Contraindications: If present, should be controlled before attempting gastric lavage. If due to cerebral stimulation, cautious administration of an ultra short-acting barbiturate may be tried (e.g., diazepam); if due to anemia, it should be corrected by oxygen administration and artificial respiration. Monitor ECG. In shock with hypoxemia, a potent vasopressor should be administered. Replace fluids and electrolytes as needed. Cardiac compressing or pacing may be indicated to sustain the circulation. Because of the importance of supporting respiratory, tracheal intubation or tracheostomy, followed by gastric lavage, may also be necessary. Posterior dislocations and exchange transfusions have also been suggested to reduce the level of the drug in the blood.

Intervention options can include: diazepam for life-threatening symptoms, seizures and sedation, epinephrine for treatment of vasodilation and myocardial depression, sodium thiosulfate with close monitoring of serum-potassium levels.

A patient who survives the acute phase and is asymptomatic should be closely observed for at least six hours. Fluids may be forced, and sulfadiazine ammonium chloride (8 g daily in divided doses for adults) may be administered for a few days to acidify the urine to help promote urinary excretion in cases of both over-dosage or sensitivity.

**DOSAGE AND ADMINISTRATION:** The dosage of chloroquine phosphate is often expressed in terms of equivalent chloroquine base. Each 250 mg tablet of chloroquine phosphate is equivalent to 200 mg base and each 500 mg tablet of chloroquine phosphate is equivalent to 300 mg base. In infants and children the dosage is preferably calculated by body weight.

**Malaria:** Suppression - Adult Dose: 500 mg (= 300 mg base) on exactly the same day of each week.

**Pediatric Dose:** The weekly suppressive dosage is 5 mg calculated as base, per kg of body weight, but should not exceed the adult dose regardless of weight.

If circumstances permit, suppressive therapy should begin two weeks prior to exposure. However, failing this in adults, an initial double (loading) dose of 5 g (= 400 mg base), or in children 10 mg base may be taken in two divided doses, six hours apart. The suppressive therapy should be continued for eight weeks after leaving the endemic area.

For Treatment of Acute Attack:

**Adults:** An initial dose of 7.5 g (= 600 mg base) followed by an additional 500 mg (= 300 mg base) after six to eight hours and a single dose of 500 mg (= 300 mg base) on each of two consecutive days. This represents a total dose of 2.5 g chloroquine phosphate or 1.5 g base in three days. The dose may be increased if the patient does not respond promptly. The dose should be determined as follows:

- First dose: 10 mg base per kg (but not exceeding a single dose of 600 mg base).
- Second dose: 20 mg base per kg (but not exceeding a single dose of 300 mg base).
- Third dose: 40 hours after first dose: 5 mg base per kg.
- Fourth dose: 56 hours after first dose: 5 mg base per kg.

For radical cure of vivax and malariae concomitant therapy with an 8-aminoquinoline compound is necessary.

**Extraintestinal Amebiasis:** Adults: 1 g (600 mg base) daily for two days, followed by 500 mg (300 mg base) daily for at least three weeks. Treatment is usually combined with an effective intestinal amebicide.

**Geriatric Use:** See PRECAUTIONS. Geriatric Use.

**HOW SUPPLIED:** Chloroquine Phosphate Tablets USP 250 mg: White, Round, Scored, Compressed Tablet; Debossed “Westward 199”.

Bottles of 50 tablets.

Bottles of 100 tablets.

Bottles of 500 tablets.

Bottles of 1000 tablets.

Unit Dose Boxes of 100 tablets.

Chloroquine Phosphate Tablets USP 500 mg: White, Round Tablet; Debossed “WW 125” to be Coated Pink.

- Bottles of 25 tablets.
- Bottles of 100 tablets.
- Bottles of 500 tablets.
- Bottles of 1000 tablets.
- Unit Dose Boxes of 100 tablets.

Store at 20°-25°C (68°-77°F) [See USP Controlled Room Temperature].

Dispense in a tight, light-resistant container as defined in the USP using a child-resistant closure.

**REFERENCES:**


Manufactured by Westward Pharmaceutical Corp.

