgesics, also drugs may be considered as a candidates for the design of dosage form. In the present review, we engage in discussion about formulation, development and evaluation of the dosage form for immediate release. An immediate release drug delivery system allows a manufacturer of dosage form to expand market exclusivity. They are in addition for growing markets, extending and generating product life cycles also generating huge opportunities.

INTRODUCTION

The main importance of development and design of dosage form is convenience of various routes of administration and patient compliance. Tablet is convenient dosage forms due to convenience of self-administration, compactness, easy manufacturing and cost. Patient compliance and convenience of self-administration oriented research has led to results a lot of safe and new drug delivery systems with high dose precision. Immediate releases of oral solid dosage forms are

Classified as per dissolution rate either quickly or slowly dissolution. The dosage form in which ~85% of adequate drug quantity dissolves within 30 minutes, are referred as an immediate release drug delivery system^[1]. Simple disintegration or erosion, which is usually achieved in less than an hour, is the only drug release barrier for immediate release tablets. To improve the solubility, dissolution and therefore the bioavailability of any drug from tablets released immediately and disintegrate. Cross

carmellose sodium, SSG, Crospovidone are available commercially in form of superdisintegrants^[2]. Many patients require rapid start of action in particular therapeutic condition and consequently immediate release of medicament is required. This problem is estimated to affect 50 percentage of the entire population, resulting in a high incidence of ineffective therapy^[3, 4, 5].

ADVANTAGES:

1. Improved compliance/added convenience
2. Improved stability
3. Allows high amount of drug filling.
4. Capability to provide benefits of liquid drug the form of solid preparation.
5. Compatible with existing machinery for processing and packaging.
6. Cost- efficient.
7. Immediate release of drug delivery systems in both the initial and final phases of the disease^[6].

DISADVANTAGE

1. Because of their amorphous nature or low density, some drugs resist compression.
2. A drug with a short half-life requires frequent dosing.
3. Drugs with bitter taste, undesirable odor or oxygen-sensitive drugs may require tablet encapsulation or coating.
4. May be caused GI irritation by locally high concentrations medicaments^[7].

SALIENT FEATURES OF IMMEDIATE RELEASE DRUG DELIVERY SYSTEM

1. Drug should have long biological half-life for delivery of immediately released drugs.
2. The drug is released in one shot rapidly and completely.
3. Expected high bioavailability with immediate release dosage form.
4. It is possible to intervene quickly in drug therapy^[8].

DESIRED CRITERIA OF IMMEDIATE RELEASE DRUG DELIVERY SYSTEM:

1. It should be suitable with taste masking in the case of liquid dosage form.
2. Be compact without delicate concern.
3. Have a gratifying mouth feel. Be manufactured at low cost using conventional processing and packaging equipments^[9].

DIFFICULTIES WITH EXISTING ORAL DOSAGE FORM

1. It is therefore difficult to swallow tablets, powders and liquids to patients suffering from tremors
2. Patients with dysphasia and obedience to an esophagus can cause ulceration of the gastrointestinal tract.
3. Liquid drugs (suspension and emulsion) are packed in multidose containers, making it difficult to achieve uniformity in the content of each dose^[10].

EXCIPIENTS USED IN IMMEDIATE RELEASE TABLETS

In the definition of fast dissolving tablets, the part of excipients is imperative. When added to formulation, these inactive food grade ingredients convey the desired organoleptic properties and effectiveness of the product.

Bulking agents: Bulking agents are used in the rapidly disintegrating tablet preparation. The material contributes filler, cost reducer and elements of diluents. Bulking agents enhance textural characteristics, which in turn enhance Oral cavity disintegration, other than; addition of bulk decreases active concentration in the composition.

Lubricants: Lubricants, however not key Excipients, can assist help with make the tablets more acceptable after disintegrate in the mouth. A lubricant eradicates gritty and helps the mechanism of drug transport from the mouth to the throat and from the throat to the stomach. E.g. magnesium stearate, stearic acid.

Superdisintegrants: An excipients of a disintegrants that is included in a capsule or tablet mixture to help break the compressed mass when placed in a fluid environment such as cross-carmellose sodium, sodium starch glycolate, ludiflash.

