Semisolids

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Definition:

"Semisolid 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."

Ointments

Ointments

Definition:

■ "Ointments are semisolid preparations application to the skin or mucosa. The ointment bases are almost always anhydrous and generally contains one or more medicaments in suspension or solution."

Ointments

Uses:

- 1. Emollient
- 2. Application for active ingredients to the skin
- 3. Occlusive

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Ointments

Characteristics of an Ideal Ointment:

- 1. It should be chemically and physically stable.
- 2. It should be smooth and free from grittiness.
- 3. It should melt or soften at body temperature and be easily applied.
- 4. The base should be non-irritant and should have no therapeutic action.
- 5. The medicament should be finely divided and uniformly distributed throughout the base.

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Ointments

Classification of Ointments:

- A. According to their therapeutic properties based on penetration of skin.
- B. According to their therapeutic uses.

Classification of Ointments: CONTD...

Ointments

- A. Ointments classified according to their therapeutic properties based on penetration are as follows:
- a) Epidermic,
- b) Endodermic,
- c) Diadermic

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Ointments

(a) Epidermic Ointments:

- These ointments are intended to produce their action on the surface of the skin and produce local effect.
- They are not absorbed.
- They acts as protectives, antiseptics and parasiticides.

(b) Endodermic Ointments:

- These ointments are intended to release the medicaments that penetrate into the skin.
- They are partially absorbed and acts as emollients, stimulants and local irritants.

Classification of Ointments: CONTD...

Ointments

(c) Diadermic Ointments:

These ointments are intended to release the medicaments that pass through the skin and produce systemic effects.

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Classification of Ointments: CONTD...

Ointments

B. According to therapeutic uses the ointments are classified as follows:

(i) Acne treatment :resorcinol, sulfur.

(ii) Antibiotics :Used to kill microorganisms. e.g. bacitracin,

chlortetracycline, neomycin.

(iii) Antieczematous :Used to stop oozing and exudation from vesicles

on the skin. e.g. hydrocortisone, coal tar,

ichthamol, salicylic acid.

(iv) Antifungal :Used to inhibit or kill the fungi. e.g. benzoic

acid, salicylic aid, nystatin, clotrimazole, etc.

from skin surface. e.g. calamine, zinc oxide

(v) Anti-inflammatory : Used to relieve inflammatory, allergic and

pruritic conditions of the skin, e.g.

betamethasone valerate

(vi) Antipruritic :Used to relieve itching. e.g. benzocaine, coal tar.

(vii) Antiseptic :Used to stop sepsis. e.g. ammoniated mercury

(vii) Astringent :Reduces the secretion of glands or discharge

(xiii) Prote

Classification of Ointments: CONTD...

Ointments

(ix) Counter irritant : These are applied locally to irritate the intact

skin, thus reducing or relieving another irritation or deep seated pain. e.g. capsicum oleoresin,

iodine (lodex), methyl salicylate.

(x) Dandruff treatment :e.g. salicylic acid and cetrimide (cetyl trimethyl

ammonium bromide)

(xi) Emollient :Used to soften the skin (for example in the dry

season). e.g. soft paraffin

(xii) *Keratolytic* :Used to remove or soften the horny layer of the

skin.e.g. resorcinol, salicylic acid and sulfur.

(xi) *Keratoplastic* :Tends to increase the thickness of horny layer

e.g. coal tar.

(xii) Parasiticide :These ointments destroy or inhibit living

infestations such as lice and ticks. e.g. benzyl

benzoate, sulfur etc.

(xiii) **Protective** :Protects the skin from moisture, air, sun rays or

other substances such as soaps or chemicals. e.g. calamine, zinc oxide, petrolatum.

Ointments

Ointment Bases:

- The ointment base is that substance or part of an ointment preparation which serves as carrier or vehicle for the medicament.
- An ideal ointment base should be inert, stable, smooth, compatible with the skin, non-irritating and should release the incorporated medicaments readily.

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Ointments

Classification of Ointment Bases:

- 1. Oleaginous bases
- 2. Absorption bases
- 3. Water-miscible bases
- 4. Water soluble bases

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Classification of Ointment Bases: CONTD...

Ointments

1. Oleaginous Bases

- These bases consists of oils and fats. The most important are the *Hydrocarbons* i.e. petrolatum, paraffins and mineral oils.
- The *animal fat* includes lard.
- The combination of these materials can produce a product of desired melting point and viscosity.

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Classification of Ointment Bases: CONTD...

