ADMINISTRATION ROUTES AND DOSAGE FORMS

by

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Objectives

- **Route of administration (features, advantages and disadvantages)**
  - Oral
  - Parental
  - Topical
  - Rectal
  - Vaginal

The choice of the dosage form

1- Desired effect

2-Effect time

3- drug nature

Routes of administration and examples of dosage forms

- **Oral route:**

– solid oral dosage forms (tablets, capsules)

– liquid oral dosage forms (syrups, suspension)

- **Topical route:**

– transdermal drug delivery

– inhalation

– nasal, ophthalmic, and ear drops

–topical for skin disorders: creams, ointments, lotions, gel

Routes of administration and examples of dosage forms

- **Parental route:**

– intramuscular, subcutaneous, intravenous

- **Rectal route:**

– enemas, suppositories

- **Vaginal route:**

– pessaries, fluid solutions (douch), creams.

Oral route

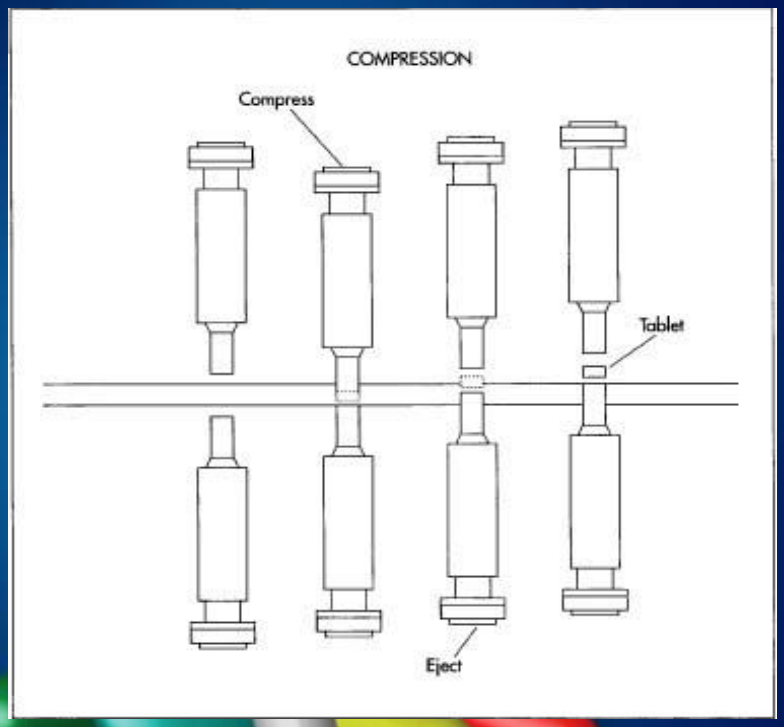
Advantages

- Simple, convenient (most common 75%)
- Self-administration possible.

Disadvantages

- Irregular absorption from GIT (e.g. due to food)
- Drugs destroyed by acid or enzymes in GIT
- Limitations when patient is unconscious, vomiting or in pre-/post-operative patients.

Tablets



- **Traditional :**

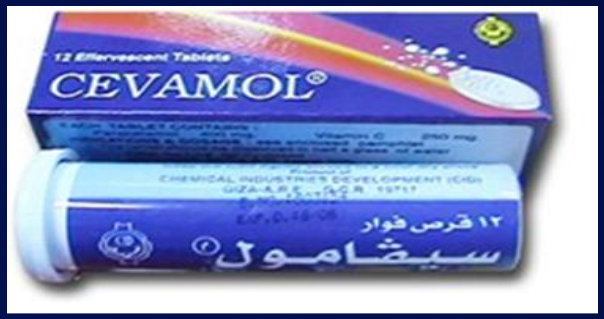
  It comprises a mixture of active substances and excipients, usually in powder form, pressed or compacted from a powder into a solid dose.

