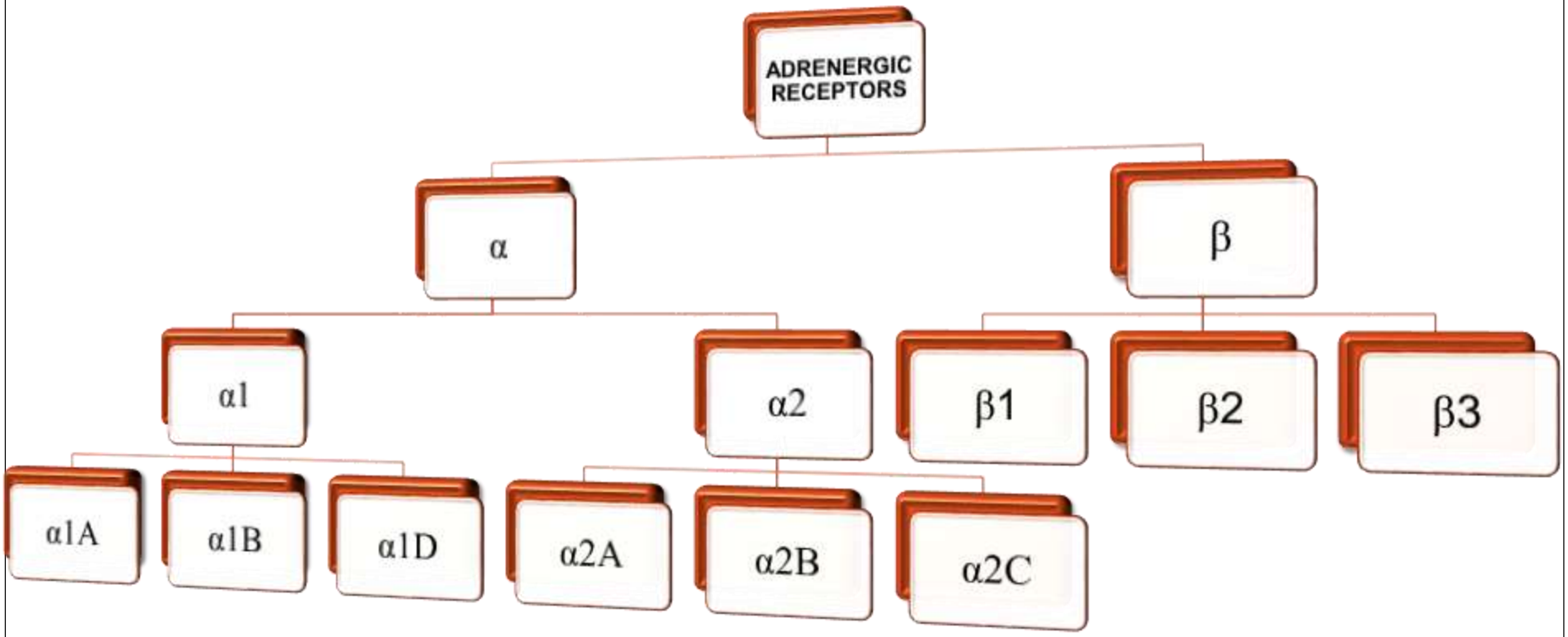
INTRODUCTION

- Adrenoceptors are membrane bound receptors located throughout the body on neuronal and non-neuronal tissues where they mediate a diverse range of responses to the endogenous catecholamines-noradrenaline and adrenaline.
- They are G protein coupled receptors.
- Binding of catecholamine to the receptor is responsible for fight or flight response.

