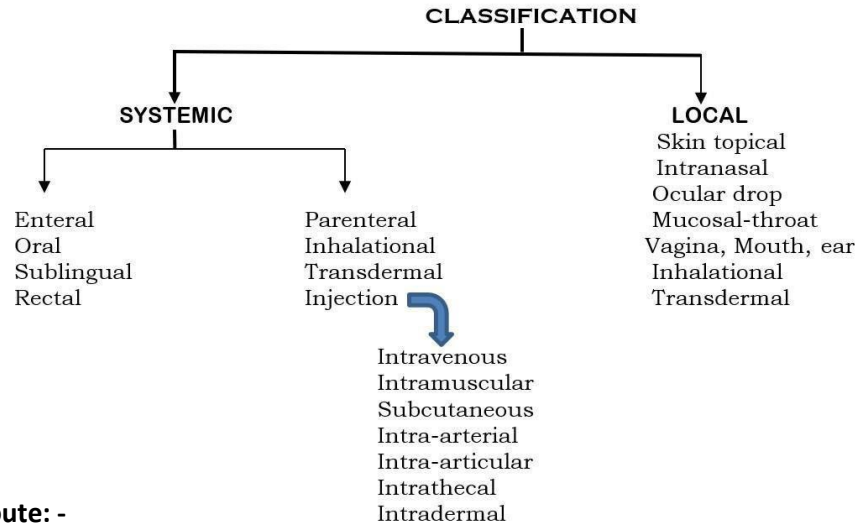
Dept. Of Pharmacy
YBN University, Ranchi Jharkhand

ROUTES OF DRUGS ADMINISTRATION: ADVANTAGE & DISADVANTAGE

- The route of administration is the way through which the dosage form is administered into the body for treatment of various diseases and disorders.
- The route of administration is determined by the properties of the drug (for example, water or lipid solubility, and ionization) and by the therapeutic objectives (for example, the need for a rapid onset, the need for long term treatment, or restriction of delivery to a local site).
- Definition- A route of administration in pharmacy is the path by which a drug is taken into the body
- Classification of Routes of Administration



1. Systemic Route: -

- In Systemic route the drug reaches systemic circulation (Blood) so that it is called systemic route. Systemic Route again classified into two classes:-

(A) Enteral Route: -

- In this route the drug is placed in the Gastrointestinal Tract and then it absorbs to the blood.
- This route is further classified into three classes

(1) Oral Route: -

- In this route the drug is placed in the mouth and Swallowed. It is also called per oral (p.o.)
- The example of dosage forms which are used by oral route include
  - → Tablet
  - → Capsules
  - → Syrups etc.
- **Advantages of Oral Route**

- Convenient - Can be self administered, pain free, easy to take
- Absorption - Takes place along the whole length of the gastro intestinal tract
- Cheap - Compared to most other parenteral routes

➢ **Disadvantages of Oral Route**

- Sometimes inefficient - only part of the drug may be absorbed
- First-pass effect - drugs absorbed orally are initially transported to the liver via the portal vein
- Irritation to gastric mucosa - nausea and vomiting
- Destruction of drugs by gastric acid and digestive juices
- Effect too slow for emergencies
- Unpleasant taste of some drugs
- Unable to use in unconscious patient

★ **Enteric-coated preparations:**

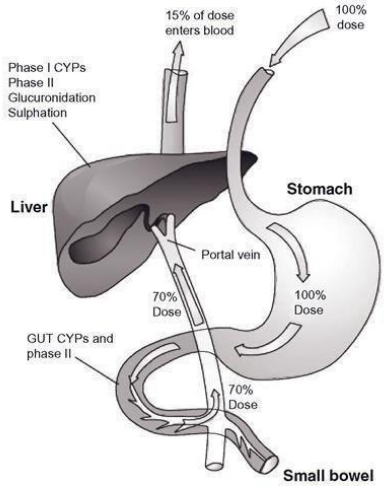
- An enteric coating is a chemical envelope that protects the drug from stomach acid, delivering it instead to the less acidic intestine, where the coating dissolves and releases the drug.
- for example,

  i) erythromycin and omeprazole that are acid labile

  ii) for drugs that are irritating to the stomach- for example, aspirin) iii) to delay the onset of action to a specific site within the gastrointestinal tract (sulfasalazine in the treatment of Crohn's disease).

