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EVALUATION OF ANTIHISTAMINE ACTIVITY

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ABSTRACT

Histamine is an important chemical mediator of inflammation, vasodilation, increased vascular permeability, decreased peripheral resistance, airway smooth muscle contraction, and sensory nerve stimulation causing itching. It also plays a significant role in neurotransmission and in cardiac function. Inallergic rhinoconjunctivitis and urticaria, there strong evi-dence for the role of H1-antihistamine treatment. In asthma, additional doseresponse studies, including higher dosesof antihistamines than those used in allergic rhinitis, are neededto determine the role of antihistamines. In atopic dermatitis, the itch relieving topical glucocorticoid-sparing effects of H1-antihistamines also require further documentation. The potential benefits of each H1-antihistamine should be weighed against the potential risks, and second-generation H1-antihist-amines with excellent, well documented safety records should be used in preference to older, less safe H1-antihistamines. Second-generation H1-antihistamines are more relevant than everin the treatment of allergic disorders.[1]

KEYWORDS: Antihistamine, histamine H1-receptor, histamine H2-receptor, histamine H3receptor, histamine H4-receptor, H1-antihis-tamine, allergic rhinitis, urticaria, asthma, atopic dermatitis, adults, children, cetirizine, desloratadine, fexofenadine, levocetirizine, loratadine, tecastemizol.

INTRODUCTION

Antihistamines are a type of medicine that's often used to treat a number of allergic health conditions.

These include

- hay fever
- allergic rhinitis- inflammation of the nose due to an allergic reaction to substances such as dust mites
- allergic skin conditions, such as eczema or urticaria (hives)
- allergic conjunctivitis - inflammation of the eyes

Antihistamines work by blocking the effects of a protein called histamine (see below). They're available in tablet or capsule form (oral antihistamines), creams, lotions and gels (topical antihistamines) and as a nasal spray.[2]

HOW ANTIHISTAMINES WORK[3]

- Histamine is a protein that the immune system uses to help protect the body's cells against infection. The immune system is the body's natural defence against illness and infection.
- If the immune system detects a harmful foreign object, such as bacteria or a virus, it will release histamine into nearby cells. The histamine causes small blood vessels to expand and the surrounding skin to swell. This is known as inflammation.
- The expansion of the blood vessels allows an increased number of infection-fighting white blood cells to be sent to the site of the infection. The swelling of the surrounding skin also makes it harder for an infection to spread to other parts of the body.
- Histamine is usually a useful protein, but if you're having an allergic reaction it's sometimes necessary to block its effects. Allergic reactions occur when your immune system mistakes a harmless substance, such as pollen, as a threat.
- The release of histamine causes the process of inflammation to begin and leads to nearby tissue becoming red and swollen. It can also affect the nerves in the skin, making the skin feel itchy.

TYPES OF ANTIHISTAMINE[4]

There are a number of antihistamine medicines, which are classified in two groups. These are:

First-generation antihistamines, which cause symptoms of drowsiness in most people; they include diphenhydramine and chlorphenamine.

Second-generation antihistamines, which do not usually causes symptoms of drowsiness and include loratadine and cetirizine.

Second-generation antihistamines are usually recommended. Do not underestimate the levels of drowsiness caused by first-generation antihistamines - their effects can continue into the next day if you only take them at night.

Research has found that adults who regularly take first-generation antihistamines are more likely to be involved in serious accidents. Similarly, children who regularly take first-generation antihistamines perform less well at school than would usually be expected.

An exception to these recommendations is sometimes made if the drowsiness caused by first-generation antihistamines can be of some use. For example, if you're having problems sleeping because you have itchy skin.

Many antihistamines are available direct from your pharmacist without prescription.

SAFETY

Allergen

An allergen is a substance that reacts with the body's immune system and causes an allergic reaction.

Allergic

An allergen is a substance that reacts with the body's immune system and causes an allergic reaction.

Blood

Blood supplies oxygen to the body and removes carbon dioxide. It is pumped around the body by the heart.

Blood vessels

Blood vessels are the tubes in which blood travels to and from parts of the body. The three main types of blood vessels are veins, arteries and capillaries.

Drowsiness

Drowsiness is when someone feels extremely tired and uncontrollably near to sleep.

Fever

A fever is when you have a high body temperature (over 38°C or 100.4°F).

Heart

The heart is a muscular organ that pumps blood around the body.

Immune system

The immune system is the body's defence system, which helps protect it from disease, bacteria and viruses.

