a method similar to it. The synthesis involves *inter alia* excellent synthesis of two important derivatives of the dihydroxanthyletin I, *viz.*, 4-formyl and 4-carboxy-10-methyl-6,7-dihydroxanthyletins (III and V).

4,10-Dimethyl-6,7-dihydroxanthyletin (II), synthesis of which from 7-hydroxy-2,2,8-trimethylchroman is recently communicated[3], was oxidised with selenium dioxide (1 g) by refluxing in xylene (100 ml) for 48 hr to furnish 4-formyl-10-methyl-6,7-dihydroxanthyletin (III) in an yield nearing 80%. It crystallised out from the filtrate on filtering the reaction mixture hot [Yellow shining needles (0·8 g) from benzene, m.p. 195° C. Found: C, 70·8; H, 6·2. $C_{16}H_{16}O_4$ requires C, 70·6 and H, 5·9%. *Diacetal derivative* (IV) prepared by stirring for 30 min a mixture of II, Ac_2O and the fused NaOAc with addition of a drop of conc. H_2SO_4. Shining plates from pet. ether m.p. 170–71° C. Found: C, 64·3, H; 6·1; $C_{20}H_{22}O_7$ requires C, 64·1; H, 5.9%]. Oxidation of the aldelyde III (1 g) in acetic acid (2 ml) by hydrogen peroxide (16 ml; 30%) for 36 hr at room temperature gave 4-carboxy-10-methyl-6,7-dihydroxanthyletin. The product crystallised out from the reaction mixture [Yellow needles from benzene-methanol, m.p. 237° C. Found: C, 64·5; H, 5·5. $C_{16}H_{16}O_5$ requires C, 64·5; and H, 5·3%. IR (KBr) 3150–2800 (OH of COOH) 1710–1670 (broad, CO of lactone and of COOH overlapped), 1600, 1500 cm^{-1} (benzene). *Methyl ester* (MeOH/conc. H_2SO_4), white needles from dilute methanol, m.p. 169–70° C. Found: C, 67·6; H, 6·0. $C_{17}H_{18}O_5$ requires C, 67·5; H, 6·0% IR (KBr), 1720 (C=O of lactone) 1700 (C=O) of ester), 1600, 1550 cm^{-1} (benzene)]. Decarboxylation of the carboxylic acid V (0·5 g) by heating in quinoline (5 ml) at reflux temperature with a pinch of copper bronze for 3 hr furnished 10-methyl-6,7-dihydroxanthyletin (I). It was isolated by filtering the reaction mixture, mixing the filtrate with ether, washing the ether layer repeatedly with dil. HCl to remove quinoline and then removing ether to get the product I [Crystallised from hexane as light brown plates 0·2 g), m.p. 150–51° C. Found: C, 73·9; H, 6·8; $C_{15}H_{16}O_3$ requires C, 73·7; and H, 6·6%. IR (KBr), 1730 (C=O) of lactone), 1620, 1570 cm^{-1} (benzene)].

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ANTIBACTERIAL ACTIVITY OF SOME COMPOUNDS RELATED TO DROSOPHILIN-A

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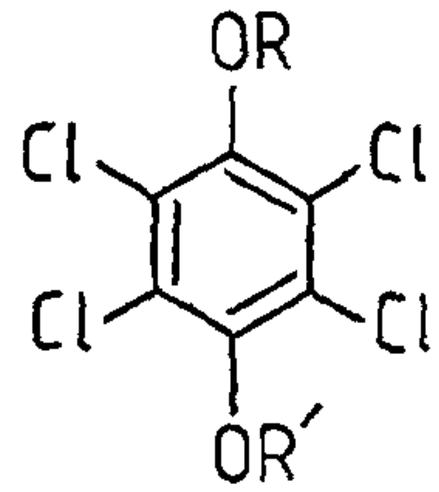
Drosophilin-A or 2,3,5,6-tetrachloro-4-methoxy-phenol, I), occurring in the insect *Drosophila substrata* (Batsch.) Quel.[1-2] and the fungus *Psathyrella conopilea* (Fr.) Pearson et. Dennis [*Psathyrella substrata* (Batsch ex Fr. Quel.)][1,2], was found to have significant antibacterial activity as early as 1952[1]. Recently, in connection with the identification of some fungal products, we had an occasion to synthesise the corresponding des-methyl compound II (now called nordrosophilin A) and methyl ether, III (now called methyl drosophilin A) (see experimental part). The latter is also a fungal product occurring in a number of fungi, namely *Fomes fastuosus*[3], *Fomes robiniae*[4], *Phellinus yucatensis*[5] and *Polyporus porrectin*[6]. It was, therefore, considered desirable to examine the antibacterial activity of compounds II and III. For this purpose, two-fold serial dilution method was used and the maximum concentration used for testing was 100 μg/ml. The results are given in Table I along with those reported for compound I in literature[1].

