Abstract

A series of dihydroartemisinin derivatives were synthesized via an aza-Michael addition reaction to a dihydroartemisinin-based acrylate and were evaluated for antiplasmodial and antitumor activity. The target compounds showed excellent antiplasmodial activity, with dihydroartemisinin derivatives 5, 7, 9 and 13 exhibiting IC(50) values of ≤10 nM against both D10 and Dd2 strains of Plasmodium falciparum. Derivative 4d was the most active against the HeLa cancer cell line, with an IC(50) of 0.37 μM and the highest tumor specificity.