WORLD JOURNAL OF PHARMACEUTICAL RESEARCH
SJIF Impact Factor 8.074
Volume 7, Issue 17, 511-522. Review Article ISSN 2277– 7105

REVIEW ON THE SUPERDISINTIGRANT AND THERE PHENOMENON

Shaoor Ahmad[1]*, Veshveshwari Pandit[1], Sanjaydoshi[1], Dr. Shaikh Siraj N.[2], Afroza Patel[2] and Fakir Heena[3]

[1]Curex Pharma Products k-10 M.I.D.C Jalgaon MH, 425003.

[2]Ali Allana College of Pharmacy Akkalkuwa Dist. Nandurbar MH, 425415.

[3]Divine College of Pharmacy Satana Dist Nashik.

Article Received on
01 August 2018,

Revised on 22 August 2018,
Accepted on 12 Sept. 2018,

DOI: 10.20959/wjpr201817-13391

***Corresponding Author**
Shaoor Ahmad
Curex Pharma Products k-10 M.I.D.C Jalgaon MH, 425003.

ABSTRACT

The 90% of medicine are taken orally in the form of tablets, Capsule Suspension, &Emulsion etc. Tablet is one of the most preferred dosage forms amongst these because of its ease of manufacturing, convenience in administration the formulation of tablet containing API and excipients. The role of API to produce therapeutics action and excipients are the additives used in tablet formulation to improve Dissolution rate, Disintegration, taste, color, flavors, bulkiness and bioavaibility of the drug. The Bioavaibility of drug is dependent on in vivo disintegration, dissolution and various others factors. Disintegrating agents is a Substances added to tablet to facilitate its break up. The therapeutic action is based on the amount of drug released from the tablet, these disintegrants produce rapid disaggregation of solid in to solution and absorption of the drug takes place number of conventional and in novel dosage form utilize the Disintegration agents this base create number ofpreparation like Fast Dissolving Tablet, Dispersible Tablet and Pulsatile Tablet etc. This review article focus on various aspects of disintigrants like defination, Classification Characteristics, Methods and etc.

KEYWORDS: Disintegration, Superdisintigrants, classification, factors, Method.

INTRODUCTION

Oral delivery of the drug is most preferred which have the ease of administration, flexibility in formulation, and patient fulfillment. Tablets and capsules are amongst the list of solid oral dosage form.[1,2] it is the most popular dosage form and almost 70% of medicines are

dispensed in tablet formand wide range advantages like a cost effective dosageLowest cost among all other solid dosage form, dose precision, Tablets are single dosage form, it is suitable for manufacturing large size batches, it having less moisture content no chance microbial contamination, good chemical and ease of swallowing, least content variability and Ease of packingpain avoidance etc. formulation of the tablet containing active ingredients and number of excipients like diluents, binders, lubricants, disintegration agent, glidants, coloring agents, flavouring agents and sweetening agents.[3,4] Excipients are added to a formulation in order to achieve the desired fill weight of a dosage form, to improve the process ability or to affect the drug release behavior in the body. These complex porous systems undergo different mechanisms when they come in contact with physiological fluids. Solid dosage form first undergo disintegration mean break down of solid dosage form that phenomen a purpose adding the disintegration agents to break up and absorption take place.[5]

DISINTIGRATION

Disintegration may be define as the mechanical break up of a compressed tablet into small granules upon ingestion and therefore it is characterized by the breakdown of the interparticulate bonds, which were forged during the compaction of the tablet. Also refer the process break down of tablet interaction with saliva and gastric fluids. The disintegrant must quickly wick saliva into the tablet to generate the volume expansion and hydrostatic pressures necessary to provide rapid disintegration in the mouth.[6]

