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Review Article

Superdisintegrants: Brief Review

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Abstract

Oral disintegrating tablets are a new trend in novel drug delivery systems that have seen a surge in popularity in recent decades. To improve the efficacy of solid dosage forms, super disintegrants are used. This is accomplished by reducing the disintegration time, which improves the rate of drug dissolution. Disintegrants are substances or combination of substances added to a drug formulation to aid in the breaking up or disintegration of tablet or capsule content into smaller fragments that dissolve more quickly than without them. Several newer agents known as '*Superdisintegrants*' have been developed in recent years. Superdisintegrants classified as synthetic, semi-synthetic, natural, and co-processed blends have been used to create effective mouth dissolving tablets and overcome the limitations of traditional tablet dosage form. In the solid dosage form, superdisintegrants are typically used at a low level typically (1- 10%) relative to the total weight of the dosage unit. In present studies, includes all the information about Superdisintegrants, such as their types, advantage, selection criteria, incorporation methods, ideal properties and mechanism, which are used in the formulation to provide safer, more effective drug delivery while maintaining patient conformity.

Keywords: *Superdisintegrants*, Disintegrants, Natural, Synthetic.

INTRODUCTION

The oral route for drug delivery is the most appealing approach for drug delivery. Among the several types of dosage forms orally delivered tablet is the most popular because of its ease of preparation, ease of administration, precise dosing, and oral liquid stability, as well as the fact that it is more tamper proof than capsules[1]. The bioavailability of a medicine is determined by several parameters, including in vivo disintegration, dissolution, and a variety of physiological conditions[2]. Disintegrants are substances or combinations of ingredients added to medicine formulations to aid in the dispersion or breakdown of tablets and capsule contents into minute particles for rapid dissolving, when they encounter with water in the GIT tract, they may work by sucking water into the tablet, causing it to expand and break into minute fragment. The subsequent dissolution of the medicine and completion of reasonable drug bioavailability may be hampered by tablet fragmentation. The most common disintegration agents are starch USP, numerous starch derivatives and many natural disintegrants. Several pregelatinized starches are also employed as disintegrants, with 5 % conc being the most common.[3,4,5,6,7]

SELECTION CRITERIA FOR SUPERDISINTEGRANTS

Although the rate of disintegration is primarily affected by superdisintegrants, they can also affect the tablet hardness, mouthfeel and friability when used in high doses. For a specific formulation, there are several ideal factors to consider when selecting superdisintegrants which includes:

- When the tablet encounters saliva in the mouth/oral cavity, proceed to rapid disintegration.
- Be compact enough to produce less friable tablets.
- Give patients pleasant mouth feels to achieve this, so small particle size is preferred.
- Flow is important because it improves total blend flow characteristics [8,9]

IDEAL PROPERTIES OF SUPERDISINTEGRANTS

Excellent Compressibility and Flow Characteristics

Powders with compressibility of 12% to 16% are considered good flow powders. In comparison to other superdisintegrants, crospovidone are much more compressible [10,11,12]

Insufficiency in Solubility

The rate and mechanism of action of tablet disintegration can be affected by the solubility of the essential component in a tablet composition. Water-soluble compounds are more likely to dissolve than disintegrate, whereas, insoluble ingredients usually result in fast dissolving tablets .[13]

Inadequate Gel Forming Capacity

Because the medicine must first diffuse through the gel layer before being released into the body, gels can slow down disintegration. At a concentration of 4-6 % primo gel is used as a superdisintegrant in the making of tablets .[14]

Hydration capacity

The degree of hydration and efficacy of these disintegrates are influenced by drugs and other excipients that are hydrophobic and can be adsorbed on disintegrate surfaces. The addition of quick disintegrates with a high hydration capacity is said to alleviate this issue, resulting in increased dissolution .[15]

