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REVIEW OF NATURAL SUPERDISINTEGRANTS

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ABSTRACT

In dosage forms, solid dosage forms gain maximum popularities, about 85%, because of many advantages over others. Disintegration plays a major role in improving drug activity and hence increases patient compatibility. The therapeutic activity of the formulations is obtained by disintegration followed by dissolution. The inclusion of right disintegrants is a prerequisite to get optimal bioavailability in tablets and capsules. Disintegrants are substances or a mixture of substances added to the drug formulation that facilitates the breakup or disintegration of tablet or capsule content into smaller particles that dissolve more rapidly than in the absence of disintegrants. Superdisintegrants are generally used at a low level in the solid dosage form, typically 1-10% by weight relative to the total weight of the dosage unit. The present study comprises the various kinds of super disintegrants which are being used in the formulation to provide safer, effective drug delivery with patient's compliance. In this review article, more emphasis is given on the application and usage of various natural super disintegrants comparing with other disintegrants about available scientific studies.

KEYWORDS: solid dosage forms, Disintegrants, Natural superdisintegrants.

INTRODUCTION

The oral route for drug delivery is the most attractive route for the delivery of drugs. Different kinds of dosage forms administered orally, the tablet is the most desired dosage form among them. For of its ease of preparation, ease in administration, correct dosing, and stability related to oral liquids and because it is more tamper-proof than capsules. The small volume of saliva is usually sufficient to result in tablet disintegration in the oral cavity. The medication can then be absorbed partially or entirely into the systemic circulation from blood vessels in the sublingual mucosa, or it can be swallowed as a solution to be absorbed from the gastrointestinal tract. Tablet disintegration has received considerable attention as an essential step in obtaining faster drug release.

Superdisintegrants

The term super-disintegrants refer to substances which achieve disintegration faster than the substances conventionally used. A tablet or a capsule content breaks up or disintegrates into a smaller particle that dissolves more rapidly than in the case of the absence of such disintegrates.

NATURAL SUPERDISINTEGRANTS

Nowadays, we have several plant-based pharmaceutical excipients and various researchers have explored the utility of some of these plant-based materials as pharmaceutical superdisintegrants. These super-disintegrating agents are natural in origin and are alternative over synthetic substances because they are comparatively cheaper, easily available, non-irritating and nontoxic in nature.

Ispaghula husk

Ispaghula husk mucilage is obtained from the seeds of *Plantago ovata*. The plant contains mucilage in the epidermis of the seed. This mucilage contains a variety of properties like binding, suspending and easily dispersible agents in the pharmaceutical industry. Extracted mucilage also used as a matrix for entrapment and delivery of various drugs, proteins, and cell 5. Mucilage of *Plantago ovata* can be used as super-disintegrant to formulate ODTs due it has a very high percentage of the swelling index (around 89 ± 2.2% v/ v) as compared to the other natural or synthetic super-disintegrating agents. The seeds of *Plantago ovata* were soaked in distilled water for 48 hours and then boiled for few minutes for the complete release of mucilage into water. The material was squeezed through muslin cloth for filtering and separating the marc. Then, an equal volume of acetone was added to the filtrate to precipitate the mucilage. The separated mucilage was dried in an oven at a temperature of less than 60°c3 .2% of the solution acts as good disintegrating agent.

Fenugreek Seed Mucilage

Trigonella foenumgraceum is known as fenugreek the fast mouth disintegrating agent coming under

Leguminosae family. Mucilage is an off-white cream-yellow color amorphous powder that quickly dissolves in warm water to form viscous colloidal solution5. Fenugreek seeds contain a high percentage of mucilage which can be used as a disintegrant for use in ODTs formulation. fenugreek seeds swell up and become slick when they are exposed to fluids. So due to their high swelling property, it serves as a better super-disintegrants for ODTs formulation

Gellan Gum (Kicogel)

Gellan gum is produced by the microbe *Pseudomonas elodea.* It is a linear anionic polysaccharide, a biodegradable polymer consisting of a linear tetrasaccharide repeat structure and used as a tablet disintegrants. The disintegration of tablets might be due to the instantaneous swelling characteristics of gellan gum when it comes into contact with water and owing to its high hydrophilic nature. The complete disintegration of the tablet is observed within 4 minutes with a gellan gum concentration of 4% w/w and 90 percent of drug dissolved within 23 minutes.

Locust Bean gum

It is with a mechanism of action with swelling and capillary action6. The swelling index was found to be 2000 which points towards good swelling competency of locust bean gum5. Swelling is observed with less than 20sec and got the appreciable capability of super disintegrant, compared with standards super disintegrants like carmellose sodium. Disintegration time of 13 sec.

***Hibiscus Rosa Sinesis Linm* Mucilage**

Hibiscus rosa-Sinensis is commonly known as the shoe-flower plant, China rose and Chinese hibiscus and belongs to the family Malvaceae. The plant is found in India in large quantities and its mucilage has been found to act as a super-disintegrant in the ODTs formulations3. Mucilages are utilized as thickeners, suspending agent, water retention agent, and disintegrants.d aceclofenac oral disintegrating tablets by direct compression method using hibiscus Rosa Sinensis linm mucilage and shows the disintegration of the tablet within less than the time of 20 sec.

