

Doctor 2021 - رَوَح - medicine - MU



pharmacology sheet

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DEFINATION AND TYPES

➤ These drugs occupy & **block** adrenergic receptors in competition with NA & adrenaline. They are of two classes:

1. **Alpha** – blockers

2. **Beta** – blockers (some drugs can act on Both alpha and Beta receptors)

1. **Alpha – blockers**: these are divided to

A. **Selective a-blockers** (block either a1 or a2 receptors)

B. **Non-selective α-blockers** (block both a1 & a2 receptors)

α BLOCKERS

Alfuzosin UROXATRAL
Doxazosin CARDURA
Phenoxybenzamine DIBENZYLINE
Phentolamine REGITINE
Prazosin MINIPRESS
Tamsulosin FLOMAX
Terazosin HYTRIN
Yohimbine YOCON

β BLOCKERS

Acebutolol SECTRAL
Atenolol TENORMIN
Betaxolol BETOPTIC-S, KERLONE
Bisoprolol ZEBETA
Carteolol CARTROL
Carvedilol COREG, COREG CR
Esmolol BREVIBLOC
Labetalol TRANDATE
Metoprolol LOPRESSOR, TOPROL-XL
Nadolol CORGARD
Nebivolol BYSTOLIC
Penbutolol LEVATOL
Pindolol VISKEN
Propranolol INDERAL LA, INNOPRAN XL
Timolol BETIMOL, ISTALOL, TIMOPTIC

1. PHARMACOLOGICAL ACTIONS OF ALPHA BLOCKERS

1.CVS:

Blockade of **a1** vasoconstrictor receptors produces **vasodilatation** (to treat **hypertension**) & decrease in arterial blood **pressure**. This is associated with **stimulation** of the heart **rate** as a **side effect**. Note: sudden decrease in blood pressure causes tachycardia to compensate with the new change.

2.Eye

Blockade of **a1** receptors in the radial muscle of the iris leads to **miosis**.

3. **Headache, nasal congestion** (vasodilatation of the cranial & nasal vessels)

THERAPEUTIC USES

1. Hypertension
2. Hypertensive crisis (patient with high tension_ more than 200/120 _due to excessive of catecholamines ruseit from phaeochromoctoma)
3. Pheochromocytoma hypertension
4. Benign prostatic hypertrophy to relax bladder sphincter muscle & reduces urine retention
5. Peripheral vascular disease e.g. Raynaud's syndrome (spasm of the upper limb blood vessels on exposure to cold weather). (due to vasodilation & Increasing of Blood flow)

ADVERSE EFFECTS

1. Postural hypotension = orthostatic hypotension: sudden decrease of blood pressure after standing.
2. Tachycardia (stimulation of the hert rate) (more with nonselective alpha-blockers)
3. Failure of ejaculation.
4. Headache, sedation, nasal congestion (due to vasodilation)

Opposite to PHARMACOLOGICAL ACTIONS

INDIVIDUAL ALPHA BLOCKERS

1. **Doxazosin** :selective α -1 blocker suitable for once daily administration in hypertension & benign prostatic hypertrophy (BPH).
2. **Phenoxybenzamine** : irreversible nonselective oral long acting α -blocker useful in treatment of phaeochromocytoma (tumour of the adrenal medulla secreting excessive adrenaline & NA causing hypertension).
3. **Phentolamine**: nonselective reversible injectable α - blocker useful in hypertensive crisis associated with high catecholamine levels in blood as in phaeochromocytoma.

2. BETA – BLOCKERS

1. **Cardioselective B-Blockers:** (atenolol, metoprolol, bisoprolol).

2. **Non-selective B-Blockers:** B1 & B2-receptors (propranolol)

3. **Mixed A& B blocker (Labetalol)(no selectivity)**

➤ These agents block beta-effects of adrenaline & NA.

Cardioselective B-blockers have higher affinity to cardiac B1- than for B2-receptors. Non-selective B-blockers block B1 & B2-receptors.

PHARMACOKINETICS OF BETA BLOCKERS

➤ Most beta-blockers can be given orally once daily or more.

➤ **Lipid-soluble compounds (e.g. propranolol):**

- Cross blood brain barrier (BBB) into the CNS(lead to CNS effects)
- Produce more central effects than the water soluble agents.
- Highly metabolized in the liver
- **Note: metabolism of drugs by the liver produces inactive metabolites to be excreted easily. Metabolism decreases the duration of action.**
- Safe in renal impairment

➤ **Water-soluble drugs (e.g. atenolol):**

- Excreted unchanged in urine
- Have longer t 1/2 & accumulate in renal disease
- Should be avoided in renal impairment

PHARMACODYNAMICS OF BETA BLOCKERS

1. **CVS:** These agents decrease heart rate, myocardial contractility, cardiac output & O2 consumption. They decrease renin release by kidneys. (beta blockers are mostly used in CVS)

2. **Bronchi:** producing broncho-constriction & may precipitate in asthmatic attack.

3. **Eye:** producing a reduction in intraocular pressure (IOP), which means that they can be used for treatment of glaucoma.

THERAPEUTIC USES OF BETA BLOCKERS

1. CVS indications:

- **Essential hypertension**
- **Angina pectoris:** Beta-blockers are cardioprotective by reducing cardiac work & myocardial O₂ demand.
- **Acute** myocardial infarction (**AMI**) to reduce infarction size & to prevent new infarction.
- **Arrhythmias** like ectopic beats & tachycardia (**the most common use**)

2. **Glaucoma: timolol** eye drops reduces production of aqueous humour & the high IOP

3. **Hyperthyroidism** to reduce manifestations of sympathetic over-activity in the disease.

5. CNS indications:

Note: beta receptors in CNS are responsible for alertness. Blocking these receptors cause sedation, which is a treatment for anxiety.

- **Migraine** prophylaxis
- **Chronic anxiety** to control excessive sympathetic manifestations of

Anxiety (**propranolol as it is lipid soluble, can readily pass BBB**)

ADVERSE EFFECTS OF BETA BLOCKERS

1. Bradycardia
2. Bronchospasm & precipitation of asthmatic attack
3. Cold extremities due to peripheral vasoconstriction
4. Nightmares with lipid soluble agents **note:** as a CNS side effect.

Sudden withdrawal of B-blockers should be avoided.

CONTRAINDICATIONS OF β -BLOCKERS

1. Asthma
2. Heart block
3. Severe heart failure (although small doses of selective beta-blockers were found to be useful in mild heart failure)
4. Late pregnancy, note: blocking beta receptors prevents uterine relaxation.

INDIVIDUAL BETA-BLOCKERS:

1. Atenolol (selective)
2. Propranolol ((nonselective)
3. Timolol (nonselective)
4. Metoprolol (selective)
5. Pindolol (nonselective)

"نعوذ بك يا رب من انطفاء الوجود، وهوان المسير، وفقدان الشغف، وزوال القوة، وتحول العافية إلى ألم، والاستقرار إلى تشتت، والنعم إلى نقم، وأن تتساوى الأيام في أعيننا فلا نُميز بين فرح وحزن، فاللهم علمنا شُكرَك على ما أعطيتنا وما منعت عنا لطفًا بنا، نسألك أن تحفظنا وتحيطنا بلطفك" 