



## Review Article

# Sublingual Dosage Form

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### ARTICLE INFO

Received: 19 Sept 2023

Accepted: 20 Sept 2023

Published: 23 Sept 2023

#### Keywords:

Sublingual dosage form, systemic circulation, salivary gland, Tongue, sublingual drug delivery.

#### DOI:

10.5281/zenodo.8371537

### ABSTRACT

Sublingual dosage forms have gained significant attention in the field of pharmaceuticals due to their unique route of administration and rapid onset of action. This dosage form involves placing medication under the tongue, where it dissolves and is absorbed directly into the bloodstream through the highly vascularized sublingual mucosa. This route offers several advantages, including avoiding first-pass metabolism in the liver, enhancing bioavailability, and providing a non-invasive and convenient option for patients who have difficulty swallowing or require fast drug action. In this abstract, we explore the characteristics, advantages, and challenges associated with sublingual dosage forms. We discuss the principles of drug absorption through the sublingual route, highlighting the role of the sublingual mucosa in drug uptake. The factors influencing drug formulation and optimization for sublingual delivery are also examined, including the choice of excipients, drug solubility, and taste-masking techniques. Furthermore, we delve into the diverse range of therapeutic applications that can benefit from sublingual dosage forms, such as pain management, cardiovascular diseases, and hormone replacement therapy. Case studies and examples of commercially available sublingual products are provided to illustrate the practicality and effectiveness of this delivery route. While sublingual dosage forms offer numerous advantages, they are not without challenges, such as taste masking, stability issues, and dosage accuracy. We address these challenges and discuss potential strategies for overcoming them. In conclusion, sublingual dosage forms represent a promising avenue for drug delivery, offering rapid onset of action, improved bioavailability, and patient convenience. As pharmaceutical research continues to advance, the development and optimization of sublingual dosage forms are expected to play an increasingly important role in modern medicine.


### INTRODUCTION

The field of pharmaceuticals is continually evolving, with researchers and healthcare

professionals constantly exploring innovative methods to enhance drug delivery and improve patient outcomes. One such innovation that has

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Relevant conflicts of interest/financial disclosures: The authors declare that the research was conducted in the absence of any commercial or financial relationships that could be construed as a potential conflict of interest.



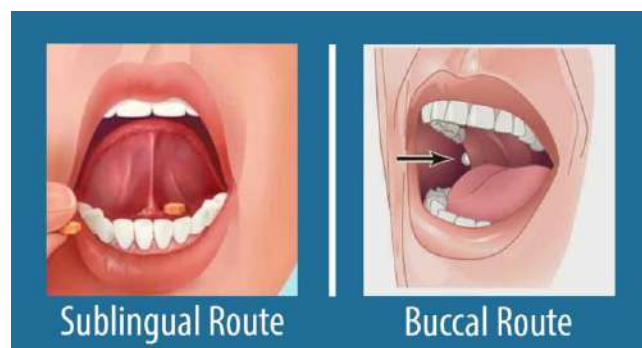
garnered significant attention in recent years is the sublingual dosage form. This unique route of administration involves placing medication beneath the tongue, where it rapidly dissolves and is absorbed directly into the bloodstream through the highly vascularized sublingual mucosa. This method offers a multitude of advantages, making it a compelling option for drug delivery in various therapeutic areas.

Sublingual administration has gained recognition primarily for its ability to bypass the first-pass metabolism in the liver, a process that often reduces the bioavailability of orally administered drugs. By avoiding this hepatic first-pass effect, sublingual dosage forms can deliver a higher proportion of the drug to the systemic circulation, leading to quicker onset of action and potentially lower doses required for therapeutic efficacy.

Furthermore, sublingual drug delivery is particularly advantageous for patients who face challenges with traditional oral medications. Individuals who have difficulty swallowing, such as pediatric and geriatric populations, find sublingual dosage forms more convenient and less intimidating. Additionally, in emergency situations where rapid drug action is crucial, sublingual administration can be a lifesaving option. In this introduction, we will delve into the fundamental principles of sublingual dosage forms, exploring how drugs are absorbed through the sublingual mucosa and the key factors that influence formulation and optimization. We will also examine the wide range of therapeutic applications that can benefit from this delivery method, from pain management to cardiovascular diseases and hormone replacement therapy. Real-world examples and case studies of commercially available sublingual products will be presented to underscore the practicality and effectiveness of this approach.

However, it is important to acknowledge that sublingual dosage forms are not without

challenges. Issues related to taste masking, stability, and dosage accuracy must be addressed during formulation development. This introduction sets the stage for a comprehensive exploration of sublingual drug delivery, offering insights into its potential and the avenues for addressing associated challenges. As pharmaceutical research continues to advance, the sublingual dosage form is poised to play a pivotal role in modern medicine, providing patients with a faster and more effective means of receiving essential medications.