Guar Gum

It is a high molecular weight polysaccharide extracted from the seeds of *Cyamopsis tetragonaloba* which has thickening and stabilizing properties used in the food and industrial application5. It is a high molecular weight polysaccharide extracted from the seeds of *Cyamopsis tetragonaloba* which has thickening and stabilizing properties used in the food and industrial application. Particle size can affect disintegration, with finer particle sizes having greater disintegrating capabilities 3. In pharmaceutical applications, it is widely used as binder and disintegrant and also been investigated in the preparation of sustained-release matrix tablets in the place of cellulose derivatives such as methylcellulose.

Mango Peel Pectin

Mango peel contains 20-25% of mango processing waste used as a good source for the extraction of pectin of good quality used for the preparation of film and jelly. Pectin is a heteropolysaccharide which as a hydrophilic colloid. Naturally obtained mango peel pectin stands as a good candidate to act as a super disintegrant. Due to its good solubility and higher swelling index it may be used in the formulation of the fast disintegrating formulation.

Plantago ovata Seed Mucilage

Psyllium or Ispaghula is the common name, whose seeds are used commercially for the production of mucilage. The seeds of *Plantago ovata* were soaked in distilled water for 48 hours and then boiled for few minutes for the complete release of mucilage into water. The material was squeezed through muslin cloth for filtering and separating the marc. Then, an equal volume of acetone was added to the filtrate to precipitate the mucilage. The separated mucilage was dried in an oven at a temperature of less than 60°. Mucilage of *Plantagoovata* has various characteristics like disintegrating, binding and sustaining properties. Mucilage of *Plantago ovata* can be used as super-disintegrant to formulate ODTs because it has a very high percentage of the swelling index (around 89 ± 2.2% v/v) as compared to the other natural or synthetic super- disintegrating agents3. All formulations were evaluated for weight variation, hardness, friability, disintegration time, drug content, and dissolution. The optimized formulation shows a less in vitro disintegration time of 11.69 seconds with rapid in vitro dissolution within 16 minutes. In-vitro disintegration time decreases with an increase in .the concentration of natural superdisintegrant.

Agar and treated agar

It is the dried gelatinous substances obtained from *delirium amansii* (gelidanceae) and several other species of red algae like *Gracilaria* and *Pterocladia*. Agar is a yellowish-gray or white with mucilaginous taste and is available in the form of divests, sheet flakes, or coarse powder. Agar consists of two polysaccharides agarose and agaropectin**5**. Agarose is responsible for gel strength and Agaropectin is responsible for the viscosity of agar solutions. The high gel strength of agar makes it a potential candidate as a disintegrant in the formulation of ODTs. Gums are used in a concentration from 1 to 10%. However, these are not as good disintegrating agents as others because capacity development is relatively low.

Chitin and Chitosan

Chitin (β-(1→4)-N-acetyl-D-glucosamine) is a natural polysaccharide obtained from crab and shrimp shells. It possesses an amino group covalently linked to the acetyl group as compared to the liberate amino group in chitosan. Chitosan is produced commercially by deacetylation of chitin, which is the structural element in the exoskeleton of crustaceans (such as crabs and shrimp) and cell walls of fungi. Bruscato and Danti, 1978, reported that when chitin was included in the

conventional tablets, the tablets disintegrated within 5 to 10 minutes irrespective of solubility of the drug. The disintegration time in the oral cavity, as well as wetting time, could be analyzed by surface free energy. Chitosan is the best kenned natural polysaccharide utilized for its multifarious applications in the pharmaceutical industry

Ocimum americanum

Seed Mucilage, Patel et al prepared the propranolol hydrochloride tablets using *Ocimum americanum* seed mucilage using various concentrations like 2, 4, 6, 8, 10% the optimum concentration of mucilage for rapid dissolution is shown at 10% and the same concentration with starch and propranolol hydrochloride is prepared and shows disintegration time of 269 seconds while Ocimum shows the disintegration in 154 seconds. The hardness friability drug content is within limit.

Applications

Superdisintegrants are used in different types of formulation. These are as follows:

a) Mouth dissolving tablet; Khalidindi et al 1982 evaluated soy polysaccharide (a group of high molecular weight polysaccharides obtained from soybeans) as a disintegrant in tablets made by direct compression using lactose and dicalcium phosphate dihydrate as fillers.

b) Fast disintegrating tablet; Shirsand et al carried out preparation and evaluation fast dissolving tablets of metoclopramide using novel co-processed super disintegrant. In the present study, novel co-processed superdisintegrants were developed by a solvent evaporation method using crospovidone and sodium starch glycolate in different ratios (1:1, 1:2 & 1:3) for use in the fast dissolving tablet formulations.

c) Rapidly disintegrating tablet; Sandeep B. Patil et al prepared Olanzapine, quick dispersing tablets by direct compression method. Effect of super disintegrant crospovidone on wetting time, disintegration time, and drug content and in vitro release has been studied.

d) Pharmaceutical super disintegrant: Superdisintegrants which provide improved compressibility compared to prior art super disintegrants. The superdisintegrants include a particulate agglomerate of co-processed starch or cellulose and a sufficient amount of an augmenting agent to increase the compatibility of the super disintegrant.

e) Rapidly disintegrating enzyme-containing solid oral dosage compositions: Invention relates to rapidly disintegrating solid oral dosage forms having an effective amount of an enzyme and a super disintegrant. The enzyme lactase is claimed in this patent for solid oral formulations.

f) Fast disintegrating tablets: A fast disintegrating tablet comprising Nimesulide and one or more disintegrants. In this research superdisintegrants used are croscarmellose cellulose, crospovidone, and sodium starch glycolate.

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