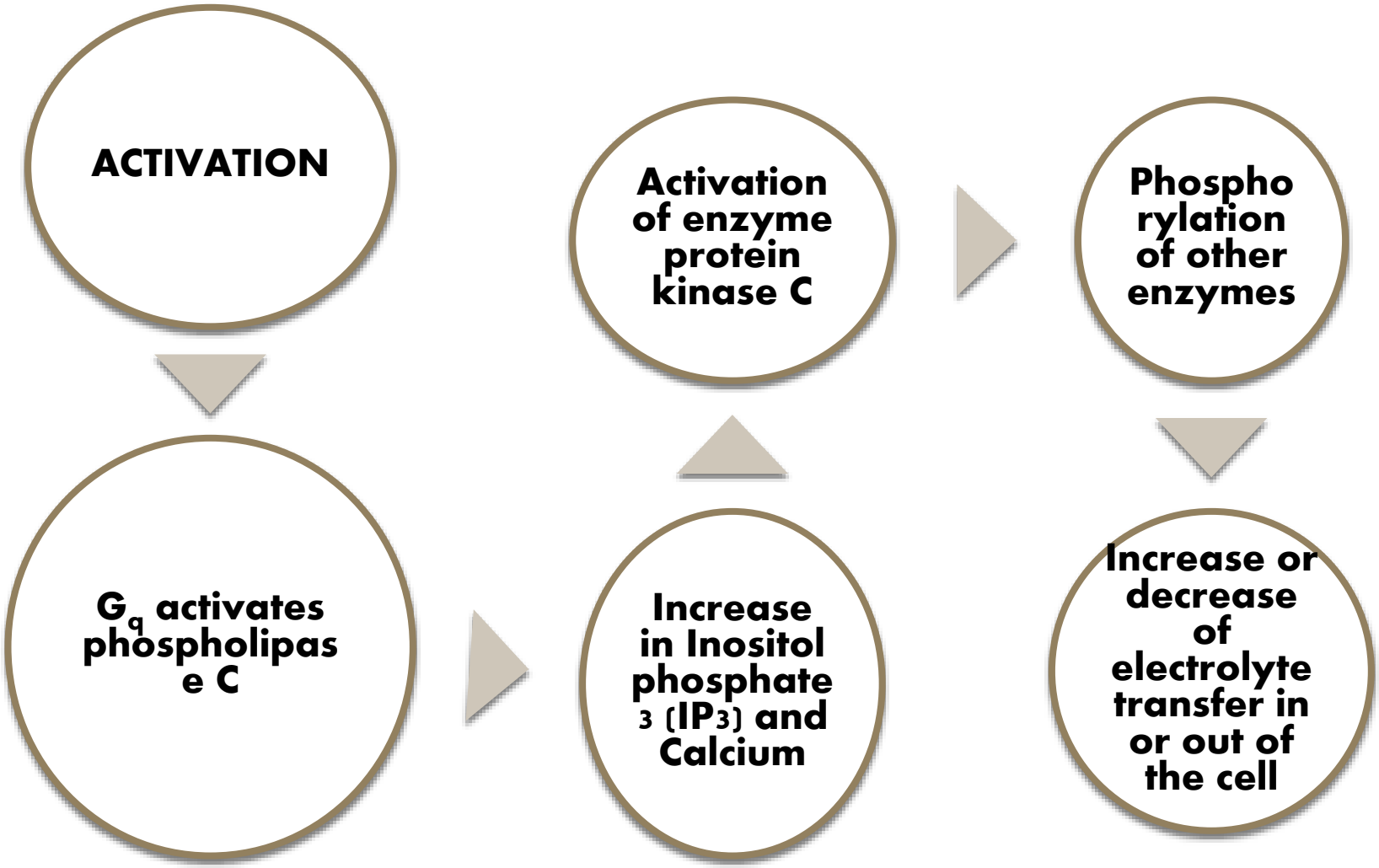
CLASSIFICATION

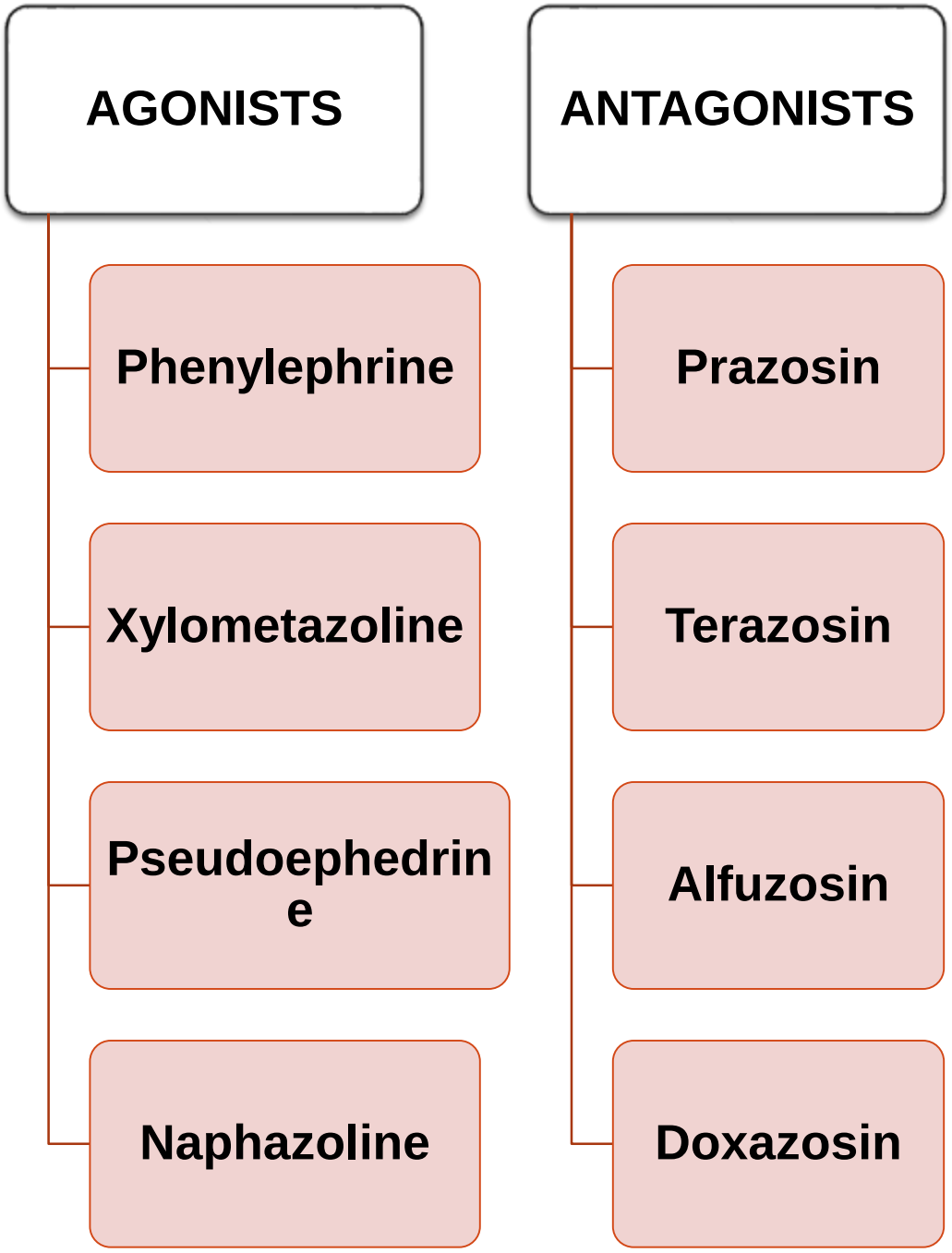


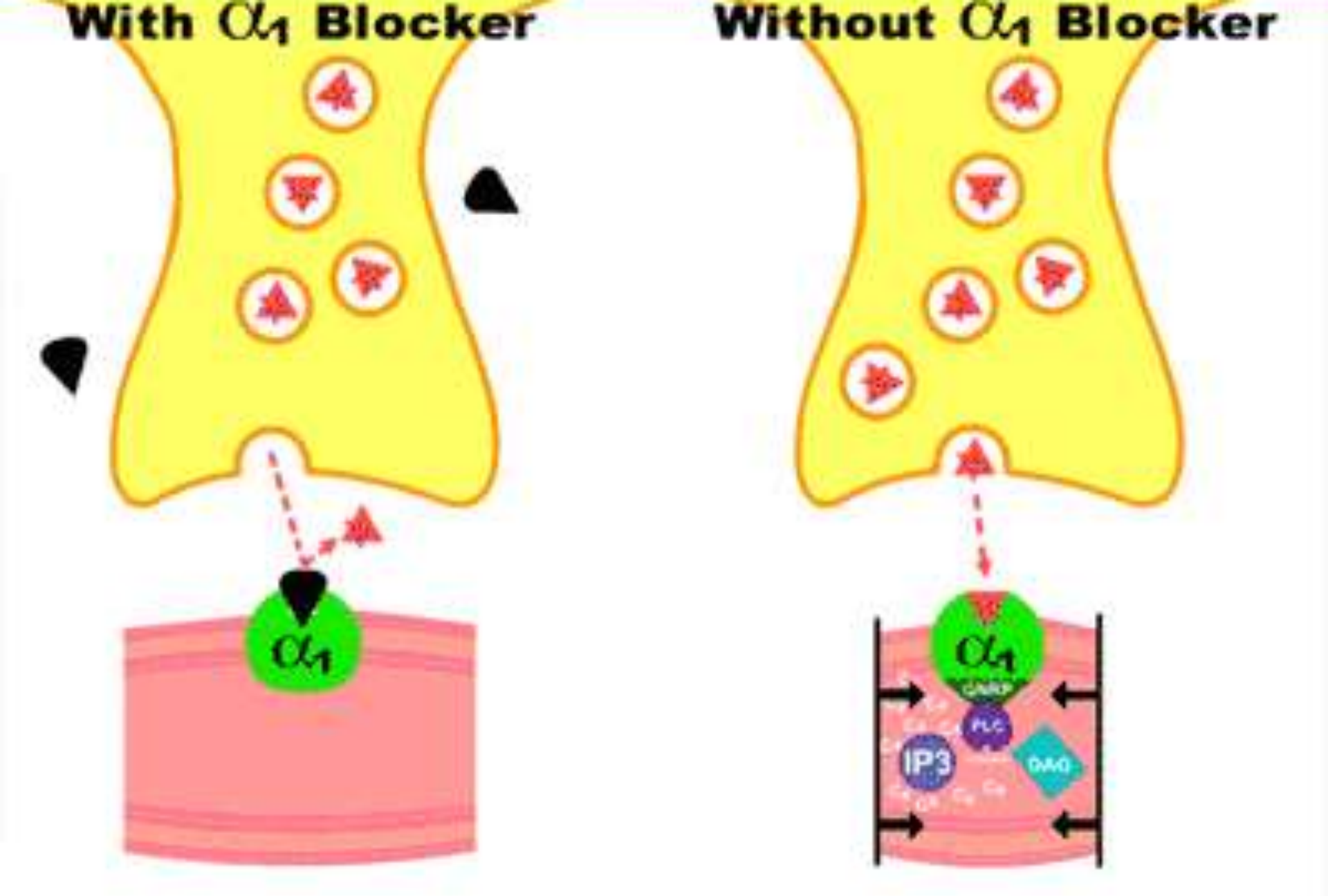
RECEPTOR NAME	TYPICAL LOCATIONS
α1	Vascular smooth muscle, visceral smooth muscle, radial smooth muscle of iris, CNS neurons
α2	Some presynaptic terminals, pancreatic islets, platelets, ciliary epithelium, smooth muscles, CNS neurons
β1	Myocardium, JG cells, some presynaptic terminals, CNS neurons
β2	Visceral smooth muscle, vascular smooth muscle, liver, myocardium, skeletal muscle, some presynaptic terminals, CNS neurons

