1-Aryl-1,2,3,4-tetrahydroisoquinolines as potential antimalarials : synthesis, in vitro antiplasmodial activity and in silico pharmacokinetics evaluation

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In the present study, twenty-one 1-aryl-6-hydroxy-1,2,3,4-tetrahydroisoquinoline (THIQ) analogues were synthesized by base-catalyzed Pictet-Spengler reaction, and tested in vitro against P. falciparum using the [H-3] hypoxanthine incorporation assay. Two compounds were found to be inactive while seventeen compounds displayed moderate antiplasmodial activity and two compounds were found to be highly active (IC50 <0.2 mu g ml(-1)). The two highly active compounds, 1-(4-chlorophenyl)-6-hydroxyl-1,2,3,4tetrahydroisoquinoline and 6-hydroxyspiro[1,2,3,4-tetrahydroisoquinoline-1:1'-cyclohexane], also displayed low cytotoxicity, against rat skeletal myoblast cells, with CC50 values of 257.6 and 174.2 mu M respectively. These results justify further investigation of simple 1-aryl-1,2,3,4-tetrahydroisoquinolines as potential anti-malarial agents

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