Ointments

(a) Petrolatum (Soft paraffin):

- This is a purified mixture of semi-solid hydrocarbons obtained from petroleum or heavy lubricating oil.
- Yellow soft paraffin (Petrolatum; Petroleum jelly)
 - This a purified mixture of semisolid hydrocarbons obtained from petroleum. It may contain suitable stabilizers like, antioxidants e.g. α-tocopherol (Vitamin E), butylated hydroxy toluene (BHT) etc.
 - Melting range : 38 to 56°C.
- White soft paraffin (White petroleum jelly, White petrolatum)
 - This a purified mixture of semisolid hydrocarbons obtained from petroleum, and wholly or partially decolorized by percolating the yellow soft paraffin through freshly burned bone black or adsorptive clays.
 - Melting range : 38 to 56°C.
 - Use: The white form is used when the medicament is colourless, white or a pastel shade. This base is used in:
 - Dithranol ointment B.P.
 - Ammoniated Mercury and Coal tar ointment B.P.C.
 - Zinc ointment B.P.C.

Ointments

(b) Hard paraffin (Paraffin):

- This is a mixture of solid hydrocarbons obtained from petroleum.
- It is colourless or white, odorless, translucent, wax-like substance.
- It solidifies between 50 and 57°C and is used to stiffen ointment bases.

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Classification of Ointment Bases: CONTD...

Ointments

(c) Liquid paraffin

(Liquid petrolatum,; White mineral oil)

- It is a mixture of liquid , hydrocarbons obtained from petroleum. It is transparent, colourless, odourless, viscous liquid.
- On long storage it may oxidize to produce peroxides and therefore, it may contain tocopherol or BHT as antioxidants.
- It is used along with hard paraffin and soft paraffin to get a desired consistency of the ointment.
- Tubes for eye, rectal and nasal ointments have nozzles with narrow orifices through which it is easy to expel very viscous ointments without the risk of bursting the tube.
- To facilitate the extrusion upto 25% of the base may be replaced by liquid paraffins.

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Classification of Ointment Bases: CONTD...

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Advantages of Hydrocarbons Bases:

- 1. They are not absorbed by the skin. They remain on the surface as an occlusive layer that restricts the loss of moisture hence, keeps the skin soft.
- 2. They are sticky hence ensures prolonged contact between skin and medicament.
- 3. They are almost inert. They consist largely of saturated hydrocarbons, therefore, very few incompatibilities and little tendency of rancidity are there.
- 4. They can withstand heat sterilization, hence, sterile ophthalmic ointments can be prepared with it.
- 5. They are readily available and cheap.

Classification of Ointment Bases: CONTD...

Ointments

Disadvantages of Hydrocarbon Bases:

- 1. It may lead to water logging followed by maceration of the skin if applied for a prolonged period.
- 2. It retains body heat, which may produce an uncomfortable feeling of warmth.
- 3. They are immiscible with water; as a result rubbing onto the surface and removal after treatment both are difficult.
- 4. they are sticky, hence makes application unpleasant and leads to contamination of clothes.
- 5. Water absorption capacity is very low, hence, these bases are poor in absorbing exudate from moist lesions.

Ointments

2. Absorption Bases:

- The term absorption base is used to denote the water absorbing or emulsifying property of these bases and not to describe their action on the skin.
- These bases (sometimes called *emulsifiable ointment bases*) are generally anhydrous substances which have the property of absorbing (emulsifying) considerable quantity of water yet retaining its ointment-like consistency.
- Preparations of this type do not contain water as a component of their basic formula but if water is incorporated a W/O emulsion results.

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Classification of Ointment Bases: CONTD...

Ointments

(A) Wool Fat (Anhydrous Lanolin):

- It is the purified anhydrous fat like substance obtained from the wool of sheep.
- It is practically insoluble in water but can absorb water upto 50% of its own weight. Therefore it is used in ointments the proportion of water or aqueous liquids to be incorporated in hydrocarbon base is too large.
- Due to its sticky nature it is not used alone but is used along with other bases in the preparation of a number of ointments.
- e.g. Simple ointment B.P. contains 5% and the B.P. eye ointment base contains 10% woolfat.

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Classification of Ointment Bases: CONTD...

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(b) Hydrous Wool Fat (Lanolin):

- It is a mixture of 70 % w/w wool fat and 30 % w/w purified water. It is a w/o emulsion. Aqueous liquids can be emulsified with it.
- It is used alone as an emollient.
- Example:- Hydrous Wool Fat Ointment B.P.C., Calamine Coal Tar Ointment.

(c) Wool Alcohol:

- It is the emulsifying fraction of wool fat. Wool alcohol is obtained from wool fat by treating it with alkali and separating the fraction containing cholesterol and other alcohols.
- It contains not less than 30% of cholesterol.

Classification of Ointment Bases: CONTD...

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- Use:-
 - It is used as an emulsifying agent for the preparation of w/o emulsions and is used to absorb water in ointment bases.
 - It is also used to improve the texture, stability and emollient properties of o/w emulsions.
- <u>Examples</u>: Wool alcohol ointment B.P. contains 6% wool alcohol and hard, liquid and soft paraffin.

