



# Routes of administration of drugs

### Definition

- A route of administration in pharmacology and toxicology is the path by which a drug, fluid, poison, or other

substance is taken into the body.

- Most of the drugs can be administered by different routes. Drug- and patient-related factors determine the

selection of routes for drug administration. The factors are:

- 1. Characteristics of the drug.
- 2. Emergency/routine use.
- 3. Site of action of the drug—local or systemic.
- 4. Condition of the patient (unconscious, vomiting, diarrhoea).
- 5. Age of the patient.
- 6. Effect of gastric pH, digestive enzymes and first-pass metabolism.
- 7. Patient's/doctor's choice (sometimes).

#### LOCAL ROUTES

- It is the simplest mode of administration of a drug at the site where the desired action is required. Systemic side

effects are minimal.

i. Topical: Drug is applied to the skin or mucous membrane at various sites for local action.

a) Oral cavity: As a suspension, e.g. nystatin; as a troche, e.g. clotrimazole (for oral candidiasis); as a

cream, e.g. acyclovir (for herpes labialis); as ointment and jelly, e.g. 5% lignocaine hydrochloride (for

topical anaesthesia); as a spray, e.g. 10% lignocaine hydrochloride (for topical anaesthesia).

b) GI tract: As tablet that is not absorbed, e.g. neomycin (for sterilization of gut before surgery).

c) Rectum, Vaginal and anal canal:

As an enema (administration of drug into the rectum in liquid form):

- Evacuant enema (for evacuation of bowel): For example, soap water enema—soap acts as a lubricant and water stimulates the rectum.

- Retention enema: For example, methylprednisolone in ulcerative colitis.

As a suppository (administration of the drug in a solid form into the rectum), e.g. bisacodyl-

for evacuation of bowels.

#### Advantages

- Used in children.
- Little first pass effect.
- Can be given in vomiting.
- Can be given in unconscious patient.
- Higher therapeutic concentrations of drug are achieved rapidly in rectum.
- For rapid evacuation of bowel, usually during gut sterilization before any surgical or radiological

procedure.

Disadvantages

- Inconvenient.

- Drug absorption is slow and erratic.
- Irritation or inflammation of rectal mucosa can occur.

d) Eye, ear and nose: As drops, ointments and sprays (for infection, allergic conditions, etc.), e.g.

gentamicin eye/ear drops.

e) Bronchi: As inhalation, e.g. salbutamol (for bronchial asthma and chronic obstructive pulmonary disease). Gases, volatile liquids and solids (in the form of finely divided powders) are inhaled for

systemic and local effects. Inhalation of solids is called insufflation.

Advantages

- Rapid absorption of the drug due to large surface area.

- First pass effect is avoided.

- Rapid local effects.

Disadvantages

- Only few drugs can be administered.

- May produce irritation of pulmonary mucosa.

- Inconvenient procedure.

- Chances of cardiotoxicity.

f) Skin: As ointment, cream, lotion or powder, e.g. clotrimazole (antifungal) for cutaneous candidiasis.

g) Transdermal: Transdermal patches can provide prolonged or controlled (iontophoresis) drug

delivery. Systemic absorption (Transdermal) is better with low dose, low MWt, lipid soluble drugs.

ii. Intra-arterial route: This route is rarely employed. It is mainly used during diagnostic studies such as

coronary angiography and for the administration of some anticancer drugs, e.g. for treatment of malignancy

involving limbs.

iii. Administration of the drug into some deep tissues by injection, e.g. administration of triamcinolone

directly into the joint space in rheumatoid arthritis

Systemic Routes (Enteral)

Drugs administered by this route enter blood and produce systemic effects. Enteral Routes It includes (i) Oral

route, (ii) Buccal or Sublingual route and (iii) Rectal route.

#### i. ORAL ROUTE

It is the most common and acceptable route for drug administration. Dosage forms are tablet, capsule, syrup,

mixture, etc., e.g., paracetamol tablet for fever, omeprazole capsule for peptic ulcer are given orally.

Advantages:

- Convenient - portable, safe, no pain, can be self-administered.

