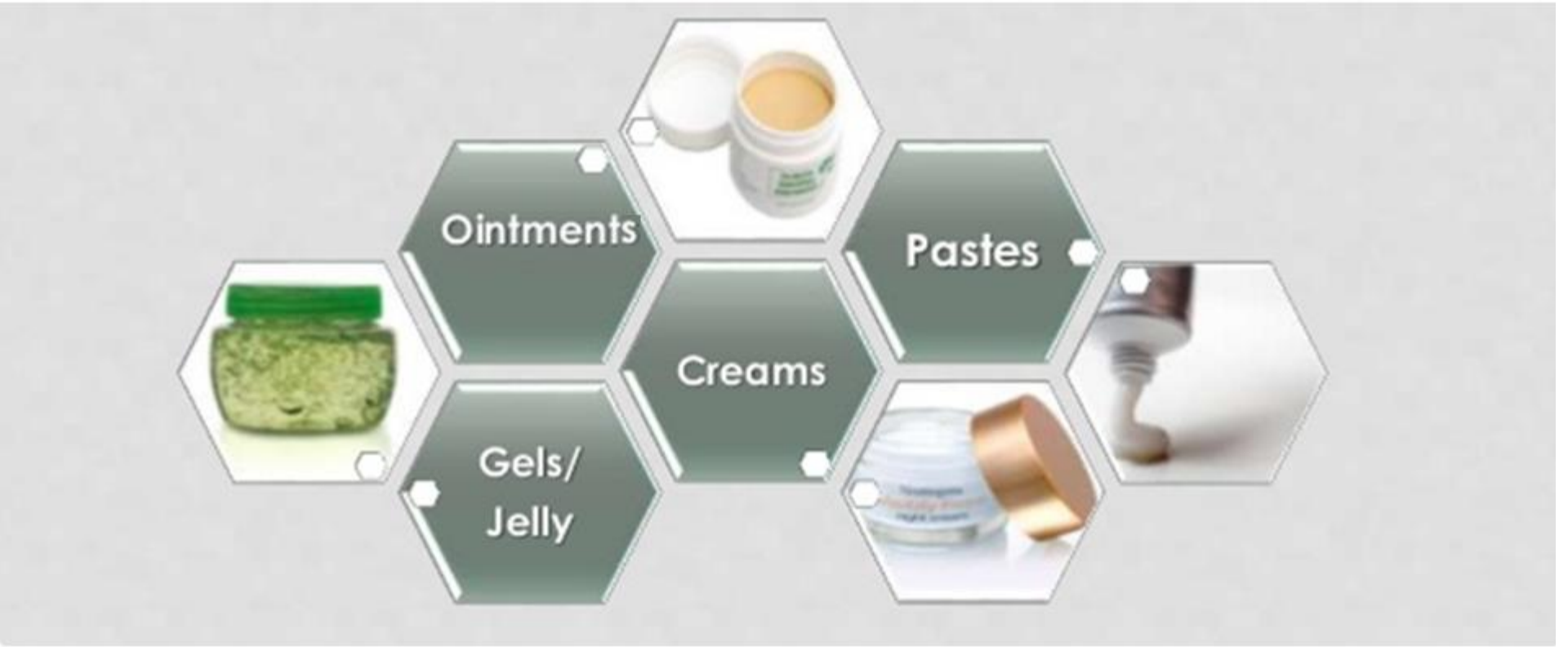
Semisolid Dosage Forms
Ointments



Objectives

- Differentiate between the various types of semisolid dosage forms
- Differentiate between dermatological and transdermal delivery
- Define ointments as a dosage form
- Describe different types of ointment bases

Semisolid dosage forms:

- ❑Ointment
- ❑Paste
- ❑Cream
- ❑Gel
- ❑Adhesive plaster
- ❑Transdermal therapeutic systems – TTS
- ❑Suppositories

Semisolid Dosage Forms

- Semisolid dosage forms are Topical preparations . They may be applied to the skin, placed on the surface of the eye, or used nasally, vaginally, or rectally. Most of these preparations are used for the effects of the therapeutic agents they contain.

