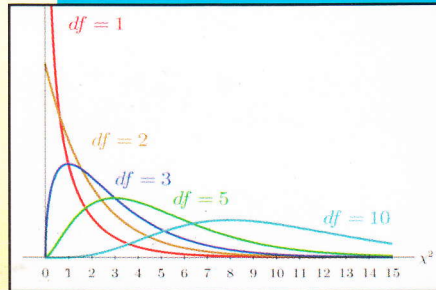
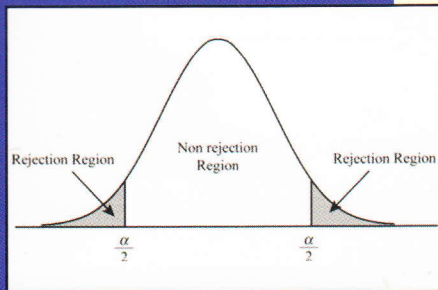
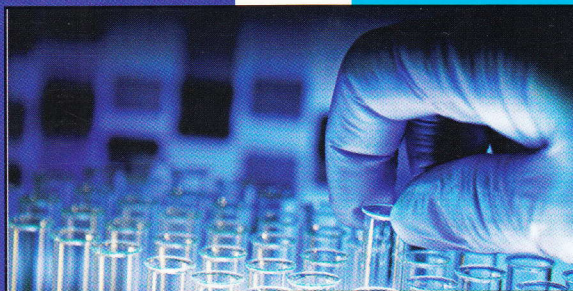


AS PER PCI REGULATIONS
THIRD YEAR B. PHARM.
SEMESTER-VI

EXPERIMENTAL PHARMACOLOGY-III

Dr. GHANSHYAM PANIGRAHI

Dr. ARJUN PATRA



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Experiment No. 5

EFFECT OF AGONIST AND ANTAGONISTS ON GUINEA PIG ILEUM

(Chapter contributed by Dr. Ghanshyam Panigrahi and Dr. Arjun Patra)

Purpose:

At the end of practical class, the students shall be able to:

1. Know about agonist and antagonist.
2. Know about the changes in the DRC of agonist due to competitive antagonism.
3. Know about the guinea pig ileum preparation.

Terminology:

Receptor: It is defined as a macromolecule or binding site located on the surface or inside the effector cell that serves to recognize the signal molecule/drug and initiate the response to it, but itself has no other functions.

Agonist: An agent which activates a receptor to produce an effect similar to that of the physiological signal molecule.

Antagonist: An agent which prevents the action of an agonist on a receptor or the subsequent response, but does not have any effect of its own.

Inverse agonist: An agent which activates a receptor to produce an effect in the opposite direction to that of the agonist.

Partial agonist: An agent which activates a receptor to produce submaximal effect but antagonizes the action of a full agonist.

Description:

Most of the drugs do not bind directly to the effectors viz. enzymes, channels, transporters, structural proteins, template biomolecules, etc. but act through specific regulatory macromolecules which control the above effectors. These regulatory macromolecules or the sites on them which bind and interact with the drug are called 'receptors'. The receptor is a protein molecule whose function is to recognize and respond to endogenous chemical signals.

There is an important distinction between agonists, which 'activate' the receptors, and antagonists, which may combine at the same site without causing activation, and block the effect of agonists on that receptor. Agonists have both affinity and maximal intrinsic activity ($IA = 1$), e.g. adrenaline, acetylcholine, histamine, morphine. Competitive antagonists have affinity but no intrinsic activity ($IA = 0$), e.g. propranolol, atropine, chlorpheniramine,