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Ch – 2.4

ANTI - ADRENERGIC DRUGS

ANTIADRENERGIC DRUGS

Anti-adrenergic drugs, also known as adrenergic antagonists or sympatholytics, are medications that block the effects of adrenaline (epinephrine) and noradrenaline (norepinephrine) by inhibiting their action at adrenergic receptors. These drugs are used to counteract the effects of excessive sympathetic nervous system activity.

Classification and Examples:

Anti-adrenergic drugs can be classified based on their mechanism of action and the specific adrenergic receptor subtype they target. Common subclasses include:

α ADRENERGIC BLOCKING DRUGS

I. Nonequilibrium type

(i) β-Haloalkylamines: Phenoxybenzamine

II. Equilibrium type (competitive)

A. Nonselective

(i) Ergot alkaloids: Ergotamine, Ergotoxine

(ii) Hydrogenated ergot alkaloids: Dihydroergotamine (DHE), Dihydroergotoxine

(iii) Imidazoline: Phentolamine

(iv) Miscellaneous: Chlorpromazine

B. α1 selective: Prazosin, Terazosin, Doxazosin, Alfuzosin, silodosin

C. α2 selective: Yohimbine

β ADRENERGIC BLOCKING DRUGS

A. Nonselective (β1 and β2)

a. Without intrinsic sympathomimetic activity: Propranolol, Sotalol, Timolol

b. With intrinsic sympathomimetic activity: Pindolol

c. With additional α blocking property (α + β): Labetalol, Carvedilol

B. Cardioselective (β1): Metoprolol, Atenolol, Acebutolol, Bisoprolol, Esmolol, Betaxolol, Celiprolol, Nebivolol

Alpha-Adrenergic Antagonists: These drugs block alpha-adrenergic receptors, which are found in blood vessels, smooth muscle, and the urinary tract. Examples include:

- **Prazosin:** Used to treat hypertension and benign prostatic hyperplasia (BPH).
- **Doxazosin:** Used to treat hypertension and BPH.
- **Tamsulosin:** Selective for alpha1A-adrenergic receptors and primarily used to treat symptoms of BPH.

Beta-Adrenergic Antagonists (Beta-Blockers): These drugs block beta-adrenergic receptors, which are found in the heart, lungs, and blood vessels. Examples include:

- **Propranolol:** Non-selective beta-blocker used to treat hypertension, angina, arrhythmias, and migraine prophylaxis.
- **Metoprolol:** Selective for beta1-adrenergic receptors and used to treat hypertension, angina, heart failure, and myocardial infarction.
- **Atenolol:** Selective for beta1-adrenergic receptors and used to treat hypertension and angina.

Alpha-Beta-Adrenergic Antagonists: These drugs block both alpha and beta-adrenergic receptors. An example is:

- **Carvedilol:** Used to treat hypertension, heart failure, and myocardial infarction.

Pharmacological Actions:

Anti-adrenergic drugs produce a variety of effects by blocking the action of adrenaline and noradrenaline at adrenergic receptors. These effects include:

- **Vasodilation:** Alpha-blockers reduce vascular tone and peripheral resistance, leading to decreased blood pressure. Beta-blockers reduce cardiac output and renin release, further lowering blood pressure.
- **Decreased heart rate and contractility:** Beta-blockers reduce heart rate, contractility, and myocardial oxygen demand.
- **Relaxation of smooth muscle:** Alpha-blockers relax smooth muscle in the bladder neck and prostate, improving urinary flow in patients with BPH.
- **Reduction of intraocular pressure:** Alpha-blockers and non-selective beta-blockers reduce intraocular pressure by decreasing aqueous humor production or increasing its outflow.

Dosage:

Dosage of anti-adrenergic drugs varies depending on the specific medication, indication, and patient factors such as age, weight, and renal or hepatic function. Dosage forms include oral tablets, extended-release formulations, and injectable solutions.

Uses:

Anti-adrenergic drugs are used for various medical conditions, including:

- **Hypertension:** Alpha-blockers, beta-blockers, and alpha-beta-blockers are used to lower blood pressure and reduce cardiovascular risk.
- **Benign prostatic hyperplasia (BPH):** Alpha-blockers such as prazosin, doxazosin, and tamsulosin are used to relieve urinary symptoms associated with BPH.
- **Angina:** Beta-blockers are used to reduce myocardial oxygen demand and prevent angina attacks.
- **Arrhythmias:** Beta-blockers are used to control heart rate and rhythm in patients with supraventricular and ventricular arrhythmias.
- **Heart failure:** Beta-blockers and alpha-beta-blockers such as carvedilol are used to improve symptoms and reduce mortality in patients with heart failure.

Contraindications:

Anti-adrenergic drugs are contraindicated in patients with hypersensitivity or allergy to the medication or its components.

They should be used with caution or avoided in patients with certain medical conditions, including:

- Bradycardia or heart block, due to the potential for exacerbation of cardiac conduction disturbances.
- Asthma or chronic obstructive pulmonary disease (COPD), due to the potential for bronchoconstriction with non-selective beta-blockers.
- Raynaud's disease, due to the potential for exacerbation of peripheral vasoconstriction.
- Heart failure with reduced ejection fraction, due to the potential for worsening symptoms with non-selective beta-blockers.

Special Considerations:

- Anti-adrenergic drugs can have significant side effects, including hypotension, dizziness, fatigue, bradycardia, and sexual dysfunction. Therefore, they should be used cautiously and under the supervision of a healthcare professional.
- Dosage adjustments may be necessary in patients with hepatic or renal impairment.
- Patients should be monitored closely for adverse effects and drug interactions when using anti-adrenergic drugs.