New Zealand Data Sheet

POLARAMINE®

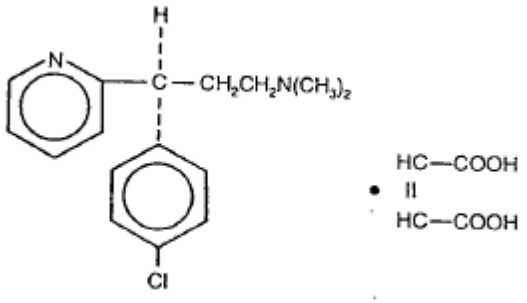
Tablets, film-coated – dexchlorpheniramine maleate 2 mg

Syrup - dexchlorpheniramine maleate 2 mg/5 mL (0.4 mg/mL)

1. Name of the Medicinal Product

Polaramine (dexchlorpheniramine maleate) is the dextro-isomer of chlorpheniramine maleate. It is an antihistamine with anticholinergic properties.

Dexchlorpheniramine maleate (CAS no. 2438-32-6) is described chemically as (+)-2-[*p*-chloro-α-[2-(dimethylamino)ethyl]benzyl]pyridine maleate (1:1). It has the empirical formula of $C_{16}H_{19}ClN_2.C_4H_4O_4$ and the following structural formula:



Dexchlorpheniramine maleate is a white, odourless, crystalline powder which in aqueous solution has a pH of between 4 and 5. It is freely soluble in water, soluble in alcohol and in chloroform, but only slightly soluble in benzene or ether.

2. Qualitative and Quantitative Composition

Qualitative Composition in terms of the active ingredient

All formulations: dexchlorpheniramine maleate

Quantitative Composition in terms of the active ingredient

Polaramine Tablets: dexchlorpheniramine maleate 2 mg
Polaramine Syrup: dexchlorpheniramine maleate 2 mg in 5 mL (0.4 mg/mL)

For a full list of excipients, see section 6.1.

3. Pharmaceutical Form

Polaramine Tablets

Film-coated, immediate release tablets for oral use.

Off-white, round, bevelled tablets with a score-line on one side. The score line is not intended for breaking the tablet.

Polaramine Syrup
Syrup for oral use.
A clear, red syrup with an orange-like odour.

4. Clinical Particulars

4.1 Therapeutic Indications

Polaramine is indicated for symptomatic treatment of perennial and seasonal allergic rhinitis, vasomotor rhinitis, allergic conjunctivitis, mild uncomplicated allergic skin manifestations of urticaria and angioedema. Polaramine may relieve itching due to skin conditions such as allergic eczema, pruritus ani, pruritus vulvae, atopic dermatitis, contact dermatitis, insect bites, dermographism and medicine reactions, including serum sickness.

4.2 Dose and Method of Administration

Polaramine Tablets

Adults and children over 12 years:	One tablet every 6 hours

Polaramine Syrup

Adults and children over 12 years:	5 mL every 6 hours
Children 6 – 12 years:	2 – 4 mL every 6 - 8 hours
Children 4 - 6 years:	1.75 – 2 mL every 6 - 8 hours
Children 2 – 4 years:	1.25 – 1.75 mL every 6 - 8 hours

Polaramine Syrup is not to be used in children under 2 years of age.

Paediatric Population
Polaramine Syrup can be used for children from 2 years of age. Dosages are:

Children over 12 years:	5 mL every 6 hours
Children 6 – 12 years:	2 – 4 mL every 6 - 8 hours
Children 4 - 6 years:	1.75 – 2 mL every 6 - 8 hours
Children 2 – 4 years:	1.25 – 1.75 mL every 6 - 8 hours

4.3 Contraindications

Polaramine is contraindicated for use in:
- Children under 2 years of age due to the risk of respiratory depression.
- patients taking monoamine oxidase inhibitors (MAOIs) (see “Interactions with other medicines” section)
- patients with a history of hypersensitivity to dexchlorpheniramine, to other medicines of similar chemical structure, or to any of the excipients listed in section 6.1.

4.4 Special Warnings and Precautions for Use

Polaramine may cause drowsiness and may add to the effects of alcohol. Drowsiness may continue the following day. Those affected should not drive or operate machinery; alcohol should be avoided.

Polaramine should be used with caution in patients with:
- narrow-angle glaucoma
- stenosing peptic ulcer
- prostatic hypertrophy

- bladder neck obstruction
- pyloroduodenal obstruction
- cardiovascular disease including hypertension
- increased intraocular pressure
- hyperthyroidism
- use with caution in patients with renal or hepatic impairment
- seizures

Polaramine may cause photosensitivity in some patients.

