LLEGES

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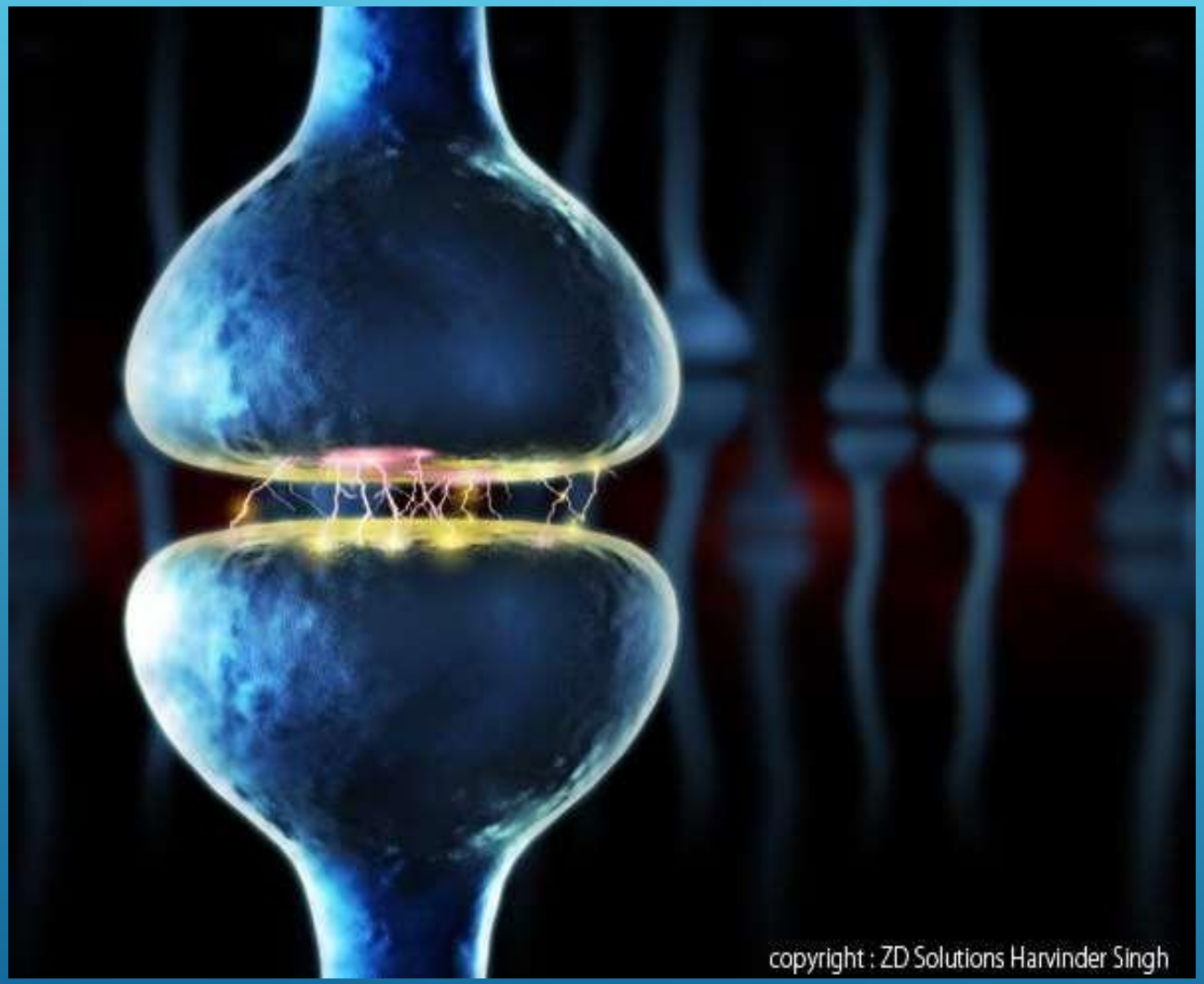
Department: LNCP
Subject: Medicinal Chemistry (BP-402T)
Unit: III
Topic: Cholinergic neurotransmitters (Receptors)
Date:-27/03/2020

CHOLINERGIC RECEPTORS

CHOLINERGIC RECEPTORS DEFINITION:-

: Chemical sites in effectors cells or at synapses through which acetylcholine exerts its action,

