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SUPERDISINTEGRANT ON DISINTEGRANT AND DISSOLUTION;

A REVIEW ON INFLUENCE

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ABSTRACT: In tablet formulation superdisintegrants are added to accelerate the rate of tablet deaggregation and thus enhancing the rate of tablet dissolution. In this review article we gather the information related to the superdisintegrants, their mechanism of actions and their impact on disintegration and dissolution processes. The easiest way to achieve quick release is to use a superdisintegrants with appropriate concentrations of excipients. Different superdisintegrants are usually added to facilitate the tablet disintegration, thus increasing the rate of tablet dissolution.

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INTRODUCTION

Tablets and capsules are amongst the list of solid oral dosage form. To achieve desired dosage form with required efficacy, the powders (excipients and active pharmaceutical ingredient) must be blended properly in order to obtain uniformity.[1] Tablets have a wide range of advantages for patients and manufacturers.[2]

Advantages of tablets

- Tablets are single dosage form with a dose precision.
- It is considered to be a cost effective dosage form.
- A large batch size can easily be manufactured.[2]
- Chances of microbial contamination are reduced due to less moisture content exposure.
- Variety of sub doses is also available for example buccal, floating targeting colon and dispersible formulations etc.[3]

Effects of Superdisintegrants

The gastrointestinal tract offers sufficient amount of gastrointestinal fluid to accelerate the dispersion of the tablet dosage form. Scientists reported that almost 90% of all compounds may produce systemic response when they are administered orally. Furthermore, the drug release rate is accelerated by the rapid disintegration of the tablet.[4]

The easiest way to achieve quick release is to use a superdisintegrants with appropriate concentrations of excipients. Different superdisintegrants are usually added to facilitate the tablet disintegration, thus increasing the rate of tablet dissolution.[5]

The selection of a suitable kind and quantity of superdisintegrants is very important for the fast deaggregation of tablets. Also different physico-chemical features of excipients can affect the rate of the tablet deaggregation. Various investigators have reported that superdisintegrants had a significant effect on deaggregation time in insoluble systems. Furthermore, the solubility of the tablet formulation in directly compressed

tablets did not inhibit the superdisintegrants from accelerating the drug release.[6] Shotton and Leonard[7] determined the impact of type and ratio of disintegrants on deaggregation time.

Smallenbroek *et al*.[8] studied the affect of particle size of disintegrant on the deaggregation time of tablet (dibasic calcium phosphate dihydrate (DCP)). They reported that when lubricant was not added in potato starch containing tablets, the disintegration time of these tablets was found to be less due to the increase in the disintegrants particle sizes. Similar studies were conducted by other scientists evaluated that larger particle sizes of disintegrants particles produced extensive swelling force and very less disintegration time.[9]

One of the basic approaches to determine the disintegration time is to perform the test which was established in the United States Pharmacopoeia.[10] Also the disintegration time can measured by determining the rate of water uptake by tablets. For this purpose the disintegration medium should be well absorbed which is prerequisite for disintegration to perform. Thus the rate and quantity of water uptake can be determined.[11]

The reason for the addition of disintegrants in the formulations is to reduce the disintegration time of product because short disintegration time may enhance the dissolution process. Scientists reported that the rate of dissolution depends mainly on the surface area of particles obtained during disintegration process.[12]

Mechanisms of Tablet Deaggregation

i. Swelling

Rate and extent of swelling is dependent on the tablet porosity. Low porosity expresses poor deaggregation and vice versa. Packing fraction also influences the disintegration.

ii. Capillary action

After coming in contact tablet, water enters into the intermolecular space and replaces the adsorbed air thus resulting in breaking of tablets by softening the bonds.

iii. Due to particle repulsive forces

Non - swelling particles also facilitate tablets disintegration. Repulsive forces particularly between particles are considered to be the main mode of disintegration.

iv. Due to deformation

During the compaction process tablets lose their novel shape and are deformed. These deformed configurations when become in contact with water, it regains their original shape and thus rapidly disintegrates.

v. Due to enzymatic reaction

Enzymes present within the body act as natural disintegrants for example amylase is active against starch and protease is active against gelatin. When binders come in contact with the enzymes, they lose their desired action and as a result tablet disintegrates rapidly.[13]

EXCIPIENTS CONSIDERATIONS

Scientists studied that when the formulation contained a compound which is poorly soluble, presence of a permanent hydrophilic system of starch is critically important for quick disintegration but when that network was break, disintegration time will increased due to the hindrance in diffusion of water. Thus, selected filler or binder should have adequate wicking capabilities or the disintegrants should develop a hydrophilic network when the excipients are hydrophobic/ lipophilic.[14]

Several investigators had studied the impact of different disintegrants on the drug release pattern of tablets. They found that the rate of drug release does not only depend on the method of incorporation of disintegrant i.e. intra- or extra-granularly, but also on the nature of filler and binder.[15]

IMPACT OF DISINTEGRANTS IN MANUFACTURING PROCESS

i. DIRECT COMPRESSION METHOD

Tablets which are directly compressed results in rapid deaggregation which results in fast dissolution and quick absorption because of lack of granulation steps the particles disintegrate into particles instead of granules. So rapid and excellent therapeutic effect is achieved.[16]

ii. DRY GRANULATION METHOD

Authors estimated the impact of pre-compression on swelling behaviour of various disintegrants and found that stage of pre-compression produces less impact on swelling characteristic of disintegrants but formulations containing sodium starch glycolate and crospovidone showed delayed disintegration after pre-compression. They also reported that rate of water uptake by disintegrants were not influenced by pre-compression stage.[5]

iii. WET GRANULATION TECHNIQUE

Researchers assessed the release profiles of sulfadiazine tablet manufactured by wet granulation method using starch as a disintegrant. They found that starch present extragranularly showed rapid disintegration.[15]

CONCLUSION

Studies on several excipients used during manufacturing procedure required extensive and efficient approaches which may further helps the formulators and manufacturers.

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"When you win, say nothing, when you lose, say less."

Paul Brown

CORRECTION

The amendment of the Professional Vol: 23, No.09 (Prof-3535) titled: "Keloid; production of keloid animal model" is as under;

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1. Prof. Dr. Abdul Mannan Babar
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