

## BASIC INTRODUCTION AND MECHANISM OF ACTION OF SUPERDISINTEGRANTS

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**Abstract — The ideal dispersion of an oral solid dose form is into the primary particles from which it was made. The proper disintegrant must be used in tablets and capsules that require quick disintegration in order to achieve maximum bioavailability. Solid dose forms are more effective when superdisintegrants are utilised. Superdisintegrants reducing the disintegration time, These mixtures of substances that are added to the medicine formulation to help the content of tablets or capsules break down or disintegrate into tiny particles that dissolve more quickly Superdisintegrants are generally used at a low level , 1- 10 % by weight relative to the total weight of the dosage unit. The several types of these agents utilised in the formulation to deliver drugs more safely and effectively while maintaining patient compliance are covered in the current study. Keywords: Superdisintegrants, dissolution rate, polymers, ion exchange resins**

### I. INTRODUCTION

The most popular mode of administration for drugs, accounting for between 50 and 60 % of all dosage forms, is oral administration. Solid dosage forms are preferred because they are simple to administer, precise in their amount,

allow for self-medication, reduce pain, and, most importantly, increase patient compliance. In order to provide paediatric and geriatric patients with an alternative to traditional dose forms, fast dissolving medication delivery devices were originally created in the late 1970s. Tablets that dissolve quickly in the mouth. Fast-dissolving tablets are a cutting-edge method of drug delivery that quickly dissolves, disperses, or disperses the active pharmaceutical ingredients in saliva, with or without water consumption. The absorption and start of a therapeutic effect are aided by the speed at which the drug dissolves in the solution. These kinds of formulations are frequently advised for medications used in emergency situations.

Disintegrants are additives to medicine formulations that help break down the contents of capsules and tablets into tiny pieces so they can dissolve more quickly when they come into contact with water. They may work by drawing water into the tablet, causing swelling and a breakup of the tablet. Due to the combined effects of swelling and water absorption, superdisintegrants offer fast disintegration. Superdisintegrants help the system become more wettable and dispersible, which improves the system's ability to disintegrate and dissolve. The formulation development of such tablets depends critically on the disintegrant's selection and performance consistency. It is used in very small

doses in tablets, usually 1–10% of the total weight of the dosage unit. Superdisintegrants can absorb 10 to 40 grams of water or another aqueous solution per grams. After ingestion, it causes stress, which leads to the tablet's entire structure disintegrating.

### **1.1. Advantages of Superdisintegrants**

- Is properties on wetting it quickly disintegration.
- No any type of lump formation.
- Compatible with all the drugs and excipients.
- Does not stick to the punches and dies when they manufacture.
- Higher Effective in very lower concentrations.
- Less effect on compressibility and flow ability.

### **1.2. Disadvantages of Superdisintegrants**

- These are Expensive.
- Time taken for disintegrate and fragile.
- More sensitive and hygroscopic in nature.

### **1.3. Ideal Properties of Superdisintegrants**

- Quicky disintegrate.
- Good moulding and flow property.
- particle size, and good absorbent capacity and compressibility index.
- water solubility is very less.
- Less friable tablets.
- More Effective at very low concentration and produce more effective action
- Nontoxic and should have good mouth feel.
- It should have no tendency to form complexes with the drugs.
- It should be compatible with the other excipients and should have desirable tableting properties.

## **II. SELECTION CRITERIA FOR SUPERDISINTEGRANTS**

Superdisintegrants typically impact the rate of disintegration, but when taken at high doses, they can also affect the hardness, mouthfeel, and friability of the tablet. When choosing superdisintegrants for a particular formulation, it is essential to take into account the following factors:

- When the tablet entered in the saliva 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

### **2.1. Types of Superdisintegrants**

1. Natural types of Superdisintegrants
  2. Synthetic types of Superdisintegrants
- a) Natural Superdisintegrants**

#### **Ispaghula Husk Mucilage (Plantago ovata)**

These husk composed of dried seeds of the Plantago ovata plant. For the entire release of mucilage into water, Plantago ovata seeds were cooked for a short period of time after being steeped in distilled water for 48 hours. Properties of these including binding, dissolving, and supporting properties. Because mucilage has an extremely high swelling index (around 89 ±2.2% v/v) compared to other superdisintegrants, This agent is utilised to create fast dissolving tablets. For the purpose of filtering and removing the marc, the substance was forced through muslin cloth. Then, to precipitate the mucilage, an equivalent volume of acetone was added to the filtrate. In an oven set at a temperature below 60°C, the separated mucilage was dried.

### **Xanthan Gum**

The official USP, which is derived from *Xanthomonas campestris*, has a high hydrophilicity and a low tendency to gel. For a quicker disintegration, it possesses wide swelling properties and a low water solubility.

### **Gellan Gum**

It is a tetra saccharide repetition structure, biodegradable linear anionic polysaccharide polymer that was derived from *Pseudomonas elodea*. Tablet disintegration may be caused by Gellan gum's rapid swelling properties when it comes into contact with water and by the gum's high hydrophilic nature. Gellan gum at a concentration of 4 percent w/w causes the tablet to completely dissolve in 4 minutes.