i.e.: cholinergic receptors respond to Acetyl Cholin in neurosynapse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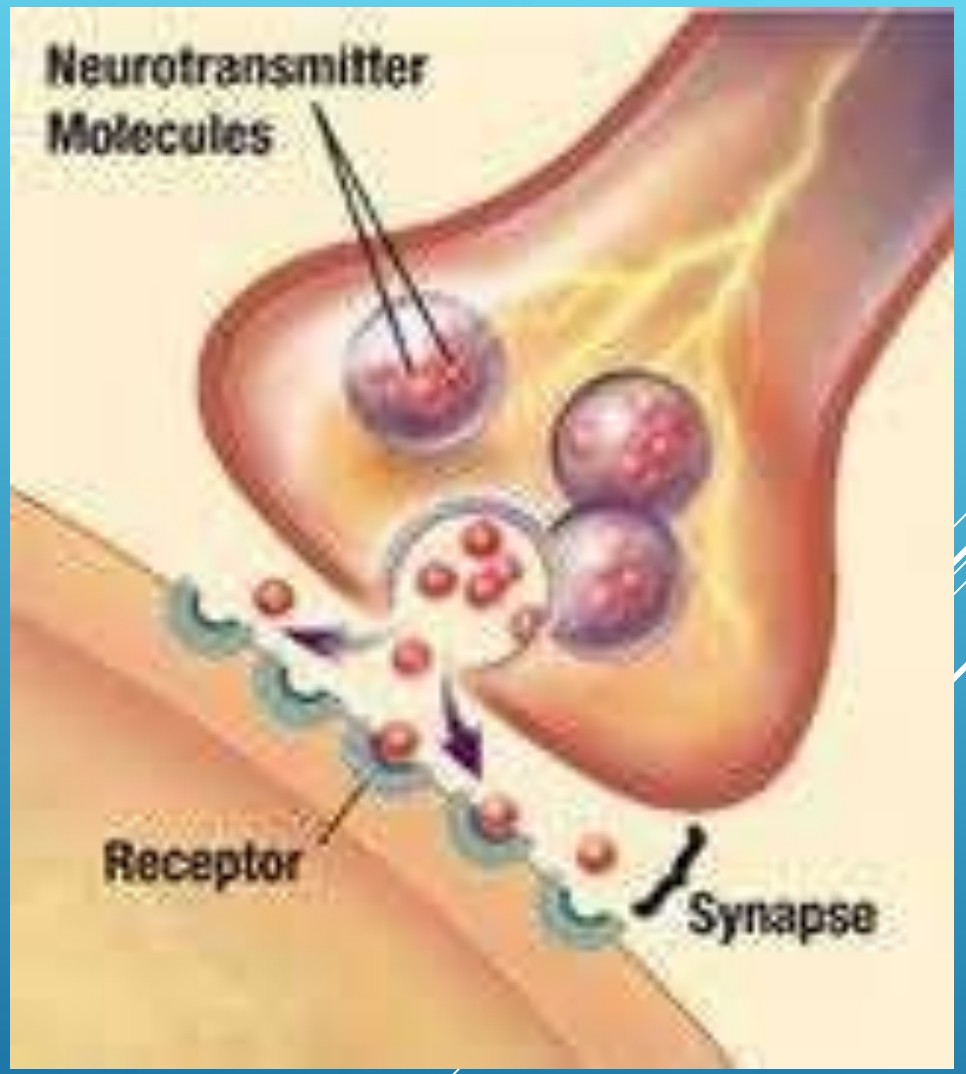
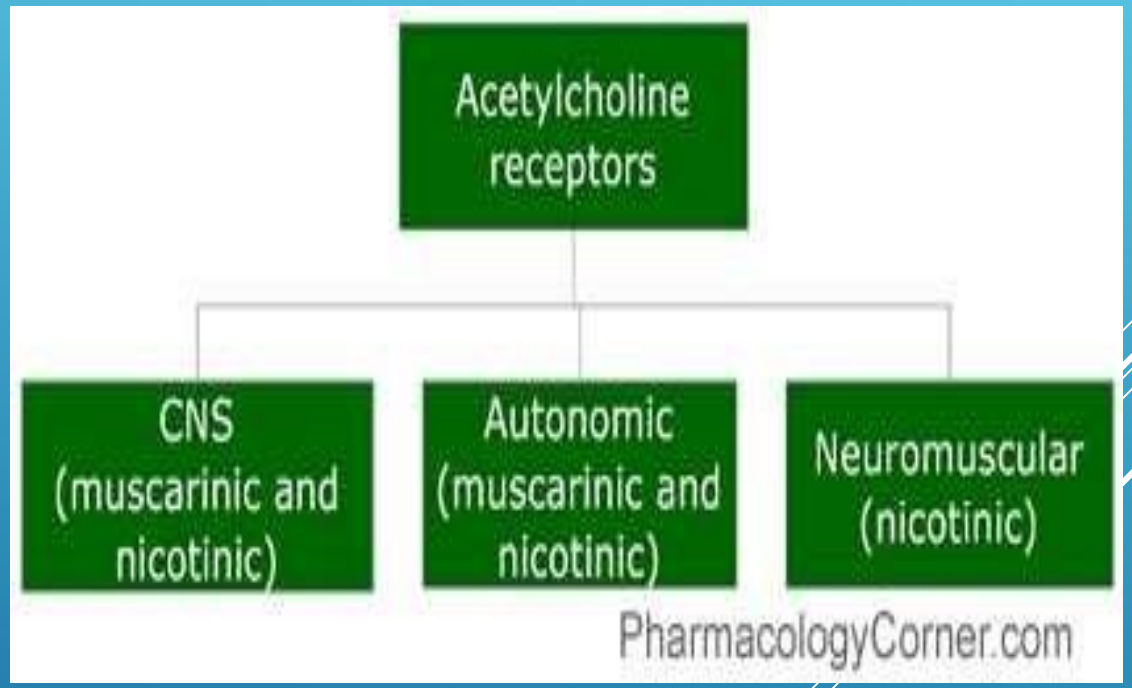

