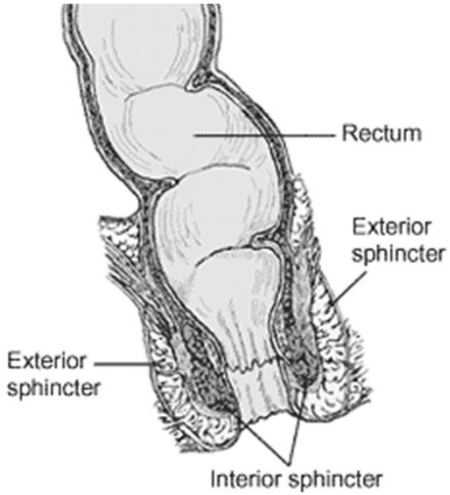
SUPPOSITORIES

Suppositories and Pessaries

Solid dosage forms intended for insertion into **body orifices** where they melt, soften or dissolve and release its active constituents to exert **localized** or **systemic** effects. They consist of the drug which is incorporated into an inert vehicle (base).

•**Drug administration by the rectum** can be used for **local** or **systemic** action. Dosage forms used includes suppositories, tablets, capsules, ointments and enemas.

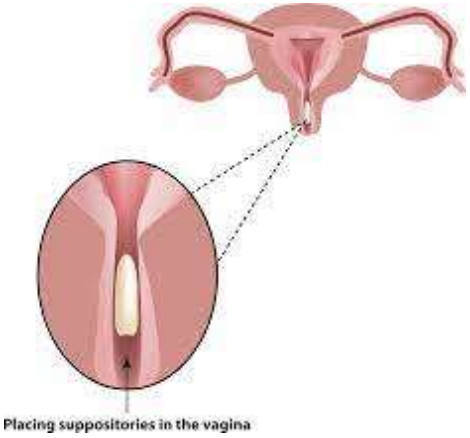


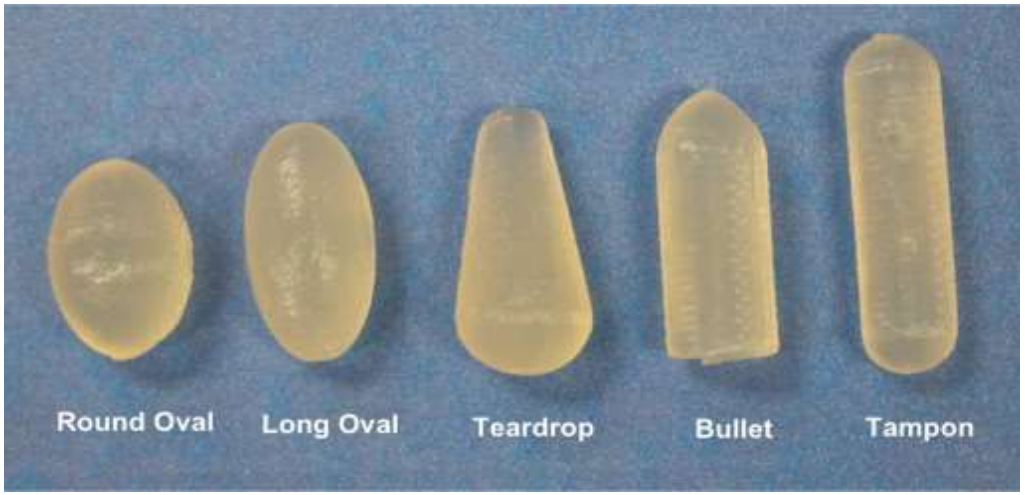
• **Vaginal administration** can be for **local** and

•**systemic** action using dosage forms which

• include pessaries, tablets, capsules, solutions,

• sprays, creams, ointments and foams.





Vaginal Suppository

Rectal Suppository

Types of Suppositories

(According to Route of administration)

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 **3-5**gm and are molded in globular or oviform shape or compressed on a tablet press into conical shapes.

c. **Urethral suppositories** called bougies are pencil shape. Those intended for males weigh 4 gm each and are 100-150 mm long.