Sneezing

Sneezing is an involuntary expulsion of air and bacteria from the nose and mouth.

Vomiting is when you bring up the contents of your stomach through your mouth.

Stomach

The sac-like organ of the digestive system. It helps digest food by churning it and mixing it with acids to break it down into smaller pieces.

Swelling

Inflammation is the body's response to infection, irritation or injury, which causes redness, swelling, pain and sometimes a feeling of heat in the affected area.

Ulcers

An ulcer is a sore break in the skin, or on the inside lining of the body.

WHAT ANTIHISTAMINE USED FOR[5]

Antihistamines are mainly used to help control symptoms of health conditions associated with allergic reactions.

- hay fever
- allergic rhinitis- inflammation of the nasal passages
- atopic eczema - a common allergic skin condition
- urticaria - also known as nettle rash and hives
- allergic conjunctivitis - inflammation of the eyes
- allergic reactions that are caused by insect bites or insect stings
- mild allergic reactions that are caused by food allergies; more serious allergic reactions (anaphylaxis) usually require treatment with adrenaline (a chemical that can reverse many of the processes associated with an allergic reaction)

OTHER USES

STOMACH ULCER

A type of antihistamine, known as a H2-receptor antagonist, is sometimes used to treat stomach ulcers. This is because histamine can also stimulate the production of stomach acid.

H2-receptor antagonists can be used to block the 'acid-stimulating' effect of histamine, which helps to reduce the acid level in the stomach and digestive system.

INSOMNIA

First-generation antihistamines may be of some benefit in the short-term treatment of insomnia, particularly if the symptoms of sleeplessness are caused by an underlying allergic condition, such as an allergic skin condition.

The long-term use of antihistamines to treat insomnia is not recommended because there are more effective treatments. In addition, there's a risk that you could become addicted to the sedating effects of first-generation antihistamines.

Adrenaline

Adrenaline is a hormone produced at times of stress that affects heart rate, blood circulation and other functions of the body.

Allergic

An allergen is a substance that reacts with the body's immune system and causes an allergic reaction.

Anaphylactic shock

Anaphylactic shock is a severe and sometimes life-threatening allergic reaction, causing swelling of body tissues and a drop in blood pressure.

Anxiety

Anxiety is an unpleasant feeling when you feel worried, uneasy or distressed about something that may or may not be about to happen.

Chronic

Chronic usually means a condition that continues for a long time or keeps coming back.

Congestion

Congestion is an excess of fluid in part of the body, often causing a blockage.

Decongestant

Decongestant medicine relieves congestion by reducing the swelling of the lining the nose and sinuses and drying up the mucous.

Drowsiness

Drowsiness is when someone feels extremely tired and uncontrollably near to sleep.

Fever

A high temperature, also known as a fever, is when someone's body temperature goes above the normal 37°C (98.6°F).

Morning sickness

Morning sickness refers to the nausea and vomiting experienced early in a pregnancy, not necessarily in the morning.

Sickness

Vomiting is when you bring up the contents of your stomach through your mouth.

Sneezing

Sneezing is an involuntary expulsion of air and bacteria from the nose and mouth.

Stomach

The sac-like organ of the digestive system. It helps digest food by churning it and mixing it with acids to break it down into smaller pieces.

Swelling

Inflammation is the body's response to infection, irritation or injury, which causes redness, swelling, pain and sometimes a feeling of heat in the affected area.

Ulcers

An ulcer is a sore break in the skin, or on the inside lining of the body.

HOW ANTIHISTAMINE WORK[6]

Receptors

Receptors are protein molecules found in the cell walls. They react when they come into contact with certain other proteins.

Antihistamines work by blocking the receptor sites in each cell in the same way that superglue can block a lock, so that the histamine 'key' can't activate the receptors and affect the cell.

Histamine receptors

Four different histamine receptors are found in each cell. They are known as:

- H1
- H2
- H3
- H4
- The H1 receptor is the receptor that causes inflammation. Therefore, the majority of antihistamines are designed to block the H1 receptor.
- The H2 receptor is the receptor that helps to stimulate the production of stomach acids. Therefore, antihistamines that are used to treat stomach ulcers are designed to block the H2 receptor.
- The H3 receptor seems to play an important role in stimulating the production of neurotransmitters, which are 'messenger chemicals' used by brain cells to transmit information around the brain. Neurotransmitters can have a powerful effect on your mood and emotional state.
- The H4 receptor has only recently been discovered and not much is known about its role, although it's thought to be involved with the regulation of the immune system.

