SECTION

1

# Pharmacology

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# 1. General Pharmacology

Pharmacology divided into two main areas: Pharmacodynamics and pharmacokinetics.

The term **pharmacology** is of Greek origin and is formed from two words: *Pharmakon*, meaning "medicine," and *ology*, meaning "the study of." Pharmakon also meant poison and remedy, poison because some of the early medicines were toxic enough to kill, and remedy because, at times, early medicines cured the illness. The word **drug** has a Dutch origin in which **droog** meant "dry" as in the use of dry herbs.

Drugs fall into six categories of desired effects.

- **Curative**. Some drugs cure problems, as in diuretics, which help the body rid itself of excess fluid.
- **Prophylactic**. These drugs prevent problems, as in antibiotics given before surgery to prevent infection.
- **Diagnostic**. Some drugs help diagnose a disease, for example barium that patients swallow to help highlight digestive problems on a radiograph.
- **Palliative**. Other drugs, such as pain-relievers, do not cure disease, but they make patients more comfortable.
- **Replacement drugs**. These drugs "replace" missing substances. Levothyroxine sodium (Synthroid), is a drug that replaces a missing thyroid hormone.
- **Destructive medications** destroy tumors and microbes. Antineoplastic (anticancer) drugs are examples of destructive and toxic drugs.

The term **pharmacodynamics** refers to the effect of a drug on the body; or more scientifically, the negative and positive biochemical or physiological changes that a drug creates.

**Pharmacokinetics** (what body does with the drug) deals with the absorption, distribution, and excretion of drugs.

- It is the quantitative study of drug movement in, through and out of the body.
- All pharmacokinetic Processes involve transport of the drug across biological membrane.
- It is the quantitative study of absorption, distribution, metabolism, and excretion.

### Absorption

- Absorption is the movement of drug from its site of administration into the blood circulation.
- Absorption directly proportional to the fraction of administration dose which gets absorbed.
- Absorption directly proportional to the rate of absorption.

### Factors affecting absorption

- State of drug.
- pH
- Physiological properties of drug
- Concentration
- Area of absorption surface
- Rate of blood flow
- Route of administration
- Biological factor
- First-pass effect.

#### 1. State of drug

- Aqueous solution better absorbed than oily solution. Liquid is absorbed faster than solid.

#### 2. pH

- Change in pH affects the absorption.
- Most of the drugs are weak electrolytes.
- Acidic drug is better absorbed in acidic pH of stomach and basic drug is better absorbed in basic pH of intestine.

#### 3. Physiochemical property of drug

- Shape, size and solubility affect the absorption.

#### 4. Concentration

- Increase in the concentration, better the absorption.

#### 5. Area of absorption surface

- Larger the surface, larger will be the absorption.
- Surface increased, absorption increased, so drugs are better absorbed from intestine than stomach.

#### 6. Rate of blood flow

- Absorption from highly vascularised membrane is rapid as absorption from sublingual route is faster. Massage can increase blood flow.
- Application of heat also causes increased blood flow and increased absorption of drug.
- Decreased blood flow by vasoconstriction decreases absorption.

#### 7. Route of administration

a. Oral route

- Most of the oral drugs are absorbed from upper intestine since mucosal area is large intestine.
- Presence of food affects absorption.
- Many drugs which are not absorbed orally are absorbed by injection.

b. Topical route

- Only liquid soluble drugs are absorbed from skin, e.g. nitroglycerine.
- Some insecticides absorbed from skin cause toxicity.
- Cornea is permeable to liquid soluble drugs.
- Similarly, mucous membrane of mouth, rectum, vagina absorb lipophilic drugs.

#### 8. Biological factor

- Gut motility
- pH of GIT
- Presence of food
- Enzyme in GIT.

## 9. First-pass effect

- Drugs administrated orally pass through liver via portal circulation is called first pass effect.
- Drug metabolism in liver is vigorous, so less amount of drug reaches in circulation.
- In such cases increased dosages are administered orally then by parenteral route, e.g. isoprenaline and testosterone.

**Drug distribution:** Transfer of drugs from the blood into various tissues is known as drug distribution. When reaches the blood, it is distributed in various part of the body including CNS and foetal circulation. Distribution is complete when drug reaches the visible site. Some drugs concentrate in some tissue compartments which are called drug reservoirs. Factor like plasma protein binding, physicochemical properties of drug, rate and amount of drug reaching each reservoir in various body parts are extremely variable.

**Plasma protein:** In blood, drug maybe free or bound to plasma protein (albumin, globulin, etc.) only that free drug diffuses and causes action at target organ. One drug can bind to many sites.

**Tissue storage:** Drugs may accumulate in specific organ or tissue, for example, iodine in thyroid, tetracycline, heavy metal like lead in bone and teeth, and digoxin in heart.

**Adipose tissue:** Liquid soluble drug like thiopentone is stored in body fat.

### Factors governing volume of drug distribution

- Liquid: Water partition coefficient of the drug.
- $pK_a$ value of the drug.
- Degree of plasma protein binding.
- Affinty of different tissues
- Fat: Lean body mass ratio
- Disease like CHF, cirrhosis, etc.