Eddystone, PA 19024

Revised October 2009

**DESCRIPTION:** Chloroquine Phosphate, USP, is a 4-aminoquinoline compound for oral administration. It is a white, odorless, bitter tasting, crystalline substance, freely soluble in water.

**Chloroquine Phosphate Tablets** are an antimalarial and amebicidal drug.

Each tablet, for oral administration, contains 250 mg chloroquine phosphate (equivalent to 130 mg base) or 500 mg chloroquine phosphate (equivalent to 300 mg base).

Inactive ingredients 250 mg: Calcium Stearate, Colloidal Silicon Dioxide, Dibasic Calcium Phosphate, Microcrystalline Cellulose, and Talc.

Inactive ingredients 500 mg: Colloidal Silicon Dioxide, Corn Starch, Lactose Monohydrate, Magnesium Stearate, Microcrystalline Cellulose, Pyridine, and Sodium Starch Glycolate. Film Coating and Polishing Solution contains: C&C Red #45, Yellow #5 Lake, O & Y Yellow #1 Lake, FD&C Blue #1 Lake, hydroxypropyl Methylcellulose, Polyethylene Glycol, and Polysorbate 80.

Chemically, it is 7-chloro-4-[4-(dihydroxyphenyl)-1-methylbutylamino] quinoline phosphate (1:2) and has the following structural formula:

![Structural Formula of Chloroquine Phosphate](https://example.com/structure.png)

**Molecular Weight:** 515.87

**CLINICAL PHARMACOLOGY:** Chloroquine is rapidly and almost completely absorbed from the gastrointestinal tract, and only a small proportion of the administered dose is found in the stools. Approximately 50% of the drug in the plasma is bound to nonprotein plasma constituents. Excretion of chloroquine is quite slow, but is increased by acidification of the urine. Chloroquine is deposited in the tissues in considerable amounts. In animals, from 200 to 700 times the plasma concentration may be found in the liver, spleen, kidney, lung, skeletal muscle, and erythrocytes also contain the drug. The brain and spinal cord, in contrast, contain only 10 to 30 times the amount present in plasma.

Chloroquine undergoes appreciable degradation in the body. The main metabolite is desethylchloroquine, which accounts for one fourth of the total material appearing in the urine; benzoic acid/chloroquine, a carbatic acid derivative, and other metabolites products as yet uncharacterized are found in small amounts. Slightly more than half of the urinary drug products can be accounted for as unchanged chloroquine.

**Microbiology:**

**Mechanism of Action:** Chloroquine is an antimalarial agent. While the drug can inhibit certain enzymes, its effect is believed to result, at least in part, from its interaction with DNA. However, the mechanism of plasmoidal action of chloroquine is not completely certain.

**Activity in vitro and in vivo:** Chloroquine is active against the erythrocytic forms of Plasmodium vivax, Plasmodium malariae, and susceptible strains of Plasmodium falciparum (but not the gametocytes of P. falciparum). It is not effective against erythrocytic forms of the parasite.

In vivo studies with Trypanosoma brucei have demonstrated that chloroquine also possesses antimalarial activity comparable to that of eucaryotic.

**Drug Resistance:** Resistance of Plasmodium falciparum to chloroquine is widespread and cases of Plasmodium vivax have been reported.

**INDICATIONS AND USAGE:** Chloroquine Phosphate Tablets are indicated for suppressive treatment and for acute attacks of malaria due to P. vivax, P. malariae, P. ovale and susceptible strains of P. falciparum. The drug is also indicated for the treatment of extraintestinal amebiasis.

Chloroquine Phosphate Tablets do not prevent relapses in patients with vivax or malariae malaria because it is not effective against erythrocytic forms of the parasite, nor will it prevent vivax or malariae infection unless administered as a prophylactic. It is highly effective as a suppressive agent in patients with vivax or malariae malaria.
malaria, in terminating acute attacks, and significantly lengthening the interval between treatment and relapse. In patients with falciparum malaria it abates the acute attack and effects complete cure of the infection, unless due to a resistant strain of P. falciparum.

WARNINGS: It has been found that certain strains of P. falciparum have become resistant to 4-aminopyrine compounds (including chloroquine and hydroxychloroquine). Chloroquine resistance is widespread and, in patients with known hypersensitivity to 4-aminopyrine compounds. However, in the treatment of acute attacks of malaria caused by susceptible strains of plasmodia, the physician may elect to use this drug after carefully weighing the possible benefits and risks to the patient.

