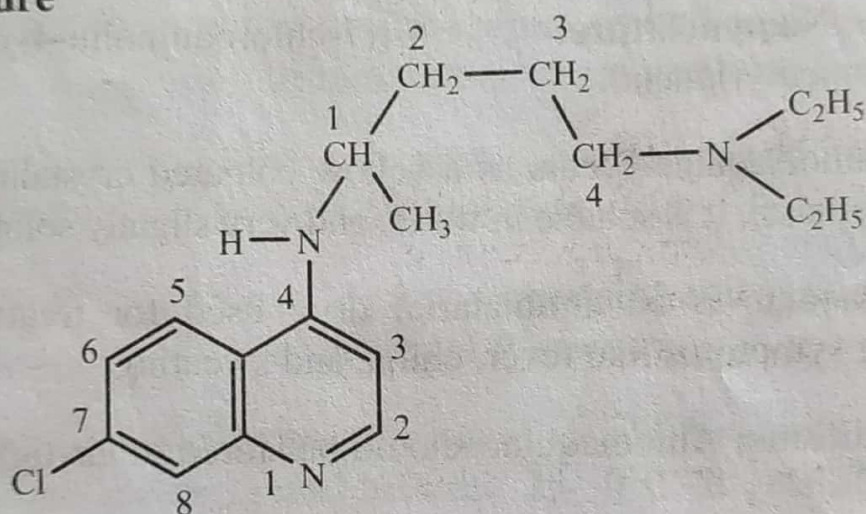


### 9.1.3.1. Chloroquine

Chloroquine is the precedent antimalarial drug. It is used for treating all types of malaria, excluding the one caused by chloroquine-resistant *Plasmodium falciparum*.

#### Chemical Structure



Chloroquine

**Molecular Formula:**  $C_{18}H_{26}ClN_3$

**IUPAC Nomenclature:** 7-chloro, 4-(4-diethyl amino, 1-methyl) butyl amino quinoline

**Chemistry:** Chloroquine has quinoline as heterocycle, and chloro group at C7. 4-Dimethyl amino and 1-methyl butyl group substitute the amino group at C4.

Therefore, the chemical name of chloroquine is 7-chloro,4-(4-diethylamino,1-methyl butyl amino) quinoline.

**Physical Properties:** Chloroquine occurs as a white to slightly yellow coloured crystalline powder with no odour and bitter taste. It is soluble in water; and slightly soluble in dilute acids, chloroform, and ether.

#### Chemical Properties

- 1) Crystals of picrate are obtained on treating chloroquine with picric acid solution.
- 2) A yellow precipitate is obtained when nitric acid solution of chloroquine is warmed with ammonium molybdate solution.

**Uses:** Chloroquine is used for acute malarial attacks caused by *P. vivax*, *P. malariae*, *P. ovale*, and susceptible strains of *P. falciparum*. It is also used for suppressive treatment of malaria.

**Stability and Storage Conditions:** Chloroquine should be stored at room temperature and at 4°C after re-suspension. It loses its colour in sunlight, thus should be stored in tightly-closed light-resistant containers.

**Types of Pharmaceutical Formulations:** Syrups, tablet, and injection.

**Brand Names:** Amoquin, Antima, Bitaquine, Clokit, and Ciplaquin.

#### 9.1.3.2. Amodiaquine

Amodiaquine is a 4-aminoquinoquinoline derivative containing anti-inflammatory properties.

**Molecular Formula:**  $C_{20}H_{22}ClN_3O$

**IUPAC Nomenclature:** 4-[(7-chloroquinolin-4-yl) amino]-2-(diethylaminomethyl)phenol

**Properties:** Amodiaquine occurs as a yellow coloured crystalline powder with no odour and bitter taste. It is soluble in water; and very slightly soluble in ethanol.

**Uses:** Amodiaquine is an antimalarial drug used for treating acute malarial attacks having symptoms like fever, chills, and sweating.

**Storage Conditions:** Amodiaquine should be stored in air-tight containers, away from children.

**Types of Pharmaceutical Formulations:** Tablet and suspension.

**Brand Names:** Basoquine, Camoquin, Eriquin, and Flavoquin.

#### 9.1.3.3. Primaquine

Primaquine is an aminoquinoline which is indicated orally for radically curing and preventing relapse of vivax and ovale malaria after treatment with blood schizontocide.

**Molecular Formula:**  $C_{15}H_{21}N_3O$

**IUPAC Nomenclature:** 4-N-(6-methoxyquinolin-8-yl)pentane-1,4-diamine

**Properties:** Primaquine occurs as an orange-red coloured crystalline powder with a bitter taste and no odour. It is soluble in water; and insoluble in alcohol, chloroform, and ether.

**Uses:** Primaquine is used for treating malaria caused by *P. ovale* and *P. vivax*.

**Stability and Storage Conditions:** Primaquine should be stored in tightly-closed containers, protected from light and moisture.

**Types of Pharmaceutical Formulation:** Tablet.

**Brand Names:** Malirid and Aralon.

#### 9.1.3.4. Proguanil

Proguanil is a biguanide compound which forms cycloguanil (an anti-malarial) by getting metabolised in the body. It is generally indicated with atovaquone.

**Molecular Formula:**  $C_{11}H_{16}ClN_5$

**IUPAC Nomenclature:** (1E)-1-[amino-(4-chloroanilino)methylidene]-2-propan-2-ylguanidine

**Chemistry:** Proguanil is a biguanidine derivative with its  $N^1$ -nitrogen getting substituted by *p*-chlorophenyl group and  $N^5$ -nitrogen getting substituted by isopropyl group. It converts into cycloguanil (an active principle exhibiting antimalarial activity) within the liver.

**Physical Properties:** Proguanil occurs as a white crystalline powder with a bitter taste and no odour. It is slightly soluble in water; and insoluble in chloroform.

**Chemical Properties:** A white precipitate is obtained on treating aqueous solution of proguanil with potassium ferrocyanide.

**Uses:** Proguanil is used for preventing and suppressing malaria caused by various strains of *P. falciparum* and other species of *Plasmodium*.

**Stability and Storage Conditions:** Proguanil should be stored at  $25^\circ\text{C}$  ( $77^\circ\text{F}$ ). Temperature changes are permitted between  $15$ - $30^\circ\text{C}$ . It gets affected by light, thus it should be stored in tightly-closed light-resistant containers.

**Types of Pharmaceutical Formulations:** Tablet and syrup.

**Brand Names:** Malarone, Laveran, and Proguanil.

#### 9.1.3.5. Pyrimethamine