Área: MED **Nº de Inscrição: 00743**

Syntesis of new hydrazones triazololopyrimidines derivatives as anti-*plasmodium* agents

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Key words: *malária; P. falciparum; hydrazone; triazolopyrimidine.*

Highlights

We designed and synthesized forty two novel hydrazones triazolopyrimidines using tool of medicinal chemistry.Twenty two compounds showed anti-*P. falciparum* activity with IC_{50} values ranging from 0.4 – 6.9 μM.

Abstract

Malaria remains a major public health problem worldwide and is responsible for high rates of morbidity and mortality. Resistance to current antimalarial drugs has been identified and new drugs are urgently needed. In this study, we designed and synthesized two series of new hydrazones triazolopyrimidines based on the structures of prototypes **1** which was the most potent in the series with IC_{50} = 0.023 μM and **2** that showed anti-plasmodium activity, using molecular hybridization of functional groups.

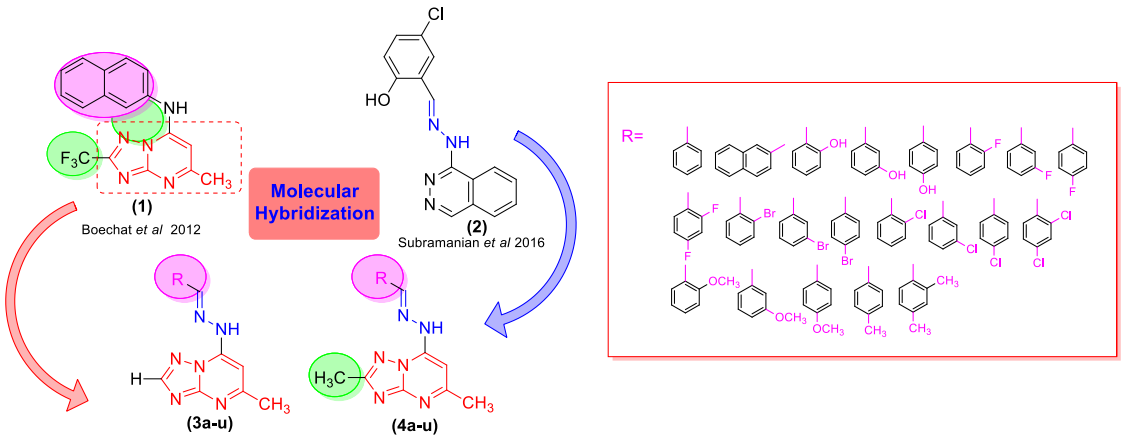

Figure 1: Design of compounds (**3, 4 a-u**).

Among the new synthesized derivatives, thirty seven compounds were evaluated against *P. falciparum*. Twenty two compounds showed anti-*P. falciparum* activity with IC_{50} values ranging from 0.4 - 6.9 μM. The most active compounds will be select for antimalarial activity tests against *P. berghei*-infected mice. The *in vitro* and *in vivo* biological assay activities of the substances that are missing are expected to be monitored by a new prototype to treatment of malaria.

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Acknowledgments

Fiocruz, Farmanguinhos, UFRJ, CNPq; FAPERJ; CAPES - Finance Code 001