

NEW COMPOUNDS HYBRIDS 1*H*-1,2,3-TRIAZOLE-QUINOLINE AGAINST *PLASMODIUM FALCIPARUM*

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Malaria is one of the most prevalent parasitic diseases in the world¹. The global importance of this disease, current vector control limitations and the absence of an effective vaccine make the development of therapeutic antimalarial drugs the main strategy that is used to control malaria². Chloroquine (CQ) is a cost effective antimalarial drug with a relatively robust safety profile, or therapeutic index³. However, CQ is no longer used alone to treat patients with *Plasmodium falciparum* due to the emergence and spread of CQ-resistant strains, which have also been reported for *Plasmodium vivax*⁴. However, the activity of 1,2,3-triazole derivatives against chloroquine-sensitive and chloroquine-resistant strains of *P. falciparum* has been reported in the literature⁵. To enhance the anti-*P. falciparum* activity of quinoline derivatives, we have synthesized 11 new quinoline-1*H*-1,2,3-triazole hybrids. The 7-chloroquinoline moiety was included in these hybrids because it is present in CQ and amodiaquine, two drugs that are commonly used to treat malaria. While the 1*H*-1,2,3-triazoles contain a variety of substituents at the 4-position, were chosen based on its activities against *P. falciparum*. The compounds were assayed against the W2-chloroquine-resistant *P. falciparum* clone. None of these compounds was toxic to HepG2 cells. Six compounds exhibited anti-plasmodial activity against the W2 chloroquine-resistant *P. falciparum* clone, with IC₅₀ values ranging from 1.36 to 46.25 μM. Compound 11, which contained an aldehyde group at the 4-position of 1*H*-1,2,3-triazol-1-yl, was the most active against *P. falciparum*, with IC₅₀ values of 1.36 μM, and the least toxic, displaying the highest SI value (351). These data suggest that these compounds can be used as prototypes for other studies of compounds against *P. falciparum*.

References

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