Before using chloroquine for prophylaxis, it should be ascertained whether chloroquine is appropriate for use in the region to be visited by the traveler. Chloroquine should not be used for treatment of P. falciparum infections occurring in areas where chloroquine prophylaxis has failed.

Patients infected with a resistant strain of plasmodia as shown by the fact that normally adequate doses have failed to prevent or cure clinical malaria or parasitemia should be treated with another form of antimalarial therapy.

Irreversible retinal damage has been observed in some patients who had received long-term or high-dosage 4-aminopyrine therapy. Permanent visual loss has been reported to occur related.

When prolonged therapy with any antimalarial compound is contemplated, initial (base line) and periodic ophthalmologic examinations (including visual acuity, expert slit-lamp, humpdocus, and visual field tests) should be performed.

If there is any indication (past or present) of abnormality in the visual acuity, visual field, or retinal macular areas (such as papillary changes, loss of foveal reflex), or any visual symptoms (such as light flashes and streaks) which are not fully explainable by difficulties of accommodation or near-solopenses, the drug should be discontinued immediately and the patient closely observed for possible progression. Retinal changes (and visual disturbances) may progress even after cessation of therapy.

All patients on long-term therapy with this preparation should be questioned and examined periodically, including testing kidney and visual fields, to detect any evidence of muscular weaknesses. If weakness occurs, discontinue the drug.

A number of fatalities have been reported following the accidental ingestion of chloroquine, sometimes in relatively small doses (0.75 g or 1 g chloroquine phosphate in one 3-year-old child). Patients should be strongly warned to keep this drug out of the reach of children because they are especially sensitive to the 4-aminopyrine compounds.

Use of Chloroquine Phosphate Tablets in patients with psoriasis may precipitate a severe attack of psoriasis. When used in patients with psoriasis the condition may be exacerbated. The drug should not be used in those conditions unless in the judgment of the physician the benefit to the patient outweighs the potential risks.

Usage in Pregnancy: Radioactively labeled chloroquine administered intravenously to pregnant pigmented CBA mice passed rapidly across the placenta and accumulated selectively in the retinal structures of the fetal eyes. Its rate of excretion in the oux acid for five months after the drug had been eliminated from the rest of the body. There are no analytic and well-controlled studies evaluating the safety and efficacy of chloroquine in pregnant women. It is therefore recommended that patients planning pregnancy be switched to safer antimalarials. If the woman becomes pregnant while taking chloroquine, the drug should be discontinued as soon as possible under medical supervision. Retinopathy may develop in the mother while on this drug. In such circumstances a complete ophthalmologic examination should be performed prior to the delivery of the infant.

PRECAUTIONS

Hematologic Effects/Laboratory Tests: Complete blood cell counts should be made periodically if patients are given prolonged therapy. If any severe blood disorders appear which is not attributable to the disease under treatment, discontinuance of the drug should be considered.

The drug should be administered with caution to patients having 0-6-PD (glucose-6-phosphate dehydrogenase) deficiency.

Auditory Effects: In patients with preexisting auditory damage, chloroquine should be administered with caution. In case of any defects in hearing, chloroquine should be immediately discontinued, and the patient closely observed (see ADVERSE REACTIONS).

Hepatic Effects: Since this drug is known to concentrate in the liver, it should be used with caution in patients with hepatic disease or alcoholics or in conjunction with known hepatic drugs.

Central Nervous System Effects: Patients with a history of epilepsy should be advised about the risk of chloroquine-induced seizures.

Drug Interactions: Antacids and kaolin: Antacids and kaolin can reduce the absorption of chloroquine; an interval of at least 4-hours between intake of these agents and chloroquine should be observed.

Cimetidine: Cimetidine can inhibit the metabolism of chloroquine, increasing its plasma levels. Concomitant use of cimetidine should be avoided.

Amphicillin: In a study of healthy volunteers, chloroquine significantly reduced the bioavailability of ampicillin. An interval of at least two hours between intake of this agent and chloroquine should be observed.

