NAME: AKINOLA OLADAMOLA .F.

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COURSE: SYSTEMIC PHRAMACOLGY IN NURSING PRACTICE

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Classification of anti malarial agents

1. Tissue schizonticide
2. Blood schizonticide
3. Sporontocide
4. Gametocytocides:

**Tissue schizonticide: Primaquine**

Primaquineis an 8-aminoquinoline that eradicates primary exoerythrocytic forms of P. falciparum and P. vivax and the secondary exoerythrocytic forms of recurring malarias (P. vivax and P. ovale). *Primaquine* is the only agent that can lead to radical cures of the P. vivax and P. ovale malarias, which may remain in the liver in the exoerythrocytic form after the erythrocytic form of the disease, is eliminated.

**Mechanism of action:** This is not completely understood. Metabolites of primaquine are believed to act as oxidants that are responsible for the schizonticidal action as well as for the hemolysis and methemoglobinemia encountered as toxicities.

**Blood schizonticide: Chloroquine**

Chloroquine is a synthetic 4-aminoquinoline that has been the mainstay of anti malarial therapy, and it is the drug of choice in the treatment of erythrocytic P. falciparum malaria, except in resistant strains. Chloroquineis less effective against P. vivax malaria. It is highly specific for the asexual form of plasmodia. Chloroquineis also effective in the treatment of extra intestinal amebiasis.

**Mechanism of action:** Although a detailed explanation of the mechanisms by which chloroquinekills plasmodial parasites is still incomplete, the following processes are essential for the drug’s lethal action. After traversing the erythrocytic and plasmodial membranes, chloroquine(a diprotic weak base) is concentrated in the organism’s acidic food vacuole, primarily by ion trapping.Chloroquinespecifically binds to heme, preventing its polymerization to hemozoin. The increased pH and the accumulation of heme result in oxidative damage to the membranes, leading to lysis of both the parasite and the red blood cell.

**Blood schizonticide: Mefloquine**

Mefloquine appears to be promising as an effective single agent for suppressing and curing infections caused by multidrug resistant forms of P. falciparum.Mefloquineis absorbed well after oral administration and concentrates in the liver and lung. It has a long half-life (17 days) because of its concentration in various tissues and its continuous circulation through the enterohepatic and enterogasric systems. The drug undergoes extensive metabolism. Its major excretory route is through the feces. Adverse reactions at high doses range from nausea, vomiting, and dizziness to disorientation, hallucinations, and depression.

**Mechanism of action:** Its exact mechanism of action remains to be determined, but, like quinine, it can apparently damage the parasite’s membrane. Resistant strains have been identified.

**Blood schizonticide: Artemisinin**

Artemisinin is derived from the qinghaosu plant, which has been used in Chinese medicine for more than 2 millennia in the treatment of fevers and malaria. Artemisinin (or one of its derivatives) is available for the treatment of severe, multidrug-resistant P. falciparum malaria. Its anti malarial action involves the production of free radicals within the plasmodium food vacuole, following cleavage of the drug’s endoperoxide bridge by heme iron in parasitized erythrocytes. It is also believed to covalently bind to and damage specific malarial proteins.

**sporontocide: Pyrimethamine**

The antifolate agent pyrimethamine is frequently employed to affect a radical cure as a blood schizonticide. It also acts as a strong sporonticide in the mosquito’s gut when the mosquito ingests it with the blood of the human host. Pyrimethamineinhibits plasmodial dihydrofolate reductase3 at much lower concentrations than those needed to inhibit the mammalian enzyme.

**Mechanism of action:** ablate transmission of mosquito

**Gametocytocides**

They kill the sexual stages and block transmission. They can inhibit the development of sexual forms of the parasite in the blood.

**AMODIAQUINE:** a 4-aminoquinolone anti-malarial drug similar in structure and mechanism of action to chloroquine. Amodiaquine has tended to be administered in areas of chloroquine resistance while some patients prefer its tendency to cause less itching than chloroquine. Amodiaquine is now available in a combined formulation with artesunate (ASAQ) and is among the artemisinin-combination therapies recommended by the World Health Organization. Combination with sulfadoxine=pyrimethamine is not recommended.The drug should be given in doses between 25 mg/kg and 35 mg/kg over three days in a similar method to that used in chloroquine administration. Adverse reactions are generally similar in severity and type to that seen in chloroquine treatment. In addition, bradycardia, itching, nausea, vomiting and some abdominal pain have been recorded. Some blood and hepatic disorders have also been seen in a small number of patients.

**Artesunate**: is a hemisuccinate derivative of the active metabolite dihydroartemisin. Currently [when?] it is the most frequently used of all the artemesinin-type drugs. Its only effect is mediated through a reduction in the gametocyte transmission. It is used in combination therapy and is effective in cases of uncomplicated P. falciparum. The dosage recommended by the WHO is a five or seven day course (depending on the predicted adherence level) of 4 mg/kg for three days (usually given in combination with mefloquine) followed by 2 mg/kg for the remaining two or four days. In large studies carried out on over 10,000 patients in Thailand no adverse effects have been shown.

**LUMERFAMTRINE**: is a relative of halofantrine that is used in some combination anti malarial regimens. It is mostly used with arthermeter.

**Artemether:** is a methyl ether derivative of dihydroartemesinin. It is similar to artemesinin in mode of action but demonstrates a reduced ability as a hypnozoiticidal compound, instead acting more significantly to decrease gametocyte carriage. Similar restrictions are in place, as with artemesinin, to prevent the development of resistance, therefore it is only used in combination therapy for severe acute cases of drug-resistant P. falciparum. It should be administered in a 7-day course with 4 mg/kg given per day for three days, followed by 1.6 mg/kg for three days. Side effects of the drug are few but include potential neurotoxicity developing if high doses are given.[citation needed].