### Metabolism/Biotransformation

- It means chemical alternation of the drug in body.
- Drugs in body are acted by number of enzymes resulting in structural changes, the process is called metabolism.
- By this process, drugs may get activated or can be converted into soluble and highly soluble, compound and excreted in urine.
- Liver is the main site of metabolism.

**Process of metabolism:** Reaction which bring about metabolism. Steps involved are:

### Phase 1

- Oxidation
- Reduction
- Hydrolysis
- Cyclization
- Decyclization

### Phase 2

- Glucuronide conjugation
- Acetylation
- Methylation
- Sulphate conjugation
- Glycine conjugation
- Glutathione conjugation

### Factor affecting metabolism

1. Enzyme inhibitor— many drugs inhibit drug metabolizing enzyme and decrease the drug metabolism, so they need dose reduction.
2. Enzyme induction— drugs like phenobarbital increase the synthesis of enzyme so duration of drug action or reduced tolerance.
3. Age and sex — many enzymes are deficient in newborn making them more susceptible to many drugs.
4. Diseases present in liver and kidney affect the rate of their metabolism.

## Excretion

- Elimination of drugs or their metabolite from body. Fast acting drugs are short acting and slow.
- Organs involved in excretion are kidney by intestine, lungs, milk.

**Kidney:** Most important organ. Products are water soluble but lipid insoluble. Some drugs maybe reabsorbed from renal tubule. In presence of renal damage, excretion impaired resulting in high-blood level and prolonged action.

**Bile:** High molecular weight drug is partially excreted into intestine through bile, is either excreted in faces or reabsorbed, e.g. erythromycin.

**Intestine:** Drugs not absorbed from GIT, are excreted in feces, e.g. sulphaguanidine.

**Lungs:** All volatile anaesthetics are excreted by lungs.

**Milk:** pH of milk is slightly acidic, so concentration of drug like morphine is higher in milk so antithyroid, anticancer and purgative antiepileptics should be avoided in lactating mother.

## Drug receptor interaction

- Drug binds with receptor by weak forces like hydrogen or/and by strong force like covalent bond (non-reversible) bond, van der Waal or electrolytic bond.
- Nature of binding tells about duration of action — first the drug interacts with receptor which produces the effect ability of drug to bound with receptor is affinity and capacity to generate effect is called efficacy.

Accordingly, drugs acting through receptors:

- Agonist— drugs having affinity for receptor causing max efficacy, e.g. acetylcholine, adrenaline.
- Antagonist— drugs having affinity but no efficacy, e.g. atropine, propanol.
- Partial agonist— drugs having affinity but minimal efficacy, e.g. nalorphine.

Number of receptors are non-constant and change according to circumstances. Receptors exposed to agonist for long-time result in their fall. This is called downregulation or desensitization and tissue is called refractory.

Chronic exposure of receptor to antagonist results in increase activity and called upregulation or super sensitivity:

- Use of propanol and beta receptor its sudden withdrawal of propanol and beta receptor precipitate attack of angina
- Sudden withdrawal of clonidine results in hypertensive crisis.

## Routes of administration of drugs

Route of administration in pharmacology and toxicology is the path by which a drug, fluid, poison, or other substance is taken into the body (Fig. 1.1).

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:

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

### Dosage Forms

A medicinal agent becomes a medication only after formulation suitable for therapeutic use (i.e. in an appropriate dosage form).

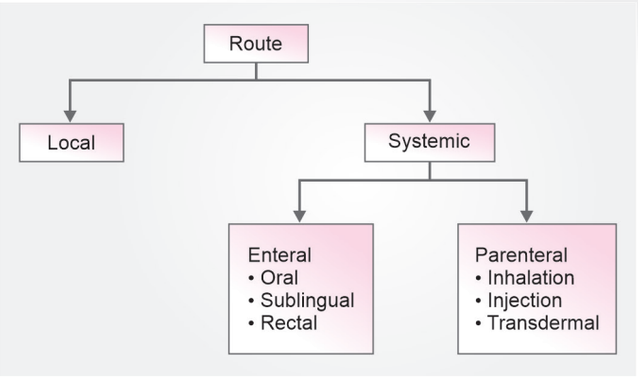


**Fig. 1.1:** Routes of drug administration

### Types of Dosage Forms

- Solid dosage form
- Liquid dosage form
- Parenteral
- Pulmonary
- Rectal
- Vaginal and cutaneous application
- Transdermal drug delivery.

