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SUBLINGUAL TABLETS: INSIGHTS INTO THEIR RAPID ABSORPTION AND ITS APPLICATIONS

V. T. ISWARIYA^{1*}, A. BHAVANA REDDY² AND T. RAMA RAO³

1: Assistant Professor, Department of Pharmaceutics, CMR College of Pharmacy, Medchal,
Hyderabad, India

2: Department of Pharmaceutics, CMR College of Pharmacy, Medchal, Hyderabad, India

3: Professor & Principal, Department of Pharmaceutics, CMR College of Pharmacy,
Medchal, Hyderabad, India

*Corresponding Author: Dr. V. T. Iswariya: E Mail: iswariyapharma@gmail.com

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ABSTRACT

sublingual administration is chosen over oral tablet administration when a quicker beginning of action is needed. Sublingual pills offer quick drug release from the formulation, avoiding hepatic drug metabolism and going straight into the bloodstream. Throughout the past ten years, there has been a rise in demand for quickly dissolving sublingual pills, particularly from geriatric and pediatric patients who have trouble swallowing. The physicochemical and biological characteristics linked to drug delivery systems' efficacy are being better understood by pharmaceutical scientists, leading to an increase in their complexity. The formulation of sublingual tablets can be done in several ways. such as freeze-drying, direct compression, etc. Sublingual pills must dissolve more quickly. Thus, it is appropriate to prescribe explosives. It is a super disintegrant, meaning that it has a high disintegration efficiency and is effective at low concentrations. Wetness, friability, hardness, weight change, water absorption, disintegration time, and dissolution studies were all assessed for the tablets.

Keywords: Sublingual tablets, Drug delivery, sublingual administration, Bioavailability

INTRODUCTION

When a medication is administered sublingually (SL), it is arranged beneath the tongue and enters the bloodstream directly through the floor of the mouth and the tongue's ventral surface. Through latent diffusion into the lipoidal film, the medicine is primarily retained in the oral mucosa. Sublingual administration of the drug retains it three to ten times better than oral administration, with hypodermic infusion coming in second. In general, the small amount of spit is sufficient to cause tablet cracking in the oral cavity for these specifics. This medication delivery method has several advantages over enteral and parenteral routes, including a rich blood supply, a rapid onset of action, enhanced bioavailability, a shirking of the primary pass and sustenance impacts, increased patient persistence, and ease of self-solution. Many products that use oral mucosal medicine delivery have been introduced to the market over the years. If a drug decomposes efficiently in saliva, it may be manageable to sublingual organization of any kind. This method may be utilized by vaporizers and powders alike. In any case, various components, for example, pH, molecular weight, and lipid solubility, may figure out if the course is down to earth. In view of these properties, an appropriately solvent medication may diffuse too gradually through the mucosa to be

powerful. Be that as it may, numerous medications are significantly more strong taken sublingually, and it is for the most part a more secure option than organization by means of the nasal mucosa. However, one drawback is that using this method over an extended period of time with acidic or generally burning drugs and fillers might cause tooth decay and discoloration [1-11].

ANATOMY OF ORAL CAVITY:

The outermost layer of the oral mucosa is made up of stratified squamous epithelium. A basement membrane, a lamina propria, and the submucosa, which is the innermost layer. In terms of permeability, the oral mucosa is generally in the middle of the intestine and epidermal mucosa. Because the various oral mucosa have different forms and functions, there are significant variances in permeability between the various oral cavity regions.

sublingual glands:

Below the tongue, on the floor of the mouth, are salivary glands. Sublingual glands is another name for them. They generate saliva by producing mucin. Easy chewing is achieved through the mixing of the food with the gland-produced fluid. It is possible to state that absorption is directly correlated with layer thickness since absorption is the movement of the medication from the place of delivery into the bloodstream this is how the drugs absorption proceeds.

Sublingual > Gingival > Buccal > Palatal.
Owing to its high permeability and abundant blood flow, the sublingual route might result

in a quick start of action, allowing for frequent dosing of drugs with short half-lives [11-14].

