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## Defination

- ▶ “Suppositories are solid dosage forms intended for insertion in to body cavities or orifices (Rectum, Vagina & Urethra) where they melt or dissolved & exert localized or systemic effect.”



# Advantages

It avoid first pass effect.

Melt at body temperature.

It gives localized and systemic action.

It can be given to unconscious patient.

It is easy to use for pediatric and geriatric patients.

Useful to produce local effect.

Useful for rapid and direct effect in rectum.

Useful to promote evacuation of bowel

Convenient for those drug causes GIT irritation , vomiting etc.



# Disadvantages

Irritant drug cant administered

Embarrassment to patients

Need to store at low temp.

Cant easily prepared

Cost-expensive.

fluid content of the rectum is much less than that of the small intestine; this may effect dissolution rate, etc.

Some drug may be degraded by the microbial flora present in the rectum.



## Types of Suppositories

1. Rectal suppositories.
2. Vaginal suppositories.
3. Urethral suppositories.
4. Nasal suppositories.
5. Ear cones.





## TYPES OF SUPPOSITORY

### (A) RECTAL SUPPOSITORY-

- ❖ It is inserted in the rectal .
- ❖ The weight of suppository used in children is about 1g and in adult about 2g.
- ❖ The shape of suppository used in rectal is torpedo shape. The length is about 3 cm.






## (B) URETHRAL SUPPOSITORY

- ❖ The weight of this type suppository is about 2g and 60-75 mm long in Females.
- ❖ Those intended for males weigh 4 gm each and are 100-150 mm long.
- ❖ It is available in pencil shape.



## **(C) VAGINAL SUPPOSITORY**

- ❖ It is in oviform shape.
- ❖ It is about 3-5g in weight.
- ❖ It contains the drugs which are used in treatment of the infections of female genitourinary tract and meant for contraception.
- ❖ It contains the combination of polyethylene glycol of different molecular weights as suppository bases.



### (D) NASAL SUPPOSITORY

- ❖ These suppository are meant for introduction into nasal cavity.
- ❖ It is about 1g in weight.
- ❖ The glycono- gelatin is used as suppository bases.

### (E) EAR CONE

- ❖ It is also known as AURINARIES.
- ❖ These are meant for introduction into the ear.
- ❖ It is cylindrical in shape.
- ❖ It is about 1g in weight.



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## Newer Concept of Suppositories

- ▶ Tablet Suppositories
  - ▶ Layered Suppositories
  - ▶ Coated Suppositories
  - ▶ Capsule Suppositories
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# Tablet suppositories

- ▶ This type of tablets prepared by compression like tablets.
- ▶ Such type of suppositories used for rectal & vaginal purposes.
- ▶ Pessaries tablet suppositories are present in almond like shape.
- ▶ Rectal tablets covered with thin layers of materials such as polyethylene glycol for protecting.



# Layered Suppositories

- ▶ In that type of suppositories are contains different drugs in different layers.
- ▶ So that, incompatibility drugs can be separated from each other.
- ▶ Similarly drugs having different melting points can be incorporated to control the absorption rate.



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## Coated Suppositories

- ▶ In that type of suppositories contains polyethylene glycol, cetyl alcohol etc.
- ▶ Those materials controls their disintegration rate, to impart lubricant properties & to provide protection action during storage.





# Capsule Suppositories

- ▶ Soft gelatin capsules of different shapes & size are prepared in that type of suppositories.
- ▶ In that type of capsule suppositories are filled with liquids, semisolids or solids.
- ▶ These type of capsules are increasing in popularity.





# FORMULATION OF SUPPOSITORIES

## (A) SUPPOSITORIES BASES-

### IDEAL PROPERTIES OF SUPPOSITRIES BASES-

The following properties should be required for bases----

- ❖ Bases should be exist in solid form at room temperature.
- ❖ It should not irritate and produced inflamed sensation in body cavity.
- ❖ It should be stable during storage condition , No change in colour, shape , odour.
- ❖ It should retain hardness and

- It should be compatible with large number of drugs.
- It should be stable if heated above its melting point.
- It should be easily moulded and it should not adhere to the mould.
- It should be good in appearance.

# TYPES OF SUPPOSITORY BASES

- ▶ Oily Bases or Oleaginous bases
- ▶ Water Soluble & Water miscible bases  
Or  
Hydrophilic bases
- ▶ Emulsifying/Synthetic bases





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## Oily Bases or Oleaginous bases

- ▶ Cocoa butter or Theobroma Oil
- ▶ Emulsified cocoa butter.
- ▶ Hydrogenated oils.