## MULTIPLE CHOICE QUESTIONS

1. **If the concentration of a drug decreases in plasma with "first-order kinetics", this means that:**
   - **A.** The drug is largely metabolized in the liver after oral administration and has low bioavailability elimination
   - **B.** The rate of climination is always proportionate to the rate of administration
   - **C.** The half-life of the drug remains same and does not depend on plasma concentration
   - **D.** None of these
2. **The intensity of the pharmacologic action of a drug is most dependent on the:**
   - **A.** Amount of the drug present at receptor site
   - **B.** Elimination half-life (t½) of the drug
   - **C.** Minimum toxic concentration (MTC) of the drug in plasma
   - **D.** Both B and C
3. **The rate of drug bioavailability is most rapid while formulating as a:**
   - **A.** Controlled-release product
   - **B.** Solution
   - **C.** Hard gelatin capsule
   - **D.** Compressed tablet
4. **Choose one correct option for information about the changes in sensitivity of the drug in the case of population studied?**
   - **A.** Therapeutic index
   - **B.** Drug potency
   - **C.** Grade dose–response curve
   - **D.** Quantal dose–response curve
5. **Which of the following drugs may inhibit hepatic microsomal P450 ?**
   - **A.** Ethanol
   - **B.** Phenobarbital
   - **C.** Cimetidine
   - **D.** Procainamide
6. **Phocomelia is a known teratogenic effect of:**
   - **A.** Anticancer drugs
   - **B.** Antiviral drugs
   - **C.** Antiepileptic drugs
   - **D.** Thalidomide
7. **The therapeutic index of a drug measures:**
   - **A.** Safety
   - **B.** Potency
   - **C.** Efficacy
   - **D.** Dose variability
8. **In the following which one is an example of colligative property?**
   - **A.** Solubility of a solute
   - **B.** Osmotic pressure
   - **C.** Concentration of hydrogen ion
   - **D.** Miscibility of the liquids

9. **The pH of a buffer system can be calculated with the:**
   - **A.** Noyes-Whitney equation
   - **B.** Henderson-Hasselbalch equation
   - **C.** Michaelis-Menten equation
   - **D.** Yong equation

10. **Active transport differs from facilitated transport in following ways, except:**
   - **A.** Carrier is involved
   - **B.** It is against concentration gradient
   - **C.** Energy is required
   - **D.** All of the above

11. **The shells of soft gelatin capsules maybe made elastic or plastic-like by the addition of:**
   - **A.** Sorbitol **B.** Povidone
   - **C.** Polyethylene glycol **D.** Lactose

12. **If a drug is administrated by oral route, it is absorbed best from the:**
   - **A.** Buccal cavity **B.** Stomach
   - **C.** Duodenum **D.** Ileum

13. **_____ is expressed in both the intestinal epithelium and the kidney.**
   - **A.** CYP2D6 **B.** CYP1A1/2
   - **C.** CYP3A4 **D.** CYP2E1

14. **Which one is the characteristic of microsomal enzyme induction**
   - **A.** It took approx. 7 days to develop
   - **B.** Results in enhanced affinity of the enzyme for its substrate
   - **C.** It is irreversible
   - **D.** Used in the treatment of acute drug poisoning

15. **The distribution of a drug into tissue is determined mainly by the:**
   - **A.** Blood circulation rate into tissue
   - **B.** Glomerular filtration rate
   - **C.** Stomach emptying time
   - **D.** pH of the tissue

16. **Monomer units of proteins are known as:**
   - **A.** Monosaccharides **B.** Prosthetic groups
   - **C.** Amino acids **D.** Purines

17. **An antagonist:**
   - **A.** Attaches to the receptors and triggers the changes in cell function, producing maximal effect
   - **B.** Attaches to the receptors and triggers the changes in cell function, producing submaximal effect
   - **C.** Attaches to plasma proteins and does not produce any type of effect
   - **D.** Attaches to the receptors but there is no direct alteration in their functions

18. **Drug administrated through which of the following routes is most likely to be subjected to first-pass metabolism ?**
   - **A.** Oral **B.** Sublingual
   - **C.** Subcutaneous **D.** Rectal

19. **If two drugs have similar type of effects, can be called:**
   - **A.** Heterogenic drugs
   - **B.** Isomeric drugs
   - **C.** Homergic drugs
   - **D.** Antagonistic drugs

20. **Glucose is a carbohydrate that cannot be hydrolyzed into a simpler substance. It is best described as:**
   - **A.** A sugar **B.** A monosaccharide
   - **C.** A disaccharide **D.** A polysaccharide

21. **Enzymes that uncouple peptide linkage best classified as:**
   - **A.** Hydrolases **B.** Ligases
   - **C.** Oxidoreductases **D.** Transferases

22. **Bacteria that grow at temperatures as high as 55°C are known as:**
   - **A.** Psychrophiles **B.** Thermophiles
   - **C.** Mesophiles **D.** Auxotrophs

23. **Which of the following phases is responsible for decreasing growth curve in facterial growth curve?**
   - **A.** Lag phase **B.** Exponential phase
   - **C.** Death phase **D.** Stationary phase

24. **Which one of the following antibodies has longest half-life in a serum. It opsonizes antigens for phagocytosis by using two different pathways ?**
   - **A.** Immunoglobulin G (IgG)
   - **B.** Immunoglobulin M (IgM)
   - **C.** Immunoglobulin A (IgA)
   - **D.** Immunoglobulin E (IgE)