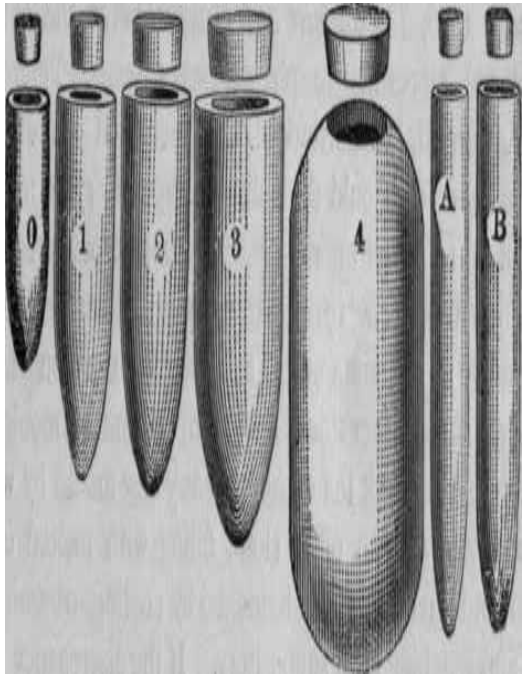
Those for females are 2 gm each and 60-75 mm in length.

d. **Nasal suppositories:** called nasal bougies meant for introduction in to nasal cavity.
- They weigh about 1 gm and length 9-10 cm.

e. **Ear cones:**
- For introduction into ear.
- Rarely used
- Prepared in urethral bougies mould and cut according to size.

Size of suppositories

0	For Children
1,2 & 3	For rectal use
4	Pessaries
A & B	Nasal & urethral bougies



Oral route may not be used due to:

*1. The **patient** is not able to make use of the oral route.*

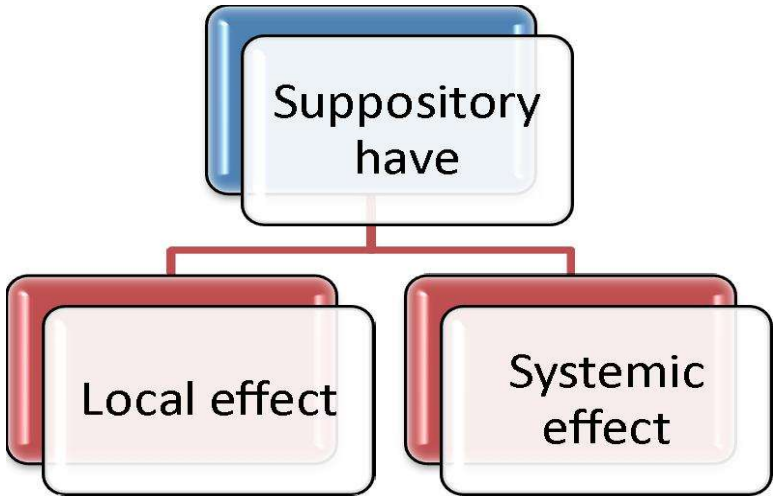
• When the patient have a problem with its GIT.
• When patient is nauseous or is postoperative.
(when they may be unconscious or not able to ingest a drug orally).
• The very young, the very old or the mentally disturbed.

*2. The **drug** is less suited for oral administration.*

• When oral intake results in GIT side-effects;
• The drug may be insufficiently stable:
- at the pH of the GI tract,
- susceptible to enzymatic attack in the GIT.
- during the first pass effect in the liver.
• Drugs with an unacceptable taste.

DISADVANTAGES OF RECTAL ROUTE

- The problem of patient acceptability.
- The slow and sometimes incomplete absorption.
- The development of proctitis and irritation of mucus membrane.
- Problems with the large-scale production of suppositories and the achievement of a suitable shelf-life.



Desired in case of pain and itching, mostly due to occurrence of hemorrhoids.
e.g. of locally active drugs: astringents, antiseptics, local anesthetics, vasoconstrictors, anti-inflammatory compounds and soothing & protective agents, also some laxatives.

Exert by all orally given drugs: Antiasthmatic, antirheumatic & analgesic drugs are very much used for this purpose.

