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4. ABSTRACT OF THE INVENTION

Our invention describes the synthesis of a series of new fluorescent-labeled artemisinin analogues, designed to be used for imaging of artemisinin and its derivatives within a live cell (Fig. 1 to Fig. 4). The idea is to tag artemisinin or artemisinin derivatives with a variety of fluorophores while preserving the biological properties of the parent compound. This series of fluorescent compounds serves as a strategy to investigate the mode of action, to image the accumulation and/or location within or on the cells, and to understand the uptake of artemisinin derivatives into cells.

The fluorescent compounds described in the invention have been validated to retain the killing potencies of the parent artemisinin derivatives against malarial parasites and to possess similar modes of action with parent compounds. Moreover, confocal imaging has revealed that an active entity of artemisinin or artemisinin derivative is necessary for the entry of fluorescent compounds into malarial parasites *Plasmodium falciparum*. These results show that the fluorescent compounds act similarly to their respective parent compounds, and thus are valuable tools for the characterization of artemisinin derivatives.

Prepared by


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