25. **CD4+T cells specifically recognize antigens in which form?**
   - **A.** Bound to major histocompatibility (MHC)class I molecules on the surface of antibody
   - **B.** In free, soluble form in extracellular fluids
   - **C.** Bound to MHC class II molecules on the surface of special antigen-presenting cells (APCs)
   - **D.** None of the above

26. **Which of the following salts forms an aqueous solution that is alkaline to litmus?**
   - **A.** Sodium chloride
   - **B.** Benzalkonium chloride
   - **C.** Cefazolin sodium
   - **D.** Chlordiazepoxide hydrochloride

27. **Precipitation may occur when mixing aqueous solutions of meperidine hydrochloride with which of the following solutions?**
   - **A.** Sodium bicarbonate injection
   - **B.** Atropine sulfate injection
   - **C.** Sodium chloride injection
   - **D.** None of the above

28. **Drug of choice for anaphylactic reactions is:**
   - **A.** Clonidine **B.** Isoproterenol
   - **C.** Epinephrine **D.** Phenylephrine

29. **All of the following desensitizing agents are recommended for sensitive teeth, except:**
   - **A.** 10% carbamide peroxide
   - **B.** 5% potassium nitrate
   - **C.** Dibasic sodium citrate
   - **D.** 10% strontium chloride hexahydrate

30. **$pK_a$ of a compound:**
   - **A.** Is the pH of solution at which the compound is 50% ionized
   - **B.** Is the pH of compound at which it is 50% ionized
   - **C.** Is the time in which the compound is ionized
   - **D.** Is the time in which total compound is ionized

31. **Which of the following are good examples of chemical antagonism ?**
   - **A.** Heparin and protamine
   - **B.** Protamine and zinc
   - **C.** Heparin and prothrombin
   - **D.** All of the above

32. **Alkalization of urine hastens the excretion of:**
   - **A.** Weakly basic drugs
   - **B.** Weakly acidic drugs
   - **C.** Strong electrolytes
   - **D.** Non-polar drugs

33. **High plasma protein binding:**
   - **A.** Increases the volume of distribution of the drug
   - **B.** Facilitates glomerular filtration of the drug
   - **C.** Minimizes drug interactions
   - **D.** Generally, makes the drug long acting

34. **G-protein coupled receptors span the plasma membrane as a bundle of _____alpha helices.**
   - **A.** One
   - **B.** Three
   - **C.** Seven
   - **D.** Ten

35. **If a drug undergoes net tubular secretion, its renal clearance will be:**
   - **A.** More than the glomerular filtration rate
   - **B.** Equal to the glomerular filtration rate
   - **C.** Less than the glomerular filtration rate
   - **D.** Equal to the rate of urine formation

36. **Which is not a risk factor for hyperphosphatemia and death from sodium phosphate enemas when used in children?**
   - **A.** Renal insufficiency
   - **B.** Hirschsprung's disease
   - **C.** Anorectal malformations
   - **D.** Children between the ages of 6 and 12 years

37. **In case of constant bioavailability and first order elimination reaction rate, a drug maintenance dose rate will be directly proportional to its:**
   - **A.** Volume of distribution
   - **B.** Total body clearance
   - **C.** Plasma protein binding
   - **D.** Lipid solubility

38. **Prodrug**
   - **A.** Facilitates absorption and distribution of drugs with poor lipid solubility
   - **B.** Increases the duration of action of drugs that are rapidly eliminated
   - **C.** Promotes site-specific delivery of drugs
   - **D.** All of the above

39. **Receptor agonists:**
   - **A.** Result in increased smooth endoplasmic reticulum
   - **B.** Result in increased rough endoplasmic reticulum
   - **C.** Result in decreased enzymes in the soluble cytoplasmic fraction
   - **D.** Require 3–4 months to reach completion

40. **'Drug efficacy' refers to:**
   - **A.** The range of diseases in which the drug is beneficial
   - **B.** The maximal intensity of response that can be produced by the drug
   - **C.** The therapeutic dose range of the drug
   - **D.** The therapeutic index of the drug

41. **A drug 'R' producing no response by itself causes the log dose–response curve of another drug 'S' to shift to the right in a parallel manner without decreasing the maximal response. Drug 'R' is a:**
   - **A.** Partial agonist
   - **B.** Inverse agonist
   - **C.** Competitive antagonist
   - **D.** Noncompetitive antagonist

42. **If gut motility increases then:**
   - **A.** Drug absorption decreases
   - **B.** Drug absorption increases
   - **C.** Drug absorption is not affected
   - **D.** None of the above

43. **Choose best explanatory drug statement in relation to toxicity and drug poisoning:**
   - **A.** The two terms are synonymous
   - **B.** When a toxic effect requires specific treatment, it is called poisoning
   - **C.** A toxic effect which endangers life by markedly affecting vital functions is called poisoning
   - **D.** Toxicity is caused by drugs while poisoning is caused by other harmful chemicalspo

44. **Which of the following is a proven human teratogen?**
   - **A.** Chloroquine
   - **B.** Warfarin sodium
   - **C.** Dicyclomine
   - **D.** Methyldopa