Neurotransmitter
Molecules
Receptor
Synapse

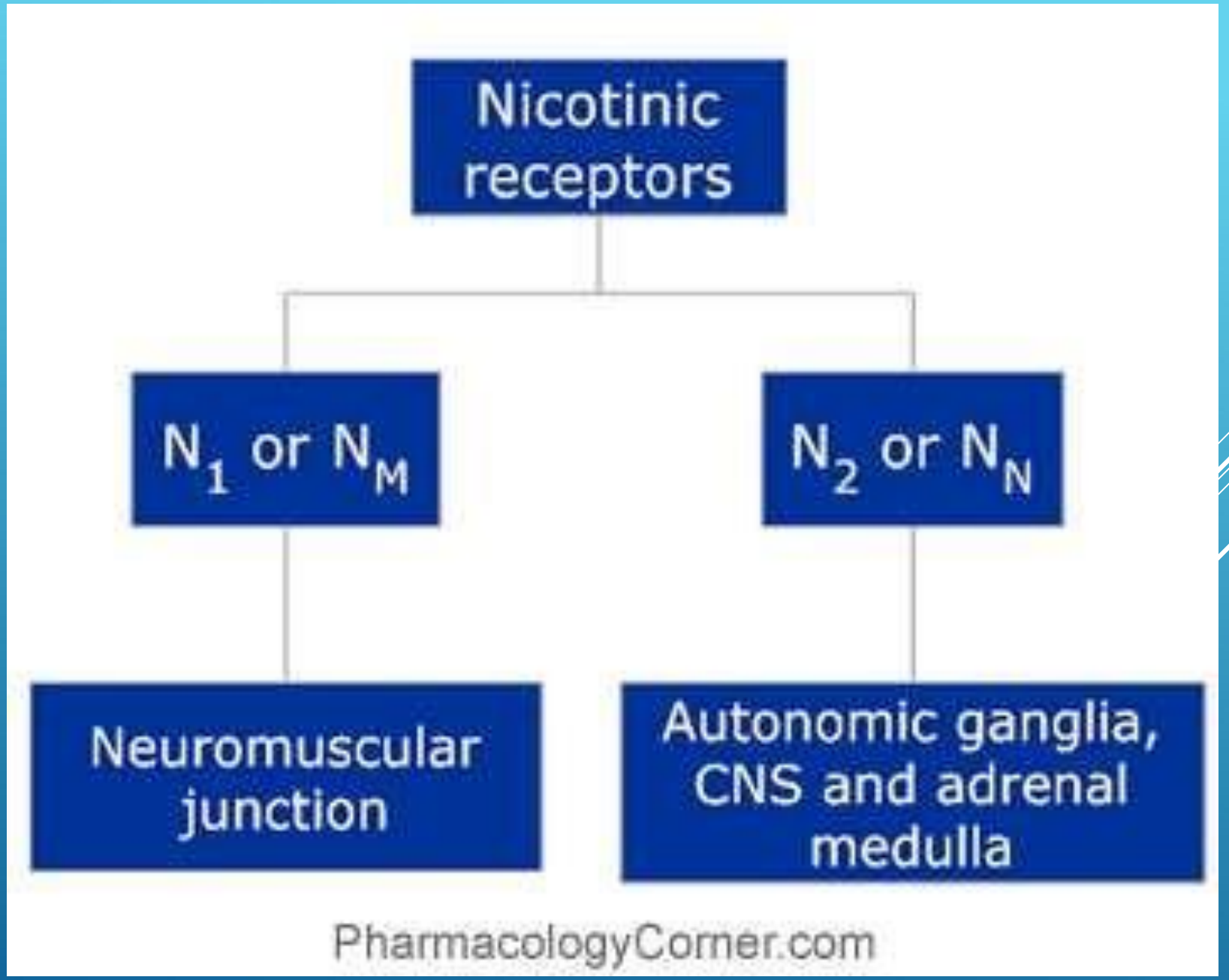
THE HUMAN BRAIN HAS A HUGE NUMBER OF SYNAPSES. EACH OF THE 10^11 (ONE HUNDRED BILLION) NEURONS HAS ON AVERAGE 7,000 SYNAPTIC CONNECTIONS TO OTHER NEURONS.

CHOLINERGIC RECEPTORS CLASSIFICATION

1. Nicotinic Receptors
2. Muscarinic Receptors

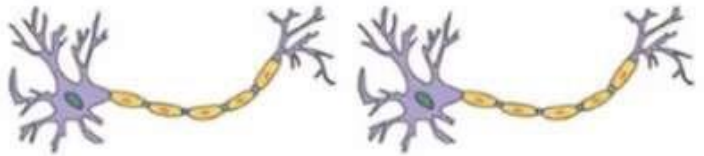


1-NICOTINIC


Nicotinic receptors
N_1 or N_M
N_2 or N_N
Neuromuscular junction
Autonomic ganglia, CNS and adrenal medulla
PharmacologyCorner.com

