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NLM Citation: LiverTox: Clinical and Research Information on Drug-Induced Liver Injury [Internet]. Bethesda (MD): National Institute of Diabetes and Digestive and Kidney Diseases; 2012-. Brompheniramine. [Updated 2017 Jan 16].
Bookshelf URL: https://www.ncbi.nlm.nih.gov/books/

Brompheniramine

Updated: January 16, 2017.

OVERVIEW

Introduction

Brompheniramine and chlorpheniramine maleate are first generation antihistamines that are widely used to treat symptoms of allergic rhinitis and the common cold. Clinically apparent liver injury from brompheniramine or chlorpheniramine must be exceeding rare, if it occurs at all.

Background

Brompheniramine (brome" fen ir' a meen) and chlorpheniramine (klor" fen ir' a meen) are first generation antihistamines that are used widely in the therapy of the symptoms of sneezing, cough, runny note, watery eyes and itching. They are similar in chemical structure and constitute the alkylamine class of antihistamines. They are probably the most commonly used over-the-counter antihistamines, being present alone or in combination with other agents in more than 1000 products used for the symptoms of the common cold, sinusitis, urticaria and hay fever. Both agents are also available as their dextrorotatory isomers, dexbrompheniramine and dexchlorpheniramine, which have similar profiles of action and side effects. Common brand name preparations include Chlor-Trimeton, Dimetane, Drixoral and Durahist, and most are available without a prescription and in combination with sympathomimetic agents (such as pseudoephedrine or phenylephrine) or analgesics or both. The typical oral dose in adults is 2 to 4 mg three or four times a day. Common side effects include sedation, impairment of motor function, confusion, dizziness, blurred vision, dry mouth and throat, palpitations, tachycardia, abdominal distress, constipation and headache. Antihistamines can worsen urinary retention and glaucoma.

Likelihood scores: E (unlikely to be a cause of clinically apparent liver injury).

Hepatotoxicity

Despite widespread use, the first generation antihistamines such as brompheniramine and chlorpheniramine have rarely been linked to liver test abnormalities or to clinically apparent liver injury. A single case report of clinically apparent liver injury with jaundice attributed to dexchlorpheniramine was reported from France. The time to onset was 10 days, and the clinical presentation resembled acute viral hepatitis, with marked elevations in serum aminotransferase levels and jaundice. Recovery was rapid and complete, but jaundice and hepatitis recurred within 10 days of restarting. Immunoallergic features (rash, fever, eosinophilia) were absent as were autoantibodies. Interestingly, the patient tolerated other antihistamines (cetirizine) without difficulty.

Mechanism of Injury

The reason for the relative safety of brompheniramine and chlorpheniramine may be due to low daily dose and limited duration of treatment. The rare instances of hepatic injury associated with dexchlorpheniramine may relate to hypersensitivity.

Outcome and Management

Hepatic injury due to first generation antihistamines is very rare and most cases have been mild-to-moderate in severity and self-limited. Interestingly, recurrence of injury has been reported with reexposure to the same agent (dexchlorpheniramine, cetirizine, cyclizine, cyproheptadine), but not with switching to an unrelated antihistamine.

References on the safety and potential hepatotoxicity of antihistamines are given together after the Overview section on Antihistamines.

Drug Class: Antihistamines

PRODUCT INFORMATION

REPRESENTATIVE TRADE NAMES

Brompheniramine/Dexbrompheniramine – Generic, Ala-Hist®/Generic, Ala-Hist IR®

Chlorpheniramine/Dexchlorpheniramine – Generic, Chlor-Trimeton®/Generic

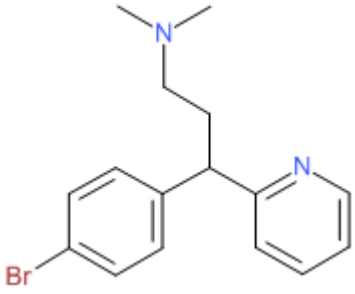
DRUG CLASS

Antihistamines

COMPLETE LABELING

Product labeling at DailyMed, National Library of Medicine, NIH

CHEMICAL FORMULAS AND STRUCTURES

DRUG	CAS REGISTRY NUMBER	MOLECULAR FORMULA	STRUCTURE
Brompheniramine	86-22-6	C16-H19-Br-N2	

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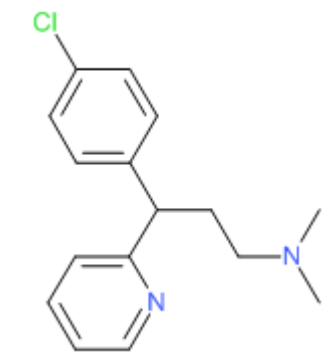
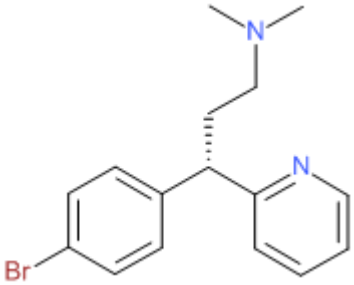
DRUG	CAS REGISTRY NUMBER	MOLECULAR FORMULA	STRUCTURE
Chlorpheniramine	132-22-9	C16-H19-Cl-N2	
Dexbrompheniramine	132-21-8	C16-H19-Br-N2	
Dexchlorpheniramine	25523-97-1	C16-H19-Cl-N2