Beta Adrenergic Receptor Antagonists

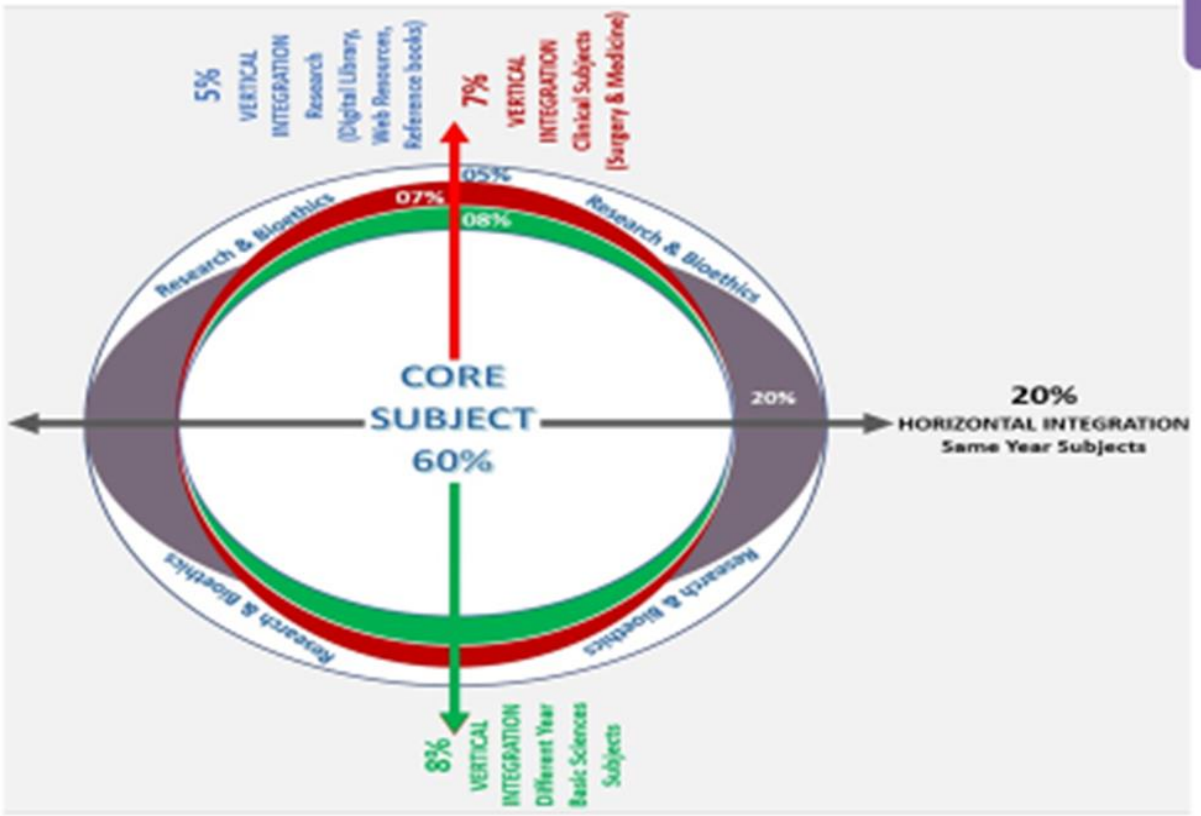
SOURCES:

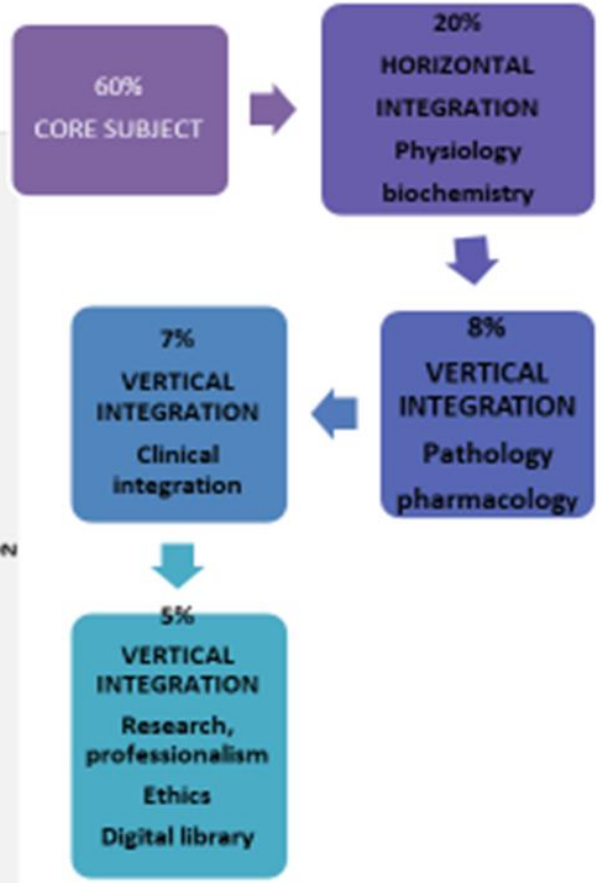
- **BERTRAM G. KATZUNG BASIC & CLINICAL PHARMACOLOGY 15TH EDITION**
- **GOODMAN AND GILMAN'S THE PHARMACOLOGICAL BASIS OF THERAPEUTICS 13TH EDITION.**