ACh → Nicotinic receptors

Skeletal muscle



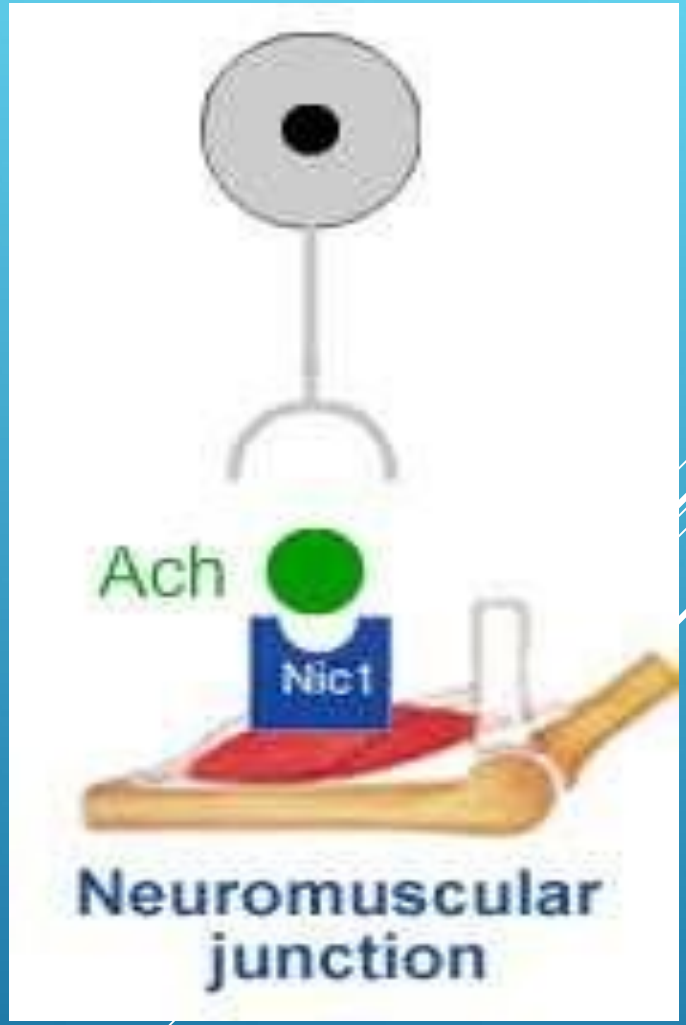
Preganglionic neuron

Postganglionic neuron

N1 OR N RECEPTORS:

THESE RECEPTORS ARE LOCATED AT THE NEUROMUSCULAR JUNCTION,

-ACETYLCHOLINE RECEPTORS OF THE NM SUBTYPE ARE THE ONLY ACETYLCHOLINE RECEPTORS THAT CAN BE FOUND AT THE NEUROMUSCULAR JUNCTION.

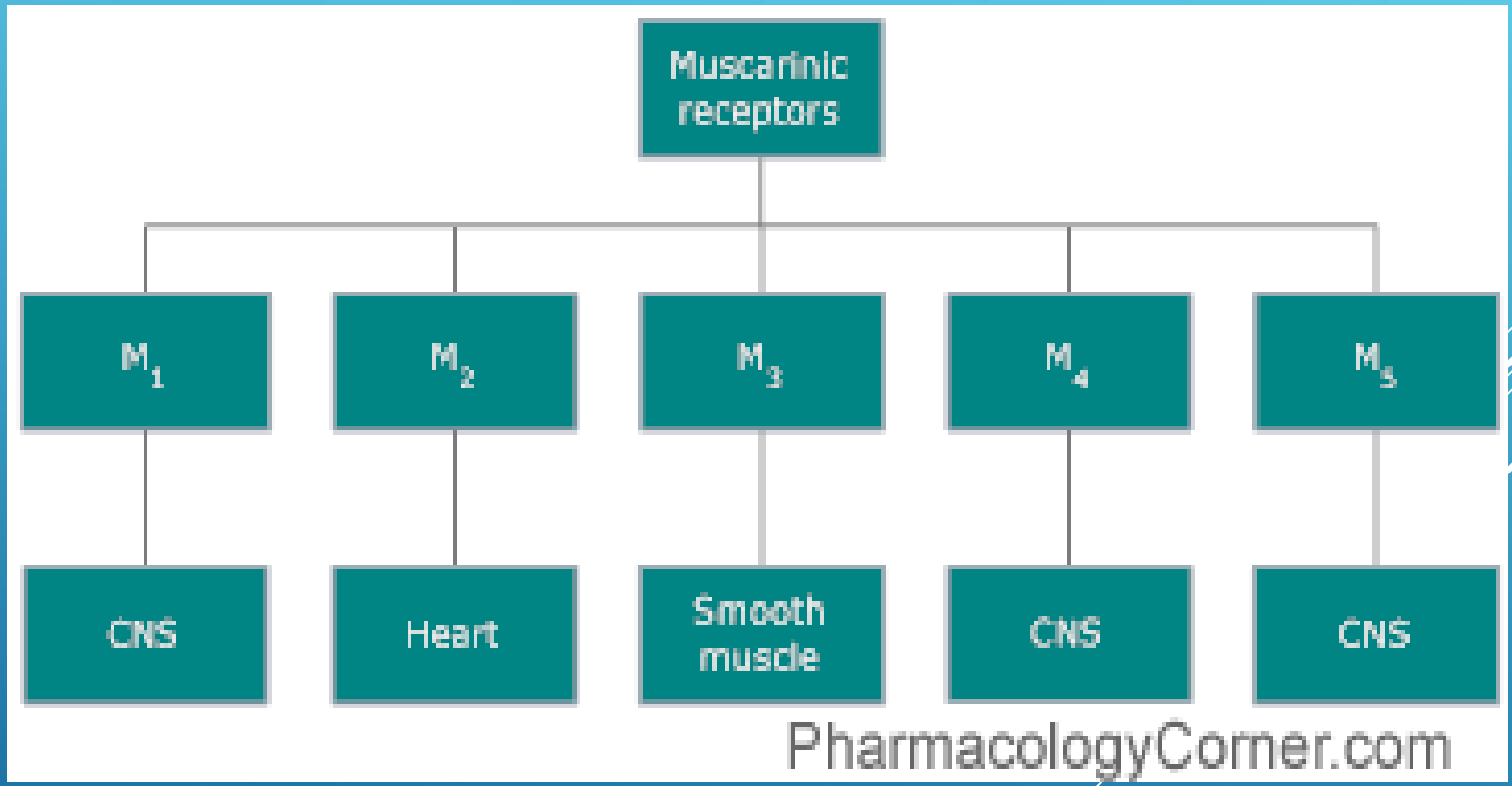


N2 OR NN RECEPTORS:

