

4G12 Thesis Research Update: Drug Delivery

Kirsten Entz

November 17, 2021

Disadvantages to Common Forms of Medication



Swallowed Medication

- First pass effect
- Requires larger dose
- Relatively slow onset of action
- Some patients have difficulty swallowing



Intranasal Medication

- Discomfort
- Irritation
- Most applicable to water-soluble drugs
- Small area of absorption



Fast-Dissolving Tablets

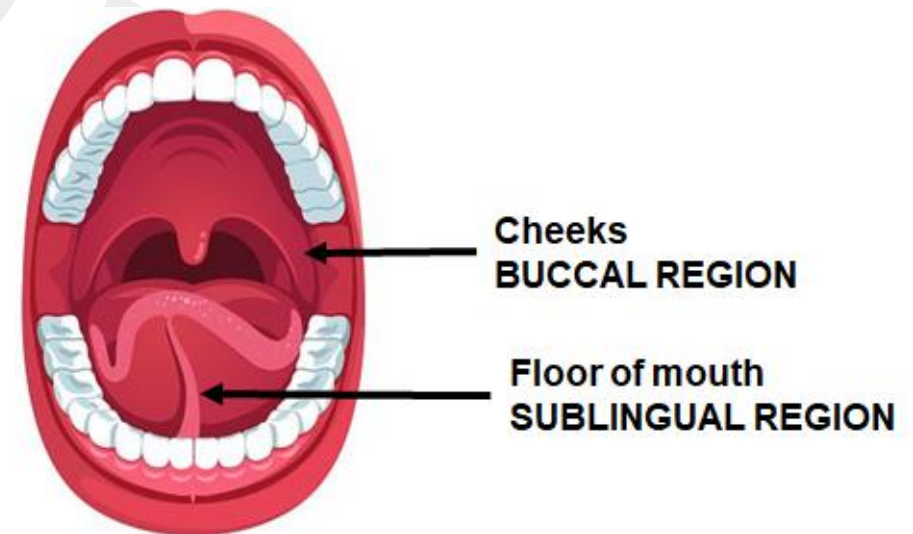
- Requires special packaging
- Brittle and fragile

Fast-dissolving Oral Thin Films (OTF)

Active pharmaceutical ingredient (API) absorbs directly into bloodstream via sublingual or buccal surface

Advantages

- Pain avoidance
- Convenience
- Fast-acting
- Beneficial for patients with dysphagia, the elderly, or children
- Durable



Film Ingredients

Ingredient	Purpose
Polymer	Film base
Plasticizer	Flexibility/plasticity
Surfactant	Evenly distribute API/prevent separation
Stabilizer	Improve shelf life or alter viscosity
Thickener	Increase viscosity for film formation
Carrier oil	Carry API
Saliva Stimulant	Stimulate saliva production to better dissolve film
Flavourants & Colourants	Alter flavour and appearance

