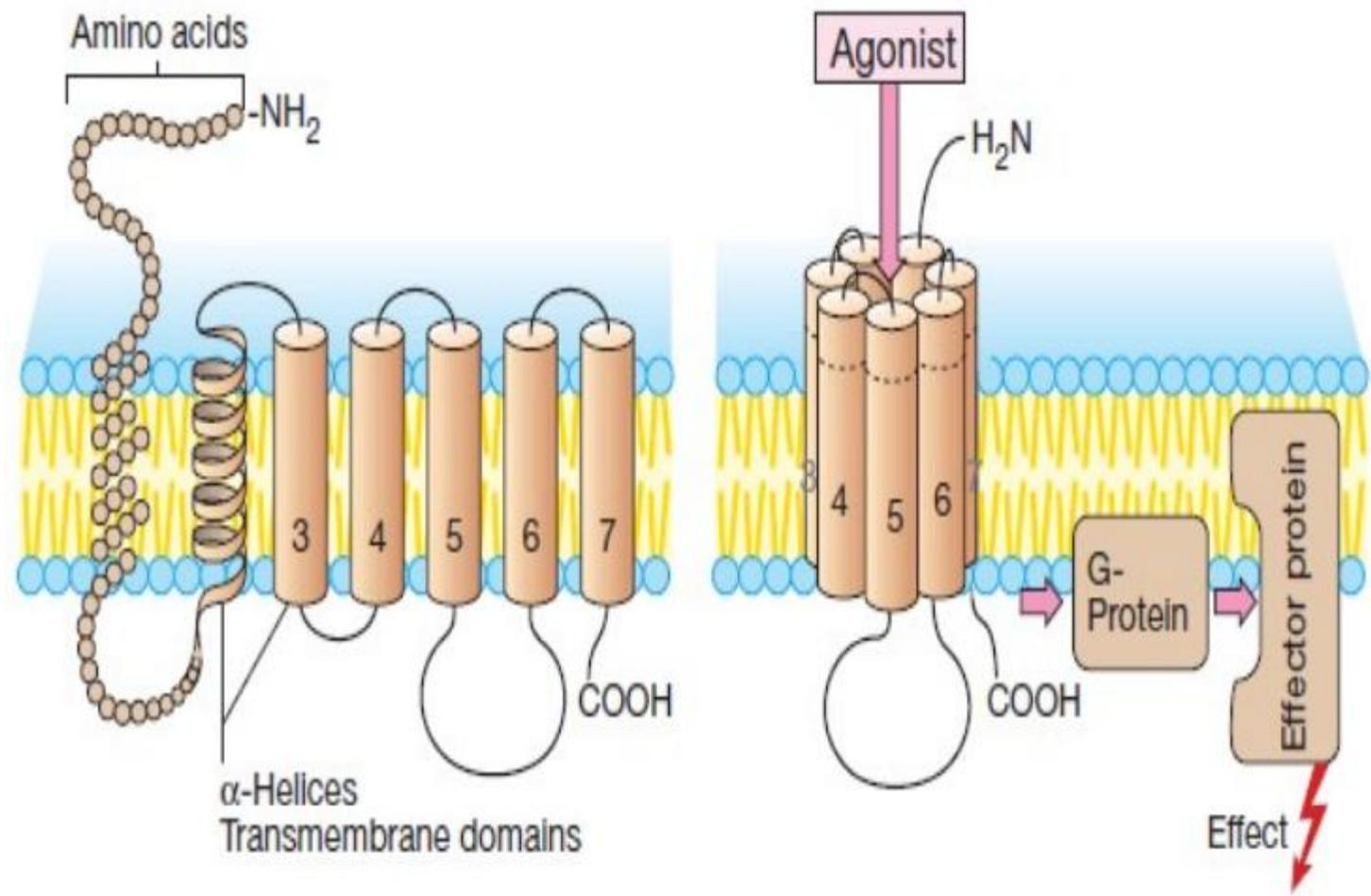
