Ophthalmic preparations (Semi – Solids)

Ophthalmic Preparations (Semi-Solids): Introduction and Classification

Introduction:



- Ophthalmic preparations are sterile formulations intended for application to the eyes.
- They may be in the form of solutions, suspensions, ointments, gels (jellies), or inserts.
- Among these, **semi-solid ophthalmic preparations** are specially formulated for topical use on the eye and eyelids.
- These are mainly used to provide sustained release of the drug, increase residence time, and improve therapeutic efficacy by minimizing drug loss due to lacrimation or drainage through the nasolacrimal duct.

Semi-solid formulations include:

- Ointments
- Gels (jellies)
- Creams (rare in ophthalmics)

These preparations must be:

- Sterile (free from viable microorganisms)
- Non-irritating
- Compatible with ocular tissues
- Have an appropriate pH, viscosity, and osmolarity

- They are generally applied to the **conjunctival sac** (space between the eyelid and eyeball).

Advantages of Semi-Solid Ophthalmic Preparations:

- Prolonged contact time with the eye surface
- Reduced frequency of administration
- Improved bioavailability of the drug
- Enhanced patient compliance (especially during nighttime use)

Classification of Ophthalmic Semi-Solid Preparations:

Ophthalmic semi-solids can be classified based on several criteria:

1. **Ophthalmic Ointments:**
   - Made using a hydrocarbon base, absorption base, or water-soluble base.
   - They provide prolonged drug release.
   - Typically packaged in metal tubes or single-dose containers.
2. **Ophthalmic Gels:**
   - Clear, viscous semi-solids made using gelling agents (e.g., carbomers).
   - May be thermosensitive (gel at body temperature).
   - Preferred for sustained drug release with less blurring of vision compared to ointments.
3. **Ophthalmic Jellies:**
   - Similar to gels but more fluid.
   - Often used in combination with anesthetic or antibacterial agents.
4. **Ophthalmic Inserts:**
   - Drug-impregnated solid or semi-solid matrices placed in the conjunctival sac.
   - Provide controlled release over a long duration.

Each type has advantages depending on the drug properties, site of action, and desired duration of action.

Factors Affecting Absorption of Ophthalmic Semi-solids

Understanding drug absorption from ophthalmic semi-solids is crucial for optimizing therapeutic outcomes. The eye has multiple barriers such as blinking, tear turnover, and limited tissue permeability.

A. Physiological Factors

1. **Tear Film Turnover and Drainage**
   - Continuous tear production rapidly drains the formulation; only 1–10% of the drug remains for absorption.
2. **Blinking and Eyelid Movements**
   - Blinking spreads the drug but also promotes drainage. Semi-solid ointments retain longer in the conjunctival sac.
3. **Conjunctival and Corneal Permeability**
   - The cornea, with both lipophilic and hydrophilic layers, requires drugs to have dual solubility for effective absorption.

4. Ocular pH and Tear Volume

- Ideal pH is around 7.4; deviations may cause irritation and excess tears, diluting the drug.

5. Enzymatic Degradation

- Enzymes like esterases and peptidases may break down drugs before absorption.

B. Formulation Factors

1. Viscosity

- Higher viscosity prolongs retention but may blur vision if too high.

2. Type of Base

- Oily bases enhance retention; hydrophilic bases promote water-soluble drug release.

3. Particle Size

- Smaller particles improve absorption; large ones may cause discomfort.

4. Drug Concentration and Solubility

- Higher concentrations enhance absorption up to a limit before irritation or saturation occurs.

5. pH and Osmolarity

- Ideal formulations are isotonic with a pH of 6.5–8.5 to avoid irritation.

6. Penetration Enhancers

- Agents like surfactants or cyclodextrins can aid absorption but may cause toxicity if overused.