### **Chitin/Chitosan-Silicon di oxide**

For the purpose of making chitosan, chitin is naturally obtained through a deacetylation reaction in an alkaline media. According to Bruscati et al. 1978, typical tablets containing chitin crumbled in 5 to 10 minutes, regardless of how soluble the medication was. This polysaccharide utilised in the pharmaceutical sector is chitosan, which has a wide range of uses.

### **Soy Polysaccharide**

It is a natural superdisintegrant that can be utilised in nutritious products and contains neither starch nor sugar. As a control, cross-linked sodium carboxy-methyl cellulose and maize starch were utilised. In direct compression formulations, soy polysaccharide performs admirably as a disintegrating agent, with outcomes comparable to those of cross-linked CMC.

## **III. SYNTHETIC SUPERDISINTEGRANTS**

### **Modified Starch (Sodium starch glycolate, Primojel)**

The crosslinking of potato starch results in these modified starches, which have the best disintegration qualities. The efficiency of these materials as superdisintegrants is significantly influenced by the degree of cross-linking and substitution. The crosslinking has the effect of lowering the polymer's water solubility as well as the viscosity of its water-based dispersion. The modified starches expand in volume by 200–300% in water compared to the normal pre-dried starches, which swell by 10–20%. The method by which this action occurs involves rapid water absorption, which causes a massive increase in granule volume and leads to quick and uniform disintegration. These superdisintegrants may cause the tablets to dissolve in less than two minutes.

### **Cross-linked Polyvinyl Pyrrolidone (Crospovidone)**

In order to create the volume expansion and hydrostatic pressure required for fast breakdown in the mouth, crospovidone swiftly wicks saliva into the tablet. Crospovidone particles appear to be granular and very porous under a scanning electron microscope. This material's distinctively porous structure speeds up breakdown and makes it easier for liquid to wick into dosing devices. Even at high ratios, crospovidone shows almost little tendency to form gels. Crospovidones have a special particle shape that makes them very compressible materials. Crospovidone is utilised as a superdisintegrant in direct compression, wet granulation, and dry granulation procedures at low concentration levels (2–5%). The polymer has a narrow

particle size distribution that gives it a quick-dissolving tongue feel. For direct compression with the formulation, different grades are offered commercially according to their particle size to ensure a homogeneous dispersion.

#### **Modified Celluloses (Croscarmellose Sodium)**

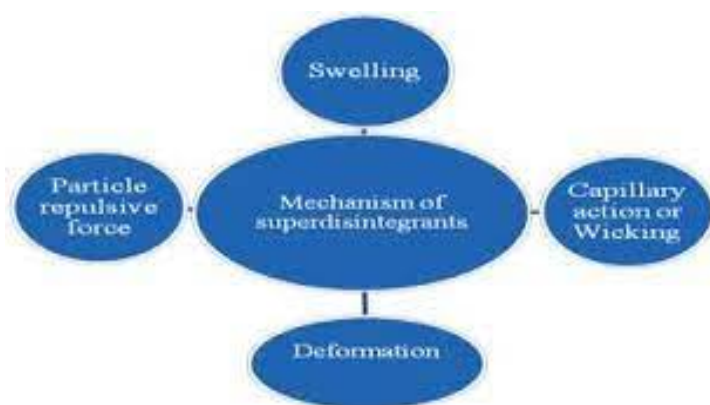
Sodium carboxymethylcellulose that has been cross-linked is a white, freely flowing powder with a high absorption rate. Due to its great swelling capacity, it offers quick drug breakdown and disintegration at lower concentrations. It has exceptional water wicking abilities. Its recommended concentration is 0.5–2.0%. Between starch and cellulose polymer, there are a number of changes, the most significant of which is how the polymer is modified synthetically. Croscarmellose sodium can be utilised in wet-granulation and direct compression techniques when making tablet formulations.

#### **Microcrystalline Cellulose (Avicel)**

The pure, partially depolymerized form of cellulose known as microcrystalline cellulose made up of porous particles. Less than 10% of avicel content results in accelerated disintegration. This method relies on the water entering the tablet matrix through capillary pores, which breaks or disrupts the hydrogen bonds between neighbouring bundles of cellulose microcrystals. Due to rapid capillary absorption and quicker tablet surface drying, oral disintegrating tablets, in particular, exhibit a tendency to adhere to the tongue when concentrated. Since it quickly absorbs water, starch and it constitute a great combination for efficient and quick disintegration in tablet formation.

### **IV. MECHANISM OF ACTION OF SUPERDISINTEGRANTS**

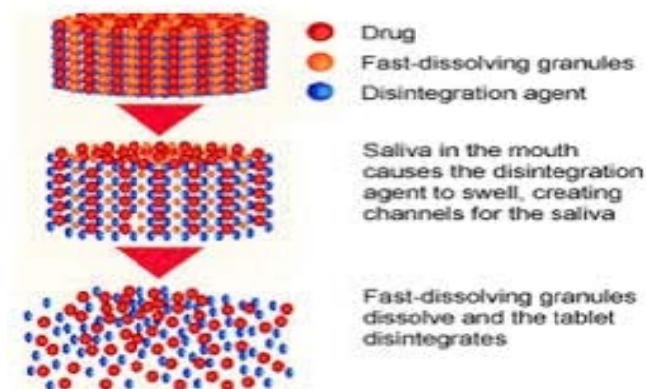
- Swelling.
- Porosity and capillary action (wicking).
- Combination action.
- Heat of wetting.
- Deformation.
- Enzymatic reaction.