(d) Beeswax:

- It is purified wax, obtained from honey comb of bees.
- It contains small amount of cholesterol. It is of two types:
 (a) yellow beeswax and (b) white beeswax.
- Use:-
 - Beeswax is used as a stiffening agent in ointment preparations.
- Examples:-Paraffin ointment B.P.C. contains beeswax.

Ointments

(e) Cholesterol:

- It is widely distributed in animal organisms.
- Wool fat is also used as a source of cholesterol.
- <u>Use</u>:- It is used to increase the water absorbing power of an ointment base.
- <u>Example</u>:- Hydrophilic petroleum U.S.P. contains:

Cholesterol 3%
Stearyl alcohol 3%
White beeswax 8%
White soft paraffin 86%

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Classification of Ointment Bases: CONTD...

Ointments

Advantages of Absorption Bases:

- 1. They are less occlusive nevertheless, are good emollient.
- 2. They assist oil soluble medicaments to penetrate the skin.
- 3. They are easier to spread.
- 4. They are compatible with majority of the medicaments.
- 5. They are relatively heat stable.
- 6. The base may be used in their anhydrous form or in emulsified form.
- 7. They can absorb a large quantity of water or aqueous substances.

Disadvantages: Inspite of their hydrophilic nature, absorption bases are difficult to wash.

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Classification of Ointment Bases: CONTD...

Ointments

3. Water Miscible Bases:

- They are miscible with an excess of water. Ointments made from water-miscible bases are easily removed after use.
- There are three official anhydrous water-miscible ointment bases:-
- Example:-
 - Emulsifying ointment B.P. contains anionic emulsifier.
 - Cetrimide emulsifying ointment B.P. –contains cationic emulsifier
 - Cetomacrogol emulsifying ointment B.P. contains non-ionic emulsifier
- <u>Uses:</u> they are used to prepare o/w creams and are easily removable ointment bases
- e.g. Compound Benzoic Acid Ointment (Whitfield's Ointment) used as antifungal ointment.

Classification of Ointment Bases: CONTD...

Ointments

Advantages of water miscible bases:

- 1. Readily miscible with the exudates from lesions.
- 2. Reduced interference with normal skin function.
- 3. Good contact with the skin, because of their surfactant content.
- 4. High cosmetic acceptability, hence there is less likelihood of the patients discontinuing treatment.
- 5. Easy removal from the hair.

Ointments

4. Water Soluble Bases:

- Water soluble bases contain only the water soluble ingredients and not the fats or other greasy substances, hence, they are known as grease-less bases.
- Water soluble bases consists of water soluble ingredients such as polyethylene glycol polymers (PEG) which are popularly known as "carbowaxes" and commercially known as "macrogols".
- They are a range of compounds with the general formula:
 CH₂OH . (CH₂OCH₂)_n CH₂OH
- The PEGs are mixtures of polycondensation products of ethylene and water and they are described by numbers representing their average molecular weights.

Classification of Ointment Bases: CONTD...

Ointments

Example:-

Macrogols 200, 300, 400 – viscous liquids

Macrogols 1500 – greasy semi-solids

Macrogols 1540, 3000, 4000, 6000 – waxy solids.

 Different PEGs are mixed to get an ointment of desired consistency.

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Classification of Ointment Bases: CONTD...

Ointments

Advantages of PEGs as ointment base:

- 1. They are water soluble; hence, very easily can be removed from the skin and readily miscible with tissue exudates.
- 2. Helps in good absorption by the skin.
- 3. Good solvent properties. Some water-soluble dermatological drugs, such as salicylic acid, sulfonamides, sulfur etc. are soluble in this bases.
- 4. Non-greasy.
- 5. They do not hydrolyze, rancidify or support microbial growth.
- 6. Compatibility with many dermatological medicaments.

Classification of Ointment Bases: CONTD...

Ointments

Disadvantages:

- 1. Limited uptake of water. Macrogols dissolve when the proportion of water reaches about 5%.
- 2. Reduction in activity of certain antibacterial agents, e.g. phenols, hydroxybenzoates and quaternary compounds.
- 3. Solvent action on polyethylene and bakelite containers and closures.
- Certain other substances which are used as water soluble ointment bases include tragacanth, gelatin, pectin, silica gel, sodium alginate, cellulose derivatives, etc.

Ointments

Preparation of Ointments:

A well-made ointment is -

- (a) Uniform throughout
- (b) Free from grittiness

Two mixing techniques are frequently used in making ointments:

- 1. <u>Fusion</u> in which ingredients are melted together and stirred to ensure homogeneity.
- Trituration, in which finely-subdivided insoluble medicaments are evenly distributed by grinding with a small amount of the base or one of its ingredients followed by dilution with gradually increasing amounts of the base.