AS MENTIONED BEFORE, NICOTINIC RECEPTORS PLAY A KEY ROLE IN THE TRANSMISSION OF CHOLINERGIC SIGNALS IN THE AUTONOMIC NERVOUS SYSTEMS.

-NICOTINIC RECEPTORS OF THE NN SUBTYPE CAN BE FOUND BOTH AT CHOLINERGIC AND ADRENERGIC GANGLIA, BUT NOT AT THE TARGET TISSUES (E.G, HEART, BLADDER, ETC). THESE RECEPTORS ARE ALSO PRESENT IN THE CNS AND ADRENAL MEDULLA.

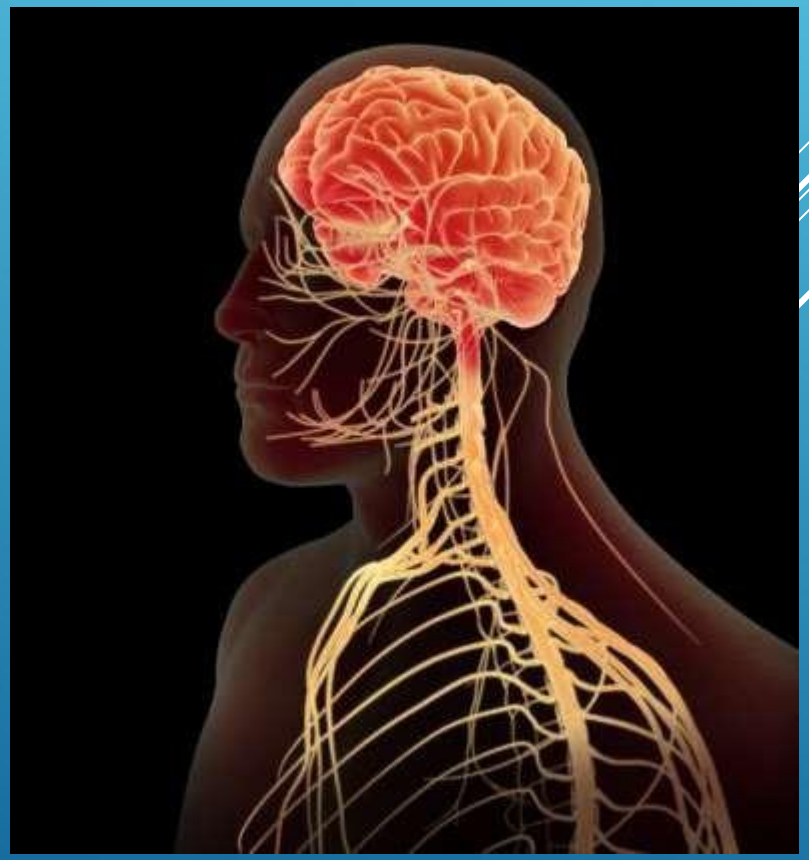
2-Muscarinic



M1, M4 and M5 receptors:

CNS. These receptors are involved in complex CNS responses such as memory, arousal, attention and analgesia.

M1 receptors are also found at gastric parietal cells and autonomic ganglia.



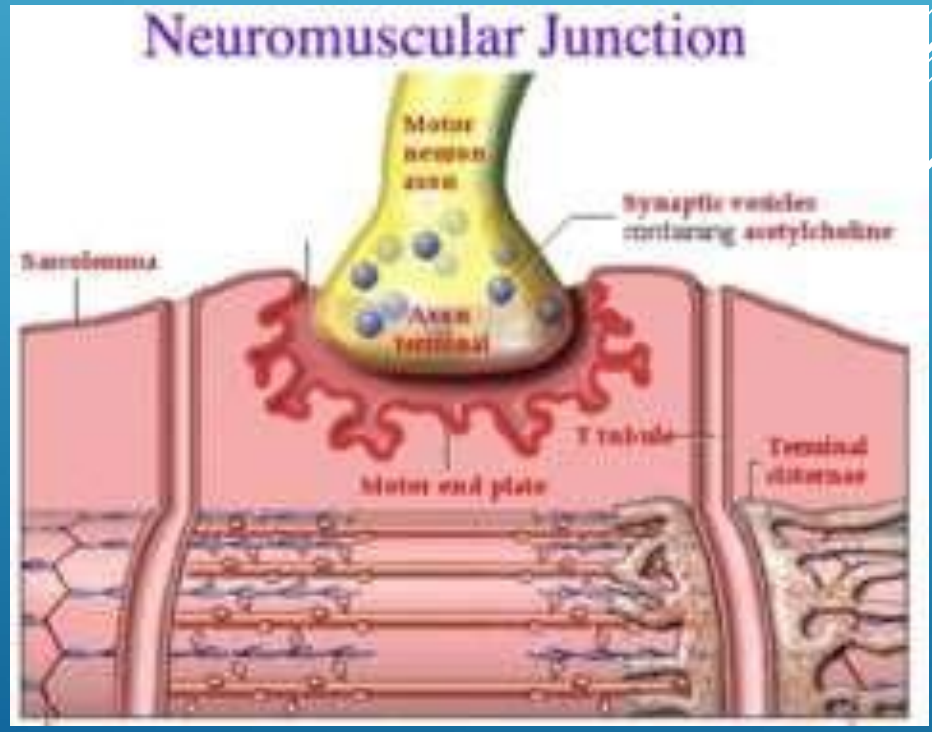
M2 receptors:

