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### A mild and efficient synthesis of spiroquinolinones via an unexpected rearrangement

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#### ARTICLE INFO

ABSTRACT

Article history: Received Received in revised form Accepted Available online A mild and efficient synthesis of spiroquinolinones 6 via condensation of chlorooxoindolines 5 and benzene-1,2-diamines 3 is reported. Instead of expected spirooxindole product 4', spiroquinolinones 6 were isolated in up to 95% yield. A plausible mechanism involving an interesting ring rearrangement to form spiroquinolinones is proposed.

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The spirooxindole motif is a unique architecture found in many natural products and synthetic drugs.<sup>1</sup> After the discovery of NITD609 as a new chemotype with a novel mechanism of action for the treatment of malaria (Figure 1),<sup>2</sup> we recently identified another spirooxindole **1** with promising anti-dengue activity.<sup>3</sup> These interesting findings further enhanced our confidence and interest in the design and synthesis of further novel spirooxindole scaffolds as potential drug lead candidates.<sup>4</sup>



Figure 1. Spriooxindoles identified as possessing antimalarial and antiviral activity.

Previously, we reported a new approach for the synthesis of spriooxindole 4 by condensation of chloroxoindoline 2 with benzene-1,2-diamines **3a** under mild conditions (Scheme 1).<sup>4b</sup> Following a similar approach, we attempted to use compound **5a** with an extended methylene as the substrate for this reaction. However, to our surprise under similar reaction conditions, spiroquinolinone **6a** was formed with excellent yield (84%) instead of the expected spirooxindole **4'** (Scheme 1). The structure of compound **6a** was unambiguously confirmed by single crystal X-ray analysis (Figure 1).<sup>5</sup>



Scheme 1. Synthesis of unexpected spiroquinolinone 6a.



Figure 1. The X-ray crystal structure of compound 6a.

Following this interesting observation, herein, we report the synthesis of a series of novel spiroquinolinones. We initially

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