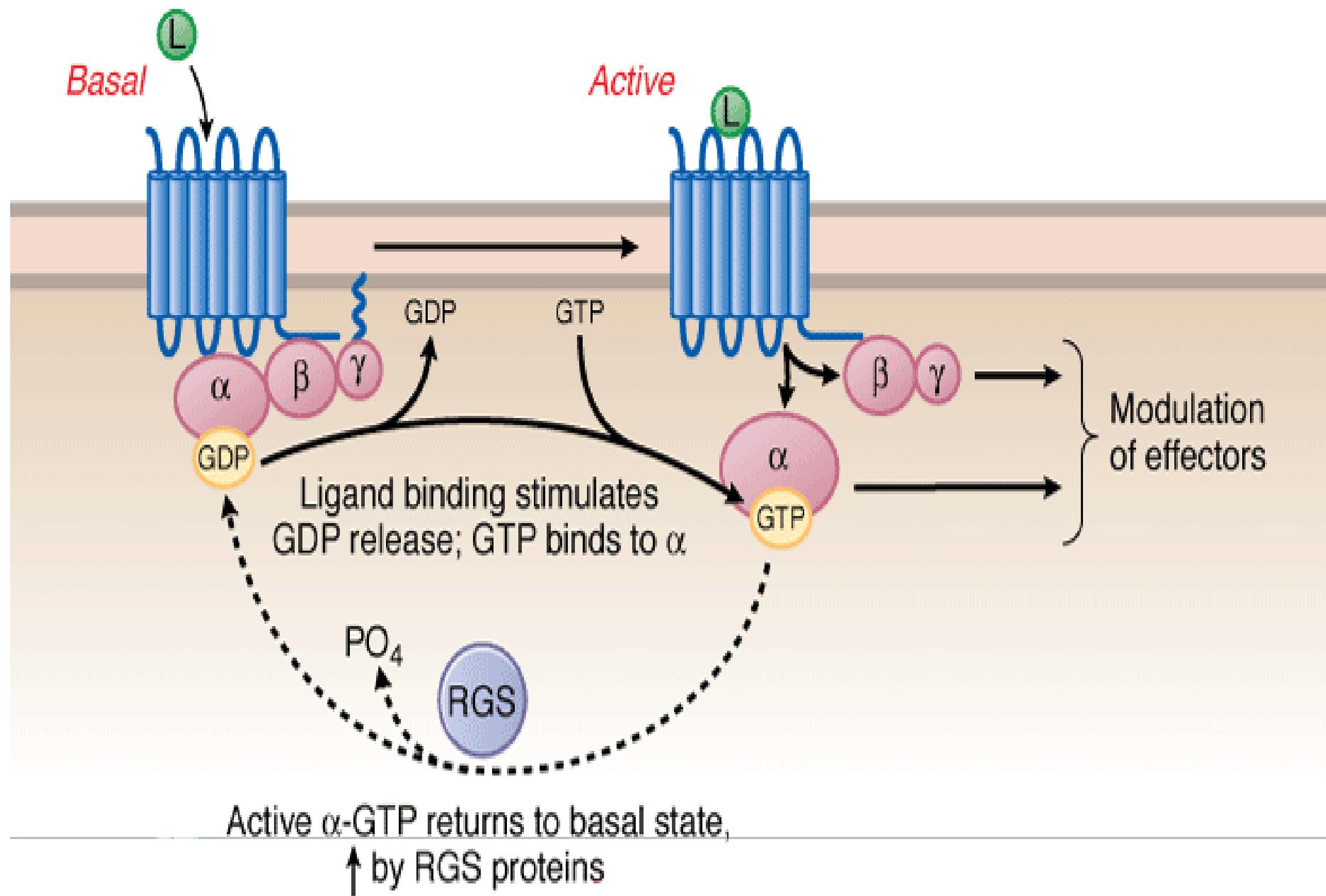


