Need of disintegrants and super-disintegrants in tablet formulations: a brief report

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Abstract

The disintegrants are the agents which are added to a tablet or some capsule formulations to aid moisture penetration and dispersion of the matrix of dosage form in dissolution media. An oral solid dosage form must disperse into the primary solid particles from which it was prepared. Super-disintegrants are normally used at a low concentration, 1-10% by weight relative to total weight of dosage unit. Generally used superdisintegrants are croscarmellose sodium, crospovidone, sodium starch glycolate, etc. The selection of suitable formulation excipients and manufacturing technology is necessary for obtaining the optimized design features of orally disintegrating dosage forms.

Keywords: disintegrants, superdisintegrants, SSG, fast dissolving, orally disintegrating

Introduction

Disintegrants and Superdisintegrant

The present scenario of pharmaceutical technology is changing continuously with every addition of new excipient for various solid dosage forms. Disintegrant-a major part of solid dosage forms. As solid dosage forms have the lion's share among all type of solid dosage forms, the disintegrants ultimately come in limelight.

Disintegrant is a common and most essential part of solid dosage forms such as tablet, capsules etc. It is an excipient in solid dosage form and has a major role to play. There are many substances act as disintegrant having advantages over each other [1].

With the fast-growing pharma sector, the number of such substances increasing day by day as per the need of industry. This makes the formulator to think over each and every aspect of the disintegrant for choosing it in his formula.

Disintegrants are additives which cause a compressed tablet to break when placed in an aqueous media.

Disintegrants are used to break (disintegrate) the intact tablet or capsule into smaller particulates so as to increase dissolution and increase faster action of dosage form. This alters the pharmacokinetics of dosage form [2].

Traditionally disintegrants used were of natural origin and were without any modifications. Extraction from natural origin such as plants, animals, and mineral origin were widely used as disintegrant. Chemically they are gums (guar gum, tragacanth gum, xanthangum, locust bean gum), polysaccharides, starch (maize starch, potato starch, rice starch, wheat starch), mucilage (isapghol, phenugreek), cellulose, clays.

Among all these starches remained dominant disintegrant throughout the history and doing well in present scenario too. It is obtained from various plants in different geographic regions. Generally, starch forms are maize starch, potato starch; rice starch, wheat starch etc. are commercialized and highly demanded and quite economic [2, 3].

But in current situations the formulation industry diverting towards the modified substances instead of accepting natural substances as such. e.g., modified cellulose (microcrystalline cellulose, hydroxypropylmethyl cellulose), modified starch (e.g., Explotab) etc. These modified substances are more competent than unmodified substances in all aspects such as disintegrating efficiency, concentration required, stability etc.

Despite increasing interest in controlled-release drug delivery systems, the most common tablets are those intended to be swallowed to disintegrate and release their medicaments rapidly in the mouth or in the gastrointestinal tract.

Disintegrants are essentially added to tablet granulation for causing the compressed tablet to break or disintegrate when placed in aqueous environment.

Disintegrants are the substances or mixture of substances added to the formulations that facilitate the breaking up (disintegration) of the tablet or capsule content into smaller particles and dissolves more.

Disintegrants increases the disintegration rate thus increases dissolution and help the faster drug release and onset of action.

Recently new materials termed as superdisintegrants have been developed to improve the disintegration processes. Selecting appropriate formulation excipient and manufacturing technology can obtain the design feature of fast disintegrating tablet.

As days passes, demand for faster disintegrating formulation is increased. So, pharmacist needs to investigate superdisintegrants which are effective at low concentration

and have greater disintegrating efficiency and they are more effective intragranular.

Disintegrating agents are substances routinely included in tablet formulation and in some hard-shell capsule formulation to promote moisture penetration and dispersion of matrix of dosage form in dissolution fluids. An oral solid dosage form should ideally disperse into the primary particles from which it was prepared. Although various compounds have been proposed and evaluated as disintegrants, relatively few are in common usage today. Traditionally, starch has been the disintegrant of choice in tablet formulation, and it is still widely used. However, starch is far from ideal. For instance, starch has to be present at level greater than 5% to adversely affect compactibility, especially in direct compression. Moreover, intragranular starch in wet granulation is not as effective as dry starch. In more recent years, several newer disintegrant have been developed. Often called "Super Disintegrants," these newer substances can be used at lower level than starch. Because they can be smaller parts of the overall formulation than starch, any possible adverse effect on fluidity and compactibility would be minimized. Superdisintegrants have greater efficiency at low concentration and hence, their demand is increasing day by day. These newer disintegrants may be organized into three classes based on their chemical structure [4, 5].