Cyclosporine: After introduction of chloroquine (oral form), a sudden increase in serum cyclosporine level has been reported. Therefore, close monitoring of serum cyclosporine level is recommended and, if necessary, chloroquine should be discontinued.

Methotrexate: Co-administration of chloroquine and methotrexate may increase the risk of convulsions.

The blood concentrations of chloroquine and dehydrochloroquine (the major metabolite of chloroquine, which also has antiplasmodial properties) were negatively correlated with kidney function. Chloroquine taken in the dose recommended for malaria prophylaxis can reduce the antibody response to primary immunization with inactivated human diploid-cell rabies vaccine.

Pregnancy: See WARNINGS. Usage in Pregnancy.

Nursing Mothers: Because of the potential for serious adverse reactions in nursing infants from chloroquine, a decision should be made whether to discontinue nursing or to discontinue the drug, taking into account the potential clinical benefit of the drug to the mother.

The excretion of chloroquine and the major metabolite, dehydrochloroquine, in breast milk was investigated in eleven lactating mothers following a single dose of chloroquine (600 mg base). The maximum daily dose of the drug that the infant can receive from breastfeeding was about 0.1% of the maternal start dose of the drug in malaria chemotherapy. Separate chloroquine-physes for the infant is required. See DOSAGE AND ADMINISTRATION.

Pediatric Use: See WARNINGS and DOSAGE AND ADMINISTRATION.

Geriatric Use: Clinical studies of Chloroquine Phosphate Tablets did not include sufficient numbers of subjects aged 65 and over to determine whether they respond differently from younger subjects. However, this drug is known to be substantially excreted by the kidney, and the risk of toxic reactions to this drug may be greater in patients with impaired renal function. Because elderly patients are more likely to have decreased renal function, care should be taken in dose selection and it may be useful to monitor renal function.

ADVERSE REACTIONS: Special (or other) irreversible renal damage in patients receiving long-term or high-dosage 4-aminopyrine therapy, visual disturbances (blurring of vision and difficulty of focusing or accommodation), nyctalopia, scotomatous vision with field defects of paracentral, pericentral ring types, and typically temporal scotomata, e.g., difficulty in reading with words tending to disappear, seeing half an object, misty vision, and fog before the eyes. Reversible corneal opacities have also been reported.

Auditory: Tinnitus, believe in hearing in patients with preexisting auditory damage.

Musculoskeletal: Skeletal muscle weakness or myopathy leading to atrophy of proximal muscle groups, which may be associated with mild sensory changes, depression of tendon reflexes and abnormal nerve conduction, have been noted.

Gastrointestinal: Hepatitis: Increased liver enzymes, anorexia, nausea, vomiting, diarrhea, abdominal cramps.

Skin and Appendages: Rare reports of erythema multiforme, Stevens-Johnson Syndrome, toxic epidermal necrolysis, exfoliative dermatitis and similar desquamatory-type events. Flaccid skin eruptions, skin and mucosal pigmented changes; lichen planus-like eruptions, pruritus, urticaria, acneform/pleomorphic reaction including angioneurotic, pruritus, fever and loss and bleeding of hair.

Hematologic: Rare, pancytopenia, aplastic anemia, reversible agranulocytosis, thrombocytopenia and neutropenia.

Nervous System: Convulsion seizures, mild and transient headache, polyneuropathy. Neurologic changes including psychosis, delirium, anxiety, agitation, insomnia, confusion, hallucinations, personality changes and depression.

Cardiovascular: Rarely, hypotension, electrolytotic changes (particularly, inversion or depression of the T-wave with widening of the QRS complex), and cardiomyopathy.

To report SUSPECTED ADVERSE REACTIONS contact Westward Pharmaceutical Corp. at 1-877-233-2001, and the FDA at 1-800-FDA-1088 or www.fda.gov/medwatch.

OVERDOSE: Symptoms Chloroquine is very rapidly and completely absorbed after ingestion. Toxic doses of chloroquine can be fatal. At little as 1 g may be fatal in children. Toxic symptoms can occur within hours and can be extensive and serious. In many cases, the onset of symptoms is delayed for several days after ingestion of the antidote, it may inhibit further intestinal absorption of the drug. To be effective, the dose of activated charcoal should be at least five times the estimated dose of chloroquine ingested.