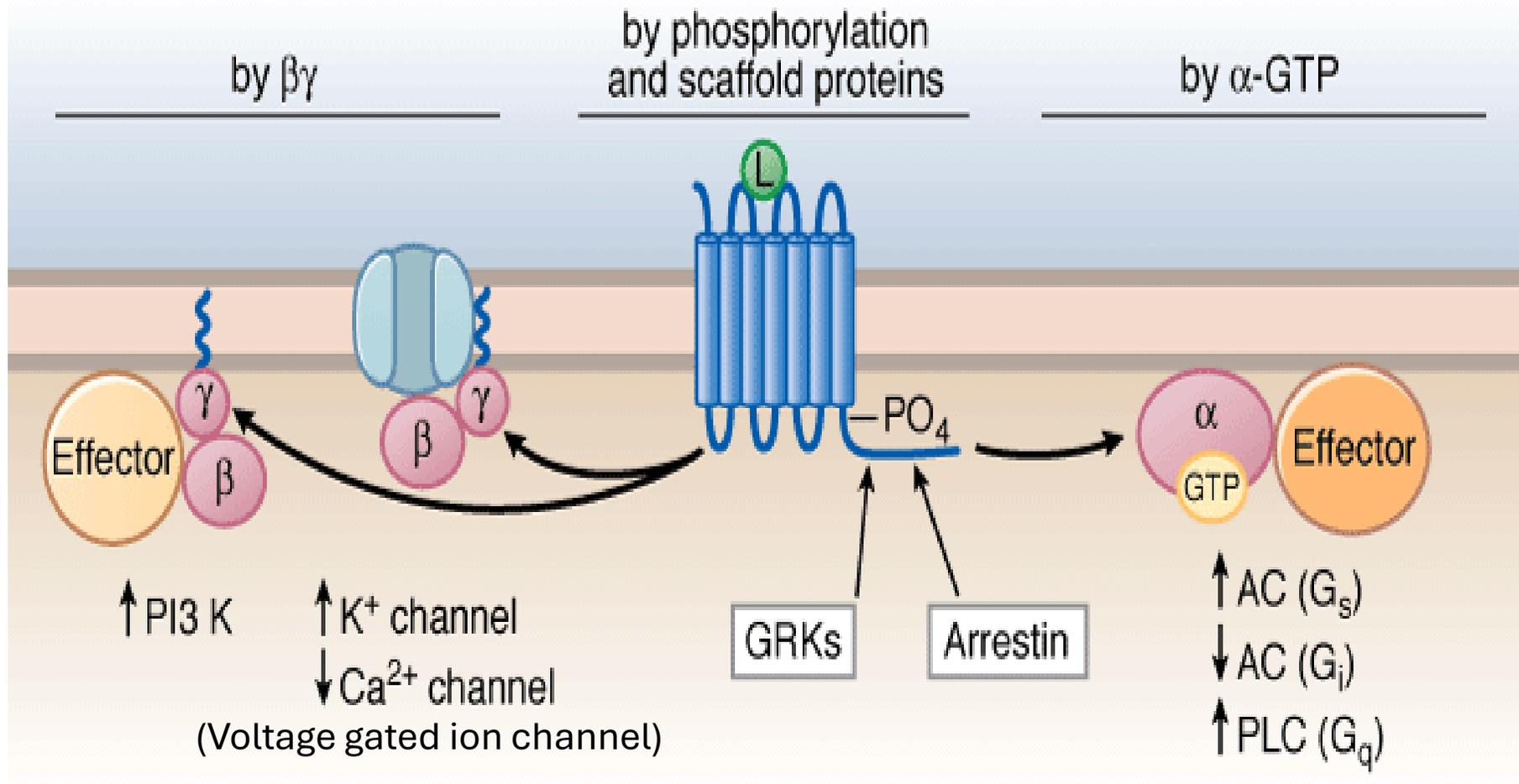
STRUCTURAL FAMILY	FUNCTIONAL FAMILY	PHYSIOLOGICAL LIGANDS	EFFECTORS AND TRANSDUCERS	EXAMPLE DRUGS
GPCR	β Adrenergic receptors	NE, Epi, DA	G_s ; AC	Dobutamine
	Muscarinic cholinergic receptors	ACh	G_i and G_q ; AC, ion channels, PLC	Atropine
	Eicosanoid receptors	Prostaglandins, leukotrienes, thromboxanes	G_s , G_i and G_q proteins	Misoprostol, montelukast