DISINTEGRANTS

Disintegrants are substances added to tabletand some encapsulated formulation to facilitate the breakup of the tablet and capsule into smaller particles in an aqueous environment thereby increasing the available surface area and promoting a more rapid release of the drug substance. The active pharmaceutical ingredients must be released from the tablet as efficiently as possible to allow its rapid action. Disintegrants bring about tablet matrix break-up in an aqueous medium and they promote moisture penetration and dispersion of the tablet matrix are commonly classified further in literature as tablet containing number of excipients for different action one is Disintegrants to help tablet for break down in to small particles also called a disaggregation to promote drug release fast on side of action generally utilize the Disintegrants like maize and corn starch, partially pregelatinized starch, and low substituted hydroxypropyl cellulose. Some clays, gums, resins, and finely divided, Microcrystalline cellulose.[7,8,9]

SUPERDISINTEGRANTS[10,13,15,16]

In current period utilize the new disintigrants termed as superdisintigrants its work fast then disintigrants and also need low concentration then old agents available in good criteria as per requirements with good appearance. Superdisintegrants are another version of super-absorbing materials with tailor-made swelling properties. These materials are not function to absorb significant amounts of water or aqueous fluids, but work to swell very fast. Superdisintegrants are utilizing the structural weakened for the breakup of tablet. They formulations to aid in the break-up of the compressed tablet into the primary particles to facilitate the dissolution or release of the active ingredients when it is put into a fluid. They endorse moisture penetration and dispersion of the tablet matrix. The major function of disintegrants is to oppose the efficiency of the tablet binder and physical forces that act under compression to structure the tablet.

These recently materials are more effective at low concentration then disintigrants with greater disintegrating efficiency and mechanical strength it is use in low amount in tablet typically 1-10% by weight relative to the total weight of the dosage unit. There particles are generally porous and small which are fast disintegrate in mouth without an objectionable mouth-feel from either large particles or gelling. The particles are improves tablet Hardness and Friability also provide improved compressibility, compatibility and have no negative impact on the mechanical strength of formulations containing high-dose of drugs. One gram of Superdisintegrants absorb 10-40 g of water or aqueous medium then create the stress will exist whole structure breakup.

Classification of the Superdisintegrants[4, 15]

Superdisintegrants can be classified into.

1. **Synthetic Superdisintegrants**

a) Modified starcheseg. Sodium Starch Glycolate
b) Modified celluloseeg. Croscarmellose
c) Cross-linked poly-vinyl pyrrolidoneeg. Crospovidone, polyvinyl-pyrrolidone
d) Modified Resineg. Indion 414, Kyron 314
e) Microcrystalline Celluloseeg. Avicel 102
f) Cross-linked alginic acideg. Alginic acid NF
g) L-substituted Hydroxypropyl derivatives.

2. Natural Superdisintegrants

a) **Gums**eg. Guar Gum, Xanthan Gum, Locust Bean, Cassia Fistula Gum, Karaya Gum,

b) Gellan Gum.

c) **Agar**egGelidiumamansii

d) **Chitosaneg**β (1, 4)2-amino-2-d-glucose

e) **Soy polysaccharide** Emcosoy

3. Co-processed superdisintegrants

a) **Eg**Starlac (lactose and maize starch).

b) Starcap 1500 (corn starch and pregelatinized starch).

c) Ran Explo-C (microcrystalline cellulose, silica and crospovidone).

d) Ludipress (lactose monohydrate, polyvinylpyrrolidone and crospovidone).

e) PanExcea MH300G (microcrystalline cellulose, hydroxyl- propyl- methyl cellulose And crosspovidone).

f) Ran Explo-S (microcrystalline cellulose, silica and sodium starch glycolate).

Various physical factors of disintegrants Affecting disintegration[9]

1. Amount of disintegrants present in the formulation.
2. Proportion of disintegrants used.
3. Compatibility with other excipients.
4. Presence of surfactants.
5. Hardness of the tablets.
6. Nature of Drug substances.
7. Mixing and types of addition

Ideal Properties of Disintegrants[4, 9,10]

It should be Poor water solubility

It should be Poor gel formation

It should be Good hydration capacity

It should be Good flow properties

It should be No tendency to form complexes with the drugs

It should be Non-toxic and inert

It should be Good compressibility

It should be Requirement of least quantity

It should be Good Mouth feel effect

Advantages of disintegrants[13]

1) Does not stick to the dyes and punches
2) No lumps formation at the time of granulation
3) Effective in less amount than starch
4) More effective intragranularly
5) Low effect on flow ability and compressibility
6) Compactable with commonly used API and Additives
7) Work equally effective in hydrophilic and hydrophobic

Disadvantages of disintegrants[13]

More hygroscopic in nature may be problem with moisture sensitive drugs.

