Pharmaceutical Technology for 3rd year students 2nd Course, Lec. 2

By:

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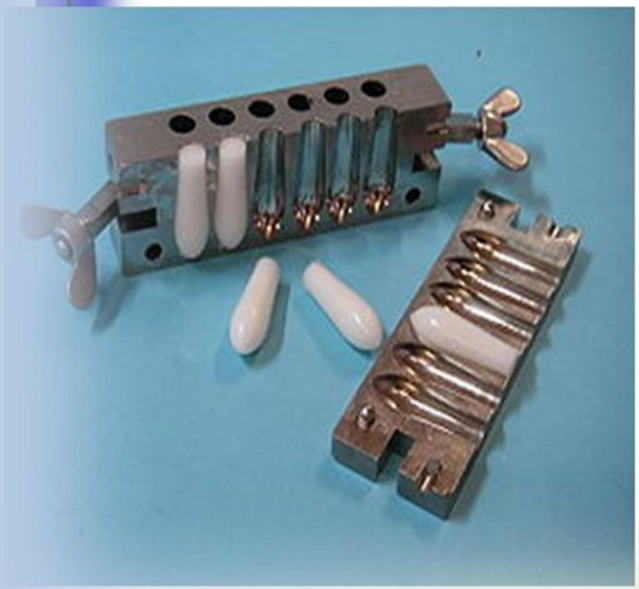

Suppositories and Inserts

Suppository Fate

- ✓Once inserted, the suppository base **melts, softens**, or **dissolves, & distributing** its medicaments to the **tissues** of the region.

A/ LOCAL ACTION

are most frequently used to;

1- relieve **constipation;** A popular laxative, glycerin suppositories promote **laxation** by local irritation of the mucous membranes.

2- or relief the **pain, irritation**, **itching**, and **inflammation** associated with **hemorrhoids** or other **anorectal** conditions.

- ✓ Vaginal suppositories or inserts intended for local effects are employed mainly as;

1- contraceptives,

2- antiseptics in feminine hygiene, and as specific agents to combat an invading pathogen.

- ✓ Most commonly, the drugs used are

1- Nonoxynol-9 for contraception,

2- Trichomonacides to combat vaginitis caused by Trichomonas vaginalis,

B/ SYSTEMIC ACTION

- ✓ Although the rectum is used frequently as the site for the systemic absorption of drugs, the vagina is not as frequently used for this purpose.

:

(a) It protects drugs from being destroyed or inactivated by the effect of pH or enzymatic activity of the stomach or intestines.

(b) Drugs irritating to the stomach may be given without causing such irritation.

(c) Avoid first pass metabolism especially for Drugs that are destroyed by portal circulation.

(d) Such route is convenient for administration of drugs to patients who are unable or unwilling to swallow medication.

(e) It is an effective route in the treatment of patients with vomiting.

- ✓ Examples of drugs administered rectally for their systemic effects are;

(a) Prochlorperazine and chlorpromazine for the relief of nausea and vomiting and as a tranquilizer;

(b) Oxymorphone HCl for opioid analgesia;

(c) ergotamine tartrate for the relief of migraine syndrome;

(d) Indomethacin, a nonsteroidal anti-inflammatory.

ADVANTAGES **VS** *DISADVANTAGES*

1/ Self administration.

2/ Used to promote evacuation of bowel.

3/ Avoid any gastrointestinal irritation.

4/ Can be targeted delivery system

Localized action reduced systemic distribution

– Rectum vagina & urethra poor blood flow

5/ People suffering from severe nausea or vomiting.

1/ The problem of patient acceptability.

2/ Suppositories are not suitable for patients suffering from diarrhea.

✓ Other serious disadvantages are;

1/ They May get absorption when don't want

– e.g. Estrogen creams ⇑absorbed into circulation ⇑Side effects

2/ ,,High cost of manufacture due to;

– Special formulation

– Special packaging

SOME FACTORS OF DRUG ABSORPTION FROM RECTAL SUPPOSITORIES

- ✓ The ***dose*** of a drug administered rectally may be ***greater*** than or ***less than*** the dose of the same drug given orally, depending on such factors as;

1/the constitution of the patient,

2/the physicochemical nature of the drug

The factors that affect rectal absorption of a drug may be divided into two main groups:

(a)physiologic factors and

(b) physicochemical factors of the drug and the base.

PHYSIOLOGIC FACTORS

The human rectum is approximately 15-20 Cm long. When empty of fecal material, the rectum contains only 2 to 3 mL of inert mucous fluid.

In the resting state, the rectum is not motile; there are no villi or microvilli on the rectal mucosa. However, there is abundant vascularization of the submucosal region of the rectum wall with blood and lymphatic vessels.

2- Circulation Route

- ✓ Drugs absorbed rectally, bypass the portal circulation during their first pass into the general circulation, The lower hemorrhoidal veins surrounding the colon receive the absorbed drug and initiate its circulation throughout the body, bypassing the liver.

3- pH and Lack of Buffering Capacity of the Rectal Fluids;

- ✓ The suppository base has a marked influence on the release of active constituents. While cocoa butter melts rapidly at body temperature, because of its immiscibility with fluids, it fails to release fat-soluble drugs readily.