MOTTO AND VISION

- To impart evidence based research oriented medical education
- To provide best possible patient care
- To inculcate the values of mutual respect and ethical practice of medicine

Professor Umar Model of Integrated Lecture





- PRE-LECTURE ASSESSMENT

- **Which of the following is the primary mechanism of action of beta blockers?**
- A. Inhibition of sodium channels
  B. Blockade of beta-adrenergic receptors
  C. Activation of alpha receptors
  D. Inhibition of the renin-angiotensin system
  E. Inhibition of calcium channels

Learning Objectives

- At the end of lecture, the students should be ale to:
- Classify beta blockers
- Discuss Pharmacokinetics and mechanism of action
- Enumerate Adverse Effects,therapeutic uses and contraindications

MCQ# 1

- **Which of the following beta blockers is considered cardioselective and predominantly acts on β1 receptors?**
- A. Propranolol
  B. Nadolol
  C. Atenolol
  D. Carvedilol
  E. Labetalol

MCQ# 2

- **Which of the following is a potential side effect of non-selective beta blockers such as propranolol?**
- A. Hypotension
  B. Bradycardia
  C. Bronchoconstriction
  D. Hyperglycemia
  E. Hypokalemia

MCQ# 3

- **Which of the following beta blockers has both beta-blocking and alpha-blocking properties, making it useful in treating conditions like hypertension and heart failure?**
- A. Esmolol
  B. Carvedilol
  C. Metoprolol
  D. Bisoprolol
  E. Sotalol

MCQ# 4

- **Which of the following beta blockers is commonly used for short-term management of supraventricular tachycardia (SVT) due to its rapid onset and short half-life?**
- A. Metoprolol
  B. Atenolol
  C. Esmolol
  D. Propranolol
  E. Carvedilol

MCQ# 5

- **Which condition should be monitored closely when prescribing beta blockers to patients with diabetes?**
- A. Hyperkalemia
  B. Hypoglycemia
  C. Hypernatremia
  D. Hypertension
  E. Hyperlipidemia

MCQ# 6

In the context of beta blockers, what does term "cardioselectivity" mean?

a) Beta blockers that block both beta-1 and beta-2 receptors

b) Beta blockers that primarily affect the heart

c) Beta blockers that are used for lung conditions

d) Beta blockers that block alpha receptors

e) Beta blockers that increase heart rate

MCQ# 7

Which of the following beta-blockers would be least likely to cause bronchoconstriction?

A) Propranolol

B) Nadolol

C) Metoprolol

D) Timolol

E) Labetalol

MCQ# 8

A patient on beta-blocker presents with bradycardia. Which of the following interventions would be most appropriate to address this issue?

A) Discontinue the beta-blocker immediately

B) Administer atropine to increase heart rate

C) Reduce the dosage of the beta-blocker

D) Add a calcium channel blocker

E) Prescribe a diuretic to reduce fluid retention

MCQ# 9

A 70-year-old patient with chronic heart failure is prescribed a beta-blocker. Which of the following beta-blockers has been shown to improve survival in CHF patients?

A) Atenolol

B) Bisoprolol

C) Propranolol

D) Metoprolol (succinate)

E) Carvedilol

MCQ# 10

In patients with pheochromocytoma, which of the following is the most appropriate sequence for starting beta-blocker therapy?

