

**BIOACTIVITY STUDIES OF SOME SRI LANKAN FLORA  
AND  
BIOACTIVE XANTHONES FROM *CALOPHYLLUM THWAITESII***

A THESIS PRESENTED

BY

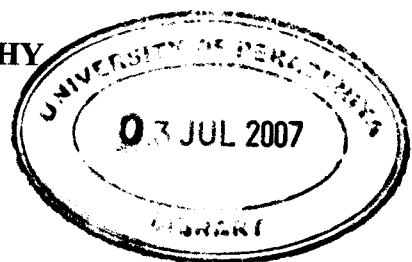
MAYURI THARANGA NAPAGODA

to the Board of Study in Chemical Sciences of the  
**POSTGRADUATE INSTITUTE OF SCIENCE**

*in partial fulfillment of the requirement  
for the award of the degree of*

**MASTER OF PHILOSOPHY**

of the



**UNIVERSITY OF PERADENIYA**

**SRI LANKA**

**2005**

**607459**

**BIOACTIVITY STUDIES OF SOME SRI LANKAN FLORA  
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BIOACTIVE XANTHONES FROM *CALOPHYLLUM THWAITESII***

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Antimicrobial properties of several *Calophyllum* species, *Garcinia xanthochymus*, *Hypericum mysorense* and few other plant species were evaluated in the present study and active compounds were isolated using activity guided fractionation. Structure elucidation of isolates were carried out using spectroscopic methods and partial synthesis.

The crude extracts of above plants were subjected to preliminary screening for antibacterial activity against human pathogenic' bacteria, *Enterococci faecalis*, *Escherichia coli*, *Klebsiella*, *Pseudomonas aeruginosa* and *Staphylococcus aureus*. In addition, the active extracts were tested against 17 methicillin resistant *Staphylococcus aureus* (MRSA) strains isolated from hospitals in Sri Lanka. The antifungal activity of crude plant extracts were investigated against plant pathogenic fungi, *Aspergillus* and *Cladosporium* and different strains of human pathogenic fungi *Candida*. Disk diffusion method was used to determine the antimicrobial activities of plant extracts against bacteria and the fungi *Aspergillus* and *Candida*, while TLC bioautography method was used to detect the antifungal activity against *Cladosporium*.

The results of the preliminary screening suggested that the methanol extract of root stem of *Calophyllum thwaitesii* possessed both antibacterial and antifungal activities. Activity guided fractionation of the above methanol extract resulted in several active

fractions. Isolation and chemical characterization of these fractions contained seven xanthenes. Apart from the previously isolated 1,7-dihydroxyxanthone from the root bark of the same plant species, other compounds, 1-hydroxy-5,6-dimethoxyxanthone, 1,6-dihydroxy-5-methoxyxanthone, 1-methoxy-5-hydroxyxanthone, 1-hydroxy-5-methoxyxanthone, 1-hydroxy-7-methoxy xanthone and 1,5-dihydroxy-6-methoxyxanthone have not been reported before. The isolation of methylated xanthenes in the present study suggests the presence of methylating enzymes in the root stem of *Calophyllum thwaitesii*, contrary to previous reports.

The above xanthenes along with thwaitesixanthone isolated from the inner bark of *Calophyllum thwaitesii* were screened for antibacterial and antifungal activities following the same procedures used previously. In addition, antioxidant activities of these compounds were determined both qualitatively and quantitatively by DPPH radical scavenging method. None of the xanthenes showed prominent antibacterial nor antioxidant activities, although the crude plant extract exhibited those activities. This could possibly be due to the presence of other active minor constituents in the plant extract or some synergistic effect of combination of compounds present in the plant extract. However four xanthenes including 1-hydroxy-5,6-dimethoxyxanthone, 1,6-dihydroxy-5-methoxyxanthone, 1-methoxy-5-hydroxyxanthone and 1-hydroxy-5-methoxyxanthone were found to possess antifungal activity against *Aspergillus* and *Cladosporium*. Minimum Inhibitory Concentrations of the active compounds were found to be in the range of 50- 200  $\mu\text{g}$  / spot. None of the xanthenes were active against any of the *Candida* strains.