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A Review: Mechanism and Role of Superdisintegrants in the Development of Mouth Dissolving Tablets

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Abstract : Because of their ease of administration and patient compliance, mouth dissolving tablets have become more common among strong dosage types. They outperform traditional tablets in terms of efficiency. It aids in the enhancement of oral bioavailability. Waterless administration and quick onset of operation are two major advantages of mouth dissolving tablets. For any solid dosage type, disintegration is a critical phase. Superdisintegrants are a class of younger agents that have been produced in recent years. Superdisintegrants come in a variety of forms, including normal, synthetic, and co-processed. The aim of this article is to discuss the different types of superdisintegrants and their mechanisms in mouth dissolving tablets.

Keywords -Disintegration, Superdisintegrants, Mouth dissolving, Classification, Mechanism.

1.Introduction:

In an aqueous atmosphere, superdisintegrants are agents applied to tablet and certain encapsulated formulations to facilitate the breakdown of tablet and capsule "slugs" into smaller fragments, thus expanding the available surface area and facilitating a more rapid release of the medication material. They help the tablet matrix to absorb moisture and disperse.[1-3]

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Mouth dissolving tablets are new medication delivery devices with fast disintegration capabilities that have recently gained prominence by addressing the drawbacks of traditional tablets. It is a solid unit dosage type containing active agent that disintegrates rapidly as it comes into contact with saliva without the use of water or chewing.[4]Disintegration is a crucial stage in the operation of any solid unit dosage type, such as tablets or capsules. Disintegrating agents are used in the solid dose formulations in this case. Fast disintegration is essential for quicker drug release and action in mouth dissolving tablets, so superdisintegrants are added to help with faster disintegration. They're used at a lower concentration of 1-10% by weight of the overall weight of the dosage units.[5] Different forms of superdisintegrants are available, and they are used in mouth dissolving tablet formulations depending on their source and method of action. Tablet disintegration is influenced by a number of superdisintegrant causes, including.[6]

Percentage of disintegrants present in the formulation.

a) Proportion of superdisintegrants used.
b) Compatibility with other excipients.
c) Method of addition of superdisintegrant.
d) Presence of surfactants.
e) Nature of drug substance added.
f) Hardness of the tablets.
g) Method of mixing of addition.[7,8]

Because disintegration is so important in tablet dissolution before the active drug substance is finally released from the tablet structure into the body, disintegrant properties (e.g., disintegration time [DT] and the ratio of crushing strength-friability to disintegration time [CSFR/DT]) are influenced to a large extent by the type, concentration, and efficiency of disintegrants.[9]

Advantages of superdisintegrant:

- Should be seen at low concentrations.
- Less focus is needed.
- Intragranularly, it's more powerful.
- It is biodegradable.
- Wetting has a remarkable ability to cause accelerated disintegration.
- There are no lumps formed during disintegration.
- It's safe to use with common medicinal agents and excipients.
- Has a lower impact on compressibility and flow capacity so it doesn't cling to the punches and dyes.
- Some are anionic, and cationic drugs can induce some in vitro binding.[10,11,12]

Disadvantages of Superdisintegrants:

- More susceptible and hygroscopic in nature;
- Moisture sensitivity causes instability;
- Expensive.
- It's time-consuming and delicate.[13]

Ideal properties of superdisintegrants:

- It can disintegrate quickly, have a low water solubility, and have excellent moulding and flow properties.
- The particle size, hydration power, and compressibility index should all be fine.
- It should be compatible with the other excipients and have tableting properties that are desirable.
- It does not form complexes with the medications, be nontoxic, and have a pleasant mouth feel.
- Effective at low concentrations and can disintegrate more efficiently.
- The tablets should be compactable and less friable.[12,14,15,16]

Selection of superdisintegrants:

Superdisintegrant must follow those conditions in addition to its swelling properties when it is used as an excipient in the tablet formulation. The tablet disintegrant's requirements should be well specified. The perfect disintegrant should possess the following characteristics:

