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"A REVIEW ON ORODISPERSIBLE TABLET OF TELMISARTAN "

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ABSTRACT: The objective is to develop Telmisartan Orodispersible tablets employing Super disintegrating agents to speed up the rate of medication releases from the dosage form for improved bioavailability, immediate action, compliance among patients, convenience of administration and palatability.

Latest technological advancements in the creation of ODT dose forms meet patient requirements without sacrificing effectiveness. The ODTs meet the patient's needs, which include issues in swallowing traditional tablets or capsules.

The tablets were made using Direct Compression method. Then resulting mixture and tablets were tested for physical and chemical characteristics including in-vitro dissolution.

Incorporation of super disintegrants improved the break down period for Fast Dissolving tablets.

KEYWORDS : Disintegration , Orodispersible tablets , Superdisintegrants , Telmisartan , Wicking.

INTRODUCTION

For the delivery of the majority of therapeutically active medications, administration via oral route has shown to be one of the most effective and commonly tolerated by patients.[3] Tablets are a frequently prescribed dose form due to their self-administerability, stability, and ease of creation.[21]

The most significant and practical mode of administering medications is through the oral route.

At least 90% of all medications that are utilised to have a systemic effect are likely given orally.

Orodispersible tablets (ODTs), which dissolve quickly and can be taken without water or chewing, are one such revolutionary strategy for boosting consumer acceptance.[5]

According to reports, swallowing issues are prevalent across all age groups but are more prevalent in children, the elderly, and people who have motion sickness-related nausea, vomiting, and issues.

The acceptance of bitter medications by different demographic groups is increased by orally disintegrating tablets (ODT) with palatable flavours.[4]

Thus, Researchers were working on intraoral delivery method which can increase the drug's therapeutic level, avoid first-pass and gut-wall metabolism, increase bioavailability of active medication or enhance easy access of dosing with the goal to prepare Quick disintegrating Tablets of Telmisartan.[6]

For effective MDTs,the duration of disintegration varies from a few second to nearly a minute.[23]

Oral Disintegrating Tablets is a solid form of dosage having active ingredients or therapeutic compounds that dissolves quickly, often in few seconds, once put on the roof of the mouth, as defined by the USFDA.[10]

Oral disintegrating tablets also known as orodispersible tablets (ODT) serve as a form of dosage for a select group of OTC and prescription medication.[4]

Orodispersible pills, melt-in-the-mouth tablets, rapid melts, fast dissolve, oral disintegrating, porous tablets, quick dissolving, etc. are other names for fast dissolving tablets.

It instantly dissolve when put on tongue, delivering medication, which then dissolves or disintegrates in the mouth.

Tablets that dissolve in the mouth can be a tempting alternate delivery method. The benefit of medication delivery by mouth dissolving is the fact ,the medication is absorbed directly into the bloodstream, avoiding enzymes breakdown in the gut and liver.[20]

Such medications were highly helpful for people with hypertension because they need prompt medical attention to avoid sudden cardiac arrest, strokes, etc. Therefore, there is a requirement for an anti-hypertensive mouth dissolving pill with quick reaction to decrease morbidity, avoid first pass metabolism with minimise production challenges & affordable.[19]

The best mouth-dissolving pills have the following qualities.

•They can disintegrate or dissolve in oral cavity within a seconds.

•They do not need water to be swallowed.

•Excipients can be utilised with it.

•It is easy to move and portable.

•It permits large drug loading.

•It shows reduced susceptibility to changes in the environment.

•It leaves a pleasant flavour in the tongue.[1]

DIFFICULTIES IN ODT DEVELOPMENT

- Avoid increasing the size of the tablet to prevent rapid tablet breakdown.
- Possess suitable mechanical strength.
- Little or no mouth leftovers.
- Moisture protection.

- An enticing package.
- Able to function with taste-masking technologies
- Unhindered by drug-like qualities.[9]

BENEFITS OF ORODISPERSIBLE TABLETS .

