Design, synthesis and in vitro antimalarial evaluation of triazole-linked chalcone and dienone hybrid compounds

Abstract:

A targeted series of chalcone and dienone hybrid compounds containing aminoquinoline and nucleoside templates was synthesized and evaluated for in vitro antimalarial activity. The Cu(I)-catalyzed cycloaddition of azides and terminal alkynes was applied as the hybridization strategy. Several chalcone-chloroquinoline hybrid compounds were found to be notably active, with compound 8b the most active, exhibiting submicromolar IC50 values against the D10, Dd2 and W2 strains of Plasmodium falciparum