Methscopolamine Bromide Tablets USP, 2.5 mg
Methscopolamine Bromide Tablets USP, 5 mg
Rx Only

DESCRIPTION
Methscopolamine Bromide Tablets USP 2.5 mg and 5 mg contain methscopolamine bromide, an anticholinergic, which occurs as white or almost white, crystalline needles or platelets. The melting point of methscopolamine bromide is about 198°C (undecomposed). Methscopolamine is freely soluble in water, slightly soluble in alcohol, and insoluble in acetone and in chloroform.

The chemical name for methscopolamine bromide is 3-Oxa-9-photospiro-(3.3.1.02,4)-nonane, 4-(3-hydroxy-1-oxo-2-phenyl-propoxy)-9,9-dimethyl-bromide, (7/5)(1n, 2n, 4n, 5n, 7n) (and the molecular weight is 398.30).

The structural formula is represented below.

CH2OH
H3C-CH2-C6H5

Methscopolamine Bromide Tablets USP, 2.5 mg for oral administration contain 2.5 mg of methscopolamine bromide. Methscopolamine Bromide Tablets USP, 5 mg for oral administration contain 5 mg of methscopolamine bromide.

Inactive ingredients: microcrystalline cellulose, pregelatinized starch, magnesium stearate. Contains no lactose.

CLINICAL PHARMACOLOGY
Methscopolamine bromide is an anticholinergic agent which possesses most of the pharmacological actions of that drug class. These actions include: (1) a reduction in vascular and parenchymal secretions, (2) inhibition of gastrointestinal motility, (3) inhibition of salivary excretion, (4) dilation of the pupil and in some instances foveal atrophy and inhibition of accommodation with resulting blurring of vision. Large doses may result in tachycardia.

PHARMACOKINETICS
Methscopolamine bromide is quaternary ammonium derivative of spasmocure. As a class, these agents are poorly and rapidly absorbed in the alimentary canal and are rapidly removed from the body through the hepatic route. Little is known of the fate and excretion of most of these agents. Following oral administration, drug effects appear in about one hour and persist for 4 to 6 hours. Methscopolamine bromide has limited ability to cross the blood-brain-barrier. The drug is excreted primarily in the urine and bile, or into the feces. Limited amount of drug is excreted in breast milk.

INDICATIONS AND USAGE
Adjunctive therapy for the treatment of peptic ulcer.

Methscopolamine bromide has not been shown to be effective in CONTRIBUTING TO THE HEALING OF PEPTIC ULCER, DECREASING THE RATE OF RECURRENT OR PREVENTING COMPLICATIONS.

CONTRAINDICATIONS
Gastrointestinal obstruction (e.g., bladder neck obstruction due to prostatic hypertrophy), colitis, ileus, ulcerative colitis, diseases of the gastrointestinal tract (e.g., peptic ulcer, diverticulitis, spastic ileus, peritonitis), pyloric or duodenal ulcer, biliary colic, ulcerative colitis, diseases of the cardiovascular system (e.g., angina pectoris, heart failure, hypertension), renal disease; or ulcerative colitis—large doses may suppress intestinal peristalsis, precipitate or aggravate “toxic megacolon,” a serious complication of the disease.

Methscopolamine bromide tablets USP, 2.5 mg and 5 mg are contraindicated in patients who are hypersensitive to methscopolamine bromide or related drugs.

WARNINGS
In the presence of high environmental temperature, heat prostration (heat and heat stroke due to decreased sweating) can occur with drug use. Overheating may be an early symptom of insignificant intestinal obstruction, especially in patients with fever or feverishness or in those with feverishness from drug therapy. In this instance treatment with this drug would be inappropriate and possibly harmful. Methscopolamine bromide may produce diarrheas or blurred vision. The patient should be cautioned regarding activities requiring mental alertness such as operating a motor vehicle or other machinery or performing hazardous work while taking this drug. With overdose, a curative-like action may occur, i.e., microsomal blockade leading to muscular weakness and possible paralysis.

PRECAUTIONS
1. General precautions
Use methscopolamine bromide tablets USP, 2.5 mg and 5 mg with caution in the elderly and in all patients with: autonomic neuropathy; hepatic or renal disease; or ulcerative colitis—large doses may suppress intestinal peristalsis, precipitate or aggravate “toxic megacolon,” a serious complication of the disease.

The drug should also be used with caution in patients having: hyperthyroidism, diseases of heart, diseases of coronary arteries, congestive heart failure, tachyfiltraemia, tachycardia, hypertension, or prostatic hyperplasia.

2. Information for patient
See statement under WARNINGS.

3. Laboratory tests
Progress of the peptic ulcer under treatment should be followed by frequent analysis of gastric fluid and examination of the stomach at rigid and flexible gastroscope.

