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FORMULATION AND EVALUATION OF FAST DISSOLVING TABLETS OF ANTI-ANGINAL DRUG

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ABSTRACT: In the present study mouth dissolving tablets of ranolazine were designed, prepared and evaluated. These tablets can disintegrate or dissolve rapidly once placed into the oral cavity. The drug was analyzed for its organoleptic, physicochemical and spectral properties. The obtained ranolazine was concordant with reference specifications. A complex of was successfully formulated. Mouth dissolving tablet was prepared by addition of superdisintegrants (Sodium starch glycolate and Crospovidone). The tablets were evaluated for their organoleptic (Color, Odor, Taste), physical (Size, Shape and Texture) and quality control parameters (Diameter,Thickness, Hardness, Friability, Disintegration Time and Wetting Time). The goal of this investigation has been achieved by preparing fast drug delivery technique of ranolazine with the aid of super disintegrating agents. The superdisintegrants that affect disintegration time and percentage friability.

KEYWORDS: Excipient, Drug, Dosage form, Medication, Evaluation

INTRODUCTION:

Drug delivery systems (DDS) are a strategic tool for expanding Markets/indications, extending product life cycles and generating Opportunities. Oral administration is the most popular route for systemic Effects due to its ease of ingestion, pain, avoidance, versatility and most importantly, patient compliance. Also solid oral delivery systems do not require sterile conditions and are therefore, less expensive to manufacture.

Patient compliance, high-precision dosing, and manufacturing efficiency make Tablets the solid dosage form of choice. Excipients and equipments choices will be significantly affected should solid dosage form technologies change in response to theunprecedented shifts in the drug discovery such as genomics. Should next generation drugs are predominantly protein or peptide based, tablets may no longer may be the dominant format give the difficulty of dosing such moiety.

Injections generally are not favored for use by patients unless facilitated by sophisticated auto injectors. Inhalation is one good alternative system to deliver these drugs, but the increased research into biopharmaceuticals so far has generated predominantly chemical entities with low molecular weights.The development of enhanced oral protein delivery technology by Fast dissolving Tablets which may release these drugs in the mouth are very promising for the delivery of high molecularweight protein and peptide.

The oral route remains the perfect route for the administration of herapeutic agents because the low cost of therapy, manufacturing and ease of administration lead to high levels of patient compliance. Many patients have difficulty swallowing tablets and hard gelatin capsules and consequently do not take medications as prescribed It is estimated that50% of the population is affected by this problem, which results in a high incidence of noncomplianceand ineffective therapy. The demand for solid dosage forms that can be dissolved and suspended in water, chewed, or rapidly dissolved in the mouth is particularly strong in the pediatric and geriatric markets, with further application to other patients who prefer the convenience of a readily administered dosage form. Because of the increase in the average human life span and the decline, with age, in swallowing ability, oral tablet administration to patients is a significant problem and has become the object of public attention. The problem canbe resolved by the creation of rapidly dispersing or dissolving oral forms, which donot require water to aid swallowing.

The dosages forms are placed in the mouth, allowed to disperse or dissolve in the saliva, and then are swallowed in the normal way.Less frequently, they are designed to be absorbed through the buccal and esophageal mucosa as the saliva passes into thestomach. In the latter case, the bioavailability of a drug from fast dispersing formulations may be even greater than that observed for standard dosage forms.

The concept of Mouth Dissolving Drug Delivery System emerged from the desire to provide patient with more conventional means of taking their medication. It is difficult for many patients to swallow tablets and hard gelatin capsules. Hence they donot comply with prescription, which results in high incidence of non-compliance and ineffective therapy. In some cases such as motion sickness, sudden episodes of allergic attacks or coughing and unavailability of water, swallowing conventional tablets may be difficult. Particularly the difficulty is experienced by pediatric and geriatric patients. Such problems can be resolved by means of Fast Dissolving Tablet. When put on tongue, this tablet disintegrates instantaneously, releasing the drug, which dissolves or disperses in the saliva. Some drugs are absorbed from the mouth, pharynx and esophagus as the saliva passes down into the stomach.In such cases, bioavailability of drug is significantly greater than those observed from conventional tablet dosage form. FDDTs disintegrate and/or dissolve rapidly in the saliva without the need for water.