Tablets

- **Effervescent:**

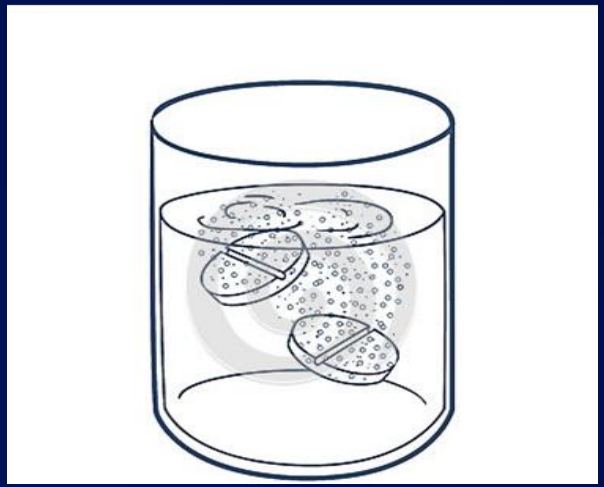
  -No direct swallowing for tablet by mouth

  -Tablets break in contact with water releasing carbon dioxide followed by a froth

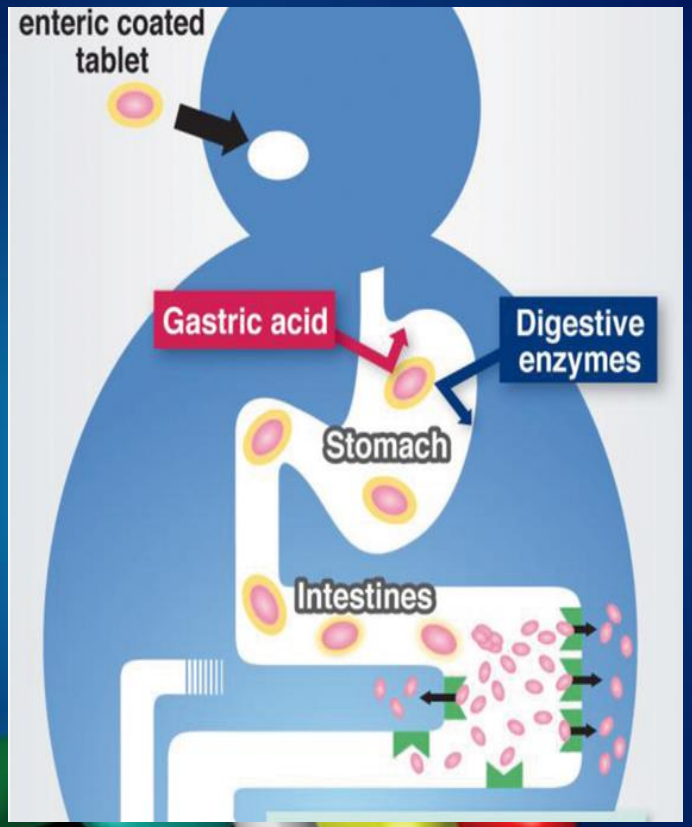


- **Recommendation:**

1. <u>difficult to digest or disruptive to the stomach</u>.
2. Those requiring a <u>large dose</u>.



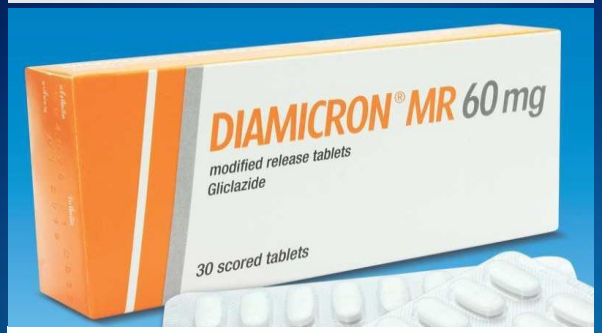
Tablets

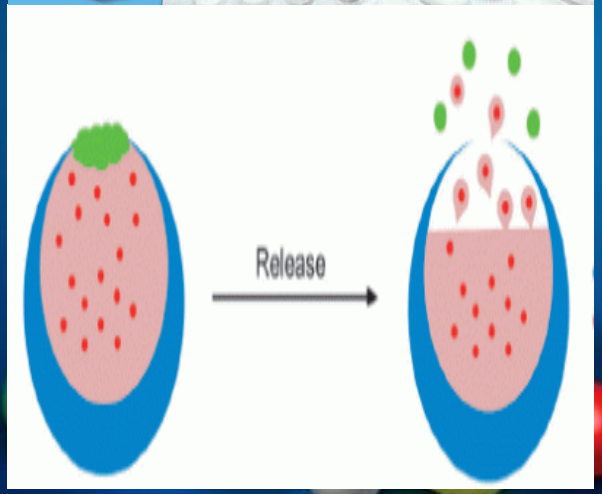


Enteric coated:

- the release of drug is delayed to the intestine not in the stomach
- so that absorption takes place at a later stage in the gastrointestinal tract
- Adv: Avoid drug GIT toxicity and stomach degradation

Tablets





- **<u>Modified release (sustained release):</u>**

the release of drug is extended to achieve sustained plasma drug concentrations

- Adv:

1-decreased requirement for frequent dosing

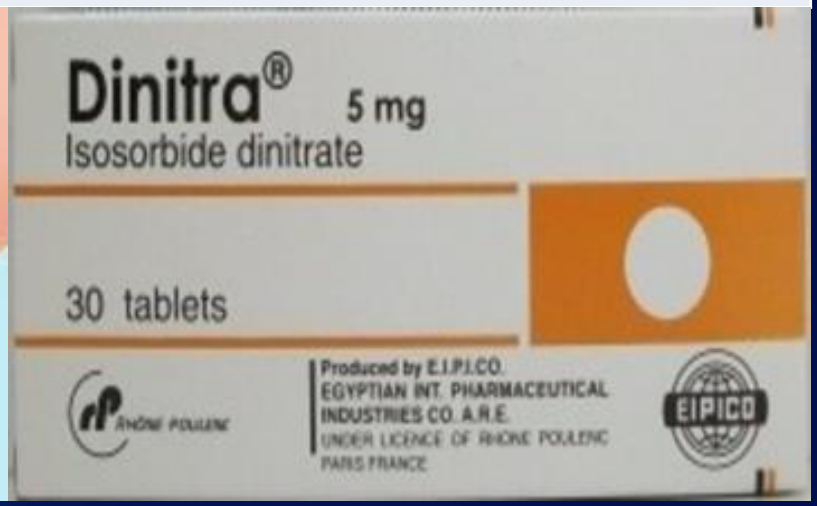
<u>2- constant plasma Concentration</u>

Non-swallowing Tablets

Sublingual Tablets

tablets administered under the tongue (e.g. glyceryl trinitrate)
Drug absorbed from mouth not from stomach or intestine

ADV: **achieve rapid action**
bypass drug metabolism by GIT.



Capsules

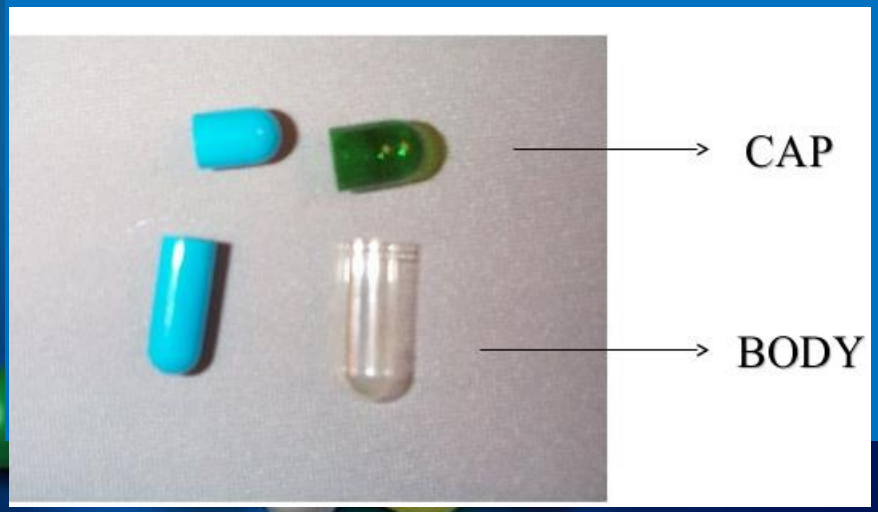
- The drug powder is enclosed in a relatively stable **shell** known as a capsule. So **no disintegration** is required.
- They are **easier to swallow**
- rapid absorption relative to tablet
- Suit **liquid substance** but more costly.

