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FAST RELEASING TABLETS- A NOVEL APPROACH

Pramod Kewat*, Dr. Yogita Tyagi

Gyani Inder Singh Institute of Professional Studies, Dehradun, Uttrakhand

ABSTRACT

Drug delivery technology has become highly competitive and rapidly evolving with ever-increasing demand, but conventional pharmaceutical dosage forms are still dominating. Fast-release dosage forms are those wherein ≥85% of the stated amount of drug dissolves within 30min. The fast-releasing dosage form is one such type of innovative and novel drug delivery system which gaining much attention in the research field. Tablet is the most popular dosage form existing today because of its convenience of self-administration, compactness, and ease to manufacture, sometime immediate onset of action is required than conventional therapy. Fast-release dosage forms disintegrate rapidly after administration with an enhanced rate of dissolution and absorption. The basic approach used in the formulation of tablets is the use of super disintegrants like Polacrilin potassium (Kyron T-314), Cross povidone, Sodium starch glycolate, Croscarmellose sodium, Low-substituted hydroxypropyl cellulose (HPC LS-11), etc. These super disintegrants provide instantaneous disintegration of the tablet after reaches the stomach. The rapid disintegration due to the rapid uptake of water from the medium, swelling, burst effect and thereby promoting bioavailability. The aim of this review article provides different techniques used for preparing fast-release tablets, silent features, various technologies, mechanisms, and the significance of super disintegration in the fast-release of the tablet along with the challenges faced and the limitations.

Keywords: Fast release Tablet, Polymers, Disintegration, Granulation.

INTRODUCTION

Conventional dosage forms are the pioneer of drug administration systems.[1] The tablet dosage form is the most commonly prescribed because of its wide advantages in terms of ease of ingestion and handling, pain avoidance, low cost, and simplicity in development.[2] The oral route remains the perfect route for the administration of therapeutic agents because the low cost of therapy, manufacturing, and ease of administration

lead to high levels of patient compliance. Many patients need quick onset of action in particular therapeutic conditions and consequently, a fast-release system is required.[3] Although,- increased focus and interest generated in the area of controlled release and targeted drug delivery systems in recent years, tablet dosage forms that are intended to be swallowed completely, disintegrate, and release their drug fast and furiously in the gastrointestinal tract.[4]

The effort of developing a rapidly disintegrating tablet is accomplished by using suitable diluents and super disintegrants.[5] Fast-releasing tablets are novel drug delivery system that dissolves, disintegrates, or disperse the API in the stomach in less time. The faster the drug dissolution into the solution, the quicker the absorption and onset of action. Natural and synthetic super disintegrants like mucilage, croscarmellose, sodium starch glycolate, crospovidone, polacrilin potassium, low-substituted hydroxypropyl cellulose, etc. provide fast disintegration of tablets and facilitate the design of the delivery system with desirable characteristics.[6]

Pharmacokinetic:

It is the study of absorption, metabolism, and excretion. After absorption, the drug attains a therapeutic level and therefore elicits pharmacological effect, so both rate and extent of absorption are important. Drug distribution depends on many factors like tissue permeability, perfusion rate, binding of the drug to tissue, disease state, drug interaction, etc. Duration and intensity of action depend upon the rate of drug removal from the body or site of action i.e. biotransformation.[7]

Pharmacodynamics:

Decreased sensitivity of the body to respond to reflexive stimuli, and cardiac output. Immunity is less and taken into consideration,- while administering antibiotics. Concomitant illnesses are often present in the elderly, which are also taken into consideration when multiple drug therapy is prescribed.[8]

MERITS OF FAST-RELEASING TABLETS:[9]

1. Quick onset of action due to rapid disintegration followed by dissolution.
2. Improve bioavailability and lower the adverse effects.
3. Disintegrate in a short time
4. Provides accurate dosage compared to liquids.
5. Solubility, stability, and bioavailability so improved compliance
6. Allows high drug loading, cost-effective
7. Improved solubility of the pharmaceutical composition.