heart. Activation of M2 receptors lowers conduction velocity at sinoatrial and atrioventricular nodes, thus lowering

heart rate.

M3 receptors:

smooth muscle. Activation of M3 receptors at the smooth muscle level produces responses on a variety of organs that include: bronchial tissue, bladder, exocrine glands, among others.



CHOLINERGIC NEURONS

Cholinergic neurons: are those which release ac.ch at their endings

Types of cholinergic neurons:

1-Central cholinergic neurons: arise from CNS including all pregang neurons and somatic nerves

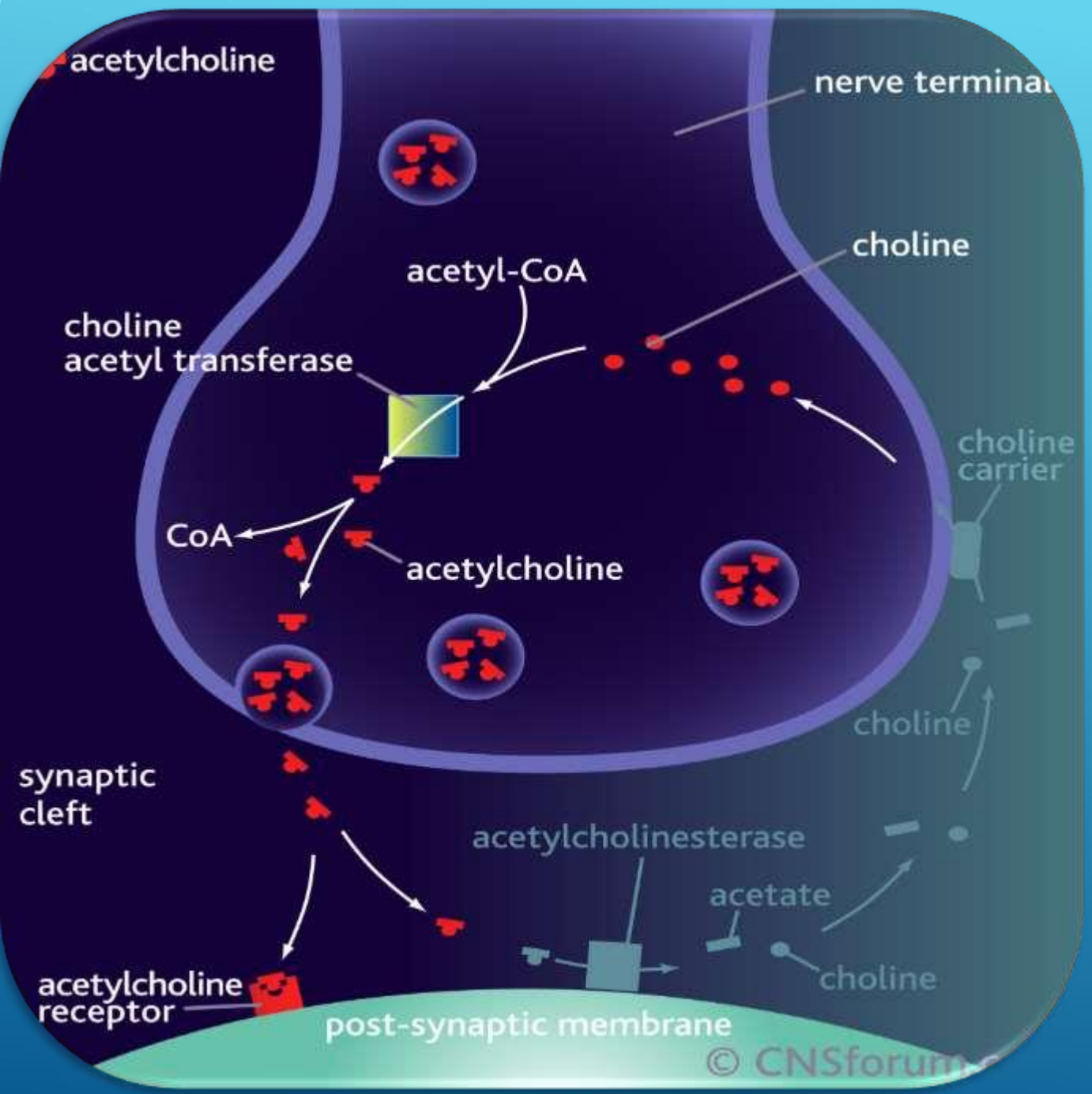
2-Peripheral cholinergic neurons: arise from autonomic ganglia including all parasympath and sympathetic cholinergic postganglionic nerves

