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Sublingual Tablets : An Overview

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ABSTRACT

Drug delivery via the oral mucous membrane is considered to be a promising alternative to the oral route. Sublingual route is a rapid onset of action and better patient compliance than orally ingested tablets. Sublingual literally meaning is "under the tongue", administrating substance via mouth in such a way that the substance is rapidly absorbed via blood vessels under tongue. Peroral administration of drug has disadvantages such as Hepatic first pass metabolism and enzymatic degradation within the GI tract that limits oral administration of certain classes of drug like peptides and proteins. So, other absorptive mucosa is considered as potential sites for drug administration. Trans-mucosal routes of drug delivery (i.e. the mucosal linings of the nasal, rectal, vaginal, ocular, and oral cavity) offer several advantages over peroral administration for systemic delivery. This review highlights the sublingual dosage forms for the treatment of migraine, advantages, Disadvantages, various evaluation parameters and commercially available sublingual dosage forms.

Keywords : Sublingual Tablets, Mucosa, Pregastric absorption, Drug Delivery, Oral Mucous Membrane

I. INTRODUCTION

Drug delivery through the sublingual route had emerged from the desire to provide immediate onset of pharmacological effect. Dysphasia (difficulty in swallowing) is a common problem of all age groups, especially geriatrics, pediatric, and patients who are mentally retarded, uncooperative, nauseated or on reduced liquid intake/diets have difficulties in swallowing these dosage forms[1,2]Sublingual means under the tongue. Sublingual drug delivery (SL) of the medication implies arrangement of the medication under the tongue and drug comes to straightforwardly into the circulation system through the ventral surface of the tongue and floor of the mouth. The decreasing order of permeability in the buccal cavity is the sublingual, the buccal area (cheek), then the palatal area. The order is generally based upon the relative thickness and the extent of blood supply to the specific part [3].

This course has a few particular points of interest over the enteral and parenteral courses of medication conveyance because of its rich blood supply, quick onset of activity, improved bioavailability, shirking of the principal pass and sustenance impacts, expanded patient consistence, and simplicity of self-solution. Throughout the years, various items exploiting oral mucosal medication conveyance have been presented in the business sector [4-8].

II. METHODS AND MATERIAL

Sublingual drug delivery[9]

Sublingual means under the tongue and refer to the pharmacological route of administration by which

drugs diffuse into the blood through tissues under the tongue.[10] Sublingual route offers direct contact of drug with oral mucosa which will leads to come directly in to systemic circulation which leads to enhance bioavaibility of dosage foam. Dysphagia (difficulty in swallowing) which is a common problem of all age groups, children, elderly, uncooperative or on reduced liquid intake have difficulties in swallowing sublingual route is promising approach for overcoming this type of problems after the oral administration of drug the drug goes to hepatic first pass metabolism this will result in to decrease bioavaibility of drug formulation. Sublingual route of drug delivery is promising approach to remove this type of problems [11].

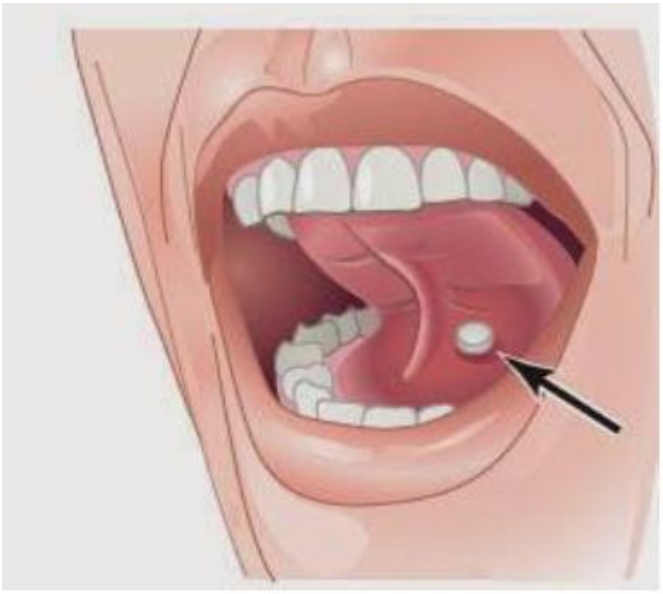

Figure 1: Sublingual drug delivery.[12]