A. Activation by Ligand Binding of GPCR



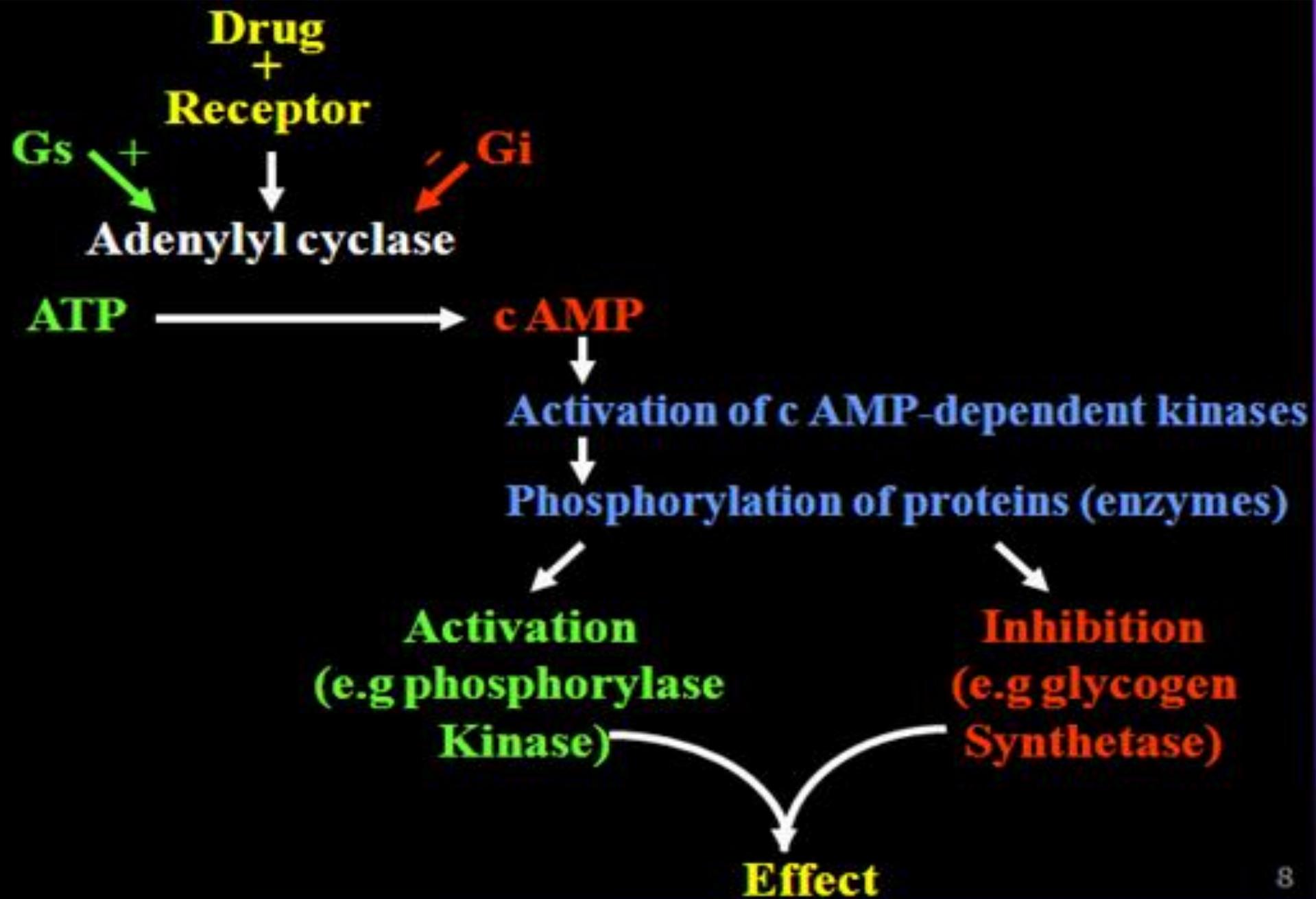
B. Modulation of Effectors

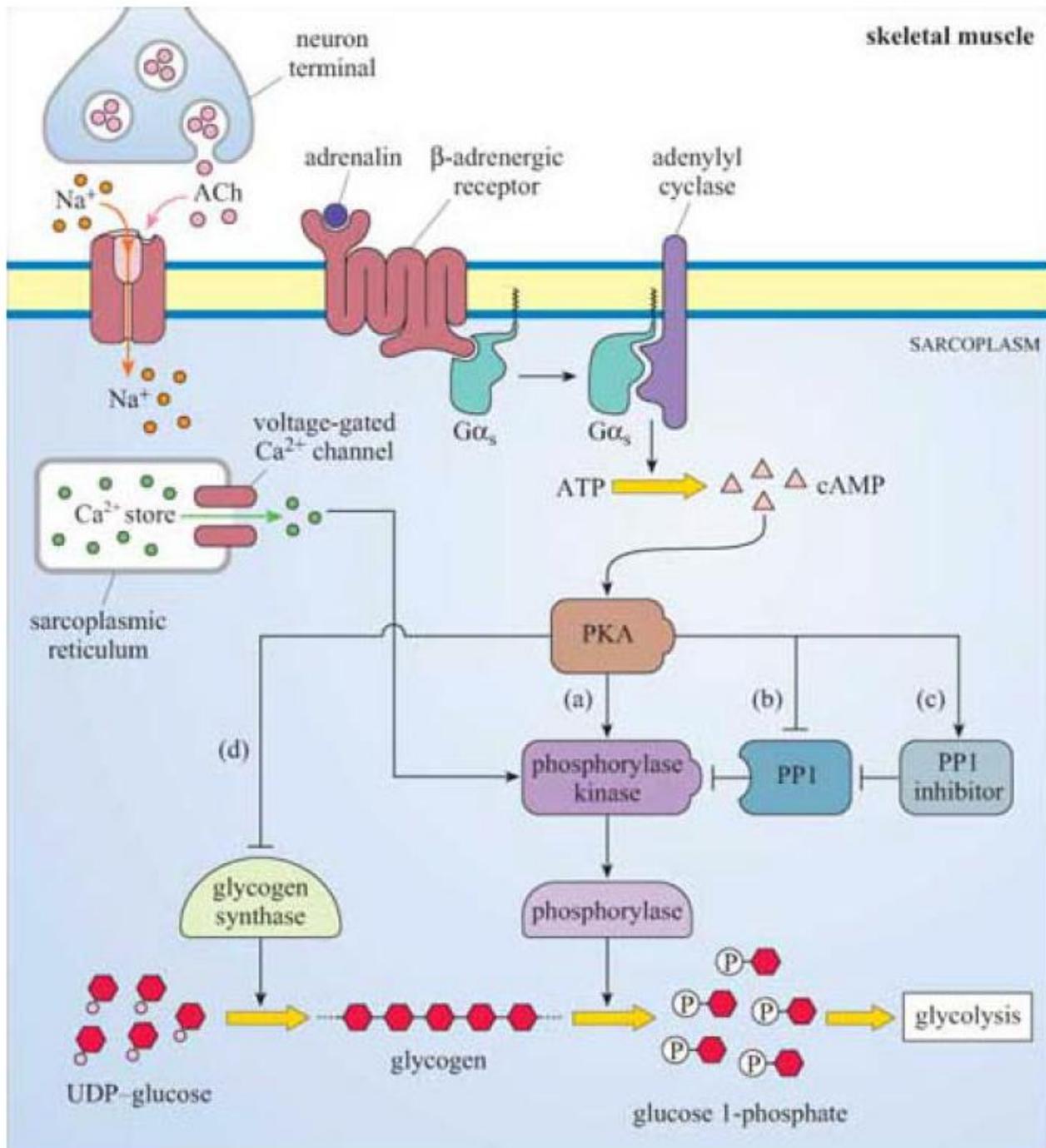


Phosphoinositide 3-kinases (PI3K).

PIP2 -----> PIP3 (Second messenger)

- The subunits fall into four families (G_s , G_i , G_q , and $G_{12/13}$).
- The G_s α -subunit uniformly activates adenylyl cyclase;
- the G_i α -subunit can inhibit certain isoforms of adenylyl cyclase;
- the G_q α -subunit activates all forms of phospholipase C;
- and the $G_{12/13}$ α -subunits couple to guanine nucleotide exchange factors (GEFs), such as p115RhoGEF for the small GTP-binding proteins Rho and Rac.





Binding of Drug with Receptor

Gq

Phosphatidyl Inositol 4-5 Biphosphate Activation of Phospholipase-C

Diacyl Glycerol +
(Confined to membrane)

Inositol 1,4,5 triphosphate
(Diffuses into cytosol)

