Evaluation of Antimalarial Activity of Artemisinin Derivatives by the Reaction with Fe(II) Ion in Micelles

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Artemisinin, a sesquiterpene lactone isolated from a plant, is currently used as a superior antimalarial agent. Because of a recent discovery of artemisinin-resistant parasites, there is an urgent demand for further studies on artemisinin. The purpose of this research is to prepare novel artemisinin derivatives and to develop a simple method to evaluate their antimalarial activity. First, I focused on two novel artemisinin derivatives having an arylamino group at C-10 and methyl artesunate, and achieved their syntheses successfully. The structure of these new products was identified by the analyses of IR, ^{1}H- and ^{13}C-NMR spectra. Second, based on the generally accepted mechanism of antimalarial activity of artemisinin, I investigated reactivities of artemisinin and its derivatives with Fe(II) using micelles as a reaction field. The reactivities with Fe(II) were examined by the following two methods: measurement of a decrease in Fe(II) concentration with UV-vis spectra and measurement of oxygen consumption in a lipid peroxidation induced by the reaction of artemisinin derivatives with Fe(II). The results obtained by both methods demonstrated higher reactivities of the new derivatives compared to artemisinin. Moreover, the relative order of the reactivities of artemisinin and its derivatives was roughly coincident with that of their antimalarial activity against malaria parasites. Thus, it is revealed that the measurements of reactivities of artemisinin derivatives with Fe(II) in micelles established in this research can be employed as a simple screening method to evaluate their antimalarial activity.

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