45. **In presence of competitive antagonist:**
   - **A.** The maximum response of agonist can never be achieved
   - **B.** The maximum can be achieved by increasing the concentration activity

C. Maximum can be achieved only if the antagonist is having intrinsic activity
D. None of the above

**46. Tachyphylaxis is:**
A. A drug interaction between two similar types of drugs
B. Rapidly developing tolerance
C. A synergism between two types of drugs
D. None of the above

**47. Choose the correct option for cofactor bound to an apoenzyme?**
A. Holoenzyme B. Coenzyme
C. Prosthetic group D. Transferase

**48. Passage of drug across most capillary endothelial membranes is dependent upon:**
A. Lipid solubility B. pH gradient
C. Blood flow D. All of these

**49. The effect of enzyme induction is greatest when the drug given is:**
A. Digoxin B. Furosemide
C. Enalapril D. Amrinone

**50. Drugs producing allergic reaction generally act as**
A. Complete antigens
B. Haptens
C. Antibodies
D. Mediators

**51. The renal clearance of insulin is used as a measurement of:**
A. Effective renal blood flow
B. Intrinsic enzyme activity
C. Active renal secretion
D. Glomerular filtration rate (GFR)

**52. During liver disease the metabolism and elimination of which of the following drugs is decreased?**
A. Morphine B. Pentobarbitone
C. Propanolol D. All of these

**53. Which people are said to be fastest acetylators because they metabolize isoniazid by the process of acetylation very quickly?**
A. Canadian Eskimos B. Indians
C. Asiatic Jews D. All of these

**54. Route of administration suitable for emergency and permits titration of the dosage as well is:**
A. Oral B. Intravenous
C. Intramuscular D. All of these

**55. Responsible organ for the metabolism of most of the drugs is:**
A. Skeleton system B. Kidney
C. Liver D. Heart

**56. Conjugation process can be defined as a:**
A. Process of drug hydroxylation by special hydrolyzing enzymes
B. Process of drug oxidation by special oxidases
C. Coupling of a drug with an endogenous substrate
D. Solubilization in lipids

**57. Which type of drugs penetrate CNS better:**
A. Lipid soluble B. Weak acids
C. Weak bases D. All equally

**58. An agonist is a substance that:**
A. Attach with the receptor without producing any effect
B. Attaches with the receptor and initiates changes in cell function, producing various types of effects
C. Decrease concentration of another substance to produce effect
D. Attach with plasma proteins and does not produce any effect

**59. Factor which can affect the absorption of drug is:**
A. Dissolution rate
B. Particle size
C. Lipid solubility
D. All of the above

**60. The mechanism of biotransformation of aspirin to salicylic acid and acetic acid is:**
A. Oxidation
B. Reduction
C. Hydrolysis
D. None of the above

**61. Which one of the following is a phase II drug metabolizing reaction?**
A. Oxidation B. Reduction
C. Acetylation D. All of these

**62. Bioassay is used to:**
A. Determine the relationship between the dose administered and the magnitude of response
B. Determine the potency of a new agent compared with that of an established drug
C. Determine the relationship between the doses producing a desired effect and those elicit in gun desirable or toxic effect
D. All of the above

**63. The following are excreted faster in basic urine, except:**
A. Weak acids B. Strong acids
C. Weak bases D. None of the above

**64. Which of the following therapeutic systems provides continuous, unattended, controlled drug input for a long period without gastrointestinal or hepatic drug inactivation prior to systemic circulation?**
A. Parenteral B. Oral
C. Transdermal D. All the above

**65. All the below mentioned drugs cause enzyme induction in man, except:**
A. Phenytoin B. Phenobarbitone
C. Enalapril D. Rifampicin

66. **The particle size of the dispersed solid in a suspension is usually greater than:**
   - **A.** 0.5 µm
   - **B.** 0.4 µm
   - **C.** 0.3 µm
   - **D.** 0.2 µm

67. **The pharmacokinetics of drugs in the neonate differs from that in adults, because their:**
   - **A.** Intestinal transit is fast
   - **B.** Glomerular filtration rate is high
   - **C.** Tubular transport mechanisms are not well-developed
   - **D.** Drug metabolizing enzymes are overactive

68. **A prodrug is:**
   - **A.** A type of active drug
   - **B.** Old class of drug
   - **C.** An inactive drug that is changed into an active metabolite in the body
   - **D.** All of the above

69. **The main mechanism of most drugs absorption in GI tract is:**
   - **A.** Active transport (carrier-mediated diffusion)
   - **B.** Filtration (aqueous diffusion)
   - **C.** Endocytosis and exocytosis
   - **D.** Passive diffusion (lipid diffusion)

70. **Agranulocytosis is:**
   - **A.** Virtual absence from the blood of white cells known as neutrophils
   - **B.** It is a life-threatening condition that results from toxic damage to the bone-marrow by some drugs
   - **C.** Can be treated with antibiotics and sometimes transfusion of white blood cells
   - **D.** All the above

