

Inorganic Pharmaceutical Chemistry

3rd Stage – 1st Semester

Lecture 2

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Topical Agents

- Chemical agents applied to the skin and mucous membranes for localized effects within the skin or membrane
- include : antibiotics, antiseptic, corticosteroids, anticancer, local anesthetics.
- Classification:
- Topical agents can be broadly classified into three categories based on their usual action or use:
 1. Protectives
 2. Antimicrobial agents
 3. Astringents

I- Protectives:

- A protective is any agent that isolates the exposed surface (skin or other membranes) from harmful or annoying stimuli.
- Compounds most appropriate for this purpose are:

1. Insoluble.

Insolubility is a desirable property for protectives because:

- limits the absorption of the compounds through the skin.
- Makes it difficult to wash them
- diminishes metallic properties on tissue

2. Chemically inert.

Chemical inertness prevents interaction between the protective substance and the tissue.

3- Adsorbent action is also an important property of the protectives by which they adsorb moisture from the surface of the skin.

- Removing moisture tends to:
 - reduce mechanical friction and irritation
 - reduce certain bacterial growth

- Dosage Forms:

Protectives are generally administered as:

1. Dusting powders.
2. Suspensions containing the insoluble protective substance.
3. Ointments and creams.

- Indications: They are usually they are applied to:

1. The areas of skin which are subject to persistent irritation due to moisture or friction.
2. Areas which have already become irritated or inflamed due to friction, allergy, etc.

Purified Talc ($3\text{MgO} \cdot 4\text{SiO}_2 \cdot \text{H}_2\text{O}$)

- It is a purified magnesium silicate containing a small portion of aluminum silicate.
- Used as lubricant, protective and dusting powder, it protect the skin from irritation due to friction because of its inert and unctuous nature
- Uses:
 1. Lubricant.
 2. Cosmetic purpose.
 3. Filtering aid.
 4. Protective on broken skin of wounds and surgical incision.
 5. Used as dusting powder on surgical gloves.

Calamine ($\text{ZnO} \cdot x\text{Fe}_2\text{O}_3$)

- Calamine is a ZnO with small amount of Fe_2O_3 and on ignition yield not less than 98% of ZnO.
- Uses:
 1. Due to its soothing, adsorbent and protective properties calamine is used in dusting powder, ointments and lotions.
 2. It has mild astringent and antiseptic action on the skin.
 3. It has better cosmetic acceptability.
 4. It is also used as a cream, ointment or lotion in various skin disorders.
 5. Calamine lotion with 1% phenol provides a local anesthetic and antipruritic (anti-itching) action in small or chicken pox infection.

- Titanium Dioxide (TiO_2)
- It contains not less than 98% of TiO_2 calculated with reference to dry substance.
- Uses:
 1. Due to its high refractive index, it absorbs ultraviolet light and primarily used as sun screen and topical protectives as creams, paste etc.
 2. It is used as a white pigment in lotions and cosmetic preparations, such as face powder.
 3. It is used for relief of pruritus and exudative dermatoses.

II- Antimicrobial agents:

- Topical antimicrobial agents are chemical substances used to kill or inhibit the growth of microorganisms (e.g.) bacteria, fungi, etc. directly applied to the affected skin, inhibit the growth or destroy any microorganism, either fungi, viruses or bacteria.
- There are two types of the topical antimicrobial agents:

A- Germicides

B- Chemical sterilizing agents (Sterilizers)

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A- Germicides: are of two types:

1- Antiseptic:

- are applied on living surface (i.e) used to slow or inhibit the growth of infectious microorganisms.
- Antiseptics are applied to external body surfaces, such as when treating minor wounds, cleaning the skin prior to an injection, and cleaning the hands.
- These include chlorhexidine, povidone-iodine, chloroxylenol, isopropyl alcohol, hexachlorophene, benzalkonium chloride, and hydrogen peroxide

2- Disinfectant:

- are usually applied to are applied on the non-living surface (i.e)
- used for hospitals, instruments, equipments, rooms, etc.
- These include alcohols, chlorine and chlorine compounds, formaldehyde, glutaraldehyde, ortho-phthalaldehyde, hydrogen peroxide, iodophors, peracetic acid, phenolics, and quaternary ammonium compounds.

B- Chemical sterilizing agents (Sterilizers) :

- Involve use of antimicrobial agents to make an object completely free of microorganisms.
- These agents may be harmful for tissue.
 - Ethylene Oxide,
 - Ozone,
 - Bleach
 - Glutaraldehyde and Formaldehyde
 - Phthalaldehyde
 - Hydrogen Peroxide
 - Peracetic Acid

- Mechanism of action of topical inorganic antimicrobial agents can be divided into three general categories:

1) Oxidation:

Convert sulfhydryl into a disulphide bridge, thus altering the conformation of the protein and thereby alter its function.

Example: H_2O_2 , KMnO_4 , Iodine Solution of Iodine
Povidone-Iodine

2) Halogenation:

Hypohalides can react with amide hydrogen to form N-chloro derivatives.

Example: Sodium hypochlorite

3) Protein precipitation:

The complex of protein precipitants results in a radical change in the properties of the proteins and they bind to the important functional groups at the active site of enzymes resulting in antimicrobial activity

- Example:

AgNO₃, Mercury, Yellow Mercuric oxide,
Ammoniated mercury

III- Astringents

- Topical astringents are agents that cause skin cells or mucus membranes to contract or shrink, by precipitating proteins from their surface. When applied topically they dry (reduce moisture), harden and protect the skin.
- Most topical astringents are salts of aluminum, zinc, and to some extent, zirconium.

- Applications of astringents:
 1. Relieve skin irritations resulting from minor cuts, allergies, eczema, insect bites, etc.
 2. Styptic, to stop bleeding from small cuts by promoting coagulation of blood and constricting small capillaries and hardening or coagulating the surface of a wound
 3. Antiperspirant (agent protects against sweat and odour, decrease secretion of perspiration by constricting pores at the surface of the skin.
 4. Restriction of the supply of blood to the surface of mucous membranes as a means of reducing inflammation.
 5. Direct actions on skin to remove unwanted tissue (requires a higher concentration, termed corrosive).

Alum

- Alum can be either the ammonium salt (Aluminum Ammonium Sulfate) or the potassium salt (Aluminum Potassium Sulfate).
- The label on the container must indicate which salt is being dispensed.

Uses:

- Alum serves as a source of aluminum ion, making it useful as a topical astringent.
- due to its high astringency that makes it suitable for certain preparations which are may be irritants when used .
- It can be used in footbaths as a means of strengthening the skin.

- Zinc Chloride :
- Zinc chloride is used for the activity of zinc ion, which is a very strong precipitant.
- The compound is a powerful astringent in solution and a mild antiseptic.
- It is thought that its antiseptic properties are due to an interaction of the metal with certain bacterial enzymes, inhibiting their function.

- The strong astringent properties of zinc chloride make the compound useful as an escharotic.
- The compound is applied as a solution containing from 0.5-2% of zinc chloride.
- The lower concentration may be applied to mucus membranes
- It is used as a nasal spray to aid drainage from infected sinuses.
- The USP also recognizes the compound as a desensitizer of dentin. For this purpose, a 10% solution is applied topically to the teeth.