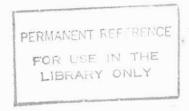
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BIOACTIVE COMPOUNDS FROM MICHELIA AND PERSEA SPP.

AND SYNTHETIC STUDIES ON ISOPRENOIDS



A THESIS SUBMITTED BY

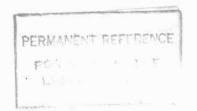
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ii.



ABSTRACT

This thesis consists of three parts. The first part describes the chemical investigation of the root and stem barks of Michelia champaca and M. nilagirica.

The root bark extract of M. champaca contained the alkaloid, liriodenine, the sterol, sitosterol and two sesquiterpene lactones, costunolide and parthenolide, previously reported from this plant together with three more sesquiterpene lactones, 8%-acetoxyparthenolide, magnograndiolide and michampanolide. The latter is a new sesquiterpene lactone with a previously unknown skeleton for which we have given the trivial name, michampane.

M. champaca stem bark contained 8%-acetoxyparthenolide, parthenolide, sitosterol and the previously reported liriodenine.

M. nilagirica stem bark contained sitosterol, costunolide and parthenolide, while its root bark contained in addition, 8x-acetoxyparthenolide and liriodenine.

The second part of the thesis describes the bioactivity directed fractionation of *M. champaca* and *M. nilagirica* root bark and *Persea gratissima* leaf. The extracts of *M. champaca* and *M. nilagarica* root bark showed herbicidal activity against *Nasturtium* and *Agrostis*, while the former also showed fungicidal

activity against Cercospora sp. The compound responsible for the activity was shown to be parthenolide.

P. gratissima leaf extract showed insecticidal activity against Aedes egyptii larvae and Aphis craccivora adults. The active compound was shown to be heptadec-16-ene-1,2,4-triol. Although four derivatives of the triol were prepared in an attempt to study structure-activity relationships, the triol was found to be much more active than any of the derivatives.

The third part of the thesis describes an attempt to develop a one-step sythesis of a synthon, 2-methyl-6-methylene-2,7-octadien-1-ol, which could be used iteratively in the syntheses of isoprenoids. It was only possible to synthesize the synthon in three steps from the starting material, 2-methyl-6-methylene-1,7-octadien-3-ol. The reaction was, however, used as the basis for a synthesis of \$\beta\$-sinensal in four steps from 2-methyl-6-methylene-1,7-octadien-3-ol through Claisen rearrangement of its vinyl ether, followed by reduction of the ketone formed, halogenation and oxidation of the primary allyl halide to aldehyde with \$N\$-methylmorpholine \$N\$-oxide. It was also established that this reagent could be conveniently used to also oxidise primary non-allylic alkyl halides into aldehydes.