71. **Competitive antagonists:**
   - **A.** Dissociate from receptors faster than the irrespective agonists
   - **B.** Alter the shape of the log dose response curve of an agonist
   - **C.** According to the rate theory have low dissociation rate constants
   - **D.** Initiate the opposite cellular response to receptor occupancy to that obtained by the agonist

72. **Theophrastus is known as:**
   - **A.** Father of Medicine
   - **B.** Father of Pharmacognosy
   - **C.** Father of Polypharmacy
   - **D.** Father of Experimental Medicine

73. **When two drugs with the same effect produce an effect greater than the sum of the effects of individual drugs [1 + 1>2], such an effect is called:**
   - **A.** Additive effect
   - **B.** Synergism
   - **C.** Potentiation
   - **D.** None of these

74. **An antagonist has:**
   - **A.** Intrinsic activity and no affinity
   - **B.** Only intrinsic activity and no affinity
   - **C.** No intrinsic activity and no affinity
   - **D.** Affinity same as agonist and devoid of intrinsic activity

75. **Nitroglycerin is given in angina pectoris by sublingual route due to:**
   - **A.** Liver is by-passed
   - **B.** Can be spat after desired effect
   - **C.** Nonirritant and lipid soluble drug
   - **D.** All of the above

76. **If a drug blocks the action of epinephrine at its receptors by occupying those receptors without activating them, then which one suited best to describe this?**
   - **A.** Pharmacological antagonist
   - **B.** Partial agonist
   - **C.** Physiological antagonist
   - **D.** Noncompetitive antagonist

77. **Receptors for _____ are DNA-binding proteins.**
   - **A.** Steroids
   - **B.** Vitamin D
   - **C.** Retinoids
   - **D.** All of these

78. **Which type of antagonism is found between barbiturate and amphetamine**
   - **A.** Non-competitive antagonism
   - **B.** Physiological antagonism
   - **C.** Competitive antagonism
   - **D.** Synergistic relationship

79. **A drug potent:**
   - **A.** Generates maximum response
   - **B.** Is required in less amount to produce a certain response
   - **C.** Produces no side effects
   - **D.** Has a rapid onset of action in body

80. **Drug metabolism occurs chiefly in:**
   - **A.** Liver
   - **B.** Brain
   - **C.** Spleen
   - **D.** Kidneys

81. **Idiosyncrasy reaction of a drug is:**
   - **A.** An example of hypersensitivity reaction
   - **B.** An example of drug antagonism
   - **C.** Qualitatively abnormal reaction of a drug which can be predictable
   - **D.** Quantitatively exaggerated response

82. **Which type of substances unable to permeate membranes by passive diffusion?**
   - **A.** Lipid-soluble
   - **B.** Non-ionized substances
   - **C.** Hydrophobic substances
   - **D.** Hydrophilic substances

83. **Induction of drug metabolizing enzymes involves:**
   - **A.** A conformational change in the enzyme protein to favor binding of substrate molecules
   - **B.** Expression of enzyme molecules on the surface of hepatocytes
   - **C.** Enhanced transport of substrate molecules into hepatocytes
   - **D.** Increased synthesis of enzyme protein

**84. The "dominant lethal" test involves the treatment of a male adult animal with a chemical before mating; the pregnant female is later examined for fetal death and abnormalities. The dominant lethal test therefore is a test of:**

A. Teratogenicity B. Mutagenicity
C. Carcinogenicity D. None of these

**85. Pick out the appropriate alimentary route of administration when passage of drugs through liver is minimized:**

A. Oral B. Transdermal
C. Rectal D. Intraduodenal

**86. Biotransformation:**

A. Renders the drug more lipid soluble
B. Can be altered by drugs
C. Is necessary for all drugs for their elimination
D. Takes place only in the liver

**87. Which one is an example of parenteral route?**

A. Rectal B. Oral
C. Sublingual D. Inhalation

**88. Alcohol absorption is fast from intestine due to:**

A. Its lipid solubility and non-electrolyte nature
B. Its lipid solubility and highly ionized nature
C. Its absorption by active transport method
D. None of the above

**89. Phenylephrine causes:**

A. Constriction of vessels in the nasal mucosa
B. Increased gastric secretion and motility
C. Miosis
D. All of the above

**90. Choose one correct statement about characteristics of a particular route of drug administration:**

A. Intravenous route of drug administration provides a rapid response
B. Intramuscular route of drug administration requires a sterile method
C. Inhalation route of drug administration provides slow access to the general blood circulation
D. Subcutaneous route of drug administration may cause local irritation reaction

**91. Which of the following is a type II (unpredictable) adverse drug reaction?**

A. Side effect B. Toxic effect
C. Idiosyncrasy D. Physical dependence

**92. Why some drugs show complicated penetration through brain–blood barrier?**

A. Due to high lipid solubility
B. Due to meningitis diseases
C. Due to absence of pores in the brain capillary endothelium
D. All of the above