↓
Activation of Protein
Kinase C

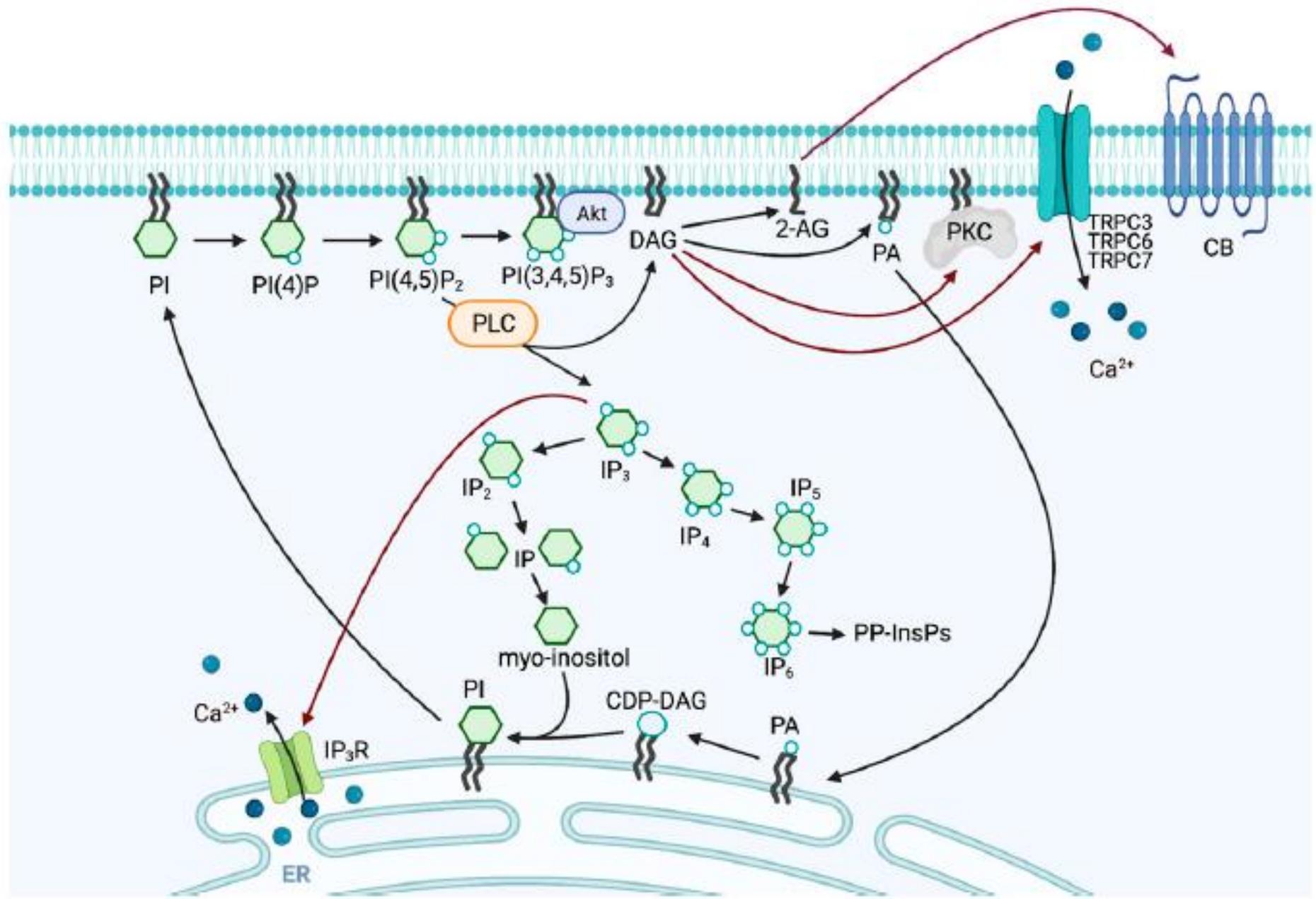
↓
Release of Ca^{++} from
Intracellular sources

