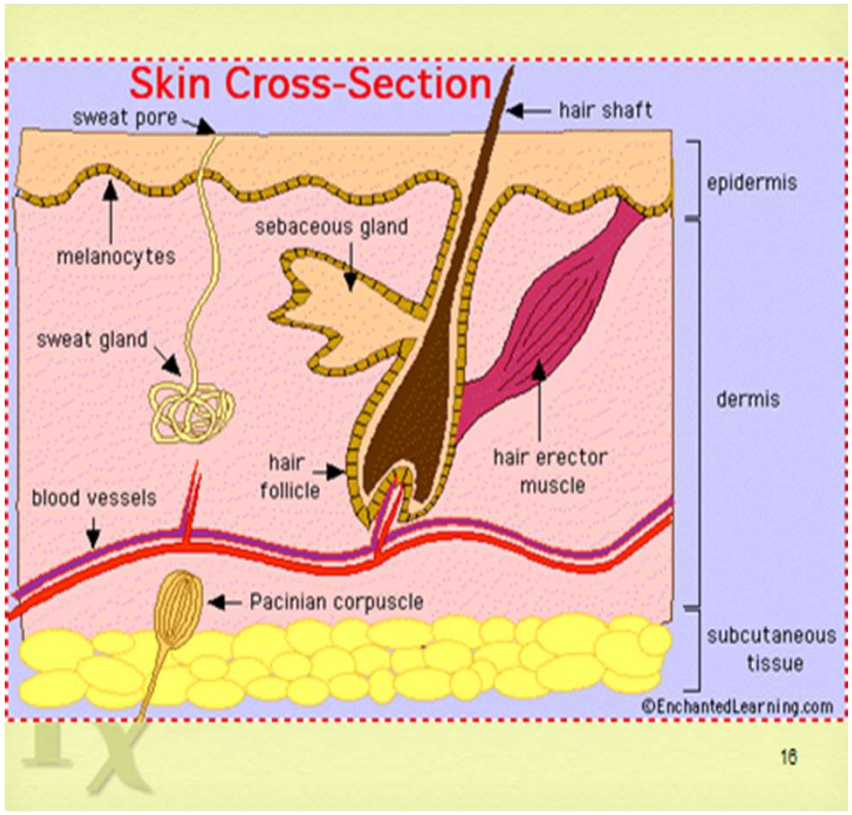
Semisolid Dosage Forms

DEFINITION

- Semi solid pharmaceutical system comprise a body of product ,which when applied to skin or accessible mucous membranes tends to alleviate or treat a pathological condition or other protection against harmful environment.

- **They may be applied to:**

1. Skin,
2. Surface of the eye,
3. nose,
4. vagina,
5. or rectum.


Skin Cross-Section
sweat pore
hair shaft
epidermis
melanocytes
sebaceous gland
sweat gland
dermis
hair follicle
hair erector muscle
blood vessels
Pacinian corpuscle
subcutaneous tissue
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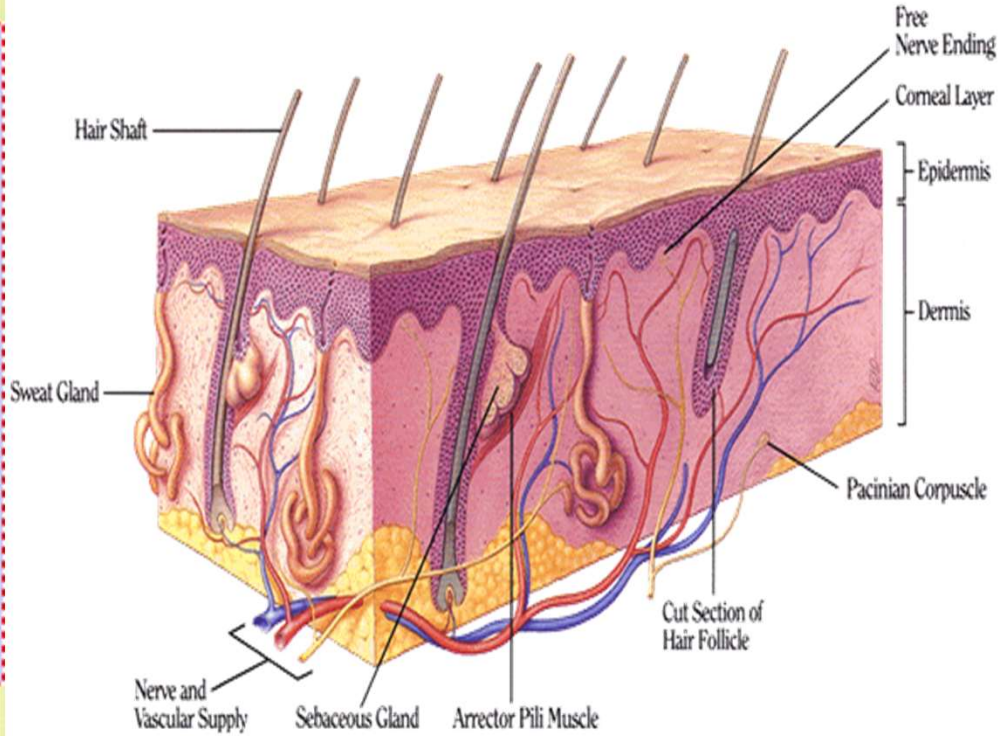
16


