



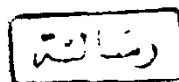
# **BEHAVIOUR OF SOME 4 - HYDROXYCARBOSTYRILS TOWARDS SOME REAGENTS**

**A THESIS**

SUBMITTED BY

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FOR  
*THE MASTER DEGREE*  
*FOR TEACHER'S PREPARATION*  
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( *Organic Chemistry* )

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CHEMISTRY DEPARTMENT  
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AIN SHAMS UNIVERSITY  
CAIRO

1993



بِسْمِ اللَّهِ الرَّحْمَنِ الرَّحِيمِ  
 اللَّهُ نُورُ السَّمَوَاتِ  
 وَالْأَرْضِ مِثْلُ نُورِهِ كَمِشْكُوتٍ  
 فِيهَا يَصَبَّحُ الْمَصْبُوحُ فِي  
 رُجَاةِ الرَّجَاةِ كَأَنَّهَُا كَوْكَبٌ  
 ضَرِيءٌ يُوَقَّدُ مِنْ شَجَرَةٍ مُبْرَكَةٍ  
 زَيْتُونَةٍ لَا شَرْقِيَّةٍ وَلَا غَرْبِيَّةٍ يَكَادُ  
 زَيْتُهَا يُضِيءُ وَلَوْ لَمْ تَحْسَسْهُ نَارٌ نُّورٌ  
 عَلَى نُورٍ يُمِدُّ إِلَى اللَّهِ لِنُورِهِ مَنْ يَشَاءُ  
 وَيَضْرِبُ اللَّهُ الْأَمْثَلَ لِلنَّاسِ وَاللَّهُ  
 بِكُلِّ شَيْءٍ عَلِيمٌ

الآية ٢٥ سورة النور



BEHAVIOUR OF SOME 4 - HYDROXYCARBOSTYRILS  
TOWARDS SOME REAGENTS

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Ass. Prof. Dr. E. A. Mohamed

Dr. Y. Gabr

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

*To My Mother  
And  
To All My Loves*

## ACKNOWLEDGEMENT

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*M. Abass*

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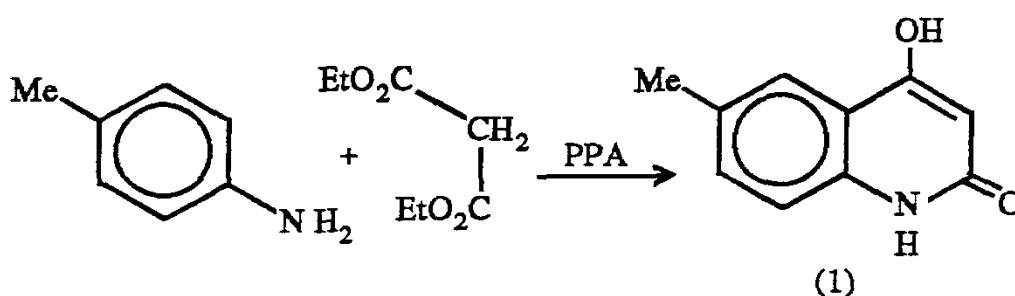


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# **Summary of The Original Work**

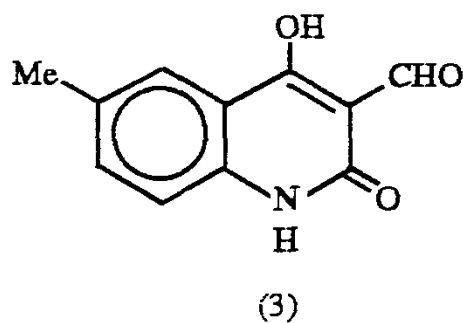
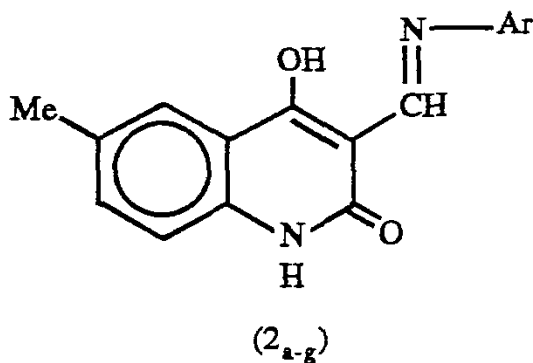
### SUMMARY OF THE ORIGINAL WORK

