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TOPICAL AGENTS

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Definition

The topical agents" are those substances, which applied to body surface including applications within the body cavities that open outside .

(e.g. the oral vaginal) ,

- These are the compound that act locally with skin or mucous membrane mainly by mechanical or physical manner.
- Topical agents does not absorbed directly into the circulation.
- Compounds have little pharmacological effect.

- The facts of compounds are that when they are applied produces variety of effects like adsorbent, astringent, demulcent , emollient or protective
- Some compounds also exhibit antimicrobial, astringent activity topically.
- Some inorganic compounds are having topical local activity .



- The inorganic compounds used topically are broadly
- * categorized on the basis of their usual action or use e.g.
- * (i) protective's and adsorbents,
- * (ii) antimicrobial,
- * (iii) astringent and
- * (iv) Sulphur and its compounds
- * (v) miscellaneous compounds.

3] Astringents. E.g. Zinc chloride, Zinc sulphate, Aluminium sulphate, Alum, Aluminum sub acetate solution.

4] Miscellaneous compounds. E.g. sulphur & sulphur compounds.

(i) Protective's and adsorbents :-

protective's are the agents that cover the skin or mucous membrane from possible irritants.

MOA:-

- Some substance are of insoluble type & chemically inert, they act by forming a coat or film on the skin.
- They absorb moisture decreases mechanical friction and irritation and also discourages certain bacterial growth.
- Dusting powder is in the state of fine division form adherent continuous film on intact skin & exhibit protective action.

Adsorbents:-

- Some chemically inert substances adsorb dissolved or suspended particles or gases, toxins etc are known as adsorbents .

MOA:-Adsorbents' are mainly used internally to prevent the irritant & unwanted effects on mucous membrane.

- Some inorganic chemicals possesses both protective & adsorbent properties on topical application.
- Protective action is generally nothing but the mechanical protection which is given from the external environment by forming a film coat or layer on the skin.

Some of the inorganic protective compounds and preparations are described here:

1) **TALC**

Chemical formula :- $3\text{MgO} \cdot 4\text{SiO}_2 \cdot \text{H}_2\text{O}$

Synonym:- soapstone or French chalk, purified talc

➤ Talc is the softest material

Properties:-

➤ Colour: White/greayish white

➤ Odour:- odourless.

➤ Nature:- fine powder

➤ Taste:- tasteless

➤ Touch:- greasy or unctuous & adheres to skin

➤ Solubility:- soluble in water, dil. acids & bases



Storage:- In well closed container.

PREPARATION

- a) prepared by boiling fine powered talc with Dil HCL & allowing to settle.
 - b) the supernatant liquid is removed
 - c) the talc is washed thoroughly with water to make it free from acid.
- (Acid treatment remove impurities from talc.)*

USES:-

- 1) As pharmaceutical aid
- 2) Dusting powder.
- 3) It can be perfumed that is cosmetic or medicated with zinc oxide or boric acid.
- 4) It is greasy / untouch to touch therefore used as lubricant or protective.
- 5) It is used as excipient, lubricant & filler for tablet & pills

2) ZINC OXIDE

1) Chemical formula:- ZnO

2) Mol wt : 81.38

3) Properties:-

- Colour: White/yellowish white
- Odour:- Odourless.
- Nature:- fine amorphous powder
- Solubility:- Insoluble in water & alcohol soluble in NH_3 .
- Storage:- Zinc oxide absorbs carbon dioxide....

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PREPARATION

a) commercially ZnO is obtained by heating metallic zinc in a current of air at higher temperature the metal burns into oxides which is collected as fine white powder.



b) Medicinal grade ZnO is obtained from zinc sulphate by double decomposition sulphate is added to a boiling sol of sodium carbonate collected washed until free from

sulphate dried & ignited.



ASSAY

- ✓ ZnO is insoluble in water therefore cannot directly titrated with acid.
- ✓ it is dissolved in excessive of acid & back titrated.
- ✓ Ammonium chloride is used in titration to prevent ppt
- ✓ White ppt interferes in end point
- ✓ Methyl orange is used as an indicator



USES:-



3) CALAMINE

1. Chemical formula:- $\text{ZnCO}_3 \cdot \text{Zn(OH)}_2 \cdot x\text{Fe}_2\text{O}_3$
2. STD:- After ignition, it contains not less than 98.0% and not more than 100.5% of ZnO.
3. Properties:-
 - Colour: pink or reddish brown powder
 - Odour:- odourless.
 - Nature:- fine powder & amorphous
 - Taste :- tasteless
 - Solubility:- Practically insoluble in water, almost completely soluble in mineral acids with effervescence.
 - NOTE



The presence of ferric oxide gives the substance a pink colour (for cosmetic reasons).

Preparation

- Calamine is obtained by calcination(powdered by heating) of the natural native zinc carbonate.
- prepared by mixing zinc oxide with ferric oxide
- Sieved & fine powder is collected.