- As saliva descends into the gastrointestinal tract, some medications undergo absorption by the oral cavity, trachea, and oesophagus.In these situations, Absorption rate of medications enhances.
- Pregastric absorption can increase bioavailability and as an outcome of a dosage reduction, enhance clinical performance by minimising side effects.
- Facilitate the process of swallowing to patients that have difficulty in swallowing a pill including young kids, senior citizens, individuals with mental illness, people with disabilities, and recalcitrant patients.
- Simpler administration and more precise dosage.
- The dose form does not require water to be swallowed, which is a very practical feature for people who frequently travel and don't have easy availability of water.
- The pleasant oral sensation of ODTs that serves to alter patients perceptions for treatment like a "bitter pill," especially for younger patients.
- The capacity of delivering liquid medicinal properties in the form of a rigid formulation.
- Faster medication absorption and dissolution, which could result in a quick start to activity.[11-17]

Essential requirements for additives used in the preparation of ODTs include

- Ability to swiftly dissolve.
- Their unique characteristics shouldn't have an impact on the ODTs.
- It shouldn't interfere with any medications or additives .
- It shouldn't affect the product's effectiveness or biological qualities.
- The ultimate purity and durability of the good must be considered when choosing the binding agent (individual or mix of binding agents).
- The excipients utilised will have a melting point between 30 and 350 C.
- The binders may be in mixtures that are polymeric, semi-liquid, solid, or liquid.[18]

TELMISARTAN

Telmisartan is an angiotensin II receptor blocker. It functions by inhibiting a chemical in the body that tightens the blood vessels. It causes the blood vessels to relax . As a result the heart receives more blood and oxygen. Blood pressure is also decreased.

Due to extensive first pass hepatic metabolism, telmisartan has a poor bioavailability of around 45%. However, bioavailability can be enhanced using a fast-dissolving formulation.[6]

SUPERDISINTEGRANTS

Super disintegrants help the orally disintegrating pill disintegrate when it is placed on the tongue. These ingredients should be utilised with the correct proportion because their breakdown duration depends on the introduction to the composition.

Super disintegrants can be employed separately or in mixture in formulations and they have effective concentration ranges.[29-31]

When choosing the super disintegrant, keep the following qualities in mind.[31]

• Capacity for compression and flow.

• Poor gel synthesis.

• Poor solubility in water.

• Adequate hydration.

• The incapacity to combine medicines to form complex.

The most often used synthetic disintegrating agents are crosspovidone, sodium starch glycolate, and carboxymethyl cellulose sodium.

Lepidium sativum seed mucilage, fanugreek seed mucilage, gellan gum, chitosan, chitin and Isapghula husk mucilage (Plantago ovata) are a few examples of naturally occurring polymer that have been employed as superdisintegrants.[31-33]

ASSESSING SUPERDISINTEGRANT MECHANISMS

They operate on 4 fundamental methods.

1.Swelling: Through this process, certain disintegration ingredients, such as starch, when come into contact with water, impart the dissolving action and cause the pill to break down.

for instance, Plantago Ovata 25 with sodium starch glycolate.

2.Porosity and Capillary Action (Wicking): Some superdisintegrants disintegrate due to porosity and capillary action. The disintegrating particles work to increase porosity, which creates pathways for liquid to permeate into tablets. The liquid is then exhausted by capillary or wicking activity, which causes the breakdown of inter-particle bonding and finally the disintegration of the tablet.

Crosspovidone and Crosscarmellose is an example .

3.Deformation: The starch grains distorted when pressure was applied to them, and they returned to their normal shape when the pressure was released.

However, they irreversibly distorted when crushed into tablets, releasing the energy once touch with water.[27]

4.Disintegrating Particle-Particle Repulsive Forces: This process connected to disintegrants that cannot swell. Guyot-Hermann responded with the particle repulsion theory. Disintegration electric repulsive interactions between the particles, so the theory goes, are what cause the water. The majority of disintegrants are thought to

operate through many mechanisms. However, it is the outcome of the interactions between these important systems.[28]

PREFORMULATION RESEARCH [6]

The purpose of pre-formulation testing is to examine physicochemical characteristics of drug material when used itself or in interaction with additives.

It provides details necessary to describe the makeup of the drug ingredient and offer a dosing form.

- **Bulk Density:** A compound's bulk density might vary significantly depending on the procedure used for crystallization, grinding, or formulation.

  By passing pre-sieved granules through a sizable funnel in a scaled cylinder & weighing and determining the amount contained, Bulk density can be calculated.

- **Tapped Density:**A graduated cylinder with a specified amount of granules is placed in a mechanical tapper devices and then runs through set number of tap unless the powder bed's level reaches the minimum volume, in order to calculate the tapped density.