Superdisintegrants are generally used at a low level in the solid dosage form, typically 1-10 % by weight relative to the total weight of the dosage unit. Examples of superdisintegrants are croscarmellose, crosspovidone, sodium starch glycolate which represent example of a cross linked cellulose, cross linked polymer and a cross linked starch respectively [5].

These superdisintegrants act by swelling and due to swelling pressure exerted in the outer direction or radial direction, it causes tablet to burst or the accelerated disintegration.

Need of superdisintegrants

For the faster onset of action from the solid dosage forms (tablet, capsule) it is mandatory that it should reach to solution phase as early as possible when come in contact with gastric fluid. But this is not always possible with all kind of drugs and formulae as such. As not all drug substances are able to disintegrate faster from their respected formulations so to make them disintegrate sooner as per formulation requirement superdisintegrants are needed. Many diseases or pathological symptoms (e.g., inflammation, pain, and fever) need faster relief and thus faster drug action is required and to achieve that the disintegrants play a major role [6, 7].

Diseases like asthma, allergic conditions, bronchitis, motion sickness (kinetosis), angina pectoris, hyper tension; hypoglycemia etc requires quick drug action and can only be possible with faster acting formulations. In such solid dosage formulations disintegrants have a crucial role to play [7].

Disintegration process

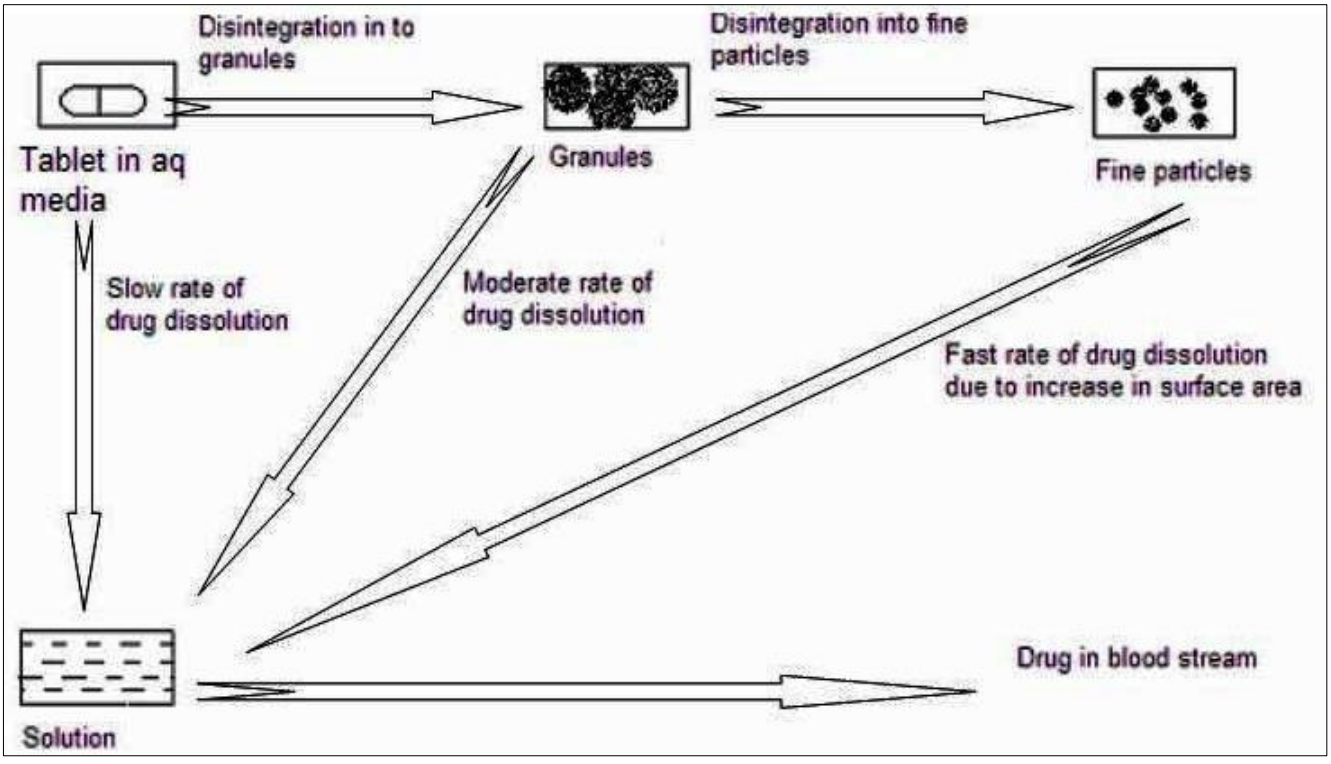

Fig 1: Schematic representation of disintegration process

Fast disintegrating tablet

Recently pharmaceutical preparations used for elderly patients have been investigated to improve the treatment compliances of patients.

Recent advances in novel drug delivery system aims to enhance safety and efficacy of drug molecule by formulating a convenient dosage form for administration and to achieve better patient compliance. One such approach is "Fast disintegrating Tablet".

The concept of fast disintegrating drug delivery system emerged from the desire to provide patient with conventional mean of taking their medication. Difficulty in swallowing

(Dysphagia) is a common problem of all age groups, especially elderly and pediatrics, because of physiological changes associated with these groups of patients [8].

Other categories that experience problems using conventional oral dosage forms includes the mentally ill, uncooperative and nauseated patients, those with conditions of motion sickness, sudden episodes of allergic attack or coughing. Sometimes it may be difficult to swallow conventional products due to unavailability of water. These problems led to the development of novel type of solid oral dosage form called "Fast disintegrating Tablets". This tablet disintegrates instantaneously when placed on tongue, releasing the drug that dissolves or disperses in the saliva [8-10].

