Abstract

Artemisinin is a natural substance that has been known for several millennia in Chinese medicine. Nowadays, this best known natural peroxide is described to exhibit activity against a variety of diseases, including malaria and cancer. Investigations in recent years have shown that artemisinin develops its pharmacological activity through an interaction with Fe(II). In the course of these investigations, characteristic degradation products were also isolated.

In this work, an alternative route to Fe(II)-induced degradation was established: By exposing artemisinin to light in the presence of benzophenone, (thio)xanthone, or anthraquinone, degradation with formation of the typical products was observed. Closer examination of the reaction mechanism suggested triplet sensitization. Based on these findings, hybrids of artemisinin and the corresponding photoactivator were synthesized, which exhibit a comparable effect and are currently under biomedical investigation.