AUSTRALIAN PRODUCT INFORMATION
ALLERCLEAR® (DEXCHLORPHENIRAMINE MALEATE) TABLET

1. NAME OF THE MEDICINE

Dexchlorpheniramine maleate.

2. QUALITATIVE AND QUANTITATIVE COMPOSITION

Each tablet contains dexchlorpheniramine maleate 2 mg.

Contains lactose.

For the full list of excipients, see section 6.1.

3. PHARMACEUTICAL FORM

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.

White to off white, round, flat faced beveled edge tablets, scored on one side and plain on the other side.

4. CLINICAL PARTICULARS

4.1 Therapeutic indications

Allerclear® is indicated for symptomatic treatment of perennial and seasonal allergic rhinitis, vasomotor rhinitis, allergic conjunctivitis, mild uncomplicated allergic skin manifestations of urticaria and angioedema. Allerclear® 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

Dose

Adults and children over 12 years: one tablet every 6 hours. After initial relief is obtained, dosage may be reduced to one tablet as required.

Do not give to children under 12 years of age.

Method of administration

To be taken orally.

4.3 Contraindications

Allerclear® is contraindicated for use in:

- newborns and premature infants
- patients taking monoamine oxidase inhibitors (MAOIs) (see Section 4.5 Interactions with other medicines and other forms of interactions)
- 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

Allerclear® 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.

Allerclear® 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
- renal or hepatic impairment
- seizures

Allerclear® may cause photosensitivity in some patients.

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.

Paediatric use

Children may experience paradoxical excitation with dexchlorpheniramine maleate. In children this may cause excitability.

Effects 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.

4.5 Interaction with other medicines and other forms of interaction

The following interactions with Allerclear® have been noted:

- central nervous system (CNS) depressants (alcohol, sedatives, opioid analgesics, hypnotics) may cause an increase in sedative effects of Allerclear®
- 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

4.6 Fertility, pregnancy and lactation

Effects on fertility

No data available.

Use in pregnancy – Category A

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

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

Allerclear® 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.

Use in lactation

Allerclear® 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

Allerclear® 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 Adverse effects (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 suspected adverse effects

Reporting suspected adverse reactions after registration of the medicinal product is important. It allows continued monitoring of the benefit-risk balance of the medicinal product. Healthcare professionals are asked to report any suspected adverse reactions at https://www.tga.gov.au/reporting-problems.

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 information on the management of overdose, contact the Poisons Information Centre on 13 11 26 (Australia).

5. PHARMACOLOGICAL PROPERTIES

5.1 Pharmacodynamic properties

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 H1-receptor sites, and competitively antagonizes the effects of histamine on H1- receptors in the GI tract, uterus, large blood vessels, and bronchial muscle. Blockade of H1-receptors also suppresses the formation of oedema, flare, and pruritus that result from histaminic activity. Since dexchlorpheniramine binds to central and peripheral H1-receptors, sedative effects are likely to occur. H1- 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.

Clinical trials

No data available.

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.

Absorption

Dexchlorpheniramine is administered orally. H1-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 C_{max} 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.

Distribution

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.

Metabolism

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.

Excretion

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.

5.3 Preclinical safety data

Genotoxicity

No data available.

Carcinogenicity
No data available.

6. PHARMACEUTICAL PARTICULARS

6.1 List of excipients
Anhydrous lactose, pregelatinized Starch, maize Starch, magnesium Stearate.

6.2 Incompatibilities
Incompatibilities were either not assessed or not identified as part of the registration of this medicine.

6.3 Shelf life
In Australia, information on the shelf life can be found on the public summary of the Australian Register of Therapeutic Goods (ARTG). The expiry date can be found on the packaging.

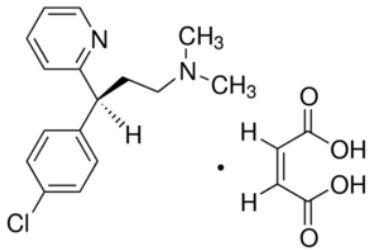
6.4 Special precautions for storage
Store below 30°C.

6.5 Nature and contents of container
Available in blister packs of 20 and 40 tablets.

6.6 Special precautions for disposal
In Australia, any unused medicine or waste material should be disposed of in accordance with local requirements.

6.7 Physicochemical properties
Chemical structure
Dexchlorpheniramine maleate is the dextro-isomer of chlorpheniramine maleate. It is an antihistamine with anticholinergic properties.

Dexchlorpheniramine maleate is described chemically as (+)-2-[p-chloro-α-[2-(dimethylamino)ethyl]benzyl]pyridine maleate (1:1). It has the empirical formula of C16H19ClN2.C4H4O4 and the following structural formula:



CAS number
2438-32-6

7. MEDICINE SCHEDULE (POISONS STANDARD)

Pharmacist only medicine.

8. SPONSOR

AFT Pharmaceuticals Pty Ltd
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9. DATE OF FIRST APPROVAL

[Date of Approval]

10. DATE OF REVISION

[Date of Approval]