

9.1. ANTIMALARIAL DRUGS

9.1.1. Introduction

Malaria is an infectious disease affecting humans and other animals. It is a mosquito-borne infectious disease caused by parasitic protozoans (group of single-celled microorganisms) of *Plasmodium* type. The symptoms of this disease include fever, vomiting, fatigue, and headache. The symptoms usually begin 10-15 days after being bitten by mosquitoes. In severe cases, the skin becomes yellow, the patient experiences seizures, goes to coma, or finally dies. Malaria is transmitted by an infected female *Anopheles* mosquito, which introduces the parasites from its saliva into the person's blood. The parasites reach the liver to mature and reproduce there. Five species of *Plasmodium* can infect humans. *P. falciparum* causes most of the deaths; while *P. vivax*, *P. ovale*, and *P. malariae* cause milder forms of malaria; *P. knowlesi* rarely cause a disease in humans.

The risks can be reduced by the prevention of mosquito bites by using mosquito nets, repellents, spraying insecticides, and draining standing water. Many medicines are available to prevent malaria. Sulfadoxine or pyrimethamine is the drugs indicated in infants and after the first trimester of pregnancy in areas having high rate of malaria. Mefloquine, lumefantrine, sulfadoxine, or pyrimethamine is also given. If artemisinin is not available, quinine can be used along with doxycycline.

9.1.2. Classification

Antimalarial drugs are classified as follows:

- 1) **Based on their Chemical Structure**
 - i) **Cinchona Alkaloid:** Quinine.
 - ii) **4-Aminoquinolines:** Chloroquine, Hydroxychloroquine, and Amodiaquine.
 - iii) **8-Aminoquinolines:** Primaquine.
 - iv) **Acridine Dyes:** Quinacrine.
 - v) **Diaminopyrimidines:** Pyrimethamine and Trimethoprim.
 - vi) **4-Quinoline-Carbinolamines:** Mefloquine.
 - vii) **Miscellaneous:** Qinghaosu, Sulphonamides, Sulphones, Tetracyclines, and Lincomycins.
- 2) **Based on the Affected Plasmodial Stage**
 - i) **Primary Tissue Schizonticides:** They destroy the primary tissue schizonts in the liver immediately after the infection, e.g., Primaquine.

- ii) **Blood Schizonticides:** They suppress the symptoms by destroying the schizonts and merozoites in the erythrocytes, **e.g.,** Chloroquine, Amodiaquine, Mefloquine, and Quinine.
- iii) **Gametocides:** They prevent the spread of infection by destroying the gametocytes in the blood, **e.g.,** Primaquine for *P. falciparum*, and Chloroquine for *P. vivax*, *P. malariae*, and *P. ovale*.
- iv) **Sporonticides:** They eradicate malaria by preventing sporogony in the mosquito, **e.g.,** Chloroguanide and Pyrimethamine.
- v) **Secondary Tissue Schizonticides:** They cure chronic relapsing fevers due to infection by *P. vivax*, *P. malariae*, and *P. ovale*. They do so by destroying the secondary exoerythrocytic tissue schizonts developing in the liver (**e.g.,** Primaquine).

9.1.3. Individual Compounds

The following drugs are studied in detail:

- 1) Chloroquine,
- 2) Amodiaquine,
- 3) Primaquine,
- 4) Proguanil,
- 5) Pyrimethamine,
- 6) Quinine, and
- 7) Trimethoprim.