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Synthesis and evaluation of new pyridine-marinoquinolines as antimalarials

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Keywords: Marinoquinolines, antimalarial activity.

Highlights

- Synthesis of novel pyridine-marinoquinoline
- Evaluation of antimalarials

Abstract

3H-pyrrolo[2,3-c]quinoline is a tricyclic system rare between natural products. The first example reported was Marinoquinoline A, isolated from the gliding bacterium *Ohtaekwangia kribbensis* together with the novel marinoquinolines B-F (Figure 1).[1,2,3] These compounds have demonstrated activity as acetylcholinesterase inhibitor[1], antibiotic activity[3], antitumoral[3] and antiprotozoatic[3]. In a previous study,[4] we synthesized several unnatural MQ derivatives as potential antimalarial compounds and discovered a lead compound named MQ-030 (Figure 2).

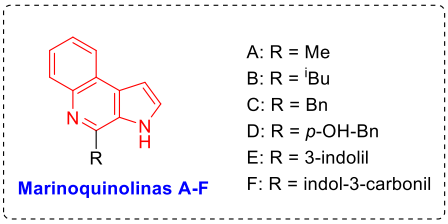


Figure 1. Structures of the natural marinoquinolines.

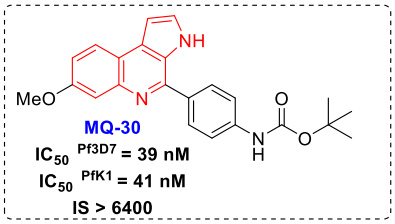
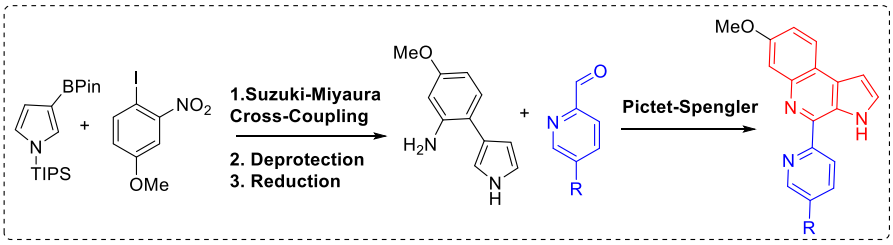


Figure 2. Structure of marinoquinoline MQ-30.

In view of the pharmacological potential of marinoquinolines, the present work describes the moldular synthesis of novel marinoquinolines. Pyrroloanilines intermediates were synthesized by Suzuki-Miyaura cross-couplings followed by Pictet-Spengler cyclizations to obtain the desired pyridine-marinoquinoline in good overall yields (Scheme 1).



Scheme 1. Synthesis of pyridine-marinoquinoline.

Reference:
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