



## Chromenediones as potential plant growth regulators

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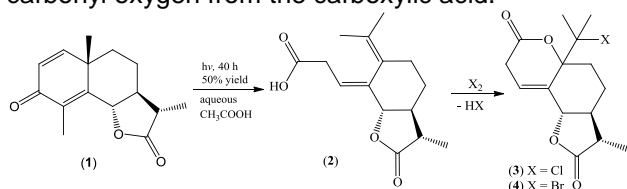
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### INTRODUCTION

Sesquiterpene lactones (SLs) have in their skeleton fifteen carbons and constitute a group of compounds with several biological activities. Because of their wide spectra of biological activities related with their ecological role as defense compounds, we have selected chromenediones as one of the most promising candidates for further studies. According to IUPAC nomenclature chromene is a polycyclic organic compound formed from the fusion of a benzene ring to a heterocyclic pyran ring.  $\alpha$ -Santonin, a commercially available eudesmanolide, was employed as starting material for the synthesis of the chromenediones.

### RESULTS AND DISCUSSION

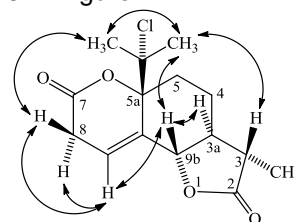
Photosantonin acid (**2**) was prepared in 50% yield by irradiating  $\alpha$ -santonin (**1**) in a mixture of acetic acid and water (2:1) in a borosilicate reactor with two high pressure mercury lamps (2 x 125 W)<sup>1,2</sup>. The first step for the formation of photosantonin acid is a 2+2 cycloaddition followed by three rearrangements passing through lumisantonin and mazdasantonin. The stereochemistry of compound (**2**) was determined by x-ray data<sup>3,4</sup>. Reaction of photosantonin acid with either chlorine or bromine in dichloromethane afforded the chromediones (**3**) and (**4**) in 91 and 86% yield respectively. The proposed mechanism for the formation of chromenediones (**3**) and (**4**) from photosantonin acid is presented in scheme 1. The first step is the formation of the halonium followed by ring opening by attack of the carbonyl oxygen from the carboxylic acid.



**Scheme 1.** Proposed mechanism for the formation of the chromenediones (**3**) and (**4**) from photosantonin acid.

The relative stereochemistry of the products were established by NOEDIFF experiments. In chromenedione **3**, for example, a NOE enhancement was observed on H8 from CH<sub>3</sub> (from the isopropyl group). When the other methyl group (from the isopropyl) is irradiated a NOE

enhancement was observed on H-9b and H3. All positive NOE effects are represented in the structure of compound **3** in Figure 1.



**Figure 1.** Representation of positive NOE interactions for chromenedione **3**.

### Bioassay

We have employed the Coleoptiles's bioassay because it is a fast and sensitive bioassay to a wide range of bioactivities. Coleoptiles were obtained from 3-days-old wheat seedlings sown on 15 cm diameter Petri dishes fitted with Whatman filter paper and grown at 25 °C in the dark. Fractions were tested at 1000, 500, 300, 150, 30, and 15  $\mu$ M in a nutritive aqueous solution (2% sucrose, IAA  $2.85 \times 10^{-7}$  mol/L).

### CONCLUSION

The presence of the  $\alpha$ -methylene- $\gamma$ -lactone motif in sesquiterpene lactones is credited to be responsive for several biological activities. Thus we have prepared chromenediones with an electrophilic center (chlorine and bromine are good leaving groups) aiming to improve the biological potential. The strategy employed seems promising as we have observed 54 and 53% inhibition of coleoptile growth even at the concentration of 15  $\mu$ M.

### ACKNOWLEDGEMENTS

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