

PHARMACEUTICS-I (BP103T)

UNIT: 4 (Suppositories, Pharmaceutical incompatibilities)

CLASS:1

TOPIC: Suppositories**Definition**

“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 avoids 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 paediatric 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.

Dis-advantages

- Irritant drug can't administer.
- Embarrassment to patients.
- Need to store at low temp.
- Can't 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.

Recent trends

1. Tablet Suppositories
2. Layered Suppositories
3. Coated Suppositories
4. Capsule Suppositories

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.

Coated suppositories

In that type of suppositories contains polyethylene glycol, cetyl alcohol etc. Those materials control 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 types of capsules are increasing in popularity.

Suppository bases

Suppository bases plays important role in maintaining their shape, solidity & also play important role when inserted into the body cavity. There are large number of bases used but theobroma oil, glycerol-gelatin base & polyethylene glycol fulfil the above-mentioned requirements.

Ideal properties of suppository base

It must retain the shape and size.

It should melt at body temperature.

It should be non-irritant.

It should shrink sufficiently to remove from mould.

It should not interfere in release or absorption of drug.

It should permit incorporation of drug.

It should be compatible with variety of drugs.

It should be physically stable on storage.

It should not be softened or harden on storage.

Suppository bases

Oily Bases or Oleaginous bases

Cocoa butter or Theobroma Oil.

- Cocoa butter is fat obtained from the roasted seed of Theobroma cocoa.
- At room temperature it is a yellowish, white solid having a faint, agreeable chocolate like odour.
- Chemically, it is a triglyceride (combination of glycerine and one or different fatty acids) primarily of oleopalmitostearin and oleodistearine.
- It melts at 30 - 35°C

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

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.

Water Soluble & Water miscible bases Or Hydrophilic bases

Glycero-gelatin base

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.

Advantages

- It melts at body temperature.
- It mixes 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.

Soap-glycerin base

In glycero-gelatin suppositories the gelatin is replaced with sodium stearate or curd soap.

Polyethylene glycol

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.

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

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.

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.

Massuppol

It consists of glyceryl esters mainly of lauric acid to which small amount of glyceryl monostearate has been added to improve its water absorbing capacity.

2 MARKS QUESTIONS

1. Define suppository.
2. What are the different types of suppositories.
3. Mention the ideal properties of suppository base.

5 MARKS QUESTIONS

1. Write a short note on fatty bases.
2. Give a detailed note on hydrophilic bases.
3. Write about synthetic bases.

10 MARKS QUESTIONS

1. Give the ideal properties of suppository base. Write briefly about different types of suppository bases.