- Cheap - no need to sterilize (but must be hygienic of course)

- Variety of dosage forms available - fast release tablets, capsules, enteric coated, layered tablets, slow

release, suspensions, mixtures

- Convenient for repeated and prolonged use.

Disadvantages:

- Sometimes inefficient :- high dose or low solubility drugs may suffer poor availability, only part of the dose

may be absorbed. Griseofulvin was reformulated to include the drug as a micronized powder. The

recommended dose at that time was decreased by a factor of two because of the improved bioavailability.

- First-pass effect :- drugs absorbed orally are transported to the general circulation via the liver. Thus drugs

which are extensively metabolized will be metabolized in the liver during absorption. e.g. the propranolol

oral dose is somewhat higher than the IV, the same is true for morphine. Both these drugs and many others

are extensively metabolized in the liver.

First Pass Effect

- Food :- Food and G-I motility can effect drug absorption. Often patient instructions include a direction to

take with food or take on an empty stomach. Absorption is slower with food for tetracyclines and penicillins, etc. However, for propranolol bioavailability is higher after food, and for griseofulvin absorption is higher after a fatty meal.

- Local effect :- Antibiotics may kill normal gut flora and allow overgrowth of fungal varieties. Thus,

antifungal agent may be included with an antibiotic.

- Unconscious patient :- Patient must be able to swallow solid dosage forms. Liquids may be given by tube.

### ii. BUCCAL and SUBLINGUAL ROUTE (SL)

Some drugs are taken as smaller tablets which are held in the mouth or under the tongue.

These are buccal or sublingual dosage forms.

Buccal tablets are often harder tablets [4 hour disintegration time], designed to dissolve slowly.

Nitroglycerin, as a softer sublingual tablet [2 min disintegration time], may be used for the rapid relief of angina.

This Route of administration is also used for some steroids such as testosterone and oxytocin. Nicotine containing chewing gum may be used for cigarette smoking replacement.

### Advantages:

- Quick onset of action.
- Action can be terminated by spitting out the tablet.
- Bypasses first-pass metabolism.
- Self-administration is possible.

#### Disadvantages

- It is not suitable for bitter tasting and unpalatable drug.
- It is not suitable for Irritant and lipid-insoluble drugs.
- cannot give to unconscious patient.
- Large quantities cannot be given.
- Cannot be given in severe vomiting

### iii. RECTAL ROUTE

Drugs can be given in the form of solid or liquid.

- Suppository: It can be used for local (topical) effect as well as systemic effect, e.g. indomethacin

for rheumatoid arthritis.

- Enema: Retention enema can be used for local effect as well as systemic effect. The drug is absorbed through rectal mucous membrane and produces systemic effect, e.g. diazepam for status epilepticus in children.

Advantages

- Used in children.
- Little first pass effect.
- Can be given in vomiting.
- Can be given in unconscious patient.
- Higher therapeutic concentrations of drug are achieved rapidly in rectum.
- For rapid evacuation of bowel, usually during gut sterilization before any surgical or radiological

procedure.

Disadvantages

- Inconvenient, not well accepted. May be some discomfort
- Drug absorption is slow and erratic.
- Irritation or inflammation of rectal mucosa can occur.

#### 2. b) Systemic Routes (Parenteral)

Routes of administration other than enteral route are called parenteral routes.

Advantages of parenteral routes

- Onset of action of drugs is faster; hence it is suitable for emergency.
- Useful in:
- Unconscious patient.
- Uncooperative and unreliable patients.
- Patients with vomiting and diarrhoea.
- It is suitable for:

- Irritant drugs.
- Drugs with high first-pass metabolism.
- Drugs not absorbed orally.
- Drugs destroyed by digestive juices.
- Disadvantages of parenteral routes
- Require aseptic conditions.
- Preparations should be sterile and is expensive.
- Requires invasive techniques that are painful.
- Cannot be usually self-administered.
- Can cause local tissue injury to nerves, vessels, etc.

#### i. INTRAVENOUS (IV)

Drugs may be given into a peripheral vein over 1 to 2 minutes or longer by infusion, or Drugs are injected

directly into the blood stream through a vein.

