JETIR.ORG ISSN: 2349-5162 | ESTD Year : 2014 | Monthly Issue
JOURNAL OF EMERGING TECHNOLOGIES AND INNOVATIVE RESEARCH (JETIR)
An International Scholarly Open Access, Peer-reviewed, Refereed Journal

ADRENERGIC SYSTEM AND ADRENERGIC DRUGS

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Abstract:

Adrenergic drugs are a broad class of medications that bind to adrenergic receptors throughout the body. These receptors include: alpha-1, alpha-2, beta-1, beta-2, and beta-3. Adrenergic drugs will bind directly to one or more of these receptors to induce various physiologic effects. Some drugs indirectly act at these receptors to induce certain effects.

Keywords: Adrenergic, Alpha & Beta Blockers, Agonist, Antagonist, Receptors, Classification.

Introduction

There are several types of adrenergic receptors in the human body. Although all types of adrenergic receptors, or nerve endings, respond to the same drugs, the effects depend on which specific receptors are stimulated. The alpha receptors make the heart beat faster, the pupils of the eyes dilate, and the muscles contract. The beta receptors have similar effects and also cause the bronchi in the lungs to open up. Both alpha and beta receptors are divided into subgroups—alpha-1, alpha-2, beta-1, and beta-2—each with its own specific effects.

A hormone called norepinephrine that is secreted in the body affects all types of adrenergic receptors; the drugs used in medicine and surgery, however, have been developed to affect only specific types of receptors.

Definition:

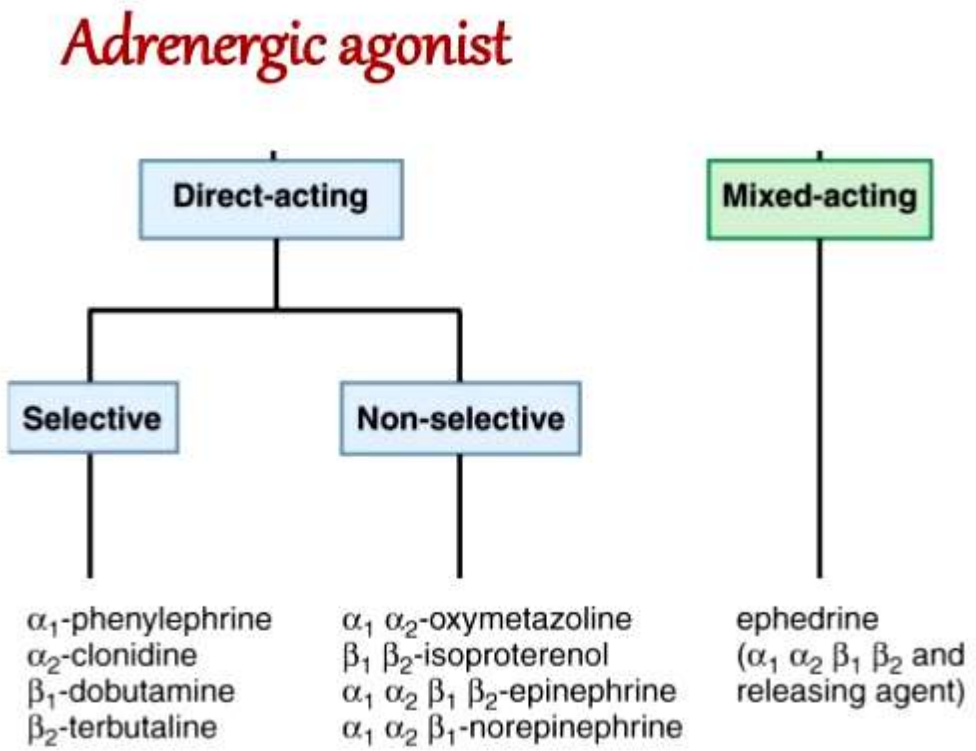
Definition of adrenergic system:

Adrenergic system or adrenergic nervous system (ANS) is a group of organs and nerves in which adrenaline (epinephrine) and/or noradrenaline (norepinephrine) act as neurotransmitters. ANS is counted as one of the main neurohormonal systems that regulate cardiovascular function, including smooth muscle tone.

