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Chloroquine

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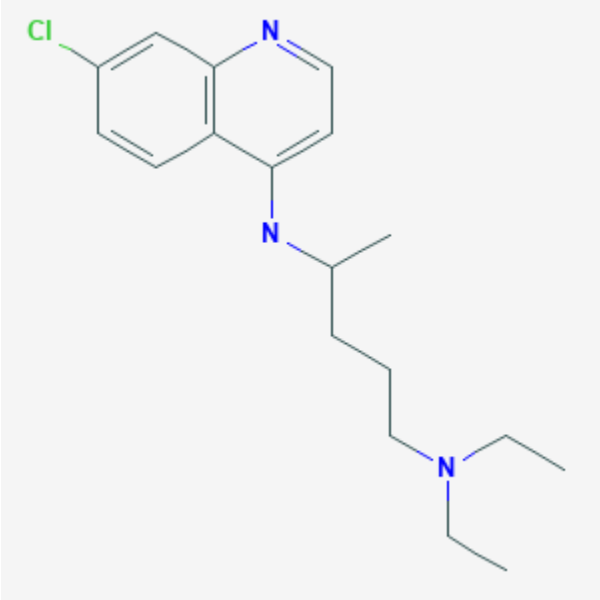
CASRN: 54-05-7



Drug Levels and Effects

Summary of Use during Lactation

Very small amounts of chloroquine are excreted in breast milk; when given once weekly, the amount of drug is not sufficient to harm the infant nor is the quantity sufficient to protect the child from malaria. United Kingdom malaria treatment guidelines recommend that weekly chloroquine 500 mg be given until breastfeeding is completed and primaquine can be given.[1] Breastfeeding infants should receive the recommended dosages of chloroquine for malaria prophylaxis.[2] In HIV-infected women, elevated viral HIV loads in milk were decreased after treatment with chloroquine to a greater extent than other women who were treated with the combination of sulfadoxine and pyrimethamine.[3] Because no information is available on the daily use of chloroquine during breastfeeding, hydroxychloroquine or another agent may be preferred in this situation, especially while nursing a newborn or preterm infant.

Drug Levels

Chloroquine has a serum half-life of over a month. Some studies have sampled milk after only a few doses before steady state was reached, making interpretation of some of the data difficult. The active metabolite, desethylchloroquine, has been measured in only a few studies.

Maternal Levels. Milk chloroquine levels were measured in one mother after an oral regimen of 600 mg/day of chloroquine base for 2 days, followed by 300 mg/day for 3 days. Average milk levels of 1.2 mg/L (range 0.2 to 2.8 mg/L) were detected in 17 random samples during, and 4 days following the doses. Metabolite levels averaged 0.68 mg/L (range 0.1 to 1.5 mg/L).[4]

In 9 women given a total dose of 25 mg/kg of chloroquine base over 3 days (10, 10 and 5 mg/kg) for malaria treatment, up to 8 breastmilk samples were obtained over the following 28 days. Chloroquine was still detectable in milk 28 days after the last dose.[5]

Three women were given a single dose of chloroquine base 600 mg orally 2 to 5 days postpartum. Milk samples were obtained periodically for about 9 days after the dose and area-under-the-curve values were calculated; milk levels were not reported. Assuming a daily intake of 1 L of milk, the authors calculated that the 3 infants would receive 0.4, 0.58 and 0.76 mg in milk over the study period. These values equate to an average of 3.1% of the maternal weight-adjusted dosage.[6]

After a single 5 mg/kg intramuscular dose of chloroquine phosphate in 6 women who were 17 days postpartum, milk chloroquine levels averaged 227 mg/L (range 192 to 319 mg/L) 2 hours after the dose. No other milk levels were obtained.[7]

Six women who were 2 to 2.5 months postpartum were given a single dose of 300 mg of chloroquine base orally. The peak chloroquine level in milk averaging 3.97 mg/L occurred 3 hours after the dose. The elimination half-life from milk averaged 132 hours. The authors estimated that an exclusively breastfed infant would receive 0.55% of the mothers total (nonweight-adjusted) dose in 24 hours.[8]

Eleven women were given 600 mg of chloroquine base orally. The peak chloroquine plus desethylchloroquine in milk averaging 4.4 mg/L occurred an average of 14.4 hours after the dose. Chloroquine and desethylchloroquine were detected in the urine of the 4 infants who were tested. Using the peak milk concentration, the authors estimated that an exclusively breastfed infant would receive a maximum of 14% of the maternal weight-adjusted dosage. The elimination half-life from milk averaged 8.8 days.[9]

Ten women who were taking oral chloroquine 300 mg/week of chloroquine during pregnancy were changed to a dose of 100 mg/day for the last 10 days of pregnancy and first 10 days postpartum. Milk samples were taken daily for 3 days during the first 10 days postpartum at the end of nursing (time after dose unspecified). The median whole milk concentration was 352 mcg/L. The milk was separated into cell-rich and cell-poor fractions. The cell-rich fraction had a median chloroquine concentration of 746 mcg/L and colostrum cells had a calculated concentration of 81 mg/L. The authors hypothesized that the high concentration of chloroquine in the milk cells might protect the infants against HIV transmission.[10]

The passage of chloroquine and desethylchloroquine into breastmilk was measured in 16 women who received 750 mg of chloroquine phosphate (equivalent to 465 mg base) daily for 3 consecutive days starting on the day of delivery for malaria prophylaxis. Fore- and hindmilk samples were obtained on postpartum days 3, 4, 5, 10 and 18 to 22. Concentrations of the drug and metabolite varied widely among the patients with average milk concentrations of 226 mcg/L (range 44 to 336 mcg/L) and 97 mcg/L (range 26 to 175 mcg/L), respectively. The average infant dosages were 34 mcg/kg daily (range 7 to 50 mcg/kg daily) for chloroquine and 97 mcg/kg daily (range 26 to 175 mcg/kg daily) for desethylchloroquine, which would be too low to provide effective malaria

prophylaxis for the breastfed infant. An exclusively breastfed infant would receive average maternal weight-adjusted dosages of 2.3% of chloroquine and 1% of its active metabolite.[11]

Infant Levels. Relevant published information was not found as of the revision date.

Effects in Breastfed Infants

Several authors have pointed out that malaria prophylaxis in nursing mothers with chloroquine is common in endemic areas.[7][8][9] As of the revision date, no reports of adverse reactions in breastfed infants have been published.

Effects on Lactation and Breastmilk

Relevant published information was not found as of the revision date.

Alternate Drugs to Consider

(Rheumatoid Arthritis) Auranofin, Etanercept, Gold Sodium Thiomalate, Hydroxychloroquine, Infliximab, Methotrexate, Penicillamine, Sulfasalazine; (Malaria) Atovaquone and Proguanil, Doxycycline, Mefloquine

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Substance Identification

Substance Name

Chloroquine

CAS Registry Number

54-05-7

Drug Class

Breast Feeding

Lactation

Anti-infective Agents

Antiparasitic Agents

Antimalarials

Antirheumatic Agents

Antiprotozoal Agents