

Lec 2  
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## Drug administration

### Drug forms

The route of drug administration is determined by many factors, for example:

- 1- Direct therapeutic effect e.g.
  - a- Alcohol act as disinfectant if applied locally on the skin.
  - b- Alcohols act as central nervous system <sup>depressor (sp?)</sup> if taken orally
- 2- Physicochemical properties of the drug e.g.  
Water solubility, lipid solubility, ionization .....etc. e.g.  
Gentamycin is given parenterally to obtain antibiotic systemic effect because it is water soluble and highly polarised drug.
- 3- According to therapeutic objectives e.g. for desirability of rapid onset of action or the need for long-term administration or restricted to a local site.

There are two main methods of drug administration:

1- Internal: (Enteral)

- a- Oral.
- b- Sublingual.
- c- Rectal.

2- Parenteral:

- a- Intravascular - intravenous.
- b- Intramuscular. (IM)
- c- Subcutaneous. (S.C.)
- ~~d- Subdermal or Intradermal~~

3- Others:

- a- Intrathecal.
- b- Intraventricular
- c- Brain.
- d- Joints.

e- Local:

- Eye.
- Ear.
- Skin.
- Vagina. etc.

f- Intradermal

## Drugs administration by gastrointestinal tract

### i. Buccal and sublingual route:

Drug is taken under the lung or between the gum and mucous membrane of the cheek.

In both case drug must dissolve rapidly in saliva.

- ii. Placement under the tongue allows a drug to diffuse into the capillary network and, therefore, to enter the systematic circulation directly. Administration of an agent by this route has the advantage that the drug bypasses the intestine and liver and thus avoids first pass metabolism.

Patient should be advised to keep saliva in his mouth and swallow it; this will allow drug absorption to local buccal circulation, this method is useful when drug orally (destroyed by gastric mucosa), or can't be administered intravenously and when rapid drug effect is needed. E.g. Angina pectoris.

#### A. Enteral:

1. Oral: giving a drug by mouth is the most common and safe route of administration, but it is also the most variable, and involves the most complicated pathway to the tissues. Some drugs are absorbed from the stomach; however, the duodenum is the major site of surface, some drugs are absorbed through colon.

[ Note: Most drugs absorbed from the gastrointestinal (GI) tract enter the portal circulation and encounter the liver before they are distributed into the general circulation. First-pass metabolism or liver limits bioavailability and the efficacy of many drugs, e.g. nitroglycerin.]

Ingestion of drugs with food can influence absorption. The presence of food in the stomach delays gastric emptying, so drugs that are destroyed by acid (for example: penicillin) become unavailable for absorption. [Note: Enteric coating of a drug protects it from the acidic environment and may prevent gastric irritation. Depending on the formulation, the release of the drug may be prolonged, producing a sustained-release effect.]

Solid dosage form drugs (tablets or capsules) must be taken with about 200 ml of water to allow drug dissolution and absorption.



Main disadvantages of these methods:

- 1- First-pass metabolism by the intestine or the liver limits their bioavailability and the efficacy of many drugs, e.g. nitroglycerine.
- 2- Some drugs may cause gastric mucosa irritation causing nausea and vomiting and sometimes gastric ulcers and bleeding, these drugs may be taken with food or an enteric coated formula.
- 3- May cause patients non-compliance because of bad taste or odours .
- 4- Some drugs may pass through lung and bronchial tree in some weak patients causing side effects in pulmonary system.

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Oral drugs are available as solid or liquid forms:

**I - Solid dosage forms:**

a- Tablets: which include the following forms:

- Coated tablets:

Coated tablets must be totally swallowed without being pulverized, broken, or chewed before swallowing.

Advantages of coating:

- Protect the drug (active ingredient) from bad environmental factors during storage.
- Cover bad undeniable taste or bitter taste of active ingredient.
- Prevent dissolution of drug in stomach to protect the active ingredient from destruction by acidic media in stomach or irritation of gastric mucous membrane by the drug.

- Chewable tablets:

Advantages:

- a- Contains sweet substances or good taste make it acceptable during chewing or swallowing.
- b- This form suits patients with difficulty in swallowing or in case of large amount of drug.

- Effervescent tablets:

Patients must follow instructions of use and administration; water must be added to the effervescent tablets to dissolve the whole active ingredient, the cup must be shaken to facilitate dissolution of drug, patient must take the solution immediately.

Advantages of these drugs form by:

- Allows tablets to disintegrate and dissolve before administration, where carbon dioxide gas is responsible for bubble formula when water is added.
- This procedure allows rapid drug dissolution, absorption and rapid effect.

b- Capsules:

Walls of this form consist gelatine which contains inside the active ingredient.

Main forms:

- Soft capsules:

This allows mixing contents after swallowing which mask their bad taste.

- Hard capsules:

- This form mainly contains drugs that irritate buccal, oesophageal or gastric mucosa.

- Sustained release tablets:

These tablets allow gradual and sustained release of drugs for certain period of time. This form must be

completely swallowed with water to obtain full benefits. This water need good storage environment away from light.

c- Powders:

Are taken by mixing with liquids or food immediately before use according to instructions. It must be assured that patients administer all needed amount without losing any part by adhering to walls of vials used.

II- Liquid drug forms:

Which include the following:

A- Syrup: watery sugar solution added to it different odor to make it better in taste. This form should be kept away from children, should not be introduced to children as types of sweets, to avoid children intoxication in case they take them without the knowledge of their parents. These forms should not be given to diabetic patients because it contains high amount of sugar. This form is used in the following cases:

- 1- Children forms to facilitate drug use.
- 2- Demulcent over inflamed tissue.
- 3- Antitussive.

B- Solutions:

This drug form is prepared by dissolving active ingredient in water or alcohol or saline or any other liquid form.



This form can be administered alone or by dilution with other solution water could be administered after intake.

#### C- Suspensions:

Preparation that small drug molecules are suspended in vehicles, this is used to administer large amount of solid pharmaceutical preparations that are difficult to be administered in its solid forms. Suspension drug forms must be shaken before administration; to make sure homogenous distribution of drug in the solution. This form can be dissolved in water before use when necessary.

Suspensions include the following forms:

- 1- Mixture: it is a mixture of more than one liquid drug, suspension or solution.
- 2- Magma: e.g. milk of Magnesia.
- 3- Gel.
- 4- Emulsion.

#### D- Alcoholic solutions:

Alcohol is used as dissolving solution for some drugs; care must be taken not to reach alcoholics and people who are taking disulfiram, metronidazole, and oral hypoglycaemics mainly, as sulphonylurea group.

- 1- Elixir: dissolving non-water soluble drugs in alcohol, e.g. Phenobarbital. Elixir may be diluted

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### Recta route:

Drugs may be given by this route for their local or systemic effect.

### Indications:

- 1- If patient refuse to take the drug orally because of bad smell or taste ...etc.
- 2- If the drug induces vomiting when given orally or if the patient is already vomiting.
- 3- If drugs are destroyed by gastric acids liver or GIT enzymes, because fifty percent of drainage in rectal region by passes the portal circulation.
- 4- If patient is unconscious.
- 5- For local rectal or anal effect.

### Rectal drug formulation:

- 1- Suppositories, solid dosage form at room temperature, but dissolve at body temperature leading to at body gradual drug, release the formula has oily base, "cocoa butter" as excepiant
- 2- Retention enema small amount of drug the present in semi liquid state.

### Disadvantages:

- 1- Enotic and incomplete drug absorption.
- 2- Irritation of mucous membrane of anus and rectal region.
- 3- It is very difficult to keep drug enough at site of absorption in rectum.
- 4- Leakage.