Definition of adrinergic drugs:

An adrenergic agonist is a drug that stimulates a response from the adrenergic receptors. The five main categories of adrenergic receptors are: α_1, α_2, β_1, β_2, and β_3, although there are more subtypes, and agonists vary in specificity between these receptors.

Classification:



Mechanism of Action:

Adrenergic receptors, otherwise known as adreno-receptors, are classified as either alpha or beta receptors. Those two classes further subdivide into alpha-1, alpha-2, beta-1, beta-2, and beta-3. Alpha-1 and alpha-2 receptors both have three subtypes. These receptors are all G-protein-coupled receptors.

Alpha-1 receptors are Gq coupled-receptors, whereas alpha-2 receptors are Gi coupled-receptors. Beta-2 and beta-3 are also Gi coupled-receptors. All beta receptors are also Gs coupled-receptors.

Agonist binding to the adrenergic receptors induces the following cellular mechanisms:

Alpha-1 Receptor

Phospholipase C is activated, which leads to the formation of inositol triphosphate (IP3) and diacylglycerol (DAG). As a result, intracellular calcium rises.

Alpha-2 Receptor

Adenylate cyclase is inactivated, which leads to a decrease in intracellular cyclic adenosine monophosphate (cAMP).

Beta-1 Receptor

Adenylate cyclase is activated, and intracellular cAMP increases.

Beta-2 Receptor

The adenylate cycle becomes activated through the Gs-protein-coupled receptors, and there is an increase in intracellular cAMP. Gi protein-coupled receptors are also activated, and this will decrease intracellular cAMP.

Administration:

Given adrenergic drugs are a broad class of medications, they are collectively available in almost every drug dosage form. Common methods of administration are oral, intravenous, intranasal, and topical. Dosages for Beta-1 agonists such as dobutamine can begin with 0.5 to 1 mcg/kg/min and go up to 40 mcg/kg/min on the maximum end. The doses at the lower end can also be prescribed at 2.5 mcg/kg/min to 5 mcg/kg/min. While doses at the higher end can be prescribed at 5 mcg/kg/min to 20 mcg/kg/min.

While other medications such as clonidine (alpha-2 agonists) may be prescribed as transdermal patches with dosages of 0.1 mg/day to 0.3 mg/day while changing the patch every week. Clonidine can also be prescribed via an immediate-release tablet at 0.1 mg/day to 0.3 mg/day and an extended-release tablet with a dosage of 0.1 mg/day. Caution should be used when prescribing the medication to patients with renal failure as and it is recommended to begin with a low dose and increase as needed.

Purpose:

Adrenergic drugs have many uses. They are used to increase the output of the heart, to raise blood pressure, and to increase urine flow as part of the treatment of shock. Adrenergics are

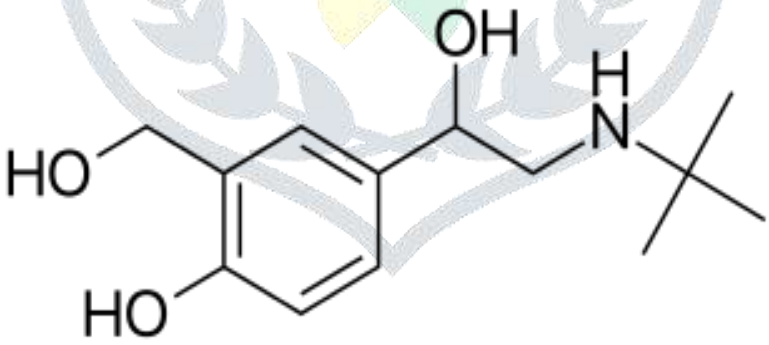
also used as heart stimulants. They may be given to a patient to reverse the drop in blood pressure that is sometimes caused by general anesthesia. They may be used to stop bleeding by causing the blood vessels to constrict, and to keep local anesthetics in a small area of the body by closing off the nearby blood vessels that would otherwise spread the anesthetic to other parts of the body. This ability to make blood vessels constrict makes adrenergics useful in reducing nasal stuffness associated with colds and allergies. They may also be given to open the bronchi, the tubes leading to the lungs, for treatment of asthma and chronic obstructive pulmonary disease (COPD).