Advantages:

1. Effective at lower levels
2. Less impact on the ability to compress and flow
3. More intragranularly effective

Some super disintegrants are:

- a) **Sodium Starch Glycolate (Primogel, Explotab):** used at 2-8 percent concentration & 4 percent optimum.
- b) **Cross-linked Povidone:** Used at 2-5 percent tablet weight concentration, totally insoluble in water.
- c) **Low-substituted hydroxyl propyl cellulose:** This is water insoluble. Swells rapidly in the water. Grades LH-21 and LH-11 show the excessive swelling degree. Also many grades can provide certain.
- d) **Croscarmellose sodium: (i.e. Ac-Di-sol) Cross-linked carboxy methyl cellulose sodium**^[11].

TECHNOLOGY FOR IMMEDIATE RELEASE TABLETS

Conventional Techniques:

1. Tablet molding technique
2. Direct compression technique
3. Granulation technique
4. Mass extrusion technique

A number of Technologies are available to produce immediate release type of dosage form such as tablet Molding, lyophilization or freezing drying, direct compression, spray drying and sublimation are the most common preparation methods.

Tablet molding technique

In tablet molding technique, water-soluble ingredients are used to quickly dissolve and disintegrate tablets. A hydro-alcoholic solvent is used to mix powder and molded into a tablet using lower compression pressure than conventional compression tablets. Then air-drying removes the solvent.

Direct Compression Method

In this Direct Compression Method, tablets are compressed directly from powder mixture. For the powder mixture, no special treatment is required. The most advanced technology is direct compression among all tablet formulation techniques.

Granulation technique: Immediate release tablet dosage form is prepared by the technique of granulation. Usually there are two ways used in this technique, one is wet granulation, the other is dry granulation. One of the most popular methods of preparing a tablet is wet granulation.

Mass-Extrusion (Mass-Extrusion)

Softening of active mixture made with methanol and Water-soluble polyethylene glycol solvent mixture in mass extrusion technique and subsequent banishing softened mass by the extruder or syringe into even segments to obtain a product Cylinders to form tablets using heated blade^[12].

IMMEDIATE RELEASE TABLETS

DOSAGE FORM EVALUATION:

Evaluation of Blend: The prepared mixture is evaluated by following tests.

- A. Angle of repose
- B. Tapped density
- C. Bulk density
- D. Carr's index
- E. Hausner's ratio

A. **Angle of repose:** Using the funnel method, the angle of rest was determined. The mixture that was precisely weighed was taken into a funnel. The funnel height has been adjusted in this manner that the funnel tip simply touches the top of the mixture pile. The drug excipients mixture was permitted to flow liberally to the surface through the funnel. The powder cone diameter was measured and the resting angle was approximately

$$\tan\theta = \frac{h}{r}$$

Here;
h = pile height
r = pile radius
 θ = Angle of repose

B. **Tapped density:** Tapped density is Mass, Ratio of tablet mixture with the tapped volume of tablet mixture. An accurately weighed density amount of tablet mixture poured in graduated cylinder and height is measured. Then the cylinder was permitted to tap 100 on a tap surface below its possession of weight. Just before no change in height was noted, the tapping was continued.

$$\text{Tapped density} = \frac{\text{weight of powder}}{\text{tapped volume}}$$

C. **Bulk density:** Bulk density was determined by pouring a weighted amount of tablet mixture in a graduate cylinder and height measurement. The bulk density is the mass or ratio of tablet mixture to bulk volume.

$$\text{Bulk density} = \frac{\text{weight of powder}}{\text{Bulk volume}}$$

D. **Carr's index:** Carr's compressibility index is the capability of powder blend to decrease in amount under pressure by using tapped density and bulk density the percentage Carr's compressibility index of powder were determined, which is known as Carr's compressibility index

$$\text{Carr's index} = \frac{(\text{tapped density} - \text{bulk density})}{\text{tapped density}} \times 100$$

E. **Hausner's ratio:** Hausner's ratio indicates the powder's flow properties and tapped density ratio to bulk density is measured. The ratio of Hausner's it was determined by the following formula^[13, 14, 15, 16]

$$\text{Hausner's ratio} = \frac{\text{tapped density of powder}}{\text{bulk density of powder}}$$

EVALUATION OF TABLETS: To design tablet dosage form, factors like product quality, quantitative evaluation, chemical, Biological and physical properties needs to be evaluated by using following parameters.

Weight variation: The tablet's drug content was represented as mean \pm SD. The friability of the tablet weight variation was measured on the basis of methods and criteria of the USP. Twenty tablets have been taken and their weight has been determined individually and collectively on a digital weighing balance. From the collective weight, the average tablet weight was determined. Tablet weight was represented as mean \pm SD.