↓
Entry of Ca^{++} through
The Ca^{++} channel

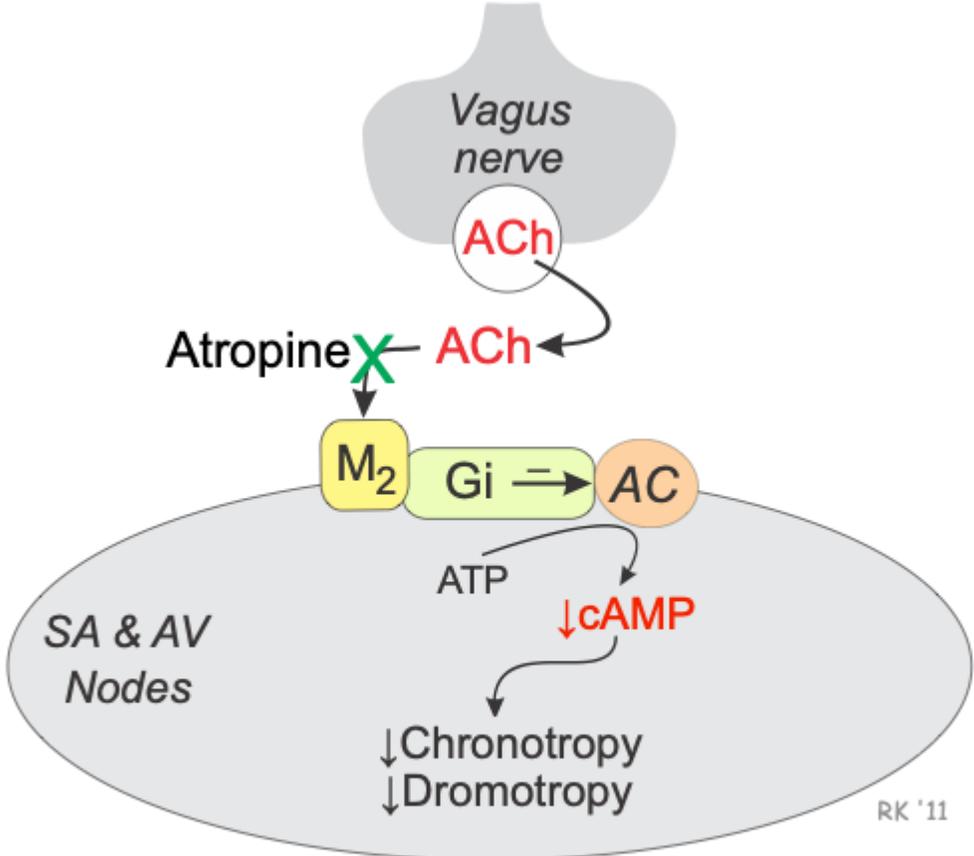
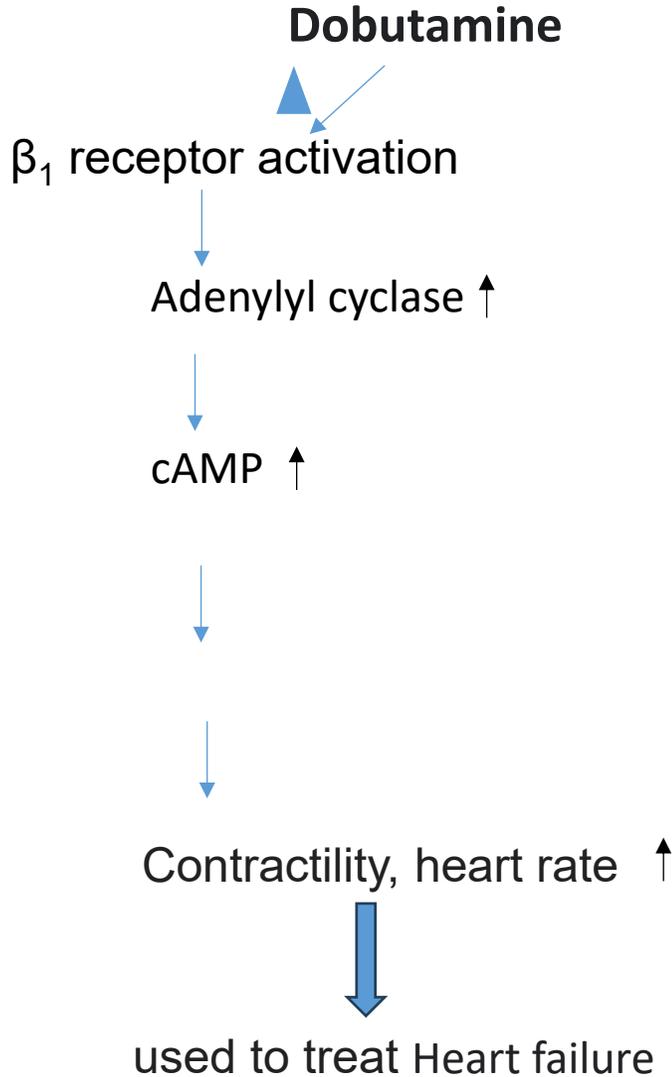
↓
Formation of Ca^{++} -
Calmodulin Complex