Paediatric Use

Polaramine is contraindicated in children under 2 years of age due to the risk of respiratory depression.
Caution should be exercised when administering Polaramine to paediatric patients 2 years of age and older. It is recommended that the lowest effective dose of Polaramine be used in paediatric patients 2 years of age and older and concomitant administration of other drugs with respiratory depressant effects be avoided.
Children may experience paradoxical excitation with dexchlorpheniramine maleate. In children this may cause excitability.

Polaramine Syrup contains sorbitol, which may have a laxative effect or cause diarrhoea.

Use in the Elderly

The elderly may experience paradoxical excitation with dexchlorpheniramine maleate. In patients over 60 years of age, antihistamines may cause dizziness, sedation and hypotension. Also they are more likely to have central nervous system (CNS) depressive side effects, including confusion.

4.5 Interactions with Other Medicines and Other Forms of Interaction

The following interactions with Polaramine have been noted:

- central nervous system (CNS) depressants (alcohol, sedatives, opioid analgesics, hypnotics) may cause an increase in sedative effects of Polaramine
- concomitant administration with tricyclic antidepressants (TCAs) may result in additive antimuscarinic activity
- monoamine oxidase inhibitors (MAOIs) may prolong and intensify the anticholinergic and CNS depressive effects of some antihistamines and may cause a decrease in blood pressure
- oral anticoagulants may have their actions decreased by antihistamines.

Effect on laboratory tests

Antihistamines should be discontinued approximately 48 hours prior to skin testing procedures since these medicines may prevent or diminish otherwise positive reactions to dermal reactivity indicators.

4.6 Fertility, Pregnancy and Lactation

Pregnancy (Category A)

Safety during pregnancy has not been established. Polaramine should be used during the first two trimesters of pregnancy only if clearly needed.

Dexchlorpheniramine maleate should not be used in the third trimester of pregnancy because newborn and premature infants may have severe reactions to antihistamines.

Polaramine has 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 of on the foetus having been observed.

Lactation

Polaramine is excreted in breast milk. Therefore caution should be exercised when administered to nursing mothers.

4.7 Effects on Ability to Drive and Use Machines

Polaramine may cause drowsiness and may add to the effects of alcohol. Drowsiness may continue the following day. Those affected should not drive or operate machinery; alcohol should be avoided.

4.8 Undesirable Effects

Slight to moderate drowsiness is the most frequent side effect of dexchlorpheniramine maleate. Other reported reactions associated with antihistamine therapy in general include:

General:	Urticaria, drug rash, anaphylactic shock, photosensitivity, excessive perspiration, chills, dryness of mouth, nose and throat
Cardiovascular:	Hypotension, hypertension, headache, palpitations, tachycardia, extrasystoles
Haematological:	Haemolytic anaemia, hypoplastic anaemia, thrombocytopenia, agranulocytosis
Gastrointestinal:	Epigastric distress, anorexia, nausea, vomiting, diarrhoea, constipation
Genitourinary:	Urinary frequency, difficult urination, urinary hesitation and retention, early menses
Nervous System:	Sedation, dizziness, disturbed coordination, fatigue, confusion, restlessness, excitation, nervousness, tremor, irritability, insomnia, euphoria, paraesthesia, blurred vision, diplopia, vertigo, tinnitus, acute labyrinthitis, hysteria, neuritis, convulsions, lassitude, depression, inability to concentrate, dilated pupils, hypereflexia, hyporeflexia, xerostomia, hallucinations, appetite stimulation, anxiety, facial dyskinesias and seizures
Respiratory:	Thickening of bronchial secretions, tightness of chest, wheezing, nasal stuffiness

Reporting of Suspected Adverse Reactions

Reporting suspected adverse reactions after authorisation of the medicine is important. It allows continued monitoring of the benefit/risk balance of the medicine. Healthcare professionals are asked to report any suspected adverse reactions https://nzphvc.otago.ac.nz/reporting/.

4.9 Overdose

Manifestations

Antihistamine overdosage effects may vary from central nervous system depression (apnoea, arrhythmias, cardiovascular collapse, cyanosis, diminished mental alertness, sedation) to stimulation (convulsions, hallucinations, insomnia or tremors) to death. Other signs and symptoms may be ataxia, blurred vision, dizziness, hypotension and tinnitus. Stimulation is particularly likely in children, as are atropine-like signs and symptoms (dry mouth; fixed, dilated pupils; flushing; gastrointestinal symptoms and hyperthermia).