DEMERITS OF FAST-RELEASING TABLETS:[10]

1. Drug release at a time may produce high plasma concentration, which may produce toxicity.

Desired Criteria for Fast Release Drug Delivery System:[11]

- ❖ In the case of solid dosage form, it should dissolve or disintegrate in the stomach within a short period.
- ❖ In the case of liquid dosage form, it should be compatible with taste masking.
- ❖ Be portable without fragility concerns.
- ❖ Exhibit low sensitivity to the environmental condition as humidity and temperature.
- ❖ Rapid dissolution and absorption of the drug, which may produce rapid onset of action.

TECHNIQUES USED FOR PREPARATION OF FAST-RELEASE TABLET:

1. Direct Compression

In the direct compression method, tablet formulations are directly compressed from a powder blend of suitable excipients and API without any preliminary treatment.[12] It represents the most cost-effective and simplest tablet manufacturing technique because of the accessibility of improved excipients especially super disintegrants and other sugar-based excipients, this technique can be utilized for the preparation of fast-release tablets.[13]

a) Superdisintegrants :

These are substances or a mixture of substances incorporated into the drug formulations, which assist the dispersion or breakup of tablets and contents of the capsule into smaller fragments for rapid dissolution.[14] These are the mainly affecting disintegration and ultimately dissolution of the fast-releasing tablet, mainly for the direct compression method. The presence of other ingredients such as water-soluble excipients and effervescent agents further hastens the disintegration process.[15]

b) Sugar-Based Excipients:

These excipients especially bulking agents like mannitol, dextrose, isomalt, fructose, maltitol, maltose, sorbitol, and xylitol, which display high aqueous solubility and dissolution rates.[16]

Type 1 Saccharides (mannitol and lactose) exhibit low moldability but a high dissolution rate.
Type 2 Saccharides (maltitol and maltose) exhibit high moldability but a low dissolution rate.[17]

2. Granulation Technique

It is a process of size enlargement, in which small particles convert into larger agglomerates and make them physically stronger. It is beneficial to avoid segregation of the product's constituent, refine powder flow and handling and minimize dustiness.[18]

This method can be classified into two types:-

(A) Wet Granulation

Wet granulation is a process of using a liquid binder to light agglomerate the powder mixture. The amount of liquid has to be properly controlled, as over-wetting will cause the granules to be too hard and under-wetting will cause them to be too soft and friable. Usually, the fast-release formulation is granulating with addition into fine particle accumulation in an aqueous solution of a binding polymer. [19]

Advantages of the wet granulation method

- ✓ Improve flow ability and compressibility,
- ✓ Avoid electrostatic properties of the powder,
- ✓ Improve homogeneity,
- ✓ Improve bioavailability,
- ✓ Fast method to prepare controlled release granules.

(B) Dry Granulation:

In the dry granulation process, the powder mixture is compressed without the use of heat and solvent. The two basic procedures are to form a compact of materials by compression and then to mill the compact to obtain granules.[20]