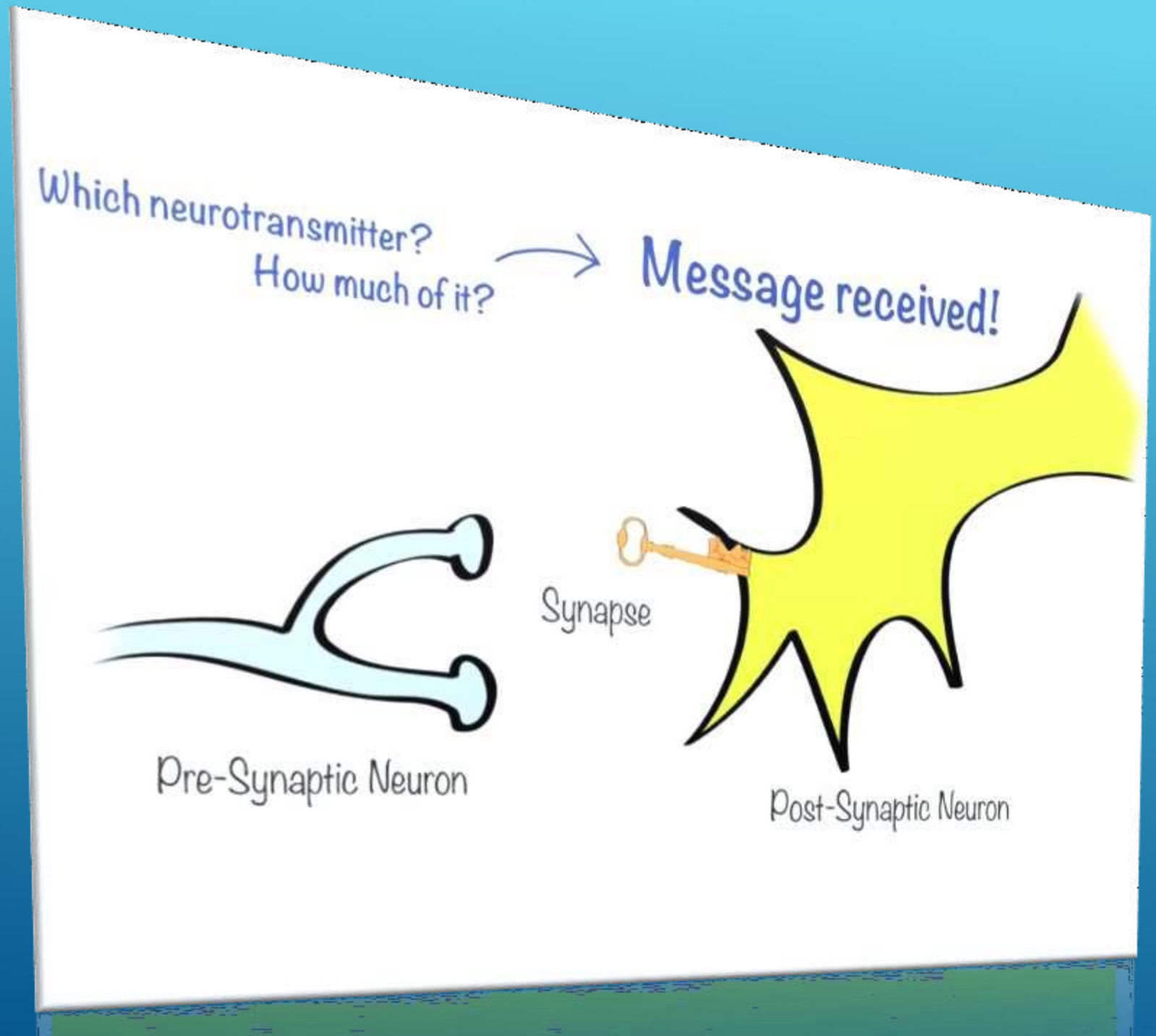
MECHANISM :-

- It binds with cholinergic receptors in effectors organs.

- Change of permeability of cell membrane to various ions

- It either increases permeability to Na or Ca ions or to K and Cl.


acetylcholine
nerve terminal
choline
acetyl-CoA
choline
acetyl transferase
choline
carrier
CoA
acetylcholine
choline
synaptic
cleft
acetylcholinesterase
acetate
choline
acetylcholine
receptor
post-synaptic membrane
© CNSforum


Which neurotransmitter?
How much of it?
Message received!
Synapse
Pre-Synaptic Neuron
Post-Synaptic Neuron


Neurotransmitter
Molecules
Receptor
Synapse

Drugs acting on cholinergic receptors

PARASYMPATHOMIMETIC DRUGS:

(A)- *DRUGS ACTING DIRECTLY:*

MUSCARINIC CHOLINERGIC AGONISTS: LIKE METHACHOLINE, PILOCARBINE, MUSCARINE AND A.C

NICOTONIC CHOLINERGIC AGONISTS: CARBACHOL & NI

(B)- *DRUGS ACTING INDIRECTLY: INHIBITS CHOLINESTERASE*

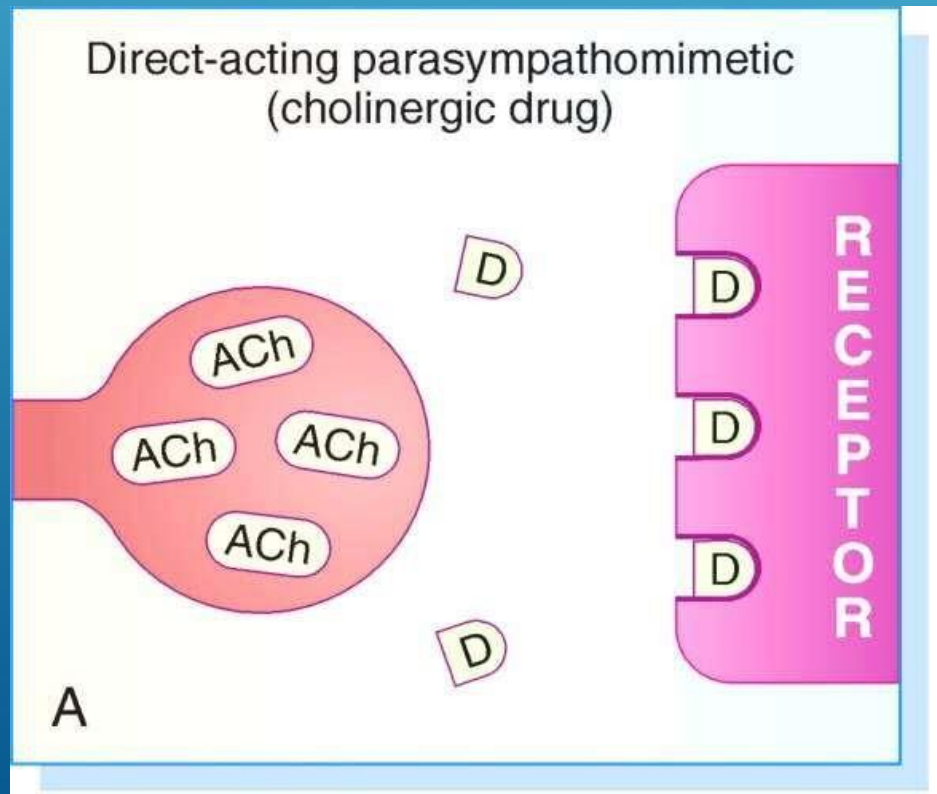
REVERSIBLE ANTICHOLINESTERASE E.G. ESERINE & PROSTIGMINE

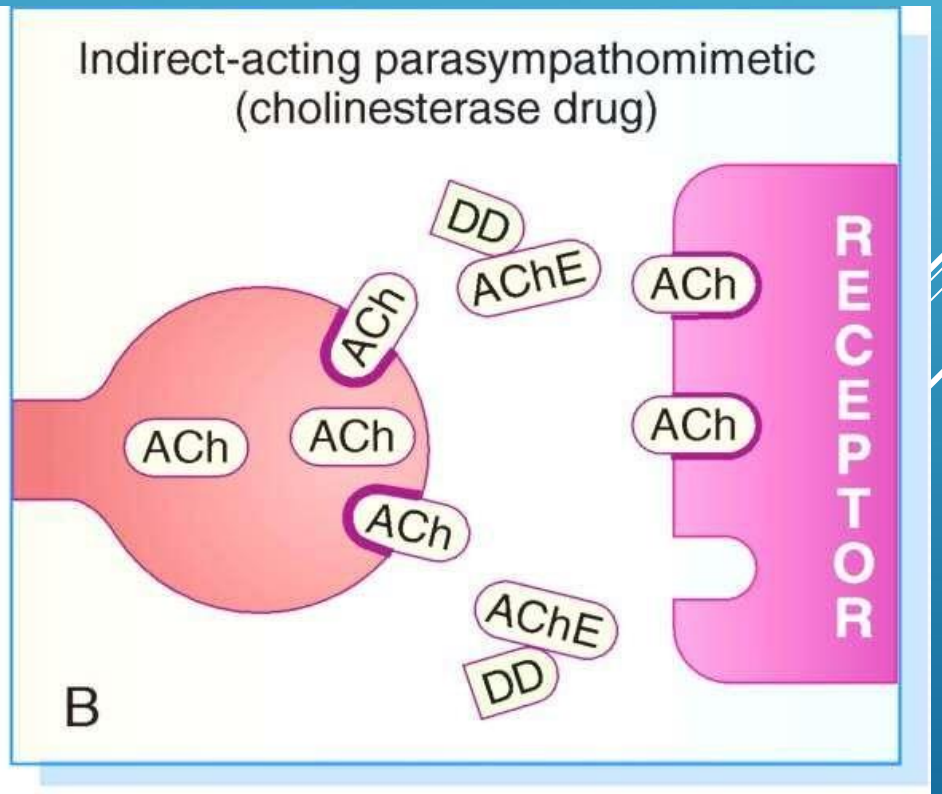
IRREVERSIBLE ANTICHOLINESTERASE E.G. PARATHION, DFP (GAS).

i.e. : the main idea is to block the sites of cholinergic receptors in thesynapse in 2 ways >>>

1- to fill the receptors sites with agonists of muscarinic or nicotinic .

2- use anticholinesterase to stop cholinesterase work .. and the sites will still filled with ACH .





THANK YOU FOR YOUR ATTENTION!

ANY QUESTIONS?