

guard against further absorption of the drug—use lavage, cathartics and/or enemas.  
combat peripheral anticholinergic effects (residual salivary secretions, dry mouth, etc.)—utilize a quaternary ammonium anticholinergic, such as neostigmine methylsulfate.  
combat hypotension—use pressor amines (norepinephrine, metaraminol) i.v.; and supportive care.  
combat respiratory depression—administer oxygen; and respiratory stimulant such as Dopram® i.v.; artificial respiration.  
**Dose and Administration:** The dosage of Robinol or Robinol Forte should be adjusted to the needs of the indi-

vidual patient to assure symptomatic control with a minimum of adverse reactions. The presently recommended maximum daily dosage of glycopyrrolate is 8 mg.  
Robinol (glycopyrrolate, 1 mg) tablets. The recommended initial dosage of Robinol for adults is one tablet three times daily (in the morning, early afternoon, and at bedtime). Some patients may require two tablets at bedtime to assure overnight control of symptoms. For maintenance, a dosage of one tablet twice a day is frequently adequate.  
Robinol Forte (glycopyrrolate, 2 mg) tablets. The recommended dosage of Robinol Forte for adults is one tablet two or three times daily at equally spaced intervals.

Robinol tablets are not recommended for use in children under the age of 12 years.  
**Drug Interactions:** There are no known drug interactions.  
**How Supplied:** Robinol (glycopyrrolate, 1 mg) tablets in bottles of 100 (NDC 0031-7824-63) and 500 (NDC 0031-7824-70). Robinol Forte (glycopyrrolate, 2 mg) tablets in bottles of 100 (NDC 0031-7840-63).  
Rev. February, 1985

**A-H-ROBINS**

Rev. February 1985  
ANTICHOLINERGIC

**Robinol® and  
Robinol® Forte**  
brand of  
**Glycopyrrolate  
Tablets, USP**

**A-H-ROBINS**

PHARMACEUTICAL DIVISION  
A. H. ROBINS COMPANY  
RICHMOND, VA 23220

12-827  
S-34  
7-16-85

Children have not been established

**Precautions:** Use Robiniol with caution in the elderly and in all patients with:

- Autonomic neuropathy
- Hepatic or renal disease
- Ulcerative colitis—large doses may suppress intestinal motility to the point of producing a paralytic ileus and for this reason may precipitate or aggravate "toxic megacolon," a serious complication of the disease
- Hypertrophy of the prostate, tachycardia, congestive heart failure, cardiac tachyarrhythmias, tachycardia, hypertension and prostatic hypertrophy.

• Latent hernia associated with reflux esophagitis, since anticholinergic drugs may aggravate this condition.

**Adverse Reactions:** Anticholinergics produce certain effects, most of which are extensions of their fundamental pharmacological actions. Adverse reactions to anticholinergics in general may include xerostomia, decreased sweating, urinary hesitancy and retention, blurred vision, tachycardia, palpitations, dilatation of the pupil, cycloplegia, increased ocular tension, loss of taste, headaches, nervousness, dental caries, vomiting, constipation, bloated feeling, incontinence, rubeosis, conjunctivitis, weakness, dizziness, impotence, suppression of lactation, severe allergic reaction

or drug idiosyncrasies including anaphylaxis, urticaria and other dermal manifestations.

Robiniol (glycopyrrolate) is chemically a quaternary ammonium compound; hence, its passage across lipid membranes, such as the blood-brain barrier, is limited in contrast to atropine sulfate and scopolamine hydrobromide. For this reason the occurrence of CNS-related side effects is lower, in comparison to their incidence following administration of anticholinergics which are chemically tertiary amines that can cross this barrier readily.

**Overdosage:** The symptoms of overdosage of glycopyrrolate are peripheral in nature rather than central.

1. To guard against further absorption of the drug—use gastric lavage, cathartics and/or enemas.

2. To combat peripheral anticholinergic effects (residual mydriasis, dry mouth, etc.)—utilize a quaternary ammonium anticholinesterase, such as neostigmine methylsulfate.

3. To combat hypotension—use pressor amines (norepinephrine, metaraminol) I.V.; and supportive care.

4. To combat respiratory depression—administer oxygen; utilize a respiratory stimulant such as Doxapram® I.V.; artificial respiration.

**Dosage and Administration:** The dosage of Robiniol or Robiniol Forte should be adjusted to the needs of the indi-

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Robiniol (glycopyrrolate)

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**Robiniol Forte** (glycop

recommended dosage of Robin

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**Description:** Robiniol and Robiniol Forte tablets contain the synthetic anticholinergic, glycopyrrolate. Glycopyrrolate is a quaternary ammonium compound with the following chemical name: 3-[(cyclopentylhydroxyphenylacetyl)oxy]-1,1-dimethylpyrrolidinium bromide.

