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Chalcones. XVIII : Potential Germicides Derived from Hydroxy Acetonaphthones

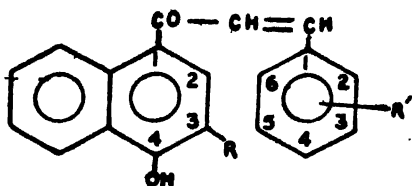
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CHALCONES have variable germicidal²⁻¹⁷, bactericidal,^{1,19,20} fungicidal¹¹ and carcinogenic¹² activity.

These effects may be either due to interaction with the germs under investigation or for killing bacterias by inhibiting the supporting microorganisms or by direct action. Moreover, methoxy and hydroxy chalcones²¹ can check up the destruction of adrenaline. Furthermore, naphthyl and phenanthryl chalcones possess potential bactericidal and carcinogenic²² activity. Considering these facts various hydroxy naphthyl and nitro hydroxy naphthyl chalcones have been synthesised expecting enhanced germicidal effect. The present communication is in pursuit of our work⁴⁻⁸ on syntheses of naphthyl chalcones of biochemical importance.

4-Hydroxy-1-acetonaphthone and 4-hydroxy-3-nitro-1-aceto-naphthone have been condensed in presence of 10% alcoholic caustic potash solution with various aryl aldehydes to form compounds of the type (I) and (II).



Type (I) R=H and R'=H ; 2-,3-,4-Cl ; 2-,3-,4-Me ; and 2-,3-,4-NO₂.

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Type (II) R=NO₂ and R'=5-Br-2-OH ; 2-Me ; 5-Br-3:4-(OCH₃)₂ and 5:6-benzo.

The condensation of 4-hydroxy-1-acetonaphthone and 4-hydroxy-3-nitro-1-acetonaphthone with chloro, bromo, methyl and methoxy benzaldehydes were obtained in good yields at room temperature within 24-50 hr. The interaction with nitrobenzaldehydes under the same conditions gave dark coloured products of unknown composition. The same reaction when carried at 10°-15° gave yellow coloured crystalline compounds in 75-80% yield. This abnormal behaviour of nitrobenzaldehydes may be due to its sensitiveness towards alkali solution at elevated temperatures.

The compounds were characterised by the vivid colours obtained on wetting with conc. sulfuric acid, elemental analyses, and by preparing a few 2:4-DNPs. The elemental analyses for C, H, and N for synthesised compounds were within ±0.5% of the calculated value.

Pharmacology

The agar-cup method was used to investigate germicidal activity against *E. coli* and *S. aureus* of the synthesised chalcones. The inocula were obtained from agar solidified nutrient broth media and growth was checked at (32°±2°) after 24 hr. None of the compounds described in this paper were found to be significantly active at a concentration of 100±15 μg ml.

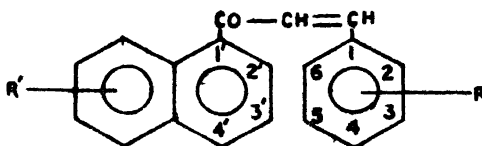
Experimental

*Preparation of 4-hydroxy-3-nitro-1-acetonaphthone*²⁵ : It was prepared by the nitration of 4-hydroxy-1-acetonaphthone²⁸ in glacial acetic acid.

Preparation of Naphthyl chalcones - Naphthyl chalcone analogues were obtained by dropwise mixing 10% caustic potash solution (10 to 15 ml.) to an equimolar aldehyde free alcoholic solution of the required naphthyl ketone and aryl aldehydes at low temperature with constant stirring. The dark coloured reaction mixture on keeping at room temperature deposited crude compound. It was collected and crystallised from alcohol. The final purity was checked by thin layer chromatography.¹⁸

2:4-dinitrophenylhydrazone derivatives were prepared by grinding the ethanolic hydrochloric acid solution of the required compound with the reagent at 100° and orange to red coloured derivatives were collected and purified from ethyl acetate unless otherwise mentioned (Table 1). The derivatives were analysed satisfactorily for nitrogen.

TABLE 1—PHYSICAL PROPERTIES AND ANALYTICAL DATA OF NAPHTHYLCHALCONES



R'	R	Yield %	Colour & crystals	M. P. °C of		Halochromism with Conc. H ₂ SO ₄	Analyses
				Chal.	2:4-DNP		
4'-OH	H ^f	63	Yellow rods	191	—	Red	C,H
4'-OH	2-Cl	78	Violet prisms	217	249	Dark Red	C,H,N
4'-OH	3-Cl	62	Shining rods	156	227d	Red	C,H,N
4'-OH	4-Cl	76	L. Y. rods	194	263	Blood red	C,H,N
4'-OH	2-Me	54	Y. Plates	196	221d	Brown	C,H,N
4'-OH	3-Me	60	Y. rods	157	251f	Red	C,H,N
4'-OH	4-Me ^a	63	C.Y. needles	177	241	Dark red	C,H,N
4'-OH	2-NO ₂	68	Y. Plates	214	—	Brown	C,H
4'-OH	3-NO ₂	62	L. Y. Plates	190	—	Bloodred	C,H
4'-OH	4-NO ₂	78	Ochre Plates	181	—	Greenish Y.	C,H
4'-OH-3'-NO ₂	5-Br-2-OH	61	Y. needles	159	—	Greenish	C,H
4'-OH-3'-NO ₂	2-CH ₃	54	Tiny needles	94	211	Blood red	C,H,N
4'-OH-3'-NO ₂	5-Br-3, 4-(OCH ₃) ₂	57	Y. Globules	146	—	Pink	C,H,N
4'-OH-3'-NO ₂	5:6-Benzo	51	Brown Needles	153	—	Dirty Yellow	C,H

* All melting points are uncorrected since they were recorded in open capillary. Crystallised from : a= dioxan ; f= Benzene C.=Canary, L.=Lemon, Y.=Yellow

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Studies in some β-Ketoester Complexes

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THE study of binary metal β-diketone complexes have been carried out earlier.^{1,2} It is known that in β-diketone complexes there is metal ligand π-interaction and formation of a six membered planar ring with-