

# AGONISTS VS ANTAGONISTS

Deepankar Ratha  
Assistant Professor  
CUTM, Rayagada



# AGONISTS

- MOLECULES WHICH BIND TO RECEPTORS ON CELLS
- THINK OF LOCK AND KEY; ONLY CERTAIN KEYS WILL WORK IN CERTAIN LOCKS
- HORMONES, NEUROTRANSMITTERS, AND ENDOGENOUS REGULATORS OF THE BODY
  - ❖ HORMONE: ESTROGEN
  - ❖ NEUROTRANSMITTER: HISTAMINE
  - ❖ ENDOGENOUS REGULATOR: SERATONIN
- DRUGS WHICH ACT LIKE REGULATORY MOLECULES OF THE BODY



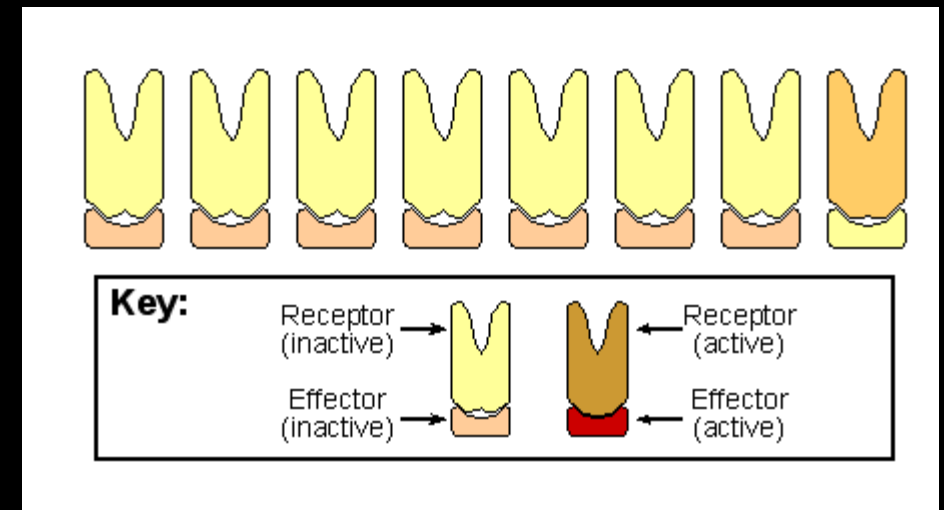
# AGONIST FACTS

- REACTIONS MAY NOT PROCEED FASTER DUE TO AN AGONIST BINDING
- JUST BECAUSE THE KEY IS IN THE LOCK DOES NOT MEAN THE DOOR WILL OPEN ANY FASTER
- SOME AGONIST ACTION MAY ACTUALLY RESULT IN A SLOWER BODY FUNCTION
- A KEY MAY UNLOCK THE DOOR AND YOU WILL MOVE IN SLOW MOTION