At present, there are no commercially available antihistamines that can block the H3 or H4 receptors. However, current research is underway to produce such an antihistamine.

It's thought that H3 blocking antihistamines could be useful in treating mental health conditions, such as depression, as well as neurological conditions, such as Alzheimer's disease. Recent research also suggests that H3 blocking antihistamines could be useful in helping to relieve neuropathic pain (pain caused by damage or irritation to the nerves).

It's thought that an H4-blocking antihistamine may be useful in treating autoimmune conditions, such as rheumatoid arthritis, where the immune system attacks healthy tissue.

Blood

Blood supplies oxygen to the body and removes carbon dioxide. It is pumped around the body by the heart.

Blood vessels

Blood vessels are the tubes in which blood travels to and from parts of the body. The three main types of blood vessels are veins, arteries and capillaries.

Brain

The brain controls thought, memory and emotion. It sends messages to the body controlling movement, speech and senses.

Drowsiness

Drowsiness is when someone feels extremely tired and uncontrollably near to sleep.

Fever

A high temperature, also known as a fever, is when someone's body temperature goes above the normal 37°C (98.6°F).

Sneezing

Sneezing is an involuntary expulsion of air and bacteria from the nose and mouth.

Stomach

The sac-like organ of the digestive system. It helps digest food by churning it and mixing it with acids to break it down into smaller pieces.

Tissues

Body tissue is made up of groups of cells that perform a specific job, such as protecting the body against infection, producing movement or storing fat.

Ulcers

An ulcer is a sore break in the skin, or on the inside lining of the body.

Vomit

Vomiting is when you bring up the contents of your stomach through your mouth.

INTERACTION WITH OTHER MEDICINES[7]

First-generation antihistamines

Avoid drinking alcohol when taking first-generation antihistamines because this will make the feeling of drowsiness worse.

The same is true for other types of medication that are known to have a sedating effect, such as:

- sleeping tablets
- benzodiazepines, which are often used to treat anxiety disorders
- tricyclic antidepressants, which are used to treat a range of mental health conditions, such as depression and obsessive compulsive disorder (OCD), as well as a number of chronic pain conditions

Second-generation antihistamines

Most second-generation antihistamines do not have important interactions when they're taken with other medications. However, the exceptions to this are:

- **rupatadine** - this can cause unpredictable effects if taken with some types of antibiotics or grapefruit juice.
- **mizolastine** - this can cause unpredictable effects if taken with nifedipine (used to treat high blood pressure), cimetidine (used to treat heartburn) and ciclosporin (which is often used to treat people who've had an organ transplant).

Cough and cold medicines

Many cough and cold medicines that are available over the counter at pharmacies contain a mixture of different medications, such as paracetamol, decongestants and antihistamines

Don't take cough and cold medicines if you have recently taken other antihistamine medication because there's a risk of taking an excess dose of antihistamine.

SIDE EFFECT OF ANTIHISTAMINE[8]

First-generation antihistamine

Common side effects of first-generation antihistamines include:

- Drowsiness
- Impaired thinking
- Dry mouth
- Dizziness

Less common side effects of first-generation antihistamines include:

- Insomnia- difficulty sleeping
- Nightmares
- Hallucinations - seeing or hearing things that aren't real
- Itchy skin

Rare side effects of first-generation antihistamines include:

- Rapid heartbeat
- Chest tightness

Second-generation antihistamines

As well as drowsiness, other side effects of second-generation antihistamines include:

- Headache
- Dry mouth
- Dry nose

These side effects are usually short-lasting and should pass quickly.

Rarer side effects include:

- Rapid heartbeat
- Chest tightness

H2 receptor antagonists

Antihistamines that are used to treat stomach ulcers are known as H2 receptor antagonists. Side effects of this type of antihistamine are uncommon but may include:

- Diarrhoea
- Headache
- Dizziness

- Skin rashes
- Tiredness
- Antihistamines
- Antihistamine medicine counteracts the action of histamine (a chemical released during an allergic reaction). For example, loratadine, hydroxyzine.
- Drowsiness
- Drowsiness is when someone feels extremely tired and uncontrollably near to sleep.
- Fever
- A high temperature, also known as a fever, is when someone's body temperature goes above the normal 37°C (98.6°F).
- Heart
- The heart is a muscular organ that pumps blood around the body.

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