OBJECTIVES OF THE LECTURE

• At the end of this lecture, you will be aware of:
• What are semi-solid dosage forms?
• What are various types of semi-solid dosage forms?
• What are the applications and rationale of semi-solid dosage forms?
• What are advantages / disadvantages of semi-solid dosage forms?
• How semi-solid dosage forms are prepared?
LECTURE OUTLINE

• Introduction to semi-solid dosage forms.
• Advantages and Disadvantages: Rationale for use
• Ideal properties of semisolid dosage forms
• Structure and function of Skin.
  1-Epidermis, 2-Dermis (true skin), 3-Hypodermis (Subcutaneous fat layer).
• Drug absorption through skin
• Factors Affecting Percutaneous Absorption.
• Classification of ointment/ointment bases.
• Selection of the Appropriate Base
• Preparation of Ointments
• Packaging and Storage of Ointments
• Creams: definition, classification, preparation application and demerits
• Gels: definition, classification, preparation application and demerits
• Paste: definition, preparation and application.
• Poultice: definition, preparation and application.
• Toothpaste: definition, preparation and application.
Products of semisolid consistency and applied to skin or mucous membranes for therapeutic or protective action or cosmetic function.

**Physical effects:** skin protection, lubricants, emollients etc

**Non-systemic topical effect:** skin infections, itching, burns, diaper rash, insect stings and bites, corns, calluses, warts, dandruff, acne, psoriasis, and eczema.

**Systemic effect:** hormone replacement therapy & prevention of motion sickness.
Advantages and Disadvantages: Rationale for use

• Site specific delivery. (Most dermatological disorders lies in the viable epidermis or upper dermis).
• Probability of side effects is reduced.
• Easy application.
• Rapid formulation.
• Ability to deliver a wide variety of drug molecules.
• Good for bitter drugs.
• Good for unconscious and elder patients who face difficulty in oral administration of drugs.
• First-pass gut and hepatic metabolism is avoided in TDD.
• Constant drug levels in the bloodstream are maintained for longer periods of time.
• Patient compliance is increased.

• DISADVANTAGE

• Staining, stickiness, sensitization, irritation, finger contamination specially to mucous membranes, bulky like liquid dosage forms.
• Stability problem as the base which is used in the semi-solid dosage form can be easily oxidized.
• There is no dosage accuracy in this type of dosage form.
IDEAL PROPERTIES OF SEMISOLID DOSAGE FORMS

1- PHYSICAL PROPERTIES:
   a) Elegant in appearance
   b) Smooth texture / Non gritty
   c) Non dehydrating
   d) Non hygroscopic
   e) Non greasy and
   f) Non staining

2- PHYSIOLOGICAL PROPERTIES:
   a) Non irritating
   b) Do not alter membrane / skin functioning
   c) Miscible with skin secretion.
   d) Have low sensitization effect.

3- APPLICATION PROPERTIES:
   a) Easily applicable with efficient drug release.
   b) High aqueous wash ability.
The skin is the largest human organ and is composed of three functional layers:

1- Epidermis,
2- Dermis (true skin),
3- Hypodermis (Subcutaneous fat layer).

A film of emulsified material present upon the surface of the skin composed of a complex mixture of sebum, sweat. Blood capillaries and nerve fibers. Sweat glands. Hair follicles

FUNCTION OF SKIN: It protects the organism from water loss and mechanical, chemical, microbial, and physical influences.
Structure of the Skin

- Hair shaft
- Sweat pore
- Dermal papilla
- Sensory nerve ending for touch
- Hair follicle
- Stratum corneum
- Pigment layer
- Stratum germinativum
- Stratum spinosum
- Stratum basale
- Arrector pili muscle
- Sebaceous gland
- Papilla of hair
- Nerve fiber
- Blood and lymph vessels
- Sweat gland
- Vein
- Artery
- Pacinian corpuscle
The epidermis is an outer aneural and avascular layer of skin, nourished by diffusion from the dermis.
The outermost layer stratum corneum consists of Horny cells (corneocytes) which are connected via protein-rich attachments of the cell membrane.