Sublingual administration is utilized in the field of pharmaceuticals for several important reasons, each of which offers unique advantages for drug delivery. Here are some of the key reasons why the sublingual route is used:

1. **Rapid Onset of Action:** Sublingual drug administration provides one of the fastest onset of action among various drug delivery methods. This is because drugs placed under the tongue can bypass the gastrointestinal tract and liver, entering the systemic circulation directly through the highly vascularized sublingual mucosa. As a result, the effects of the medication can be felt more quickly, making it an ideal choice for situations where rapid relief or treatment initiation is crucial, such as acute pain management or certain emergency medical scenarios.
2. **Enhanced Bioavailability:** By avoiding the first-pass metabolism in the liver, which can significantly reduce the bioavailability of orally administered drugs, sublingual

administration often leads to higher drug bioavailability. This means that a greater proportion of the drug reaches the bloodstream intact, increasing its therapeutic efficacy and potentially allowing for lower doses to achieve the desired effect.

3. **Improved Patient Compliance:** Sublingual dosage forms are generally well-received by patients, including those who have difficulty swallowing pills or capsules, such as pediatric, geriatric, or certain special-needs populations. This improved ease of administration can enhance patient compliance and adherence to prescribed medications, ultimately improving treatment outcomes.
4. **Reduced Gastrointestinal Side Effects:** Some medications can cause gastrointestinal irritation or other digestive side effects when taken orally. Sublingual administration can bypass the stomach and intestines, reducing the likelihood of these side effects and improving patient tolerability.
5. **Avoidance of Injections:** Sublingual dosage forms provide an alternative to injections for drugs that require rapid systemic absorption. Patients who may be uncomfortable with needles or require frequent injections may find sublingual administration more acceptable.
6. **Non-Invasive and Convenient:** Sublingual administration is non-invasive and generally considered painless. It doesn't require the use of needles or medical professionals for administration, making it a convenient option for self-administration and home use in many cases.
7. **Versatility in Formulation:** Sublingual dosage forms can be formulated in various ways, including tablets, films, solutions, and sprays. This versatility allows pharmaceutical companies to tailor drug formulations to meet specific patient needs and preferences.

8. **Emergency Medicine:** Sublingual administration is particularly valuable in emergency medicine, where rapid drug action is essential. Medications like nitroglycerin for angina or epinephrine for severe allergic reactions can be administered sublingually for swift relief.

#### **Sublingual glands:**

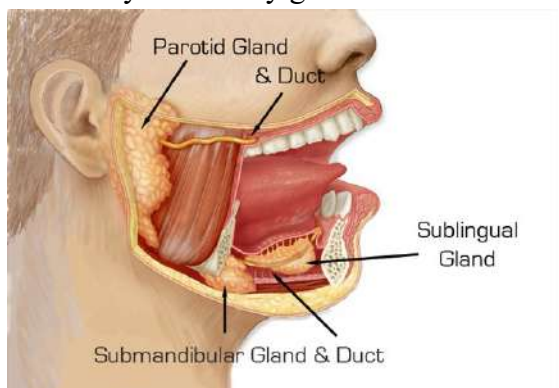
Sublingual glands are one of the three major pairs of salivary glands in the human body, the other two being the parotid glands and the submandibular glands. These glands are responsible for producing saliva, a watery fluid that plays a crucial role in digestion, oral health, and overall well-being. Here are some key details about sublingual glands:

1. **Location:** Sublingual glands are located beneath the tongue, hence the name "sublingual," which means "under the tongue." They are positioned in the floor of the mouth, near the base of the tongue, and extend towards the front of the mouth.
2. **Structure:** Sublingual glands consist of numerous smaller lobules or acini that produce saliva. The secretory cells within these acini secrete saliva into a network of ducts that ultimately deliver the saliva into the oral cavity.
3. **Saliva Production:** Sublingual glands produce a significant portion of the total saliva produced by the salivary glands. Saliva is composed of water, electrolytes, mucus, enzymes (such as amylase for starch digestion), and antimicrobial compounds. Saliva serves various functions, including lubricating food for easier swallowing, initiating the digestion of carbohydrates, helping maintain oral hygiene by washing away bacteria, and aiding in speech.
4. **Ducts:** Sublingual glands have multiple ducts that release saliva into the oral cavity. The sublingual ducts, also known as the ducts of Rivinus, open on the floor of the mouth. They



often share a common duct, called the submandibular duct or Wharton's duct, with the submandibular glands. The submandibular duct then empties saliva into the floor of the mouth through a small opening called the sublingual caruncle.

5. **Salivary Gland Disorders:** Like other salivary glands, the sublingual glands can be affected by various disorders. These may include infections, inflammation (sialadenitis), salivary gland stones (sialolithiasis), and tumors (both benign and malignant). Such conditions can cause pain, swelling, and difficulty in salivary gland function.



