

# Cardio-Vascular Pharmacology

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24-8-2016

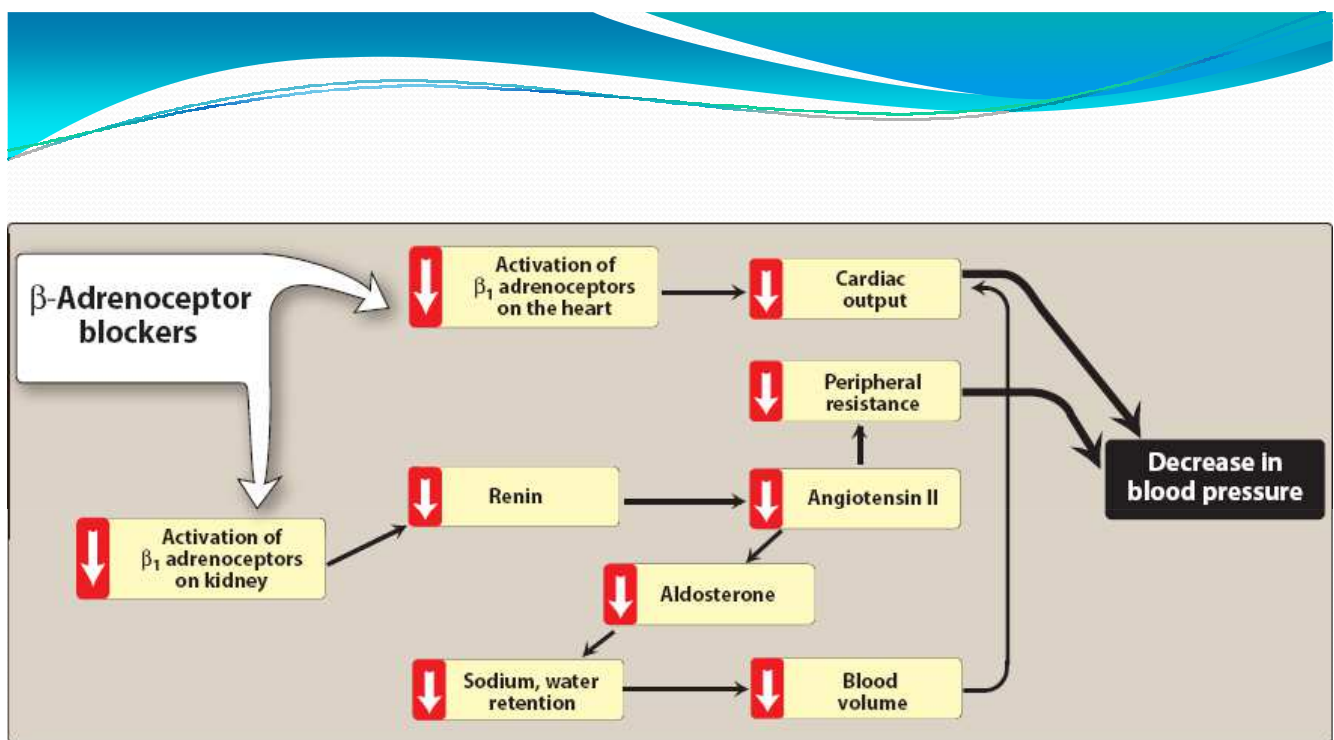
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## $\beta$ -ADRENOCEPTOR–BLOCKING AGENTS

- $\beta$ -Blockers are a treatment option for hypertensive patients with concomitant heart disease or heart failure

### A. Actions

- **Selective  $\beta_1$  blockers** (*metoprolol*, *atenolol*) = **most commonly** prescribed  $\beta$ -blockers.
- ***Nebivolol*** is a selective  $\beta_1$  blocker, which also increases the production of nitric oxide, leading to **vasodilation**.
- The **selective**  $\beta$ -blockers may be administered **cautiously** to hypertensive patients who also have **asthma**. Whereas The **nonselective  $\beta$ -blockers** (*propranolol* and *nadolol*) are **contraindicated** in patients with **asthma**.
- Can be used in patients with diabetes, peripheral vascular disease, and chronic obstructive pulmonary disease, as long as they are monitored closely.



Actions of  $\beta$ -adrenoceptor-blocking agents.

## C. Pharmacokinetics

- **Orally active** for the treatment of hypertension (may take several weeks to develop their full effects).
- *Esmolol*, *metoprolol*, and *propranolol* are available in **intravenous** formulations.
- **Propranolol** undergoes extensive and **highly first-pass metabolism**.

## B. Therapeutic uses

- In hypertensive patients with concomitant heart disease (previous myocardial infarction, angina pectoris, and chronic heart failure).
- Conditions that **discourage** the use of  $\beta$ -blockers include: **asthma** and **severe peripheral vascular disease**.
- Three  $\beta$ -blockers have shown **benefit in Heart Failure**: **bisoprolol**, **carvedilol**, and long-acting **metoprolol succinate**
- *Carvedilol is a nonselective  $\beta$ -adrenoreceptor antagonist that also blocks  $\alpha$ -adrenoreceptors, whereas bisoprolol and metoprolol succinate are  $\beta_1$ -selective antagonists.*
- $\beta$ -Blockade is recommended for all patients with chronic, stable HF
- Treatment should be started at low doses and gradually titrated to target doses based on patient tolerance and vital signs

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- The  $\beta$ -adrenergic blockers decrease the oxygen demands of the myocardium during exertion and at rest resulting in decreased heart rate, contractility, cardiac output, and blood pressure.
- Can reduce both the frequency and severity of **angina attacks**.
- $\beta$ -Blockers can be used to increase exercise duration and tolerance in patients with effort-induced angina.
- $\beta$ -Blockers are recommended as initial antianginal therapy in all patients unless contraindicated and [vasospastic angina].
- $\beta$ -Blockers reduce the risk of death and MI in patients who have had a prior MI and also improve mortality in patients with hypertension and heart failure.
- Agents with intrinsic sympathomimetic activity (ISA) such as **pindolol** should be avoided in patients with angina and those who have had a MI.

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## D. Adverse effects

### 1. Common effects:

- The  $\beta$ -blockers may cause **bradycardia**, **hypotension**, and **CNS side effects** such as fatigue, lethargy, and insomnia.
- $\beta$ -Blockers should be avoided in patients with severe bradycardia.
- The  $\beta$ -blockers may **decrease libido** and cause erectile dysfunction, which can severely **reduce patient compliance**.

### 2. Alterations in serum lipid patterns:

- **Nonselective**  $\beta$ -blockers may **decrease HDL** cholesterol and **increase TG**.

### 3. Drug withdrawal:

- **Abrupt withdrawal may induce** angina, myocardial infarction, and even sudden death in patients with ischemic heart disease.