Main uses of adrenergic

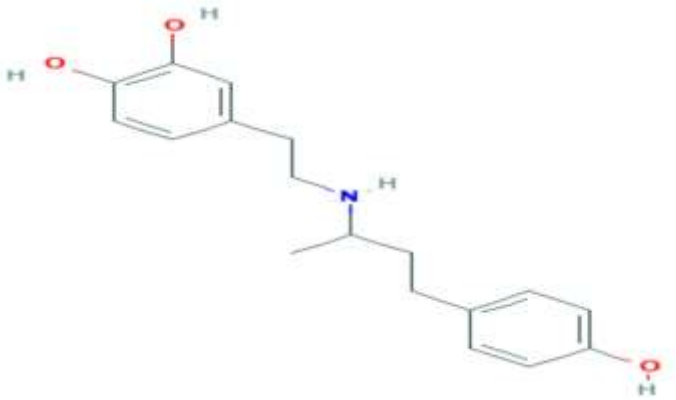
- Increase blood pressure.
- Constrict blood vessels.
- Open the airways leading to the lungs.
- Increase heart rate.
- Stop bleeding.

Adrenergic amines in common used:

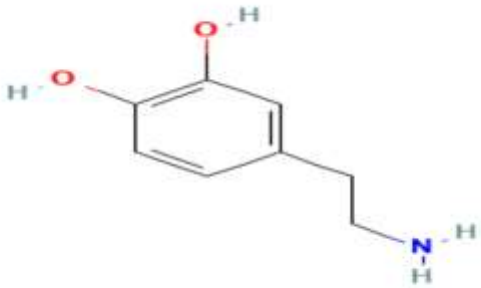
(1)Albuterol (Alupent, Ventolin) - given by mouth or as a nasal spray to improve breathing;



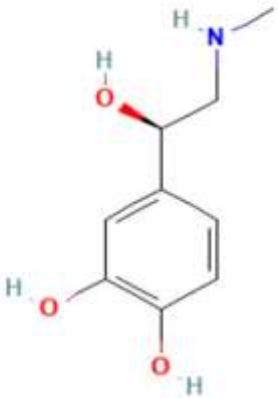
(2)Dobutamine (Dobutrex and generic forms)—used to stimulate the heart during surgery or after a heart attack or cardiac arrest;



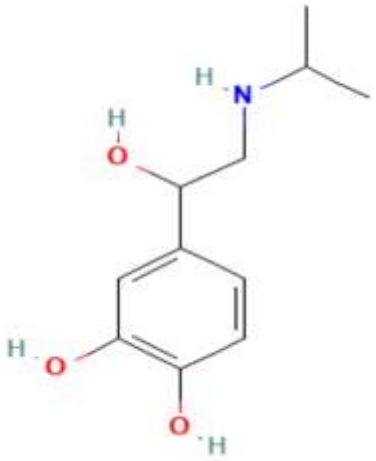
(3)Dopamine (Intropin)—used to increase cardiac output, blood pressure, and urine flow in treating patients with shock;



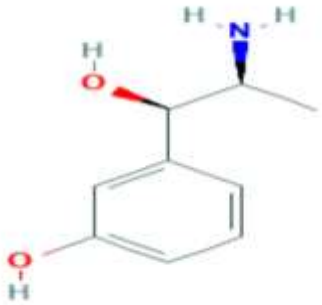
(4)Epinephrine (Adrenalin)—used locally to control bleeding from arterioles and capillaries during surgery. It is used to treat shock, as a heart stimulant, and as a decongestant. Epinephrine may be added to local anesthetics to keep the anesthetic in the area where it is applied. Epinephrine may also be applied to the eye to reduce the symptoms of conjunctivitis (red eye);