A) Start beta-blocker therapy before alpha-blocker therapy

B) Start alpha-blocker therapy followed by beta-blocker therapy

C) Begin with a combined alpha- and beta-blocker

D) Beta-blockers should be avoided in pheochromocytoma patients

E) Start both beta-blocker and alpha-blocker simultaneously

Introduction

Sympathetic Nervous System- Fight, Fear , Flight

β Adrenergic Receptor Antagonists

Classified on basis of:

- Relative affinity for β1 and β2 receptors
- Intrinsic sympathomimetic activity
- Blockade of α receptors
- Differences in lipid solubility (CNS penetration)
- Capacity to induce vasodilation
- Pharmacokinetic parameters/Duration of action

Classification…MOA

- **Intrinsic Sympathomimetic Activity (ISA)**
  - Partial Agonist, Disadvantage, Advantage
- **Membrane Stabilizing Activity (MSA)**
  - Local Anesthetic Activity, Interaction With LA, Relative contraindication in Eye
- **Additional Effects**
  - Blockade of Adrenergic Receptor
  - Nitric Oxide Production
  - Blockade of Ca^{++} entry
  - Activation of β_2 receptor
  - Opening of K^+ Channels
  - Antioxidant Activity
  - Insulin Sensitivity

Classification..Receptor Selectivity & MOA

1. Non-selective β Adrenergic Receptor Antagonists / Blockers

- **Pure Antagonists**
  - Nadolol
  - Timolol
  - Sotalol
- **With Membrane Stabilizing Activity (MSA)**
  - Propranolol
- **With Intrinsic Sympathetic Activity (ISA)**
  - Carteolol
  - Penbutolol
  - Pindolol*(MSA±)
- **With Both MSA & ISA**
  - Oxprenolol

Classification..Receptor Selectivity & MOA

2. β_1 Adrenergic Receptor Antagonists / Cardio-selective β Blockers
   - Pure Antagonists
     - Atenolol,Bisoprolol,Esmolol
     - Nebivolol,Metoprolol
   - With Membrane Stabilizing Activity (MSA)
     - Betaxolol
   - With Intrinsic Sympathetic Activity (ISA)
     - Celiprolol
   - With Both MSA & ISA
     - Acebutolol
3. β_2 Adrenergic Receptor Antagonists /Blockers
   - Butoxamine….Research purpose

