

DATA SHEET

HISTAFEN ELIXIR

Chlorpheniramine Maleate 2mg/5ml

Presentation

Red thin liquid with the characteristic odour of raspberry.

Uses

Actions

Chlorpheniramine maleate is an alkylamine derivative and potent, long acting H₁-receptor antagonist (an antihistamine). Chlorpheniramine maleate diminishes the main actions of histamine in the body by competitive, reversible blockade of histamine receptor sites on tissues. Vasodilatation increased capillary permeability, flare and itch reactions in the skin are blocked by chlorpheniramine maleate. H₁-antagonists also possess anticholinergic, serotonin - antagonising and local anaesthetic effects.

Pharmacokinetics

Chlorpheniramine maleate is rapidly absorbed from the gastrointestinal tract with peak plasma concentrations after 2 - 3 hours. It is metabolised in the liver.

It has a half-life of approximately 14 - 25 hours and a duration of effect of approximately 24 hours. Chlorpheniramine maleate undergoes extensive gut and hepatic first pass effect. It is excreted usually as metabolites in the urine. Excretion is dependent on urinary pH and flow rate.

Indications

Chlorpheniramine maleate is indicated for allergic skin disorders including urticaria, pruritus, insect bites, some drug allergies and contact allergies to plants. It is also effective in relieving the symptoms of seasonal and perennial allergic rhinitis such as sneezing, nasal itch and conjunctivitis.

Dosage and Administration

Adults and children over six years of age: 5ml up to eight times daily.

Contraindications

Hypersensitivity to chlorpheniramine maleate or any of the ingredients listed at the end of this data sheet. Acute attacks of asthma. Do not use in children under six years of age.

Warnings and Precautions

Because of the antimuscarinic properties, antihistamines should be used with care in conditions such as narrow angle glaucoma, urinary retention and prostatic hypertrophy.

May cause drowsiness; patients so affected should not drive or operate machinery. Patients should abstain from alcohol.

Use in Pregnancy: Class A. Antihistamines have been taken by a large number of pregnant women and women of childbearing age without any proven increase in the frequency of malformations or other direct or indirect harmful effects on the fetus having been observed.

Use in Lactation: Since chlorpheniramine maleate enters breast milk it is recommended that nursing mothers either stop the medicine or find an alternative method of infant feeding.

Adverse Effects

Side effects vary in incidence and severity with each patient.

Sedation is not so common with chlorpheniramine maleate but a significant proportion of patients can experience this, varying from slight drowsiness to deep sleep, and including lassitude, dizziness and incoordination.

Sedative effects, when they occur, may diminish after a few days.

Other side effects include gastrointestinal disturbances such as nausea, vomiting, diarrhoea or constipation, anorexia or increased appetite, and epigastric pain.

Antimuscarinic effects include blurred vision, difficulty in micturition, dysuria, dryness of the mouth, and tightness of the chest. Central effects include hypotension, muscular weakness, tinnitus, euphoria and occasionally headache. Paradoxical CNS stimulation may occur, with insomnia, nervousness, tachycardia, tremors and convulsions. Chlorpheniramine maleate may precipitate epileptiform seizures in patients with local lesions of the cerebral cortex.

Chlorpheniramine maleate may produce allergic reactions and cross-sensitivity to related drugs.

Grave complications such as leukopenia and agranulocytosis are very rare.

Interactions

Administration of Histafen elixir with other CNS depressants such as alcohol, barbiturates, hypnotics, opioid analgesics, sedatives and antipsychotics may enhance sedation.

Histafen elixir will enhance the antimuscarinic action of atropine, tricyclic antidepressants and Monoamine Oxidase inhibitors (MAOIs).

There is evidence to suggest that antihistamines such as chlorpheniramine maleate could mask the warning signs of damage caused by ototoxic medicines such as aminoglycosides.

Histafen may suppress the cutaneous histamine response to allergen extracts. Its use should be stopped several days before skin testing.

Overdosage

The main symptoms in children are ataxia, excitement, hallucinations, muscle tremor, convulsions, dilated pupils, dry mouth, flushed face, and hyperpyrexia. Deep coma, cardiorespiratory collapse, and death may occur within 18 hours.

In adults, the usual symptoms are of CNS depression with drowsiness, coma and convulsions. Hypotension may also occur. Elderly patients are more susceptible to the CNS depressant and hypotensive effects even at therapeutic doses.

Treatment: In severe overdose, the stomach should be emptied by aspiration and lavage. Emetics may be tried if the patient is alert and there are no symptoms of toxicity, but may be ineffective due to the anti-emetic activity of the antihistamine. Activated charcoal and saline laxatives may also be given.

Convulsions may be controlled with diazepam given IV, although it has been suggested that sedatives should be avoided.

Pharmaceutical Precautions

Keep out of reach of children.

Protect from light. Store below 30°C. The shelf-life is 36 months

Medicine Classification

Pharmacist Medicine.

Package Quantities

500ml

Further Information

Chlorpheniramine maleate has the chemical formula: $C_{20}H_{23}ClN_2O_4$.

Other ingredients are: Saccharin sodium, Sodium cyclamate, Sorbitol 70%, Propylene glycol, Ethyl alcohol, Nipastat, Vanillin powder, Raspberry imitation flavour BBA 084246, FD&C Red No2 and Water.

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Date of Preparation

8 September 2009