CHAPTER V

CONCLUSIONS

In summary, the naturally occurring barakol, which was extracted from leaves and flowers of *Cassia siamea*, was successfully converted to its bioactive isoquinoline alkaloids as cassiarins A and B. Synthesis of cassiarin alkaloids started from a transformation of barakol to anhydrobarakol chloride by the reaction of barakol with hydrochloric acid in alcoholic solution. A reaction of the anhydrobarakol chloride with ammonium hydroxide in alcohol solvent and subsequent reaction of the resulting intermediate with hydrochloric acid afforded cassiarin A hydrochloride. Treatment of cassiarin A hydrochloride with sodium carbonate solution led to neutral cassiarin A without chromatographic purification. Cassiarin B was prepared under a similar condition as that of cassiarin A by a reaction of anhydrobarakol chloride with methyl-4-aminobutyrate. This methodology is a simply procedure without use of expensive catalyst, protected condition and complicated purification. Preparation of eight new cassiarin derivatives (compound **28a–31a** and **28b–31b**) using this methodology was demonstrated for the investigation of the effect of *N*-substituents on selected bioactivity of the compounds. However, all new cassiarin analogues exhibited negative antiplasmodial activity against *Plasmodium falciparum* and cytotoxic activity against human cancer cells including SW620 (colon), BT474 (breast), KATO-III (gastric), Hep-G2 (hepatoma), Chago (lung) and CH-Liver (liver).

Future Work

1. All synthesized cassiarins should be investigated for their photophysical properties.
2. Synthesis of new cassiarin derivatives bearing a different *N*-substituent, such as amino acid, crown ether, etc. and evaluation of their bioactivities.