

Suppositories

Suppositories

Definition

- Suppositories are solid dosage forms intended for insertion into body cavities, such as the rectum, vagina, or urethra, where they melt, soften, or dissolve to release the active pharmaceutical ingredient(s).
- Suppositories are typically made from a base material that is either lipophilic (fat-soluble) or hydrophilic (water-soluble).



Types of suppositories:



1. Rectal Suppositories

- These are the most common type of suppositories and are intended for insertion into the rectum.
- They are usually cone- or torpedo-shaped and are used for local or systemic drug delivery.

2. Vaginal Suppositories (Pessaries)

- These suppositories are inserted into the vagina and used to treat local infections or to deliver hormones for contraceptive purposes.
- They are usually oval or globular in shape.

3. Urethral Suppositories

- These are less common and are intended for insertion into the male or female urethra.
- They are typically used for local anaesthesia or the treatment of erectile dysfunction.

Advantages of suppositories:

1. Bypass first-pass metabolism:

- Drugs administered via suppositories can avoid first-pass metabolism in the liver, which may improve bioavailability for certain drugs.

2. Alternative route for patients with difficulty swallowing:

- Suppositories are useful for patients who have difficulty swallowing oral medications, such as young children, the elderly, or those with swallowing disorders.

3. Suitable for unconscious or nauseous patients:

- Suppositories can be administered to patients who are unconscious or experiencing nausea and vomiting, making them a practical alternative to oral medications.

4. Local treatment:

- Suppositories can deliver drugs directly to the site of action for local treatment, such as treating haemorrhoids or vaginal infections.

Disadvantages of suppositories:

1. Patient acceptability:

- Some patients may be reluctant to use suppositories due to the invasive nature of the administration route or cultural factors.

2. Limited drug absorption:

- The absorption of certain drugs may be limited or erratic in the rectal or vaginal mucosa, which can affect their efficacy.

3. Melting and leakage:

- Suppositories can melt or leak at body temperature, causing discomfort and messiness.

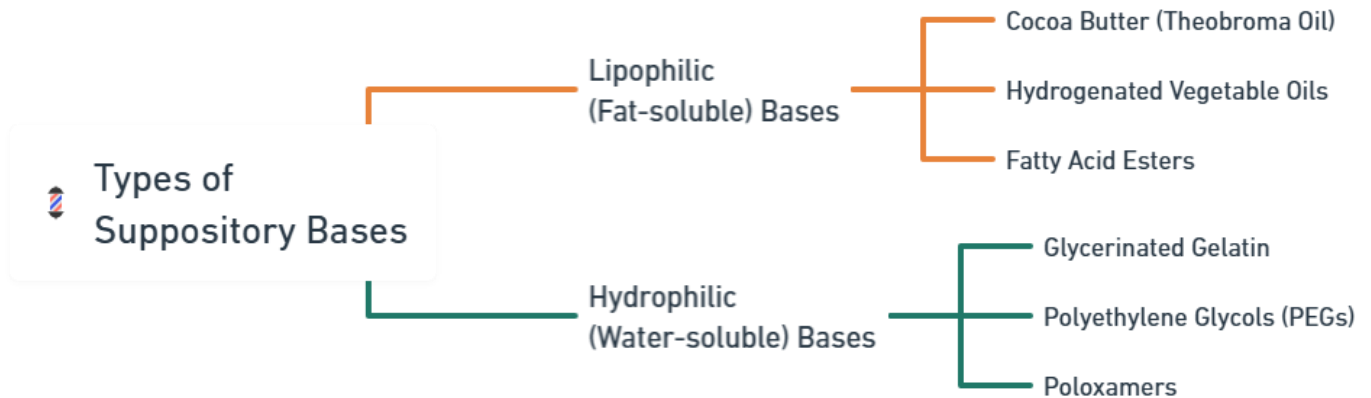
4. Incompatibility with certain drugs:

- Some drugs may be incompatible with suppository bases or may have poor stability at the melting point of the base.

Types of Suppository bases

- Suppository bases are vehicles that carry the active ingredients in suppositories.

- They are classified into two main categories: **lipophilic (fat-soluble)** and **hydrophilic (water-soluble)**.
- The choice of base depends on factors such as drug solubility, stability, and release characteristics.



