Chloroquine

**CAS Number**: 54-05-7  
**Molecular Formula**: $C_{18}H_{26}ClN_3$  
**Molecular Weight**: 319.87 g/mol  
**Systematic (IUPAC)**: \{4-[(7-chloroquinolin-4-yl)amino]pentyl\}diethylamine

**Type**: small molecule
**Description**
The prototypical antimalarial agent with a mechanism that is not well understood. It has also been used to treat rheumatoid arthritis, systemic lupus erythematosus, and in the systemic therapy of amebic liver abscesses.

**Synonyms**
Chloraquine
Chlorochine
Chloroquina
Chloroquin
Chlorouquinine
Chlorquin
Clorochina
Salts
Chloroquine Phosphate

**Categories**
Antirheumatic Agents
Antimalarialials
Amebicides

**Taxonomy**

**Kingdom** : Organic

**Classes**
Aminoquinolines and Derivatives
(Iso)quinolines and Derivatives

**Substructures**
Aliphatic and Aryl Amines
Pyridines and Derivatives
Pharmacology

**Indication**: For the suppressive treatment and for acute attacks of malaria due to *P. vivax*, *P. malariae*, *P. ovale*, and susceptible strains of *P. falciparum*. Second-line agent in treatment of Rheumatoid Arthritis

**Pharmacodynamics**: Chloroquine is the prototype anti-malarial drug, most widely used to treat all types of malaria except for disease caused by chloroquine resistant *Plasmodium falciparum*. It is highly effective against erythrocytic forms of *Plasmodium vivax*, *Plasmodium ovale* and *Plasmodium malariae*, sensitive strains of *Plasmodium falciparum* and gametocytes of *Plasmodium vivax*. Being alkaline, the drug reaches high concentration within the food vacuoles of the parasite and raises its pH. It is found to induce rapid clumping of the pigment. Chloroquine inhibits the parasitic enzyme heme polymerase that converts the toxic heme into non-toxic hemazoin, thereby resulting in the accumulation of toxic heme within the parasite. It may also interfere with the biosynthesis of nucleic acids.
Mechanism of action: The mechanism of plasmodicidal action of chloroquine is not completely certain. Like other quinoline derivatives, it is thought to inhibit heme polymerase activity. This results in accumulation of free heme, which is toxic to the parasites. Inside red blood cells, the malarial parasite must degrade hemoglobin to acquire essential amino acids, which the parasite requires to construct its own protein and for energy metabolism. Digestion is carried out in a vacuole of the parasite cell. During this process, the parasite produces the toxic and soluble molecule heme. The heme moiety consists of a porphyrin ring called Fe(II)-protoporphyrin IX (FP). To avoid destruction by this molecule, the parasite biocrystallizes heme to form hemozoin, a non-toxic molecule. Hemozoin collects in the digestive vacuole as insoluble crystals. Chloroquine enters the red blood cell, inhabiting parasite cell, and digestive vacuole by simple diffusion. Chloroquine then becomes protonated (to CQ2+), as the digestive vacuole is known to be acidic (pH 4.7); chloroquine then cannot leave by diffusion. Chloroquine caps hemozoin molecules to prevent further biocrystallization of heme, thus leading to heme buildup. Chloroquine binds to heme (or FP) to form what is known as the FP-Chloroquine complex; this complex is highly toxic to the cell and disrupts membrane function. Action of the toxic FP-Chloroquine and FP results in cell lysis and ultimately parasite cell autodigestion. In essence, the parasite cell drowns in its own metabolic products.

