Discovery of new pyrrolo[1,2-c]quinazoline derivatives as Plasmodium falciparum inhibitors: Design, synthesis and antiplasmodial activity

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Abstract

The quinazoline and pyrrole scaffolds are attractive molecules for synthetic and medicinal chemistry because of the wide range of pharmacological activities reported, including anticancer, antiparasitic and anti-inflammatory activities.1 Malaria is a disease caused by Plasmodium spp. parasites which affect millions of people worldwide. Currently, the major challenge of malaria is the increase of resistance strains of Plasmodium species to the available treatment.2 Hence, it is very important the search for the candidates for malaria treatment. In this work, we have synthetized 20 new pyrroloquinazoline derivatives through one-pot C-H functionalization of alkylazaarenes (64 – 89 % yield).3 Moreover, the antiplasmodial activity of pyrroloquinazolines against P. falciparum was in the micromolar range (IC50 = 8 – >30 M). Our findings indicated that the new pyrroloquinazoline derivatives are attractive hits for an antimalarial drug discovery program.

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Keywords

Quinazoline derivatives

C-H functionalization

Antiplasmodial Activity