The corneocytes are embedded in a lipid matrix in “Brick and mortar” structure.

The corneocytes of hydrated keratin comprise the bricks and the epidermal lipids fill the space between the dead cells like mortar.

*STRATUM CORNEUM FORMS THE PERMEABILITY BARRIER.*
Drug absorption through skin

*Percutaneous* ('by way of the skin') *absorption is the absorption of substances from outside the skin to positions beneath the skin, including entrance into the blood stream.*

**Transappendageal route:** Shunt route no cross of skin

**Hair follicles, sebaceous & Sweat glands** (0.1% of the total skin area)
Minor importance because of their relatively small area
Important for ions and large polar molecules which hardly permeate through the stratum corneum.

**Trans epidermal route:**
Drug cross intact horny layer

The principal pathway taken by drugs is decided by physicochemical nature of the drug, specially its size, solubility and partition coefficient.
Factors Affecting Percutaneous Absorption: Nature of Skin

Transdermal absorption follows **Fick’s First Law** of Diffusion

\[
J_s = \frac{K_m \cdot D \cdot C_s}{E}
\]

\(J_s\) = Flux of solute through the skin

\(K_m\) = Distribution coefficient of drug between vehicle and stratum corneum

\(C_s\) = Concentration difference of solute across the membrane

\(D\) = Membrane Diffusion coefficient for drug in stratum corneum

\(E\) = Thickness of stratum corneum

Surfactants, Dimethylsulfoxide (DMSO) and Urea, interact with the keratin structure in the stratum corneum and open the tight protein structure, which leads to an increase in the \(D\).

Azone, Oleic acid, and isopropyl myristate intercalate into the structured lipids of the horny layer and disrupt the packing. Thus, making the regular structure more fluid and increasing the \(D\).

Solvents like Dimethylsulfoxide (DMSO) and Ethanol, extract lipids and making the stratum corneum more permeable.
Factors Affecting Percutaneous Absorption: Nature of Skin

1. The thickness of stratum corneum

2. Multiple application dosing

3. Time of contact with the skin

4. Broken skin permits (remove of the stratum corneum)

Percutaneous absorption
Factors Affecting Percutaneous Absorption: Nature of the drug

1. Drug concentration

2. Drug partition coefficient (greater attraction to the skin than to the vehicle)

3. Molecular weight below 800

4. Particle Size

5. Solubility in mineral oil and water
Factors Affecting Percutaneous Absorption: Nature of Vehicle

1. Spread ability of the vehicle

2. Mixing with the sebum

3. Hydration of the skin

Oleaginous vehicles act as moisture barriers through which the sweat from the skin cannot pass, thus increased hydration of the skin beneath the vehicle and increase Percutaneous absorption.
Ointments: Semisolid preparations intended for external application.

- Ointments are semisolid preparation intended for application to the skin with or without inunction.
- They may be oleaginous e.g., white ointment; or entirely free of oleaginous substances e.g., polyethylene glycol ointment, or emulsions of fatty or wax like material containing relatively high proportion of water e.g., hydrophilic ointment.
- *Non-medicated* ointment bases are used for their emollient, lubricating effect or as vehicles in the preparation of *medicated ointments.*
IDEAL OINTMENT BASES

According to BEELER, ideal ointment base should have following physicochemical properties:

IDEAL OINTMENT BASE:

1. Stability
2. Neutral in reaction
3. Non greasy
4. Non degreasing
5. Non irritating
6. Nondehydrating
7. Non hygroscopic
8. Water removable
9. Compatible
10. Free from odors
11. Non-staining
12. Efficient on all skin type
13. Composed of readily available excipients
14. Capable of holding 50% of water
15. Easily compounded
16. Melting & softening at body temperature
17. Smoothness
18. Ease of application
19. Suitable base
20. Properly distributed medicament
CLASSIFICATION OF OINTMENT / OINTMENT BASES

