PHARM.D • YEAR 3

Advanced Pharmacology

CHAPTER 3.6

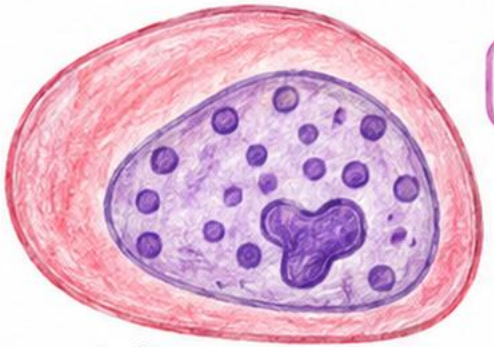
Quinolones and Fluoroquinolones

PPT CHAPTER COVER



DOCTOR OF PHARMACY

Quinolines are not fluoroquinolones

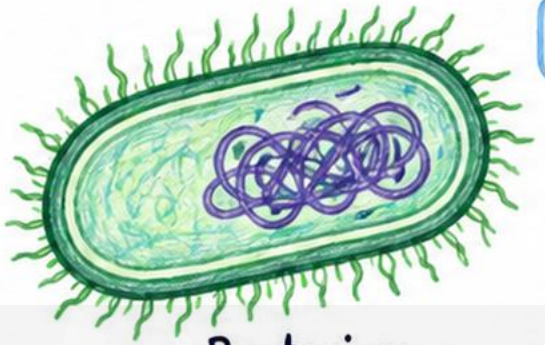


Malaria parasite
(blood stage)