Absorption: Completely absorbed from gastrointestinal tract
**Protein binding**: ~55% of the drug in the plasma is bound to nondiffusible plasma constituents

**Metabolism**: Hepatic (partially)

**Route of elimination**: Excretion of chloroquine is quite slow, but is increased by acidification of the urine.

**Half life**: 1-2 months

**Affected organisms**: Plasmodium

**Uses**
Chloroquine is used to prevent or treat malaria caused by mosquito bites in countries where malaria is common. Malaria parasites can enter the body through these mosquito bites, and then live in body tissues such as red blood cells or the liver. This medication is used to kill the malaria parasites living inside red blood cells. In some cases, you may need to take a different medication (such as primaquine) to kill the malaria parasites living in other body tissues. Both drugs may be needed for a complete cure and to prevent the return of infection (relapse). Chloroquine belongs to a class of drugs known as antimalarials. The United States Centers for Disease Control provide updated guidelines and travel recommendations for the prevention and treatment of malaria in different parts of the world. Discuss the most recent information with your doctor before traveling to areas where malaria occurs. Chloroquine is also used to treat infection caused by a different type of parasite (ameba) by killing the ameba. OTHER This section contains uses of this drug that are not listed in the
approved professional labeling for the drug but that may be prescribed by your health care professional. Use this drug for a condition that is listed in this section only if it has been so prescribed by your health care professional. This drug may also be used to treat certain immune system diseases (such as lupus).

**How To Use?**

Take this medication by mouth, usually with food to prevent stomach upset, exactly as directed by your doctor. Daily or weekly dosing, dosage amount, and length of treatment are based on your medical condition, on whether you are preventing or treating the illness, and your response to treatment. The dosage in children is also based on weight. To prevent malaria, take chloroquine once weekly on the same day each week, or as directed by your doctor. Start this medication usually 1 to 2 weeks before you enter the malarious area, continue to take it weekly while in the area, and weekly for 4 to 8 weeks after leaving the area, or as directed by your doctor. Mark your calendar or travel schedule with a reminder to help you remember. To treat malaria infection or an ameba infection, follow your doctor's instructions. Take this medication 4 hours before or after taking a certain drug for diarrhea (kaolin) or taking antacids (such as magnesium/aluminum hydroxide). These products may bind with chloroquine, preventing your body from fully absorbing the drug. It is very important to continue taking this medication exactly as prescribed by your doctor. Do not take more or less of this drug than prescribed. Do not stop taking it before completing treatment, even if you feel better, unless directed to do so by your doctor. Skipping or changing
your dose without approval from your doctor may cause prevention/treatment to be ineffective, cause the amount of parasite to increase, make the infection more difficult to treat (resistant), or worsen side effects. It is important to prevent mosquito bites (such as by using appropriate insect repellents, wearing clothes that cover most of the body, remaining in air-conditioned or well-screened areas, using mosquito nets and insect-killing spray). Buy insect repellent before traveling. The most effective insect repellents contain diethyltoluamide (DEET). Ask your doctor or pharmacist to recommend the appropriate strengths of mosquito repellent for you/your children. No drug treatment is completely effective in preventing malaria. Therefore, seek immediate medical attention if you develop symptoms of malaria (such as fever, chills, headache, other flu-like symptoms), especially while in the malarious area and for 2 months after completing this prescription. Quick treatment of malaria infection is needed to prevent serious, possibly fatal outcomes. When using chloroquine for treatment of malaria, tell your doctor if your condition persists or worsens.

**Why is this medication prescribed?**
Chloroquine phosphate is in a class of drugs called antimalarials and amebicides. It is used to prevent and treat malaria. It is also used to treat amebiasis. This medication is sometimes prescribed for other uses; ask your doctor or pharmacist for more information.

**How should this medicine be used?**
Chloroquine phosphate comes as a tablet to take by mouth. For prevention of malaria in adults, one dose is
usually taken once a week on exactly the same day of the week. Your doctor will tell you how many tablets to take for each dose. One dose is taken beginning 2 weeks before traveling to an area where malaria is common, while you are in the area, and then for 8 weeks after you return from the area. If you are unable to start 2 weeks before traveling, your doctor may tell you to take double the dose right away.

For treatment of acute attacks of malaria in adults, one dose is usually taken right away, followed by half the dose 6 to 8 hours later and then half the dose once a day for the next 2 days.

For prevention and treatment of malaria in infants and children, the amount of chloroquine phosphate is based on the child's weight. Your doctor will calculate this amount and tell you how much chloroquine phosphate your child should receive.

For treatment of amebiasis, one dose is usually taken for 2 days and then half the dose every day for 2 to 3 weeks. It is usually taken in combination with other amebicides.