Some tablets are designed to dissolve in saliva remarkably fast, within a few seconds, and are true fast-dissolving tablets. Others contain agents to enhance the rate of tablet disintegration in the oral cavity, and are more appropriately termed fast-disintegrating tablets, as they may take up to a minute to completely disintegrate. When put on tongue, this tablet disintegrates instantaneously, releasing the drug, which dissolves ordisperses in the saliva. Some drugs are absorbed from the mouth, pharynx and esophagus as the saliva passes down into the stomach. In such cases, bioavailability ofdrug is significantly greater than those observed from conventional tablet dosage form. FDDTs, as a novel dosage form, have several characteristics to distinguish them from the more traditional dosage forms. Taste-masking is of critical importance in the formulation of an acceptable FDDT.

Traditional tablet formulations generally do not address the issue of taste masking, because it is assumed that the dosage form will not dissolve until passing the oral cavity. Many oral suspensions, syrups and chewable tablets simply contain flavours, sugars and other sweeteners to overwhelm or complement the bitter taste of the drug.Current methods of taste masking in Fast dissolving/ drug particles. FDTs are the disintegrating tablets include sweeteners and flavours; however, these are not a sufficient means for taste-masking many bitter drugs.

Most of the FDDT technologies incorporate unique forms of taste masking as well.The primary methods of taste-masking include adsorption onto or complexation with carriers and spray coating of solid dosage forms, which increase consumer choice, for the reason of rapid Disintegrate/dissolve in oral cavity within seconds and swallowed without the need of water or chewing. As tablet disintegrates in mouth this could enhance the clinical effect of the drug through pregastric absorption from the mouth, Pharynx and oesophagus. This leads to an increase in bioavailability by avoiding first pass metabolism. Fast dissolving drug delivery can be achieved various techniques like direct Compression, wet granulation, compression moulding, volatization and freeze – drying.

MATERIALS AND METHODS

Materials:

S. No.	Chemicals	Manufacturer
1.	Ranolazine	J.K. Chemicals, Bhopal
2.	Mennitol	J.K. Chemicals, Bhopal
3.	Mg. sterate	J.K. Chemicals, Bhopal
4.	Talc	J.K. Chemicals, Bhopal

5.	Citric acid	J.K. Chemicals, Bhopal
6.	Crasscarmilo sodium	J.K. Chemicals, Bhopal

Methods :-

Preformulation study –

1. **Physical characteristics :**

   Color- white Odour- bitter

   Taste- tasteless

2. **Solubility Study:** Compared to the pure medication, physical mixtures of ranolazine with various polymers showed somewhat greater solubility in water and their solubility ranged from 3.98 ±0.10 to 4.51 ±0.32 µg/ml.

3. **Melting point :**118-1200C

4. **pH :** Ranolazine is very slightly soluble at pH above 6.99, slightly soluble at pH from 6.29 to 5.76, sparingly soluble at pH 5.25, soluble at pH from 5.01 to 4.82 and freely soluble below pH 4.40.

5. **UV Spectroscopy analysis:** A simple, precise and economical UV spectrophotometric method has been developed for the estimation of Ranolazine in bulk and pharmaceutical formulations. In this method Ranolazine showed maximum absorption at 272 nm. It obeyed Beer's law in the concentration range of 10-100 µg / ml.

6. **Formulation of fast dissolving tablet of ranolazine :**

   Ranolazine FDTs were developed by performing the direct compression method. Powder blends of Ranolazine, microcrystalline cellulose, aerosil, mannitol and various superdisintegrants in various concentrations were mixed for 20-25 min.

S. No	Ingredient	Quantity
1.	Ranolazine	500mg
2.	Manitol	58mg
3.	Magnasium stearate	2mg
4.	Talc	1mg
5.	Citric acid	1mg
6.	Croscarmellose Sodium	1mg

7. **Evaluation of fast dissolving tablet:**

Tablet properties: The finished tablets were evaluated.

Thickness: Six tablets were randomly selected and the thickness of each was measured by a digital Vernier caliper. Mean and standard deviation calculated and reported.

Hardness: The hardness of the ten tablets was measured using the Monsanto Hardness Tester. Mean and standard deviations were calculated and reported.It is expressed in kg / cm2.

Friability: Stability of the tablets was determined using a Roche Friabilator. The tablets were initially weighed and red transferred to the Friabilator. The Friabilator was operated at 25 rpm for 4 minutes. The tablets were weighed again after 4 minutes. The % friability was then calculated using the formula.