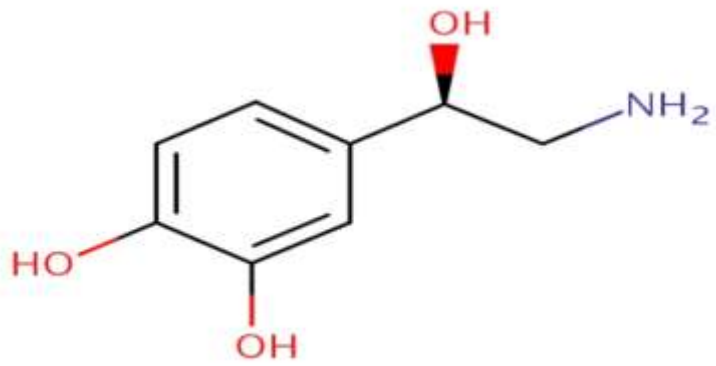
(5) Isoproteranol—most widely used to ease breathing problems in asthma and COPD, but also used to control several types of irregular heartbeat until a pacemaker can be implanted;



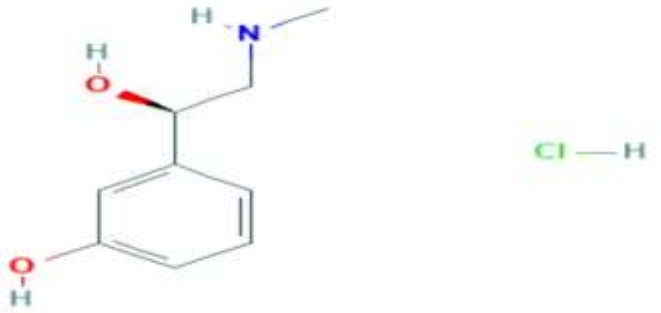
(6)Metaraminol (Aramine)—used to raise the blood pressure and stimulate the heart in treating patients with shock;



(7)Norepinephrine (Levophed)—used to increase the output of the heart and raise blood pressure as part of the treatment of shock.



(8)Phenylephrine (Neo-Synephrine)—used to treat shock and low blood pressure; also used in the form of nose drops or spray to relieve nasal congestion from colds and allergies.



Adverse Effects:

- The adverse effects seen with adrenergic drugs are broad. The most common side effects are changes in heart rate and blood pressure.
- Selective agonist binding to the alpha-1 receptor can lead to hypertension. Certain drugs that bind to the alpha-1 receptor, such as phenylephrine, may cause reflex bradycardia.
- Drugs that selectively bind to alpha-2 receptors may cause hypotension, dry mouth, and sedation. At higher doses, respiratory depression and somnolence may occur. These effects are most pronounced with clonidine and similarly acting drugs.
- Selective binding to beta-1 receptors commonly causes tachycardia, palpitations, and hypertension. Tachyarrhythmias and anxiety can also be common. High doses may induce dangerous arrhythmias. An example of a selective beta-1 receptor agonist is dobutamine.
- Beta-2 receptor agonists can cause tremors, tachycardia, palpitations, and anxiety. Common examples are the various bronchodilator drugs such as albuterol and salmeterol.

Non-selective binding to the adrenergic receptors can cause different side effects that vary based on the specific agent as well as the dosage. The common non-selective agonists are norepinephrine, epinephrine, and isoproterenol (isoprenaline). Common side effects are tachycardia, hypertension, arrhythmias, palpitations, and anxiety. Norepinephrine is less likely to cause arrhythmias than some of the other pressor medications, probably because it is more alpha-1 receptorselective as compared with the beta-1 receptor.

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