A Medicinal Chemistry Perspective on 4-Aminoquinoline Antimalarial Drugs

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Abstract

A broad overview is presented describing the current knowledge and the ongoing research concerning the 4aminoquinolines (4AQ) as chemotherapeutic antimalarial agents. Included are discussions of mechanism of action, structure activity relationships (SAR), chemistry, metabolism and toxicity and parasite resistance mechanisms. In discussions of SAR, particular emphasis has been given to activity versus chloroquine resistant strains of Plasmodium falciparum. Promising new lead compounds undergoing development are described and an overview of physicochemical properties of chloroquine and amodiaquine analogues is also included.