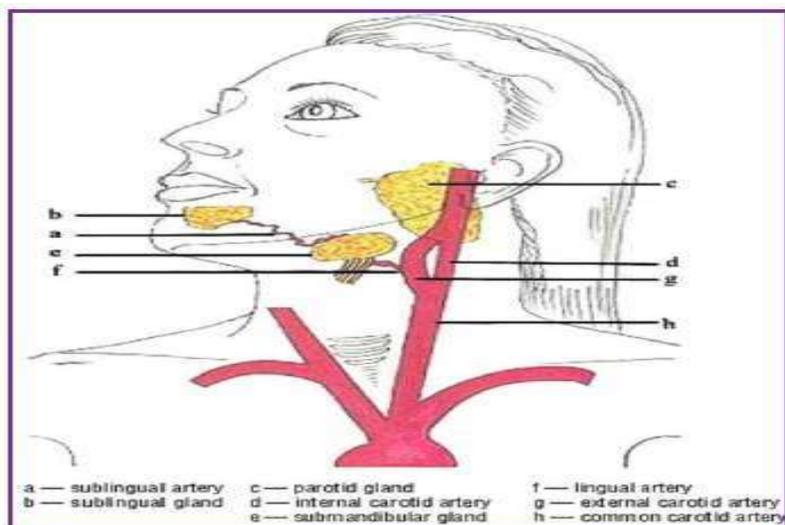


Figure 1: Diagram of sublingual glands

MECHANISUM ACTION OF SUBLINGUAL TABLETS:

A drug's molecular weight, ionization, and lipid solubility all have an impact on absorption. Osmosis, or the permeability of the solution, is a product of this. Drug adsorption occurs through the process of endocytosis in the cells of the oral epithelium. Contrary to popular belief, the stratified epithelium does not exhibit the same process throughout. Still, it's thought that salivary gland stimulation with an acidic pH Promotes absorption and uptake into the circulatory system in conjunction with the concomitant vasodilatation. The mucous membrane lining the mouth is made up of mucous glands and is covered in squamous epithelium. The buccal mucosa and sublingual mucosal tissue are comparable.

The salivary ducts allow saliva to be secreted into the mouth by lobules of cells that make up the salivary glands. The parotid, submandibular, and sublingual salivary glands are the three pairs located on the floor of the mouth. The more acidic the taste, the more saliva is produced, protecting acid-sensitive tooth enamel by rinsing the mouth with an abundance of neutralizing fluid. The sublingual gland is reached by the sublingual artery moving forward. It provides blood to the gland and branches out to the surrounding muscles as well as the gums, tongue, and mouth mucous membranes. The tongue is supported by two symmetrical branches that meet and connect at the tip of the jawbone. The submental branches of the facial artery meet and anastomose with another branch. The body's

primary blood supply to the tongue and the floor of the mouth, the lingual artery, originates from the external carotid artery and gives birth to the sublingual artery. Being close to the internal carotid artery facilitates quick access to the blood vessel that supplies the majority of the cerebral hemisphere [15-18].

Advantages

1. The simplicity of administration to patients, such as young children, elderly patients, and mental health patients, who refuse to swallow a tablet.
2. Effortless drug administration and precise dosage in contrast to liquid compositions.
3. One useful aspect for patients who are traveling and do not always have access to water is that water is not necessary to swallow the dosage form.
4. The good mouth feel attribute, especially for younger patients, helps to alter the common perception of medication as a "bitter pill."
5. The medication will dissolve and absorb quickly, causing an immediate start of action.
6. As saliva travels down into the stomach, some medications are absorbed from the mouth, throat, and oesophagus; in these situations, the bioavailability of the medication is enhanced.
7. It offers liquid formulation benefits in the shape of a solid dosage form.

8. Pregastric absorption can lead to decreased dosage, better bioavailability, and a decrease in side effects, all of which can enhance clinical performance [19-20].

Disadvantages

1. It is commonly believed that sublingual drug delivery is not appropriate for long-term use since it obstructs speech, eating, and drinking.
2. Despite the fact that sustained delivery mechanisms are not a good fit for this site.
3. Patients who are unconscious or unwilling cannot be treated with sublingual medicine.
4. Because smoking constricts blood vessels, the patient should abstain from smoking while taking sublingual medicine. This will lessen the drug's absorption [21-22].

Method of preparation of sublingual tablets:

1. Direct compression method:

This is a straightforward and very affordable procedure that is frequently used to prepare sublingual dose forms. Drugs that are heat labile are best suited for the direct compression method. Direct compressible and soluble components, lubricant, superdisintegrant (such as crospovidone, microcrystalline cellulose, etc.), dry binder, sweeteners, and flavors are all used in this process.