Classification…β blockers with Additional properties

With α Receptor Blockade

- Carvedilol
- Labetalol

With Nitric Oxide Production

- Carteolol
- Celiprolol
- Nebivolol

With Ca^{++} entry Blockade

- Carvedilol

With β_2 Agonist Activity

- Carteolol
- Celiprolol

With Antioxidant Activity

- Carvedilol

With K+ Channel Opening Activity

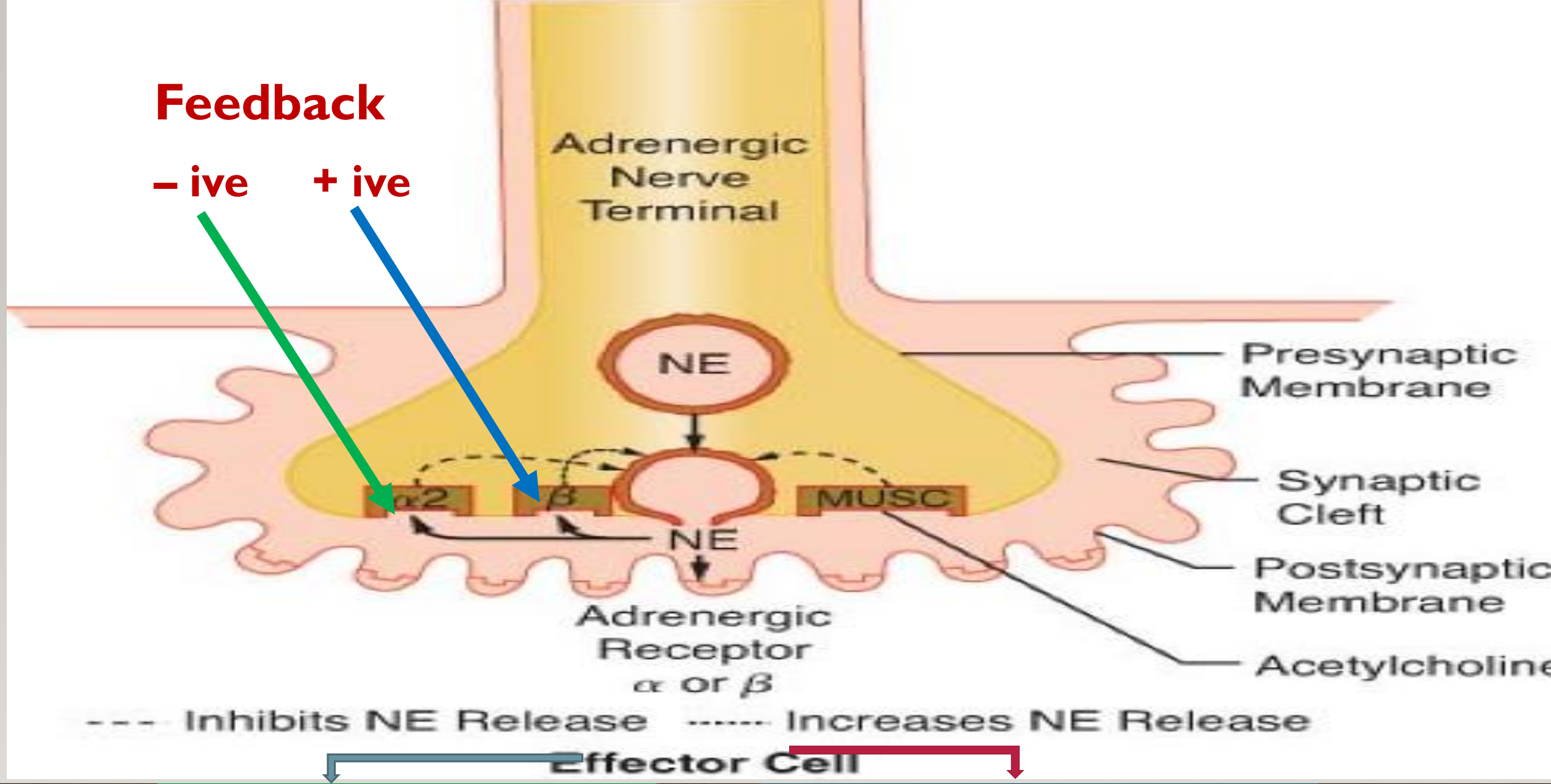
- Tilisolol

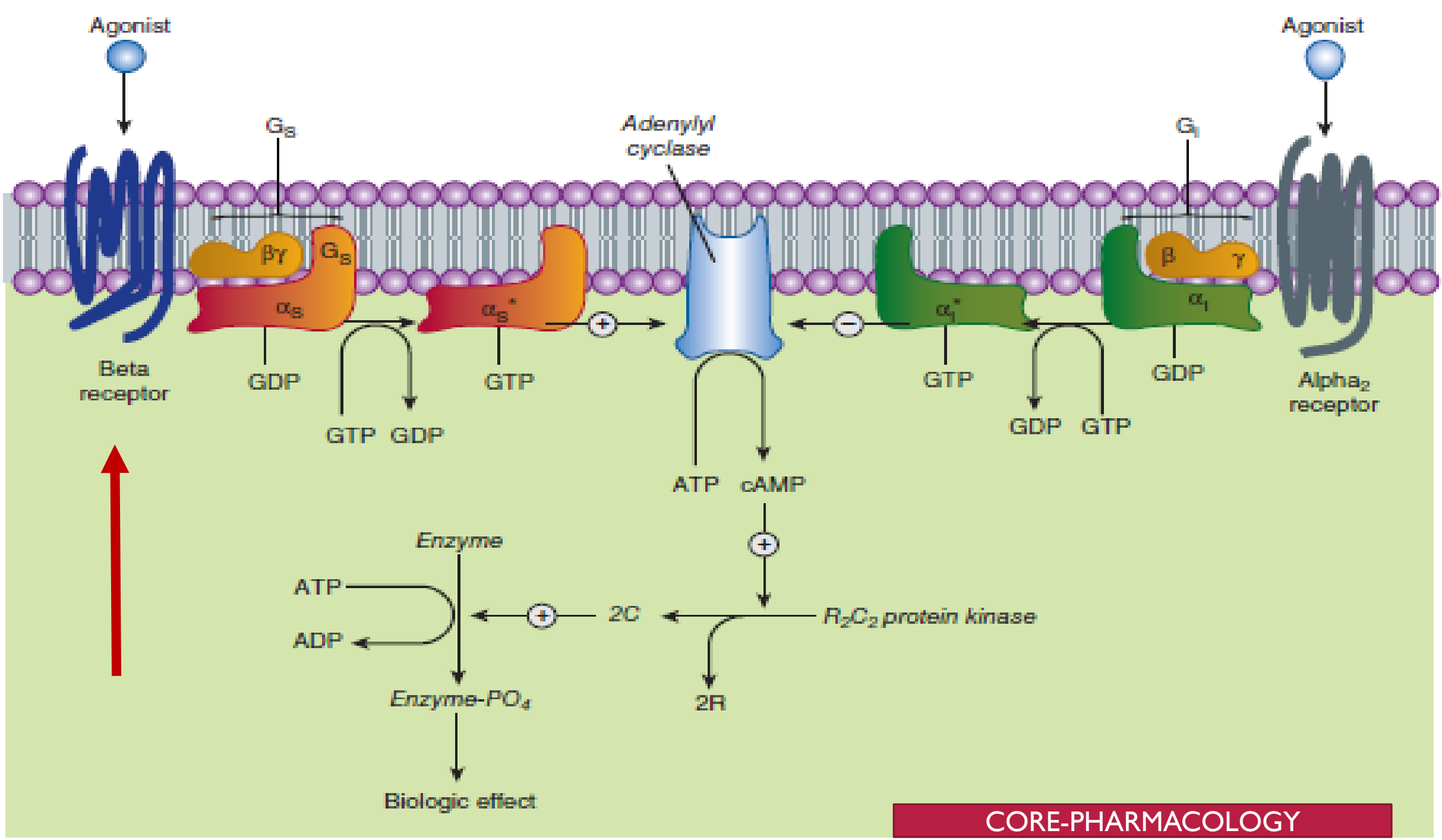
Classification…Pharmacokinetic Pattern

- **Highly Lipid Soluble Drugs**
  - Propranolol
  - Penbutolol
- **Moderately Lipid Soluble Drugs**
  - Metoprolol
  - Carvedilol
  - Pindolol
- **Drugs with Low Lipid Solubility / Water Soluble Drugs**
  - Nadolol,Atenolol,Acebutolol,Bisoprolol,Esmolol,Nebivolol, Carteolol,Celiprolol, Sotalol

Feedback

– ive + ive





CORE-PHARMACOLOGY

FAMILY MEDICINE

- After diagnosing a condition where beta blockers are indicated, family physicians are responsible for prescribing the appropriate beta blocker and dosing regimen based on the patient's condition and medical history. This includes choosing between different types of beta blockers (e.g., atenolol, metoprolol, propranolol) depending on the patient's specific needs.
- Family physicians monitor patient for side effects such as fatigue, dizziness, or bradycardia. Regular follow-ups are essential to evaluate whether the drug is effectively controlling blood pressure or heart rate and adjusting the dosage as necessary.
- Educating patients about the role of beta blockers regarding the benefits, potential side effects, and the importance of adherence to the prescribed regimen.