1. α ADRENERGIC RECEPTOR

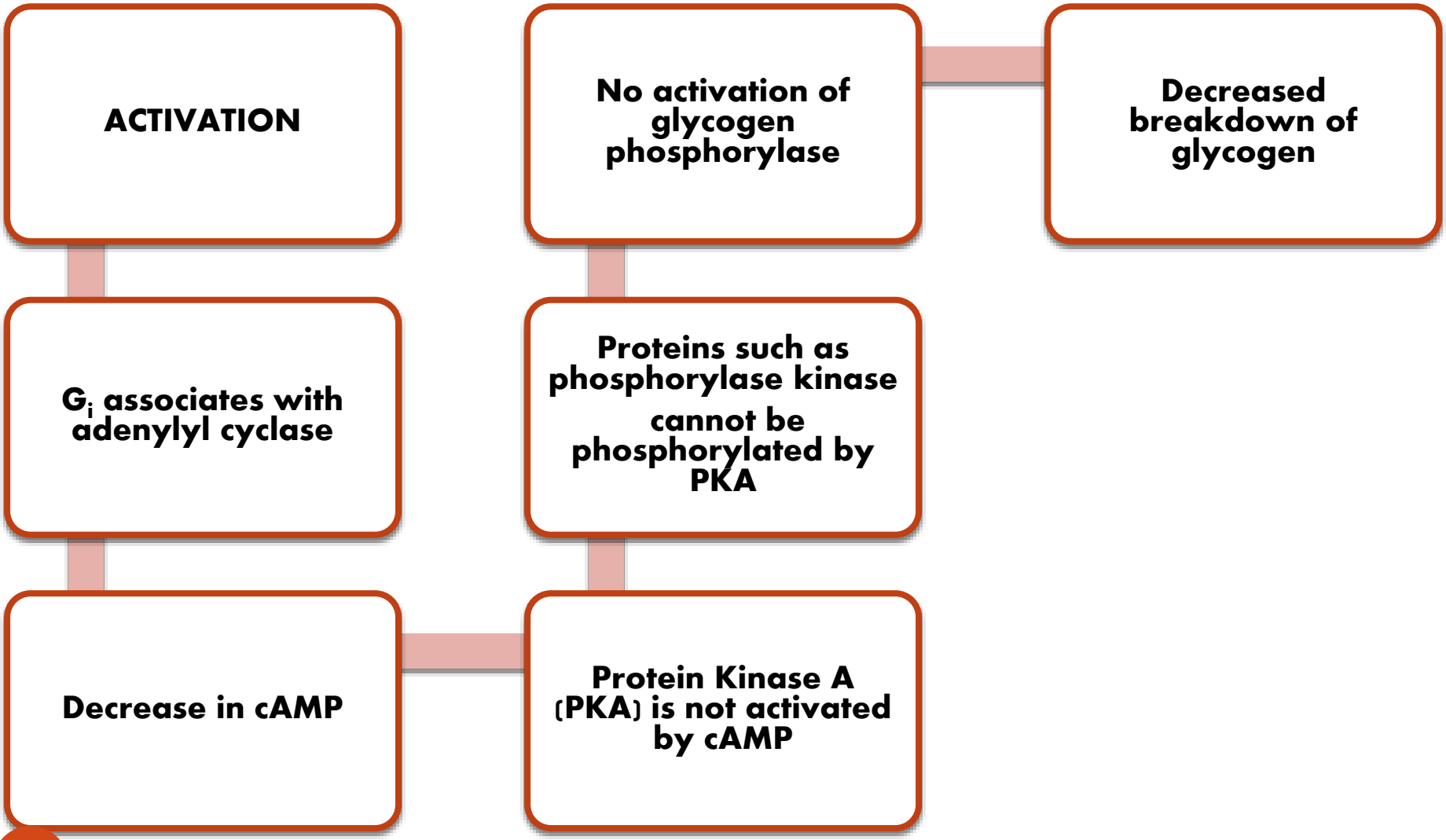
A) α1 ADRENERGIC RECEPTOR (G_q)