ACCORDING TO PENETRATION:

1. EPIDERMIC OINTMENT:
   - Slight penetration power
   - Therapeutic effect on diseased epithelium
   - e.g., petrolatum, waxes

2. ENDODERMIC OINTMENT:
   - Power of deeper penetration
   - e.g., vegetable oils, lards, lanolin

3. DIADERMIC OINTMENT:
   - Penetrate skin effectively. better absorption
   - e.g., emulsion type & water soluble.

PHYSICAL CLASSIFICATION ): BASED ON CHEMICAL COMPOSITION:

- Hydrocarbon Bases
- Absorption Bases
- Water Miscible Bases
- Water Soluble Bases
<table>
<thead>
<tr>
<th>Composition</th>
<th>OLEAGINOUS OINTMENT BASES</th>
<th>ABSORPTION OINTMENT BASES</th>
<th>WATER-REMOVABLE OINTMENT BASES</th>
<th>WATER-SOLUBLE OINTMENT BASES</th>
</tr>
</thead>
<tbody>
<tr>
<td>Oleaginous compounds</td>
<td>Oleaginous bases + w/o surfactant</td>
<td>Oleaginous base + Water (&gt; 45%) + O/W surfac. (HLB &gt;9)</td>
<td>Polyethylene Glycols (PEGs)</td>
<td></td>
</tr>
<tr>
<td>Water Content</td>
<td>Anhydrous</td>
<td>anhydrous</td>
<td>hydrous</td>
<td>anhydrous, hydrous</td>
</tr>
<tr>
<td>Affinity for Water</td>
<td>Hydrophobic</td>
<td>hydrophilic</td>
<td>hydrophilic</td>
<td>hydrophilic</td>
</tr>
<tr>
<td>Spreadability</td>
<td>difficult</td>
<td>difficult</td>
<td>easy</td>
<td>moderate to easy</td>
</tr>
<tr>
<td>Washability</td>
<td>non-washable</td>
<td>non-washable</td>
<td>washable</td>
<td>washable</td>
</tr>
<tr>
<td>Stability</td>
<td>oils poor; hydrocarbons better</td>
<td>oils poor; hydrocarbons better</td>
<td>unstable</td>
<td>stable</td>
</tr>
<tr>
<td>Drug Incorporation</td>
<td>•Solids</td>
<td>•Solids</td>
<td>• Solid</td>
<td>•Solid</td>
</tr>
<tr>
<td>Potential</td>
<td>•Oils (oil soluble drugs)</td>
<td>•Oils</td>
<td>• Aqueous solutions (small amounts)</td>
<td>•Aqueous solutions</td>
</tr>
<tr>
<td></td>
<td></td>
<td>•Aqueous solutions</td>
<td></td>
<td>•Non-aqueous solutions</td>
</tr>
<tr>
<td>Continue</td>
<td>OLEAGINOUS OINTMENT BASES</td>
<td>ABSORPTION OINTMENT BASES</td>
<td>WATER-REMOVABLE OINTMENT BASES</td>
<td>WATER-SOLUBLE OINTMENT BASES</td>
</tr>
<tr>
<td>-----------</td>
<td>---------------------------</td>
<td>---------------------------</td>
<td>--------------------------------</td>
<td>-----------------------------</td>
</tr>
<tr>
<td>Drug Release</td>
<td>poor</td>
<td>poor but &gt; oleaginous</td>
<td>fair to good</td>
<td>good</td>
</tr>
<tr>
<td>Occlusiveness</td>
<td>yes</td>
<td>yes</td>
<td>no</td>
<td>no</td>
</tr>
<tr>
<td>Uses</td>
<td>• Protectants (occlusive dressings, diaper rash) • Emollients • Vehicles for hydrolysable drugs</td>
<td>• Protectants • Emollients • Vehicles for aqueous solutions, solids, and non hydrolysable drugs</td>
<td>• Emollients • Vehicles for solid, liquid, or non-hydrolyzable drugs</td>
<td>Drug vehicles</td>
</tr>
<tr>
<td>Examples</td>
<td>• Yellow Petrolatum • White Petrolatum • Yellow Ointment • White Ointment</td>
<td>• Hydrophilic Petrolatum (Aquaphor®), • Anhydrous Lanolin • Lanolin</td>
<td></td>
<td>• Hydrophilic Ointment Vanishing cream, PEG Ointment</td>
</tr>
</tbody>
</table>
HYDROCARBON BASES (OLEAGINOUS BASES)