Preparation of Ointments: CONTD...

Ointment

1. Ointments Prepared by Fusion Method:

When an ointment base contain a number of solid ingredients such as white beeswax, cetyl alcohol, stearyl alcohol, stearic acid, hard paraffin, etc. as components of the base, it is required to melted them. The melting can be done in two methods:

Method-I

■ The components are melted in the decreasing order of their melting point i.e. the higher m.p. substance should be melted first, the substances with next melting point and so on. The medicament is added slowly in the melted ingredients and stirred thoroughly until the mass cools down and homogeneous product is formed.

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Preparation of Ointments: CONTD...

Ointments

Advantages:

This will avoid over-heating of substances having low melting point.

Method-II

All the components are taken in subdivided state and melted together.

Advantages:

The maximum temperature reached is lower than Method-I, and less time was taken possibly due to the solvent action of the lower melting point substances on the rest of the ingredients.

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Preparation of Ointments: CONTD...

Ointments

Example:

Simple ointment B.P. contains

Wool fat 50g Hard paraffin 50g Cetostearyl alcohol 50g White soft paraffin 850g

- Type of preparation: Absorption ointment base
- Procedure:
 - Hard paraffin and cetostearyl alcohol on water-bath.
 Wool fat and white soft paraffin are mixed and stirred until all the ingredients are melted.
 - If required decanted or strained and stirred until cold and packed in suitable container

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Preparation of Ointments: CONTD...

Ointments

2. Ointment Prepared by Trituration:

- This method is applicable in the base or a liquid present in small amount.
- Solids are finely powdered are passed through a sieve (# 250, # 180, #125).
- The powder is taken on an ointment-slab and triturated with a small amount of the base. A steel spatula with long, broad blade is used. To this additional quantities of the base are incorporated and triturated until the medicament is mixed with the base.
- Finally liquid ingredients are incorporated. To avoid loss from splashing, a small volume of liquid is poured into a depression in the ointment an thoroughly incorporated before more is added in the same way. Splashing is more easily controlled in a mortar than on a tile.

Preparation of Ointments: CONTD...

Ointments

Example:

(i) Whitfield ointment

(Compound benzoic acid ointment B.P.C.)

Formula:

Benzoic acid, in fine powder 6gm
Salicylic acid, in fine powder 3gm
Emulsifying ointment 91gm

Method:

 Benzoic acid and salicylic acid are sieved through No. 180 sieves. They are mixed on the tile with small amount of base and levigated until smooth and dilute gradually.

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Preparation of Ointments: CONTD...

Ointments

3. Ointment Preparation by Chemical Reaction:

 Chemical reactions were involved in the preparation of several famous ointments of the past, e.g. Strong Mercuric Nitrate Ointment, both of the 1959 B.P.C.

(a) Ointment containing free iodine:

- lodine is only slightly soluble in most fats and oils but readily soluble.
- lodine is readily soluble in concentrated solution of potassium iodide due to the formation of molecular complexes KI.I₂, KI.2I₂, KI.3I₂ etc.
- These solutions may be incorporated in absorption-type ointment bases.

Preparation of Ointments: CONTD...

Ointments

- e.g. *Strong Iodine Ointment B. Vet.C* (British Veterinary Pharmacopoeia) is used to treat ringworm in cattle.
- It contains free iodine.
- At one time this type of ointments were used as counterirritants in the treatment of human rheumatic diseases but they were not popular because:
 - They stain the skin a deep red color.
 - Due to improper storage the water dries up and the iodine crystals irritate the skin, hence glycerol was some times to dissolve the iodine-potassium iodide complex instead of water.

Preparation of Ointments: CONTD...

Ointments

Example:

Strong Iodine Ointment B. Vet.C.

Iodine

Woolfat

Yellow soft paraffin

Potassium iodide (KI)

Water

Procedure:

- 1. KI is dissolved in water. I₂ is dissolved in it.
- 2. Woolfat and yellow soft paraffin are melted together over water bath. Melted mass is cooled to about 40°C.
- 3. I₂ solution is added to the melted mass in small quantities at a time with continuos stirring until a uniform mass is obtained.
- 4. It is cooled to room temperature and packed.

Use: - Ringworm in cattle.

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Preparation of Ointments: CONTD...

Ointments

(B) Ointment Containing Combined Iodine:

 Fixed oils and many vegetable and animal fats absorb iodine which combines with the double bonds of the unsaturated constituents

Example:

Non-staining Iodine Ointment B.P.C. 1968

Di-lodostearic Acid lodine Arachis Oil Yellow Soft Paraffin

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Method:

Preparation of Ointments: CONTD...

