

SUPPOSITORIES & PESSARIES UNIT 8

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SUPPOSITORIES & PESSARIES

- ▶ Suppositories are solid dosage forms intended for insertion into body orifices where they melt, soften, or dissolve and exert localized or systemic effects.

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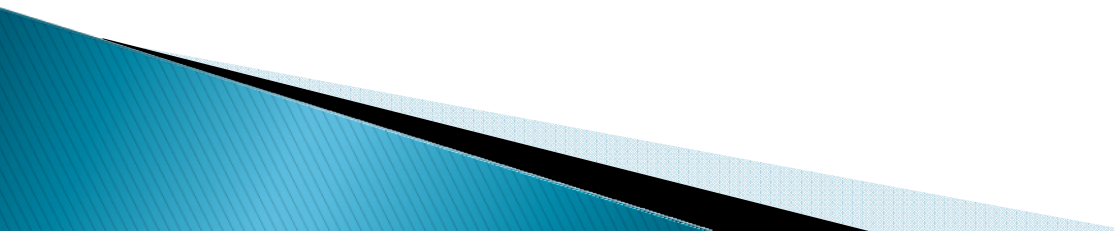
- ▶ Dosage form characteristics:
 - a. Rectal suppositories for adults weigh 2 gm and are torpedo shape.
 - ▶ Children's suppositories weigh about 1 gm.
 - b. Vaginal suppositories or Pessaries weigh about 3–5gm and are molded in globular or oviform shape or compressed on a tablet press into conical shapes.

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- c. Urethral suppositories called bougies are pencil shape. Those intended for males weigh 4 gm each and are 100–150 mm long.
- ▶ those for females are 2 gm each and 60–75 mm in length.
- d. Nasal suppositories: called nasal bougies or buginaria meant for introduction in to nasal cavity.
- ▶ They are prepared with glycerogelatin base.
 - ▶ They weigh about 1 gm and length 9–10 cm.

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e. Ear cones:

- ▶ Aurinaria and meant for introduction into ear.
 - ▶ Rarely used
 - ▶ Theobroma oil is used as base.
 - ▶ Prepared in urethral bougies mould and cut according to size.
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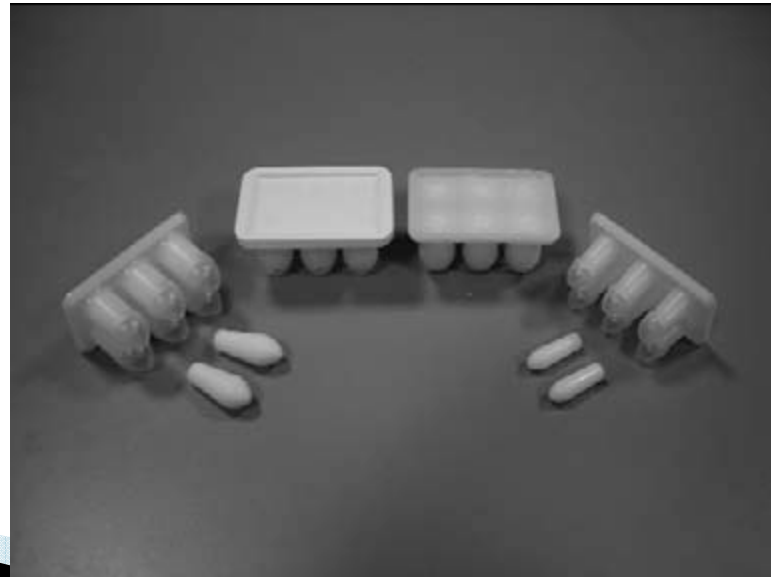
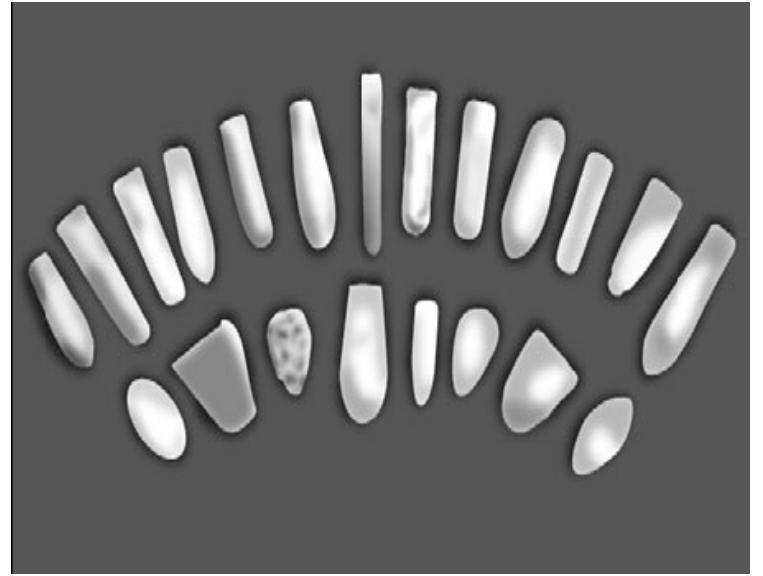
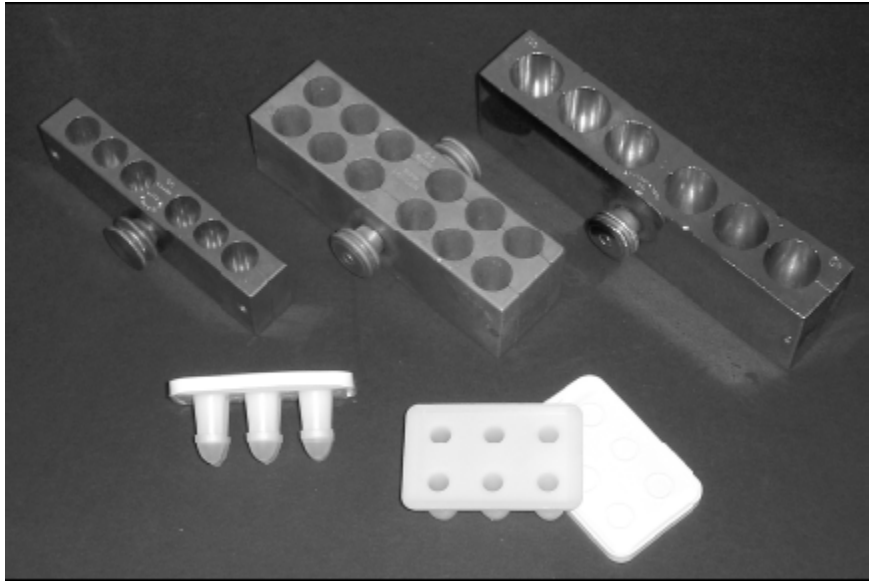
ADVANTAGES:

- _ Can exert local effect on rectal mucosa.
- _ Used to promote evacuation of bowel.
- _ Avoid any gastrointestinal irritation.
- _ Can be used in unconscious patients (e.g. during fitting).
- _ Can be used for systemic absorption of drugs and avoid first-pass metabolism.
- Babies or old people who cannot swallow oral medication.
- Post operative people who cannot be administered oral medication.
- People suffering from severe nausea or vomiting.

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▶ DISADVANTAGES OF SUPPOSITORIES:

- The problem of patient acceptability.
- Suppositories are not suitable for patients suffering from diarrhea.
- In some cases the total amount of the drug must be given will be either too irritating or in greater amount than reasonably can be placed into suppository.
- Incomplete absorption may be obtained because suppository usually promotes evacuation of the bowel.



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SUPPOSITORIES:

British Pharmacopoeia (BP) definition:

“Suppositories are solid, single-dose preparations. The shape, volume and consistency of suppositories are suitable for rectal administration.”



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- ▶ Pessaries are a type of suppository intended for vaginal use.
- ▶ The larger size moulds are usually used in the preparation of pessaries such as 4 g and 8 g moulds.
- ▶ Pessaries are used almost exclusively for local medication, the exception being prostaglandin pessaries that have a systemic effect.