Complexation

Anionic disintegrants like croscarmellose sodium and primo gel form complex with cationic drug actives and may cause slow dissolution. Crospovidone a non-ionic polymer does not interact with cationic drug actives to hinder drug release. The effects of superdisintegrating agents as like croscarmellose sodium, primo gel, and polyplasdone XL on the dissolution actions of numerous cationic drugs with changing water solubility reports that polyplasdone XL had a faster dissolution rate for the model cationic drugs, regardless of their aqueous solubilities. [16,17]

MECHANISM OF ACTION OF SUPERDISINTEGRANTS

Capillary Action

The first step is disintegration via capillary action, when we immerse the tablet in a suitable aqueous medium/solution, the air adsorbed on the particles replaces, when the medium penetrates the tablet which weakens the intermolecular bond and causing the tablet to disintegrate into fine particles. The hydrophilicity of the drug and excipients, as well as tableting conditions, influence water uptake. The maintenance of a porous structure and low interfacial tension towards aqueous fluid is required for these types of disintegrants, which aids in disintegration by forming a hydrophilic network around the drug particle. [18] Porosity and capillary action mechanisms are used by disintegrating agents that do not swell. The tablet's porosity creates channels for fluid to enter. Low cohesion and compressibility disintegrate particles, increase porosity and provide paths into the tablet on their own[19]. By capillary action, the liquid is drawn up or "wicked" into these channels, breaking the bonding between particles and causing the tablet to break apart, as shown in Figure 1,

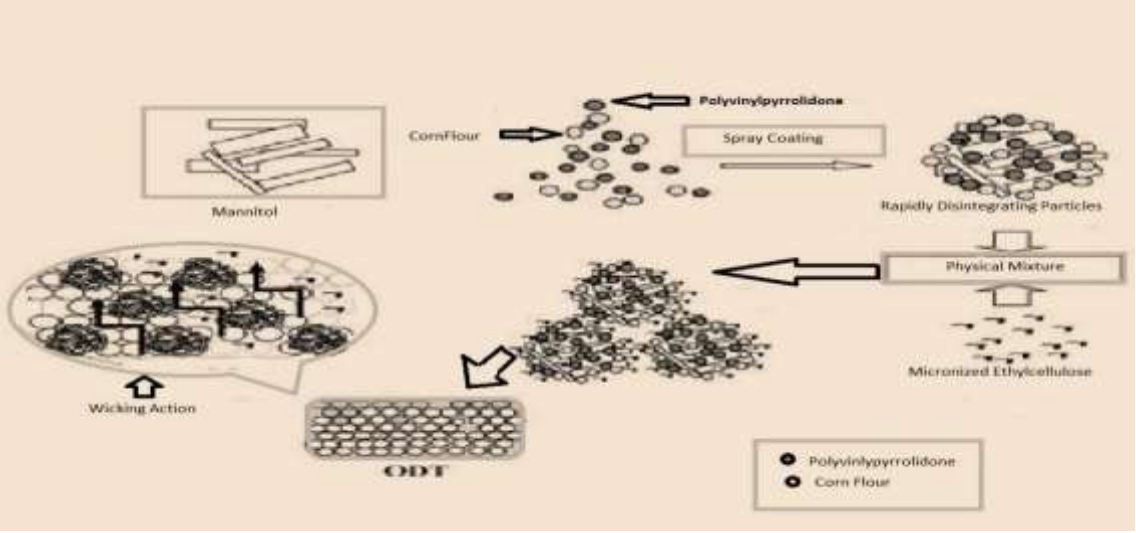


Figure 1: Capillary action (wicking) [19]

Swelling

Swelling is a condition that occurs when the body's tissues swell. Although, tablet disintegration requires water penetration, the most widely accepted mechanism of action for tablet disintegration. When disintegrant particles met a suitable medium, they swell, breaking up the matrix due to a swelling force. Tablets with a high porosity disintegrate slowly because they lack sufficient swelling force. On the other hand, the low porosity tablet receives enough swelling force. It's worth noting that if the packing fraction is extremely high, fluid cannot penetrate the tablet, slowing the disintegration process [20] (Shown in Fig.2.)