Treatment

Dialysis is of little value in antihistamine poisoning. Treatment of the signs and symptoms of an over dosage are symptomatic and supportive. Consider standard measures to remove any unabsorbed medicine. There is no specific antidote. Measures to enhance excretion (urinary acidification, haemodialysis) are not recommended.

For advice on the management of overdose please contact the National Poisons Centre on 0800 POISON (0800 764766).

5. Pharmacological Properties

5.1 Pharmacodynamic Properties

Pharmacotherapeutic Group

Antihistamines for systemic use – substituted alkylamines.
ATC Code: R06AB02

Mechanism of Action

Dexchlorpheniramine, the d-isomer of the racemic compound chlorpheniramine, is two times more active than chlorpheniramine. Dexchlorpheniramine does not prevent the release of histamine, but rather, competes with free histamine for binding at the H_1-receptor sites, and competitively antagonizes the effects of histamine on H_1-receptors in the GI tract, uterus, large blood vessels, and bronchial muscle. Blockade of H_1-receptors also suppresses the formation of oedema, flare, and pruritus that result from histaminic activity. Since dexchlorpheniramine binds to central and peripheral H_1-receptors, sedative effects are likely to occur. H_1-antagonists are structurally similar to anticholinergic agents and therefore possess the potential to exhibit anticholinergic properties of varying degrees. They also have antipruritic effects. Dexchlorpheniramine has high antihistaminic activity, moderate anticholinergic effects and minimal sedative effects. The medicine does not possess antiemetic properties.

5.2 Pharmacokinetic Properties

The absorption, distribution, metabolism and elimination of dexchlorpheniramine have not been specifically described. However, since dexchlorpheniramine is the primary active isomer of the racemic compound chlorpheniramine, the pharmacokinetics of dexchlorpheniramine are likely to be similar to that of chlorpheniramine.

Dexchlorpheniramine is administered orally. H_1-antagonists are generally well absorbed from the GI tract. The onset of action of immediate release formulations of chlorpheniramine is about 30-60 minutes. The Cmax of chlorpheniramine occurs in about 2 hours, the maximum therapeutic effect in about 6 hours, and the duration of action lasts between 4-8 hours. Protein binding is approximately 72%. Chlorpheniramine is widely distributed in body tissues and fluids, and it crosses the placenta and is excreted into breast milk.

The metabolism of chlorpheniramine is extensive and rapid, first occurring in the gastric mucosa and then on first-pass through the liver, which may be saturable. N-dealkylation produces several metabolites, which are excreted in the urine along with the parent compound. The half-life in healthy adults and children is 20-24 hours and 10-13 hours, respectively. Excretion rates are dependent on the pH of urine and urinary flow, with the rate decreasing as the pH rises and urinary flow decreases.

6. Pharmaceutical Particulars

6.1 List of Excipients

Polaramine Tablets
Lactose, starch-maize, starch – pregelatinised maize, magnesium stearate.

Polaramine Syrup
Sodium citrate, sodium chloride, sucrose, sorbitol solution (70 per cent), methyl hydroxybenzoate, propyl hydroxybenzoate, menthol, ethanol, water, brilliant scarlet 4R, apricot flavour, blood orange flavour.

6.2 Incompatibilities

Not applicable.

6.3 Shelf Life

Polaramine Tablets	36 months (3 years) from date of manufacture
Polaramine Syrup	36 months (3 years) from date of manufacture

6.4 Special Precautions for Storage

Tablets: Store at or below 25°C.
Syrup: Store at or below 25°C.

6.5 Nature and Contents of Container

Polaramine Tablets
Available in blister packs of 20 and 40 tablets.

Polaramine Syrup
Available in a glass bottle of 100 mL.

6.6 Special Precautions for Disposal

Medicines should not be disposed of via wastewater or household waste. Ask a pharmacist how to dispose of medicines no longer required. These measures will help to protect the environment.

7. Medicine Schedule

Pharmacist Only Medicine

8. Sponsor

Bayer New Zealand Limited
Auckland 0627

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Shortland Street
Auckland 1140

Freephone 0800 229 376

9. Date of First Approval

31 December 1969

10. Date of Revision of the Text

9 October 2017
7 December 2017 Correction to shelf life of Polaramine Syrup

17 February 2020 Addition of safety-related advisory statements for Polaramine Syrup (sedating anthistamines and the risk of respiratory depression in children)