Petrolatum (Soft Paraffin): Yellow Petrolatum (Vaseline)
- Mixture of semisolid hydrocarbons obtained from petroleum.
- Melts at temperatures between 38° and 60°C

White Petrolatum (White Vaseline): Decolorized petrolatum
- More esthetically acceptable to patients than petrolatum.

Yellow Ointment (Simple Ointment)
- Contains 5% of yellow wax (from the honey) & 95% of petrolatum.

White Ointment (Simple Ointment)
Contains 5% White wax (bleached beeswax) & 95% of White petrolatum.

ABSORPTION BASES

Lanolin (Hydrous Wool Fat): obtained from the wool of sheep
It is a water-in-oil emulsion that contains between 25-30% water.

Anhydrous Lanolin (Wool Fat)
It is insoluble in water, but mixes without separation with water twice its weight of water with the formation of a water-in-oil emulsion

Hydrophilic Petrolatum (Aquaphor)
Hydrophilic Petrolatum is composed of 3% cholesterol, 3% stearyl alcohol, 8% white wax, and 86% white petrolatum.
Water-Removable Bases: oil-in-water emulsions

- They can be diluted with water or with aqueous solutions. "water-loving."

- Have the general formula: Emulsifying wax 30 %, White soft Paraffin 50 % and 20 % Liquid Paraffin

Hydrophilic Ointment: Have the general formula:

<table>
<thead>
<tr>
<th>Component</th>
<th>Percentage</th>
<th>Role</th>
</tr>
</thead>
<tbody>
<tr>
<td>Sodium Lauryl Sulfate</td>
<td>1 %</td>
<td>emulsifying agent</td>
</tr>
<tr>
<td>Stearyl Alcohol</td>
<td>25 %</td>
<td>emulsifying agent</td>
</tr>
<tr>
<td>White Petrolatum</td>
<td>25 %</td>
<td>oleaginous phase</td>
</tr>
<tr>
<td>Propylene Glycol</td>
<td>12 %</td>
<td>aqueous phase</td>
</tr>
<tr>
<td>Purified Water</td>
<td>37 %</td>
<td>aqueous phase</td>
</tr>
</tbody>
</table>

Water-Soluble Bases (greaseless bases)

- contain only water soluble components with no oleaginous materials.

  Soften greatly with the addition of water, suitable for non-aq. drugs.