An abstract graphic on the left side of the slide, featuring overlapping, wavy, translucent shapes in a spectrum of colors including red, orange, yellow, green, and blue, creating a sense of movement and depth.

## (a) COCOA BUTTER

- ❖ It is natural triglyceride.
- ❖ Among all fatty acid about 40% are unsaturated fatty acid .
- ❖ It can exist in more than one crystalline form or exhibits polymorphism.
- ❖ At room temperature ,it is yellowish-white with a paints,chocolate like odour.
- ❖ It consists of a mixture of ester of oleic acid,palmatic acid,stearic acid and other fatty acid with glycerol.



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## Advantages

- Melting just below the body temperature.
- Maintaining its solidity at usual room temperatures.
- Readily liquefy on heating and solidify on cooling.

## Disadvantages

- Rancidity.
- Stick to mould.
- Leakage from body cavity.
- Costly.
- Immiscibility with body fluid.
- Chloral hydrate or lactic acid liquefy it.



# Emulsified cocoa butter or Emulsified Theobroma Oil

- ▶ Emulsified theobroma oil may be used as a base when large quantities of aqueous solutions are to be incorporated.
- ▶ 5% glyceryl monostearate, 10% lanette wax, 2–3% cetyl alcohol & 4% bees wax is recommended for emulsified theobroma oil.



# Hydrogenated Oils

- ▶ Hydrogenated oils are used as a substitute of theobroma oil.
- ▶ E.g. Hydrogenated edible oil, coconut oil, hydrogenated pea oil, stearic acids, palm kernel oil etc.



### ▶ Advantages

- Overheating does not affect the solidifying point.
- They are resistant to oxidation.
- Lubrication of the mould is not required.
- Their emulsifying & water absorbing capacity are good.

### ➤ Disadvantages

- On rapid cooling they become brittle.
- When melted they are more fluid than theobroma oil & result in greater sedimentation of the added substance.



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## Water Soluble & Water miscible bases Or Hydrophilic bases

- ▶ Glycero-gelatin base.
- ▶ Soap-glycerin base.
- ▶ Polyethylene glycol.





## Glycero –Gelatin Bases



It is a mixture of glycerin and water which is made stiff by the addition of gelatin.

### **Properties:**

It is colourless, transparent, translucent in nature.

It is soft to touch.

It melts at 30 – 35°C.

Used for vaginal suppositories.

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► **Advantages:**

- It melt at body temperature.
- It mix with body fluid.
- Not rancid.
- It can be used to prepare suppositories using boric acid, chloral hydrate bromides, iodides, iodoform opium etc.




► **Disadvantages:**

- Difficult to prepare and handle.
- Chance of bacterial growth.
- Hygroscopic in nature. (become hard on drying and soft in cont with moisture)
- Laxative in action.
- Incompatible with tannic acid, ferric chloride etc.



## Polyethylene Glycols/ Macrogols

- ▶ These are commonly known as carbowaxes & Polyglycols.
  - ▶ These are available in solid, liquid or semi-solid state depending on molecular weight.
  - ▶ Those polymers having the molecular weight betw. 200 to 1000 are liquids & those having M.W higher than 1000 are wax like solids.
  - ▶ They are chemically stable & physiologically inert substances & do not allow the bacterial or mold growth to take place.
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## Advantages:

- ▶ They are chemically stable.
- ▶ Inert, Non-irritant.
- ▶ Do not allow bacterial growth.
- ▶ Physical properties changes according to molecular weight.
- ▶ Provide prolonged action.
- ▶ Do not stick to mould.
- ▶ Suppositories are clean and smooth in appearance.



## Emulsifying/Synthetic bases

- ▶ Witepsol
- ▶ Massa estarinum
- ▶ Massuppol.



## Advantage of Emulsifying bases

- ▶ They solidify rapidly.
- ▶ They are non-irritant.
- ▶ The lubrication of mould is not required.
- ▶ Overheating does not affect the physical properties of the base.
- ▶ They can absorb fairly large amount of water or aqueous liquids.
- ▶ The white, odourless, clean and attractive suppositories are produced.
- ▶ They are less liable to get rancid.







## Disadvantage of Emulsifying bases

- ▶ They should not be cooled rapidly in a refrigerator because they become brittle.
- ▶ They are not very viscous on melting, so the medicaments incorporated with the base settle down rapidly.