Method of incorporation[9,12]

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

Intragranular or during granulation

In this method, the disintegrant is mixed with other powders before wetting the powder mixtures with the granulating fluid. Thisdisintegrants are incorporated within the granules.

Extragranular or prior to compression

In this method, the disintegrants are mixed with sized granules before compression.

Incorporation of disintegrants at intra and extra

In this method are used, part of disintegrant can be added internally andpart externally. This provides immediate disruption of the dosage form into previously compressed Granules while the disintegrating agent within the granules produces further erosion of the granules to the original powder particles. The two step method usually produces better and morecomplete disintegration than the usual method of adding the disintegrant to the granulation surface only.

Mechanism of action of disintegrants[4,9,10]

1. Capillary action (Wicking).
2. Swelling.
3. Heat of wetting.
4. Release of gases.
5. Enzymatic action.

6. Particle repulsive forces.
7. Deformation recovery.

1. Capillary action

Those are effectivedisintigrants that do not swell they produce means action through the porosity and capillary action. Porosity produce pathway for the penetration of fluid which weakens the intermolecular bond and breaks tablet into fine particles. And also rupture intra particles bonds and cause the disintegration.These types ofdisintegrants maintenance of porous structure and low interfacial tension towards aqueous fluid are necessarywhich helps in disintegration by creating a hydrophilic network around the drug particles.

2. Swelling

Water penetration is a necessary first step for disintegration swelling is most widely accepted mechanism of action for tablet disintegrants. Particles of disintegrants swellon coming in contact with suitable fluid and a swelling force develops which leads to break-up of the compact.Porosity and swelling behaviors are inversely proportional to each other.

3. Heat of wetting.

Those disintigrants with exothermic characteristics get wetted localized stress is created due to capillary air expansion which facilities in disintegration of dosage form.

4. Release of gases.

Interaction between tartaric acid and citric acid (acids) with alkali metal carbonates or bicarbonates (bases)then liberation of CO2 in water which generates the pressure within the tablet and facilitate disintegration. As these disintegrants are highly sensitive to strict control on the humidity level and temperature is required during preparation of the tablets.

5. Enzymatic action

Enzymes available in the body also role performing as a disintegrants. These enzymes act on binding action of binder and helps in disintegration. Due to swelling, pressure is exerted in the outer direction that causes the tablet to break up Some examples of disintegrating enzymes are presented in **table 1** along with the binders against which these are active.

Table No. 1: Some Examples of Enzymes as Disintegrating Agent.

Sr. No.	Enzymes	Disintegrting agent
1	Amylase	Starch
2	Protease	Gelatin
3	Cellulase	Cellulose and its derivatives
4	Invertase	Sucrose

6. Particle repulsive forces

This mechanism is shown in compact made with non swellabledisintegrant. Water penetrates into compact through hydrophilic pores and breaks the hydrogen bonds other forces.

7. Deformation recovery

The shape of disintigrants particles is change means distorted during compression the shape of particle is return at the time of wetting. This increase in size causes the tablet to break.

Mostly used superdisintigrants are

1. Crosspovidone

Synonyms:-Crosslinkedpovidone, 1-vinyl-2-pyrrolidinone homopolymer, E1202; Kollidon CL, **Chemical name:-**1-Ethenyl-2-pyrrolidinone homopolymer, **Molecular Weight**:->1 000 000.

Description:-Crospovidone is a white to creamy-white, finely divided, freeflowing, odorless, tasteless, hygroscopic powder.

