Synthesis and antimalarial activity of 4-(m-piperazin-1-yl)phenyl marinoquinolines and thieno[2,3-c]quinolines: a structure-activity relationship study

Bruna Inacio Trajano [1] Guilherme Souza [2] Rafael V. C. Guido [3] Carlos Roque Correia [1]

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Abstract

Marinoquinolines (MQs, 3H-pyrrolo[2,3-c]quinolines) are a class of natural products initially isolated from marine bacteria. This scaffold has shown promising biological activities such as anti-tuberculosis, antibacterial, and most notably, antiplasmodial. Motivated by these properties, our group decided to synthesize new MQs and identify how to improve their activity. In this work, we disclose a SAR study with two different approaches: an isosteric replacement and a regioisomeric evaluation. First, to evaluate the importance of the pyrrolic nitrogen we synthesized MQs analogs with a sulfur atom instead (thieno[2,3-c]quinolines). The results show that this substitution is deleterious to the activity. Additionally, our results have shown that MQs with p-phenyl-1-piperazines have submicromolar activity and good physicochemical properties. Therefore, our second approach was to evaluate the importance of the piperazine position in the phenyl ring by changing it to the meta position. Although the activity decreases, its properties still make them promising for further studies.

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Institutions

[1] Universidade Estadual de Campinas

[2] USP - São Carlos

[3] Universidade de São Paulo

Keywords

marinoquinolines

malária

isosteric replacement

SAR