A perusal of Table I shows that both the compounds II and III have comparable antibacterial activity. In fact, against *Klebsiella pneumoniae* and *Staphylococcus aureus*, compound II is more active than drosophilin-A and is also active against *Streptococcus faecolis* which was not earlier studied in the case of Drosophilin-A.



I R = H, R′ = CH_3

II R = R′ = H

III R = R′ = CH_3

TABLE I

Name of bacteria	Minimum inhibitory concentration in μg/ml I	II	III
1. *Bacillus mycoides*	64	>100	>100
2. *Bacillus subtilis*	32	>100	>100
3. *Escherichia coli*	250	>100	>100
4. *Klebsiella pneumoniae*	64	25	>100
5. *Pseudomonas aeruginosa*	250	>100	>100
6. *Staphylococcus aureus**	4	3·125	25
7. *Streptococcus faecalis*	**	25	>100

* In the present study, the bacteria used were resistant to 2500 units penicillin/ml.

** Not tested.

Experimental Part

Nordrosophilin A, II: It had been prepared earlier by the reaction of *p*-benzoquinone with excess of HCl (10 molar equivalents) and hydrogen peroxide[7], and subsequent reduction of the resulting 2,3,5,6-tetrachloro-*p*-benzoquinone (chloranil) with zinc dust and HCl[3]. However, the use of a limited amount of HCl (5 molar equivalents) in the first reaction is now found to give good yields of 2,5-dichloro-*p*-benzoquinone which could further undergo 1,4 addition of HCl in a separate step to afford the desired product directly. This procedure has thus eliminated the reduction step in the old synthesis and splits the first reaction itself into two steps. The first step uses HCl along with H_2O_2 and the second one only HCl.

Methyl Drosophilin A (III) was made earlier[3] by methylation of the above compound II with CH_2N_2. We have used now dimethyl sulphate (2 molar equivalents) in the presence of potassium carbonate and acetone. The product crystallised from petroleum ether as colourless needles, m.p. 166–67° (Lit.[3] m.p. 164–65°).

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CYCLISATION OF *o* HYDROXYDIBENZOYLMETHANES TO FLAVONES : USE OF *p*-TOLUENE SULPHONIC ACID

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ONE of the important steps in the synthesis of flavones by Baker–Venkataraman rearrangement[1,2] of *o*-aroyloxyacetophenones is the cyclisation of the key intermediates, *o*-hydroxydibenzoylmethanes. A large number of reagents have been used for this step, most important amongst which are sulphuric acid[2], acetic acid-hydrochloric acid mixture[1], acetic acid-sodium acetate[1], potassium carbonate[4] (aqueous as well as in anhydrous acetone). During an attempt to synthesise 7-methoxy and 5,7-dimethoxy flavones, required for our work, by the cyclisation of the corresponding *o*-hydroxydibenzoylmethanes using the above mentioned reagents, we found that the yields were rather poor and the products lacked homogeneity on TLC.

We have now observed that the cyclisation of *o*-hydroxydibenzoylmethanes can be conveniently carried out by refluxing them in benzene solution with *p*-toluene sulphonic acid and distilling off water from the reaction mixture azeotropically. Excess *p*-toluene sulphonic acid was later extracted from the reaction mixture with aqueous sodium bicarbonate and benzene residue dried over phosphorus pentoxide in a vacuum desiccator. Crystallisation of the dried residue from ethyl acetate-light petroleum or benzene-light petroleum afforded the desired flavones in quantitative yields.

Following this procedure, 7-methoxyflavone, m.p. 110°, lit.[5] m.p. 110°; 7,4'-dimethoxyflavone, m.p. 143–44°, lit.[6], m.p. 144–6°; 5,7-dimethoxyflavone, m.p.