On placing fast disintegrating tablet in the mouth, saliva serves to rapidly disintegrate the dosage form. The saliva containing the dissolved or dispersed medicament is then swallowed and the drug is absorbed in the normal way. Some drugs are absorbed from the mouth, pharynx and esophagus as the saliva passes down into the stomach and it may produce rapid onset of action. In such cases bioavailability of drug is significantly greater than those observed from conventional tablet dosage form. The dispersible tablets allows dissolution or dispersion in water prior to administration but the fast disintegrating tablet instead of dissolving or disintegrating in water is expected to dissolve or disintegrate in oral cavity without drinking water. The disintegrated mass then slides down smoothly along the esophagus along with saliva [9, 11].

The growing importance of fast disintegrating tablet was underlined recently when European Pharmacopoeia adopted the term "Orodispersible Tablet" as a tablet that to be placed in the mouth where it disperses rapidly before swallowing. The main criteria for mouth disintegrating (dissolving) tablet are to disintegrate rapidly in oral cavity with saliva in 15 to 60 seconds, without need of water and with pleasant mouth feel. Fast disintegrating tablets are also known as fast dissolving tablet; melt in mouth tablet, rapiment, porous tablet, orodispersible tablet, rapidly disintegrating tablet.

Advantages of fast disintegrating tablets

1. Rapid onset of action and may improve bioavailability
2. Patients having difficulty in swallowing tablet can easily administered.
3. Useful for pediatric, geriatric and psychiatric patients
4. Suitable during traveling or the situations where water may not be available
5. Improved patient compliance
6. Easy self-administration

To ensure the tablet's fast dissolving attribute, water must quickly egress into the tablet matrix to cause rapid disintegration and instantaneous dissolution of the tablet. Maximizing the porous structure of the tablet matrix and incorporating an appropriate disintegrating agent or highly water-soluble excipients in the tablet formulation are the basic approaches used in current fast dissolving tablet technologies. Basically, the disintegrant's major function is to oppose the efficacy of the tablet binder and the physical forces that act under compression to form the tablet [12]. The mechanism by which tablet is broken down into smaller particles and then produces a homogeneous suspension or solution is based on:

- Capillary action
- High swellability of disintegrants
- Capillary action and high swellability
- Chemical reaction (Release of Gases)

Technique for preparing fast disintegrating tablets [13]

- Direct compression
- Freeze drying
- Tablet moulding
- Sublimation technology
- Spray drying
- Sugar based excipient
- Acid-base effervescent technique

Methods of taste masking

1) Taste masking with sweeteners, flavors.
2) Taste masking by inclusion complexation.
3) Taste masking by ion-exchange resins.

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