Mechanism of sublingual administration

The absorption potential of the buccal mucosa is influenced by the lipid solubility and therefore the permeability of the solution (osmosis), the ionization (pH), and the molecular weight of the substances. For example, absorption of some drugs via the buccal mucosa is shown to increase when carrier pH is lowering (more acidic) and decrease with a lowering of pH (more alkaline) [13,14].The cells of the oral epithelium and epidermis are also capable of absorbing by endocytosis (the uptake of particles by a cell as if by hollowly wrapping itself around it. These engulfed particles are usually too large to diffuse through its wall). It is unlikely that this mechanism is used across the entire stratified epithelium. It is also unlikely that active transport processes operate within the oral mucosa. However, it is believed that acidic stimulation and uptake into the circulatory system[15].

Advantages[15,16]

- Ease of administration to patients who refuse to swallow a tablet, such as pediatric, geriatric patients and psychiatric patients.
- Convenience in administration of drug and accurate dosing as compared to liquid formulations.
- Water is not required for swallowing the dosage form, which is convenient feature for patients who are traveling and do not have immediate access to water.
- Good mouth feels property helps to change the basic view of medication as "bitter pill", particularly for pediatric patients.
- Fast dissolution of medicament and absorption which will leads to rapid, onset of action
- Some drugs are absorbed from the mouth pharynx and oesophagus as the saliva passes down into the stomach, in such cases bioavailability of drugs is increased.
- It provides advantages of liquid formulations in the form of solid dosage form.
- Pregastric absorption can result in improved bioavailability and as a result of reduced dosage, improved clinical performance through a reduction of unwanted effects. [15][16]

Disadvantages[17][18]

- Since sublingual administration of drugs interferes with eating, drinking, and talking, this route is generally considered unsuitable for prolonged administration.
- Although this site is not well suited to sustained-delivery systems.
- Sublingual medication cannot be used when a patient is uncooperative or unconscious.
- The patient should not smoke while taking sublingual medication, because smoking causes vasoconstriction of the blood vessels. This will decrease the absorption of the medication.

III. RESULTS AND DISCUSSION

SUBLINGUAL FORMULATIONS

Bioadhesive sublingual tablet[19]

The new sublingual tablet concept presented is based on interactive mixtures consisting of a water-soluble carrier covered with fine drug particles and a Bioadhesive component. With this approach, it is possible to obtain rapid dissolution in combination with Bioadhesive retention of the drug in the oral cavity.

Fast-disintegrating sublingual tablets[20]

The Tablets that disintegrate or dissolve rapidly in the patient's mouth are convenient for young children, the elderly and patients with swallowing difficulties, and in situations where potable liquids are not available. Only the small volume of saliva is usually sufficient to result in tablet disintegration in the oral cavity. Medication can then be absorbed partially or entirely into the systemic circulation from blood vessels in the sublingual mucosa.

Thin film drug delivery [21]

Delivering drugs to the systemic circulation via a thin film that dissolves when in contact with liquid referred to as a dissolving film or strip. Thin film are made using different grade of biopolymers. The advantage of this type of formulation is it have potential to improve the onset of action in lower dose.

Lipid matrix sublingual tablet[22]

Lipid Matrix Sublingual Tablet is formulation which uses advances in sublingual and liposomal technology to formulate a dosage form that offers a faster and more complete absorption than traditional oral routes of administration. The Lipid Matrix Sublingual Tablet is a bioavailable, quick, convenient, and consistent dosage form for many specialty neutraceuticals that are often taken orally. Examples: Glutathione MB12 (Methylcobalamin).

EVALUATION PARAMETERS [23-26]

Evaluation parameters of tablets mentioned in the Pharmacopoeias need to be assessed, along with some special tests. The quality of tablet, once formulated by rule, is generally dictated by the quality of physicochemical properties of blend.