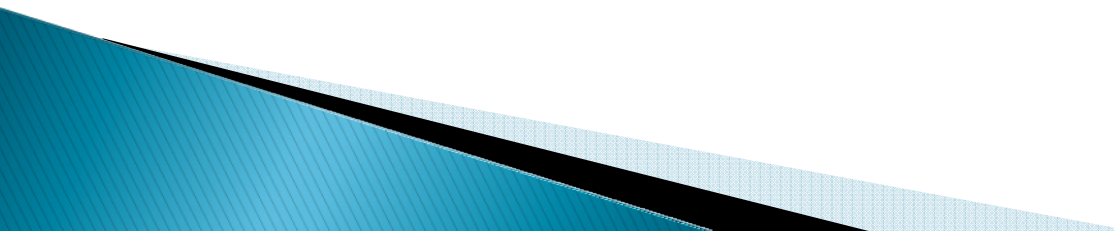


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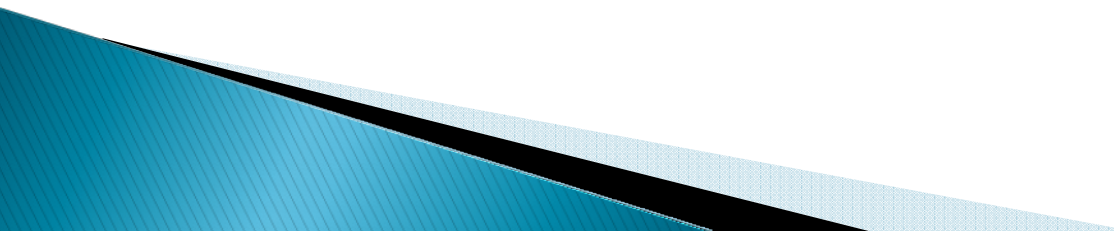
▶ *British Pharmacopoeia (BP) definition:*

“ Pessaries are solid, single-dose preparations. They have various shapes, usually ovoid, with a volume and consistency suitable for insertion into the vagina. They contain one or more active substances dispersed or dissolved in a suitable bases that may be soluble or dispersible in water or may melt at body temperature. Excipients such as diluents, adsorbents, surface-active agents, lubricants, antimicrobial preservatives and colouring matter, authorised by the competent authority may be added if necessary.”

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- ▶ Pessaries
 - ▶ Common ingredients for inclusion in pessaries for local action include:
 - ▶ antiseptics
 - ▶ contraceptive agents
 - ▶ local anaesthetics
 - ▶ various therapeutic agents to treat trichomonal, bacterial and monilial infections.
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IDEAL SUPPOSITORY BASE:

1. Melts at body temperature or dissolves in body fluids.
2. Non-toxic and non-irritant.
3. Compatible with any medicament.
4. Releases any medicament readily.
5. Easily moulded and removed from the mould.
6. Stable to heating above the melting point.
7. Easy to handle.
8. Stable on storage.

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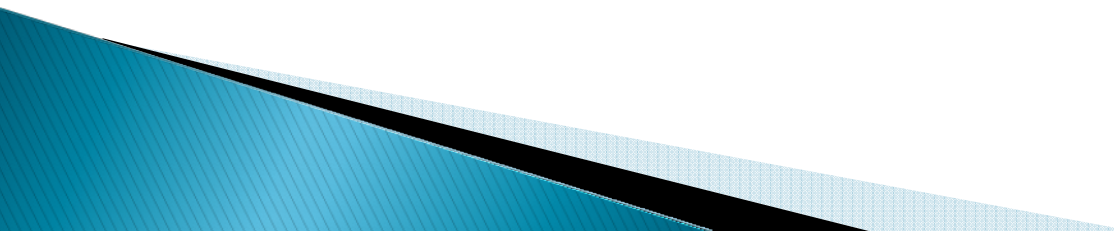
I FATTY BASES: designed to melt at body temperature.

1 – Theobroma oil (Cocoa butter)

- ▶ It is a yellowish–white solid with an odour of chocolate and is a mixture of glyceryl esters of different unsaturated fatty acids.