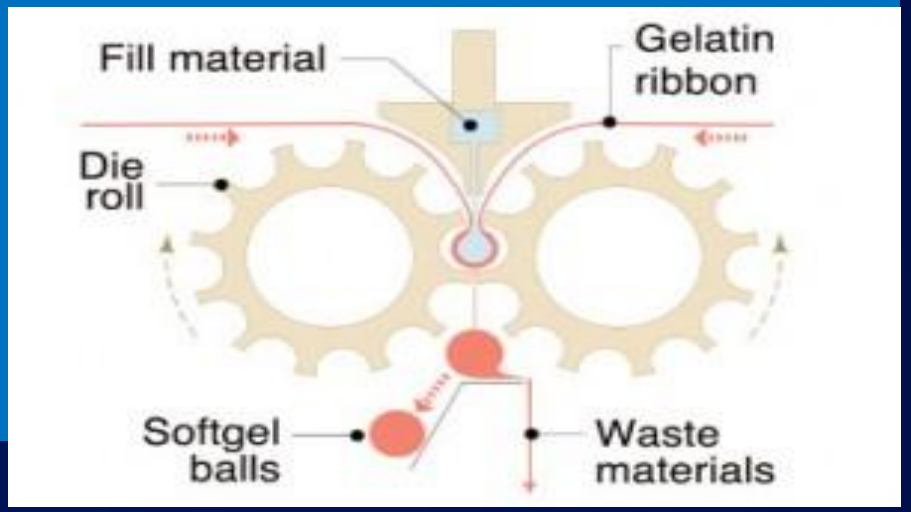
Capsules

- **Types include:**

–hard capsule: consists of two separate components, namely the cap and the body.

-Soft capsule: a unit that is formed from one piece and where the processes of filling and formation of the outer unit are carried out in a single operation for liquid substance Ex: vitamin E



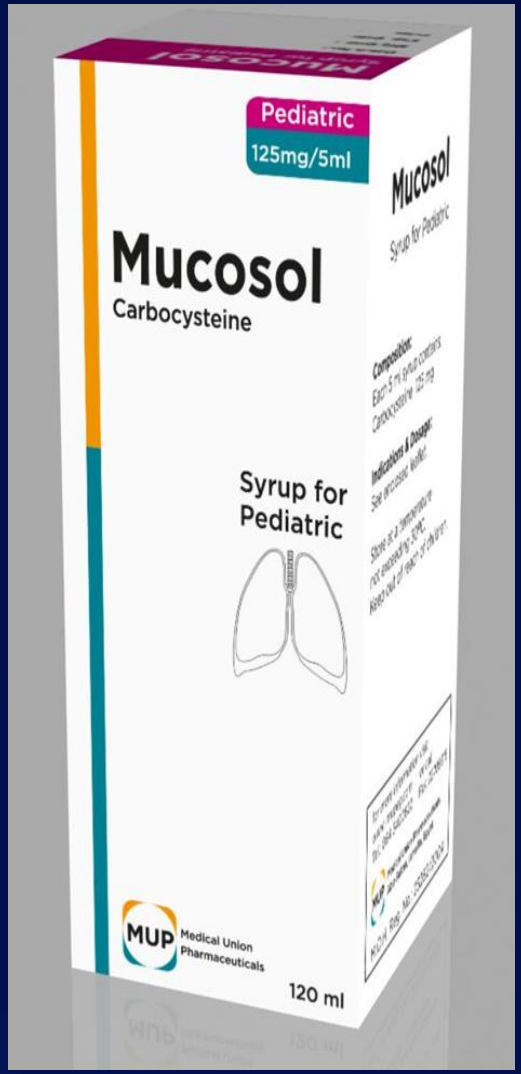


Oral Solutions

- **Oral solution containing one or more active ingredients dissolved in a suitable vehicle.**

The advantages of solutions are that:

1. *** The drug is immediately available for absorption. the dissolution of drug can be bypassed, providing quicker absorption.**
2. *** Flexible dosing is possible.**
3. *** no need to shake the container.**
4. *** They facilitate swallowing in difficult cases (children, elderly).**



The disadvantages of solutions

1-Drug stability is often reduced in solution by hydrolysis .

2-It is difficult to mask unpleasant tastes. Despite, the attempt to mask any unpleasant tastes by the addition of a flavouring, but this will not always be successful.

3-They are **bulky, difficult to transport and prone to breakages**.

4- A measuring device is needed for administration.

5-Some drugs are poorly soluble. So may be unsuitable for some drugs.