Drugs are administered as:

a) Bolus: Single, relatively large dose of a drug injected rapidly or slowly as a single unit into a vein. For

example, i.v. ranitidine in bleeding peptic ulcer.

b) Slow intravenous injection: For example, i.v. morphine in myocardial infarction.

c) Intravenous infusion: For example, dopamine infusion in cardiogenic shock; mannitol infusion in

cerebral oedema; fluids infused intravenously in dehydration.

#### Advantages

- Bioavailability is 100%.

- Quick onset of action; therefore, it is the route of choice in emergency, e.g. intravenous diazepam to control

convulsions in status epilepticus.

- Large volume of fluid can be administered, e.g. intravenous fl uids in patients with severe dehydration.

- Highly irritant drugs, e.g. anticancer drugs can be given because they get diluted in blood.

- Hypertonic solution can be infused by intravenous route, e.g. 20% mannitol in cerebral oedema.

- By i.v. infusion, a constant plasma level of the drug can be maintained, e.g. dopamine infusion in cardiogenic

shock.

Disadvantages

- Once the drug is injected, its action cannot be halted.
- Local irritation may cause phlebitis.
- Self-medication is not possible.
- Strict aseptic conditions are needed.
- Extravasation of some drugs can cause injury, necrosis and sloughing of tissues.
- Depot preparations cannot be given by i.v. route.

Precautions

- Drug should usually be injected slowly.
- Before injecting, make sure that the tip of the needle is in the vein.

## ii. SUBCUTANEOUS (s.c.) ROUTE

The drug is injected into the subcutaneous tissues of the thigh, abdomen and arm, e.g. adrenaline, insulin, etc.

Advantages:

- Actions of the drugs are sustained and uniform.
- Drugs can be given in presence of vomiting and diarrhea.
- Drugs can be given to unconscious patients.
- First pass effect is avoided.
- Drugs that are not absorbed from G.I.T can be given.
- Self-administration is possible (e.g. insulin).
- Depot preparations can be inserted into the subcutaneous tissue, e.g. norplant for contraception.

Disadvantages

- Only non-irritant drugs can be given otherwise severe irritation, pain and necrosis of subcutaneous

tissues can occur.

- Absorption of the drugs is slow than I/M injection.

- Expensive.

- Danger of infection, if proper sterilization techniques are not used.

- Large volumes of drug cannot be given.

### iii. INTRAMUSCULAR (i.m) ROUTE

The drug is injected deep in the belly of a large skeletal muscle. The muscles that are usually used are detoid,

triceps, Gluteus,. Maximus, rectus, femurs depending on the specie of animal.

The muscle is less richly supplied with sensory nerves, hence injecting a drug 1m is less painful.

Absorption of drug from gluteal region is slow especially in females due to high fat deposition.

Deep intramuscular injections are given at upper outer quadrant of buttock to prevent the injury to major

nerves.

Deep I/M injections are less painful than I/M injections on arm due to high fat content.

Intramuscular injections are given at an angle of 90 degrees.

Advantages

- Rate of absorption is uniform.
- Rapid onset of action.
- Irritant substances can be given.
- Drugs can be given to unconscious patients.
- Accuracy of dosage is ensured.
- Useful in emergency situations.
- First pass effect is avoided.

- Drugs producing gastric irritation can be given.
- Drugs that are not absorbed from G.I.T can be given.

Disadvantages

- Small quantities up to 10 ml of the drug can be given at a time.
- Local pain and abscess formation.
- Technical person is needed, self-administration is difficult.
- Expensive.
- Danger of infection, if proper sterilization techniques are not used.

- Chances of nerve damage

### iv. INTRATHECAL ROUTE

Drug is injected into the subarachnoid space (spinal anaesthetics, e.g. lignocaine; antibiotics, e.g. amphotericin B,

etc.).

## v. INTRA-ARTICULAR ROUTE

Drug is injected directly into the joint space, e.g. hydrocortisone injection for rheumatoid arthritis. Strict aseptic

precautions should be taken. Repeated administration may cause damage to the articular cartilage.

## v. TRANSDERMAL ROUTE

The drug is administered in the form of a patch or ointment that delivers the drug into the circulation for

systemic effect.

For example, scopolamine patch for sialorrhoea and motion sickness, nitroglycerin patch/ointment for angina,

oestrogen patch for hormone replacement therapy (HRT).