BEHAVIOUR OF SOME 4 - HYDROXYCARBOSTYRILS TOWARDS SOME REAGENTS

A THESIS

SUBMITTED BY

MOHAMED ABASS MOHAMED ABDEL-RAHMAN
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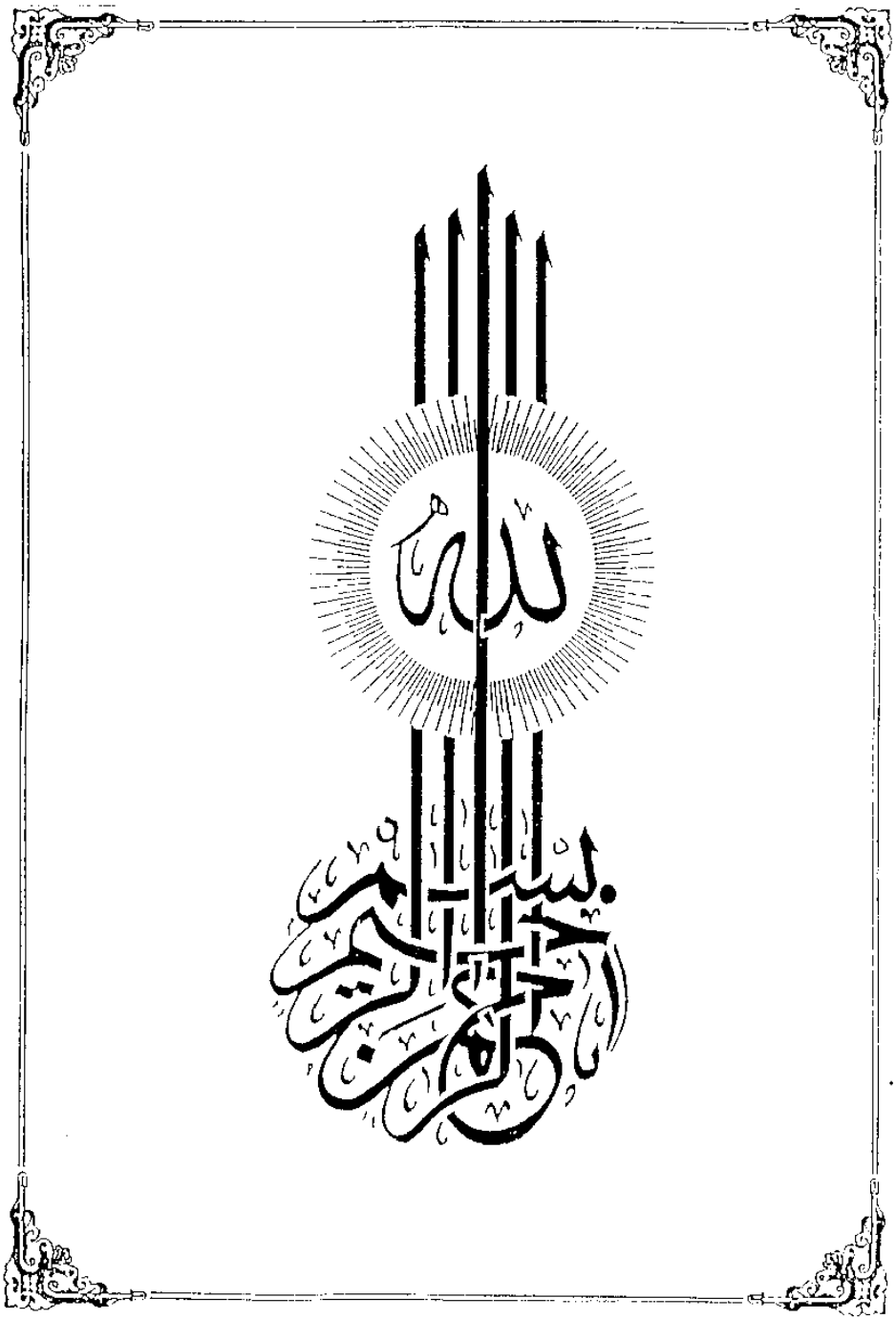