### Types of sublingual dosage form:

Sublingual dosage forms are pharmaceutical formulations designed to be administered under the tongue, where they rapidly dissolve and are absorbed through the sublingual mucosa into the bloodstream. There are several types of sublingual dosage forms, each with its own characteristics and advantages. Some common types include:

1. **Sublingual Tablets:** These are solid dosage forms designed to be placed under the tongue, where they quickly disintegrate and dissolve. Sublingual tablets can contain active pharmaceutical ingredients (APIs) for various therapeutic purposes, such as pain relief, cardiovascular medications, or hormone replacement therapy.
2. **Sublingual Films:** Sublingual films are thin, flexible sheets that adhere to the sublingual mucosa and dissolve rapidly. They are often

used for medications that require precise dosing or taste masking. Sublingual films are popular for administering certain anti-nausea medications and opioid pain relievers.

3. **Sublingual Solutions:** These are liquid formulations specifically designed for sublingual administration. Sublingual solutions are often used for medications requiring rapid absorption and onset of action. Examples include nitroglycerin for angina and some vitamin supplements.
4. **Sublingual Sprays:** Sublingual sprays deliver medication in a fine mist under the tongue, allowing for quick absorption through the sublingual mucosa. They are commonly used for pain management, such as the opioid analgesic fentanyl, and for certain anti-anxiety medications.
5. **Sublingual Powders:** Sublingual powders consist of finely ground drug particles that are placed under the tongue, where they rapidly dissolve. These powders may be used for medications requiring rapid onset or for patients who have difficulty swallowing pills.
6. **Sublingual Lozenges or Troches:** Lozenges or troches are solid dosage forms designed to dissolve slowly in the mouth, including under the tongue. They provide a longer duration of drug release compared to rapidly dissolving forms like tablets or films. They are used for various purposes, including local anesthetics and antifungal medications.
7. **Sublingual Emulsions:** Sublingual emulsions are liquid formulations that contain a mixture of oil and water, often used for delivering lipophilic drugs. They can offer improved bioavailability for poorly water-soluble compounds.
8. **Sublingual Gels:** These are semi-solid formulations that can adhere to the sublingual mucosa for extended drug release. Sublingual gels may be used for medications requiring

prolonged effects, such as certain hormone therapies.

The choice of sublingual dosage form depends on various factors, including the drug's properties, desired onset of action, patient preferences, and therapeutic goals. Sublingual dosage forms offer advantages such as rapid absorption, improved bioavailability, and convenience for patients who have difficulty swallowing, making them a valuable option in pharmaceutical drug delivery.

### **Advantages and disadvantages of sublingual dosage form:**

#### **Advantages**

- 1. Rapid Onset of Action:** Sublingual tubular forms allow for rapid drug absorption through the highly vascularized sublingual mucosa. This leads to quicker onset of action compared to traditional oral tablets or capsules, making them suitable for medications requiring fast relief.
- 2. High Bioavailability:** By bypassing the first-pass metabolism in the liver, sublingual administration often results in higher bioavailability, meaning a larger proportion of the drug reaches the bloodstream intact. This can allow for more efficient drug delivery and potentially lower doses.
- 3. Improved Patient Compliance:** Sublingual dosage forms are typically easy to administer and do not require swallowing, making them suitable for patients who have difficulty swallowing pills or capsules, including pediatric and geriatric populations. This can enhance patient compliance and adherence to medication regimens.
- 4. Precise Dosing:** Tubular sublingual forms can be manufactured with precise dosing, ensuring accurate delivery of the medication. This is especially important for drugs with narrow therapeutic windows where dosing accuracy is critical.

- 5. Taste Masking:** Tubular sublingual forms can incorporate taste-masking techniques to improve the palatability of medications, making them more acceptable to patients.

#### **Disadvantages:**

- 1. Limited Drug Load:** Tubular sublingual forms have size limitations, which can restrict the amount of drug that can be incorporated into each dose. This may be a disadvantage for medications that require high doses.
- 2. Formulation Challenges:** Formulating drugs into tubular sublingual forms can be challenging, particularly for drugs with poor solubility or stability issues. Ensuring that the drug can dissolve quickly and uniformly under the tongue while maintaining stability can be complex.
- 3. Local Irritation:** In some cases, sublingual administration can cause local irritation or discomfort in the mouth, which may lead to patient non-compliance.
- 4. Patient Variability:** The rate of drug absorption through the sublingual mucosa can vary among individuals based on factors like saliva production and the condition of the mucosa. This can lead to variability in drug response among patients.
- 5. Not Suitable for All Drugs:** Not all drugs are suitable for sublingual administration. Some drugs may not be efficiently absorbed through the sublingual mucosa, and their characteristics may necessitate alternative routes of administration.
- 6. Storage and Handling:** Tubular sublingual forms, such as films or tablets, may require specific storage conditions to maintain their integrity and efficacy, which can be a logistical challenge in certain situations.