Polyethylene Glycol Ointments: polymers of ethylene oxide and water
<table>
<thead>
<tr>
<th>PEG</th>
<th>APPEARANCE AT 25°C</th>
<th>PH</th>
<th>AVERAGE MOLECULAR WEIGHT</th>
<th>MELTING POINT</th>
<th>HYDROXYL VALUE</th>
<th>MOISTURE CONTENT MAX.</th>
</tr>
</thead>
<tbody>
<tr>
<td>PEG-200</td>
<td>Clear viscous colorless liquid</td>
<td>4.0-7.0</td>
<td>190-210</td>
<td>&lt;65°C</td>
<td>500-550</td>
<td>1.0%</td>
</tr>
<tr>
<td>PEG-300</td>
<td>Clear viscous colorless liquid</td>
<td>4.0-7.0</td>
<td>290-310</td>
<td>&lt;15°C</td>
<td>340-394</td>
<td>1.0%</td>
</tr>
<tr>
<td>PEG-400</td>
<td>Clear viscous colorless liquid</td>
<td>4.0-7.0</td>
<td>390-410</td>
<td>4-8°C</td>
<td>264-300</td>
<td>1.0%</td>
</tr>
<tr>
<td>PEG-600</td>
<td>Clear viscous colorless liquid</td>
<td>4.0-7.0</td>
<td>590-610</td>
<td>15-17°C</td>
<td>176-200</td>
<td>1.0%</td>
</tr>
<tr>
<td>PEG-1000</td>
<td>White waxy solid</td>
<td>4.0-7.0</td>
<td>950-1050</td>
<td>37-38°C</td>
<td>105-120</td>
<td>1.0%</td>
</tr>
<tr>
<td>PEG-1500</td>
<td>White waxy solid</td>
<td>4.0-7.0</td>
<td>1450-1550</td>
<td>44-45°C</td>
<td>70-90</td>
<td>1.0%</td>
</tr>
<tr>
<td>PEG-2000</td>
<td>White flakes</td>
<td>4.0-7.0</td>
<td>1950-2050</td>
<td>45-46°C</td>
<td>50-70</td>
<td>1.0%</td>
</tr>
<tr>
<td>PEG-4000</td>
<td>White flakes</td>
<td>4.0-7.0</td>
<td>3800-4200</td>
<td>53-56 °C</td>
<td>30-36</td>
<td>1.0%</td>
</tr>
<tr>
<td>PEG-6000</td>
<td>White flakes</td>
<td>4.0-7.0</td>
<td>5500-6500</td>
<td>55-63 °C</td>
<td>16-20</td>
<td>1.0%</td>
</tr>
</tbody>
</table>
Selection of the Appropriate Base

The selection of the base of an ointment depends on many factors:

**Patient Factors**

The condition of the patient's skin, e.g. oozing or dry

The rule in dermatology is that

if a patient's skin is dry-wet it, If it is wet-dry it.

If a patient's skin is dry, occlusive ointment base that retain moisture is preferable.
Physicochemical Factors

1. The desired release rate of the drug from the ointment base.

2. The desired enhancement of the percutaneous absorption of the drug.

3. The desired occlusion of moisture from the skin by the base.

4. The stability of the drug in the ointment base, for a drug that hydrolyzes rapidly as antibiotics, a hydrocarbon base would provide the greatest stability.

5. The influence of the drug on the consistency of the ointment base.
The desired wash ability of the base as for application to hairy regions, a Polyethylene Glycol base is preferred.

For ophthalmic ointments, non-irritant bases are desired.

Absorption O/W emulsion bases and water soluble bases are irritants due to the effect of the surfactants in the base.

It is preferred to use yellow paraffin but not white due to the irritation effect of the bleaching agents.
Preparation of Ointments

• Ointments are prepared in the pharmacy by either incorporating the active ingredient(s) into the chosen base (INCORPORATION METHOD).

• or by melting the base and active ingredient(s) together (FUSION METHOD).

• **A- INCORPORATION OF DRUGS**
  • All components are powdered, blended then levigated with equal amount of base.
  • Thoroughly mix the paste with another equal volume of base until the entire base has been added.
  • Ointments containing water require preservatives (p-hydroxybenzoates, phenols, benzoic acid, sorbic acid, quaternary ammonium salts) to inhibit the contamination.
Preparation of Ointments

• **FUSION METHOD:**
  • Ointment containing components such as: beeswax, paraffin, stearyl alcohol, and high molecular weight polyethylene glycols, do not mix well by incorporation.
  • Theses are prepared by fusion method.
  • All components are melted together with active ingredient, in water bath.
  • Note: Thermolabile components not melted, but added with stirring to the congealing mixture during cooling.
  • Once congealed, the ointment may be passed through an ointment mill to ensure a uniform texture.
Preparation of Ointments

- If the ingredient having the highest melting point is melted first and the other components are added to this hot liquid, all the components will be subjected to this high temperature, irrespective of their own individual melting points. So there is chances of degradation.