★ **Extended-release preparations:**

- Extended-release (abbreviated SR, CR, ER, XR, XL, etc.) medications have special coatings or ingredients that control drug release, thereby allowing for slower absorption and prolonged duration of action ER formulations can be dosed less frequently and may improve patient compliance.
- ER formulations may maintain concentrations within the therapeutic range over a longer duration,
- ER formulations are advantageous for drugs with short half-lives.



★ **First pass effect/Metabolism**

- The first-pass effect is the term used for the hepatic metabolism of a pharmacological agent

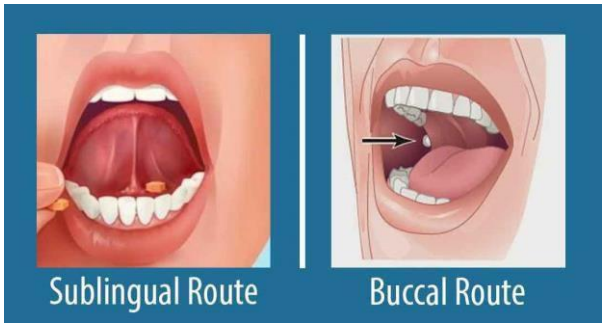
- Drugs that are absorbed via the GIT are circulated to the liver first via the hepatic portal vein
- Liver then acts as a filter i.e drug metabolise here which results in reduced concentration of the active drug upon reaching its site of action or systemic circulation.
- The greater the first-pass effect, the less the agent will reach the systemic circulation when the agent is administered orally.
- First pass effect can also occur in the lungs, vasculature, GI tract, and other metabolically active tissues in the body

(2) Sublingual/Buccal route: -

- In this route of administration the drug is placed under the tongue. And it is taken without the use of water.
- When it is placed under the tongue it disintegrates there and then absorption occurs in mouth.
- The tablets are small in size which is to be used through the sublingual route.
- Example of Sublingual tablet is Nitroglycerine tablets

Buccal Route

- In this route of administration the drug is kept in the buccal cavity where it disintegrates and absorption occurs in the mouth.



Advantages

- Rapid absorption
- Drug stability: - As in this route the drug does not go to the stomach so it is not destroyed by the enzymes and acids present in the stomach so that it is stable.
- Avoid first-pass effect.

Disadvantages

- Inconvenient: - In this route the drug is kept in the mouth so it is inconvenient.
- Small Doses: - Small size is required to keep the drug in the mouth.
- Unpleasant taste of some drugs: - The drugs having unpleasant taste can cause problem because the drug is kept in the mouth.

(3) Rectal Route: -

- Many drugs that are administered orally can also be administered rectally as a suppository.
- In this form, a drug is mixed with a waxy substance that dissolves or liquefies after it is inserted into the rectum. Because the rectum's wall is thin and its blood supply rich, the drug is readily absorbed.
- A suppository is prescribed for people who cannot take a drug orally because they have nausea, cannot swallow, or have restrictions on eating, as is required after many surgical operations.
- Drugs that are irritating in suppository form may have to be given by injection.

(3.1)Vaginal Route:

- Some drugs may be administered vaginally to women as a solution, tablet, cream, gel, Pessary, or ring.
- The drug is slowly absorbed through the vaginal wall.
- This route is often used to give estrogen to women at menopause, because the drug helps prevent thinning of the vaginal wall, an effect of menopause

(3.2)Urethral Route:- Some drugs are given through the urethra. This route is called urethral route of drug administration.

Advantages:-

Advantages of rectal/urethral/vaginal route are as follows:-

i) Because 50% of the blood vessel of the rectal region bypasses the portal circulation, the biotransformation of drugs by the liver is minimized with rectal administration.

ii) The rectal route has the additional advantage of preventing destruction of the drug in the GI environment.

