

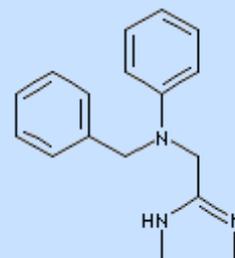
ANTAZOLINE PHOSPHATE

SYNONYMS

N-(4,5-Dihydro-1H-imidazol-2-ylmethyl)-N-(phenylmethyl)aniline phosphate; 2-(N-Benzylanilinomethyl)-2-imidazoline phosphate; 2-(N-Phenyl-N-benzylaminomethyl)imidazoline phosphate; 2-Phenylbenzylaminomethylimidazoline phosphate; Antistine phosphate; Phenazoline phosphate; N-Benzyl-4,5-dihydro-N-phenyl-1H-imidazole-2-methylamine phosphate;

PRODUCT IDENTIFICATION

CAS RN	154-68-7; 91-75-8 (Parent)
EINECS RN	205-831-4
FORMULA	C ₁₇ H ₁₉ N ₃ ·H ₃ PO ₄
MOL WEIGHT	363.35



PHYSICAL AND CHEMICAL PROPERTIES

PHYSICAL STATE	white to off-white crystalline powder
MELTING POINT	194 - 198 C (Decomposes)
BOILING POINT	
DENSITY	
SOLUBILITY IN WATER	Soluble (sparingly soluble in methanol, insoluble in benzene, ether)
pH	
VAPOR DENSITY	
REFRACTIVE INDEX	
FLASH POINT	

GENERAL DESCRIPTION

Histamine H₁-receptor antagonists are used to relieve the symptoms of an immediate allergic reaction. They have additional anti-inflammatory effects that could result from an inhibition of the transcription factors activator protein-1 (AP-1) and nuclear factor-kappa B (NF-kappaB). The implication of the H₁-receptor in these effects is controversial. Diphenhydramine is a first-generation H₁-receptor antagonist while mizolastine and desloratadine are second-generation compounds. Mizolastine is also an inhibitor of 5-lipoxygenase (5-LO), an enzyme that has been involved in NF-kappaB activation. (source: <http://www.ingentaconnect.com>)

Antazoline is an antihistamine of the ethylenediamine class, which are selective H₁-antagonists. When used systemically, this group of antihistamines can cause moderate sedation (despite having weak CNS effects), gastric disturbances and skin sensitisation. Antazoline competitively blocks H₁ receptors. Effects mediated by H₁ receptors include the contraction of smooth muscle and the dilatation and increased permeability of the capillaries. Naphazoline is a sympathomimetic with alpha adrenergic activity. Its vasoconstrictive effect reduces redness in allergic conjunctivitis. Local vasoconstriction usually occurs within 10 minutes and may persist for 2 to 6 hours. The antihistamine antazoline is effective in providing relief of itching. The use of products combining an antihistamine and a vasoconstrictor is well established in the symptomatic relief of allergic eye disease. (source: <http://www.novartis.com.au>)

Antazoline is an anti-histamine. It acts by blocking the action of histamine which is a chemical that is released when an allergic response occurs. Histamine is the chemical in the body that causes the symptoms of an allergic reaction. These can include inflammation of the skin, airways or tissues, rashes, itching of the skin, eyes or nose, nasal congestion and narrowing of the airways. Xylometazoline acts on receptors in the walls of blood vessels causing them to narrow. As a result, less blood travels through the vessels to the eye. This works to prevent histamine from entering the eye membrane by restricting the blood flow. It also helps decrease the appearance of red eye caused by dilated blood vessels. Antazoline and xylometazoline are used in combination as eye drops so that they can act directly where



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they are needed. By blocking the actions of histamine in the eye, antazoline prevents and relieves the typical symptoms of eye allergies such as itch, swelling and mucus secretion. By decreasing blood supply to the eye, xylometazoline helps prevent excess histamine reaching the eye and reduces eye redness. (source: <http://www.netdoctor.co.uk>)

Antazoline (Pharmacological Action)

Histamine H1 Antagonists - Drugs that selectively bind to but do not activate histamine H1 receptors, thereby blocking the actions of endogenous histamine. Included here are the classical antihistaminics that antagonize or prevent the action of histamine mainly in immediate hypersensitivity. They act in the bronchi, capillaries, and some other smooth muscles, and are used to prevent or allay motion sickness, seasonal rhinitis, and allergic dermatitis and to induce somnolence. The effects of blocking central nervous system H1 receptors are not as well understood.

Anti-Allergic Agents - Agents that are used to treat allergic reactions. Most of these drugs act by preventing the release of inflammatory mediators or inhibiting the actions of released mediators on their target cells. (From AMA Drug Evaluations Annual, 1994, p475) (source: <http://pubchem.ncbi.nlm.nih.gov>)

STABILITY AND REACTIVITY

STABILITY	Stable under normal conditions. Instable under light.
INCOMPATIBLE MATERIALS	
DECOMPOSITION PRODUCTS	Carbon monoxide, Carbon dioxide, Nitrogen oxides, Phosgene gas
POLYMERIZATION	Will not occur
NFPA RATINGS	Health: 2, Flammability: 0, Reactivity: 0

SAFETY

HAZARD NOTES	Toxic, Harmful. Harmful by inhalation, in contact with skin and if swallowed. Irritating to eyes, respiratory system and skin.
EYE	Cause eye irritation.
SKIN	Cause skin irritation.
INGESTION	Cause respiratory system irritation.
INHALATION	Harmful if swallowed.
CHRONIC	

SALES SPECIFICATION

APPEARANCE	white to off-white crystalline powder
IDENTIFICATION	Pass tests (IR, TLC)
ASSAY	98.0% ~ 101.0%
LOSS ON DRYING	0.5% max
RELATED SUBSTANCES	Total impurity: 1.0% max, Individual impurity: 0.5% max,
MELTING POINT	194 - 198 C
HEAVY METALS	20ppm max

TRANSPORT & REGULATORY INFORMATION

UN NO.	
HAZARD CLASS	
PACKING GROUP	
HAZARD SYMBOL	XN
RISK PHRASES	20/21/22-36/37/38
SAFETY PHRASES	26-36



ANTAZOLINE PHOSPHATE

PACKING

PRICE