Chloroquine phosphate may cause an upset stomach. Take chloroquine phosphate with food.

Follow the directions on your prescription label carefully, and ask your doctor or pharmacist to explain any part you do not understand. Use chloroquine phosphate exactly as directed. Do not use more or less of it or use it more often than prescribed by your doctor.

**Other uses for this medicine**

Chloroquine phosphate is used occasionally to decrease the symptoms of rheumatoid arthritis and to treat systemic and discoid lupus erythematosus, scleroderma, pemphigus, lichen planus, polymyositis, sarcoidosis, and
porphyria cutanea tarda. Talk to your doctor about the possible risks of using this drug for your condition.

**What special precautions should I follow?**

Before using chloroquine phosphate,
tell your doctor and pharmacist if you are allergic to chloroquine phosphate, chloroquine hydrochloride (Aralen HCl), hydroxychloroquine (Plaquenil), or any other drugs.
tell your doctor and pharmacist what prescription and nonprescription medications you are taking, especially acetaminophen (Tylenol, others), cimetidine (Tagamet), iron products, isoniazid (Nydrazid), kaolin, magnesium trisilicate (Gaviscon), methotrexate (Rheumatrex), niacin, rifampin (Rifadin, Rimactane), and vitamins and herbal products.
tell your doctor if you have or have ever had liver disease, G-6-PD deficiency, hearing problems, porphyria or other blood disorders, psoriasis, vision changes, weakness in your knees and ankles, or if you drink large amounts of alcohol.
tell your doctor if you have ever had vision changes while taking chloroquine phosphate, chloroquine hydrochloride (Aralen HCl), or hydroxychloroquine (Plaquinil).
tell your doctor if you are pregnant or plan to become pregnant. If you become pregnant while using chloroquine phosphate, call your doctor.
tell your doctor if you are breast-feeding or plan to breast-feed. Chloroquine phosphate can harm a nursing infant.

**What special dietary instructions should I follow?**
Unless your doctor instructs you otherwise, continue your normal diet while taking chloroquine phosphate.

**What should I do if I forget a dose?**
Take the missed dose as soon as you remember it. However, if it is almost time for the next dose, skip the missed dose and continue your regular dosing schedule. Do not take a double dose to make up for a missed one.

**What side effects can this medication cause?**
Side effects from chloroquine phosphate can occur. Tell your doctor if any of these symptoms are severe or do not go away:
- headache
- loss of appetite
- diarrhea
- upset stomach
- stomach pain
- skin rash or itching
- hair loss
- mood or mental changes
If you experience any of the following symptoms, call your doctor immediately:
- seeing light flashes and streaks
- blurred vision
- reading or seeing difficulties (words disappear, seeing half an object, misty or foggy vision)
- difficulty hearing
- ringing in ears
- muscle weakness
- drowsiness
- vomiting
- irregular heartbeats
convulsions
difficulty breathing

What storage conditions are needed for this medicine?
Keep this medication in the container it came in, tightly closed, and out of reach of children. Store it at room temperature and away from light and excess heat and moisture (not in the bathroom). Throw away any medication that is outdated or no longer needed. Talk to your pharmacist about the proper disposal of your medication.

What other information should I know?
Children are especially sensitive to an overdose, so keep the medication out of the reach of children.
Keep all appointments with your doctor and the laboratory. Your doctor may order certain lab tests to check your response to chloroquine phosphate. Your doctor will also test your reflexes to see if you have muscle weakness that may be caused by the drug.
If you are taking chloroquine phosphate for a long period of time, your doctor will recommend frequent eye exams. It is very important that you keep these appointments. Chloroquine phosphate can cause serious vision problems. If you experience any changes in vision, stop taking chloroquine phosphate and call your doctor immediately.

Do not let anyone else take your medication. Ask your pharmacist any questions you have about refilling your prescription.
It is important for you to keep a written list of all of the prescription and nonprescription (over-the-counter)
medicines you are taking, as well as any products such as vitamins, minerals, or other dietary supplements. You should bring this list with you each time you visit a doctor or if you are admitted to a hospital. It is also important information to carry with you in case of emergencies.

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