**93. Receptors perform the following function:**

A. Ligand recognition
B. Signal transduction
C. Disposal of agonists and antagonists
D. Both A and B

**94. The volume of distribution ($V_d$) can be related to:**

A. Daily dose of an administrated drug
B. An administrated dose to a body weight
C. An uncharged drug reaching in blood circulation
D. The amount of a drug in the body to the concentration of a drug in plasma

**95. A receptor which itself has enzymatic property is:**

A. Insulin receptor B. Progesterone receptor
C. Thyroxine receptor D. Glucagon receptor

**96. The movement of drug substance from a region of high concentration to a region of low concentration is known as:**

A. Active transport B. Bioavailability
C. Simple diffusion D. Pinocytosis

**97. Drug metabolism can be enhanced by the following factors, except:**

A. Smoking
B. Acute alcohol ingestion
C. Exposure to insecticides
D. Consumption of charcoal boiled meat

**98. Half-life (t½) is the time needed to:**

A. Change the amount (50%) of a drug substance in plasma during elimination
B. Metabolize a 75% of an introduced drug into the active metabolite
C. Attach 50% of drug to plasma proteins
D. All of the above

**99. The most important factor governing absorption of a drug from intact skin is:**

A. Molecular weight of the drug
B. Site of application
C. Lipid solubility of the drug
D. Nature of the base used in the formulation

**100. Biotransformation of the drugs is to render them:**

A. Less ionized
B. More pharmacologically active
C. More lipid soluble
D. Less lipid soluble

**101. Hippocrates is known as**

A. Father of Medicine
B. Father of Pharmacognosy
C. Father of Polypharmacy
D. Father of Experimental Medicine

**102. The types of antagonism are:**

A. Summarized B. Potentiated
C. Additive D. Competitive

**103. Metabolism phase 1 is:**

A. The process of acetylation and methylation
B. The process of transformation of substances by various reaction like oxidation, reduction or hydrolysis
C. Glucuronide formation
D. Attachment to plasma proteins

**104. Intramuscular route:**

- **A.** provides faster absorption as compared to oral route
- **B.** Can be used to inject mild irritant type substance
- **C.** In case of child is made into the gluteus maximus muscle
- **D.** Can be used to inject a volume of 25 ml

**105. A process is called _____ in which a weak acid becomes less water-soluble and more lipid-soluble at low pH.**

- **A.** Distribution
- **B.** Permeation
- **C.** Protonation
- **D.** Elimination

**106. In case of liver disorders accompanied by a decline in microsomal enzyme activity the duration of action of some drugs is:**

- **A.** Decreased
- **B.** Enlarged
- **C.** Remained unchanged
- **D.** Changed insignificantly

**107. Majority of drugs which are capable to cross plasma membrane are:**

- **A.** Weakly basic drugs
- **B.** Weakly acidic drugs
- **C.** Strong electrolytes
- **D.** Nonpolar drugs

**108. Two drugs binding to the same receptors is:**

- **A.** Chemical antagonism
- **B.** Pharmacokinetic antagonism
- **C.** Competitive antagonism
- **D.** Non-competitive antagonism

**109. Elimination is best described by:**

- **A.** Rate of renal tubular reabsorption
- **B.** Clearance speed of some volume of blood from substance
- **C.** Time required to decrease the amount of drug in plasma by one-half
- **D.** Clearance of an organism from a xenobiotic

**110. The duration of action of a drug is dependent of its:**

- **A.** Plasma and tissue binding
- **B.** Metabolism
- **C.** Tubular filtration and secretion
- **D.** All of the above

**111. Pharmacodynamics involves the study of following, except:**

- **A.** Therapeutic effects of drugs
- **B.** Absorption and distribution of drugs
- **C.** Mechanisms of drug action
- **D.** Biological effects of drugs

**112. Most drugs and metabolites are excreted by:**

- **A.** The kidneys
- **B.** The bile
- **C.** The lungs
- **D.** Perspiration, saliva and tears

**113. Once the drug enters the blood, which it subsequently penetrates the tissues and other body fluids depends on:**

- **A.** Capillary permeability
- **B.** Extent of plasma protein and tissue binding
- **C.** Transport mechanism
- **D.** All of the above

**114. Which one is the correct statement about most of the drug receptors?**

- **A.** They are small molecules having molecular weight range between 100 and 1000
- **B.** They are lipids in nature arranged in a bilayer configuration
- **C.** They are proteins in nature located on cell membranes, cytosol or on nuclear membrane
- **D.** DNA molecules

**115. Drugs interact with their receptor sites by forming:**

- **A.** Ionic bonds
- **B.** Hydrogen bonds
- **C.** van der Waals bond
- **D.** All of the above

**116. If an agonist can produce submaximal effects and has moderate efficacy it is called:**

- **A.** Partial agonist
- **B.** Antagonist
- **C.** Agonist-antagonist
- **D.** Full agonist

**117. The substance binding to one receptor subtype as an agonist and to another as an antagonist is called:**

- **A.** Competitive antagonist
- **B.** Irreversible antagonist
- **C.** Agonist-antagonist
- **D.** Partial agonist