This iii) Unconscious patients and children:- If the patient is unconscious then it is not possible to give the drug orally. So in this situation the drug can be given through rectal/urethral/vaginal route.

iv) If patient is having nauseous or vomiting

Disadvantages:-

i) May cause irritation ii) Absorption may be variable.

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2. Vaginal Bougies
3. Urethral Bougies

(B) Parenteral Routes:-

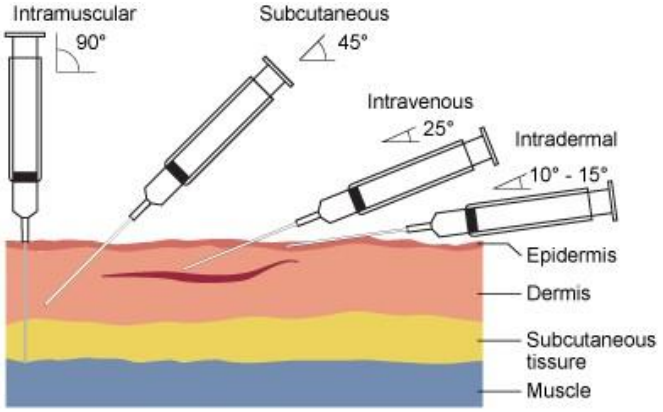
- In this route of administration the drug does not pass through the gastrointestinal tract. It directly reaches to the blood.
- It can further be classified into two classes:-

(1) With injections:- in this class the drugs are administered with the use of injections e.g. Intravascular, Intramuscular, Subcutaneous

(2) Without injections: - in this class the drugs are administered without use of injections. e.g. Inhalations.

(1) With Injections:-

i. Intravascular(I.V) – into veins
ii. Intramascular(I.M) – into skeletal muscles
iii. Subcutaneous (S.C) – into suvcutaneous tissues iv. Intradermal(I.D) - into skin
v. Intra-arterial(I.A) – into arteries
vi. Intrathecal (I.T) – cerebrospinal fluids
vii. Intraperitoneal(I.P)- peritoneal cavity viii. Intra-articular (synovial fluid)



i). Intravascular:-

- In this route of administration the drug is directly taken into the blood with the help of injection. Absorption phase is bypass

Advantages:-

- Precise, accurate and almost immediate onset of action
- Large quantities can be given, fairly pain free Can be given to unconscious patients.
- Quick action
- Drugs having unpleasant taste can be given.

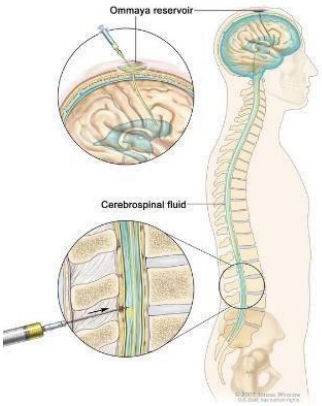
Disadvantages:-

- Pain at the site of injection.
- Greater risk of adverse effects
- High concentration attained rapidly
- Risk of embolism

ii). Intramuscular:-

- In this route of administration the drug is given into the muscles with the help of injection. Drug once reaches to the muscles, absorbs into the blood.

i) Very rapid absorption of drugs in aqueous solution



i) Depot and slow release preparations**(Depot** preparations often consist of a suspension of drug in a nonaqueous vehicle, such as polyethylene glycol. As the vehicle diffuses out of the muscle, the drug precipitates at the site of injection. The drug then dissolves slowly, providing a sustained dose over an extended interval.)

ii) Pain at injection sites for certain drugs

iii). Subcutaneous:-

- In this route of administration the drug is given into the subcutaneous layer with the help of injection. Drug once reaches to the subcutaneous layer crosses the membrane and absorbs into the blood.

iii) **Intrathecal/Intraventricular**-

- The blood–brain barrier typically delays or prevents the absorption of drugs into the central nervous system (CNS). When local, rapid effects are needed, it is necessary to introduce drugs directly into the cerebrospinal fluid

(2) Without Injections:-

- In this class the drug is administered to the blood without going to the gastrointestinal tract. In this class the drug is not administered with the help of injections.
- In this administration the drug is administered in the gaseous form.

i) Oral inhalation and nasal preparations:

- Both the oral inhalation and nasal routes of administration provide rapid delivery of drug across the large surface area of mucous membranes of the respiratory tract and pulmonary epithelium. Drug effects are almost as rapid as those with IV bolus

ii) Transdermal:

- This route of administration achieves systemic effects by application of drugs to the skin, usually via a transdermal patch.
- The rate of absorption depend on the physical characteristics of the skin at the site of application, as well as the lipid solubility of the drug.