- By melting the component having the lowest melting point first and adding the components of higher melting points in order of their individual melting points a lower temperature is usually sufficient to achieve fusion.

- This is due to the solvent action exerted by the first melted component on the other components.

- The temperature is maintained for 5 to 10 minutes to prevent crystallization of waxes.

- Then the mixture is slowly cooled with the stirring until congealing.
Packaging and Storage of Ointments

Semisolid preparations must be protected through proper packaging and storage from the destructive influences of air, light, moisture, and heat, and the possible chemical interactions between the preparation and the container.

- Most ointments must be stored at temperatures below 30°C to avoid the softening and liquefying of the base,
  - to prevent settling of insoluble drugs to the bottom.
  - to prevent separation of emulsion bases into two phases.

Ointments are usually packaged either in tubes (plastic or tin) or in jars made of Plastic or glass, colored green, amber, or blue, or opaque (for light sensitive drugs) and porcelain-white.

Ointments in tubes are less exposed to air and contaminants and are more stable than ointments packaged in jars.

- Some tubes co-packaged with special tips when the ointment is to be used for rectal, ophthalmic, vaginal or nasal application.
Creams

- Semisolid preparations containing drugs dissolved in either a (O/W) or (W/O) emulsions or in another type of water-washable base, **Creams are semisolid emulsions** (do not flow when pouring)

- As creams are non-Newtonian systems, they exhibit pseudo plastic rheological property with low yield value so their viscosity decrease during application to the skin.

- Creams preferred over ointment as emollient cooling or moistening agent when a high occlusive effect is not necessary. Why: **easy to spread** and **washable** (in case of O/W).
COLD CREAMS: W/O emulsion creams

• Invented by Galen (Beeswax & water also olive oil and rose petals).
• The name derives from the cooling effect that the cream leaves on the skin.
• Used for softening and cooling the skin after sunburn, as a cleansing cream, to protect the skin from cold biting dry breezes in winter etc. also used as an emollient due to its occlusive effect.
• Modern cold cream includes: Cetyl esters wax & White wax, Mineral Oil, Sodium Borate and water. Sodium Borate interact with the free fatty acids of the waxes and form the emulsifier sodium soaps.
• Difficult to remove by water as w/o emulsion.
Fatty acids as stearic acid, oleic acid (used with alkalis to form soap emulsifiers); In excess, fatty acids increase the stiffness and change the appearance of the emulsified systems.

Fatty alcohols as cetostearyl, stearyl and cetyl alcohols (used as secondary emulsifiers; have excellent emollient characteristics and readily penetrate the skin.

Contain large amounts of water which evaporates leaving a thin film of stearic acid.

Mainly used as moisturizes.
PREPARATION OF CREAMS

• A skin cream should aid the skin in carrying out its normal functions, such as-
• -restoring moisture to dry skin,
• -allowing the elimination of waste matter through the pores,
• -and the cooling of the body by evaporation of water (perspiration) and radiation, thus aiding in the maintenance of the normal body temperature.
• If the cream clogs the pores of the skin it results in a thick sticky coating on the skin and prevents sufficient normal skin function, being detrimental to health.
PREPARATION OF CREAMS

• Skin creams contain a variety of ingredients-
  • softening the skin,
  • Improving texture,
  • emulsifying the oil and water components,
  • raising the melting point,
  • improving the spread ability,
  • improving the odor,
  • and providing various medicinal properties.
PREPARATION OF CREAMS

• A basic and satisfactory skin cream can be prepared from stearic acid, lanolin, mineral oil, triethanolamine, and water.
• Place a 150-mL beaker on a balance and weigh it.
• Weigh the quantities of stearic acid, lanolin, and mineral oil, into the 150-mL beaker.
• Heat the beaker in a water bath until all the ingredients have melted. (Cosmetic ingredients should not be melted over a direct flame or high heat because they may scorch or decompose if they are heated much above the boiling point of water.)
• Measure, mix and heat water-triethanolamine mixture to a temperature of 80° to 90°C in another beaker.
• Slowly pour the melted stearic acid-lanolin-mineral oil mixture into the water-triethanolamine mixture a little at a time, stirring constantly.
PREPARATION OF CREAMS

• Note: If the “oil mixture” has solidified, heat briefly on the water bath to remelt it.