- lodine is finely powdered in a glass mortar and required amount is added to the oil in a glass-stoppered conical flask and stirred well.
- 2. The oil is heated at 50°C in a water-bath and stirred continually. Heating is continued until the brown color is changed to greenish-black; this may take several hours.
- 3. From 0.1g of the preparation the amount of iodine is determined by B.P.C. method and the amount of soft paraffin base is calculated to give the product the required strength.
- 4. Soft paraffin is warmed to 40°C. The iodized oil is added and mixed well. No more heat is applied because this causes deposition of a resinous substance.
- 5. The preparation is packed in a warm, wide-mouthed, amber color, glass bottle. It is allowed to cool without further stirring.

Preparation of Ointments: CONTD...

Ointments

4. Preparation of Ointments by Emulsification:

- An emulsion system contain an oil phase, an aqueous phase and an emulsifying agent.
- For o/w emulsion systems the following emulsifying agents are used:
 - (i) water soluble soap
 - (ii) cetyl alcohol
 - (iii)glyceryl monostearate
 - (iv) combination of emulsifiers: triethanolamine stearate and cetyl alcohol
 - (v) non-ionic emulsifiers: glyceryl monostearate, glyceryl monooelate, propylene glycol stearate
- For w/o emulsion creams the following emulsifiers are used:
 - (i) polyvalent ions e.g magnesium, calcium and aluminium are used.
 - (ii) combination of emulsifiers: beeswax + divalent calcium ion

Preparation of Ointments: CONTD...

Ointments

 The viscosity of this type of creams prevent coalescence of the emulsified phases and helps in stabilizing the emulsion.

Example:

Cold cream:

Procedure:

- 1. Water immiscible components e.g. oils, fats, waxes are melted together over water bath (70°C).
- 2. Aqueous solution of all heat stable, water soluble components are heated (70°C).
- 3. Aqueous solution is slowly added to the melted bases with continuous stirring until the product cools down and a semi-solid mass is obtained.

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Creams

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Creams

Definition:

- Viscous semisolid emulsion with opaque appearance as :
- Contrasted with translucent ointments
- Consistency depends on whether the cream is W/O or O/W

W/O Creams	O/W Creams	
Contain lipophilic emulsifying agent	Contains O/W emulsifying agent	
Used as emollient or as cleansing agent	O/W creams are elegant drug delivery system	

Pastes

Pastes

- Pastes generally contains a large amount (50%) of finely powdered solids. So they are often stiffer than ointments.
- When applied to the skin pastes adhere well, forming a thick coating protects and soothes inflamed and raw surfaces and minimizes the damage done by scratching in itchy conditions such as chronic eczema.
- Because of the powder contents pastes are porous; hence, perspiration can escape. Since the powders absorbs exudate, pastes with hydrocarbon base are less macerating than ointments with a similar base.

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Pastes

- They are less greasy than ointments but since their efficacy depends on maintaining a thick surface layer they are far from attractive cosmetically.
- Most of the pastes are unsuitable for treating scalp conditions because they are difficult to remove from the hair.

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Paste:

Bases of Pastes:

1. Hydrocarbon Base:

 Soft paraffin and liquid paraffin are commonly used bases for the preparation of paste.

Name of the preparation	of the preparation		Use	
 Compound Zinc Paste B.P. 	Zinc oxide	Soft	Eczema,	
Compound Zinc & Salicylic	Zinc oxide &	paraffin	psoriasis.	
acid Paste B.P. (Lassar's Paste)	Salicylic acid	Soft	Eczema,	
Coal tar paste	Coal tar	paraffin	psoriasis.	
 Dithranol paste compound 	Dithranol	Soft	Eczema	
Aluminium paste B.P.C.	Aluminium oxide	paraffin	Ring worm or	
(Baltimore Paste)		Soft	psoriasis	
· ·		paraffin	Protectant	
		Liquid		
		paraffin		

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Bases of Pastes: CONTD...

Pastes

2. Water Miscible Base:

Name of the preparation	Base	Use
Resorcinol & sulfur Paste B.P.C. Zinc & Coal tar Paste Magnesium sulfate paste B.P.C. (Morison's paste) Titanium dioxide paste B.P.C.	Emulsifying ointment Emulsifying wax Magnesium sulfate - 45% Phenol in glycerol Suspension of TiO ₂ , ZnO, light kaolin and red Fe ₂ O ₃ in glycerol + water.	Dandruff, and are easily removable from the hair. Eczema Used to treat boils, because of their powerful osmotic effect of the salt and the glycerol. Absorbs exudates from weeping skin conditions.

Bases of Pastes: CONTD...