#### **CONCLUSION**

Sublingual dosage forms in tubular form represent a valuable option in pharmaceutical drug delivery with several notable advantages. These



formulations offer rapid onset of action, high bioavailability, and improved patient compliance, making them particularly suitable for medications requiring fast relief or for patients who have difficulty swallowing conventional oral medications. However, it is essential to acknowledge the formulation challenges associated with sublingual tubular forms, including limitations on drug load and the need for taste masking. Additionally, individual variability in drug absorption through the sublingual mucosa can be a consideration. Ultimately, the choice to use sublingual tubular forms should be based on careful consideration of the drug's properties, therapeutic goals, and patient needs. When formulated and administered appropriately, sublingual dosage forms in tubular form can offer a practical and effective means of drug delivery, contributing to improved patient outcomes in various clinical settings.

## REFERENCES

1. Universal Journal of Pharmaceutical Research An International Peer Reviewed Journal Open access to Pharmaceutical research ©2018, publisher and licensee UJPR, This is an open access article which permits unrestricted non commercial use, provided the original work is properly cited Volume 3, Issue 4, 2018
2. A Review on Sublingual Dosage Form Yadav Dimple Shyamkumar<sup>\*</sup>, Ms. Jimmy Limbachiya, Dr. Kinjal Solanki<sup>^</sup>, Dr. Vikram Pandhya Shankersinh Vaghela B apu Institute of Pharmacy, Gandhinagar, Gujarat, India
3. <https://pubmed.ncbi.nlm.nih.gov/19062634>
4. Patel KN, Pancholi SS, Sublingual route for systemic drug delivery: A pharmaceutical review, *International Journal of Research in Pharmaceutical and biomedical Sciences*, 2012;3(2):913-923
5. Lachman L, Liebermann HA, KanigJL. *The Theory and Practice of Industrial Pharmacy*, 3rd ed. Mumbai: Varghese Publishing House 1990. P. 479-488
6. Somya Sah, Ashutosh Badola, Preeti Kothiyal, Sublingual tablets: an overview, *Indian Journal of Pharmaceutical and Biological Research*, 2016; 4(2): 20-26.
7. Manisha Singh, Nitin Chitranshi, Ajay Pal Singh, Vandana Arora, Abdul Wadood Siddiqi, An Overview on fast Disintegrating Sublingual Tablets, *International Journal of Drug Delivery*, 2012; 4: 407-417
8. Harris D, Robinson JR. Drug delivery via the mucous membranes of the oral cavity. *Journal of pharmaceutical sciences*, 1992 Jan 1; 81(1):1-10.
9. Verma P, Thakur AS, Deshmukh K, Jha AK, S. Routes of drug administration. *International Journal of Pharmaceutical Studies and Research*, 2010; 1(1):54-59.
10. Dhangar RS, Patil ST, Pawar SP. Sublingual: A Route for Systemic Drug Delivery System. *International Journal of Pharma and Chemical Research*, 2017 Apr; 3(2): 301-307.
11. Jaiswani R, Prakash A, Mishra DK, Jain DK. Sublingual Tablets: An Overview. *Journal of Drug Delivery Research*, 2014; 3(4):10-21.
12. Narang N, Sharma J. Sublingual mucosa as a route for systemic drug delivery. *International Journal Pharmaceutical Sciences*, 2011; 3(2):18-22.
13. Nibha KP, Pancholi SS. An overview on: Sublingual route for systemic drug delivery. *International journal of research in pharmaceutical and biomedical sciences*, 2012 Apr; 3(2):913-23.
14. Gennaro A: *Remington: The science and practice of pharmacy*, Lippincott Williams and Wilkins; Philadelphia; 20th edition; 1: 963-975
15. Bredenberg S, Duberg M, Lennernäs B, Lennernäs H, Pettersson A, Westerberg M, Nystrom C. In vitro and in vivo evaluation of a new sublingual tablet system for rapid



oromucosal absorption using fentanyl citrate as the active substance. *EurJPharm Sci.*, Nov30,2003; 20(3): 327-34

16. Doelker E. Pharmaceutical dosage forms: Tablets: HA Lieberman, L. Lachman and JB Schwartz (Eds.), in three volumes, 2ndedn.

**HOW TO CITE:** Namrata S. Revar\*, Samruddhi B. Sawant, Sanjeevani D. Rupwate, Sublingual Dosage Form, *Int. J. in Pharm. Sci.*, 2023, Vol 1, Issue 9, 397-403. <https://doi.org/10.5281/zenodo.8371537>