Free Nerve Ending
Corneal Layer
Hair Shaft
Epidermis
Dermis
Sweat Gland
Pacinian Corpuscle
Cut Section of Hair Follicle
Nerve and Vascular Supply
Sebaceous Gland
Arrector Pili Muscle

- The human skin is the **outer covering** of the body.
- It acts as **guards** to the underlying muscles, bones, and internal organs.
- The skin is the **largest organ** of the human body.
- It comprises about **one six of the body weight**.
- Almost, **one third of the circulatory system** is housed in the skin.
- Normal skin ranges from **3 to 5 mm thickness**.
- The thinnest skin is on EYELIDS and parts of genitals.

-It is the most superficial layer of the skin and provides the first barrier of protection from the invasion of foreign substances into the body.

-This epidermis of skin is a keratinized, stratified, squamous epithelium.

- The principle cell of the epidermis is called a Keratinocyte.

-It is subdivided into five layers or strata organized from upper to lower as follow:

1- (*stratum corneum*) .(SC)

2-**(*stratum lucidum*, only** in palms and soles) .(SL)

3-(*stratum granulosum*) (SGR)

4-(*stratum spinosum*) (SS)

5-(*stratum basale /germinativum*). (SG)

What is the difference between Topical and Transdermal preparations ?

- **Topical delivery system** refers to application of a drug on the surface of the skin to deliver the drug to the skin to treat dermal disorders.

- **Transdermal systems**, on the other hand, deliver the drug through the skin to the general circulation for systemic effects. These systems follow absorption of active ingredients through the transdermal barriers especially through stratum corneum by various mechanisms for localized effects in dermis and epidermis or absorption through the blood capillaries present in these layers for systemic effects.

Target regions of the skin

- In dermatology, we aim at **five main** target regions:-

1. *Skin surface.*
2. *Horny layer (Epidermis).*
3. *Viable epidermis and upper dermis.*
4. *Skin glands.*
5. *Systemic circulation.*

OINTMENTS

Ointments are homogenous, translucent, viscous, semi solid preparation intended for external application to skin or mucous membranes. Ointment may be medicated or not.

❖Uses

- Emollient.
- Application for active ingredients to the skin.
- Occlusive.

Ointments are either:

Non- Medicated = **Ointment bases**

Contain

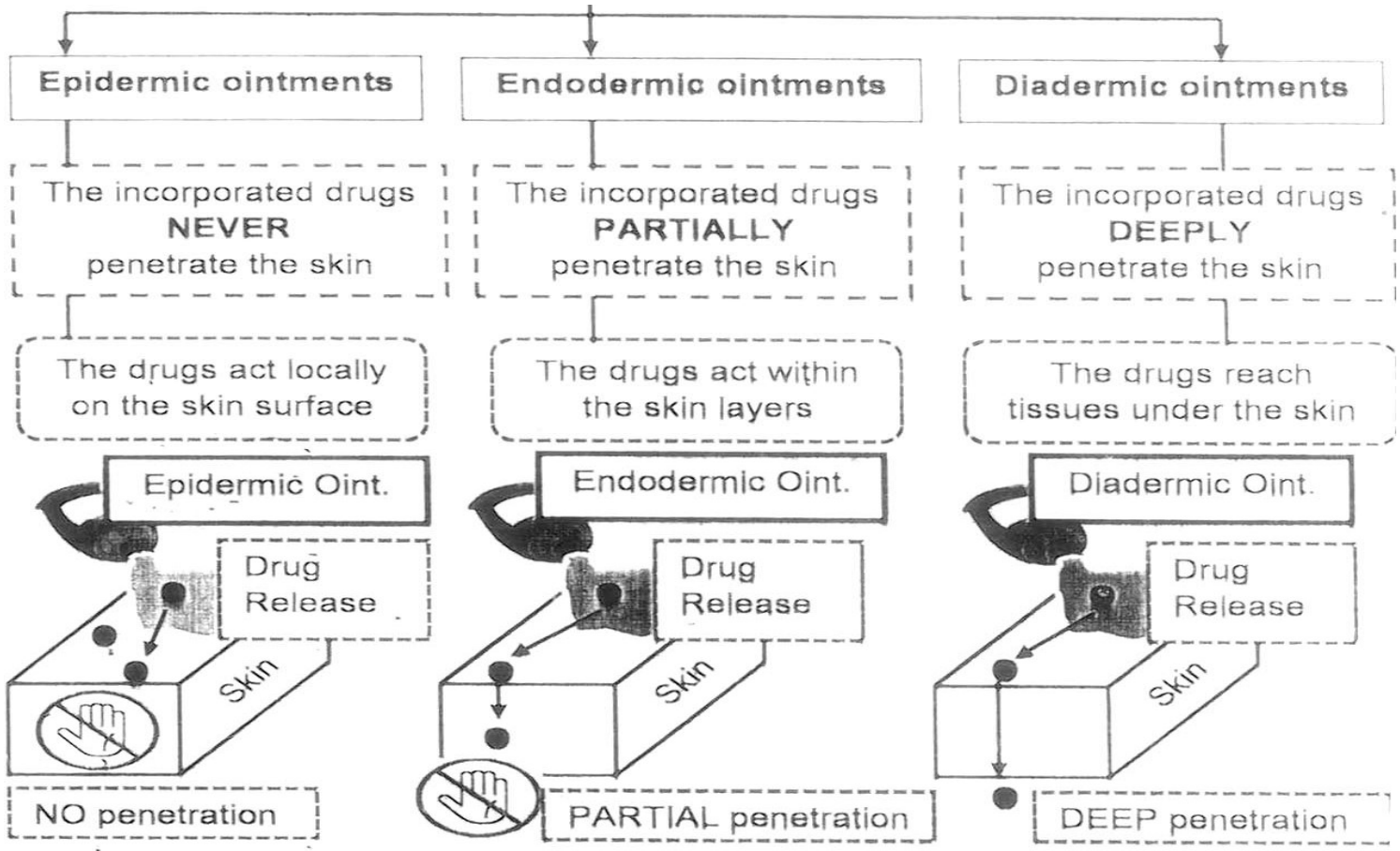
NO Water, **NO** Drug

< 20% Water, **NO** Drug

Medicated Consist of Ointment base + Drug

If insoluble drug: it should be < 50% of total weight

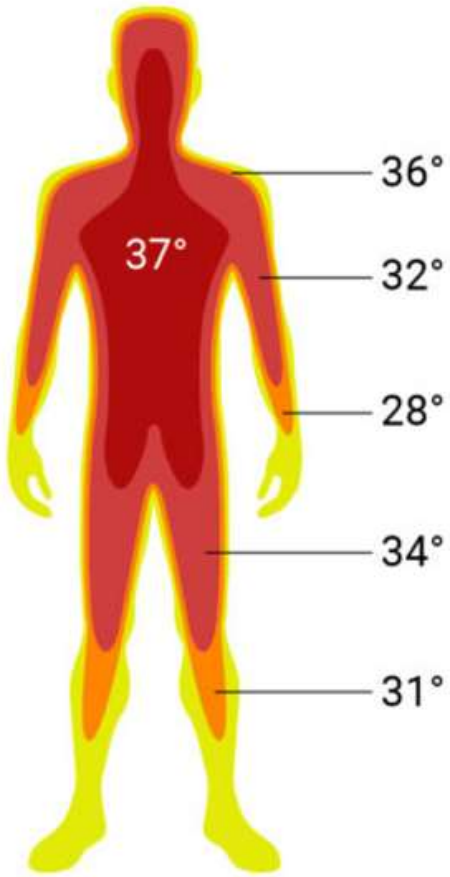
Classification of ointment according to the skin part that the ointment can reach



Ideal ointment base

In terms of physiochemical properties as:

1. Stable.
2. Neutral in reaction.
3. Compatible with all medication (water or fat soluble).
4. Free from objection odor.
5. Non-staining.
6. Melt or soften at body temperature.