Paste

2. Water Soluble Base:

 Water soluble bases are prepared from mixtures of high and low molecular weight polyethylene glycols (or macrogols).

Name of the preparation		ration	Base	Use			
1.	Water solu	ıble	dental	Neomycin sulfate	Sterilizing	infected	root
	pastes			Triamcinolone acetonide	canal		
2.	Triamcinolo	ne D	ental	in an adhesive paste	Anti-inflam	ımatory	
	paste B.P.C.			(NaCMC, pectin, + gelatin)			

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)actor

Method of Preparation:

- Like ointment, pastes are prepared by trituration and fusion methods. Trituration method is used when the base is liquid or semisolid.
- Fusion method is used when the base is semisolid and/or solid in nature.
- Preparation 1.

Name: Compound Zinc Paste

Formula: Zinc oxide, finely sifted 25 g

Starch, finely sifted 25 g White soft paraffin 50 g

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Method of Preparation: CONTD...

Paste

 Type of preparation: Paste with semi-solid base prepared by fusion and trituration.

Procedure:

- Zinc oxide and starch powder are passed through No. 180 sieve.
- Soft paraffin is melted on a water bath.
- The required amount of powder is taken in a warm mortar, triturated with little melted base until smooth. Gradually rest of the base is added and mixed until cold.

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Method of Preparation: CONTD...

Paste

Preparation 2:

Name: Zinc and Coal tar Paste B.P.C.

Formula: Zinc oxide, finely sifted

Coal tar

Emulsifying wax

Starch

Yellow soft paraffin.

• *Type of preparation:* Paste with semi-solid base prepared by fusion.

Method of Preparation: CONTD...

Procedure:

Method-I

- Emulsifying wax is melted in a tared dish (70°C).
- The coal tar is weighed in the dish. Stirred to mix.
- Soft paraffin is melted in a separate dish (70°C) and about half is added to the tar-wax mixture; stirred well. Remainder is added; stirred again until homogeneous.
- Allowed to cool at about (30°C) and zinc oxide (previously passed through 180 mesh) and starch, in small amount with constant stirring. Stirred until cold.

Method-II

 Wax and paraffin melted together, mixed well and stirred until just setting. Powders are mixed on a slightly warm tile and the tar is incorporated. This method eliminates the risk of over heating.

Gels & Jellies

Gels & Jellies

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Definition:

- "Gels are semisolid system in which liquid phase is constrained with a 3-D polymeric matrix having a high degree of physical or chemical cross linking."
- Gels are aqueous colloidal system of hydrated forms of insoluble medicaments.
- "Jellies are transparent or translucent, non-greasy, semisolid preparation generally applied externally."
- They are used for medication, lubrication and some miscellaneous applications.

Hydrogels

Gel Composition

•Gelling agent

Cosolvents

Stabilizers

Preservatives

Water

✓ Silica, bentonite, pectin, sodium alginate, methylcellulose, alumina

Organic Gels

✓ Contain an organic liquid (e.g., Plastibase)

✓ Aqueous dispersion neutralized with sodium hydroxide or

•Aluminum Hydroxide Gel

Gels & Jellies

•As a hot, colloidal dispersion of gelatin cools, the gelatin macromolecules lose kinetic energy.

•With a reduction of kinetic energy or thermal agitation.

•Gelatin, agar, pectin, Irish moss, pectin, tragacanth form gels by this mechanism.

Kinds of Gels

Carbomer Gels

triethanolamine

Methylcellulose Gels

Starch Glycerite

Gels & Jellies

Types of Gels:

A. Controlled Release Gels:

- Drug delivery to nasal or ocular mucosa for either local or systemic action suffers from many obstacles. Gel formulations with suitable rheological and mucoadhesive properties increase the contact time at the site of absorption.
- However, drug release from the gel must be sustained if benefits are to be gained from the prolonged contact time.
- These gels were formed in simulated tear fluid at concentrations of polymer as low as 0.1%, and it was shown that sodium was the most important gel-promoting ion in vivo.

Types of Gels: CONTD...

Gels & Jellies

B. Organogels:

- Sorbitan monostearate, a hydrophobic nonionic surfactant, and numbers of organic solvents such as hexadecane, isopropyl myristate, and a range of vegetable oils are present. Gelation is achieved by dissolving/dispersing the organogelator in hot solvent to produce an organic solution/dispersion, which, on cooling sets to the gel state.
- Such organogels are affected by the presence of additives such as the hydrophilic surfactant, polysorbate 20, which improves gel stability and alters the gel microstructure from a network of individual tubules to star-shaped "clusters" of tubules in the liquid continuous phase.

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Types of Gels: CONTD...