  A tapped density can be determined using the weight of the medication in the cylinder and this minimal volume.

- **Carr's index:**Bulk Density and Tapped density values are used for determining Carr's index.
- **Hausner's Ratio:** It describes the flow characteristics of the powder and the proportion of the powders or granules tapped density to their bulk density.

  It is calculated as Tapped Density divided by Bulk Density.

- **Angle of Repose:** The varied angles of friction and reaction represent how stresses are transmitted through a bead and how the bead responds to applied stress.

  $\theta = \tan^{-1}$ (height of the pile /radius of the pile)

EVALUATION OF TELMISARTAN ORODISPERSIBLE TABLETS [8]

- **Weight variation test:** Twenty tablets of each kind of preparation were measured separately with a digital balance to determine weight variation. The mean weight were computed and the weight of each one was compared to the mean to determine the standard deviation.
- **Thickness :** A Micrometre screws gauge was used to measure the thickness of each of the tablets. The mean values were computed using 5 pills of each kind of preparation.
- **Hardness:** A tablet's resistance to transportation, damage, preservation, transport, and care prior to use depends on its level of toughness. Six tablet from every batch were evaluated for toughness with Monsanto apparatus.The tester's pair of jaws were set around the tablet's oblong axis. Readings must be 0 kg/cm2 that time. The knob was then rotated while applying steady pressure til the tablet broke.

- **Friability:** The durability of a tablet is measured by its friability. The approach was done to test the friability using the Roche Friabilator. Six preweighed tablets were used as a sample, which was set in the Roche friabilator and run for 100 revolutions in order for four minutes. Afterwards the tablets were weighed again and powdered. Most people consider a weight decrease of less than 1% to be desirable.
- **Drug Content Uniformity:** Five tabs of each preparation were measured and broken in mortar, and powders containing 40 mg of drug were measured, mixed in 100 millilitres of 0.1 N HCl . It is a stock solutions in which 1 ml of 0.1 N HCl (pH 1.2) were extracted then, dilute to 10 ml. A double beam UV-Visible spectrophotometer was used to detect absorbance at 291 nm.
- **Wetting Period :** A pleated portion of paper tissue with amaranth powder on the top portion was set in a tiny Petri dish with 6 ml of 0.1N HCl. A tablet was placed on the surface of paper. The time required for pink colour output was measured as wetting time. The research was conducted three times .
- **Water Absorption Ratio :** A foldable paper tissue was set in a tiny Petri dish containing 6 ml water. On the tissue paper, a tablet was placed and permitted to absorb water through entirely. After that, the wetted pill was examined.
- **Disintegration Time:** At first the Pharmacopoeia's standard tablet test was used to determine how quickly the orodispersible tablets disintegrated. Tablet was inserted into the disintegration tubes .The duration period required to reach full breakdown without any traces remaining on the screen were noted. The breakdown rate was verified using a modified approach. In a measuring cylinder,6 to 8cc of 0.1NHCl (pH1.2) were measured. The disintegration time was measured when the tablet had completely dispersed throughout the cylinder.
- **In-vitro dissolution research:** The paddle method described in the United States Pharmacopoeia dissolution testing apparatus II, the release rate of drug form of quick dissolving tablets were measured. 900ml of 0.1N HCl pH 6.8 dissolution liquid were used for the dissolution test which was conducted at 370.5 °C and 75 rpm. 10 ml sample volume was taken at regular intervals from a region that was at least 1 cm from the vessel wall and situated halfway between the surface of the dissolving media and the top of the rotating paddle. To keep the medium's volume constant, the volume that was withdrawn was substituted with new dissolving media. At 291 nm, the filtered samples were subjected to a spectrophotometric analysis with 0.1N HCl used as a blank.The calibration curve was used to determine the drug content of the dissolving sample.

CONCLUSION

Angiotensin II receptor antagonist (ARB) telmisartan (BCS class II medication) which is used to treat high blood pressure, although it is very weak in an acidic state of the stomach fluid.A mouth-dissolving tablet of telmisartan has been created using the direct compression method by adding super disintegrants in an effort to solve this issue.

In the formulation of orodispersible tablets, this process is simple, effective, inexpensive, and industrially practicable.

The study found that orodispersible tablets may reduce dose frequency, improve its bioavailability enhance compliance among patients, have an immediate actions and decrease first pass metabolism which was a goal for current investigation.

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