7. Sterility and Preservatives

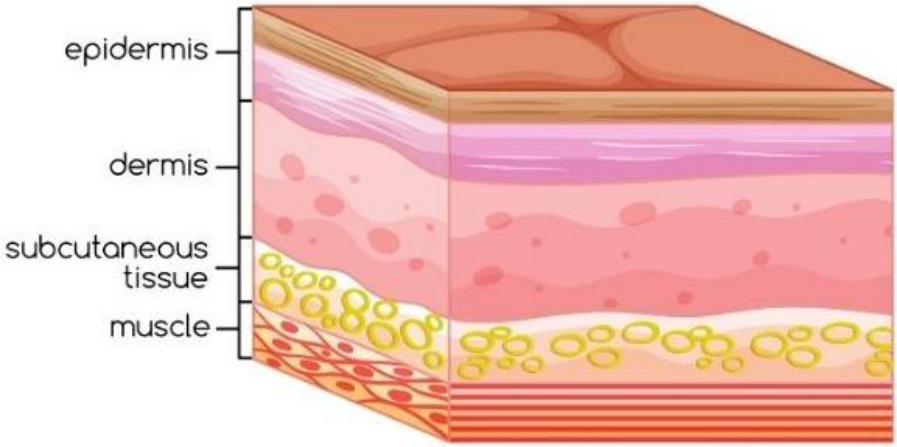
- Preservatives must prevent microbial growth while being non-toxic to ocular tissues.

Anatomy of Skin (with reference to semi-solid absorption)

- Although this topic seems more aligned with dermatological preparations, it's also relevant for periocular application or understanding absorption pathways in transdermal formulations or near-eye skin treatments.

Structure of the Skin

Skin is the **largest organ** of the body and acts as a protective barrier. It has **three primary layers**:



A. Epidermis

- Outermost layer of skin.
- Primarily composed of keratinized epithelial cells.
- No blood supply (avascular).
- Key component: **Stratum Corneum** – the outermost sub-layer of the epidermis.

Stratum Corneum:

- Formed by dead, flattened keratinocytes.
- Acts as the primary barrier for drug penetration.
- Often described as a "brick and mortar" structure (corneocytes as bricks, lipid matrix as mortar).

Other epidermal layers (from outer to inner):

- Stratum lucidum (only in thick skin)
- Stratum granulosum
- Stratum spinosum
- Stratum basale (contains melanocytes, stem cells)

B. Dermis

- Lies beneath the epidermis.
- Vascularized and innervated.
- Contains blood vessels, lymphatics, sweat glands, sebaceous glands, and hair follicles.
- Supports nutrient exchange and waste removal.
- Drug molecules reaching here can enter systemic circulation.

C. Hypodermis (Subcutaneous layer)

- Consists of fat cells and connective tissue.
- Provides insulation, cushioning, and energy storage.
- Important for depot drug delivery systems.

Appendages of Skin

- **These are known as skin appendages, and include:**
  - Sweat glands
  - Sebaceous glands
  - Hair follicles
- They serve as secondary routes for drug absorption, especially when stratum corneum penetration is limited.

Percutaneous Absorption: Key Concepts

- Percutaneous absorption refers to the movement of drugs across the skin layers into systemic circulation or local tissue.

Primary Pathways for Absorption:

- **Transepidermal route** (through the stratum corneum)
- **Transappendageal route** (via hair follicles, sweat, and sebaceous glands)

Factors Influencing Percutaneous Absorption

Several physiological and formulation-related factors affect the rate and extent of drug penetration through the skin:

A. Physiological Factors

1. **Skin Thickness**
   - Thinner skin (e.g., **eyelids**) increases absorption.
2. **Hydration**
   - **Hydrated skin** = more permeable.
3. **Skin Condition**
   - Damaged, abraded, or inflamed skin allows greater drug penetration.
4. **Age**
   - Infants and elderly have thinner skin → enhanced permeability.
5. **Surface Area of Application**
   - Greater area = **more total absorption**.
6. **Duration of Contact**
   - Prolonged contact = **greater absorption**.

B. Drug-Related Physicochemical Factors

1. **Molecular Weight**
   - Ideal: **<500 Daltons** for effective skin absorption.

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