Introduction[06,02]

Crospovidone is asynthetic water insoluble it'sprepared from the monomer vinpyrrolidone by popcorn polymerization method. Crospovidone use as a drug carrier and widely used as a disintegrant agentIt is also used as a super-disintegrantwhich does not irritate the gastrointestinal tract and require in low concentrationor crospovidone is an excipient that has the ability to stabilize the amorphous state of drugs due to inhibition of drug recrystallization and a rapid solidification rate. Which does not melt on a heating having a 'popcorn' shape containing many cavities,it is difficult to crush using a mortar or pestle crospovidone is insoluble, it can be thoroughly washed with water to achieve a very high degree of purity it can increase the solubility of amorphous state drugs such as fulfenamic acid, griseofulvinand furosemidein water. The classification of the crosspovidone in to three grades e.g. coarse, medium (32 μm) and small (20 μm). These are two types particle structure, Type A - particle structure of normal crospovidone and Type B - particle structure of micronized crospovidone,

Differences in particlesize distribution played a very important role on the flow and swelling properties of crospovidone, Crospovidone has the ability to enhance the wettability of the hydrophobic drugs and can exhibit micrdoenvironment effect. The choice of solvents also plays a critical role in the interaction of crospovidone with the drugs.it is interesting to crosspovidoneinteract with drugs and solvents like methanol, ethanol, chloroform and water in the case of poor dissolution rate of drugs.

Table No. 2: Pharmacopeial specifications for crospovidone.

Sr No.	Test	PhEur 2005	USPNF 23
1	Identification	+	+
2	Characters	+	-
3	pH (1% suspension	-	5.0–8.0
4	Water	-	< 5.0%
5	Residue on ignition	< 0.1%	<0.4%
6	Water-soluble Substances	<1.0%	<1.50%
7	Peroxides	< 400 PPM	-
8	Heavy metals 410 ppm 40.001%	< 10PPM	<0.001%
9	Vinylpyrrolidinone — 40.1%	-	< 0.1%
10	Loss on drying 45.0% —	< 5.0%	-
11	Nitrogen content	11.0 – 12.8	+

Pharmaceutical Application

Clarifier:-crospovidone is also used as a clarifier of alcoholic and non-alcoholic beverages. Hazing of beersand wines is caused by reaction of proteins with oxidized polyphenols and reduction of the latter is achieved bybinding with polyvinylpyrrolidone.

Nasal absorption-enhancing vehicle: Crospovidone has been used for the first time as enhancer in increasingbioavailability of cyanocobalamin, and is a suitable nasal absorption-enhancing vehicle since it shares theinsolubility and absorption properties of microcrystalline cellulose and dextran microspheres.

Extrusion-spheronisation aids: During last few years, crospovidone and other excipients like carrageenan, chitosan, pectin, Eudragit® and modified starch have been exploited as extrusion spheronization aid alternate tomicrocrystalline cellulose.

Super disintegrant: Crosspovidone recent use as a disintegration in small amount concentration for breakup of the dosage form to facilate immediate API release done after contract with a fluid.

Carrier, excipient and disintegrant

Crosspovidone utilize as a pharmaceutical carriers to enhance the solubility and dissolution rate of poorly soluble drug use in the several dosage form. It is commonly used as a disintegrant in concentrations ranging from 2 to 5% in solid dosage forms.

Recrystallization inhibitor: Crospovidone has been found to inhibit recrystallization of the drugs by restricting the mobility of the drug molecules.

Coating layer: Crospovidone can be used as a coating layer for several drugs two polymers such as crospovidone and HPMC with strong interactions can improve tensile strength of the blends.

Adsorbents: Crospovidone can be used as aAdsorbents.

Solubility enhancer: It is interesting to note that although crospovidone is insoluble, it can be used in solid pharmaceutical preparations to improve the dissolution rate of an active substance like drugs.

2. Sodium starch glycolate[13,22]

Nonproprietary Names: Sodium starch glycollate

Synonyms: Carboxymethyl starch, sodium salt; Explosol; Explotab; Glycolys; Primojel; starch carboxymethyl ether, sodium salt; Tablo; Vivastar P.

