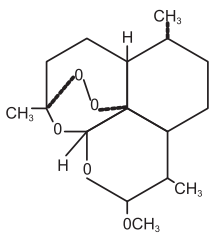
GEN-ART®
(Artemether)
Injection Ph.Int.

80mg/mL

جین-آرٹ ۸۰ ملی گرام/ملی لیٹر
(آرٹیمیتھر) صرف عضلاتی استعمال کیلئے
انجکشن انٹرنیشنل فارماکوپیا

DESCRIPTION:
Artemether is a lipid soluble methylether of dihydroartemisinin. Artemisinin is a novel sesquiterpene lactone, extracted from the leaves of the shrub Artemesia annua and possesses an endoperoxide bridge which is a rare feature in natural products. The endoperoxide bridge is essential for its antimalarial activity. Its chemical formula is 3R,5aS,6R,8aS,9R,10S,12R,12aR)-Decahydro-10-methoxy-3,6,9trimethyl-3,12-epoxy-12H-pyrano [4,3-j]-1,2- benzodioxepin. Its molecular formula is $C_{16}H_{26}O_5$ and its molecular weight is 298.4.

CHEMICAL STRUCTURE:



($C_{16}H_{26}O_5$)

COMPOSITION:
Gen-Art Injection 80mg
Each mL contains: Artemether Ph.Int ...80mg

CLINICAL PHARMACOLOGY:
Artemether is active against all Plasmodia including those which may be resistant to other antimalarials. Artemether has very rapid schizonticidal activity. The schizonticidal activity of artemether is mainly due to destruction of the asexual erythrocytic forms of P. falciparum and P. vivax. Artemether is concentrated in the food vacuole. It then splits its endoperoxide bridge as it interacts with haem, blocking conversion to haemozoin, destroying existing haemozoin and releasing haem and a cluster of free radicals into the parasite. There is inhibition of protein synthesis during growth of trophozoites. There is no cross resistance with chloroquine. Artemether is not active against hypnozoites. Therefore, an 8- amino-quinoline derivative such as primaquine should be given sequentially after the combination in cases of mixed infections of P. falciparum and P. vivax to achieve hypnozoites eradication. Artemether reduces gametocyte carriage. There is no rationale at present for using artemether for chemoprophylaxis.

PHARMACOKINETICS:
The drug is slowly absorbed from intramuscular injection. Peak plasma concentrations have been achieved in about 6 hours after intramuscular injection of artemether. Artemether is hydrolyzed after administration to a biologically active metabolite, dihydroartemisinin. Dihydroartemisinin accounts for most or all of clinical antimalarial activity. Total protein binding is 95.4%. The drug is rapidly and extensively metabolised in the liver. The elimination half-life is approximately 1 hour, but following intramuscular administration the elimination phase is prolonged because of continued absorption. The elimination half life of dihydroartemisinin is approximately 2 hours.

INDICATIONS:
Artemether injection is indicated for treatment of severe and complicated malaria caused by. P. falciparum both in adults and children.

CONTRAINDICATIONS:
Artemether is contraindicated in patients with hypersensitivity to artemether or other artemisinin compounds.

PRECAUTIONS:
1. Do not exceed the prescribed dose. In case of overdosage, urgent symptomatic treatment in a specialized unit is required.
2. Caution is required in patients with Cardio-

vascular disease , Hepatic impairment , Renal insufficiency.

Pregnancy:

Caution when used during Pregnancy.

Nursing Mother:

To date, nursing mother must not be advised for the duration of treatment.

DRUG INTERACTIONS:

Although no specific study being available up to now, Erythromycin, terfenadine, astemizole, probucol, Class 1a anti-arrhythmic agents (quinidine, procainamide, disopyramide), Class III anti-arrhythmic agents (amiodarone, bretylium), bepridil, sotalol, tricyclic antidepressants, some neuroleptics and phenothiazines should be monitored closely.

ADVERSE EFFECTS:

Artemether has been remarkably well-tolerated, and appears less toxic than quinine or chloroquine; adverse effects include bradycardia, electrocardiogram abnormalities, gastrointestinal disturbances (nausea, abdominal pain, diarrhoea - oral therapy only), dizziness, injection site pain, skin reactions, and fever. Transient decreases in neutrophils and reticulocytes have been reported in some patients treated with artemether. Drug induced fever has been observed with artemether. Mild reactions were seen in patients to whom artemether had been administered intramuscularly. These included nausea, hypotension, dizziness and tinnitus. These side effects were also reported: dark urine, sweating, somnolence, and jaundice. There were no deaths or any other side effects. No irreversible side effects were seen. Slight rise of SGOT and SGPT may occur in individual cases. Neurological side effects have not yet been observed in clinical use but clinical trials suggest that coma may be prolonged in patients treated with artemether and there was an increased incidence of convulsions in one trial in cerebral malaria. Transient first degree heart block has been documented in three patients receiving artemether.

Neurotoxicity has been observed in animal studies but not in humans. Cardiotoxicity has been observed following administration of high doses of Artemether.

DOSAGE AND ADMINISTRATION:

Artemether Injection is for intramuscular use only.

The recommended dose is as follows :

3.2 mg/kg by the intramuscular route as a loading dose on the first day, followed by 1.6 mg/kg daily until the patient can take oral therapy to complete a 5-7 days course. The daily dose can be given as a single injection.

OVERDOSAGE:

There is no experience with overdosage with artemether. There is no specific antidote known for the artemisinin derivatives.

Overdosage could bring on cardiac irregularities. An ECG should be taken before initiating treatment in cardiac patients. Irregularities in the pulse should be looked for and cardiac monitoring carried out if necessary. Clinicians treating cases of overdosage should look for changes in gait, loss of balance, or changes in ocular movements and reflexes.

INSTRUCTION:

Dosage as directed by the physician.

Store below 30°C.

Protect from heat and light.

Keep all medicines out of the reach of children.

PRESENTATION:

Gen-Art Injection Ph.Int. 80mg/mL is available in 1mL x 6 ampoules.

ہدایات:

خوراک ڈاکٹر کی ہدایت کے مطابق استعمال کریں۔

۳۰ ڈگری سینٹی گریڈ سے کم پر رکھیں۔

روشنی اور گرمی سے محفوظ رکھیں۔

تمام دوائیں بچوں کی پہنچ سے دور رکھیں۔

For detailed information please contact:

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