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Thesis Advisors

Ass. Prof. Dr. *E. A. Mohamed*

Dr. *Y. Gabr*

Approved

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E. A. Mohamed

Y. Gabr

Prof. Dr. B. A. El - Shetary
Head of Chemistry Department

To My Mother

And

To All My Loves

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M. Abass

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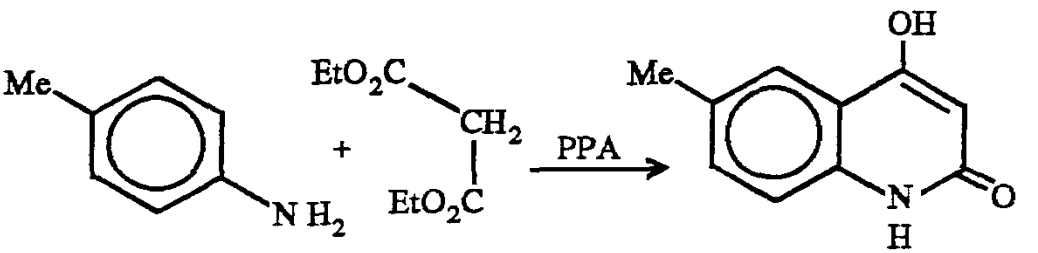
SUMMARY OF THE ORIGINAL WORK

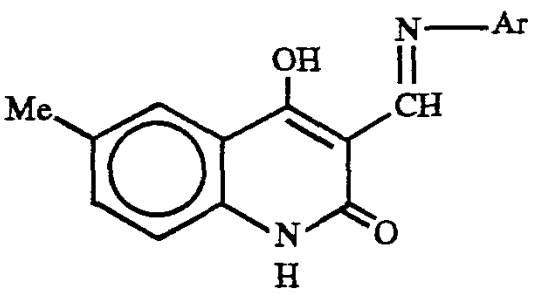
The strating material 4 - hydroxy - 6 - methylcarbostyril (1) has been synthesized by heating *p* - toluidine with diethyl malonate in presence of PPA .



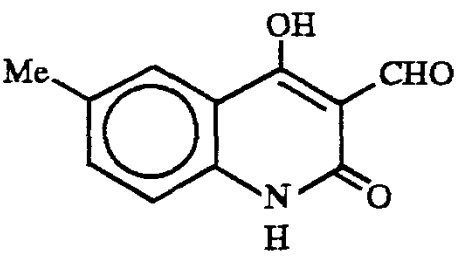
(1)

The starting compound 1 was utilized in the syntheis of a series of compounds which were found to be of antimicrobial and molluscicidal activities .

Compound 1 was reacted with triethyl orthoformate and different aryl amines to give the schiff's bases 2_{a-g} , which are readily obtained by the direct condensation of the 3 - formyl derivative 3 , preliminary , obtained by the action of $CHCl_3$ on compound 1 in alkaline solution .

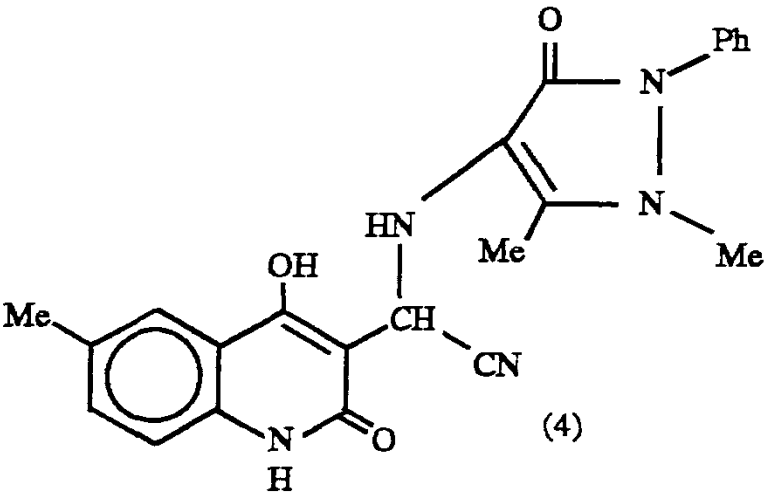


(2_{a-g})



