

CHEMISTRY OF THE GENUS *HORTONIA*

A THESIS PRESENTED

BY

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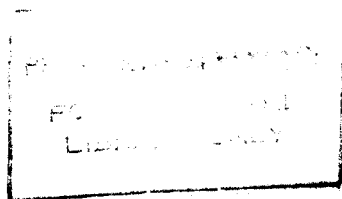
DOCTOR OF PHILOSOPHY

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ABSTRACT

This thesis describes the isolation of bioactive compounds and biological activity studies on three endemic *Hortonia* species, namely *H. angustifolia*, *H. floribunda* and *H. ovalifolia*.

A comparison of biological activity, TLC and HPLC profiles of specimens of the above three species collected from nine different geographical locations in Sri Lanka was also carried out.

The bioassays used in this study are antifungal assay against *Cladosporium cladosporioides* and the mosquito larvicidal assay against the 2nd instar larvae of *Aedes aegypti*.

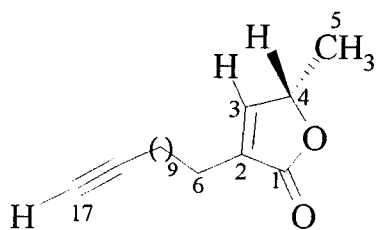
TLC, HPLC and bio activity studies of the three *Hortonia* species collected from nine different geographical locations showed that there are no significant phytochemical differences among the three species, *H. angustifolia*, *H. floribunda* and *H. ovalifolia*. In addition, protein extraction from the leaf specimens of the three *Hortonia* species followed by gel electrophoresis *produced* an identical band pattern in all specimens, further corroborating the chemical identity of the three species.

The CH₂Cl₂ extract of *Hortonia* species furnished five new butenolides identified as (4*S*)-4-methyl-2-(11-dodecynyl)-2 butenolide (75), (4*S*)-4methyl-2-(11-dodecenyl)-2 butenolide (76), (4*S*)-4-methyl-2-(2(*R*)-hydroxy-11-dodecenyl)-2 butenolide (77), (4*S*)-4 methyl-2-(9-epoxy-11-dodecynyl)-2 butenolide (78), (4*S*)-4-methyl-2-(9*Z*)-11-epoxy-9-dodecenyl)-2 butenolide (79), one new tetracyclic

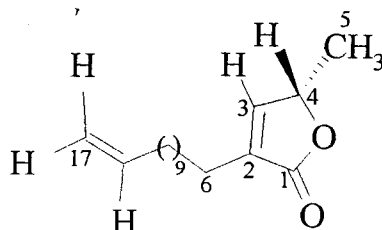
sesquiterpene identified as, 1,5,12-trimethyltetracyclic [6,3,0,0,0^{3,4,8}] dodecane (**80**) and β -sitosterol (**82**).

Butenolide **75** and **76** were highly active against the 2nd instar larvae *Aedes aegypti* (LC_{50} = 0.41 and 0.47 ppm respectively), butenolide **77** was moderately active (LC_{50} = 1.6 ppm), butenolide **79** was less active (LC_{50} = 7.87) and butenolide **78** was inactive against 2nd instar larvae of *Aedes aegypti*. Complete hydrogenation of the butenolide **75** in the presence of Pd-C/H₂ yielded the saturated compound identified as (4*S*) (2-dodecyl)-4-methyl butanolide (**81**). This compound was inactive against 2nd instar larvae of *Aedes aegypti*, suggesting that unsaturation was required for biological activity.

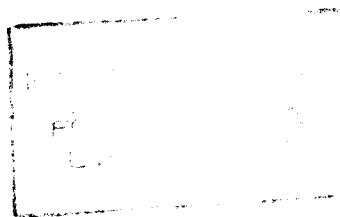
Butenolides **75**, **76**, **77**, **78**, **79** and tetracyclic sesquiterpene **80** were active against the fungus *Cladosporium cladosporioides*.

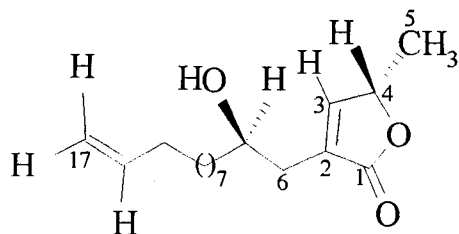


Butenolide **75**

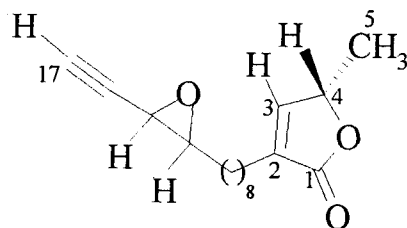


Butenolide **76**

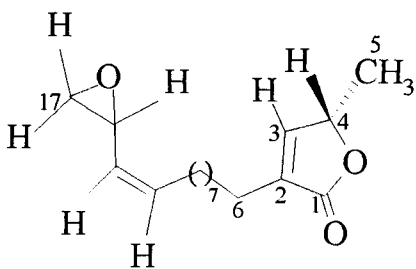




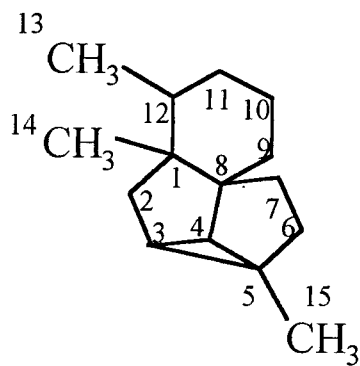
Butenolide 77



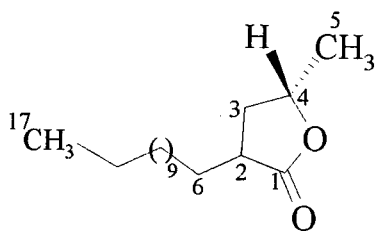
Butenolide 78



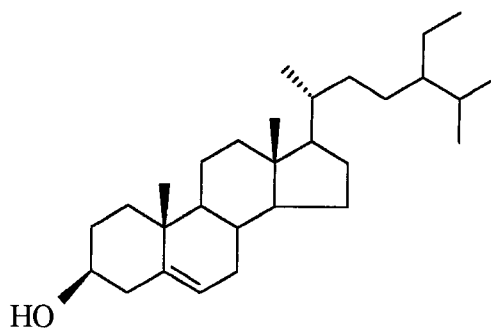
Butenolide 79



Tetracyclic sesquiterpene 80



Butanolide 81

 β -Sitosterol (82)