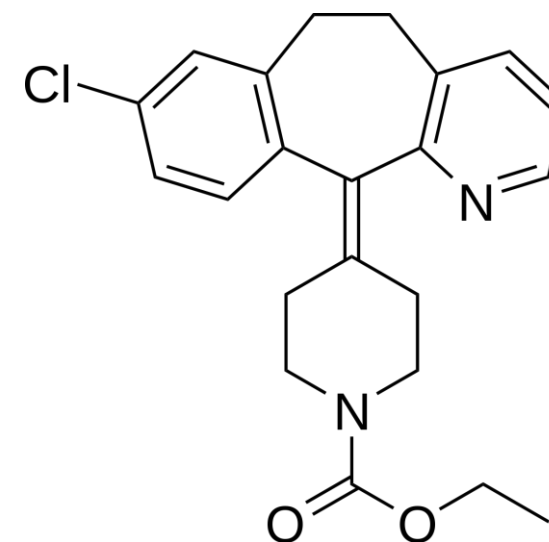
Currently Available OTF Drugs



Water-soluble

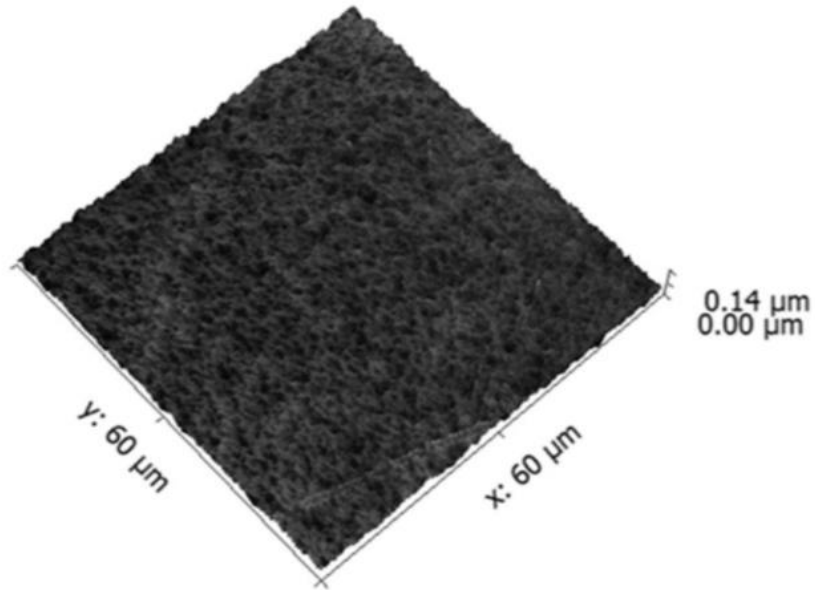
Loratadine (LOR)

- Blocks histamine binding to H1 histamine receptors
- BCS Class II drug – low water solubility, high permeability
- Solubility in water is less than 10 µg/ml
- Soluble organic solvents, such as methanol and ethanol
- Typical dose is 10 mg, or 5 mg for children

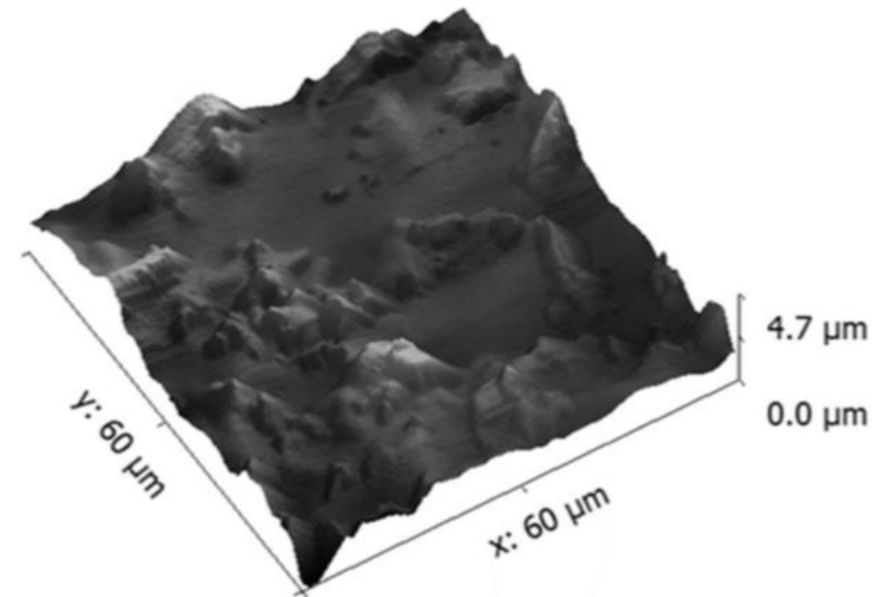


Current Work with LOR Films

- Hypromellose-based and polyvinyl alcohol/polyvinylpyrrolidone-based films
- Loratadine micronized to particle size below 10 μm
- Homogenized LOR into polymer solution with a planetary mixer
- 2-12% LOR in casting mass corresponds to 10-40% w/w in film



a) AFM 3D topography image of upper surface of blank HPMC film



b) AFM 3D topography image of upper surface of 30% LOR HPMC film