

بِسْمِ اللَّهِ الرَّحْمَنِ الرَّحِيمِ



Sympatholytic drugs;

- **Adrenergic Blockers.**
- **Adrenergic antagonists.**
- **Adrenergic receptor antagonists.**
- **Adrenoceptor antagonists.**

by

DR. Muhammad Sarwar

- **β adrenergic blocking agents**
 - **β adrenergic Receptor antagonists**
 - **β blockers**

β -Receptors;

- β_1 ;

- **Heart** (Mainly β_1 , to lesser extent β_2 and α receptors)

- **+ve chronotropic**

- SA node accelerates
- Ectopic pace maker accelerates

- **+ve inotropic**

- Contractility increased
- \uparrow oxygen demand of the myocardium

- **Juxtaglomerular cells**

Renin release

- **Ciliary Epithelium**

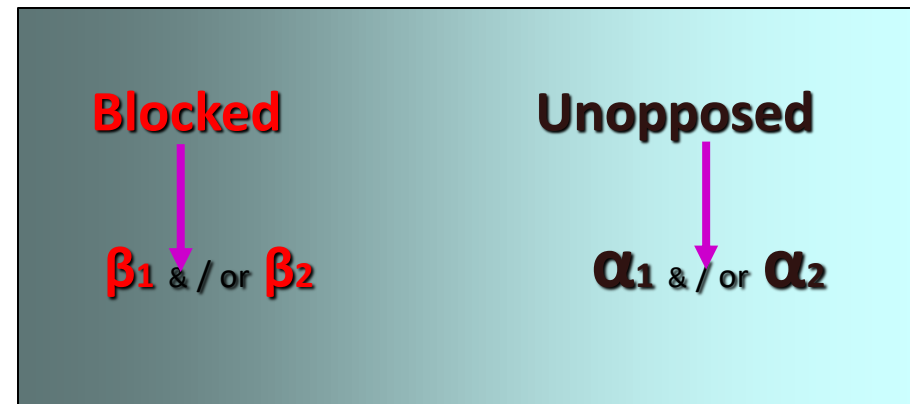
\uparrow production of aqueous humor

- β_2 (Promote smooth muscle relaxation)
 - Eye;
 - Ciliary muscles of eye Relax
 - Respiratory;
 - Bronchial smooth muscles Relax
 - Uterus (pregnant);
 - Uterine smooth muscles Relax
 - Urinary bladder;
 - Bladder wall Relaxes (Sphincter, α_1 , contracts)
 - Blood vessels; (skeletal muscle vessels – sympathetic cholinergic dilator fibers), so
 - Vascular smooth muscles Relax
 - GIT;
 - Walls Relax (α_2 , β_2)
 - Skeletal muscle; K uptake
 - Metabolic function;
 - Liver (β_2 , α) gluconeogenesis, glycogenolysis
 - Pancreas β_2 stimulates glucagon release
- β_3 Fat cells Stimulate lipolysis

- All β blockers are **competitive antagonists**.
- **β_1 blockade** will cause **decrease** of
 - Heart rate,
 - Stroke volume,
 - Cardiac output,
 - Oxygen consumption,
 - Renin release,
 - Aqueous humor production.

β_2 blockade will cause

- Precipitation of **bronchospasm** in asthmatics.
- **Vasospasm** in peripheral vascular disease.
- **Metabolic effects;**
 - \uparrow LDL
 - \uparrow TG's
 - \downarrow glycogenolysis
 - \downarrow gluconeogenesis
 - \downarrow Insulin and glucagon secretion.



Classification;

- **Nonselective β blockers ($\beta_1 = \beta_2$);**
 - Propranolol, Sotalol, Timolol, Labetalol, Nadolol,
 - Pindolol--(Partial β agonist).
- **Cardioselective (β_1) blockers;**
 - Metoprolol, Atenolol , Bisoprolol, betaxolol,
 - Esmolol (short acting)
 - Acebutolol (Partial β agonist)
 - Selectively is dose related, it tends to diminish at higher doses.
- **Selective (β_2) blockers;**
 - Butoxamine--- used in research --- no clinical use.
- **With additional α blocking activity;**
 - Labetalol, Carvedilol

Classification according to ISA;

- **Without intrinsic sympathomimetic activity;**
 - Propranolol, Sotalol, Timolol
 - Penbutolol, Nadolol, Labetalol,
 - Atenolol, Metoprolol.
- **With intrinsic sympathomimetic activity;**
(with partial agonist activity)
 - Less likely to cause bronchospasm.
 - Pindolol, Acebutolol, Alprenolol, Oxprenolol
 - Practolol.

Classification according to membrane stabilizing property;

Drugs with M.S.E. (quinidine like effect)

- **Propranolol,**
 - **Oxprenolol,**
 - **Alprenolol,**
 - **Acebutolol,**
 - **Labetalol.**
- A **disadvantage** when used topically in the eye because it ↓es protective reflexes and ↑ the risk of corneal ulcer.
 - **Local anesthetic effect is absent from timolol.**

Properties of several beta-receptor-blocking drugs.

	Selectivity	Partial Agonist Activity	Local Anesthetic Action	Lipid Solubility	Elimination Half-Life	Approximate Bioavailability
Acebutolol	β_1	Yes	Yes	Low	3–4 hours	50
Atenolol	β_1	No	No	Low	6–9 hours	40
Betaxolol	β_1	No	Slight	Low	14–22 hours	90
Bisoprolol	β_1	No	No	Low	9–12 hours	80
Carteolol	None	Yes	No	Low	6 hours	85
Carvedilol ¹	None	No	No	No data	6–8 hours	25–35
Celiprolol	β_1	Yes ²	No	No data	4–5 hours	70
Esmolol	β_1	No	No	Low	10 minutes	–0
Labetalol ¹	None	Yes ¹	Yes	Moderate	5 hours	30
Metoprolol	β_1	No	Yes	Moderate	3–4 hours	50
Nadolol	None	No	No	Low	14–24 hours	33
Penbutolol	None	Yes	No	High	5 hours	>90
Pindolol	None	Yes	Yes	Moderate	3–4 hours	90
Propranolol	None	No	Yes	High	3.5–6 hours	30 ³
Sotalol	None	No	No	Low	12 hours	90
Timolol	None	No	No	Moderate	4–5 hours	50

¹Carvedilol and labetalol also cause α_1 adrenoceptor blockade.

²Partial agonist effects at β_2 receptors.

³Bioavailability is dose-dependent.

β blockers and lipid solubility;

- **High;**
 - Propranolol.
- **Moderate;**
 - Carvedilol, Metoprolol, Pindolol, Timolol.
- **Low;**
 - Acebutolol, Atenolol, Esmolol, Labetalol, Nadolol
- **Aggressive and rage** states can be treated with lipophilic β blockers (propranolol, metoprolol) in moderate doses.

A landscape photograph featuring rolling green hills in the foreground and middle ground. The foreground is dominated by a field of bright yellow wildflowers. The sky is a deep blue, filled with soft, white, wispy clouds. The overall scene is bright and cheerful.

Thank You