Oral suspension

Suspensions are liquid preparation of solid drug particle **dispersed** in liquid system.

The advantages :

Suitable for **insoluble drugs** and for patients who have **difficulty swallowing** tablets or capsules.

drugs in suspension are **chemically more stable** than in solution. Ex: antibiotics

Oral suspension

Disadvantages :

1. physical instability; i.e., settle over time require **shaking the suspension** before each dose is delivered

2. a lack of uniformity of dose.

3. The texture of suspensions may be unpleasant to patients.

Topical route

Advantages

- May be adopted to provide a localized effect
- When routes for systemic effect is unavailable.

Disadvantages

- Some dosage forms require **particular patient advice (inhaler)** to ensure safe and appropriate drug administration
- **Local reactions** may occur as side-effects

Ophthalmic administration

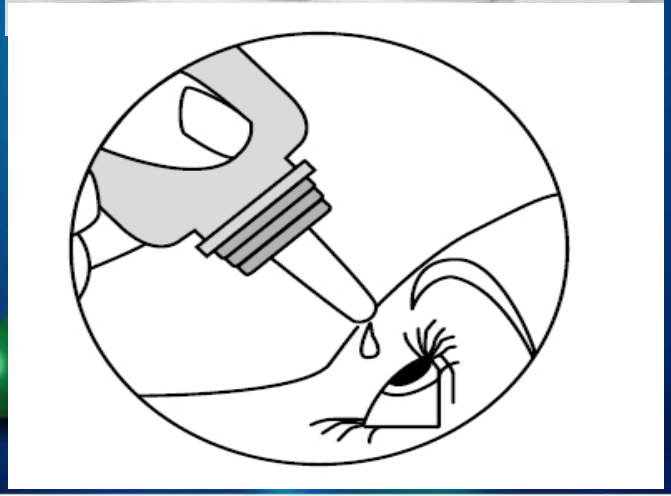
Ophthalmic preparations include:

- **– eye drops**
- **– eye ointments (before bed)**
- **-eye gel (ex: corner gel).**

Preparation must be **sterile** and patient should be advised that once opened product should be discarded within 4 weeks.

Good practice requires that the **opening date is documented on the pack**.

Ophthalmic administration



- Patients require knowledge of the proper technique for application of the product to avoid touching the container against the eye to avoid contamination of the containers.

Otic preparation (ear drop)

- available as ear drop

Patient must <u>remain on his or her side for about 5 minutes</u> to allow formulation to reach inner ear canal.

- Caution when using ear drops if **<u>rupture of eardrum</u>** is suspected.

pull the ear backward and upward

Nasal preparation

- available as drop and spray

Nasal drops: preferred in infants due to better spread with low cost and low formulation problems as opposed to spray.

- Nasal spray: preferred since they are less likely to be associated with postnasal drip of the drug leading to an **bitter taste** but more costly and precaution for intake.

Inhalers

Inhalers present the drug usually as liquid, sometimes as a **powder formulation with propellant**, and are intended for topical application in the respiratory tract. Used in asthma.

Advantages

Provide rapid onset of action and minimal side effects due to topical action.

- **Disadvantages**

<u>Proper technique of administration is required.</u>

High cost of formulation

Topical skin preparation

Creams (semisolid)

- Well absorbed into the skin

common, easily washed, no greasy sensation preferred in skin folds

- relative shorter contact time with skin than ointment

Gels (semisolid):

Like creams but higher water content than cream and less greasy

Topical skin preparation

Ointment (semisolid):

- More occlusive than creams and longer contact time
- recommended for chronic, scaly or dry skin lesions (ex: psoriasis)
- not preferred in skin folds due to preventing sweating evaporation
- Greasy preparations not easily washed

Topical skin preparation

-Powder:

- preferred for skin folds as simply to apply,
- **need dry skin**, as wet area turn powder to paste which hinder its therapeutic action (ex: Talc powder for baby)

-spray:

preferred for skin fold or painful skin, but usually high cost and many formulation problems