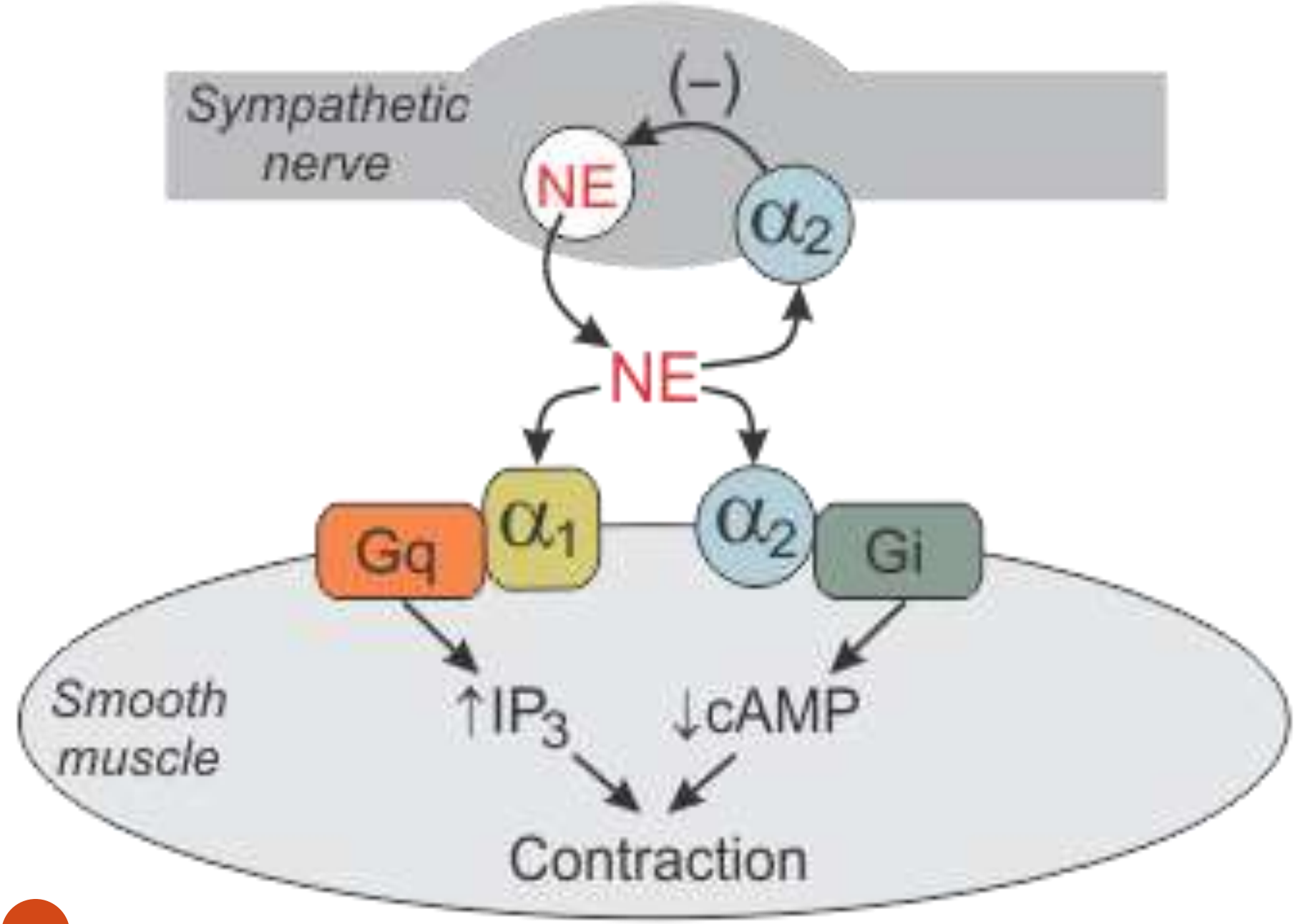

AGONISTS
ANTAGONISTS
Phenylephrine
Xylometazoline
Pseudoephedrine
Naphazoline
Prazosin
Terazosin
Alfuzosin
Doxazosin