- Topical preparations are used for both local and systemic effects.
- Most of these preparations are used for the effects of the therapeutic agents they contain.
- The unmedicated ones are used for their physical effects as protectants or lubricants.

- Systemic drug absorption should always be considered when using topical products if the patient is pregnant or nursing, because drugs can enter the fetal blood supply and breast milk and be transferred to the fetus or nursing infant.

dermatologic applications

- A *topical dermatological* product is designed to deliver drug *into* the skin in treating dermal disorders, *with the skin as the target organ*.
- Protectants, lubricants, emollients, drying agents, astringents

- A *transdermal* product is designed to deliver drugs *through* the skin (*percutaneous absorption*) to the general circulation for systemic effects, *with the skin not being the target organ*

IDEAL PROPERTIES OF SEMISOLID DOSAGE FORMS:

PHYSICAL PROPERTIES:

a) Smooth texture
b) Elegant in appearance
c) Non dehydrating
d) Non gritty
e) Non greasy and non staining
f) Non hygroscopic

PHYSIOLOGICAL PROPERTIES:

a) Non irritating
b) Do not alter membrane / skin functioning
c) Miscible with skin secretion
d) Have low sensitization effect

APPLICATION PROPERTIES:

Easily applicable with efficient drug release.

OINTMENTS

- Ointments are semisolid preparations intended for external application to the skin or mucous membranes.
- Ointments may be medicated or not.
- Unmedicated ointments are used for the physical effects they provide as protectants, emollients, or lubricants.
- *Ointment bases*, may by used for their physical effects or as vehicles for medicated ointments.

OINTMENTS

- **OINTMENT BASES:**
- Ointment bases are generally classified by the USP into four groups:

(*a*) oleaginous bases,

(*b*) absorption bases,

(*c*) water-removable bases,

(*d*) water-soluble bases.

(a) Oleaginous Bases

- Oleaginous bases are also termed *hydrocarbon bases.*
- **Properties:**
- The base is water free.
- Aqueous preparations may be incorporated into them.
- **Uses:**

1. Used mainly for their "Emollient effect".
2. Protect the skin against the escape of moisture.
3. Occlusive dressing can remain on the skin for prolonged periods of time without drying out. Because of their immiscibility with water are difficult to wash off.

(a) Oleaginous Bases

- Examples:

a. Petrolatum

b. White petrolatum,

c. Yellow ointment

d. White ointment

e. Hard paraffin

h. Liquid paraffin

(a) Oleaginous Bases

- On application to the skin, they have an emollient effect, protect against the escape of moisture, are effective as occlusive dressings,

- can remain on the skin for long periods without drying out, because of their immiscibility with water are difficult to wash off.

- Water and aqueous preparations may be incorporated, but only in small amounts and with some difficulty.

- When powdered substances are to be incorporated into hydrocarbon bases, liquid petrolatum (mineral oil) may be used as the levitating agent.

- They are sticky. This ensures prolonged contact between skin and medicament but makes application unpleasant and leads to contamination of clothes.

(a) Oleaginous Bases

- ***Petrolatum, USP*, Vaseline®**
- is a purified mixture of semisolid hydrocarbons obtained from petroleum.

- It is an unctuous (oily) mass, varying in color from yellowish to light amber (yellowish brown).

- It melts at 38°C to 60°C and may be used alone or in combination with other agents as an ointment base.

- Petrolatum is also known as yellow petrolatum and petroleum jelly, or soft paraffin.

- A commercial product is Vaseline

(a) Oleaginous Bases

- ***White Petrolatum, USP***,
- is a purified mixture of semisolid hydrocarbons from petroleum that has been wholly or nearly decolorized.

- It is used for the same purpose as petrolatum, but because of its lighter color, it is considered more esthetically pleasing by some pharmacists and patients.

- White petrolatum is also known as white petroleum jelly.

- A commercial product is White Vaseline

(a) Oleaginous Bases

- ***Yellow Ointment, USP.***
- This ointment has the following formula for the preparation of 1000 g:

Yellow wax	50 g
Petrolatum	950 g

- Yellow wax is the purified wax obtained from the honeycomb of the bee *Apis mellifera*.

