

SNS COLLEGE OF PHARMACY AND HEALTH SCIENCES

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ANTI MALARIAL DRUGS

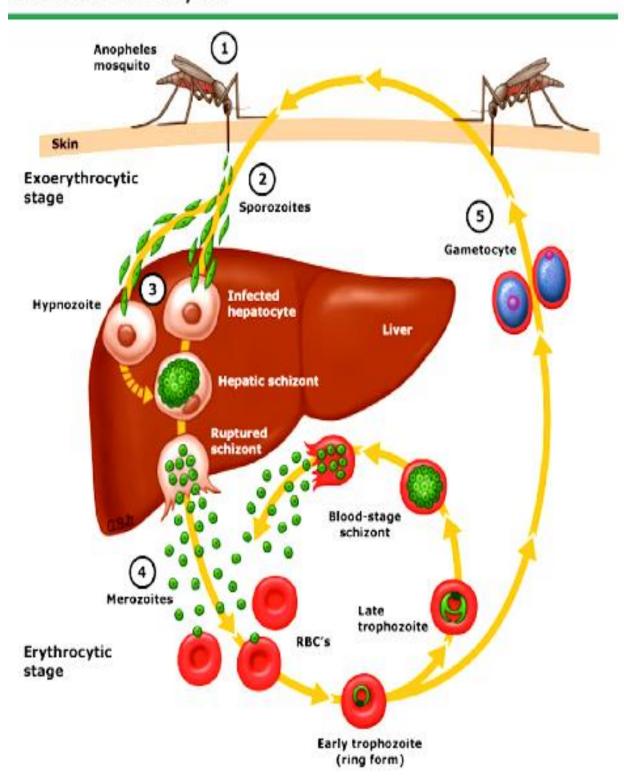
DEFINITION

Antimalarial medications or simply antimalarials are a type of antiparasitic chemical agent, often naturally derived, that can be used to treat or to prevent malaria.

LIFE CYCLE OF MALARIAL PARASITE

- Malaria is a life-threatening disease. It's typically transmitted through the bite of an infected *Anopheles* mosquito.
- Infected mosquitoes carry the *Plasmodium* parasite. When this mosquito bites you, the parasite is released into your bloodstream.
- Once the parasites are inside your body, they travel to the liver, where they
 mature. After several days, the mature parasites enter the bloodstream and
 begin to infect red blood cells.
- Within 48 to 72 hours, the parasites inside the red blood cells multiply, causing the infected cells to burst open.
- The parasites continue to infect red blood cells, resulting in symptoms that occur in cycles that last two to three days at a time.
- Only female mosquitoes feed on blood; male mosquitoes feed on plant nectar and do not transmit the disease.

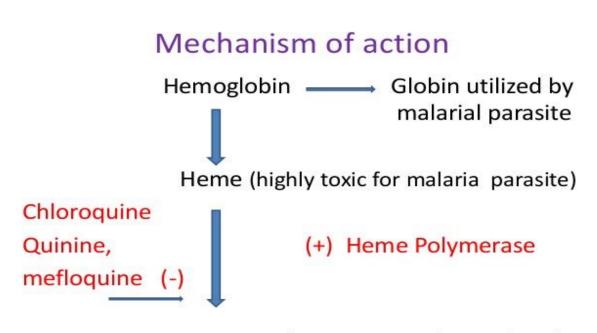
Plasmodium life cycle



CLASSIFICATION OF ANTI MALARIAL DRUGS

- Cinchona alkaloids: Quinine, quinidine
- 4 aminoquinolines: Chloroquine, hydroxychloroquine, amodiaquine, pyronaridine
- 8 aminoquinolines: Primaquine, tafenoquine, bulaquine
- Quinoline-methanol: Mefloquine, halofantrine, lumefantrine
- Antifolates:
 - Diaminopyrimidine: pyrimethamine
 - Biguanides: proguanil
 - · Sulfonamides: sulfadoxine

MECHANISM OF ACTION OF ANTI MALARIAL DRUGS



Hemozoin (Not toxic to plasmodium)

CHLOROQUINE

Chloroquine is a medication primarily used to prevent and treat malaria in areas where malaria remains sensitive to its effects .Chloroquine is also occasionally used for amebiasis that is occurring outside the intestines, rheumatoid arthritis, and lupus erythematosus. It is also being studied to treat COVID-19 as of 2020. It is taken by mouth.

STRUCTURE & IUPAC NAME

7-chloro-N-[5-(diethylamino)pentan-2-yl]quinolin-4-amine

PROPERTIES

- White to slightly yellow, crystalline powder.
- Odorless.
- Bitter taste.
- Very slightly soluble in water; sol in dil acids, chloroform, ether.
- Insoluble in alcohol, benzene, chloroform, ether.

STABILITY & STORAGE

- Sensitive to light, protect from light.
- Store at room temperature (15-25 °C).

USES

• Chloroquine has been used in the treatment and prevention of malaria from *Plasmodium vivax*, *P. ovale*, and *P. malariae*.

• Chloroquine is also used to treat infection caused by a different type of parasite (ameba). It is used to treat a type of bowel infection.

BRAND NAME: Aralen, Nivaquine, Rescochin.

PYRIMETHAMINE

Pyrimethamine is a synthetic derivative of ethyl-pyrimidine with potent antimalarial properties. Pyrimethamine is a competitive inhibitor of dihydrofolate reductase (DHFR). DHFR is a key enzyme required for the synthesis of DNA and proteins. This agent is often used in combination with other antimalarials for the treatment of uncomplicated falciparum malaria.

STRUCTURE & IUPAC NAME

$$NH_2$$
 NH_2 NH_2 NH_2

5-(4-chlorophenyl)-6-ethylpyrimidine-2,4-diamine

PROPERTIES

- Pyrimethamine is an odorless white crystalline powder.
- Tasteless.
- Practically insoluble in water.
- Slightly soluble in ethanol, dilute HCl.
- Soluble in boiling ethanol.
- Very sparingly soluble in propylene glycol.

STABILITY & STORAGE

- Stable when stored at room temperature and when refrigerated, in amber plastic bottles.
- Should be kept in a well-closed container, protected from light.

USES

- This medication is used with other medication (such as a sulfonamide) to treat a serious parasite infection (toxoplasmosis) of the body, brain, or eye or to prevent toxoplasmosis infection in people with HIV infection.
- Pyrimethamine belongs to a class of drugs known as antiparasitics. It works by killing parasites.

Brand Name: Daraprim, Fansidar, Maloprim, Pyridex.