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▶ Advantages:

- a– A melting range of 30 – 36°C (solid at room temperature but melts in the body).
 - b– Readily melted on warming, rapid setting on cooling.
 - c– Miscible with many ingredients.
 - d– Non-irritating.
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- Disadvantages:
- a- Polymorphism:
 - When melted and cooled it solidifies in different crystalline forms, depending on the temperature of melting, rate of cooling and the size of the mass.
 - If melted at not more than 36°C and slowly cooled it forms stable beta crystals with normal melting point.
 - If over-heated then cooled it produce unstable gamma crystals which melt at about 15°C or alpha crystals melting at 20°C.
- Cocoa butter must be slowly melted over a warm water bath to avoid the formation of the unstable crystalline form.

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- b– Adherence to the mould:
- c– Softening point too low for hot climates.
- d– Melting point reduced by soluble ingredients:
Phenol and chloral hydrate have a tendency to lower the melting point of cocoa butter.– So, solidifying agents like beeswax (4%) may be incorporated to compensate for the softening effect of the added substance.
- e– Rancidity on storage:
- f– Poor water–absorbing ability: Improved by the addition of emulsifying agents.
- g– Leakage from the body:
- h– Expensive

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SYNTHETIC HARD FAT:

– For example: Suppocire, witepsol.

Advantages:

- a– Their solidifying points are unaffected by overheating.
- b– They have good resistance to oxidation because of the lower content of unsaturated fatty acids.
- c– The difference between melting and setting points is small. Hence they set quickly, the risk of sedimentation of suspended ingredients is low.

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- d- They are marketed in a series of grades with different melting point ranges, which can be chosen to suit particular products and climatic condition.
- e- They contain a proportion of w/o emulsifying agents, and therefore, their water-absorbing capacities are good.
- f- No mould lubricant is necessary because they contract significantly on cooling.

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Disadvantages:

- a– Brittle if cooled rapidly, avoid refrigeration during preparation.
- b– The melted fats are less viscous than theobroma oil. As a result greater risk of drug particles to sediment during preparation lack of uniform drug distribution give localized irritancy.

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- ▶ II Water-soluble and water-miscible bases:
- ▶ 1 – Glycero-gelatin:



- ▶ The commonest is Glycerol suppositories base B.P., which has 14% w/w gelatin, and 70% w/w glycerol & water Q.S. to 100%.
- ▶ The glycerol-gelatin base U.S.P. consisted of 20% w/w gelatin, and 70% w/w glycerol & water Q.S. to 100%.

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DISADVANTAGES:

- a- **A physiological effect:** osmosis occurs during dissolving in the mucous secretions of the rectum, producing a laxative effect.
- b- **Can cause rectal irritation** due to small amount of liquid present.
- c- **Unpredictable solution time.**
- d- **Hygroscopic:** So, they should be packaged in tight containers and also have dehydrating effects on the rectal and vaginal mucosa leading to irritation.
- e- **Microbial contamination** likely.
- f- **Long preparation time.**
- g- **Lubrication of the mould** is essential.



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2– Macrogols (polyethylene glycols):

- Polyethylene glycols are polymers of ethylene oxide and water, prepared to various chain lengths, molecular weights, and physical states.
- The numerical designations refer to the average molecular weights of each of the polymers.
- Polyethylene glycols (PEGs) having average molecular weights of 300, 400, and 600 are clear, colorless liquids, while those with molecular weights of 600–1000 are semisolids.
- Those having average molecular weights of greater than 1000 are wax-like, white solids with the hardness increasing with an increase in the molecular weight.