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

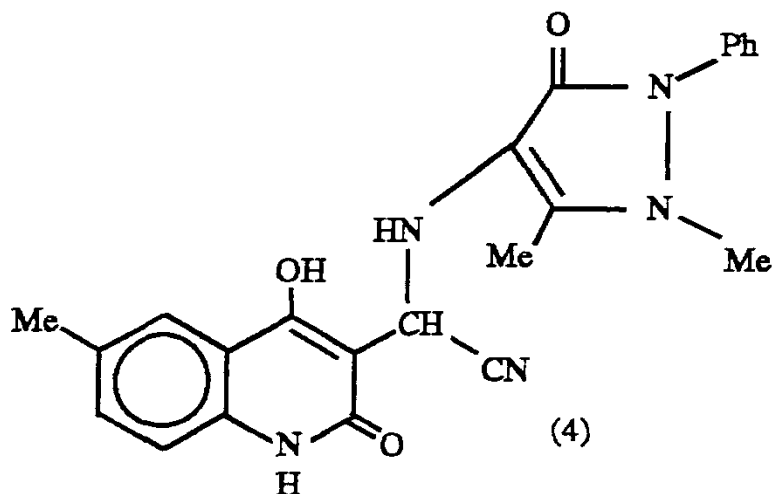


The starting compound 1 was utilized in the synthesis of a series of compounds which were found to be of antimicrobial and molluscicidal activities.

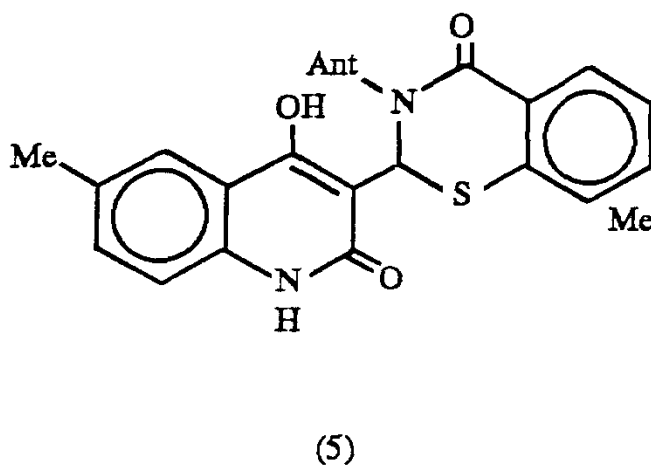
Compound 1 was reacted with triethyl orthoformate and different aryl amines to give the schiff's bases  $2_{a-g}$ , which are readily obtained by the direct condensation of the 3-formyl derivative 3, preliminary, obtained by the action of  $\text{CHCl}_3$  on compound 1 in alkaline solution.



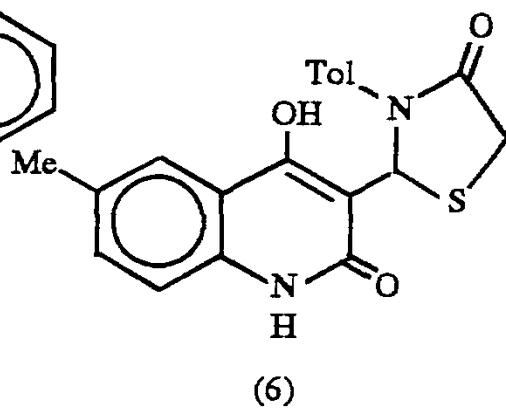
$\text{HCN}$  adds, readily, to the schiff's base  $2_g$  affording the cyano derivative 4.