Chloroquine



Heme polymerization



Bacterium

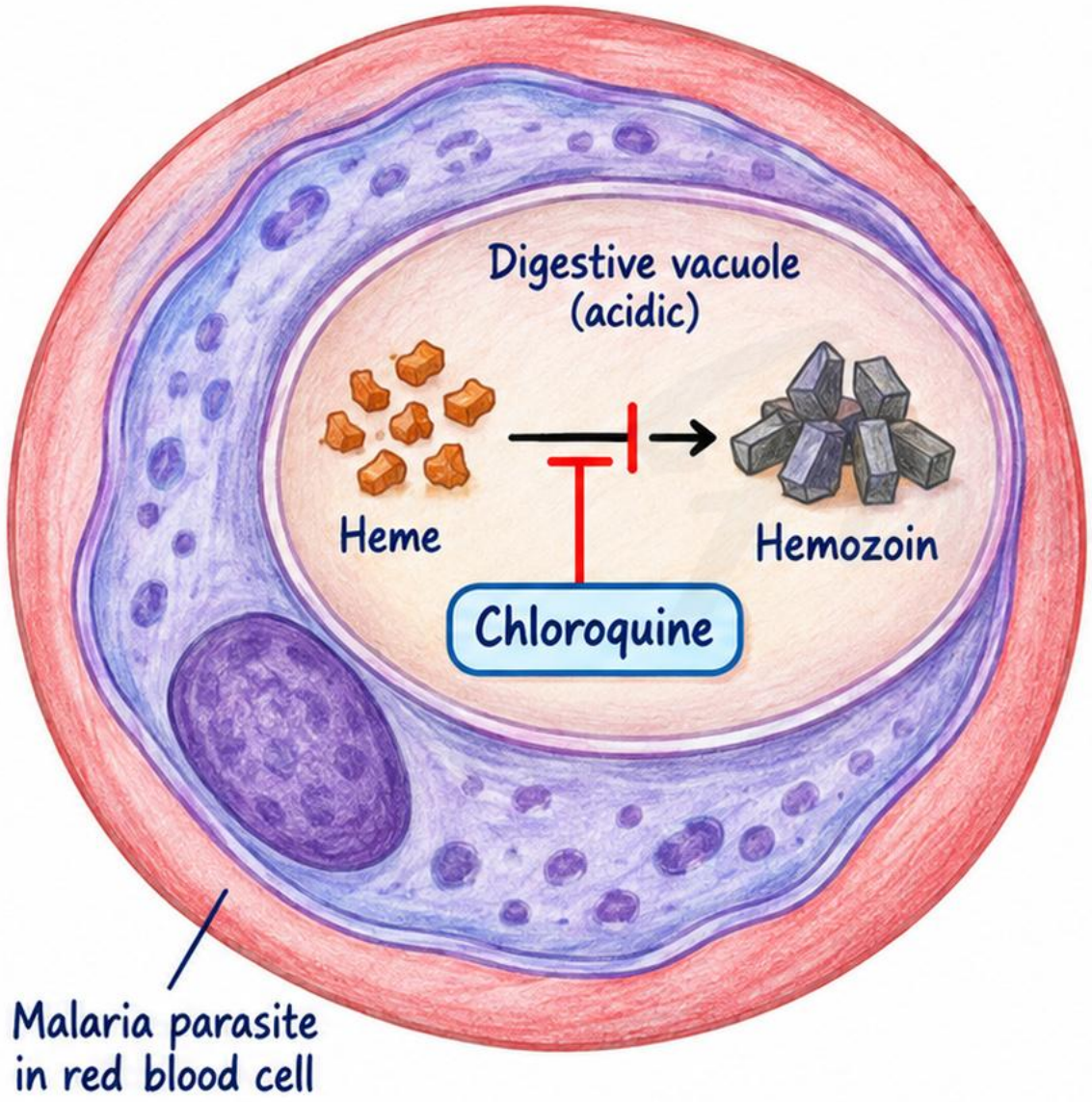
Ciprofloxacin



Bacterial DNA gyrase

- The quinoline antimalarials and antibacterial fluoroquinolones have different clinical targets and must not be confused.
- Antimalarial examples include chloroquine, quinine, mefloquine and the 8-aminoquinolines primaquine and tafenoquine.
- Some act mainly on blood-stage parasites; others provide activity against persistent liver stages.
- Fluoroquinolones such as ciprofloxacin inhibit bacterial DNA-handling enzymes rather than treating malaria.
- Drug selection depends on parasite species or bacterial susceptibility, infection severity and patient factors. Similar names do not imply equivalent spectrum, mechanisms, doses or safety precautions.