Types of ointments bases:

- Ointment bases are classified according to their **ability to hold water**, this is expressed by what is called **Water Number** of the base.

❑**Water Number: is the number of grams of water that can be held by 100 gm of the ointment base.** So, it is expressed the hydrophilicity of the base.

1- Oleaginous base

- Consist of:

- Oleaginous substance only (100% of composition are fatty substances).

-Totally non- aqueous (contain 0% water).

-They can hold water only up to 5% its weight (its water number is up to 5)

- Example of Oleaginous Substances:

1- Liquid paraffin = mineral oil.

2- Soft paraffin = vaseline = petroleum = petroleum jelly.

3- Hard paraffin = paraffin wax (it may be yellow or white hard paraffin).

Petrolatum USP

- is a purified mixture of semisolid hydrocarbons obtained from petroleum. It is an unctuous mass, varying in color from yellowish to light amber. 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. A commercial product is Vaseline.

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.

Yellow Ointment, USP

contains 50g yellow wax (bees wax) in 950 g petrolatum, called simple ointment, has slightly greater viscosity than petrolatum.

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.

Advantages of Oleaginous Substances:

1- chemically inert.

2- Do not dry out on aging (during storage).

3- have good emollient and occlusive effects.

Disadvantages of Oleaginous Substances:

Because they are totally oleaginous in nature, they are greasy and so they:

1- difficult to remove.

2- cannot be applied to hairy parts.

3- cannot be applied to areas with exudates WHY?.

(application of occlusive on wounded areas with exudates is contraindicated as they promote the growth of anaerobic bacteria).

2- Absorption bases

- More hydrophilic than oleaginous base (have more water absorbing properties)
- They can hold up to 30 -70% of their weight.

The used emulsifying agents in absorption bases are:

1- Fatty alcohols (cetyl alcohol, stearyl alcohol)

2- lanolin (the common one, and it also called anhydrous lanolin, wool fat, wool wax)

3- cholesterol

4- bees wax.

Advantages :

1- high water absorption capacity.

2- better spreading.

3- have good emollient effect.

Disadvantages :

Due to their greasy nature:

1- difficult to remove.

2- cannot be applied to hairy parts.

3- cannot be applied to areas with exudates.

3- Water-Miscible bases

- Very hydrophilic bases.
- They can hold water more than 70% weight of the base.
- They are o/w emulsion, Because water is in the external phase.

❑Consist of:

- oleaginous substance……(to control viscosity)
- Emulsifying wax……(to form O/W emulsion)

Advantages :

1- they are suitable for incorporation of water-soluble drugs .

2- they can be easily removed.

3- they are suitable for application to hairy area.

4- they are not occlusive.

5- they are of good appearance (due to the presence of water in the external phase.

6- they have good contact with the skin and promote drug absorption.

4- Water -Soluble bases

- All ingredients are water soluble. They do not contain any oleaginous ingredients, so they are also called Greaseless bases.

Consist of:

polyethylene glycols (also called Macrogols or Carbowaxes).

- The physical nature of PEG depends on its molecular weight:
- Those having M.Wt 200-400 occur as viscous liquids.
- Those having M.Wt 400 –1500 as semi-solids
- Those having M.Wt 1540 – 6000 as waxy solids.
- Usually mixture of different M.Wt PEGs is used to control the **consistency** of the prepared base.

Advantages:

1- They are **inert**, so they are compatible with many drugs.

2- They are formed of saturated chains with **no ester groups**, so they are **stable**, they are **not susceptible for oxidation or hydrolysis**.

3- They **are synthetic** polymer, so they are not susceptible for microbial contamination.

4- They are water soluble, so they are **easily removed**. They are non-greasy, so they can applicable to hairy parts and wounds with exudates.

5- They have **good solvent properties**, so many drugs can be incorporated in these base.

However, they reflect **poor penetration between stratum corneum** and the base leading to poor drug penetration through the skin

Classification and properties of USP ointment bases

Hydrocarbon base	Absorption base	Water removable base	Water soluble base
White petrolatum USP White ointment USP	Hydrophilic petrolatum USP Lanolin USP	Hydrophilic ointment USP	Polyethylene Glycol ointment NF
Hydrocarbons	Anhydrous or W/O emulsion	O/W emulsion	Water soluble
Highly occlusive	Moderate to high	Low to moderate	Minimal
Maintain prolonged contact with application site	Allows incorporation of aqueous solutions	Water-washable; may be diluted with water	Water- washable; no water-insoluble residue
Emollient effect	Emollient effect	Allows absorption of serous discharge	