Chemical Name: Sodium carboxymethyl starch.

Category: Disintergrant in Tablet and Capsule**.**

INTRODUCTION[13,22]

Sodium starch glycolate is the Sodium salt of carboxymethyl ether of starch or of a cross-linked a carboxymethyl etherof starch it may contain NMT 7% of Sodium chloride Sodium starch glycolate is a white to off-white, odorless, tasteless, free-flowing powder. Consists of oval or spherical granules, 30–100 mm in diameter, with some less-spherical granules ranging from 10–35 mm in diameter. Mechanism of Action of S.G.S Rapid and extensive swelling with minimal gelling, Effective Concentration of S.G.S 4-6%. Above 8%, disintegration times may actually increase due to gelling and its subsequent viscosity producing effects. The PhEur 2005 describes three types of material: Types A and B occur as the sodium salt of a cross-linked partly Ocarboxymethylated potato starch, containing 2.8–

4.2% and 2.0–3.4% of sodium respectively. Type C is the sodium salt of a cross-linked by physical dehydration, partly O-carboxymethylatedstarch containing 2.8–5.0% sodium.

Applications of Sodium starch Glycolate

It is use as a Disintegrant in Oral Pharmaceuticals tablets and Capsule formulation usual concentration utilize in a formulation is between 2% and 8% with the optimum is about 4% in many cases 2% is sufficient. Although the effectiveness of many disintegrants is affected by the presence of hydrophobic excipients such as lubricants, the disintegrant efficiency of sodium starch glycolate is unimpaired. Increasing the tablet compression pressure also appears to have no effect on disintegration time.

It has also been investigated for use asa suspending vehicle.

CONCLUSION

Disintegrants are substances added to tablet to facilitate the breakup of the tablet into smaller particles in an aqueous environment thereby increasing the available surface area and promoting a more rapid release of the drug substance.

Recent development in fast disintegrating technology mainly works to improve the disintegration quality of these delicate dosage forms withoutaffecting their integrity by using suitablesuper disintigrant. Superdisntigrants are more effective at low concentration then disintigrants with greater disintegrating efficiency and mechanical strength it is use in low amount in tablet typically 1-10% by weight relative to the total weight of the dosage unit. In this era natural and synthetics disintigrtants are available still there is need search of natural disintigrants which is not explored for its disintegration action.

REFERENCES

1. Poovizhi Ponnammal, Parijat Kanaujia, Yin Yani ID, Wai Kiong Ng, Reginald B. H. Tan, Orally Disintegrating Tablets Containing Melt Extruded Amorphous Solid Dispersion of Tacrolimus for Dissolution Enhancement, Pharmaceutics, 2018; 10(35): 2-15.
2. Shaikh Siraj, Molvi K.I., G. J Khan, Shaoor Ahmad, ShaikhMohsin, Shaikh Salman Reviwe on Floating with Bioadhesion:A Unique Expansion in Gastroretetive Drug Delivery System American Journal of Pharmatech Research., 2015; 5(6): 21-32.