Advantages:-

- Rapid onset of action due to rapid access to circulation.

- Pain not occurs because injection Is not used.

Examples:-

- Inhalers
- Aerosols

(C). Local/Topical Route of Drug Administration

In this route the drug is applied on the skin and mucous membrane for the local action.

i) Mucosal membranes-(eye drops, antiseptic, sunscreen, callous removal, nasal, etc.) ii) Skin

- Dermal - Rubbing in of oil or ointment (local action). Examples
  - Creams
  - Lotions
  - Gels etc.

Onset of Action-

- The length of time needed for a medicine to give its action. This time varies for different types of routes of administrations.
- Onset of action of different routes is as follows:-

  i) Intravenous 30-60 seconds ii) Intraosseous 30-60 seconds iii) Inhalation 2-3 minutes iv) Sublingual 3-5 minutes

  v) Intramuscular 10-20 minutes vi) Subcutaneous 15-30 minutes vii) Rectal 5-30 minutes viii) Oral 30-90 minutes ix) Topical/transdermal (topical) x) variable (minutes to hours)

ADVANTAGES	DISADVANTAGES
• Safest and most common, convenient, and economical route of administration	• Limited absorption of some drugs • Food may affect absorption • Patient compliance is necessary • Drugs may be metabolized before systemic absorption
• Bypasses first-pass effect • Bypasses destruction by stomach acid • Drug stability maintained because the pH of saliva relatively neutral • May cause immediate pharmacological effects	• Limited to certain types of drugs • Limited to drugs that can be taken in small doses • May lose part of the drug dose if swallowed
• Can have immediate effects • Ideal if dosed in large volumes • Suitable for irritating substances and complex mixtures • Valuable in emergency situations • Dosage titration permissible • Ideal for high molecular weight proteins and peptide drugs	• Unsuitable for oily substances • Bolus injection may result in adverse effects • Most substances must be slowly injected • Strict aseptic techniques needed
• Suitable if drug volume is moderate • Suitable for oily vehicles and certain irritating substances • Preferable to intravenous if patient must self-administer	• Affects certain lab tests (creatine kinase) • Can be painful • Can cause intramuscular hemorrhage (precluded during anticoagulation therapy)
• Suitable for slow-release drugs • Ideal for some poorly soluble suspensions	• Pain or necrosis if drug is irritating • Unsuitable for drugs administered in large volumes
• Absorption is rapid; can have immediate effects • Ideal for gases • Effective for patients with respiratory problems • Dose can be titrated • Localized effect to target lungs: lower doses used compared to that with oral or parenteral administration • Fewer systemic side effects	• Most addictive route (drug can enter the brain quickly) • Patient may have difficulty regulating dose • Some patients may have difficulty using inhalers
• Suitable when local effect of drug is desired • May be used for skin, eye, intra-vaginal, and intranasal products • Minimizes systemic absorption • Easy for patient	• Some systemic absorption can occur • Unsuitable for drugs with high molecular weight or poor lipid solubility
• Bypasses the first-pass effect • Convenient and painless • Ideal for drugs that are lipophilic and have poor oral bioavailability • Ideal for drugs that are quickly eliminated from the body	• Some patients are allergic to patches, which can cause irritation • Drug must be highly lipophilic • May cause delayed delivery of drug to pharmacological site of action • Limited to drugs that can be taken in small daily doses
• Partially bypasses first-pass effect • Bypasses destruction by stomach acid • Ideal if drug causes vomiting • Ideal in patients who are vomiting, or comatose	• Drugs may irritate the rectal mucosa • Not a well-accepted route