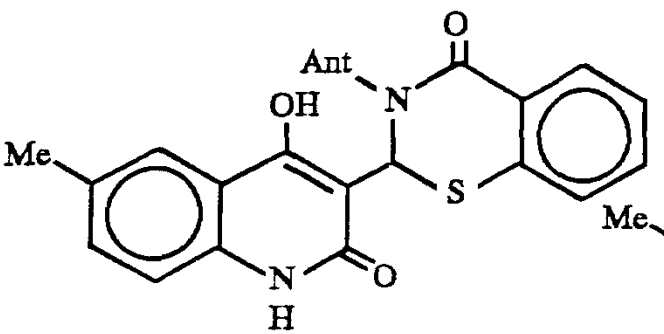
(3)

HCN adds , readily , to the schiff's base 2_g affording the cyano derivative 4 .

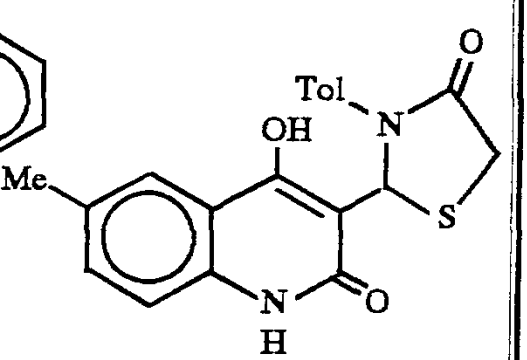


(4)

Addition - Cyclization reaction were carried out , using thiosalicylic acid thioglycolic acid , giving rise to the benzothiazinone 5 and the thiazolidinone 6 .



(5)

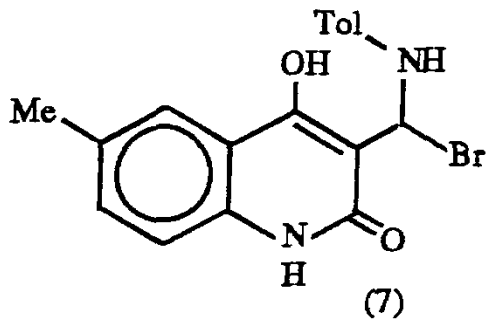


(6)

Ant = 4 - antipyrenyl

Tol = *p* -*Tolyl*

In a similar way of the addition of HCN , hydrobromic acid adds easily to the schiff's base 2_a affording the adduct 7 , which was subjected to react with hydrazine hydrate to give the hydrazino derivative 8 .



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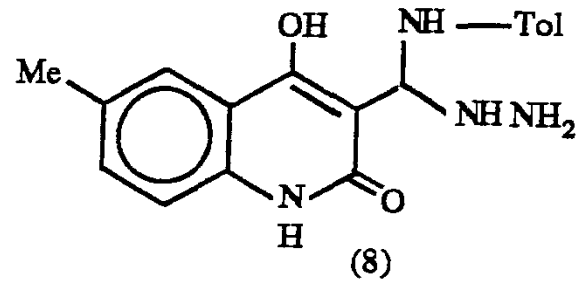
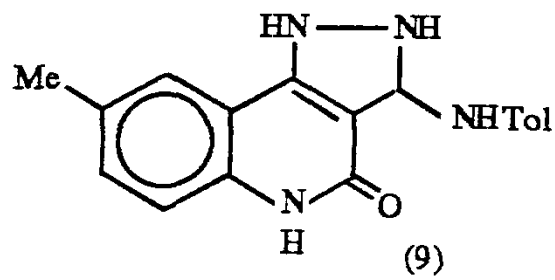