2. Compression molding:

The tablets made using this technique dissolve and disintegrate quickly (in 4 to 11

seconds). The method's drawback is the tablets' low mechanical strength, which is addressed by adding binders to the formulation blend

3. Freeze-drying:

This approach results in tablets with low mechanical strength and is more expensive and time-consuming than direct compression. The resulting tablets will disintegrate quickly and have a high porosity. This technique works well for medications that are heat sensitive.

4. Hot melt extrusion:

Using a twin screw extruder to combine ingredients homogeneously and consistently helps increase the bioavailability and dissolution rate of poorly water soluble medicinal formulations. Better mixing results in a homogenous solid with the medication scattered finely [22-36].

Evaluation parameters

1. General appearance:

Consumer acceptability of a tablet is largely dependent on its overall "elegance," visual identity, and general appearance. The dimensions, color, texture, taste, consistency, and legibility of any identifying markings on the tablet, as well as any physical defects, smell, and taste, are all included.

2. Size and shape:

Dimensionally, the tablet's size and shape can be specified, tracked, and managed.

3. Tablet thickness:

In both appearance reproduction and counting with filling equipment, tablet thickness is a crucial factor. The uniform tablet thickness is used as an accounting mechanism by certain filling equipment.

4. Wetting time

This test measures how long it takes for moisture to fully enter the tablet; the result may be an indication of how long it will take for the medicine to release in the presence of very small amounts of saliva. Six milliliters of Sorenson's buffer pH 6.8 were contained in a small Petri dish (ID = 6.5 cm) with a piece of tissue paper (12 cm X 10.75 cm) folded twice inside. The amount of time it took for a pill to completely wet was measured. Each batch included three trials, and the standard deviation was also calculated.

5. Weight Uniformity

Following the I.P. technique for weight uniformity, twenty tablets were ingested, and each tablet's weight was recorded both individually and collectively on a digital weighing balance. The total weight was used to calculate the average weight of a single tablet.

Average. Tablet Weight: Variation in % Permitted 80 mg or less; maximum of 250 mg; 10 60 mg 7.5 250 mg or above 5

6. Friability

The mechanical strength of tablets is measured. By following the steps, the friability can be ascertained using the Roche

friabilator. The friabilator held a preweighed pill. With each round of the plastic chamber in the friabilator, which rotates at 25 rpm, the tablets are dropped six inches away. For a minimum of four minutes, the tablets were turned in the friabilator. When test tablets were cleaned and reweighed at the conclusion of the experiment, the weight loss of the tablet is the indicator of its friability and may be calculated as follows: %Friability = weight loss / initial weight x 100.

7. Hardness:

The force required across the tablet's diameter to shatter it is known as the tablet's hardness. The hardness of the tablet determines how resistant it is to breakage, chipping, and abrasion under storage, handling, and preparation conditions before use. Using a Monsanto Hardness Tester, the hardness of each formulation's tablet was assessed.

8. Distintegration test:

Using the apparatus described in I.P. (1996), the test can be performed on six tablets. Distilled water at $37\text{ }^{\circ}\text{C} \pm 2\text{ }^{\circ}\text{C}$ was used as a disintegration media, and the time in seconds required for the tablet to completely dissolve and leave no discernible mass inside the apparatus was measured.

9. In vitro drug release study:

The Paddle Apparatus (USP) method is used to conduct an in-vitro release rate study of sublingual tablets. A appropriate buffer

(900 ml) was used for the dissolving test, which was conducted at $37 \pm 0.50\text{ }^{\circ}\text{C}$ and 50 rpm. At predetermined intervals, a five milliliter sample (5 ml) of the solution was removed from the dissolving device and replaced with new dissolving medium. The drug's release percentage is computed [36-45].

CONCLUSION:

With the aim of achieving rapid drug release and prompt commencement of action, sublingual medication delivery has been used to define a variety of drugs. Sublingual products were developed to help patients with dysphagia who are pediatric, elderly, or psychiatric. These products were designed to overcome the difficulty of swallowing regular tablets. In general, sublingual absorption is far faster and more efficient than oral measuring forms such as pills, capsules, and other frequently used forms. Thus, the use of sublingual tablets as a technology for drug delivery systemic is approved.

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