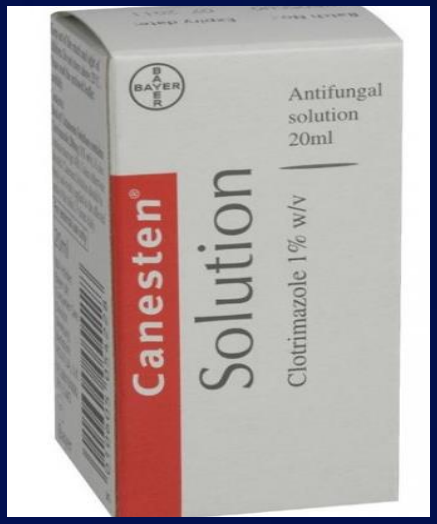
Topical skin preparation

-Lotions and Shampoo:

- preferred when the required application of a drug is to hairy areas and scalp mainly

- Solution for skin:

- less frequent, less preferred as more difficult to apply.
- preferred in fungal infection to nail, ear

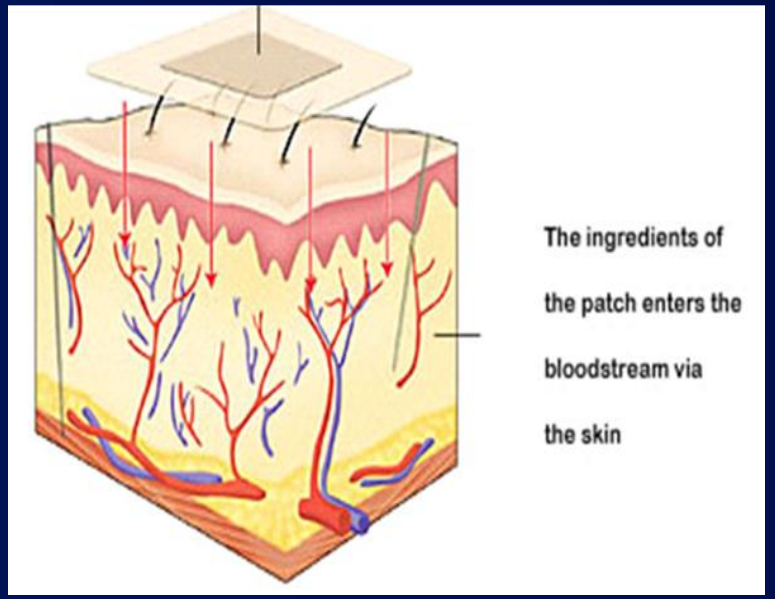


Transdermal drug delivery (patches)

Drug molecules are presented in **patches** that allow for absorption through the skin and into the bloodstream at various rates (**systemic effect**).

Advantages

- Ease of application
- Constant blood drug level of drug for a **long period of time is achieved**. Examples include nicotine patches and glyceryl trinitrate patches.



Rectal preparation

- **Types: Suppositories or enemas.**

Advantages

- when patient is **nauseated or vomiting, postoperative** (**systemic**)
- for a local effect in the colon (e.g: Glycerin supp for constipation).

Disadvantages

- Inconvenient and not well accepted
- Need help for administration
- contraindicated in patients with diarrhea

Vaginal preparation

Advantages

- May be used to treat local infections in vagina
- Allows for local application of hormone replacement therapy for impotence treatment.