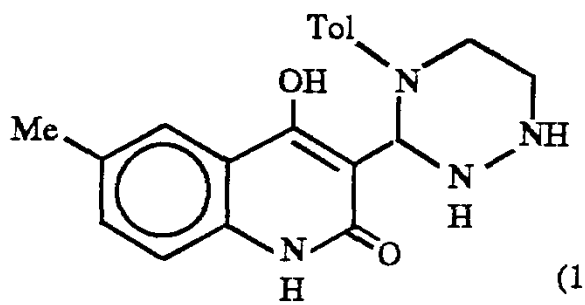
(8)

The hydrazino derivative 8 was cyclized , readily , to the pyazoline 9 , when boiled in butanol , which had been directhy obtained by reacting the bromo derivative 7 with hydrazine hydrate , in boiling butanol .



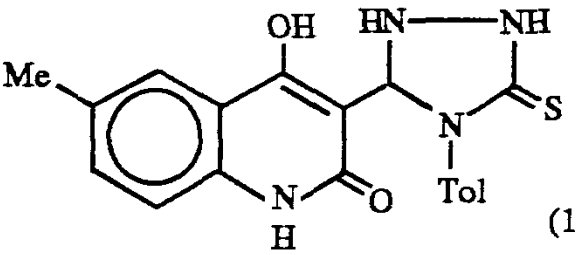
(9)

An atternative cyclization of the hydrazino derivative 8 was carried out , by reacting it with 1,2 - dibromoethane to give the perhydrotriazine derivative 10 .



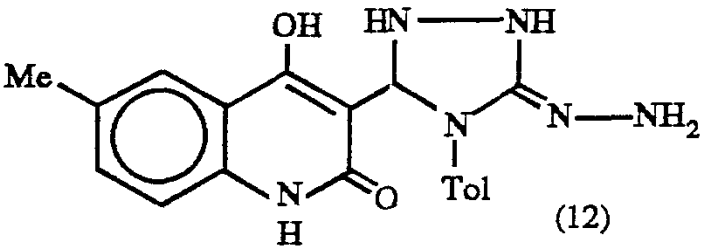
(10)

Whereas the triazolidine derivative 11 was obtained by cyclization of the hydrazino derivative 8 by carbon disulphide .



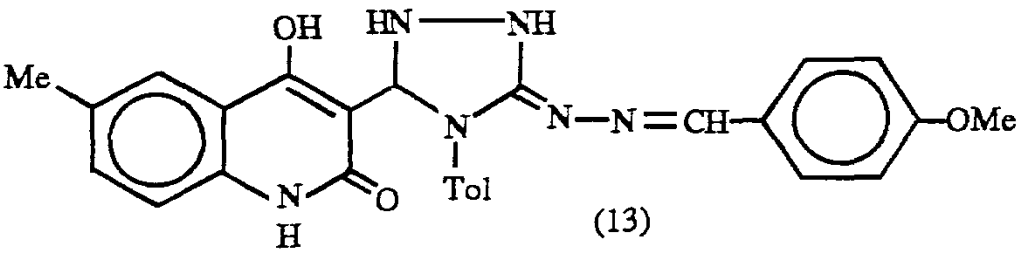
(11)

The triazolidine thione 11 condensed readily with hydrazine hydrate , with loss of a molecule of H_2S , and gave the hydrazone derivative 12 .