- Poor solubility.
- No tendency to form complexes with the drugs.
- Poor gel formation.
- Good moulding.
- Good hydration capacity.
- Good flow property.
- Good mouthfeel.
- Effective in less quantity.
- Particle size should be small.
- Should be non-toxic.
- It should compatible with other excipients and drug.[15,16,17,18]

2. Superdisintegrants:

To enhance disintegration processes, new materials known as "superdisintegrants" have recently been created.[19,20] Another type of super-absorbing substance with custom-made swelling qualities is superdisintegrants. These materials are designed to swell quickly rather than absorb large volumes of water or aqueous fluids. Superdisintegrants are used to make disintegrable solid dose forms more structurally sound. They are physically scattered throughout the matrix of the dosage form, and when exposed to a moist environment, they expand.

One gram of superdisintegrant absorbs 10-40 g of water or aqueous media on average. Following absorption, swelling pressure and isotropic swelling of the superdisintegrants particles generate stress concentrated zones with a gradient of mechanical characteristics, causing the entire structure to disintegrate, as seen in fig.1.[15]

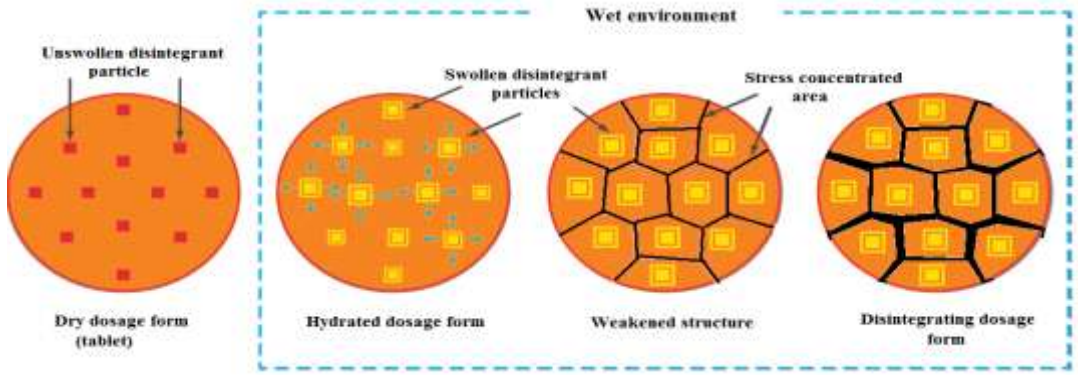

Fig.1: disintegration mechanism of superdisintegrant materials

2.1 Method of Incorporation:

The incorporation of superdisintegrants in the dosage forms are mainly of three types.

Intragranular or during granulation-

The superdisintegrants are mixed with other powders and then granulated in this procedure. Superdisintegrants are thereby absorbed into the granules.

Advantage-

Easy to add and suitable for direct compression method.[21,22]

Extragranular or before compression-

In this process, the superdisintegrants are mixed with prepared granules before compression.

Advantage-

Suitable for wet granulation process.[21,22]

Incorporation of superdisintegrants at intra- and extra-granulation step:

A portion of the suyperdisintegrants is added to intragranular and a portion to extragranular in this process. In comparison to Type I and Type II, this approach typically yields superior results and more thorough disintegration.[23]

Advantage-

This method is more effective and provides immediate tablet disintegration.[21,22]

2.2 Mechanism of superdisintegrants:[19,24,25,26,27]

The mechanism for breaking the tablets into small pieces and producing a homogeneous suspension is as follows:

1) Swelling
2) Porosity and capillary action(Wicking)
3) Heat of wetting
4) Chemical reaction(Acid-Base reaction)
5) Particle repulsive forces
6) Deformation recovery
7) Enzymatic reaction
8) Combination action(Swelling and wicking)

2.2.1 Swelling

Tablet disintegration is most commonly caused by swelling in both natural and manufactured superdisintegrantas. When the tablet comes into contact with a suitable medium, the first stage in this mechanism is water penetration, followed by swelling of the disintegrant particle, which leads to the generation of swelling force, resulting in tablet disintegration as illustrated in fig.2.