# BASELINE

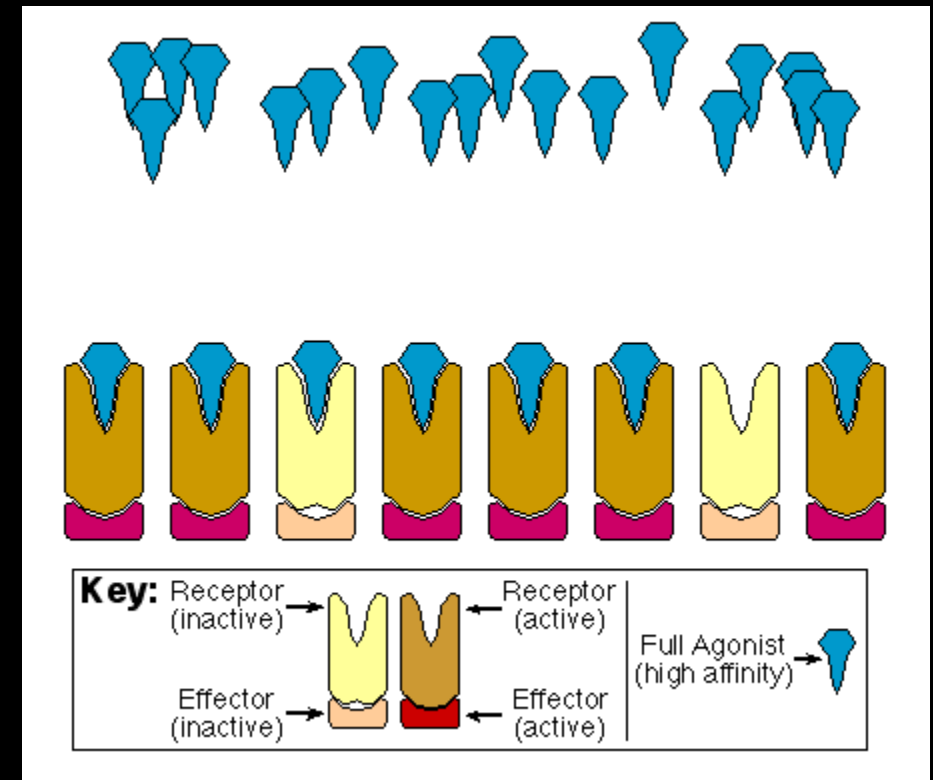
- LOW BASELINE ACTIVITY MAY BE POSSIBLE IN ANY SYSTEM WITHOUT AN AGONIST TO REPRESENT THE RECEPTOR/EFFECTOR; BRIEF ACTIVATION IS POSSIBLE
- THERE IS NOT ALWAYS A NEED FOR A KEY TO UNLOCK SOME DOORS; THEY CAN OPEN WITHOUT A KEY
- THESE DOORS DON'T STAY OPEN VERY LONG, BUT THEY ARE SUFFICIENT ENOUGH TO ALLOW OTHERS TO ENTER AND EXIT



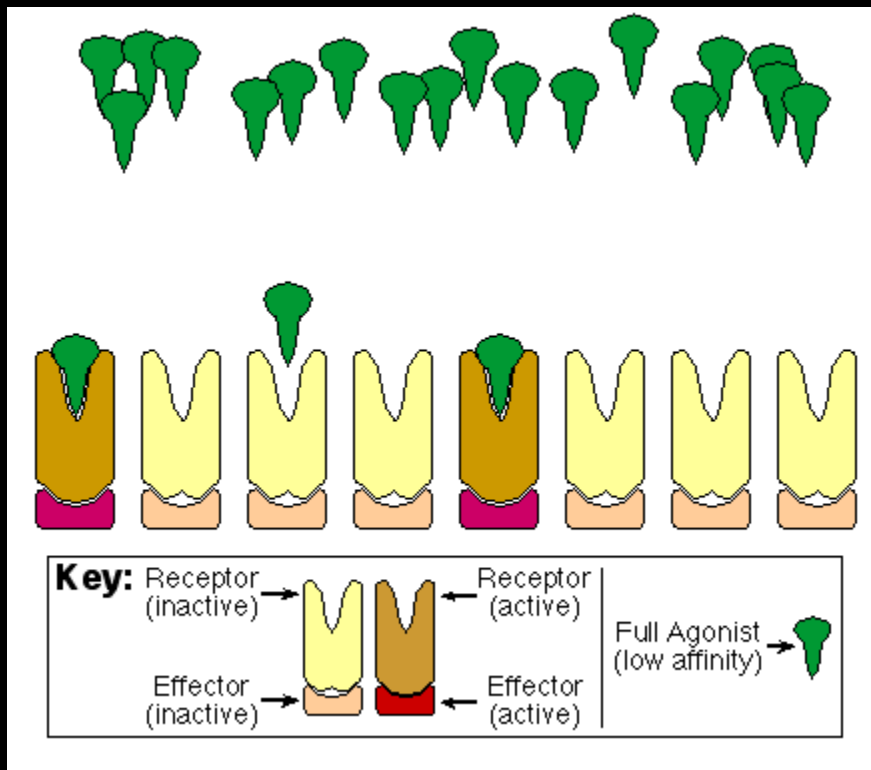


# HIGH EFFICACY/CONCENTRATION

- ALMOST ALL RECEPTORS MAY BE OCCUPIED WHEN A FULL AGONIST IS PRESENT AT A HIGH CONCENTRATION
- WHEN A DOOR LOCK HAS A KEY IN IT ALREADY, YOU CANNOT ADD ANOTHER KEY; THIS LEAVES MANY UNUSED KEYS