Hardness: Hardness (diametric crushing force) is a force needed to break through the diameter of a tablet. A tablet's hardness is a sign of its strength. During handling and transport, the tablet should be stable to mechanical stress.

Tablet Thickness: Tablet thickness was important to tablet size uniformity. Vernier calipers were to determine tablet thickness and diameter. To determine the thickness and diameter of the tablet expressed in Mean \pm SD and its unit is mm, 10 randomly selected tablets were used.

Friability: In the friability the tablet weight is loss in the container as fine particles are removed from the transportation or handling surface. It was used by Roche friabilator to find out tablet friability.

In vitro disintegration test: The various prepared core tablet formulations are subjected to disintegration studies using 900 ml of water (as a disintegrating medium) using the wet granulation method and the time taken to disintegrate is noted. USP device for disintegration testing includes six glass tubes that were 77.5 \pm 2.5 mm long, the top opened, and held at the base of the basket rack is mounted on 10 screens. Each tube contains one tablet and the basket rack is positioned at 37.2 °C in 1 liter distilled water in beaker, so the tablets stay below the liquid surface on to the upward movement and fall from the beaker's bottom not less than 2.5 cm.

In vitro dissolution: Use of Type II USP (paddle type) apparatus, triplicate dissolution in vitro testing was performed. The paddle was rotated at a temperature of 37.0 °C at 50 rpm for 1 hour, Use as dissolution medium 900 ml of distilled water. Sampling was done at regular intervals and was replaced by water after each sampling interval. Then the samples are analyzed at 315 nm spectrophotometrically.

Water absorption ratio and wetting time: A piece of tissue paper folded twice was placed in a small petridish (i.e. = 6.5 cm) containing 6 ml distilled water, a tablet was placed on the paper and the time required for full wetting was measured. Then weighed the wetted tablet. Three trials were conducted per lot and standard deviation was also determined. Using equation, water absorption ratio, R, was determined.

$$R = \frac{W_a}{W_b} \times 100$$

Table 1: List of marketed product of immediate release tablets

Sr. No.	Brand name	Active ingredient	Application	Company Name
1	Voltaren 50/75 mg	Diclofenac potassium	Used to treat pain or inflammation	Novartis Pharmaceuticals
2	Cataflam 50 mg	Diclofenac potassium	Used to treat pain or inflammation	Novartis Pharmaceuticals
3	Diltiazem 120mg	Diltiazem	Treating high blood pressure and chronic stable angina (chest pain)	Piramal enterprises ltd.
4	Nucynta 58.24/87.36 mg	Tapentadol	Used to treat moderate to severe chronic pain	Janssen Pharmaceuticals
5	Isoptin 40/80/120mg	Verapamil HCl	Treating high blood pressure and angina (chest pain)	Abbott
6	Calan 80mg	Verapamil HCl	High blood pressure and chronic stable angina (chest pain)	Pfizer

Where,

W_b = Tablet weight before absorption of water

W_a = Tablet weight after water absorption.

Content Uniformity: The process weight was then added to the volumetric flask of 10 ml with 6ml diluted ethanol (50 percent) precisely fine powder of one tablet. The prepared the solution was 30 minutes sonicated and then the volume with 50 percent diluted ethanol was up to 10ml, then the prepared solution was filtered and injected into the chromatic system, and the response to the peak was measured^[17, 18, 19, 20].

Stability studies: The purpose of stability testing is to provide evidence of how the quality of a drug substance or drug product varies over time under the influence of a variety of environmental factors such as temperature, humidity and light, enabling recommended storage conditions, re-testing periods and shelf life. The International Conference on Harmonization Guidelines (ICH) entitled "Stability. The stability testing requirements for drug registration applications in the European union, Japan and the united states of America (US).

CONCLUSION

Within this market segment, new enhanced oral products have a clear chance. Approximately one-third of patients need rapid drug therapy, resulting in Poor adherence to conventional drug therapy, resulting in reduced overall therapy efficacy.

A new dosage format, the pharmaceutical form of immediate release, has been developed that offers the combined benefits of dosing ease and convenience. The purpose of these tablets is to release the drugs at an increased rate. Formulators have put considerable effort into developing a new type of tablet dosage form for oral administration to meet these medical needs shown in table 1 that disintegrates and dissolves quickly with increased dissolution. Extending the exclusivity of the market that can be made available by a form of immediate release dosage conduces higher revenue, while targeting underserved and undertreated patient populations as well.

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