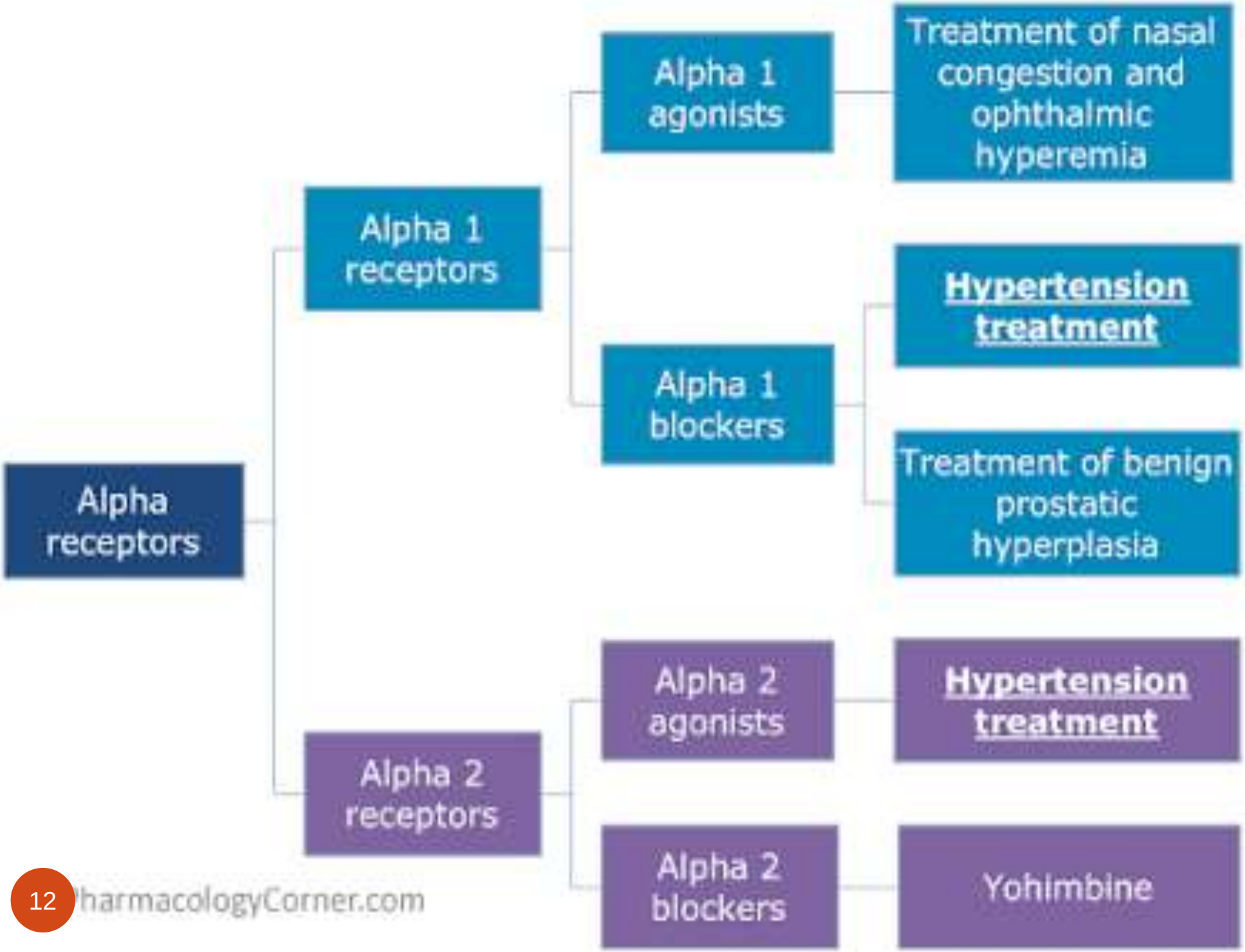

With α_1 Blocker
Without α_1 Blocker
α_1
α_1
GMP
PLC
IP3
DAG
WebMD/ Medscape
© medmovie.com™ 2002

B) α2 ADRENERGIC RECEPTOR (G_i)



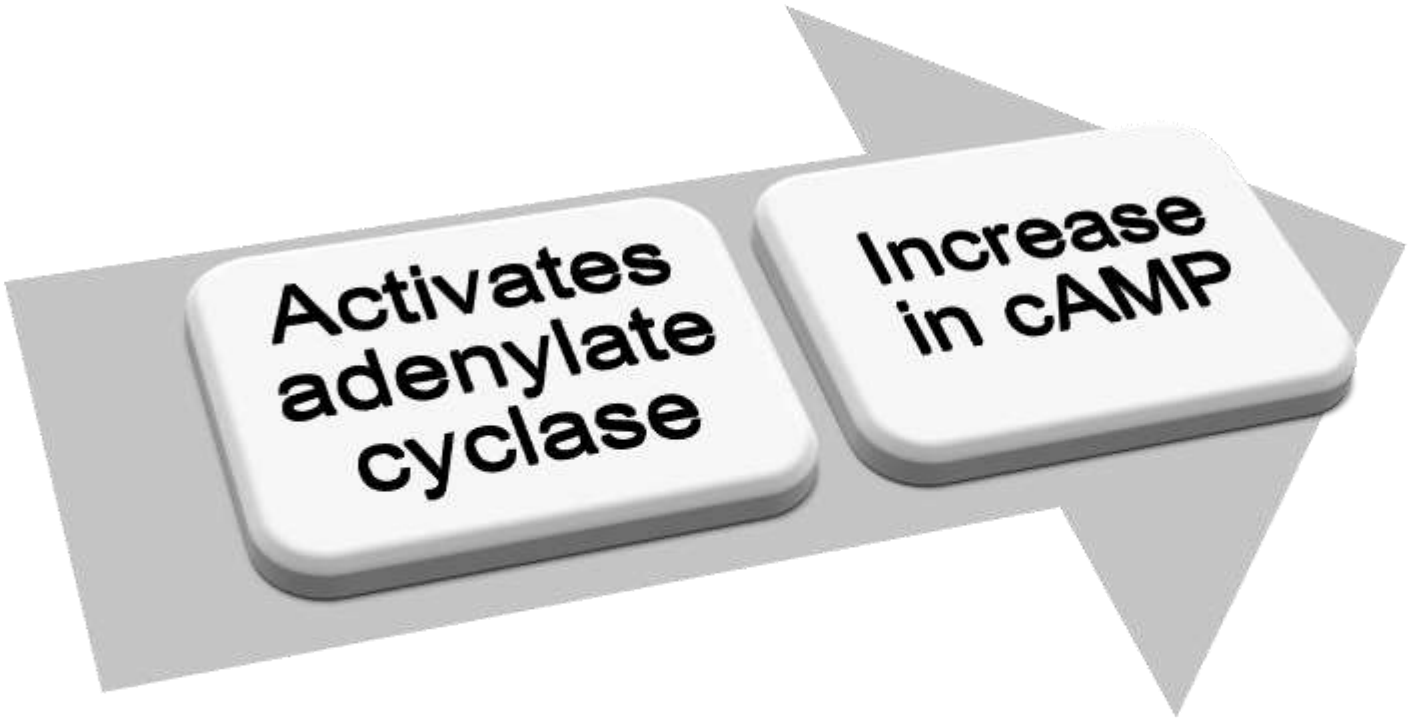
AGONIST	ANTAGONIST
Clonidine	Yohimbine
Methyl dopa	Mirtazapine
Guanabenz	Idazoxan
Guanfacine	Atipamezole