**Robiniol** tablets are scored, compressed pink tablets engraved with "AHR". Each tablet contains:

**Robiniol Forte** tablets are scored, compressed pink tablets engraved with "AHR". Each tablet contains:

Glycopyrrolate, USP ..... 1 mg

Glycopyrrolate, USP ..... 2 mg

**Inactive Ingredients:** Dibasic Calcium Phosphate, FD&C Red 3, Aluminum Lake, Lactose, Magnesium Stearate, Povidone, Sodium Starch Glycolate.

**Actions:** Glycopyrrolate, like other anticholinergic (antimuscarinic) agents, inhibits the action of acetylcholine on structures innervated by postganglionic cholinergic nerves and on smooth muscles that respond to acetylcholine but lack cholinergic innervation. These peripheral cholinergic receptors are present in the autonomic efferent cells of smooth muscle, cardiac muscle, the sinoatrial node, the atrioventricular node, exocrine glands, and, to a limited degree, in the autonomic ganglia. Thus, it diminishes the volume and free acidity of

gastric secretions and controls excessive pharyngeal, tracheal and bronchial secretions.

Glycopyrrolate antagonizes muscarinic symptoms (e.g., bronchospasm, bradycardia, and intestinal hypermotility) induced by cholinergic drugs such as the anticholinesterases.

The highly polar quaternary ammonium group of glycopyrrolate limits its passage across lipid membranes, such as the blood-brain barrier, in contrast to atropine sulfate and scopolamine hydrobromide, which are non-polar tertiary amines which penetrate lipid barriers easily.

**Indications:** For use as adjunctive therapy in the treatment of

peptic ulcer.

**Contraindications:** Glaucoma, obstructive uropathy (for example, bladder neck obstruction due to prostatic hypertrophy), obstructive disease of the gastrointestinal tract (as in achalasia, pyloroduodenal stenosis, etc.); paralytic ileus; intestinal atony of the elderly or debilitated patient; unstable cardiovascular status in acute hemorrhage; severe ulcerative colitis; toxic megacolon complicating ulcerative colitis; myasthenia gravis. Robiniol (glycopyrrolate) tablets are contraindicated in those patients with a hypersensitivity to glycopyrrolate.

**Warnings:** In the presence of a high environmental tempera-

cessive pharyngeal, tracheal, muscarinic symptoms (e.g., bradycardia, and intestinal spasm) and other anticholinergic drugs such as the ammonium group of glycosides, lipid membranes, such as atropine sulfate and scopolamine hydrobromide, are non-polar tertiary amines and are absorbed readily. Therapy in the treatment of

**Contraindications:** Glaucoma; obstructive uropathy (for example, bladder neck obstruction due to prostatic hypertrophy); obstructive disease of the gastrointestinal tract (as in achalasia, pyrodoxodental stenosis, etc.); paralytic ileus; intestinal atony of the elderly or debilitated patient; unstable cardiovascular status in acute hemorrhage; severe ulcerative colitis; toxic megacolon complicating ulcerative colitis; myasthenia gravis. Robinul (glycopyrrolate) tablets are contraindicated in those patients with a hypersensitivity to glycopyrrolate.

**Warnings:** In the presence of a high environmental tempera-

ture, heat prostration (fever and heat stroke due to decreased sweating) can occur with use of Robinul.

Diarrhea may be an early symptom of incomplete intestinal obstruction, especially in patients with ileostomy or colostomy. In this instance, treatment with this drug would be inappropriate and possibly harmful.

Robinul (glycopyrrolate) may produce drowsiness or blurred vision. In this event, the patient should be warned not to engage in activities requiring mental alertness such as operating a motor vehicle or other machinery, or performing hazardous work while taking this drug.

Theoretically, with over dosage, a curare-like action may

occur, i.e., neuromuscular blockade leading to muscular weakness and possible paralysis.

**Pregnancy:** The safety of this drug during pregnancy has not been established. The use of any drug during pregnancy requires that the potential benefits of the drug be weighed against possible hazards to mother and child. Reproduction studies in rats revealed no teratogenic effects from glycopyrrolate; however, the potent anticholinergic action of this agent resulted in diminished rates of conception and of survival at weaning, in a dose-related manner. Other studies in dogs suggest that this may be due to diminished seminal secretion which is evident at high doses of glycopyrrolate.

Information on possible adverse effects in the pregnant female is limited to uncontrolled data derived from marketing experience. Such experience has revealed no reports of teratogenic or other fetus-damaging potential. No controlled studies to establish the safety of the drug in pregnancy have been performed.

**Nursing mothers:** It is not known whether this drug is excreted in human milk. As a general rule, nursing should not be undertaken while a patient is on a drug since many drugs are excreted in human milk.

**Pediatric Use:** Since there is no adequate experience in children who have received this drug, safety and efficacy in