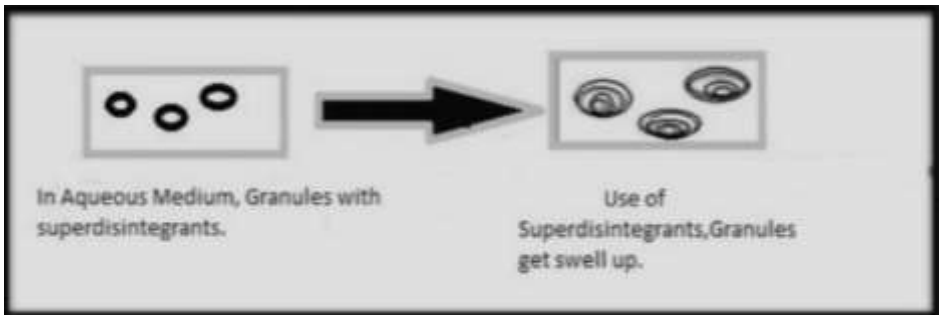


Figure 2: Swelling Action [20]

Due to release of gases

Carbon dioxide is released when tablets are wet due to the reaction of carbonate and bicarbonate with citric acid and tartaric acid, the tablet disintegrates because of the pressure within. To make very quickly dissolving or fast disintegrating tablets this effervescent mixture is used by experts. Due to the extreme sensitivity of these disintegrants to small changes in humidity and temperature, strict environmental control is required during tablet production. The effervescent blend can be added either right before compression or in two separate formulations fractions.[21]

By enzymatic action

Disintegrants, are enzymes that are naturally present in the body. These enzymes aid in disintegration by destroying the binding action of the binders. Swelling causes pressure to be exerted in the outer direction, causing the tablet to burst, or accelerated water absorption causes a massive increase in the volume of granules, promoting disintegration.[21] (shown in Fig 3).

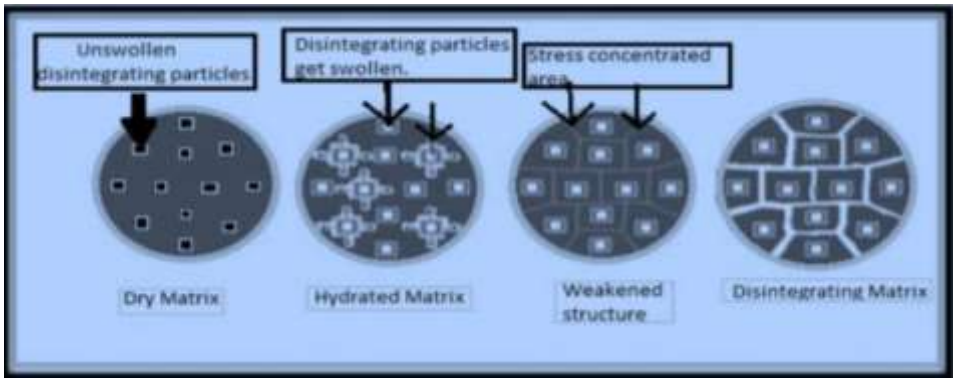
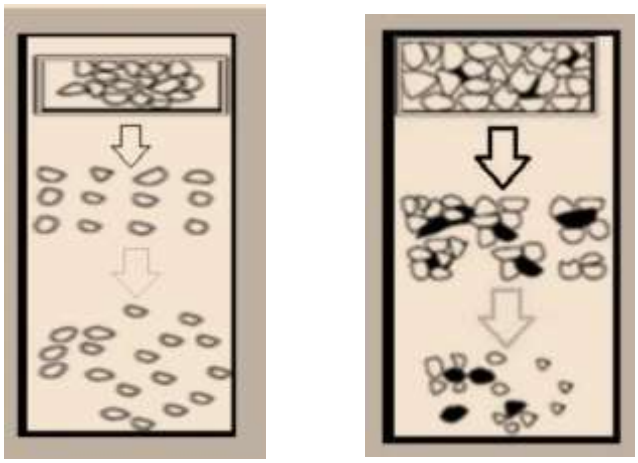