Assay

- An ignited & cooled sample is dissolved in excess acid H_2SO_4 (1 N) & filter.
- residue washed with hot water to free from acidity.
- Ammonium Chloride is added to prevent ppt of ferric hydroxide during titration) & titrated with NaOH (1N) using methyl orange as indicator.

USES

1. Topical protective
2. it is used in dusting powders, ointments and lotions (Calamine Lotion) is applied on the skin for its soothing, adsorbent and protective properties.
3. It has better cosmetic acceptability than zinc oxide.
4. Various dermatological conditions including the exudation of fluids respond reasonably well to calamine.
5. Mild astringent, antiseptic & protective for skin.
6. Phenolated calamine lotion contain 1% liquefied phenol which provides local anesthetic antiseptic & antipruritic.

4) ZINC STEARATE

1) Chemical formula:- $\text{CH}_3(\text{CH}_2)_{16}\text{CO}_2)_2\text{Zn}$

2) STD:- After ignition, it contains not less than 12.5% and not more than 14.0% of ZnO.

3) Properties:-

➤ Colour: White

➤ Odour:- faint characteristic.

➤ Nature:- light fine bulky powder free from grittiness

➤ Taste :- tasteless

➤ Solubility:-. practically soluble in water, alcohol & ether

Chemical Properties :-

1) Hydrolysed by heating in dil mineral acid to form a soluble Zinc stearate

2) moistened to litmus paper.



ASSAY

- Analyzed by complexometry method.
- An ignited & cooled sample is dissolved in excess acid H_2SO_4 (1 N) by boiling.
- residue washed with water to free from acidity.
- Combined the filtrate & titrated against disodium EDTA solution using ammonia, ammonium chloride buffer & eriochrome black T as an indicator.

USES

- Astringent & Antimicrobial.
- Soothing & protective agent & used in dusting powder ointment creams in treatment of inflammatory condition.
- Used in skin problems where large amount of water is exuded because it will not form patches.
- used as lubricant in the processing of tablets.
- **NOTE:-**causes pulmonary inflammation if inhaled .

5) TANIUM DIOXIDE

1) Chemical formula:- TiO_2

2) Mol. Wt :-79.90

3) STD:-It contains not less than 98% of TiO_2 W.R.T. to dried substance

Properties:-

- Colour: White
- Odour:-odourless.
- Nature:-Amorphous & fluffy powder
- Taste :- tasteless
- Solubility:-. practically soluble in water, & in dil mineral acid it dissolves slowly in Hot H_2SO_4 .



ASSAY

- It is analyzed by complex metric titration.
- Sodium edetate back titration method.
- Sample is dissolved in hot H_2SO_4 using ammonium sulphate with definite volume.

H_2O_2 , strong ammonia solution, Hexamine buffer & excess disodium EDTA is added & excess is back titrated.

Storage:- Store in well closed container.

Uses :-

1. Topical preparation due to its opacity nature.
2. due to its opacity nature it is used for screening out the UV radiations in various sun creams & sunscreen product to prevent sunburn.
3. Due to its white colour it is used in cosmetic preparation & in paints.

6) Silicon Polymers

Synonyms:-Dimethicone, Simethicone, Dimethicone, polysiloxane, silicone oils.

Properties & uses of Silicones

- The silicone polymers are generally known as **silicone oils**.
- The silicone polymers are prepared synthetically by polymerization reaction.
- The oily nature of these compounds makes it useful as water repellent and protective to skin from contact irritants.
- The silicone oils, thus in general act as protective, it also act as an Antiflatulents and used in varying amount in antacid preparations.



- **Dimethicone:**

- It is an inert silicone, oily in nature, it is stable and has low surface tension.
- It forms a protective layer on skin like plastic and acts as water protective agent.
- It is mainly used in ointments, sprays, lotions and creams.

- **Simethicone :**

- It occurs as a light gray, translucent liquid with greasy consistency. It is prepared from dimethylpolysiloxane.
- It is used as an Antiflatulents and is employed in antacid, antispasmodic, sedative and digestant preparations in 40 - 80 mg dose at bed time.

Properties:-

- Colour:- colorless,
- Nature:-transparent crystals or as crystalline powder.
- Odour:- is odourless
- taste:- metallic and astringent.
- Solubility:- very soluble in water. It is freely soluble in glycerin, but is insoluble in alcohol it
- Chemical Properties:-
- Aqueous solutions of zinc sulphate are acid to litmus
- It forms double salts with ammonium & Potassium Sulphate
- When strongly heated it decomposes
- Storage It should be stored in tightly closed containers.
- Incompatibility :-It is incompatible with alkali carbonates and hydroxides and with astringent infusions and decoctions.

uses:-

- Zinc ions exhibit mild germicidal astringent & styptic action
- (the action is dependent due to slow release of zinc ions from salt or sol.)
- Insoluble zinc ions used in topical agents as protectives.
- ZnSO₄ sol 0.1-1% used ophthalmic
- Internally it is used as an emetic
- Insoluble Zn complex are mainly used in bandages, adhesive, tapes etc.