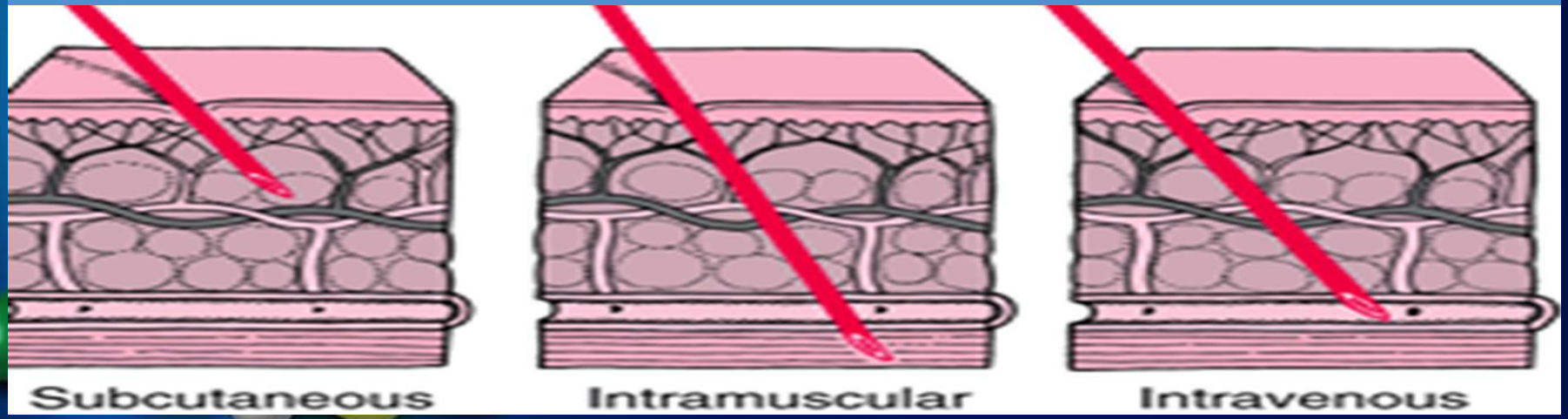
Disadvantage

- Inconvenient and not well accepted by patients.

Examples :douches, creams and pessaries.

Parental preparation

- Injections are sterile solutions, suspensions or emulsions intended for administration into the body tissues (systemic effects).
- Require device for administration
- Intravenous injections should be aqueous and should not contain particles.



Parental preparation

Advantages

- **very rapid effects (**for emergency)
- For drugs that have **erratic absorption**.
- **Useful when patient is not cooperative**.

Disadvantages

- Formulation has to be **sterile and more expensive**
- Usually requires administration by a **professional person**
- May **not be well accepted by patients (painful).**

Intramuscular injection

- Administered into a large muscle as in buttocks or anterior lateral thigh
- Used for aqueous and oily suspensions with the latter presenting a prolonged action
- Larger amount is administered than subcutaneous injection (up to 5 mL)

Subcutaneous injection

- Given just below the skin and the layer of fatty tissue usually in the arm or thigh

Used for aqueous and oily suspensions with the latter presenting a prolonged action

- A small volume (2 mL) can be administered. Ex: insulin vials.

Intravenous drug administration

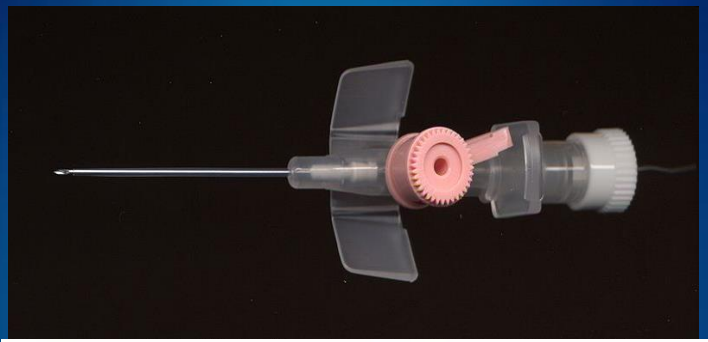
- Administered usually in veins of internal flexure of elbow, but other sites may be used.
- Aqueous solutions are administered.
- Volume varies from 1 mL to 3000 mL as an infusion.
- Used in emergency, when immediate effect is required, or when large volumes of fluid are required (infusion).

- Examples:

-antibiotic injections

-Fluids replacement (e.g. saline).

Devices for parental administration



Syringes

- Size variability (3–20 mL)
- **Insulin syringes – calibrated in units (U).**

Cannula: (if repeated injection or IV infusion is required)

- Gauge (G) diameter of lumen, 16–30G; the larger the number, the smaller the diameter

Colour Code	Guage	Ext. dia. (mm)	Length. (mm)	Flow rate ML./min
Orange	G-14	2.1	45	300
Grey	G-16	1.7	45	172
Green	G-18	1.3	45	76
Pink	G-20	1.0	33	54
Blue	G-22	0.8	25	31
Lime	G-24	0.7	19	14

Cannula size color code

Devices for parental administration

Insulin Pen:

Is a device which allows calculating a dose and injecting it with a needle fitted onto the pen rather than using separate syringe. it shows the advantage of:

1. Can be used by **only one hand (self administration)**
2. Simplify insulin measurement by the patient.
3. They are unobtrusive, resembles a regular ink pen

Devices for parental administration

infusion set:

Is a device which connect the infusion bottle to the body and control the rate of infusion in the body