# LOW AFFINITY AGONISTS



- EVEN IN HIGH CONCENTRATIONS, A LOW AFFINITY AGONIST WILL NOT BE ABLE TO BIND AS EFFICIENTLY TO THE RECEPTOR SYSTEM
- SOME KEYS ARE JUST NOT AS GOOD AS OTHERS, AND YOU CAN HAVE A LOT OF KEYS THAT DON'T FIT THE LOCKS; LESS DOORS ARE UNLOCKED WHEN WE HAVE THE WRONG KEY
- THE LOW AFFINITY AGONIST WILL COME OFF OF THE BINDING SITE MUCH FASTER THAN THE HIGH AFFINITY AGONIST
- WHEN A BAD KEY IS BEING USED, IT WILL BE EASIER NOT TO USE THAT KEY, BUT RATHER A GOOD KEY, RESULTING IN AN OPEN DOOR



# ANTAGONISTS

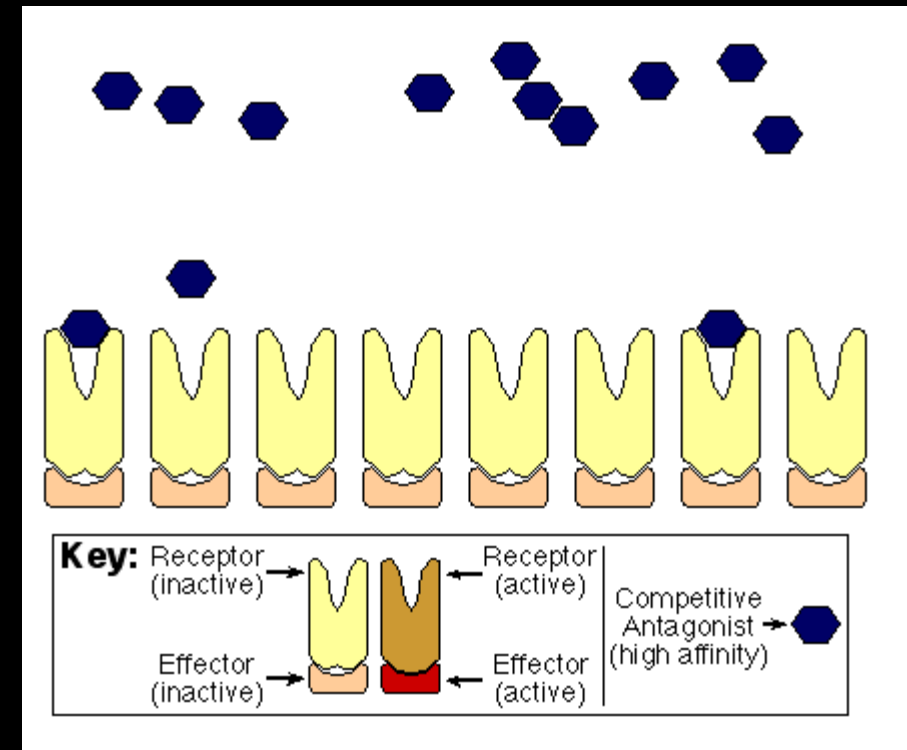
- INHIBITORS OF REGULATORY DRUGS AND MOLECULES; THEY PRODUCE THEIR OWN EFFECTS BY BLOCKING RECEPTORS
- CHILD SAFETY LOCKS ON THE DOORS; THEY WILL NOT ALLOW THE KEY TO ENTER THE LOCK
- RECEPTOR FUNCTION IS LIMITED DUE TO AN AFFINITY, BUT THERE IS LITTLE TO NO INTRINSIC ACTIVITY WITHIN THE CELL
- THESE CHILD SAFETY LOCKS LIKE TO ATTACH THEMSELVES AND DO NOT ASSIST THE KEY
- NOT ALL ANTAGONIST ACTIVITY IS BAD; AN AGONIST MAY BE UNABLE TO FULLY BIND AND GENERATE A RESPONSE, ALLOWING THE ANTAGONIST TO ELIMINATE HARM TO THE PATIENT
- SOME DOORS ARE BETTER OFF CLOSED; IF LEFT OPENED, THERE MAY BE UNWANTED ENTRY OR EXIT