ANATOMY AND PHYSIOLOGY OF THE RECTUM

- Rectal dosage forms are introduced into the body through the anus and are thus brought into the rectum.

- Anatomically the **rectum** is:

- part of the colon.
- forming the last 150-200 mm of the GIT.
- It can be subdivided into :

1. The anal canal.
2. The ampulla: forming approximately 80% of
3. the organ. It is separated from the outside
4. world by a circular muscle, the anus.

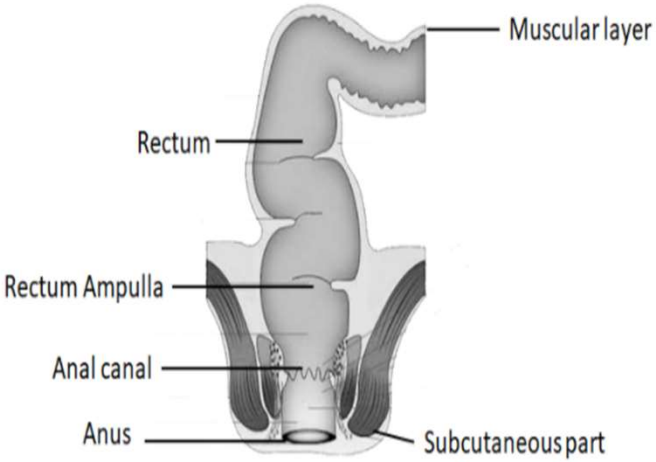
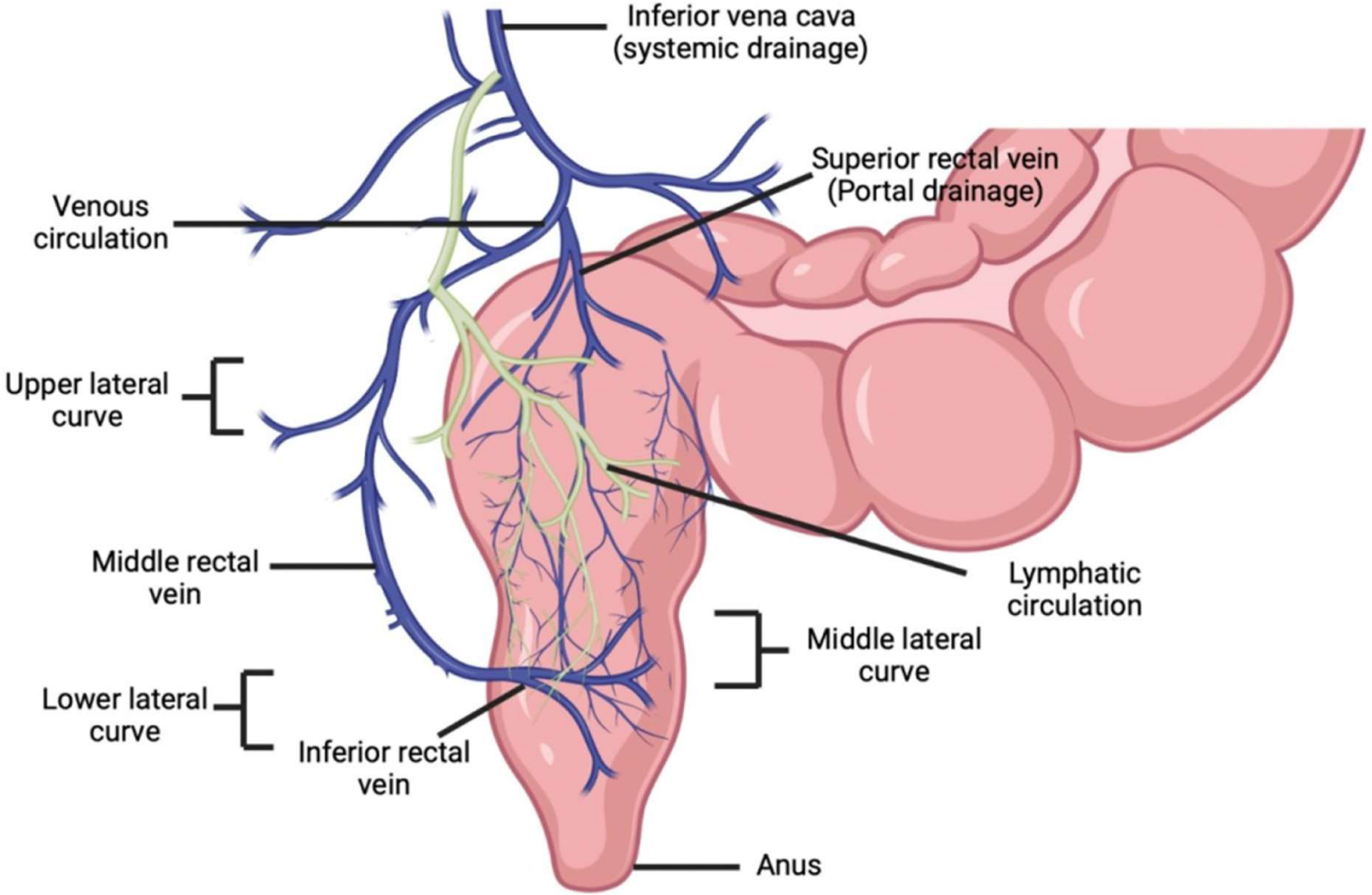


