The tropical disease malaria is responsible for more than 400,000 deaths annually, especially in Southeast Asia and Africa. Although the number of malaria cases is declining, there still is an urgent need for novel antimalarial agents. The emergence of hybrid antimalarial agents and the precedence set by the antimalarial drug ferroquine (FQ) prompted us to design new ferrocene-containing quinoline structures. Herein, we report the efficient synthesis of three different series of ferrocene-quinoline conjugates and a class of ferrocene-containing heterotricycles in good to high yields. For all twenty novel ferrocenyl derivatives, electrochemical properties were investigated using cyclic voltammetry and antiplasmodium evaluation against a chloroquine-susceptible NF54 strain of the human malaria parasite *Plasmodium falciparum* was conducted, pointing to three compounds showing submicromolar potency. Subsequently, cytotoxicity assays against a Chinese Hamster Ovarian cell line and evaluation against a chloroquine-resistant strain of *Plasmodium falciparum* for these three compounds revealed selective and promising antiplasmodium activity.