Disintegration time (DT):

A relatively simple method with rigorous conditions was developing to evaluate the DT of sublingual tablets. Each individual tablet was dropped into 10-mL glass test tube (1.5-cm diameter) containing 2 ml distilled water, and the time required for complete tablet disintegration was observed visually and recorded using a stopwatch. The visual inspection was enhanced by gently rotating the test tube at a 45o angle, without agitation, to distribute any tablet

particles that might mask any remaining undisintegrated portion of the tablets. In the USP disintegration test for sublingual tablets, the disintegration apparatus for oral tablets is used without the covering plastic disks, 22 and 2 minutes is specified as the acceptable time limit for tablet disintegration.

Wetting time (WT):

Although a wetting test is not a USP standard test, it is useful for quality control and provides supportive evaluation of these sublingual tablets. Unlike the disintegration test, the wetting test uses minimal water, which may be more representative of the quantity of moisture available sublingually. Using this test, the time required for moisture to penetrate the tablet completely is measured and possibly represents the time required to release drug in the presence of minute volumes of saliva. The tablet was placed at the center of 2 layers of absorbent paper fitted into a rectangular plastic dish (11 cm × 7.5 cm). After the paper was thoroughly wetted with distilled water, excess water was completely drained out of the dish. The time required for the water to diffuse from the wetted absorbent paper throughout the entire tablet was then recorded using a stopwatch.

Bulk density:

Bulk density of was determined by taking a known mass of powder in a 50 ml graduated measuring cylinder which is attached to the bulk density apparatus. The bulk density was calculated by following eq.

Bulk density= weight of powder in gm/ bulk vol. of powder

Tapped density:

Tapped density was determined by tapping method using measuring cylinder containing weighed amount of powder. The cylinder was dropped 3 times from a height of 1 inch at an interval of 2 sec. tapped density was calculated by following eq.

Tapped density= mass of powder /vol. of powder after tapping

Angle of repose:

For the angle of repose of the material was poured through a funnel to form a cone. The tip of the funnel should be held closed to the growing cone and slowely raised as the pile grows, to minimize the impact of falling particles. Stop pouring the material when the pile reached a predetermined height or the base a pradetermined width. Rather than attempt to measure the angle of the resulting cone directely, devided the height by half the width of the base of the cone. The inverse tangent of this ratio is the angle of repose. Formula for angle of repose:

tanθ = h/ r

h = height of pile, r = radius of pile

Carrs compressibility index:

This is an important property in maintaining uniform weight. It is calculated by using following formula

$$\%\text{compressibility index} = \frac{\text{Tapped density} - \text{Bulk density} * 100}{\text{Tapped density}}$$

Hausner's ratio:

A similar index to indicate the flow properties can be defined by Hausner's ratio. Hausner's ratio can be calculated by using following formula:

Hausner's ratio = (Tapped density x 100) / (bulk density)

Weight variation:

20 tablets were selected at random, individually weighed and the average weight was calculated. None of the tablets deviated from the average weight by more than ±7.5%.

Hardness test:

Tablets require a certain amount of strength or hardness and resistance to friability to with stand mechanical shocks. The hardness of tablet was measured by Monsanto hardness tester. The hardness of sublingual tablet is important factor, because if the sublingual tablet is too hard, the solvent borne drug attenuation may not be absorbed into an interior portion of the tablet and therefore remains on a surface portion of the tablet, where the drug attenuation may not adhere to the sublingual tablet. If the sublingual tablet is too soft, the sublingual tablet may be disintegrated by the solvent of the drug attenuation.

IV. CONCLUSION

Drug delivery through the sublingual route had emerged from the desire to provide immediate onset of pharmacological effect. Dysphasia (difficulty in swallowing) is a common problem of all age groups, especially geriatrics, pediatric, and patients who are mentally retarded, uncooperative, nauseated or on reduced liquid intake/diets have difficulties in swallowing these dosage forms. So, other absorptive mucosa is considered as potential sites for drug administration. Trans-mucosal routes of drug delivery (i.e. the mucosal linings of the nasal, rectal, vaginal, ocular, and oral cavity) offer several advantages over peroral administration for systemic delivery. This review highlights the sublingual dosage forms of advantages, Disadvantages, various evaluation parameters and commercially available sublingual dosage forms.

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