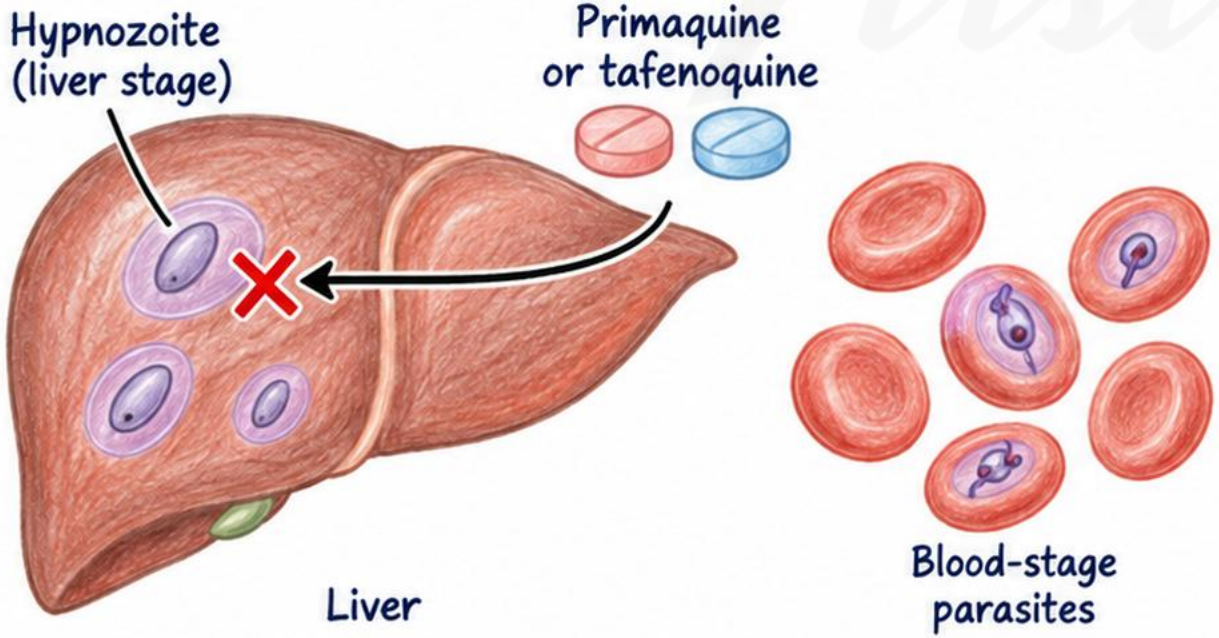
Blood-stage quinoline mechanisms



1. Chloroquine accumulates in the parasite's acidic digestive vacuole and interferes with conversion of toxic heme into less-toxic hemozoin.
2. Free-heme accumulation contributes to parasite injury and death.
3. Quinine and mefloquine also have blood-stage antimalarial activity, although their actions are more complex than one simple universal pathway.
4. These drugs do not reliably eradicate dormant vivax or ovale liver hypnozoites.
5. Chloroquine is useful only when the infecting species and geographic resistance pattern support susceptibility, Blood-stage treatment and prevention of relapse are separate pharmacologic objectives.

Primaquine and tafenoquine: liver stages

- Primaquine and tafenoquine are 8-aminoquinolines with activity against dormant liver hypnozoites of *Plasmodium vivax* and *P. ovale*.
- They can support radical cure after appropriate blood-stage therapy in eligible patients.

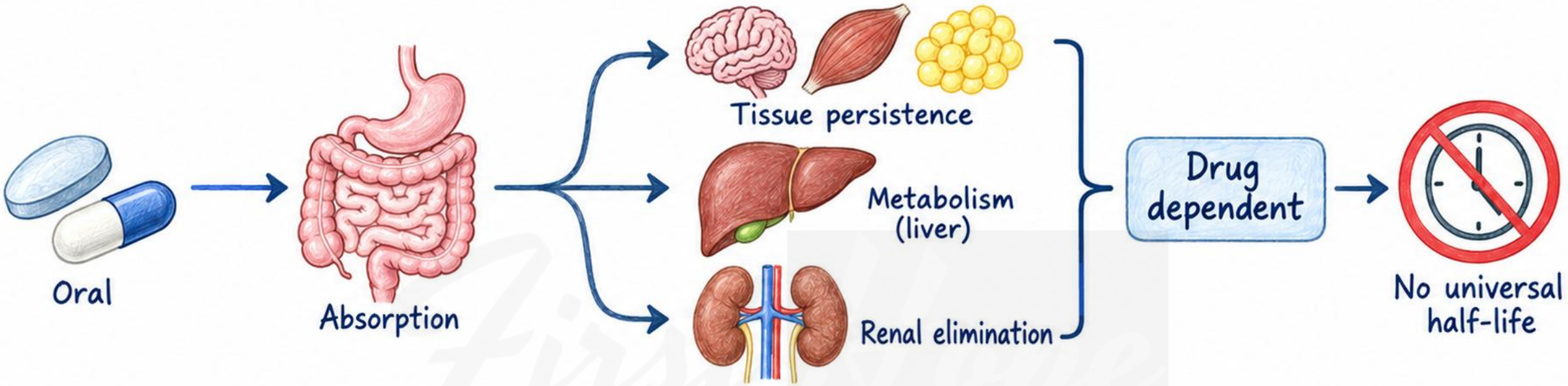


- Oxidative metabolites contribute to antiparasitic activity but also create hemolysis risk, especially with G6PD deficiency.
- Quantitative G6PD assessment and pregnancy-related restrictions are essential.
- Tafenoquine radical-cure and prophylaxis products have different indications and regimens. Neither drug should be substituted by copying the other's schedule, and not every malaria species forms hypnozoites.





Antimalarial quinolines: kinetics and use



- Chloroquine, quinine, mefloquine and primaquine are absorbed orally but differ substantially in tissue distribution, metabolism and persistence.
- Mefloquine has a long elimination half-life, which supports suitable prophylaxis schedules; this does not itself prove a slow onset of action.
- Uses depend on species, resistance, destination and whether treatment or prophylaxis is intended.
- Quinine remains an alternative in selected situations, while IV artesunate is preferred for severe malaria where available.
- Salt content and active-base amount differ for some products; formulation identity must be checked before any dose conversion.

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