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Suppositories	عنوان المحاضرة باللغة الانجليزية
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Pharmaceutical Dosage forms and Drug Delivery Systems By Haward A. Ansel; latest edition.	المصادر والمراجع
Sprowel's American Pharmacy.	

### محتوى المحاضرة

#### Introduction

- Suppositories are solid dosage forms intended for insertion into body orifices where they melt, soften, or dissolve and exert local or systemic effects.
- Commonly used: rectally, vaginally, urethrally.
- Deliver both locally and systemically acting medications.

#### Suppository Shapes

- Must be easily inserted without distension, and retained once inserted.
- Rectal suppositories: inserted with fingers; vaginal suppositories may use applicators.

#### Rectal

- Usually ~32 mm long, cylindrical, tapered/bullet/torpedo shaped.

- Weight depends on density of base and medicament.
- Adult ~2 g (with cocoa butter base).
- Pediatric: ~half size, pencil-like.

#### Vaginal

- Globular, oviform, cone-shaped.
- ~5 g with cocoa butter base (varies).

#### Urethral (Bougies)

- Slender, pencil-shaped.
- Male: 3–6 mm diameter, ~140 mm long.
- Female: ~70 mm long, ~2 g.
- Uses: antibacterial, local anesthetic for urethral exams.

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#### Fate of Suppository

- Base melts/softens/dissolves, releasing medicament.
- Acts locally or systemically.
- May act immediately or as sustained/slow-release.
- Example: Morphine sulfate slow-release suppositories (with alginic acid base).

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#### Rectal Drug Delivery

- Venous drainage key to absorption:
  - Lower + middle rectal veins → inferior vena cava → systemic circulation.
  - Upper rectal vein → portal vein → liver.
- Thus, drugs absorbed in lower/middle rectum avoid first-pass metabolism.

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## Local Rectal Suppositories

- Relief of constipation (e.g., glycerin suppositories).
  - Treatment of hemorrhoids/ano-rectal conditions: anesthetics, vasoconstrictors, astringents, analgesics, emollients, protective agents.
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## Local Vaginal Suppositories

- Contraceptives (nonoxynol-9).
  - Antiseptics (feminine hygiene).
  - Anti-trichomonal (*Trichomonas vaginalis*).
  - Antifungals (*Candida albicans*).
  - Anti-infectives/antibiotics.
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## Systemic Effects of Rectal Suppositories

- Rectum/vagina absorb soluble drugs (vaginal rarely systemic).
  - Advantages vs. oral:
    - Avoid gastric pH/enzymes.
    - Avoid gastric irritation.
    - Bypass liver (partially).
    - Useful in vomiting, swallowing difficulty.
  - Examples: prochlorperazine, ondansetron, indomethacin.
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## Rectal Absorption Factors

Divided into:

1. Physiological factors

## 2. Physicochemical factors (drug & base)

### Physiological

- Rectum ~15–20 cm; ~2–3 mL fluid only.
- Abundant vascularization.
- No villi/microvilli.
- Affected by rectal contents (void vs. fecal matter), diarrhea, obstruction, dehydration.
- pH ~7, no buffering.

### Circulation

- Drugs bypass liver via lower hemorrhoidal veins.
- Lymphatic circulation contributes.

### Physicochemical – Drug

- Lipid-water solubility.
- Particle size.
- Amount of drug.
- pKa.

### Physicochemical – Base

- Must melt/soften/dissolve at body temp.
- Hydrophilic vs. lipophilic character.
- Rheological properties.
- Should be non-toxic, inert, stable, emulsifying/wetting, compatible, easily manufactured.

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### Suppository Bases

#### Fatty/Oleaginous Bases

- Cocoa butter (theobroma oil) – melts quickly, emollient.

- Issues: polymorphism, mold adherence, low melting point, low water absorption, stability, cost.
- Other fats: hydrogenated vegetable oils, glyceryl esters.
- Commercial: Fattibase, Wecobee, Witepsol.

#### Water-Soluble/Miscible Bases

- Glycerinated gelatin – prolonged release, vaginal use.
- Disadvantages: hygroscopic, irritant.
- Polyethylene glycols (PEGs) – various MW, dissolve not melt, slow release, stable.
- Disadvantages: hygroscopic, incompatibilities, peroxide formation.

#### Miscellaneous Bases

- Mixtures of fatty + water-soluble (e.g., Polyoxyl 40 stearate).

#### Preparation of Suppositories

1. Molding from a melt (common)
  - Melt base, incorporate medicament, pour into mold, cool, remove.
2. Compression
  - Base + drug mixed, pressed into molds.
3. Hand rolling/shaping (historical).

Molds: stainless steel, aluminum, brass, plastic. Care to avoid scratches.

#### Calculations

- Base required: subtract drug volume from mold volume.
- Displacement value (DV): drug amount that displaces 1 part base.
  - E.g., hydrocortisone DV = 1.5 → 1.5 g drug displaces 1 g base.

- Examples given with codeine phosphate, zinc oxide.
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### Vaginal Suppositories/Inserts

- PEG combinations common, with surfactants + preservatives.
  - Buffered to ~pH 4.5.
  - Vaginal tablets/inserts: more stable, ovoid, with applicator.
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### Packaging & Storage

- Individually wrapped in foil/plastic.
- Light-sensitive → opaque wrap.
- Heat-sensitive → refrigeration (cocoa butter).
- Hygroscopic (glycerinated gelatin, PEG) → protect from moisture.