ARTIFICIAL INTELLIGENCE

- AI's role in beta blockers spans from the initial stages of drug development to personalized patient care and ongoing monitoring. By leveraging AI technologies, healthcare providers can make more informed decisions, optimize treatment plans, and improve patient outcomes in the context of beta blocker therapy.

- **Avram R, Sharma A. Tailored use of β blockers using artificial intelligence. The Lancet. 2021 Oct 16;398(10309):1385-6.**

BIO-ETHICS

- **Pulliam SL, Lantz R. A Dual Beta Blocker and Calcium Channel Blocker Overdose in a Patient with Substance Abuse. Journal of BioMed Research and Reports. 2023;2(3).**

- While beta blockers are essential medications for many patients with cardiovascular conditions, their use raises a number of ethical concerns that must be carefully considered by healthcare providers. These concerns include ensuring informed consent, addressing over-prescription, considering patient quality of life, promoting equity in access to treatment, and respecting patient autonomy. Ethical prescribing of beta blockers requires balancing clinical benefits with potential harms, maintaining patient-centered care, and promoting transparency in the treatment process.

RESEARCH

- Kjeldsen SE, Grassi G. The role of β-blockers in medical treatment. Current Medical Research and Opinion. 2024 Apr 1;40(sup1):1-2.
- L Turco, T Reiberger, G Vitale, V La Mura -Carvedilol as the new non-selective beta-blocker of choice in patients with cirrhosis and portal hypertension 2023 -https://onlinelibrary.wiley.com/doi/abs/10.1111/liv.15559


Thank
you!