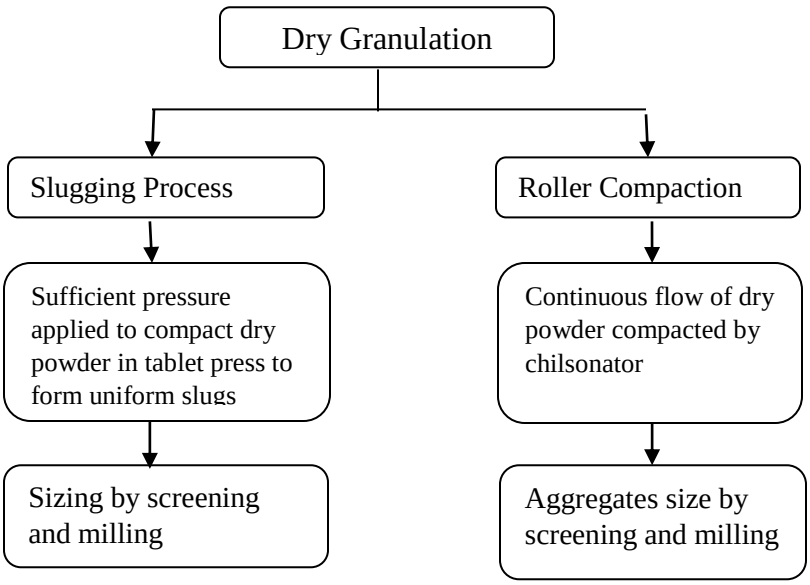

Figure 1: Process of Dry Granulation

The Rational for using Superdisintegrant:

Several patients require immediate onset of action in particular therapeutic conditions, and consequently, immediate release of medicament is required. It is anticipated that 50% of the population is affected by this problem, which results in an elevated incidence of ineffective therapy.[21]

The objectives behind the addition of disintegrants are to enlarge the surface area of the tablet fragments and to conquer cohesive forces that keep particles together in a tablet. When super disintegrants contact with water they expand, swell, hydrate, dissolve, change volume or produce a disruptive transform in the tablet and rupture apart in the digestive, releasing the active ingredients for absorption.[22] Superdisintegrants are generally used at low levels in solid dosage forms, typically 1-10% of mass relative to the total mass of the dosage unit.[23]

Superdisintegrants	**Concentration**	**Mechanism of Action**
Crospovidone	2-5%	Water wicking, swelling, and possibly some deformation recovery. Completely insoluble in water.
Croscarmellose Sodium	1-3% Direct compression and 2-4%Wet granulation	Swells 4-8 folds in <10sec. Wicking due to fibrous structure, swelling with minimal gelling.
Sodium Starch Glycolate	2-8%& optimum is 4%	Rapid & extensive swelling with minimal gelling.
Polacrilin Potassium	2-8%	Rapidly swells in water
Low-substituted Hydroxy Propyl Cellulose **(HPC LS-11 & LS-21)**	1-5%	Rapidly swells in water

Table 1: List of some disintegrants

Disadvantage of Superdisintegrants:

- It is hygroscopic in nature, thus not used with moisture-sensitive drugs.[24]

MECHANISM OF DISINTEGRATION:

Disintegrants are agents added to tablet formulations to increase the breakup of the tablet and capsule into smaller fragments in an aqueous environment thereby enhancing the accessible surface area and promoting a more rapid release of the drug substance. They trigger moisture penetration and dispersion of the tablet matrix. [25]

There are four major mechanisms for tablet disintegration as follows:

1. **Swelling**

The most commonly accepted general mechanism of action for tablet disintegration is swelling. Tablets with high porosity show weak disintegration due to a lack of adequate swelling force. Sufficient swelling force is exerted on the tablets with low porosity. If the packing fraction is very high, fluid can't penetrate the tablet and disintegration again slows down.[26] Superdisintegrants, which act by this mechanism work on the fundamental of "swell" and "burst", When the disintegrants come in contact with the water, the aqueous phase extras more adhesive force upon the disintegrants as compared to other excipients and drugs resulting in swelling and breaking apart of the tablet.[27]

2. **Porosity and Capillary action (Wicking)**

Those disintegrating agents do not get swell so they acted by the mechanism of capillary action and porosity.[28] In this mechanism, all the tablet particles surface wetted in the given aqueous media. The water penetrates the core of the tablet, reducing the inter-particle bond thus breaking the tablet. Here the porosity of the tablet is of the utmost importance as it is the fundamental requirement for easy and quick water uptake. The more porous the material the greater the rate of wetting and disintegration time is less.[29]

