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Pharmacology I

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Q 1 no Define drugs receptors, enumerate different receptor families and explain the receptors that show its effect through second messenger system.

Receptors - Receptors is a macromolecule in the membrane or inside the cell that specifically (chemically) bind a ligand drugs.

Receptor families -

- ① Ligand-gated ion channels (ionotropic receptors)
- ② G-protein coupled receptors (metabotropic receptors)
- ③ Enzymatic receptors
- ④ Receptor regulating gene expression (transcription factor) or the nuclear receptor.

Explanation of the receptors that show its effect through second messenger system -

G-protein Coupled receptors Shows its effect through Second messenger systems. They are Coupled to intracellular effectors through G proteins. G proteins are membrane proteins and have three subunits (α, β, γ) with GTP bound to α subunit.

- G protein Coupled Receptors • Effector pathways and Second messengers.

G protein Coupled receptors (GPCRs) Control cell function via adenylyl cyclase phospholipase C and ion channels.

The agonist that receptor binds to the receptors is the first messenger. it results in the generation or recruitment of molecules (second messenger) that initiate that signaling mechanism in a cell. Examples of second IP_3 -DAG (generated by phospholipase C) nitric oxide, etc.

Binding of agonist to Receptors

→ Coupling of G protein to the Receptors → GTP bound to α subunit exchanged with GTP

↓
Dissociation of G-protein subunits from occupied

receptor: α GTP also dissociates from by subunit ↓

α GTP and β subunits are released

Stimulation of
GTPase associated
with α subunit



GTP → GDP



α dissociates with
 β subunit

Bind to target enzyme
/ ion
channel -



Effect produced depends on
the type of G protein (G_{12} , G_{13} ,
 G_q and G_{10}) which
associates with agonist occupied
receptor (see below)

... magnesium
... interfere with H
... cyclines

Q2. Define drug Interaction enuemerate its various types, and explain pharmacokinetic drug Interactions and its factors with example.

Drug Interaction: - A drug interaction is a change in the action or side effects of a drug caused by concomitant administration with a food, beverage, supplement or another drug.

Different types of drug interaction.

- ① pharmaceutical Interactions:-
- ② pharmacokinetic Interaction.
- ③ pharmacodynamic interactions.

- **pharmacokinetic Interactions:** - These occur when drugs alters the absorption, distribution metabolism or excretion of another drug.

• **Absorption:** - Antacids (containing aluminium, magnesium and calcium) iron, etc Interfere with the absorption of tetracyclines by forming

unabsorbable complexes with it.

Some drugs effect absorption of other drugs by altering gastrointestinal motility. Metoclopramide increases the rate of gastric emptying and promotes absorption of aspirin.

- **Distribution:** plasma protein binding can cause displacement interaction. More than one with lower affinity this result in increase in concentration of unbound drug e.g. salicylates displace warfarin from binding sites resulting in increased free warfarin levels and enhanced anticoagulant effect.

Metabolism: This occurs when metabolism and ~~ending~~ of the drugs is increased (enzyme induction) or decreased (enzyme inhibition) by another drug e.g. Carbamazepine induces that metabolizing enzyme of warfarin thus enhancing ~~inhibits~~ its metabolism and leading to decreased anticoagulant effect.

Erythromycin inhibits the metabolizing enzyme of Carbamazepine and may increase its toxicity.

• Excretion:- Most of them occur in the kidneys.

- Salicylates Interfere with the excretion of methotrexate and potentiates its toxicity
- probenecid decreases renal tubular secretion of penicillins and prolongs duration of action of penicillins (beneficial interaction).

Q3 Differentiate between general and local anesthesia. Explaining Stages of anesthesia in detail.

Differentiate between general and local anesthesia.

Features	Gen Anesthesia	Local anesthesia
Site of action	CNS	peripheral nerves
Area of body involved	whole body	Restricted area
Consciousness	Lost	Unaltered
Care of vital functions	Essential	usually not needed.
poor health patients	Risky	Safer
Use in non cooperative patients	possible	Not possible.
Major Surgery	preferred	Cannot be preferred
Minor Surgery	Not preferred	preferred.

Stages of Anesthesia :-

There are four stages of Anesthesia.

① Stage of analgesia: - The patient is conscious but drowsy.

② Stage of excitement -

- patient loses consciousness
- Sympathetic activity is increased.
- ↑ Heart rate (HR)
- ↑ blood pressure (BP)
- pupils are dilated
- muscle tone is increased breathing is irregular.

③ Stages of surgical anaesthesia.

- Respiration becomes regular
- Muscle relax
- Reflexes are gradually lost
- Intercostal muscles are paralyzed.

④ Stage of medullary paralysis.

Respiration and vaso motor centre are depressed death occurs within a few minutes.

Q4: - a) what does heart failure means explain the pathophysiology of heart failure.

Heart failure: - Heart failure is a pathophysiological state in which the heart is unable to pump sufficiently to maintain blood flow to meet the body needs.

pathophysiology of heart failure: - Heart failure is caused by any condition which reduces the efficiency of the heart muscle through damage or ~~over~~ overloading. Over time these increase in workload which are mediated by long term activation of neurohormonal system leads to fibrosis dilation and structural change in the shape of the left ventricle from of elliptical to spherical.

The heart of a person with heart failure may have a reduced force of contraction due to overloading of the ventricle. In a normal heart increased filling of the ventricle. In a normal heart, increased contraction force by the Frank-Starling law of the heart and thus a rise in cardiac output. In heart muscle contraction becomes less efficient. This is due to reduced ability

to cross link actin and myosin filaments in over stretched heart muscle.

(B) Classify the drugs used for the treatment of heart failure explain along with mechanism.

① Diuretics:- They promote Na^+ and water excretion thus decrease preload on heart.

② Vasodilators:- They decrease both preload and after load on heart.

③ Beta Adrenergic Blockers:- They reduce the heart rate and thus reduce the oxygen demand of the heart.

④ Sympathomimetic amines:- They provide symptomatic relief in patient with ventricular dysfunction.

⑤ Cardiac glycosides:- They increase the availability of Ca^{2+} for excitation-contraction coupling thus increasing myocardial contractility and cardiac output.

Q 5:- (a) Differentiate between broad spectrum and narrow spectrum antibiotics
classify antibiotic drugs.

Difference

~~Difference~~ between narrow and broad spectrum Antibiotics:-

- Narrow antibiotics can only fight $\&$ against certain types of bacteria.
e.g. - penicillins, aminoglycosides
- Broad spectrum antibiotics are effective when used against many different types of bacteria.
e.g. - Tetracyclines
Chloramphenicol

(B) Explain briefly the mechanism of action of antiviral agents

Mechanism of action of antiviral agents:-

(1) Drug use against herpetic infection.
e.g. ~~Arg~~ ~~Asy~~

e.g. Acyclovir

it inhibit viral DNA synthesis.

② antiretroviral agents:-

These drugs after entering HIV infected cells are converted to their active triphosphate forms by cellular kinases and competitively inhibits HIV reverse transcriptase. They get incorporated into the growing viral DNA and cause termination of chain elongation of proviral DNA.

③ Antiinfluenza agents:-

For example:- Amantadine. It interferes with the release of infectious viral nucleic acid into the host cell through interaction with the transmembrane domain of the M2 protein of the virus.

④ Interferons:- Antiviral activity of interferons is due to the inhibition of viral penetration, synthesis of mRNA, translation of viral proteins, assembly of viral particles and their release.

⑤ Ribavirin: it competitively inhibits the viral ~~mRNA~~ mRNA synthesis.