

Use of Antimalarials in Lupus

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Antimalarial drugs are useful for treating lupus and other rheumatic diseases

Antimalarial agents were developed to treat parasitic infections, especially malaria. Their usefulness in certain forms of rheumatic disease, especially systemic lupus erythematosus (SLE), Sjogren's syndrome, and rheumatoid arthritis (RA) has been recognized since the 1960's. More recently, their efficacy in polymyositis has been suggested. The mechanism of action is not certain, but may be to reduce the production of inflammatory substances called cytokines. The onset of action is gradual. You should not expect to see a dramatic change in your symptoms until several weeks or even months after starting antimalarial treatment. Antimalarials are especially useful for controlling the skin (discoid or other rashes) and joint (arthritis) manifestations of lupus. There is some evidence that antimalarials are useful for inflammation of the lining of the lung (pleuritis) or heart (pericarditis) and for certain other symptoms of lupus, such as fatigue and fever. However, antimalarials alone are not suitable for treating some of the more serious manifestations of lupus, such as kidney disease or nervous system involvement.

Three antimalarials are used in the treatment of lupus

The most commonly used antimalarial is **hydroxychloroquine (Plaquenil)**. It is preferred over **chloroquine (Aralen)** because the risks of certain side effects, especially those involving the retina of the eye, are lower (see below, "Side Effects"). **Quinacrine** is another alternative. The risk of eye toxicity is less with this medication, but it causes yellow skin discoloration. Although it may be more potent than other antimalarials, tablets are no longer manufactured, and it must be obtained from a compounding pharmacist.

The usual doses of these medications are as follows:

Hydroxychloroquine: 200 to 400 mg (1-2 tablets) once a day (for the first week, 100 mg, or ½ tablet, should be taken daily to help avoid stomach upset)

Chloroquine: usually 250 mg (½ of a 500 mg tablet) once a day

Quinacrine: usual dose is 100 mg once a day (occasionally 200 or 300 mg per day)

All of these medications should be taken with food to lessen the chances of stomach upset. If stomach upset occurs, it usually is temporary. It is safe to take these medications with other medicines commonly used to treat rheumatic diseases. Antimalarials frequently are used in combination with corticosteroids, such as prednisone, in order to make it possible to reduce the dose of the steroid.

Side effects are unusual

Most people who take antimalarial drugs have no side effects. These medications are much safer for long-term use than corticosteroids or cytotoxic agents. The most common side effects are minor and usually last only a short time. They include **nausea** or **upset stomach**, **diarrhea**, **dizziness**, or **headache**. Some people develop an **allergy (skin rash)** to the drug, and it must be stopped. Major side effects are less common, but it is important to recognize them. They include the following:

Eye problems: Rarely, antimalarial drugs can damage the retina of the eye. Early detection of this unusual side effect can minimize the damage. The most common symptoms are difficulties reading or seeing (words, letters or parts of objects might be missing) or blurred vision. ***It is important to be seen by an eye doctor (ophthalmologist) at the beginning of treatment and every 6-12 months afterward for as long as the drug is used.*** Early eye toxicity is not a serious problem. However, once severe damage occurs, it is permanent. Retinal toxicity is dose-related, and occurs most frequently in individuals taking more than 400 mg of hydroxychloroquine or more than 250 mg of chloroquine daily. Quinacrine is less likely to cause retinal toxicity. Occasionally, deposits of hydroxychloroquine can occur in the cornea. These may cause blurry vision, but they disappear 6 to 8 weeks after stopping the drug. You should call your doctor if visual problems occur. It may be necessary to stop the medication until the cause of the visual change can be determined.

Low white blood cell count: Very rarely, antimalarial drugs can lower the white blood cell count to dangerous levels. This can be a serious problem. Fortunately, this side effect is extremely unusual. Nevertheless, it is important to monitor the blood count during antimalarial treatment. We generally check the blood count once a month for the first three months, and then once every 2-3 months after that.

Hepatitis: Rarely, antimalarial drugs can cause liver problems. This is more likely to occur in individuals with pre-existing liver disease or heavy alcohol use, or who are taking other drugs that are potentially toxic to the liver. This is most commonly a side effect of quinacrine or chloroquine. Liver tests are monitored during antimalarial treatment, and the drug will be stopped if liver problems develop. This complication may be more likely to occur if other drugs that are toxic to the liver, such as alcohol, are used at the same time.

Exacerbation of psoriasis. Plaquenil may precipitate a severe exacerbation of psoriasis, and should be used with caution in individuals with this condition.

Weakness. Rarely, antimalarials can cause muscle problems. If you notice weakness of the muscles, this should be reported to your physician.

Use of antimalarials in pregnancy is controversial

The manufacturer recommends that antimalarials be discontinued during pregnancy because of the potential for the baby to develop congenital malformations. However, there is some evidence that it may be safe to use lower doses of these medications during pregnancy. In some cases, the disease may cause more risk to you or your baby than taking the drug. There is not yet a definitive answer to the question of whether antimalarials are safe during pregnancy. Patients who are planning to become pregnant should discuss the pros and cons with their doctor.

Antimalarials usually should not be discontinued suddenly

Long-term antimalarial treatment appears to be quite safe. However, lupus can flare after suddenly discontinuing antimalarial drugs. Therefore, it usually is advisable to gradually taper the dose when discontinuing these medications.

Quinacrine can be obtained only from a compounding pharmacist

A compounding pharmacy that fills prescriptions for quinacrine and will mail it to you is: Panorama Pharmacy (8215 Van Nuys Blvd., Panorama City, CA 91402; Phone: 800-247-9767, Fax: 818-787-7256)

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