Chemical Reaction (Acid-Base Reaction): The tablet is quickly rupturing apart by the internal release of CO_2 in water due to the interaction between tartaric acid and citric acid with alkali metal carbonates or bicarbonates in the presence of water, due to the generation of pressure tablet disintegrates.[30]

3. **Particle-particle Repulsive Forces**

According to Guyot-Hermann's particle-particle repulsion theory, water penetrates tablets *via* hydrophilic pores and persistently starch network is fabricated that can transfer water from one particle to the next, imparting a significant hydrostatic pressure. Water is necessary for this mechanism of disintegration by repulsive electric forces between particles.[31] The tablet must contact with water thus generating repulsive force, making particles repel each other and thus the tablet disintegrates. This theory states the swelling *via* tablet made of "non-swellable" disintegrants.[32]

4. **Deformation**

The elastic nature of starch grains easily deforms under pressure and returns to their native position and shape when the pressure is removed. However, when compression forces are applied to the tableting process, these grains are get deformed permanently and are called "energy-rich" and this energy released while coming to contact with water.[33]

BULKING MATERIALS:

These are significant in the formulation of fast-release tablets. The material contributes functions of a diluent, filler, and cost reducer. Bulking agents improve the textural characteristics and reduce the concentration of the API in the composition. The recommended bulking agents for this delivery system should be more sugar-based such as mannitol, polydextrose, lactitol, and starch hydrolystate for higher aqueous solubility.[34]

EVALUATION PARAMETERS:[35][36]

It is important to evaluate the formulated drugs to determine the quality of the tablet. The following are the fundamental evaluation parameters.

Parameters	**Criteria**
Appearance	The general appearance of the tablet is its visual identity and all elegance, shape, color, and surface textures. These all parameters are essential for consumer acceptance.
Thickness	Determined by using vernier calipers in mm.
Weight variation	Weight variation tests are carried out according to either IP/BP/USP
Hardness	It is an indication of its strength. Measuring the force required to break the tablet. It measured in Kg/cm^2
Friability	It is the loss of weight of a tablet in the container due to the removal of fine particles from the surface during transportation or handling. (NMT 1.0%)
Mechanical Strength	Should possess adequate mechanical strength to absorb the transportation shock and avoid breakage of the tablet.
Water absorption ratio	A small piece of tissue paper folded twice was placed in a petri dish containing 6ml of water. A tablet was put on the paper and the wetted tablet was weighed and calculated.
Wetting time	Check the water absorption rate by using 0.2% w/v amaranth solution of 10ml.
Disintegration	The period at which the tablet starts to disintegrate in given aqueous media is determined
Dissolution	Dissolution tests carried out according to either IP/BP/USP
Drug content	Drug content tests carried out according to either IP/BP/USP
Content uniformity	Content uniformity according to either IP/BP/USP
Uniformity of	Two tablets were kept in 100ml of water and gently stirred for 2min.

dispersion	The dispersion passed through 22mesh - it should be no residue remaining on the screen.
Stability studies	Stability studies (including accelerated) are conducted according to the ICH guidelines. *Stability is defined as the ability of a particular drug or dosage form in a specific container to remain within its physical, chemical, therapeutic, and toxicological specifications. Drug decomposition occurs during storage, because of chemical alteration of the active ingredients or due to product instability, lowering the concentration of the drug in the dosage form.*

Table 2: Evaluation parameters of Fast release Tablet

CONCLUSION

Most patients need quick therapeutic action of the drug, resulting in poor compliance with conventional drug therapy effectiveness. Fast-release tablets are designed to release the medicament with an enhanced rate of dissolution and absorption. These dosage forms and their route of administration results in better efficacy, rapid onset of action, enhanced bioavailability, and improved patient compliance. To fulfill these medical needs, formulators have devoted considerable effort to developing a novel type of tablet dosage form for oral administration, one that disintegrates and dissolves rapidly with enhanced dissolution, absorption, and bioavailability.

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