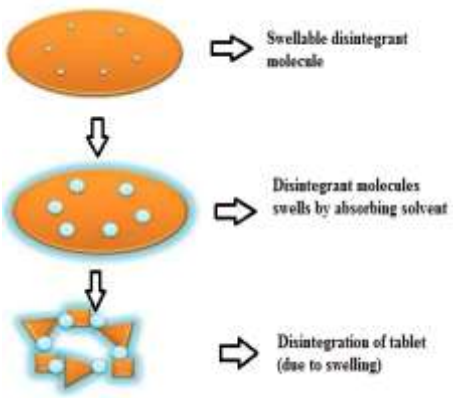

Fig.2: disintegration of tablets by swelling mechanism

2.2.2 Porosity and capillary action(Wicking)

Porosity and capillary action are thought to be responsible for the disintegration action of effective disintegrants that do not swell. Tablet porosity creates routes for liquids to penetrate the tablet. When we immerse the tablet in an appropriate aqueous medium, the medium enters the tablet and replaces the air adsorbed on the particles, weakening the intermolecular link and causing the tablet to disintegrate into tiny particles. The hydrophilicity of the drug/excipient as well as tableting circumstances influence water absorption.Maintenance of a porous structure and low interfacial tension towards aqueous fluid is required for these types of disintegrants, which aids in disintegration by producing a hydrophilic network surrounding the drug particles, as seen in fig.3.

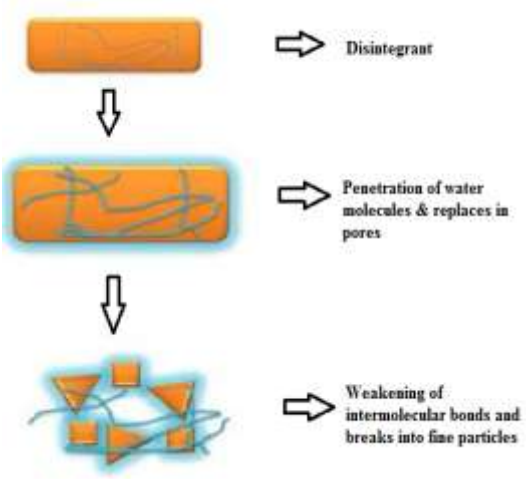

Fig.3: disintegration of tablet by wicking mechanism

2.2.3 Heat of wetting

This method can be used with any disintegrant that has an exothermic feature. When these disintegrants come into touch with appropriate media and get moist, capillary air expansion causes localised stress, resulting in tablet disintegration.[28]

2.2.4 Chemical reaction (Acid-Base reaction)

Due to the interaction of tartaric acid and citric acid with alkali metal carbonates or bicarbonates in the presence of water, the tablet is swiftly broken apart by internal CO2 release in water. The pressure within the tablet causes the tablet to dissolve.

2.2.5 Particle repulsive forces

This approach, which is based on Guyot-particle Hermann's repulsive theory, generates tablet breakdown by using non-swellable disintegrant particles. Tablet disintegration is caused by electrostatic repulsion between particles, which necessitates the use of water. Researchers discovered that wicking is secondary to repulsion.“Tablet in contact with appropriate medium, water enters into the tablet through hydrophilic pores, resulting to the production of a continuous starch-like network that assists in the transfer of water from one particle to another particle and causes hydrostatic pressure,” according to Guyot-Hermann repulsion theory. As a result, hydrogen bonds and other forces that hold tablet particles together are broken, as seen in fig.4.[29]