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

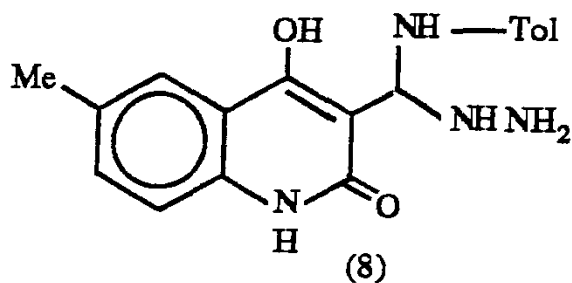
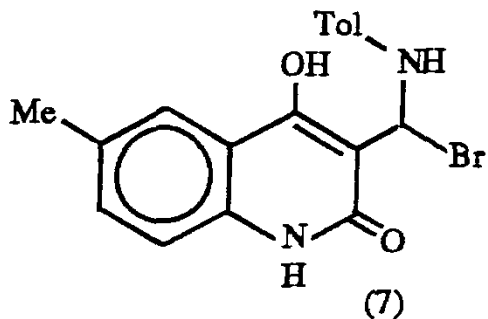


Ant = 4 - antipyrinyl

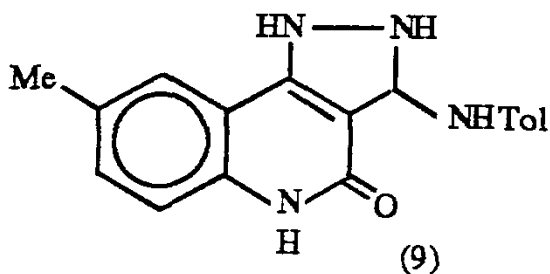


Tol = *p* -Tolyl

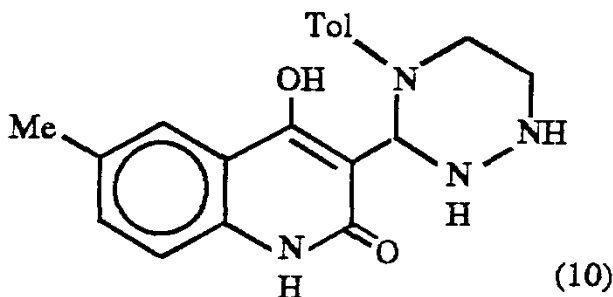
In a similar way of the addition of HCN , hydrobromic acid adds easily to the schiff's base 2<sub>a</sub> affording the adduct 7 , which was subjected to react with hydrazine hydrate to give the hydrazino derivative 8 .



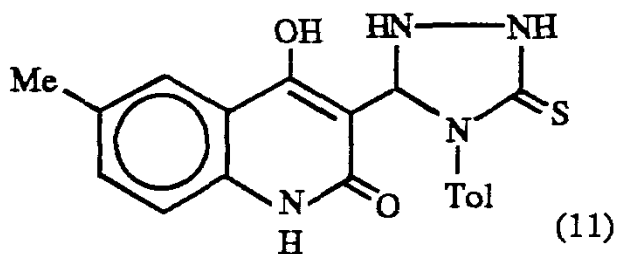
The hydrazino derivative 8 was cyclized, readily, to the pyrazoline 9, when boiled in butanol, which had been directly obtained by reacting the bromo derivative 7 with hydrazine hydrate, in boiling butanol.



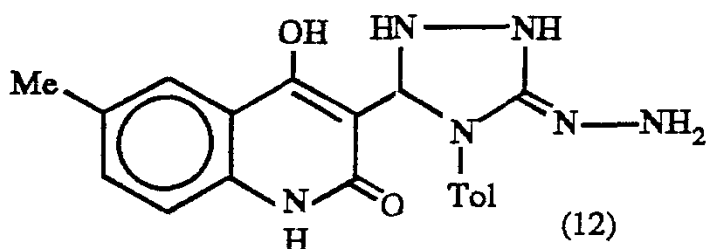
An alternative cyclization of the hydrazino derivative 8 was carried out, by reacting it with 1,2-dibromoethane to give the perhydrotriazine derivative 10.