- The ointment is prepared by melting the yellow wax on a water bath, adding the petrolatum until the mixture is uniform, then cooling and stirring until congealed (harden).

- Also called simple ointment, it has a slightly greater viscosity than plain petrolatum.

(a) Oleaginous Bases

- ***White Ointment, USP.***
- This ointment differs from yellow ointment by substitution of white wax (bleached and purified yellow wax) and white petrolatum in the formula.

(a) Oleaginous Bases

- ***Hard paraffin***
- This is a mixture of solid hydrocarbons obtained from petroleum or from shale oil. It is colourless or white wax-like substance. It melts at 50-57 °C and is used to stiffen ointment bases.
- ***Liquid paraffin (mineral oil)***
- This is a mixture of liquid, hydrocarbons obtained from petroleum. It is a transparent, colorless, almost odorless oily liquid.

(b) Absorption Bases

- They are hydrophilic, therefore, can absorb considerable amount of water. Absorption bases are of two types:

(*a*) **Anhydrous absorption base**: those that *permit* the incorporation of aqueous solutions resulting in the formation of water-in-oil (W/O) emulsions (e.g., *hydrophilic petrolatum*)

(*b*) **Emulsion absorption base** : those that *are* W/O emulsions that permit the incorporation of additional quantities of aqueous solutions (e.g., hydrous lanolin).

- These bases may be used as emollients, although they do not provide the degree of occlusion afforded by the oleaginous bases.

- Absorption bases are not easily removed from the skin with water washing, because the external phase of the emulsion is oleaginous.

- Absorption bases are useful as pharmaceutical adjuncts to incorporate small volumes of aqueous solutions into hydrocarbon bases.

- This is accomplished by incorporating the aqueous solution into the absorption base and then incorporating this mixture into the hydrocarbon base.

(b) Absorption Bases

- ***Hydrophilic Petrolatum, USP***,
- has the following formula for the preparation of 1000 g:

Cholesterol	30 g
Stearyl alcohol	30 g
White wax	80 g
White petrolatum	860 g

- It is prepared by melting the stearyl alcohol and white wax on a steam bath, adding the cholesterol with stirring until dissolved, adding the white petrolatum, and allowing the mixture to cool while stirring until congealed.

(b) Absorption Bases

- A commercial product, Aquaphor, a variation of hydrophilic petrolatum, has the capacity to absorb up to three times its weight in water and useful to help incorporate a water-soluble drug, e.g., tobramycin sulfate, into a oleaginous ointment base.

- This concept is used in the preparation of ophthalmic ointments.

(b) Absorption Bases

- ***Lanolin, USP*** (Anhydrous lanolin)(Wool Fat)

- Obtained from the wool of sheep (*Ovis aries*), is a purified wax-like substance that has been cleaned, deodorized, and decolorized.

- It contains not more than 0.25% water.

- Additional water may be incorporated into lanolin by mixing. Wool fat can absorb 50 percent of its weight of water.

(b) Absorption Bases

- *Modified Lanolin*, *USP*,
- is lanolin processed to reduce the contents of free lanolin alcohols and any detergent and pesticide residues.

(c) Water-Removable Bases

- Water-removable bases are oil-in-water emulsions resembling creams.
- Because the external phase of the emulsion is aqueous, they are easily washed from skin and are often called water washable bases.
- They may be diluted with water or aqueous solutions.
- They can absorb serous discharges.

(c) Water-Removable Bases

- ***Hydrophilic Ointment, USP***,
- has the following formula for the preparation of about 1000 g:
- ***Ingredient Amount (grams)***
- Methylparaben 0.25
- Propylparaben 0.15
- Sodium lauryl sulfate 10.00
- Propylene glycol 120.00
- Stearyl alcohol 250.00
- White petrolatum 250.00
- Purified water 370.00

- The stearyl alcohol and white petrolatum are melted together at about 75°C.
- The other agents, dissolved in the purified water, are added with stirring until the mixture congeals.
- Sodium lauryl sulfate is the emulsifying agent, with the stearyl alcohol and white petrolatum constituting the oleaginous phase of the emulsion and the other ingredients the aqueous phase.
- Methylparaben and propylparaben are antimicrobial preservatives.