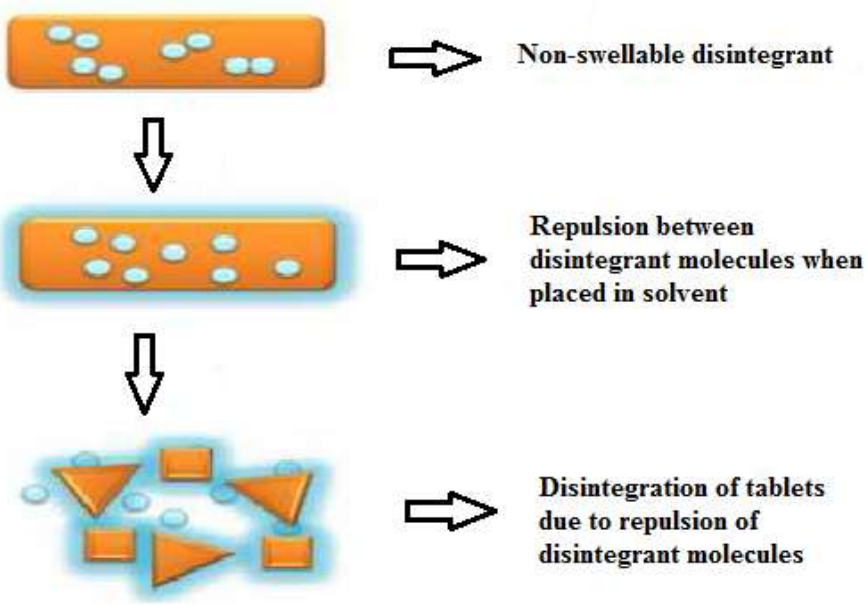

Fig.4: disintegration of tablets by repulsion mechanism

2.2.6 Deformation recovery

Starch grains are supposed to be "elastic" in nature, which means that if they are distorted under pressure, they will revert to their original shape once the pressure is released. However, because to the compression forces used in tableting, these grains are thought to remain permanently damaged and are described as "energy rich," with the energy released when exposed to water. In other words, the potential of "energy rich" starch grains to expand is greater than that of starch grains that have not been distorted under pressure. The activity of most disintegrants is thought to be the result of many mechanisms. Inter-relationships between these fundamental mechanisms are more likely to be the cause.

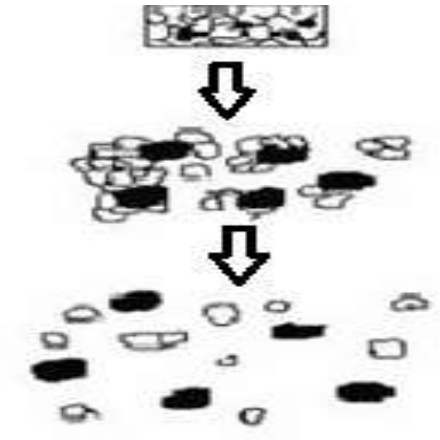

Fig.5: disintegration of tablets by deformation mechanism

2.2.7 Enzymatic reaction

Our bodies include enzymes that function as disintegrators by reducing the binder's capacity to bind. Swelling causes pressure to be applied in the outer direction, causing the tablet to rupture, or fast water absorption creates a massive rise in the volume of granules, promoting disintegration. One body enzymes which help in disintegration of tablets are given in the table 1.

Table 1: examples of enzymes

S. No.	Enzymes
1	Amylase
2	Protease
3	Cellulase
4	Invertase

2.2.8 Combination action

The swelling and wicking mechanisms of the disintegrant induce the pill to break down.

Example: Crospovidone

2.3 Classification of superdisintegrant

a) Natural superdisintegrant
b) Synthetic superdisintegrant
c) Co-processed superdisintegrant

2.3.1 Natural superdisintegrant

Advantages

- Low cost compared to synthetic and renewable sources.
- Eco-friendly and bio-acceptable.
- Locally available.

2.3.2 Synthetic superdisintegrant

Advantages

- More effective intragranually.
- When compared to starch, it is effective at low concentrations.
- Have a negligible impact on compressibility.
- Have a minor impact on the capacity to flow.

2.3.3 Co-processed superdisintegrant

Excipient granulates are formed by co-processing excipients, which have better qualities than physical mixes of components or individual components. The procedure is used in order to achieve a synergistic change in the particular unwanted trait.