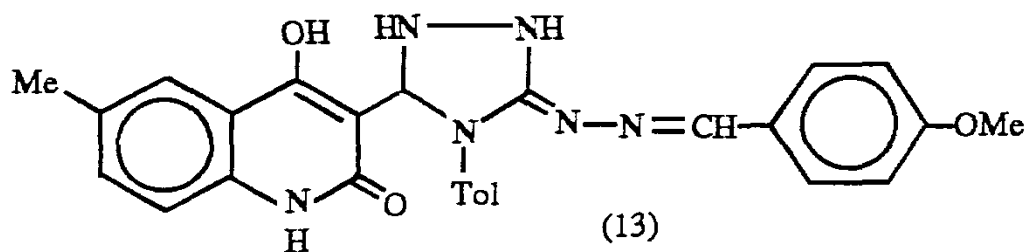
Whereas the triazolidine derivative 11 was obtained by cyclization of the hydrazino derivative 8 by carbon disulphide.



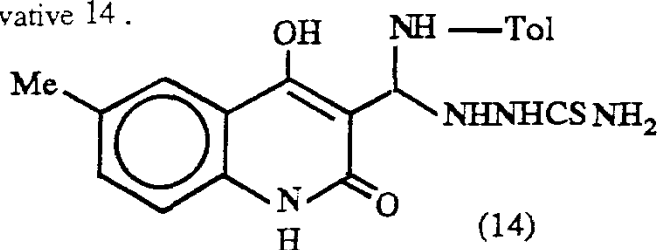
The triazolidine thione 11 condensed readily with hydrazine hydrate, with loss of a molecule of  $\text{H}_2\text{S}$ , and gave the hydrazone derivative 12.



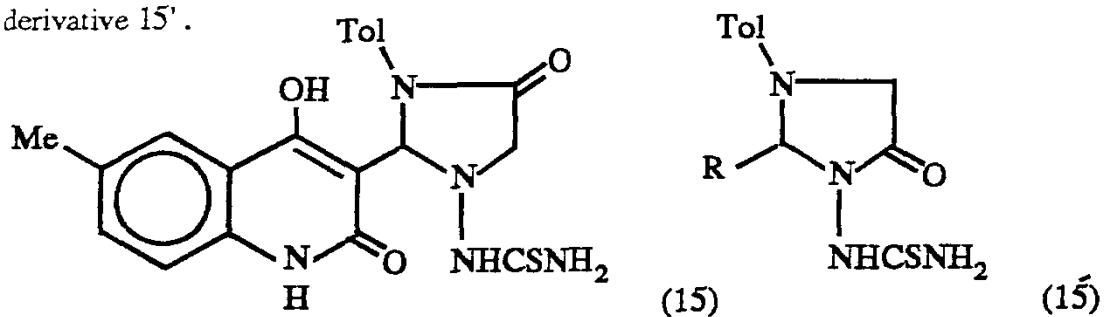
The presence of the free amino-group of the hydrazone derivative 12 was proved, by reacting it with *p*-anisaldehyde to give the azine derivative 13.



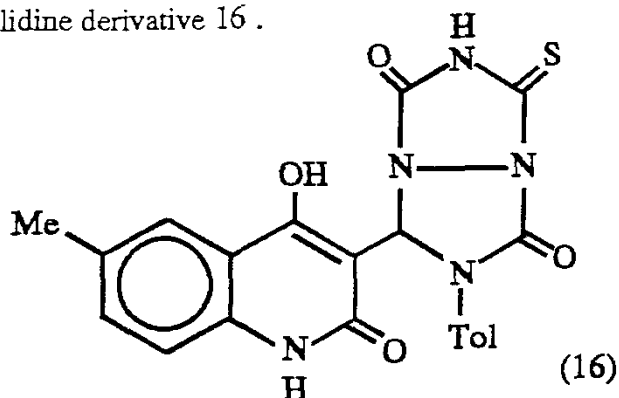
Replacement of the bromine atom of compound 7 by thiosemicarbazido group was also achieved, by the reaction between 7 and thiosemicarbazide to produce the thiosemicarbazide derivative 14.



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'.



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



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