**118. When therapeutic effects decline both below and above a narrow range of doses, a drug is said to exhibit:**

- **A.** Ceiling effect
- **B.** Desensitization
- **C.** Therapeutic window phenomenon
- **D.** Non-receptor-mediated action

**119. Choose the substance which changes the activity of an effectors element but does not belong to second messengers:**

- **A.** cAMP
- **B.** cGMP
- **C.** G-protein
- **D.** Calcium ions

**120. Characteristic unwanted reaction which is not related to a dose or to a pharmacodynamic property of a drug is called:**

- **A.** Idiosyncrasy
- **B.** Hypersensitivity
- **C.** Tolerance
- **D.** Teratogenic action

**121. What term is used to describe a more gradual decrease in responsiveness to a drug, taking days or weeks to develop?**

- **A.** Refractoriness
- **B.** Cumulative effect
- **C.** Tolerance
- **D.** Tachyphylaxis

**122. Tolerance and drug resistance can be a resultant as a consequence of:**

- **A.** Drug dependence
- **B.** Increased metabolic degradation
- **C.** Depressed renal drug excretion
- **D.** Activation of a drug after hepatic first-pass

**123. The route of drug administration that gives the most rapid onset of the pharmacological effect is:**

- **A.** Intramuscular injection
- **B.** Intravenous injection
- **C.** Intradermal injection
- **D.** Peroral administration

**124. What is the type of drug-to-drug interaction which is connected with processes of absorption, biotransformation, distribution and excretion?**

- **A.** Pharmacodynamic interaction
- **B.** Physical and chemical interaction
- **C.** Pharmaceutical interaction
- **D.** Pharmacokinetic interaction

**125. The removal of oxygen or an alteration in a drug which leads to a decrease in the proportion of oxygen in the drug compound is known as:**

- **A.** Oxidation
- **B.** Reduction
- **C.** Hydrolysis
- **D.** All the above

**126. The absorption time of a drug can be reduced by:**

- **A.** Making a more soluble salt — for oral
- **B.** By using hyaluronidase — for injection
- **C.** By using vasoconstrictor substances
- **D.** By giving combination of drugs

**127. Definition for a therapeutic dose is:**

- **A.** The amount of a substance to produce the minimal biological effect
- **B.** The amount of a substance to produce side effects for an organism
- **C.** The amount of a substance to produce the required effect in most patients
- **D.** All of the above

**128. If two drugs with the same effect, taken together, produce an effect that is equal in magnitude to the sum of the effects of the drugs given individually, it is called:**

- **A.** Antagonism
- **B.** Potentiation
- **C.** Additive effect
- **D.** None of these

**129. Choose the best suitable statement regarding clinical trials of a new drug:**

- **A.** Phase I involves the study of a small number of normal volunteers by highly trained clinical pharmacologists
- **B.** Phase II involves the use of the new drug in many patients (100–5000) who have the disease to be treated
- **C.** Phase III involves the determination of the drug's therapeutic index by the cautious induction of toxicity
- **D.** Chemical antagonist

**130. Parenteral administration:**

- **A.** Cannot be used with unconsciousness of patients
- **B.** Generally, results in a less accurate dosage than oral administration
- **C.** Usually produces a more rapid response than oral administration
- **D.** Is too slow for emergency

## ANSWER KEY

| | | | | | | | | | | | | | | |
|---|---|---|---|---|---|---|---|---|---|---|---|---|---|---|
| 1. C | 2. A | 3. B | 4. D | 5. C | 6. D | 7. A | 8. B | 9. B | 10. A | 11. A | 12. C | 13. C | 14. B |
| 15. A | 16. C | 17. D | 18. A | 19. B | 20. B | 21. B | 22. B | 23. C | 24. A | 25. C | 26. C | 27. A | 28. C |
| 29. A | 30. A | 31. A | 32. B | 33. D | 34. C | 35. A | 36. D | 37. B | 38. D | 39. C | 40. B | 41. C | 42. A |
| 43. C | 44. B | 45. B | 46. B | 47. C | 48. C | 49. A | 50. B | 51. D | 52. D | 53. A | 54. B | 55. C | 56. C |
| 57. A | 58. B | 59. D | 60. C | 61. C | 62. B | 63. A | 64. C | 65. C | 66. A | 67. C | 68. C | 69. D | 70. D |
| 71. C | 72. B | 73. B | 74. D | 75. D | 76. A | 77. D | 78. B | 79. B | 80. A | 81. C | 82. D | 83. D | 84. B |
| 85. C | 86. B | 87. D | 88. A | 89. A | 90. C | 91. C | 92. C | 93. D | 94. D | 95. A | 96. C | 97. B | 98. A |
| 99. C | 100. D | 101. A | 102. D | 103. B | 104. B | 105. C | 106. B | 107. A | 108. C | 109. D | 110. D | 111. B | 112. A |
| 113. D | 114. C | 115. D | 116. A | 117. C | 118. C | 119. C | 120. B | 121. C | 122. B | 123. B | 124. D | 125. B | 126. A |
| 127. C | 128. C | 129. A | 130. C | | | | | | | | | | |