(d) Water-Soluble Bases

- Water-soluble bases do not contain oleaginous components.
- They are completely water washable and often referred to as greaseless.
- Because they soften greatly with the addition of water, large amounts of aqueous solutions are not effectively incorporated into these bases.
- They mostly are used for incorporation of solid substances.

(d) Water-Soluble Bases

- ***Polyethylene Glycol Ointment, NF***
- PEG is a polymer of ethylene oxide and water represented by the formula H(OCH2CH2)*n*OH, in which *n* represents the average number of oxyethylene groups.

- The numeric designations associated with PEGs (Macrogols) refer to the average molecular weight of the polymer.

- PEGs having average molecular weight below 600 are clear, colorless liquids;
- those with molecular weight above 1,000 are wax-like white materials;
- those with molecular weight in between are semisolids.
- The greater the molecular weight, the greater the viscosity.
- The NF lists the viscosity of PEGs ranging from average molecular weight of 200 to 8,000.

(d) Water-Soluble Bases

- The general formula for preparation of 1,000 g of PEG ointment is
- PEG 3350 400 g
- PEG 400 600 g

- Combining PEG 3350, a solid, with PEG 400, a liquid, results in a very pliable (fixable) semisolid ointment.

- If a firmer (harder) ointment is desired, the formula may be altered to contain up to equal parts of the two ingredients.

Other ingredients of ointment bases

- ***Vegetable oils***: may be added as softening agents to increase emollience (e.g. Arachis, castor, coconut and olive oil).
- ***Synthetic fatty acid esters***: compared with vegetable oils, show greater resistance to oxidation and have lower acid value (e.g. Isopropyl myristate, Isopropyl linoleate, Isopropyl palmitate)

Other ingredients of ointment bases

- ***Silicones***: the water-repellency of silicones if of value in barrier ointments (e.g. dimethicone).
- ***Polar organic solvents***: for dissolving drugs (e.g. propylene glycol and glycerol)

CLASSIFICATION OF BASES

BASES

- **WATER SOLUBLE BASE**
- **EMULSION BASE**
- **ABSORPTION BASE**
- **OLEAGINOUS BASE**

- **(a) Oleaginous Bases** hydrocarbon ointment bases
- Petrolatum,
- white petrolatum,
- white ointment, (White wax , White Petrolatum)
- yellow ointment (Yellow wax , Petrolatum)

(b) Absorption Bases

- *hydrophilic petrolatum*
  (Cholesterol, Stearyl alcohol , White wax , White petrolatum)
- lanolin

(c)Water-Removable Bases

- *Hydrophilic Ointment, USP*,
  (Methylparaben,Propylparaben ,Sodium lauryl sulfate ,Propylene glycol ,Stearyl alcohol , White petrolatum, Purified water)

(d) Water-Soluble Bases

- *Polyethylene Glycol Ointment, NF*

Base Type	Characteristics	Examples
Hydrocarbon (Oleaginous)	Insoluble in water Not water-washable Anhydrous Will not absorb water Emollient Occlusive Greasy	White Petrolatum White Ointment Vaseline©
Anhydrous Absorption	Insoluble in water Not water-washable Anhydrous Can absorb water Emollient Occlusive Greasy	Hydrophilic Petrolatum Lanolin Aquaphor© Aquabase© Polysorb©
Water-in-Oil Emulsion Absorption	Insoluble in water Not water-washable Contains water Can absorb water (limited) Emollient Occlusive Greasy	Hydrous Lanolin Cold Cream Eucerin© Hydrocream© Rose Water Ointment Nivea
Water-Removable (Oil-in-Water Emulsion)	Insoluble in water Water washable Contains water Can absorb water Non-occlusive Non-greasy	Aquaphilic (or Hydrophilic) Ointment Vanishing Cream Dermabase© Velvachol©
Water-Soluble	Water soluble Water washable May contain water Can absorb water (limited) Non-occlusive Non-greasy Lipid-free	Polyethylene Glycol Ointment Polybase