1. Lipophilic Bases

A. Cocoa Butter (Theobroma Oil)

- A natural fat from cocoa beans, widely used in suppositories.
- Melts at 34-36°C, just below body temperature, releasing the drug upon insertion.
- Primarily used for rectal suppositories, but also suitable for vaginal use.

B. Hydrogenated Vegetable Oils

- Examples: palm kernel oil, cottonseed oil.
- Similar melting points to cocoa butter but may offer better stability and drug compatibility.

C. Fatty Acid Esters

- Examples: glyceryl monostearate, glyceryl monopalmitate.
- Synthetic bases with varying melting points, chosen for specific drug release profiles.

2. Hydrophilic Bases

A. Glycerinated Gelatin

- A mixture of gelatin and glycerin (1:2 ratio).
- Absorbs water, swells, and releases the drug.
- Suitable for vaginal and urethral suppositories.

B. Polyethylene Glycols (PEGs)

- Water-soluble polymers available in various molecular weights.
- Dissolve or erode in body fluids, releasing the drug.
- Used for flexible control of melting point and drug release.

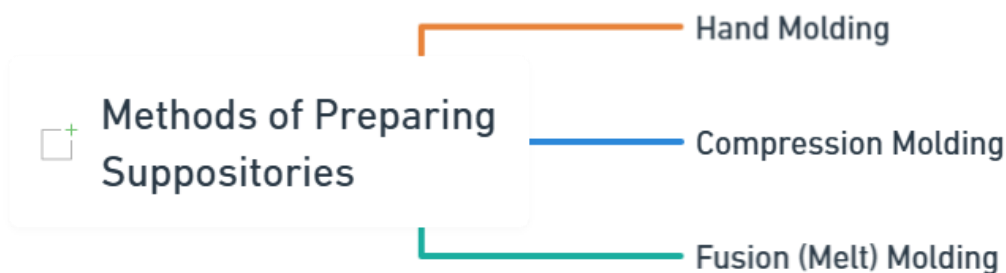
C. Poloxamers

- Nonionic surfactants with thermoreversible gelation (gel at body temperature).
- High water content, ideal for vaginal or rectal use.

Methods of preparations suppositories

- Several methods exist for preparing suppositories, each with pros and cons.
- The choice of method depends on factors like the base type, drug solubility, stability, and available equipment.

Below are the main methods:



1. Hand Molding

- Used for small-scale preparation in pharmacy settings.
- Involves manually shaping the suppository.

Steps:

- Melt the suppository base in a water bath.
- Mix in the active ingredient evenly.
- Let the mixture cool to a moldable consistency.
- Shape the suppository manually using a spatula or mold.
- Allow to harden before packaging or use.

2. Compression Molding

- Ideal for large-scale production and works with both lipophilic and hydrophilic bases.
- Involves compressing the mixture into molds using a machine.

Steps:

- Mix the active ingredient with the suppository base in powder or granule form.
- Load the mixture into a compression machine.
- Compress the mixture into molds.
- Remove and package the suppositories.

3. Fusion (Melt) Molding

- The most common method, suitable for lipophilic bases.
- Involves pouring molten mixture into molds.

Steps:

- Melt the suppository base.
- Incorporate the active ingredient evenly.
- Pour the molten mixture into a mold, avoiding air bubbles.
- Allow to cool and harden.
- Remove from the mold and package.

Displacement value & its calculations

- Displacement value (D.V.) is a crucial concept in the preparation of suppositories, particularly when using the fusion molding method.
- It helps determine the amount of suppository base required to incorporate a specific amount of active pharmaceutical ingredient (API) while maintaining the desired weight of the suppository.

Key Concepts:

- **Displacement Value (D.V.):** The amount of suppository base displaced by a given amount of API.
- It ensures proper dosage and uniformity in prepared suppositories.

Importance of Displacement Value:

- The displacement value is essential for:
 - Calculating the correct amount of suppository base needed.
 - Maintaining the intended weight and dosage of each suppository.
 - Ensuring uniformity across all suppositories.

Calculating the Displacement Value (D.V.):

Formula:

$$D.V. = \frac{\text{Weight of base displaced by the API (B)}}{\text{Weight of API in each suppository (A)}}$$

Information Needed:

1. **Desired weight of each suppository (W)**
2. **Weight of API in each suppository (A)**



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