Figure 3: Enzymatic Action[21]

Due to disintegrating particle/particle repulsive Forces

Another disintegration process tries to explain why the tablet manufactured with "nonswellable" disintegrants swells. Guyot-Hermann presented a particle repulsion theory, "since non-swelling particles also induce tablet disintegration". The mechanism of disintegration is electric repelling interactions between particles, and water is necessary. Researchers discovered that wicking is secondary to repulsion [22,23] (Shown in Fig. 4)



Repulsion *Deformation*

Figure 4: Disintegration of tablet by repulsion and deformation[22,23,24]

Due to deformation

Starch grains are thought to be "elastic" in nature, which means that if they are deformed under pressure, they will return to their original shape once the pressure is removed. These grains are said to be "energyrich," when the compression forces are involved in tableting, this energy being released when exposed to water. The diagram of deformation shown in fig 4. In other words, the ability of "energy-rich" starch grains to swell is greater than that of starch grains that have not been deformed under pressure. [24]

Electrostatic repulsion [35]

The Disintegration of tablets is also caused by particles that do not swell. As (shown in Figure 5), the disintegration process is based on electric repulsive forces between particles, where water is required. Scientists discovered that wicking is more important than repulsion.

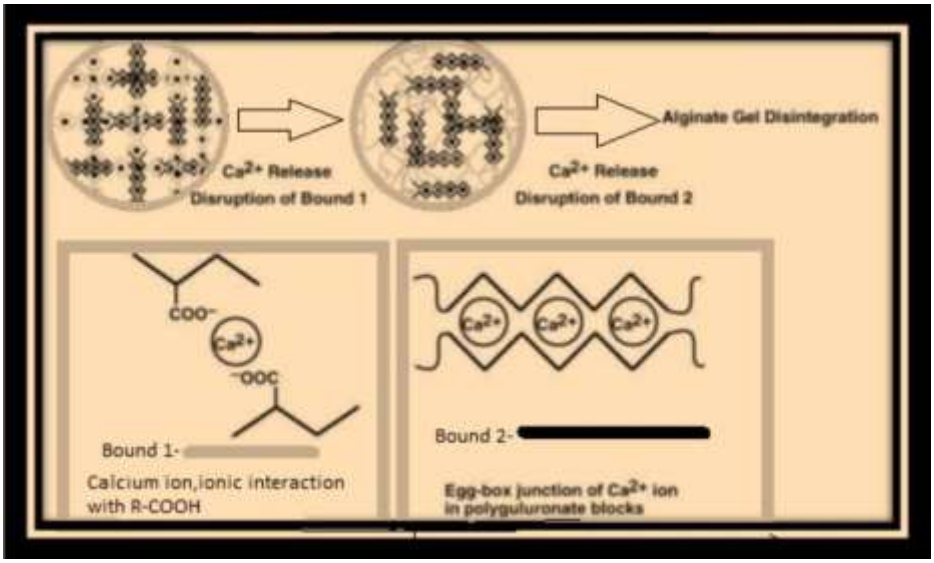


Figure 5: Electrostatic repulsion[35] Heat of wetting

This method can be used with any disintegrant that has an exothermic feature. When these disintegrants are wetted and met with appropriate media, capillary air expansion occurs, causing localised stress and tablet disintegration. [25]

NATURAL POLYMERS USED IN FAST DISSOLVING TABLETS[26]

Chitin and chitosan

Chitin (-(14)-N-acetyl-D-glucosamine) is a polysaccharide derived from the shells of crabs and shrimp. In contrast to the free amino group in chitosan, it has an amino group that is covalently bonded to the acetyl group. Surface free energy could be used to determine the disintegrating time in the oral cavity, as well as the wetting time. Chitosan is the most well-known natural polysaccharide used in the pharma sector for it's various uses.