**Fig.1 Mechanism of action of Superdisintegrants**

#### **4.1. Swelling**

Swelling is a widely recognised mechanism and is essential for tablet disintegration. It is a procedure wherein certain disintegrating chemicals, such as starch, produce the dissolving effect. Due to inadequate swelling force, tablets with high porosity disintegrate poorly. The adhesiveness of the other medicinal substances included in a tablet can be overcome because disintegrant particles enlarge when they come into contact with water, which leads to the tablet breaking.



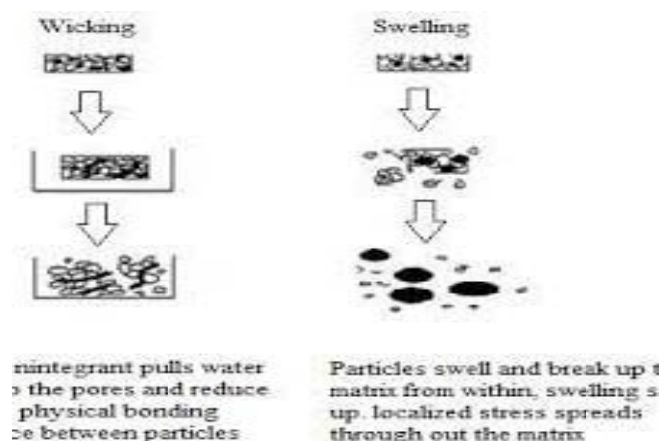
**Fig.2 Mechanism of Disintegration through Swelling Action**

#### 4.2.Porosity and Capillary Action (Wicking)

Agents that disintegrate but do not swell operate by capillary and porosity action. The tablet's porosity creates channels via which liquid can enter the tablets. The intermolecular link of the tablet is weakened and the tablet is broken into small particles when it is submerged in an appropriate aqueous solution, which penetrates the tablet and replaces the air adsorbed on the particles. The hydrophilicity of the medicine affect that how much water is absorbed by the tablet

#### 4.3.Combination Action

The combination of both type wicking and swelling mechanism action to disintegration are disintegrate the tablets.



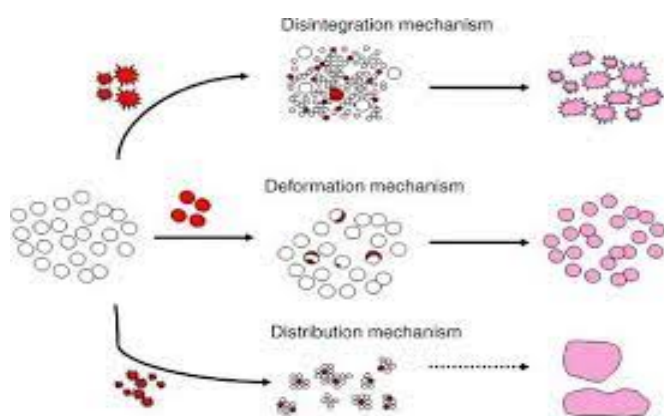
**Fig. 3. Wicking Mechanism**

#### 4.4.Heat of wetting

When exothermic disintegrating chemicals are wetted, capillary air expansion creates localised stress that aids in tablet disintegration. This mechanism of action can only partially explain the behaviour of the majority of contemporary disintegrants.

#### 4.5,Deformation

When the tablet is compressed, the disintegrating particles are deformed, and come into touch with water, they return to their original shape. When pills are deformed and break up, the swelling capacity is enhanced. In the case of starch, which includes potato and maize starch, the elasticity of the grains that are distorted under pressure will revert to their former shape when the pressure is removed. However, this is because of the high compaction force during tableting.



**Fig. 4 Deformation mechanism**

#### 4.6. Enzymatic action

The body's own enzymes also function as disintegrants. These help in disintegration by interfering with the binding function of the binder. The tablet breaks up or bursts when pressure is applied in the tablet's outer direction as a result of swelling. The increased volume of granules from the quicker water absorption causes the tablet to crack and aids in increasing the rate of water absorption. Swelling applies pressure in the tablet's outer direction.

**Table 1: Some Disintegrating Enzymes with Binders**

Enzymes	Binders
amylase	starch
protease	gelatin
cellulase	cellulose and its derivatives
invertase	sucrose

## V. CONCLUSION

The article covered overviews of the numerous superdisintegrants that are currently on the market. Fast dissolving tablet formulation has advanced to the point where it is now possible to

use fewer types of superdisintegrants to create these tablets. A third of the patients require a drug's therapeutic effects to happen quickly. Superdisintegrants, which are utilised in fast-dissolving tablets, provide a number of benefits, including ease and convenience in dosage, faster drug release, safe, effective drug administration, improved patient compliance, and increased therapeutic effects.

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