Gels & Jellies

C. Extended Release Gels:

- It is a controlled release technology consists of an agglomerated, hydrophilic complex that, when compressed, forms a controlled-release matrix. It consisting of xanthan and locust bean gums (two polysaccharides) combined with dextrose surrounds a drug core. In the presence of water, interactions between the matrix components form a tight gel while the inner core remains unwetted.
- The drug is encapsulated in the pores of the gel, and as the matrix travels through the patient's digestive system, the tablet swells and begins to erode. This erosion allows the drug to "back-diffuse" out through the gel-matrix at a controlled rate until the matrix erodes and a majority of the drug is released. The fundamental component controlling the rate of release lies in the properties of the gel matrix.

Types of Gels: CONTD...

Gels & Jellies

D. Amphiphilic Gels:

- Amphiphilic gels can prepared by mixing the solid gelator like sorbitan monostearate or sorbitan monopalmitate and the liquid phase like liquid sorbitan esters or polysorbate and heating them at 60°C to form a clear isotropic sol phase, and cooling the sol phase to form an opaque semisolid at room temperature.
- Amphiphilic gel microstructures consisted mainly of clusters of tubules of gelator molecules that had aggregated upon cooling of the sol phase, forming a 3D network throughout the continuous phase. The gels demonstrated thermoreversibility. Gelation temperature and viscosity increased with increasing gelator concentration, indicating a more robust gel network.

Types of Gels: CONTD... Gels & Jellies

E. Hydrophilic Gels:

 Hydrophilic gels are composed of the internal phase made of a polymer producing a coherent threedimensional net-like structure, which fixes the liquid vehicle as the external phase. Intermolecular forces bind the molecules of the solvent to a polymeric net, thus decreasing the mobility of these molecules and producing a structured system with increased viscosity.

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Types of Gels: CONTD... Gels & Jellies

F. Non Aqueous Gels:

- Ethylcellulose was successfully formulated as a nonaqueous gel with propylene glycol dicaprylate/dicaprate. The novel nonaqueous gel exhibited rheological profiles corresponding to a physically cross-linked three dimensional gel network, with suitable mechanical characteristics for use as a vehicle for topical drug delivery.
- The gel matrices exhibited prominent viscoelastic behavior, yield stress and thixotropy. Rheological and mechanical properties showed significant upward trends with increased polymeric chain length and polymer concentrations. Good linear correlations were obtained between rheological and mechanical properties.

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Gels & Jellies

Types of Jellies:

Medicated Jellies:

- Water soluble drugs like local anesthetics, spermicides and antiseptics are suitable for incorporation in the jellies.
- They are easy to apply and evaporation of the water content produces a pleasant cooling effect.
- The medicinal film usually adheres well and gives protection but is easily removed by washing when the treatment is complete.
- Example:
 - Ephedrine sulfate jelly used to arrest bleeding from nose.
 - Pramoxine HCl , a local anaesthetic relieves discomfort of pruritis and haemorrhoids.
 - Phenylmercuric nitrate as spermicidal contraceptive.

Types of Jellies: CONTD...

Gels & Jellies

Lubricant Jelly:

- Catheters, items of eletrodiagnostic equipment, such as cystoscopes, and rubber gloves or finger stalls used for rectal and other examinations require lubrication before use.
- The lubricants must be sterile for articles inserted into sterile regions of the body, such as urinary bladder.
- For painful investigations a local anaesthetic may be included as in Lignocaine Gel B.P.C.

Types of Jellies: CONTD...

Gels & Jellies

Miscellaneous uses:

The following are more specialized jellies

- (a) Patch testing
- Here the jelly is the vehicle for allergens applied to the skin to detect sensitivity. Several allergens may be applied on one person. The viscosity of the jelly and it leaves on drying help to keep the particles separate.
- (b) *Electrocardiography*
- To reduce electrical resistance between the patients skin and electrodes of the cardiograph, an electrode jelly may be applied. This contains NaCl to provide good conductivity and often pumice powder which, when applied onto the skin, removes part of the horny layer of the epidermis, the main layer of electrical resistance.

Poultice

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Poultice

Definition:

"Poultice are paste-like preparations used externally to reduce inflammation because they retain heat well. After heating, the preparation is spread thickly on a dressing and applied, as hot as the patient can bear it, to the affected area." Poultice

Uses:

- Glycerol, because of its hygroscopic nature, is believed to draw infected materials from the tissues when the poultice is used for boils and similar infections.
- Methyl Salicylate (An Antirheumatic Drug),
- Thymol (A Powerful Bactericide),
- Boric Acid (A Weak Antimicrobial Agent),
- and Peppermint Oil (which contributes to the smell) are used for different purposes.