Guar gum

Guar gum is primarily made up of galactomannans, which have a large molecular weight (about 50,000– 8,000,000). It is mainly found in nature and used as a thickener, stabiliser, and emulsifier in many regions. (e.g., EU, USA, Japan, and Australia). It is a neutral polymer consisting of sugar units that is free flowing and soluble, and permitted for use in food. It is unaffected by moisture content, pH and tablet matrix solubility. In alkaline tablets, it is not always pure white and can range in hue from off-white to tan. It also has a tendency to diminish over time.

Gum karaya

Gum karaya is a vegetable gum produced by trees of the genus Sterculia as an exudate. Gum's high viscosity prevents it from being used as a binder or disintegrant in the formulation of dosage forms. The potential of gum karaya as a tablet disintegrant has been examined. According to several findings, modified gum karaya causes tablets to disintegrate quickly. Gum karaya can be used as a substitute for commercially available semi-synthetic and synthetic superdisintegrants.

TRADITIONAL SUPERDISINTEGRANTS [27,28,29,30,31]

Starch:

Because of its greater swelling index, starch is a common carbohydrate derived from potatoes and other sources and utilised as a disintegrant in dispersible tablets. Starch is found in green plants, vegetables, and seeds. It is used as, filler, binder, and disintegrant. Swelling is thought to be a major component of its activity as a disintegrant. The proportion of the substance of the head components, amylose, and amylopectin, as well as the shape and size of the starch granules, are all normal for the species. Some carbohydrates are thought to be addictive. Because of its promising properties including swelling in nature, the fastest disintegration of tablets, and the beginning of medication release, starch is one of the oldest and most extensively used disintegrants. The mechanism system involves rapid water retention, resulting in a massive increase in granule volume because of rapid and uniform disintegration**.** Disintegrants are medication excipients used in tablet formulations to aid in the separation of compacted tablets into small particles in aqueous media. Orally controlled drugs benefit from enhanced tablet splitting in aqueous fluids, which improves disintegration, ingestion, and bioavailability.

Cellulose:

Cellulose is a plant derivative product like methylcellulose and carboxymethylcellulose that is utilised as a superdisintegrant based on its ability to store water and swelling capacity[32]. Because of its quick onset of action and ability to be taken without the use of water, cellulose is utilised in the manufacturing of fast dissolving tablets[33]

ADVANTAGES OF SUPERDISINTEGRANTS [34]

- Disintegration does not result in the formation of lumps.
- Compatible with a wide range of therapeutic agents and excipients.
- Effective in both hydrophilic and hydrophobic formulations.
- Provides good mechanical strength to the tablet, allowing for easy packing and transportation.
- Even though there are many superdisintegrants that show superior disintegration, researchers are still looking for new disintegrants and experimenting with modified natural products.

DISADVANTAGES OF SUPERDISINTEGRANTS[36]

- Costly.
- Time-consuming and delicate. •More sensitive and hygroscopic in nature.

CONCLUSION

According to the study's findings, both natural and synthetic superdisintegrants have a better effect on fast dissolving tablets. To increase the rate of drug release from tablets, decrease dissolution and disintegration time and, natural polymers were used as binder superdisintegrants and diluents. They are readily available at a low cost, are used in low concentrations, and provide nutritional supplements because they are naturally extracted. When disintegrants are wet, they expand and dissolve, causing the tablet to break apart and release the active ingredients for digestion. Natural polymers have been also employed as a fastener superdisintegrant and diluent because they increased the rate of drug discharge from the tablet while decreasing disintegration and dissolution. Water-insoluble superdisintegrants have a better degradation property than marginally water dissolvable specialists because they do not swell. Because of the growth of viscous obstruction, superdisintegrants that will generally grow display a little deteriorating property impediment. Tablets and capsules, which are currently the most popular therapeutic dosage forms for oral administration, have a few drawbacks for patients undergoing chemotherapy and those with dysphagia. To overcome this problem, mouth dissolving tablets containing Guar Gum and Xanthan Gum should be considered an appropriate detailing and delivery framework to improve patient consistency, since the percent drug delivery and disintegration time are considerably superior to traditional dose forms.

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