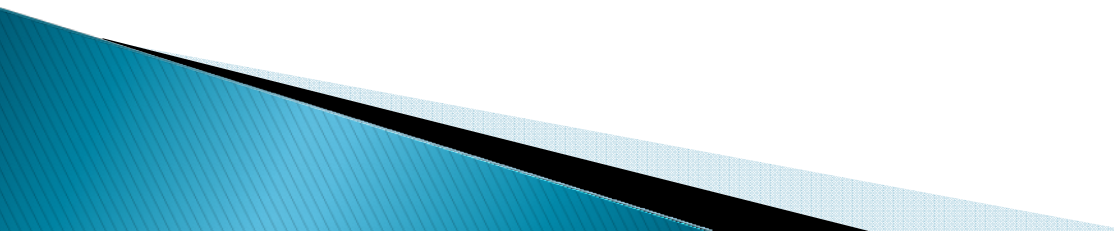
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- ▶ These polyethylene glycols can be blended together to
- ▶ produce suppository bases with varying: melting points, dissolution rates and physical characteristics.
- ▶ Drug release depends on the base dissolving rather than melting.
- ▶ The melting point is often around 50°C.
- ▶ Higher proportions of high molecular weight polymers
- ▶ produce preparations which release the drug slowly and are also brittle.

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- ▶ **Preparation of suppositories:**
- ▶ Suppositories are prepared by four methods:
 - I Hand moulding:
 - Hand molding is useful when we are preparing a small number of suppositories:
 1. The drug is made into a fine powder.
 2. It is incorporated into the suppository base by kneading with it or by trituration in a mortar.
 3. The kneaded mass is rolled between fingers into rod shaped units.
 4. The rods are cut into pieces and then one end is pointed.

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- ▶ II Compression molding:
 - ▶ 1. The cold mass of the base containing the drug is compressed into suppositories using a hand operated machine.
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- ****Advantages:**
 1. It is a simple method.
 2. It gives suppositories that are more elegant than hand moulded suppositories.
 3. In this method sedimentation of solids in the base is prevented.
 4. Suitable for heat labile medicaments.
- ****Disadvantages:**
 1. Air entrapment may take place.
 2. This air may cause weight variation.
 3. The drug and/or the base may be oxidized by this air.

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▶ III Pour moulding:

– Using a supp. mould which is made of metal or plastic. Traditional metal moulds are in two halves which are clamped together with a screw.

▶ Steps:

1. The base is melted and precautions are taken not to overheat it.
2. The drug is incorporated in it.
3. The molten liquid mass is poured into chilled(lubricated if cocoa butter or glycrogelatin is the base)molds.
4. After solidification the cone shaped suppositories

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- ▶ Lubricating the cavities of the mould is helpful in producing elegant suppositories and free from surface depression.
 - The lubricant must be different in nature from the suppository base, otherwise it will be become absorbed and will fail to provide a buffer film between the mass &the metal.
 - The water soluble lubricant is useful for fatty bases while the oily lubricant is useful for water soluble bases.
 - The lubricant should be applied on a pledget of gauze or with fairly stiff brush.

LUBRICANTS FOR USE WITH SUPPOSITORY BASES:

Base

- Theobroma oil
- Glycerol-gelatin base

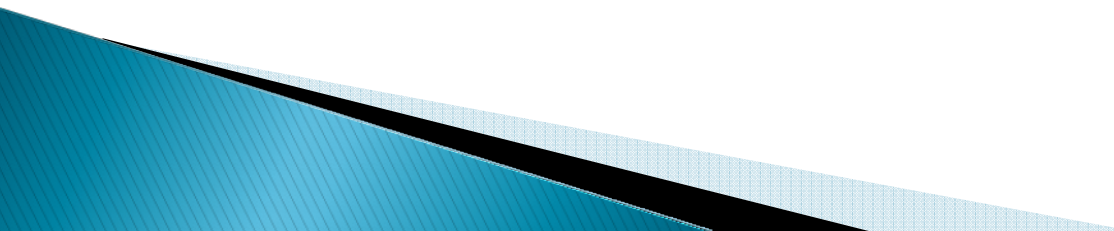
Lubricant

- Soap spirit
- liquid paraffin

No lubricant required

- Synthetic fats
- Macrogols

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- ▶ IV Automatic Moulding machine:
 - ▶ All the operations in pour moulding are done by automatic machines. Using this machine, up to about 10,000 suppositories per hour can be produced.
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- ▶ **Packaging and storage:**
- ▶ –Suppositories are usually packed in tin or aluminium, 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.