Figure: Structure of rectum


Inferior vena cava
(systemic drainage)
Superior rectal vein
(Portal drainage)
Venous
circulation
Upper lateral
curve
Middle rectal
vein
Lymphatic
circulation
Middle lateral
curve
Lower lateral
curve
Inferior rectal
vein
Anus

• The rectum can be considered as **a hollow organ** with a relatively flat wall surface, without villi , with only three major folds, the rectal valves.

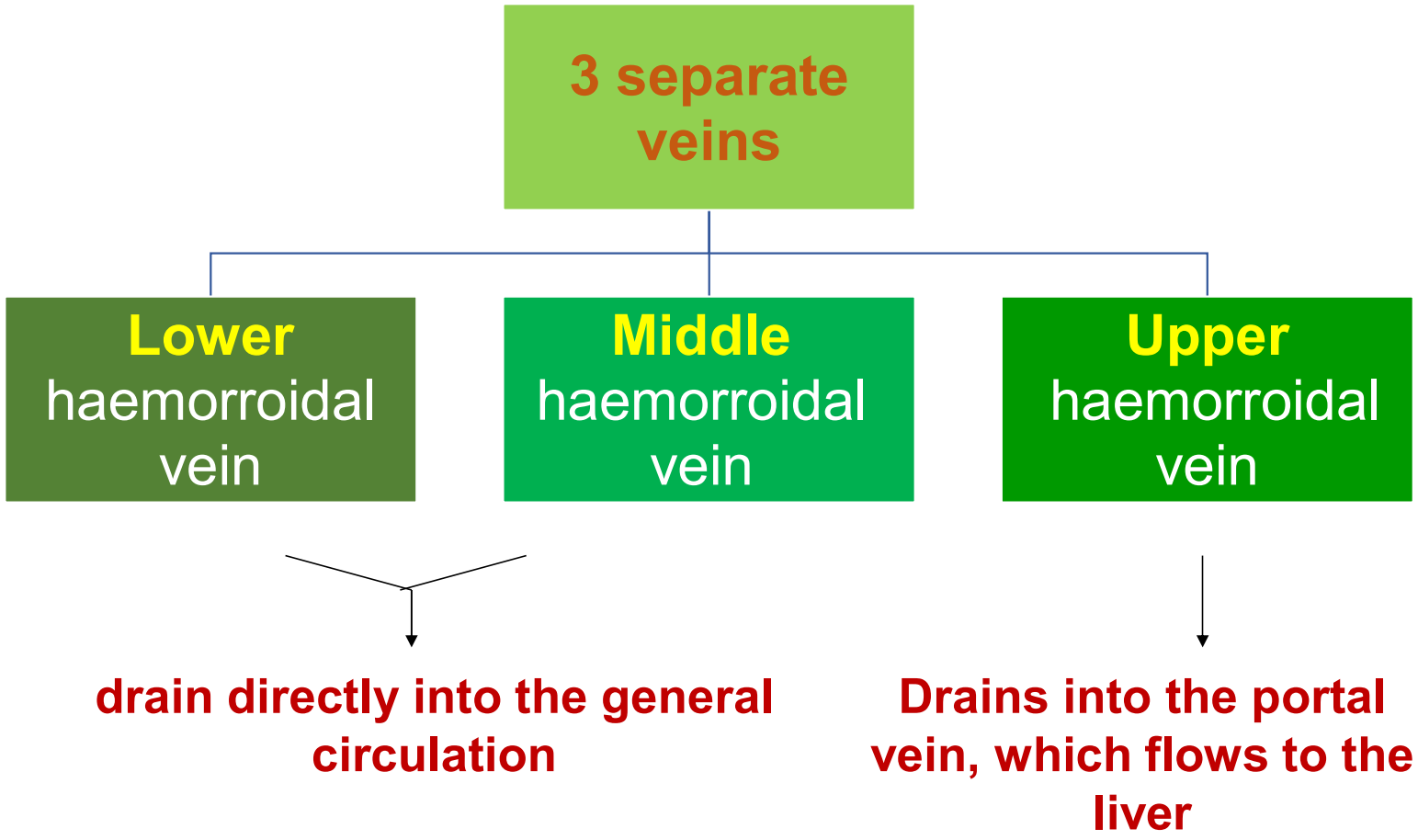
• The rectal wall is formed of epithelium which is one cell layer thick, composed of cylindrical cells and goblet cells which secrete **mucus**.

