SUPPOSITORIES

DEFINITION:

Suppositories are solid dosage forms intended for insertion into body orifices where they melt, soften or dissolve and exert a local or systemic effect.

USES:

The suppository may be ideally used in:

1- Babies or old people who cannot swallow oral medication.

2- Post operative people who cannot be administered oral medication.

3- People suffering from severe nausea or vomiting.

4- Drugs inactivated by the pH or enzymatic activity of the stomach or intestine.

5- Drugs irritating to the stomach.

6- Drugs destroyed by portal circulation.

PROPERTIES:

The properties of an 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 molded and removed from the mould.

6- Stable to heating above the melting point.

7- Easy to handle.

8- Stable on storage.

TYPES:

1. Rectal suppositories
2. Vaginal suppositories
3. Urethral suppositories

SUPPOSITORY BASES:

Suppository bases are classified according to their physical characteristics into:

I. **Fatty bases:** designed to melt at body temperature.

1- Theobroma oil (Cocoa butter)

2- Synthetic hard fat

II. **Water-soluble and water-miscible bases:**

1- Glycero-gelatin

2- Macrogols (polyethylene glycols)

3- Soap glycerin

PREPARATION OF SUPPOSITORIES:

Suppositories are prepared by four methods:

- Hand molding
- Compression molding
- Pour molding
- Automatic molding machine

PROBLEMS IN FORMULATION:

I. Water in suppositories:
- oxidation of fats
- the drugs crystallize out

II. Hygroscopicity

III. Drug-excipient interactions

IV. Viscosity

V. Brittleness

QUALITY CONTROL OF SUPPOSITORIES:

1- Appearance:

This includes odor, color, surface condition and shape.

2- Weight Uniformity:

- Weigh 20 suppositories individually; w1, w2, w3….w20
- Weigh all the suppositories together = W.
- Calculate the average weight = W/20.

- Limit: Not more than 2 suppositories differ from the average weight by more than 5%, and no suppository differs from the average weight by more than 10%.

3- Melting range test:

The melting range test determines the time taken by an entire suppository to melt when it is immersed in a constant temperature bath at 37°C. The experiment is done by using the USP Tablet Disintegration Apparatus.

The suppository is completely immersed in the constant temperature water bath, and the time for the entire suppository to melt or disperse in the surrounding water is measured.

The suppository is considered disintegrated when:

a) It is completely dissolved or

b) Dispersed into its component part.

c) Become soft "change in shape" with formation of core which is not resistant to pressure with glass rod.

4- Liquefaction Time or Softening Time Test:

In this test a U tube is partially immersed in a constant temperature bath and is maintained at a temperature between 35 to 37°C.There is a constriction in the tube in which the suppository is kept and above the suppository, a glass rod is kept. The time taken for the glass rod to go through the suppository and reach the constriction is known as the liquefaction time or softening time.

Another apparatus is there for finding "softening time" which mimics in vivo conditions. It uses a cellophane tube, and the temperature is maintained by water circulation. Time taken for the suppository to melt is noted.

5- Breaking Test (Hardness):

The breaking test is designed as a method for measuring the fragility or brittleness of suppository. The suppository is placed in the instrument.

Add 600 g; leave it for one min. (use a stop watch). If not broken, add 200 g every one min. until the suppository is broken.

Calculations: The hardness of the suppository is calculated by adding the weights together. But if the suppository is broken before the end of the last min. the last weight is canceled.

6- Dissolution test:

By using different types of apparatus such as wire mesh basket, or dialysis tubing is used to test for in vitro release from suppositories.

7- Stability testing:

Cocoa butter suppositories on storage “bloom”; i.e., they form a white powdery deposit on the surface. This can be avoided by storing the suppositories at uniform cool temperatures and by wrapping them in foils.

Fat based suppositories harden on storage, i.e., there is an upward shift in melting range due to slow crystallization to the more stable polymorphic forms of the base.

The softening time test and differential scanning calorimetry can be used as stability indicating test methods.

If we store the suppositories at an elevated temperature, just below its melting range, immediately after manufacture, the aging process is speeded up.