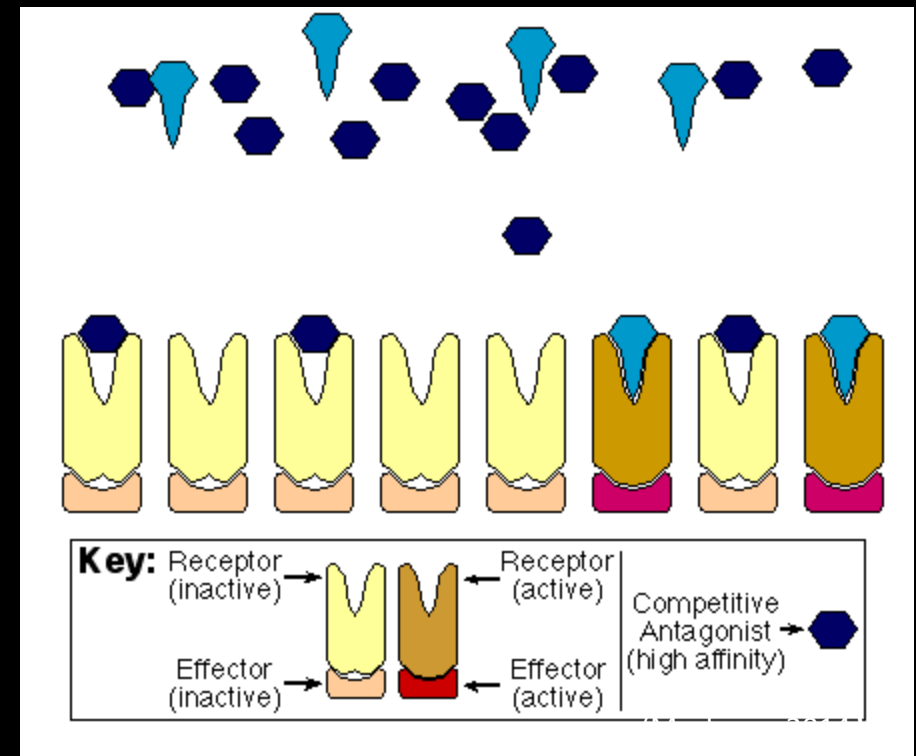
# HIGH AFFINITY ANTAGONISTS

- EFFECTORS ARE NOT ACTIVATED ALTHOUGH THE ANTAGONIST BINDS WITH THE HIGH AFFINITY RECEPTOR
- CHILD SAFETY LOCKS ARE REALLY EFFECTIVE AT KEEPING KEYS FROM ENTERING A LOCK; SOME UNLOCKED DOORS MAY NOT EVEN OPEN WHEN A CHILD SAFETY LOCK IS IN PLACE