mucus

• The total volume of is estimated as approximately **3 ml**, spread over a total surface area of about **300 cm^2**.

• The pH of the mucous layer is about **7.5**.

ABSORPTION OF DRUGS FROM THE RECTUM



- So drug molecules can enter the general circulation directly or by passing through the strongly metabolizing liver.
- **Avoiding the first passage through the liver is possible**, but the extent of this effect cannot be generalized, as it will depend on the actual part of the rectum through which the drug is absorbed.
- Thus keeping the drug in the lower part of the rectum would be advisable.

- The absorption process will be :

1. **Passive diffusion process**, (throughout the whole GIT) for almost all drugs absorbed from the rectum.
2. **Active transport processes**, (in the upper regions of the GIT), have not been shown to be present in the rectal area.

SUPPOSITORY BASES

- **IDEAL BASE CRITERIA, INCLUDING:**

1. **Melt** at **body temperature** or **dissolve** in body fluids.
2. **Solidify quickly** after melting.
3. Be easily **moulded** and **removed** from the mould.
4. Be chemically **stable** even when molten.
5. **Release** the active ingredient readily.
6. Be easy to **handle**.
7. **Non-toxic** and **non-irritant**.

- There are two groups, the **fatty bases** and the **water-soluble or water-miscible bases.**

1. THE FATTY BASES

A. The naturally occurring theobroma oil (cocoa butter).
B. Synthetic fats.

A. Theobroma oil

- Melting point range of 30-36°C, so readily melts in the body.
- It liquefies easily on heating but also sets rapidly when cooled.
- It is also bland, therefore no irritation occurs.
- However, for a number of reasons the newer synthetic bases have now largely replaced it.

The main technical difficulty: "Polymorphism"

1. The lower melting point **polymorphic forms** of theobroma oil are easily formed.

e.g. The stable **β**-form has a melting point of 34.5°C & is formed after melting at 36°C and slowly cooling. However, if it is overheated, the unstable **α**-form (melting point 23°C) and **γ**-form (melting point 19°C) are produced.

2. The **m.p. is a problem in hot climates** and when it is reduced by the addition of volatile oil and certain oil soluble substances such as camphor, chloral hydrate, creosote and phenol.

• Can be counteracted by adding beeswax (4%).

3. Theobroma oil is prone to **oxidation** due to its unsaturated fatty acid content, which can lead to significant rancidity (may vary from batch to batch).

4. Theobroma oil **tends to stick** to the suppository mould, so the mould must be *lubricated* before use.