Table 2: name and mechanism of natural superdisintegrants[30,31,32]

S. No.	Name of superdisintegrant	Mechanism
1	Gaur gum	Swelling
2	Xanthum gum	Swelling property
3	Gellan gum	Swelling
4	Loctus bean gum	Swelling and capillary action
5	Agar and treated agar	High strength gelling property
6	Chitin and chitosan	Swelling
7	Mucilage of Lepidus sativum	Swelling
8	Mango peel pectin	Swelling and good solubility
9	Isapghula husk	Swelling
10	Hibiscus rosasinesislinn	Swelling
11	Soy polysaccharide	Swelling
12	Fenugreek seed mucilage	Swelling

Table 3: name and mechanism of superdisintegrants[26,33]

S. No.	Name of superdisintegrant	Mechanism
1	Ion exchange resins	Swelling
2	Chitin and Chitosan	Swelling
3	Crospovidone	Combination of swelling and wicking
4	Croscarmellose Sodium	Swelling and wicking within 10 sec.
5	Calcium silicate	Wicking action
6	CroslinkedAlginic acid	Rapid swelling or wicking
7	Sodium starch	Absorb water quickly
8	MCC and L-HPC	-

Table 4: list of co-processed superdisintegrants

S. No.	Co-processed superdisintegrants
1	Pan Excea MH300G
2	Starlac
3	Ludipress
4	Starcap 1500
5	Ran-Explo-S
6	Ran-Explo-C
7	Ludiflast

3. Conclusion

In the creation of mouth-dissolving tablets, superdisintegrants play a significant role. In an aqueous environment, superdisintegrants aid in the breakage of the tablet into smaller fragments. Superdisintegrants have been examined in terms of selection criteria, benefits, drawbacks, ideal qualities, technique, mechanism, and categorization. The approach of adding superdisintegrants via direct compression has gained appeal among researchers. Mouth dissolving tablet formulations are less complicated than other patented methods due to their simplicity of availability and compactness.

References

1. Sood R, Rathore MS, Sharma A, Thakur R, Chaudhari J, Soni V. Immediate release antihypertensive valsartan oral tablet: A review. J Sci Res Pharm 2012;1:20-6.
2. Swarbrick J. Encyclopedia of Pharmaceutical Technology. 3rd ed. New York: Informa Healthcare USA, Inc.; 2000. p. 3641, 3657-9, 3612-3, 3928.
3. Ansel HC, Popvich NG, Allen LV. Pharmaceutical Dosage Forms and Drug Delivery System. 1st ed. New York: Marcel Dekker Inc.; 1998. p. 78.
4. Velmurugan S and SundarVinushitha, Oral Disintegrating Tablets: An Overview, International Journal of Chemical and Pharmaceutical Sciences, 2010; 1(2):1-12.
5. https://www.pharmatutor.org/articles/overview-superdisintegrants
6. RajniBala, S. Khanna, P. Pawar, Polymers in fast disintegrating tablets - A review, Asian Journal of Pharmaceutical and Clinical Research,2012; 5(2):8-14.
7. Schimidt PC, Brogramann B. The role of disintegrants in solid oral dosage manufacturing. Pharm Technol 1988;34:22.
8. Cohen Y, Lach JL. Cycloheptaamylose inclusion complexes of barbiturates. J Pharm Sci 1963;52:122.
9. Alebiowu G and Itiola OA: The Influence of pregelatinized starch disintegrants on interacting variables that act on disintegrant properties. Pharmaceutical Technology 2003; 28-33.
10. Vaibhav S, Mahaveer PK, Gupta K, Agarwal D, Sharma N, Orally Disintegrating Tablet, Friendly dosage form, International Journal of Research in Ayurveda and Pharmacy 1(2), 2010, 399-407.