• Note: If you pour too fast or if you do not stir, your emulsion will be lumpy.

• Note: Continue stirring until you have a smooth, uniform paste.

• Commercial skin creams usually contain fragrance so they have a pleasant odor.

• You may add perfume to the skin cream to produce a pleasant odor.

• Only a few drops of perfume will be needed to produce a mild, pleasant odor.

• Add the perfume one or two drops at a time and stir well to blend it into the skin cream until the desired level of odor is obtained.
Gels & jellies

- The word “gel” is derived from “gelatin”, and both “gel” and “jelly” can be traced back to the Latin gelu for “frost” and gelare, meaning “freeze” or “congeal”.
- Gels are transparent semisolid formulation that liquefies upon contact with the skin / mucosa.
- Gels with adhesive properties are used to increase the contact time of the active ingredients.
- Gel-forming hydrophilic polymers are typically used to prepare lipid-free semisolid dosage forms, including dental, dermatological, nasal, ophthalmic, rectal, and vaginal gels and jellies.
- Gel vehicles containing therapeutic agents are especially useful for application to mucous membranes and ulcerated or burned tissues because their high water content reduces irritancy.
Gels & jellies

- Hydrophilic gels are easily removed by gentle rinsing or natural flushing with body fluids, reducing the propensity for mechanical abrasion.
- Synthetic polymeric gels such as poloxamer and carbomer, have superior optical clarity, hence these are used in developing therapeutic ophthalmic gels.
- Gels with high solvent content are called **JELLIES**.
- These are used on mucous membranes to protect lesions from friction.
- Gels devoid of solvents (free from solvent) are called as **XEROGEL**.
Classification of Gel

Single-phase system: The gel mass consists of a network of cross-linked polymer molecules

Aqueous dispersion phase (Carbomer)
Non-aqueous dispersion phase (Orabase)

Two-phase system: The gel mass consists of organic macro-molecules distributed in a liquid

Gel (Aluminium hydroxide gel)
Magma (Bentonite magma)

The majority of gels are formed by aggregation of colloidal sol particles, the semisolid system so formed being interpenetrated by a liquid.
The particles link together to form an interlaced network, thereby imparting rigidity to the structure; the continuous phase is held within the meshes.
Commonly used Gelling agents are Sodium alginate, Gelatin, Polyvinyl alcohols, synthetic macromolecules (e.g., carbomer 934), cellulose derivatives (e.g., carboxymethylcellulose, hydroxypropylmethylcellulose) and natural gums (e.g., tragacanth).

✓ Clays such as bentonite, aluminium magnesium silicate (Veegum) and to some extent kaolin also form gels.

❖ The concentration of the gelling agents is mostly less than 10%, usually in 0.5 to 2.0% range, with some exceptions.

☐ Triturate other powders with the gelling agent, if any.

☐ Disperse the gelling agent in water, stir until the preparation become homogeneous. Add preservatives: parabens or benzoic acid into the gel.

❖ To prepare methylcellulose gel, after a smooth dispersion is obtained, the preparation is gelled by cooling, phenomenon called thermal gelation.
Applications of gels

- Non-medicated Gels may be used as: Lubricants for catheters, electrode(electrocardiography) & in the preparation of artificial tears.
- Medicated Gels may be used for: Topical preparations, Ophthalmic preparations, Cosmetic gels (as shower gels, after shave gels & sun-screen gels).

