Abstract

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Synthesis and Biological Screening of Potential Plasmodium Falciparum DXR Inhibitors

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Abstract

Considerable efforts have been made in the modification of existing antimalarial drugs, and the development of drug resistance threatens the eradication of malarial which has prompted research on the synthesis of novel antimalarial drugs.1 The non-mevalonate isoprenoid pathway is absent in humans, but present in the anopheles mosquito responsible for the transmission of malaria. DXP reductoisomerase – a key enzyme in the DXP pathway in Plasmodium falciparum (PfDXR) has been identified as a target for the design of novel anti-malarial drugs. Fosmidomycin and its acetyl analogue (FR900098) are known to be inhibitors of PfDXR2 and, in this study, synthetic variations of the fosmidomycin scaffold have led to series of novel analogues. Particular attention has been centred on the introduction of various substituted benzyl groups in order to occupy a recently discovered vacant pocket in the PfDXR active-site.3 In vitro assays have been conducted on all of the synthesised compounds and some of the ligands show promising anti-malarial inhibitory activity. Interestingly, a number of these compounds have also shown activity against T.brucei. In silico docking studies of selected compounds has revealed the capacity of some of the ligands to bind effectively in the PfDXR active-site with the newly introduced benzyl group occupying the adjacent vacant pocket.

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Biography

Christiana completed her PhD at the age of 27 years from Rhodes University, Grahamstown South Africa. Her major research area is in computational chemistry and drug design. My bench studies produced over 200 antiparasitic compounds in which 149 compounds are novel with 6 research papers, two peer reviewed, which has been published, one submitted and other three drafted for submission.

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