11. Shaoor Ahmad, VeshveshwariPanditSanjaydoshi, DrShaikhSiraj R, Afroza Patel, Reviwe on the Superdisintigrant and There Phenomenon, World Journal of Pharmaceutical Research, 7(17), 2013, 511-522.
12. Digpati Roy, DebjitBhowmik, Sampath Kumar KP, A Comprehensive Review on superdisintegrants used in orodispersible tablet, Indian Journal of Research in Pharmacy and Biotechnology, 2(4), 2014, 1297-1303.
13. Mohammed Ismail, ShaikKareemulla, Mohamed Abdul Raheem, Mohammed Ahmed, ShaikGouseBasha, ZohebAnjum, Shafiq Ur Rahman, Formulation and Evaluation of Mouth Dissolving Tablets of Amiodarone HCL by using Natural Superdisintegrants, International Journal of Current Research, 9(2), 2017, 46761-46778.
14. Dhiraj A, Khairnar, Sanjay P, Anantwar, Chetan S, Chaudhari, Pravin, Shelke, Superdisintegrants, An Emerging Paradigm in Orodispersible Tablets, International Journal of Biopharmaceutics, 5(2), 2014, 119-128.
15. Omidian H, Park K, Swelling Agents and Devices in Oral Drug Delivery, Journal of Drug Delivery Science and Technology, 18(2), 2008, 83-93.
16. Iyad R, Mayyas AR, Eftaiha AA, Badwan, Chitin-silicon dioxide Co precipitate as a Novel Superdisintegrants, Journal of Pharmaceutical Sciences, 97(11), 2008, 4955-69.
17. Khairnar DA, Anantwar SP, Chaudhari CS, Pravin A. Shelke, Superdisintegrants: an emerging paradigm in orodispersible tablets, International Journal of Biopharmaceutics; 2014; 5(2): 119-128.
18. Pahwa R, Gupta N, Superdisintegrants in the development of orally disintegrating tablets: a review, International journal of pharmaceutical sciences and research, 2011; 2(11):2767-2780.
19. Konapure AS, Chaudhari PS, Oswal RJ, Kshirsagar SS, Antre RV and Chorage TV: Mouth dissolving tablets-an innovative technology. International Journal of Applied Biology and Pharmaceutical Technology 2011; 2(1): 496-503.
20. Belet MH and Derle DV: Analysis of patents pertaining to superdisintegrants used in tablet manufacturing. Journal of intellectual Property Rights 2008; 13: 601-604.
21. Shrivastava P, Sethi V, A review article on: superdisintegrants, International Journal of Drug Research and Technology, 2013; 3(4):76-87.
22. Nandhini J, Rajalakshmi AN, Dispersible Tablets: A review, Journal of Pharmaceutical Advanced Research, 2018; 1(3): 148-155.
23. Bhaskaran S, Narmada GV. Rapid dissolving tablet a novel dosage form. Indian Pharm 2002;1:912.
24. Pahwa R, Piplani M, Sharma PC, Kaushik D and Nanda S: Orally disintegrating tablets – friendly to pediatrics and geriatrics. Archives of Applied Science Research 2010; 2(2): 35-48.
25. Bhowmik D, Chiranjib B, Yadav J, Chandira RM and Kumar S: Emerging trends of disintegrants used in formulation of solid dosage form. Scholars Research Library Der Pharmacia Lettre 2010; 2 (1): 495-504.
26. Mohanachandran PS, Sindhumol PG and Kiran TS: Superdisintegrants: an overview. Journal of Pharmaceutical Sciences Review and Research 2011; 6(1): 105-109.
27. Uddhav S Bagul. (2006). *Current status of tablet disintegrants: a review*. Retrieved March 5, 2011 from Pharmainfo.net. http://www.pharmainfo.net/reviews/current-status-tablet-disintegrantsa-review.
28. Rawat S, Derle DV, Fukte SR, Shinde PR, Parve BS, Superdisintegrants: an overview, World journal of pharmacy and pharmaceutical sciences,2014; 3(5):263-278.
29. Bhusnure O.G., Gholve S.B., Giram P.S., Thonte S.S., Mane J.M., Kazi P.A., BhangeM.A.,Role of Superdisintegratings in Fast Dissolving Tablets, International journal of pharmacy and pharmaceutical research,2015; 4(2):263-281.
30. https://www.pharmatutor.org/articles/use-of-natural-superdisintegrant-in-mouth-dissolving-tablet
31. Alam MT, Parvez N, and Pramod Kumar Sharma, FDA-Approved Natural Polymers for Fast Dissolving Tablets, Journal of Pharmaceutics, 2014.
32. Sharma V, Arora V, Ray C, Use of natural superdisintegrant in mouth dissolving tablet- an emerging trend, International Bulletin of Drug Research; 1(2):46-54.
33. Shihora H, Panda S, Superdisintegrants, Utility in Dosage Forms: A Quick Review, Journal of pharmaceutical science and bioscientific research, 2011; 1(3):148-153.

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