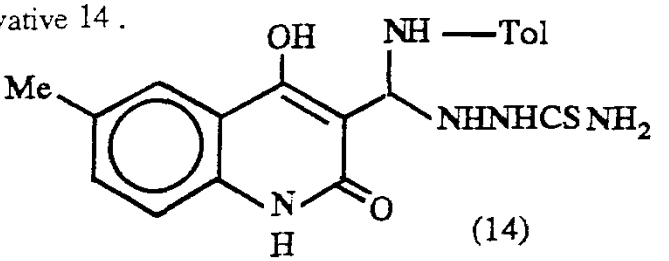
(12)

The presence of the free amino - group of the hydrazone derivative 12 was proved , by reacting it with *p* - anisaldehyde to give the azine derivative 13 .



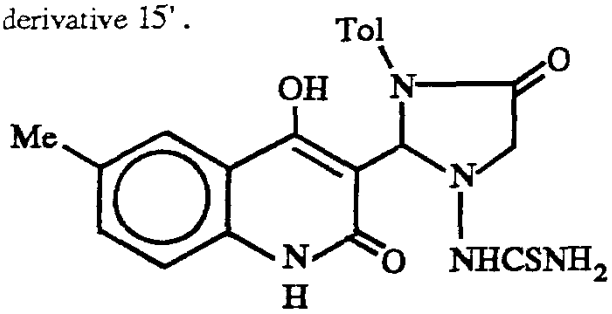
(13)

Replacement of the bromine atom of compound 7 by thiosemicarbazido group was also achieved , by the reaction between 7 and thiosemicarbazide to produce the thiosemicarbazide derivative 14 .

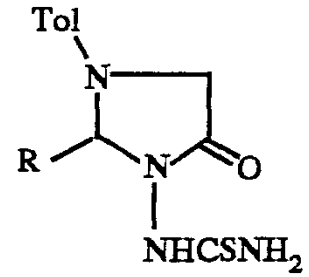


(14)

The latter compound 14 reacted readily with ethyl chloroacetate , using sodium ethoxide as a catalyst , to yield the imidazolidine derivative 15 or its isomeric derivative 15' .

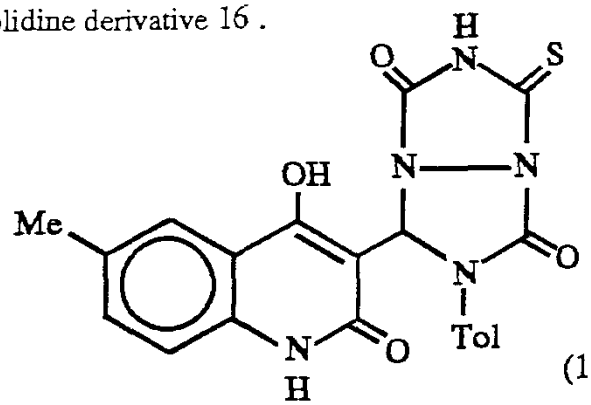


(15)



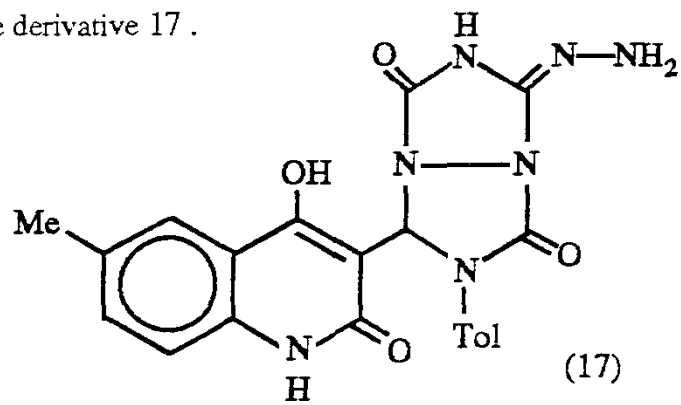
(15')

On the other hand , condensation of 14 with diethyl carbonate afforded the triazolidinotriazolidine derivative 16 .



(16)

The thioxo group of compound 16 was contributed in the condesation reaction with hydrazine hydrate more readily than any of the two carbonyl groups , giving rise to the hydrazone derivative 17 .



(17)