↓
Alteration in the activity of
 Ca^{++} dependent enzymes

↓
EFFECT

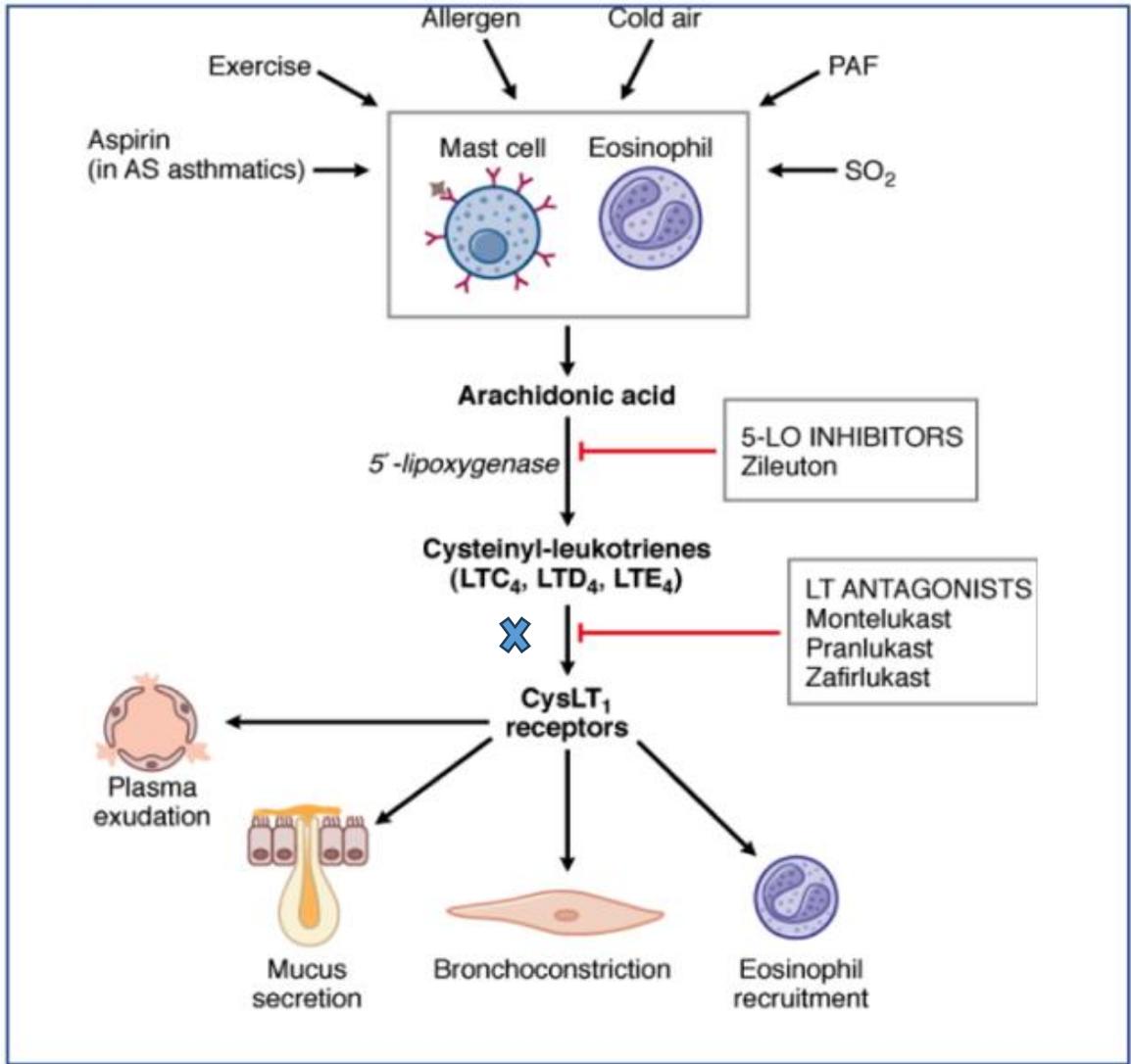


Drugs acting on GPCR



Abbreviations: ACh, acetylcholine; M₂, muscarinic receptor; AC, adenylate cyclase; SA, sinoatrial; AV, atrioventricular

used to treat slow heart rate



used to prevent wheezing, difficulty breathing, asthma

Summary of GPCR