3. ParindMahendrakumar Desai, Celine Valeria Liew, Paul Wan SiaHeng, Review of Disintegrants and the Disintegration Phenomena, Journal of Pharmaceutical Sciences, 2016; 105; 2545-2555.
4. P.S Mohanachandran, P.G Sindhumol, T.S KiranSuperdisintegrants: An Overview, International Journal of Pharmaceutical Sciences Review and Research, Volume 6, Issue 1, January – February 2011; 105-109.
5. T. Naga Aparna, A. SambasivaRao, Traditional and Emerging Disintegrants – A Review, International Journal of Pharmaceutical Sciences Review and Research, 22(1), Sep – Oct 2013; 38: 205-212.
6. ShaikhSirajNawaj, Saleem Patel, G.J Khan, K.I Molvi, Siddique Patel, Shaoor Ahmad, Review On Insight The Application Of Thermal Sintering Technique In NDDS Specially GRDDS, Journal Of Drug Delivery & Therapeutics, 2017; 7(5): 109-113.
7. Malahah Binti Mohamed, Mahesh Kumar Talari, Minaketan Tripathy 1, Abu Bakar Abdul Majeed1. Pharmaceutical Applications Of Crospovidone: A Review. International Journal of Drug Formulation And Research, Jan- Feb. 2012: 3(1): 13-28.
8. Muhammad Saquib Qureshi, Farya Zafar, Huma Ali, Kamran Hameed, Neelam Mallick, Sohail Khan, Saba Ajaz Baloch, Superdisintegrant On Disintegrant And Dissolution; A Review On Influence, The Professional Medical Journal, 2016; 23(10): 1167-1170.
9. Purnima Amin, Namita Prabhu And Anita Wadhwani, Indion 414 As Superdisintegrant In Formulation Of Mouth Dissolve Tablets Indian Journal Of Pharmaceutical Sciences January - February 2006; 117-119.
10. Hardik Shihora, Subhranshu Panda, Superdisintegrants, Utility in Dosage Forms: A Quick Review, journal of pharmaceutical science and bioscientific research, Nov Dec 2011; 1(3): 148-153.
11. RakeshPahwa And Nisha Gupta, Superdisintegrants In Thedevelopment Of Orally Disintegrating Tablets: A Review, International Journal Of Pharmaceutical Sciences And Research, 2011; 2(11): 2267-2280.
12. RajniBala, SushilKhanna, PravinPawar, Polymers In Fast Disintegrating Tablets – A Review, Asian Journal Of Pharmaceutical And Clinical Research, 2012; 5(2): 8-14.
13. Harish Gopinath, Kasi Sankar Venugopal, Sangeetha Shanmugasundaram, Pragati Kumar Bada, Disintegrants- A Brief Review.
14. Mahendra Singh, Dr. S. K. Prajapati, Akanksha Singh, Vinay Kumar, Vinod Kumar Kanaujia Tablet Disintegrants: An Overview American Journal of Pharmatech Research, 2012; 2(1): 14-23.

15. Neeti Anand, Lalit Singh, Vijay Sharma, Emergence of natural Superdintigrant in oral-dispersible tablet: overview, International research Journal of Pharmacy, 2013; 4(8): 33-38.
16. Kunchu Kavitha, Boey JiaHui, Kumutha Subramaniam, Kumaraswamy Santhi, Sokalingam Arumugam Dhanaraj, Mani Rupeshkumar, Role Of Superdisintegrants In The Design Of Fast Dissolving Drug Delivery Systems - A Review, International Journal Of Advances In Pharmaceutical Research, Nov 2013; 4(11): 2475-2483.
17. Kartikverma research article on Excipients used in the Formulation of Tablets, Research and review Journal of chemistry, June 2016; 5(2): 143-153.
18. Vimal V.V, Aarathi T.S, Anuja1, Soumya Baby John, Superdisintegrants In Fast Disintegrating Drug Delivery Systems: A Brief Review, International Journal of Pharmacy, 2013; 3(2): 380-385.
19. Mohit Mangal, Sunil Thakral, Manish Goswami, Pankaj Ghai, Superdisintegrants: An Updated Review, International Journal of Pharmacy and Pharmaceutical Science Research, 2012; 2(2): 26-35.
20. MdT ausifAlam, Nayyar Parvez, and Pramod Kumar Sharma, FDA-Approved Natural Polymers for Fast Dissolving Tablets, Hindawi Journal of pharmaceutics, 2014: 2-6.
21. Bhandari Neeraj, Simran, Bali Tanvi, Tangum, Sumeena And Choudhary Swati, Fast Dissolving Tablets: A Review, World Journal Of Pharmacy And Pharmaceutical Sciences, 6(4): 563-577.
22. Shrivastava Priyanka And Sethi Vandana, A Review Article On: Superdisintegrants, International Journal Of Drug Research And Technology, 2013; 3(4): 76-87.
23. Raymond C Rowe, Paul J Sheskey and Sian C Owen, Hand book of Pharmaceutical Excipients Fifth edition, 211-216: 701-704.