# Witepsol

- ▶ They consist of triglycerides of saturated vegetable fatty acid with varying percentage of partial esters.
  - ▶ A small amount of beeswax is added for use in hot climate.
  - ▶ It should not be cooled rapidly as it become brittle and fracture.
  - ▶ Lubrication is required.
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## Massa Estarinum

- ▶ It is a mixture of mono, di and triglycerides of saturated fatty acids.
  - ▶ It is a white, brittle, almost odourless and tasteless solid.
  - ▶ It has a m.p. 33.5 to 35.5°C.
  - ▶ They are available in various grades but grade B is commonly used in dispensing.
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## Method of preparation

- ▶ Hand rolling.
- ▶ Fusion method.
- ▶ Cold compression.



# Hand rolling

- It is the oldest and simplest method of suppository preparation and may be used when only a few suppositories are to be prepared in a cocoa butter base.
- It has the advantage of avoiding the necessity of heating the cocoa butter.
- A plastic-like mass is prepared by triturating grated cocoa butter and active ingredients in a mortar.




- ▶ The mass is formed into a ball in the palm of the hands, then rolled into a uniform cylinder with a large spatula or small flat board on a pill tile.
- ▶ The cylinder is then cut into the appropriate number of pieces which are rolled on one end to produce a conical shape.
- ▶ Effective hand rolling requires considerable practice and skill.





# Fusion Method

1. Melting the suppository base
  2. Dispersing or dissolving the drug in the melted base.
  3. The mixture is removed from the heat and poured into a suppository mold.
  4. Allowing the melt to congeal
  5. Removing the formed suppositories from the mold.
- ▶ The fusion method can be used with all types of suppositories and must be used with most of them.
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- ▶ Small scale molds are capable of producing 6 or 12 suppositories in a single operation.
- ▶ Industrial molds produce hundreds of suppositories from a single molding.