4. Drug interactions
Additive anticholinergic effects may result from concomitant use with antipsychotics, tricyclic antidepressants, and other drugs with anticholinergic effects. Concomitant administration with antacids may interfere with the absorption of methscopolamine bromide.

5. Teratogenicity, mutagenicity, impairment of fertility
No long-term studies in animals have been performed to evaluate teratogenic potential.

6. Pregnancy Teratogenic Effects
Pregnancy Category C: animal reproduction studies have not been conducted with methscopolamine bromide. It is also not known whether methscopolamine bromide can cause fetal harm when administered to a pregnant woman or can affect reproduction capacity. Methscopolamine bromide should be given to a pregnant woman only if clearly needed.

7. Nursing mothers
It is not known whether this drug is excreted in human milk. Because many drugs are excreted in human milk, caution should be exercised when methscopolamine bromide is administered to a nursing woman.

DRUG ABUSE AND DEPENDENCE
Not applicable.

OVERDOSAGE
The symptoms of overdose with methscopolamine bromide tablets USP, 2.5 mg and 5 mg progress from initial effects of the usual side effects to the toxic effects (e.g., tachycardia, tachyarrhythmias, hyperpyrexia, visual disturbances, dilated pupils, increased intracranial pressure, excitement or stupor, delirium, coma). Excitation of a degree which demands attention may be managed with sodium thiopental 2% solution given slowly intravenously or by intravenous administration of 1,000 to 2,000 ml of normal saline in 30 to 60 minutes. When signs of convulsion appear, measures to be taken are (1) induction of emesis and (2) injection of physostigmine 0.5 to 2 mg intravenously, and repeated as necessary up to a total of 5 mg. Fever may be treated symptomatically (aspirin, salicylates, ice packs). Excitation of a degree which demands attention may be managed with sodium thiopental 2% solution given slowly intravenously or by intravenous administration of 1,000 to 2,000 ml of normal saline in 30 to 60 minutes. In the event of progression of the curare-like effect to paralysis of the respiratory muscles, artificial respiration should be instituted and maintained until effective respiratory action returns.

The usual LD50 in rats is 1.560 to 3.917 mg/kg. No data is available on the dialyzability of methscopolamine bromide.

DOSE AND ADMINISTRATION
The average dosage of methscopolamine bromide tablets, USP, 2.5 mg, is 5 mg (1 tablet) given at bedtime and 2.5 to 5 mg at bedtime. A starting dose of 10 mg daily may be adjusted or terminated at any time. The average dosage of methscopolamine bromide tablets USP is 2.5 mg (1 tablet) given at bedtime and 2.5 to 5 mg at bedtime. A starting dose of 12.5 mg daily will be effective in most patients without the production of appreciable side-effects.

If the patient is having severe symptoms which demand prompt relief, the drug may be started as a daily dosage of 30 mg, administered in doses of 5 mg each hour before meals and at bedtime. If very unpleasant side effects develop promptly, the daily dosage should be reduced. If neither symptometic relief nor side effects appear, the daily dosage may be increased. Some patients have tolerated 30 mg daily with no unpleasant reactions.

Patients whose dosage has been reduced to eliminate or modify side effects often continue to show adequate response both subjectively in relief of symptoms and objectively as measured by anticholinergic effects. The ultimate aim of therapy is to arrive at a dosage which provides maximal clinical effectiveness with a minimum of unpleasant side effects. Many patients report no side effects on a dosage which gives complete relief of symptoms. On the other hand, some patients fail to report severe side effects without appreciable symptomatic relief. Such patients may be underdosed or do not have the symptoms which respond to the drug. This drug may be used in a small dose which may produce a curative-like action, i.e., microsomal blockade leading to muscular weakness and possible paralysis.

HOW SUPPLIED
Methscopolamine Bromide Tablets USP, 2.5 mg, tablets are available as: white, round tablets, debossed with “BOCA” on one side, debossed “603” on opposite.

Bottles of 100 (NDC 64376-603-01)

Methscopolamine Bromide Tablets USP, 5 mg are available as white, oval-shaped tablets, debossed with “BOCA” on one side, debossed “604” on opposite.

Bottles of 100 (NDC 64376-604-01)

Store at 20°-25°C (68°-77°F) (See USP Controlled Room Temperature). KEEP THIS AND ALL MEDICATIONS OUT OF THE REACH OF CHILDREN.

REFERENCES
2. American Hospital Formulary Service, American Society of Hospital Pharmacists, Bethesda, Maryland.

Rx Only

Call your doctor for medical advice about side effects. You may report side effects to FDA at 1-800-FDA-1088.

Manufacturer for Boca Pharmaceutcals, Inc., Coral Springs, FL 33065

Boca Pharmaceutcals, Inc.
Coral Springs, FL 33065

1-800-354-0460

50101B Rev. 08/2009