Advantages of gels

- Semisolid with high degree of clarity
- Ease of application and ease of removal.
- Provide a faster release of drug substance

Drawbacks of gels

- Gels may contract on standing. To minimize water loss from single-phase gels, humectants such as propylene glycol, glycerin or sorbitol are added.
- Large quantities of salt may cause salting-out of polymers.
- Increasing temperature may cause rigid gels to melt.
Pastes are stiff semisolid dosage forms containing high proportion of powder (at least 25%) dispersed in a fatty base (e.g., petroleum jelly).

Combines three agents - oil, water, and powder; an oleaginous ointment in which a excess powder is suspended.

Because of high content of solids pastes are less greasy and more absorptive for exudates than ointments.

Medicaments incorporated in pastes are less absorbed than from ointments thus have more superficial effects.

**Method of Preparation**

- Prepared by levigating the powder with petrolatum.
- Heated to smooth the base and incorporated the remainder solids.

Pastes are usually applied by a wooden spatula or palette knife.

Zinc and Salicylic Acid Paste (Lassar's paste) is the most commonly used paste preparation.
Poultice

• Poultice is a viscous, pasty preparation for external use.
• The most commonly used one is Kaolin Poultice (Clay).
• Because of its stiffness it is spread thickly on a dressing and applied hot to reduce inflammation and pain (counterirritant).
• Heavy kaolin is first sifted and dried at 100 °C to remove moisture to kill bacteria.
• Then mixed with boric acid and glycerin.
• The mixture heated to 120 °C for 1 h with stirring.
• The temperature is limited to 120 to prevent decomposition of the glycerin.
• Thymol, methylsalicylate and peppermint oil are added after cooling.
• Kaolin Poultice is stored in well closed containers to prevent loss of volatile ingredients and absorption of moisture from the atmosphere by glycerin.
These are past dosage forms intended to be used to clean teeth using toothbrush.

1. Abrasive agents:
   - Insoluble solids used to remove residual debris from teeth and to polishes the teeth surfaces.
   - It should be non-toxic, compatible with other ingredients, free from earthy taste, with optimum particle size.

   *EXAMPLE:*
   - Calcium Carbonate,
   - Calcium Phosphate,
   - Anhydrous Dibasic Calcium Phosphate,
   - Silicas,
   - Hydrated Alumina.
2. Binders:

- Used to prevent separation of aqueous phase from the solid phase by increasing the consistency (viscosity) of the mixture.
- It should be hydrophilic, disperse in water, absorbs water and swells forming a viscous liquid.
- **EXAMPLE:** Gum tragacanth, Gum acacia, Sodium alginate, bentonites and veegum.

3. Humectants: Used to retain moisture and prevent drying of paste when exposed to the atmosphere.

- **EXAMPLE:** Glycerol, sorbitol and propylene glycol.
4. **Detergents: Foaming agent**, Used to decrease surface tension so suspend and emulsify debris from the surface of the teeth to be easily removed.

   - **EXAMPLE**: Sodium lauryl sulphate, Sodium sarcosinate.

5. **Preservatives**: Used to inhibit microbial growth

   - **EXAMPLE**: Benzoic acid and esters of p-hydroxy benzoate.

6. **Sweetening agents**: Used to increase consumer acceptance.

   - **EXAMPLE**: Saccharine.

7. **Flavoring agents**: The selection of flavoring agents depends on the taste and the colour for consumer acceptance.

   - **EXAMPLE**: Anise, coriander, clove, nutmeg, peppermint and cinnamon oil.
Some other ingredients are used for special functions:

- Chlorophyll: used as adsorbent for mouth odor.
- Zinc oxide: used as astringent for sensitive gums.
- Urea peroxide & potassium chlorate: used as oxidizing agents to release nascent oxygen to kill anaerobic bacteria.
- Mill/triturate dry powders for size reduction.
- Blend them to get homogeneous mixture.
- Add water and humectant glycerin to make it a thick paste.
- You can homogenize this paste to get smooth paste with no grittiness.
THANK YOU FOR ATTENTION

GOOD LUCK

KEEP TEETH BRUSH..