Weight Variation: Twenty tablets were weighed individually and the average weight was calculated. Individual weights were compared to mean weights. If the tablet does not exceed two percent, then the tablets pass the test and if the belt does not exceed twice the percentage limit. The weight variation to clearance for uncoated tablets is as follows: Table No. 7: Values of weight variation and comments Average Weight of Tablets (mg) Maximum Percentage Difference Allowed 130 or less 10 130-324 7.5 More than324 5

Disintegration Test: The dissolution test was performed using the USP dissolution test device type-II. Six tablets were separately placed in each tube of the dissolution test device and a disk was placed on each tablet. Thephosphate buffer pH 7.4 was used as the medium formed at 370C + 0.50C andthe time taken to completely decompose each tablet was recorded.

Wetting Time: The wetting time of the dosage form is related to the contact angle. The wetting time of FDT is another important parameter that needs to be evaluated to give an insight into the dissolution properties of the tablet. Shorter wetting time means early dissolution of the tablet. The wetting time of the tablets was measured using a simple procedure. Five circular tissue papers of 10 cm diameter were placed in a Petri dish with a diameter of 10 cm. Ten milliliters of water-soluble dye solution were added to Petri dish.

RESULT AND DISCUSSION

1. Physical Characteristic

S. No	Charecteristic	Result
1.	Colour	white
2.	Odour	odourless
3.	Taste	bitter

2. Melting Point

S.No	Drug Name	Result
1.	Ranolazine	118-1200°C

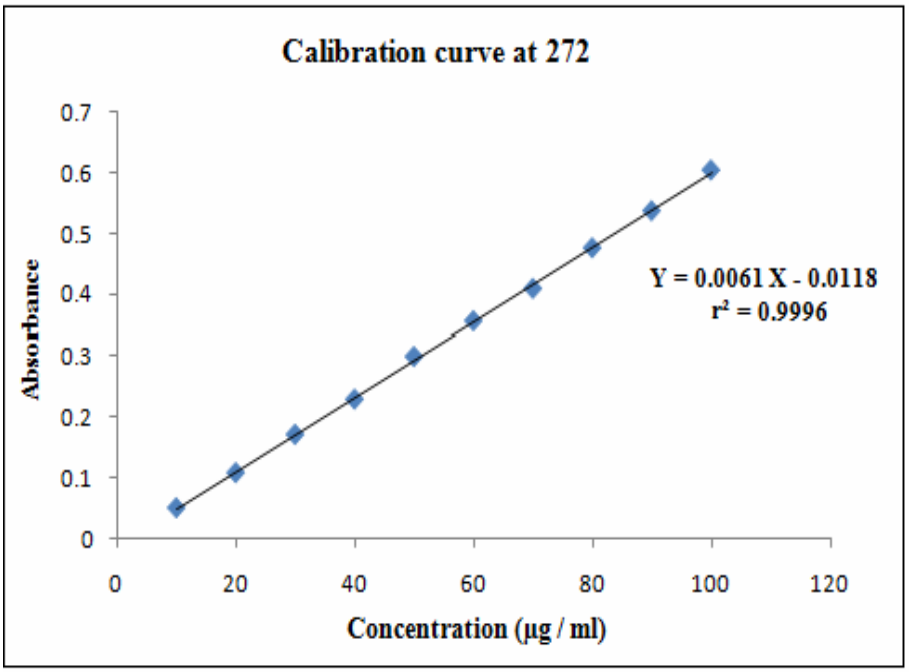
3. Solubility

S. No	Solvent	Solubility(mg/ml)
1	Water	0.001
2	Acetone	302.7
3	Methylene Chloride	119.3
4	Chloroform	81.6
5	Methanol	32
6	Ethanol	13.81
7	Phosphate Buffer pH7.4	0.025
8	Phosphate Buffer pH8	0.012

4. pH

S. No	Drug	Result
1.	Ranolazine	6.99 PH

5. UV Spectroscopy Analysis



6. Formulation of Mouth Dissolving Tablets:-

S.No	Ingredient	Formulation
1.	Ranolazine	500mg
2.	Manitol	58mg
3.	Magnasium stearate	2mg
4.	Talc	1mg
5.	Citric acid	1mg
6.	Croscarmellose Sodium	1mg

SUMMARY AND CONCLUSION:

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