Sympathetic nerve
(–)
NE
α2
NE
Gq
α1
α2
Gi
Smooth muscle
↑IP3
↓cAMP
Contraction



2. β ADRENERGIC RECEPTORS

A) β1 ADRENERGIC RECEPTOR (G_s)



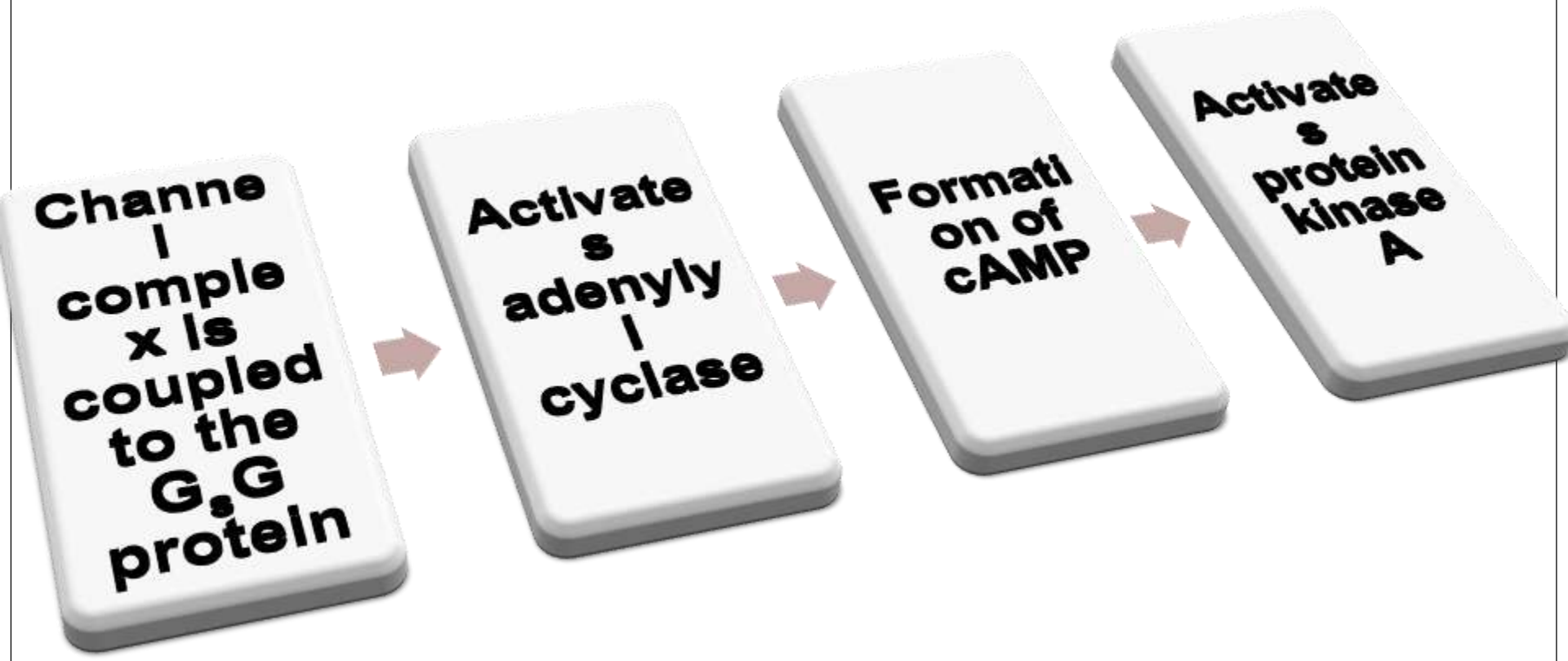
AGONIST

- **Denopamine**
- **Dobutamine**
- **Xamoterol**

ANTAGONIST

- **Atenolol**
- **Metoprolol**
- **Esmolol**
- **Nebivolol**
- **Bisoprolol**

B) β2 ADRENERGIC RECEPTORS-



AGONIST

- **Salbutamol**
- **Levosalbutamol**
- **Terbutaline**

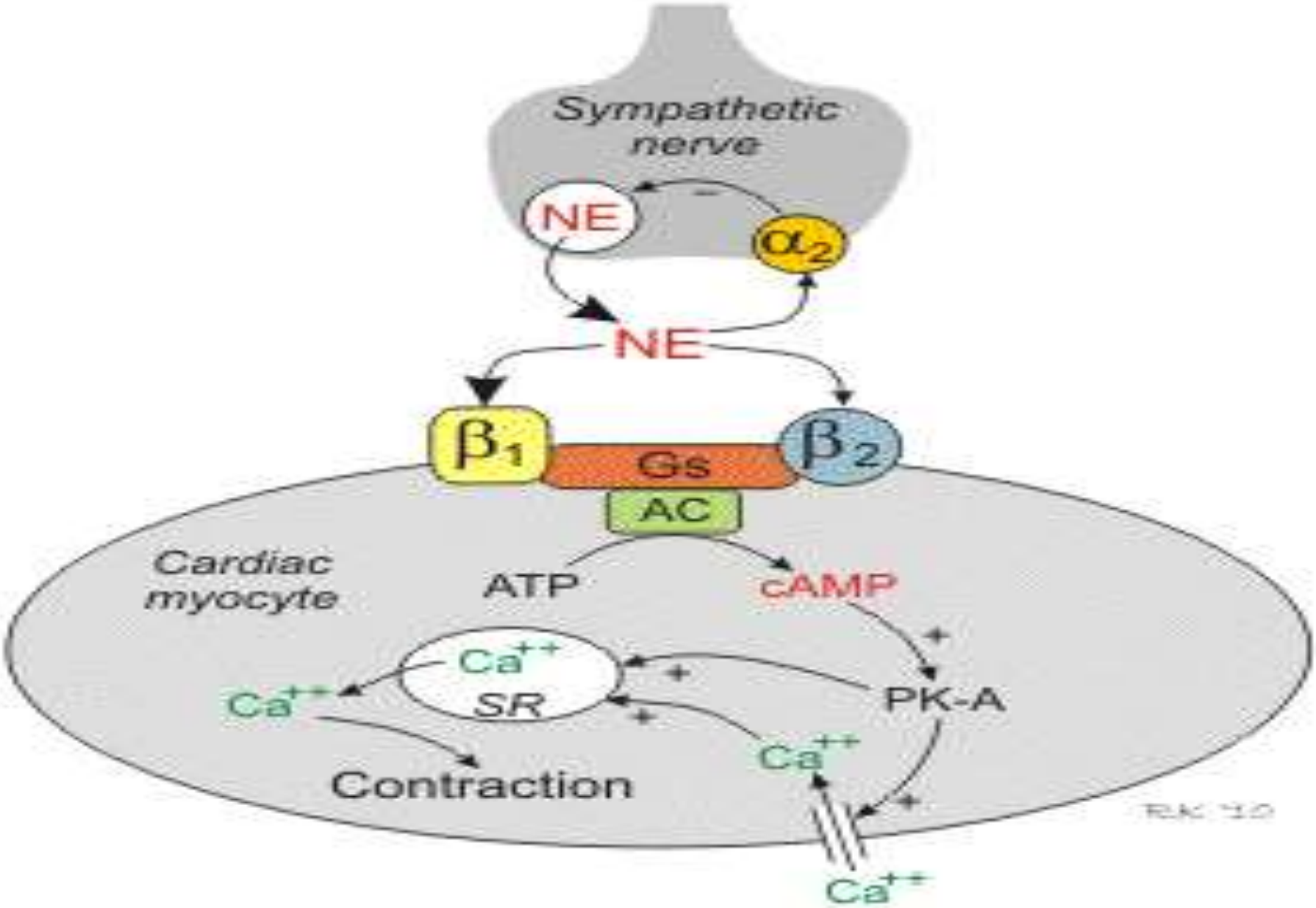
ANTAGONIST

- **Butoxamine**

C) β3 ADRENERGIC RECEPTORS-

They are involved in the epinephrine and norepinephrine induced activation of adenylyl cyclase through the action of the G proteins of the type G_s.

AGONIST	• **Ambigeron** • **Mirabegron** • **Solabegron**
ANTAGONIST	• **L-748,328** • **L- 748,337**



Abbreviations: NE, norepinephrine; Gs, G-stimulatory protein; [illegible] adenylyl cyclase; PK-A, cAMP-dependent protein kinase; SR, sarcoplasmic reticulum

PHYSIOLOGY OF β RECEPTORS

Receptor	β 1	β 2	β 3
Location	Heart, JG cells of kidney	Bronchi, Blood vessels, Uterus, GIT, Urinary tract, Eye	Adipose tissue
Selective agonist	Dobutamine	Salbutamol Terbutaline	BRL37344
Selective antagonist	Metoprolol Atenolol	ISI118551 α-methyl propronlol	CGP20712A (+B1) ICI118551 (+B2)
Potency of NA as agonist	Strong	Weak	Strong
Role	Cardiac + Inotropic + Chronotropic	Vasodilatation Bronchodilatation ↑ Glucagon levels	Lipolysis

REFERENCES

- Adrenoceptor Pharmacology, Emma Robinson and Alan Hudson, Psychopharmacology Unit, Department of Pharmacology, School of Medical Sciences, University of Bristol.
- www.cvpharmacology.com/vasodilator/alpha
- www.ncbi.nih.gov/pubmed/6098436
- www.wikipedia.com