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Plasters

Definition:

- "Plasters are solid or semisolid masses adhere to the skin when spread upon cotton felt line or muslin as backing material and they are mainly used to:
 - Afford protection and mechanical support
 - Furnish an occlusive and macerating action
 - Bring medication into close contact with the surface of the skin

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Plasters

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Plasters

- Plasters are applied to the skin to provide prolonged contact at the site.
- Unmedicated plasters provide protection or medicated support at the site of application.
- Adhesive tape used to be official under the little adhesive plaster, the use of this material being well known.
- Medicated plasters provide effects at the site of application.
- They may be cut to size to conform to the surface to be covered.

Formulation of Semisolids

Formulation of Semisolids: CONTD...

- Ingredients used in preparation of semi solid dosage form:
- > Active pharmaceutical ingredients
- Bases
- Preservatives
- Humectants
- Anti oxidants
- Emulsifier
- Gelling agent
- Buffers

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Classification of Bases:

 BASES

WATER SOLUBLE EMULSION BASE ABSORPTION BASE BASE

WAS BASE

WATER SOLUBLE EMULSION BASE BASE

WATER SOLUBLE EMULSION BASE BASE

WATER SOLUBLE EMULSION BASE BASE

WATER SOLUBLE BASE

WATER SOLUBLE EMULSION BASE BASE

WATER SOLUBLE EMULSION BASE BASE

WATER SOLUBLE BASE

WATER SOLUBLE EMULSION BASE BASE

WATER SOLUBLE BASE

Formulation of Semisolids: CONTD...

Bases:

- It is one of the most important ingredient used in the formulation of semisolid dosage form.
- Ointments and suppository base do not merely acts as the carrier of the medicaments, but they also control the extent of absorption of medicaments incorporated with them.
- They should be:
 - Compatible with skin pH and drug
 - Inert ,non irritating and non sensitizing
 - Good solvent and/or emulsifying agent
 - Emollient, protective, non greasy and easily removable
 - Release medicaments easily at the site of administration
 - Pharmaceutical elegant and possess good stability.

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Formulation of Semisolids: CONTD...

Preservatives:

- Some bases, although, resist microbial attack but because of their high water content, it require an anti microbial preservative.
- Commonly used preservative include:
 - Methyl hydroxy benzoate
 - Propyl hydroxy benzoate
 - Chorocresol
 - Benzoic acid
 - Phenyl Mercuric Nitrate

Formulation of Semisolids: CONTD...

Antioxidants:

- Oxygen is highly reactive atom that is capable of becoming of potentially damaging molecules commonly called "free radicals".
- Free radicals are capable of attacking the healthy cells of the body, causing them to loose their structure and functions
- To prevent this an anti oxidant are added.
- Example: Butylated Hydroxy Anisole (BHA), Butylated Hydroxy Toluene (BHT)

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Formulation of Semisolids: CONTD...

Humectants:

- A humectant is a hygroscopic substance. It is often a molecule with several hydrophilic groups, most often hydroxyl group.
- Humectants are used to:
 - ✓ Increase the solubility of active ingredients
 - √ To elevate its skin preparation
 - ✓ Elevate the hydration of the skin.

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Formulation of Semisolids: CONTD...

Gelling Agents:

- Gelling agent forms a gel dissolves in a liquid phase as a colloid mixture that forms a weakly cohesive internal structure.
- These are organic hydrocolloids or hydrophilic inorganic substances.
- Example: Tragacanth, Sodium Alginate, Pectin, Gelatin, Cellulose Derivatives.

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Formulation of Semisolids: CONTD...

Emulsifiers:

Anionic	Cationic	Non ionic
 Alkyl sulphates Soaps Dodecyl benzene Sulfonates Lactylates Sulfosuccinates Monoglycerides Sulfonates Phosphate esters Silicones Taurates 	 Quaternary ammonium compounds Alkoxyalkylamines 	 Polyoxyethylene Alkyl-aryl ethers Polyoxy ethylene Sorbitan esters Sorbitan fatty acid esters Glyceryl fatty acid esters

Formulation of Semisolids: CONTD...

Buffers:

- Buffers are added to various purpose such as:
- ✓ Compatibility with skin
- ✓ Drug solubility
- ✓ Drug Stability
- ✓ Influence on ionization of drug
- Example: Sodium acetate, Sodium Citrate, Potassium meta phosphate

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Question Bank:

2 Marks

- 1. Define: creams & plasters.
- 2. Define: poultices & jellies.*
- 3. Classify ointment bases.
- 4. Define ointment & gels

5 Marks

- 1. Write a note method of preparation of ointment.
- 2. Short note: Gels & jellies.
- 3. Write a note on fusion method of ointment compounding.
- 4. Short note: plasters

10 Marks

- 1. Define ointment. Classify ointment bases and explain various method of compounding ointments.**
- 2. Define ointment. Discuss method of compounding with example.