## LUBRICANTS FOR USE WITH SUPPOSITORY BASES



### Base

- Theobroma oil
- Glycerol-gelatin base

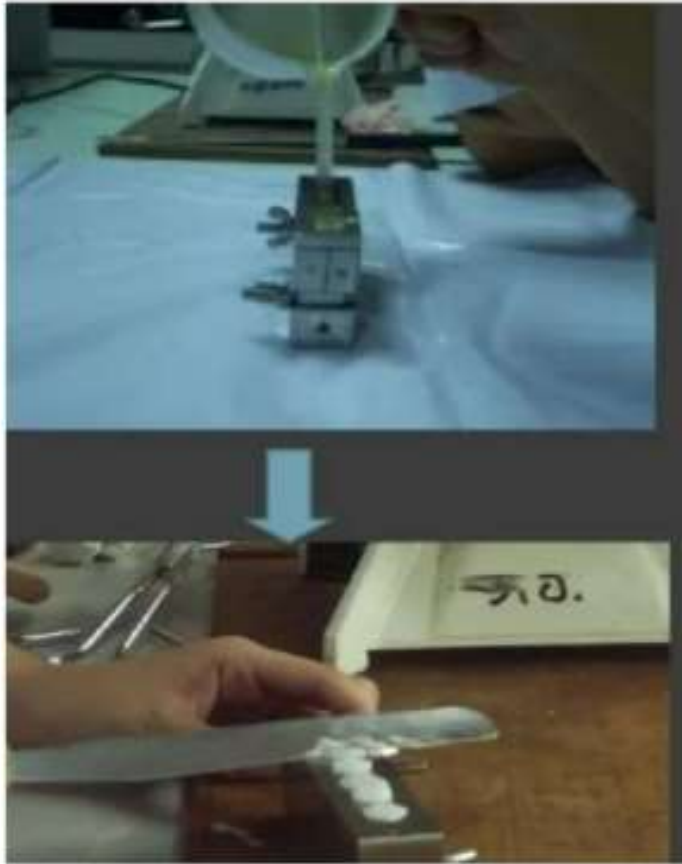
### Lubricant

- Soap spirit
- liquid paraffin

### No lubricant required

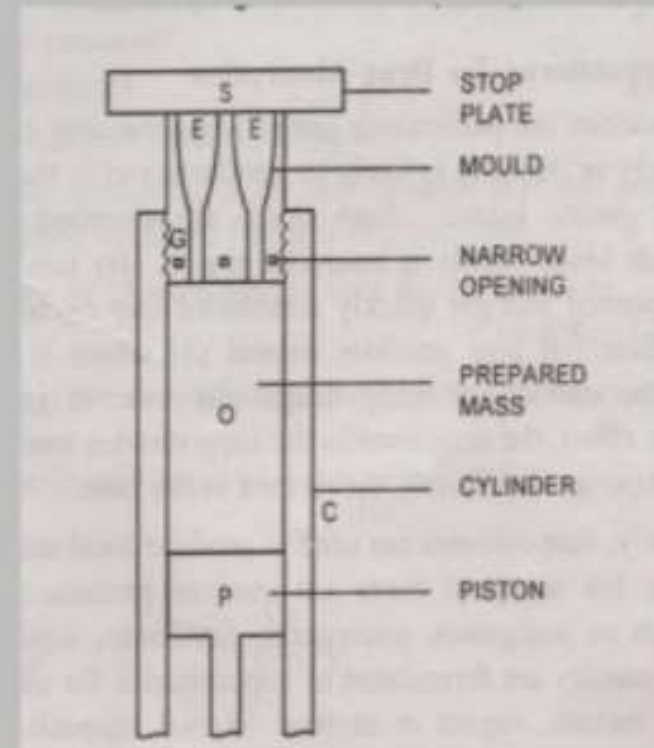
- Synthetic fats
- Macrogols

# Fusion Method



# Compression moulding

- Compression molding is a method of preparing suppositories from a mixed mass of grated suppository base and medicaments which is forced into a special compression mold using suppository making machines.
- The suppository base and the other ingredients are combined by thorough mixing.
- The friction of the process causing the base to soften into a past-like consistency.



- ▶ The friction of the process causing the base to soften into a past-like consistency.
- ▶ On a small scale, a mortar and pestle may be used (preheated mortar facilitate softening of the base).
- ▶ On large scale, mechanically operated kneading mixers and a warmed mixing vessel may be applied.
- ▶ In the compression machine, the suppository mass is placed into a cylinder which is then closed.
- ▶ Pressure is applied from one end to release the mass from the other end into the suppository mold or die.



- ▶ When the die is filled with the mass, a movable end plate at the back of the die is removed and when additional pressure is applied to the mass in the cylinder, the formed suppositories are ejected.
- ▶ The end plate is returned, and the process is repeated until all of the suppository mass has been used.




# Displacement Value

- ▶ Def<sup>n</sup> :- “The quantity of the drug which displaces one part of the base is known as displacement value.”



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## Packaging and storage

- ▶ Suppositories are usually packed in tin or aluminum, paper or plastic.
  - ▶ Poorly packed suppositories may give rise to staining, breakage or deformation by melting.
  - ▶ Both cocoa butter and glycerinated gelatin suppositories stored preferably in a refrigerator.
  - ▶ Polyethylene glycol suppositories stored at usual room temperature without the requirement of refrigeration.
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### **Use of Suppositories for Drug Absorption ■**

Suppositories are particularly useful when the drug cannot be administered orally or the drug is likely to get destroyed in the stomach due to acidity of gastric juices. Such drugs are absorbed by rectal route through rich blood supply in anorectal region. By this route, drugs are rapidly absorbed and get quickly distributed into circulation which bypass the liver. It also provides neutral pH which is very helpful to maintain the stability of many drugs. In order to get the maximum therapeutic effect, the drug used in the suppositories must be in fine state of subdivision and uniformly distributed in the base.

and uniformly distributed in the ...  
Generally, suppositories are used to produce local action at the site of application but many of them are used to produce systemic action. Drugs such as analgesics, astringents, hormones, antibiotics, steroids, local anaesthetics are formulated as suppositories for treating local conditions of rectum, vagina or urethra. Rectal suppositories are mainly used for the treatment of constipation and haemorrhoids. These suppositories are also used to produce systemic action.

### ■ PESSARIES ■

They are meant for introduction into the vagina. They are larger than rectal suppositories and vary in weight from 4 to 8 gramme or more. The pessaries may be conical, wedge shaped or rod shaped. Nowadays, special shaped suppositories are manufactured and are supplied with applicators to facilitate insertion into the vagina.



The pessaries are also available as tablets and capsules and are known as vaginal tablets and capsules respectively. The pessaries of ichthammol, crystal violet, lactic acid are manufactured by using glycerogelatin base.

Moulded pessaries are packed similar to glycerogelatin suppositories while tablet containers are suitable for the compressed type pessaries. Sometimes instructions are given on the label to moisten pessaries with water before insertion into vagina to reduce the stinging caused by osmotic withdrawal of the water from the tissues during solution in the vagina. Di-iodohydroxyquinoline and nystatin, pessaries should always be labelled with this advice. Pessaries should be stored in a cool place.