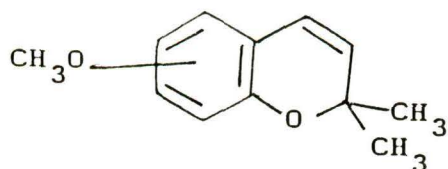


PREFACE

The thesis entitled "Oxygen heterocycles- Synthesis and Study of the Biological Properties of Compounds Related to Precocenes" embodies the results of investigations carried out by the author during the period 20th Sept. 1991 to 31.8.1994, in the Organic Chemical Laboratories of the University of North Bengal, P.O. North Bengal University, Dist. Darjeeling, India. The thesis describes the synthesis of some oxygen heterocycles which can be easily converted to precocene - like compounds by chemical or biological processes. The action of these compounds on the insects *Chrysocoris Stoll* and also on white rats has been studied and the results have been discussed in the thesis.

A detailed review of the different types of pesticides, their uses and effect on environment and the current trends in pesticide design and the accompanying problems has been discussed. The need for the design of eco-friendly pesticides and the ultimate aim of a single pesticide for a single pest has been emphasized.

Precocenes, naturally occurring, Chromenes(15) have been found to induce precocious metamorphosis in insects. The mode of action of these compounds has been well documented.



(15)

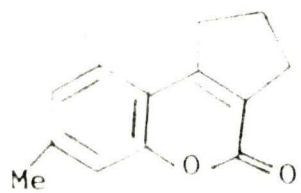
(ii)

The following compounds have been synthesised using easily available phenols and β -keto esters. Some are, as the structures indicate, Chromanones and Coumarins. It can be presumed that they may get converted to precocenes in biological systems. They have been used as such in biological studies.

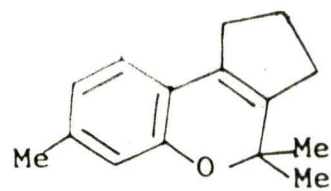
7-Methyl, 3,4-Cyclopenteno Coumarin(1), 7-hydroxy 3,4-Cyclopenteno Coumarin(3), and 7,8-dihydroxy 3,4-Cyclopenteno coumarin(7) were prepared from *m*-cresol, resorcinol and pyrogallol and ethyl Cyclopentenone-2-Carboxylate under acid conditions. Methylation of (3) and (7) gave the methoxy Coumarins(5) and (8). Grignard reaction with excess methyl magnesium iodide gave the precocene analogues (2), (4) and (6) though in poor yields. The very high humid conditions in this part of the country and the non availability in the laboratory of dry box was a handicap. The Chromanone(9) was prepared from the appropriate phenols and dimethyl acrylic acid. Attempted preparation of (10) using Pyrogallol and dimethyl acrylic acid gave a dimer, probably(11). As we have no $^1\text{H-NMR}$ and $^{13}\text{C-NMR}$ facilities in our laboratory, we had to depend on outside help and quite often we could not get the spectra in time or the resolutions were not good and spectral interpretation leaves much to be desired.

The main purpose of the synthesis of the compounds was to study their biological properties. The insect on which we studied the action of these compounds was only seasonally available and we wish we had pursued it a little further. In most cases there is a loss of weight reflecting the lesser intake of food and in a few instances one or two instars were missing indicating precocious metamorphosis. But in general,

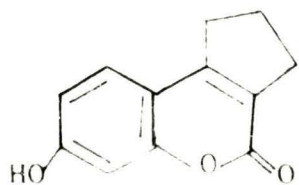
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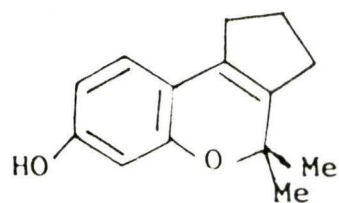
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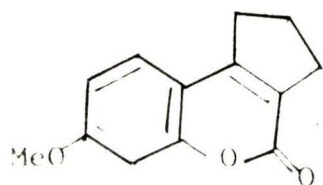
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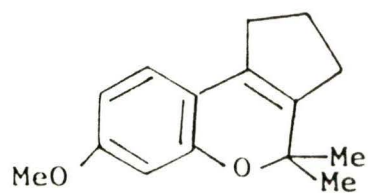
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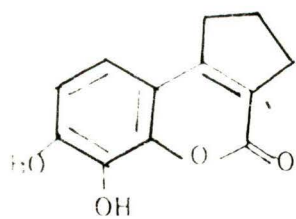
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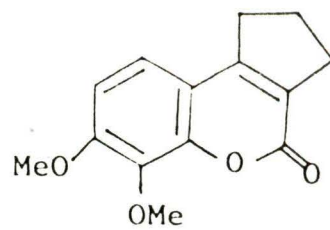
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(6)

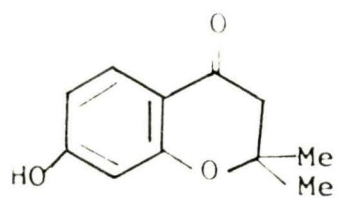


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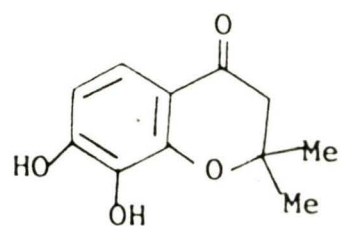


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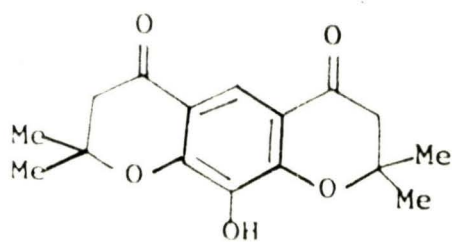
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(9)



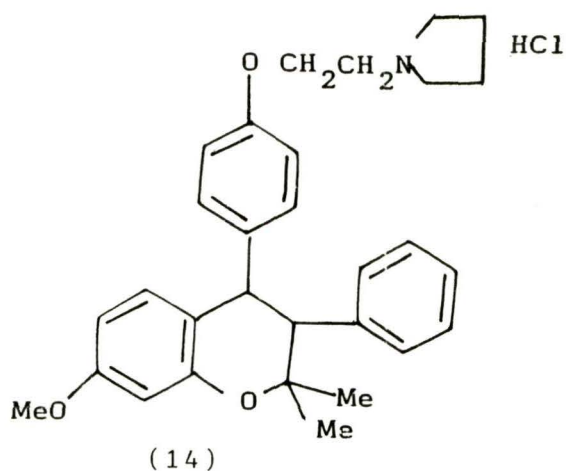
(10)



(11)

it was observed that there is delayed metamorphosis. The insects took longer time to emerge as adults.

The recently introduced non-steroidal contraceptive pill, centron(14) prompted us to examine whether coumarins could act as antifertility compounds in mammals. We have not come across any such studies. Our preliminary investigations revealed very interesting results. 7-Hydroxy-3,4-Cyclopenteno coumarin were tested on laboratory bred white rats. The



compounds had no effect on female rats but in case of males, it was found that there was a decrease in the sperms. Though the animals ate and mated normally there was no offspring. The 7-hydroxy compound appeared to be more potent. Further work is necessary to draw positive conclusions.