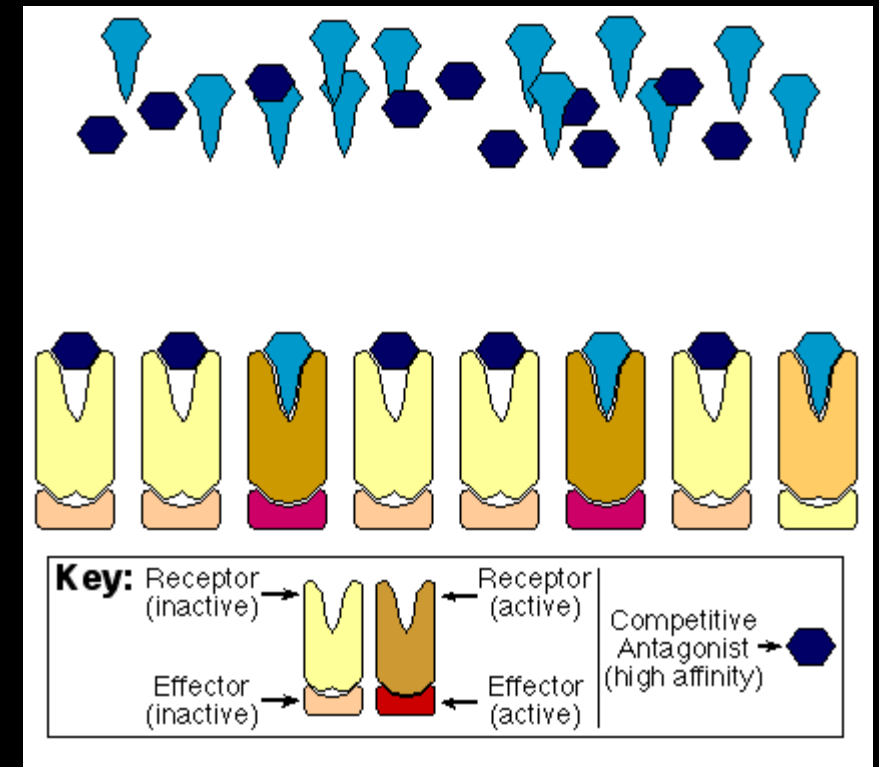
# COMPETING AGONISTS & ANTAGONISTS

- THE AGONIST CANNOT FULLY BIND TO THE RECEPTOR AS IT IS BEING BLOCKED BY THE ANTAGONIST
- SOME CHILD SAFETY LOCKS ARE WEAK AND KEYS CAN STILL GET TO THE LOCK; NOT ALL KEYS WILL BE EFFICIENT ENOUGH TO REACH THE DOOR LOCK

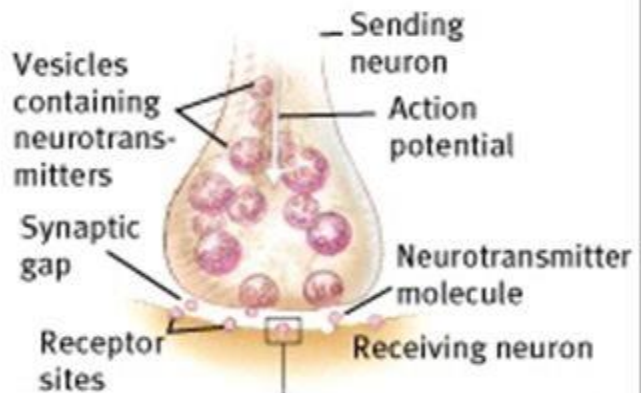


# COMPETING HIGH AGONISTS & ANTAGONISTS

- THE ANTAGONIST IS PRESENT HOWEVER THE AGONIST IS IN HIGH ENOUGH CONCENTRATION THAT IT CAN COMPETE FOR BINDING SITES
- CHILD SAFETY LOCKS AND KEYS WILL COMPETE WITH ONE ANOTHER TO SEE WHO GETS TO THE DOOR FIRST; SOMETIMES THE KEYS WIN; MORE KEYS = MORE UNLOCKED DOORS



# Agonists and Antagonists



Neurotransmitters carry a message from a sending neuron across a synapse to receptor sites on a receiving neuron.

This neurotransmitter molecule has a molecular structure that precisely fits the receptor site on the receiving neuron, much as a key fits a lock.

This agonist molecule excites. It is similar enough in structure to the neurotransmitter molecule that it mimics its effects on the receiving neuron. Morphine, for instance, mimics the action of endorphins by stimulating receptors in brain areas involved in mood and pain sensations. This antagonist molecule inhibits. It has a structure similar enough to the neurotransmitter to occupy its receptor site and block its action, but not similar enough to stimulate the receptor. Botulin poisoning paralyzes its victims by blocking ACh receptors involved in muscle movement.

