

“The New Synthesized Betti’s Products as Potential Fungicides Activities”

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Abstract: Fungicidal activities of Betti’s reaction products, Quinoline compounds with cyano ethylated aromatic aldehyde synthesized new Betti’s products. 8-Quinolinols derivatives are well known for their Therapeutic value. A large number of compounds synthesized from 8-Quinolinols have been used as potential fungicides activity. New Synthesized Betti’s products by Betti’s reaction was carried out with Benzaldehyde-4-NN-bis-2’cyano ethyl-amino-benzaldehyde. The following Betti’s products are obtained and in present investigation 8-Quinolinols moiety, for studying the antifungal activity.

- (i) 7- A- anilino benzyl-8-Quinolinol and its derivatives.
- (ii) Synthesized new hydrazone / hydrazide
- (iii) Synthesize 4-4-diamino diphenyl sulphone.
- (iv) Synthesize malonanilic acid hydrazide.

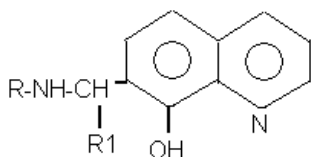
All the synthesized new Betti’s products have been antifungal activity and these compounds have been tested for their fungicidal activity against *Helminthosporium oryzae*, *Aspergillus niger* and *cephalosporium saccharii* by the use of agar plate technique at 1000, 100, 10 PPm.

INTRODUCTION

Quinoline derivatives are well known for their varied spectra of pharmacological activities. 8-Quinolinol and its derivatives are reported to possess amoebicidal, bactericidal and fungicidal properties.

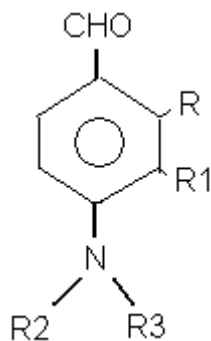
[A] Betti’s products :-

A Simple mannich type of reaction known as Betti’s reaction was reported to occur with aniline-benzaldehyde and 8-Quinolinol yielding 7- \square -anilino-benzyl-8-Quinolinol (I)



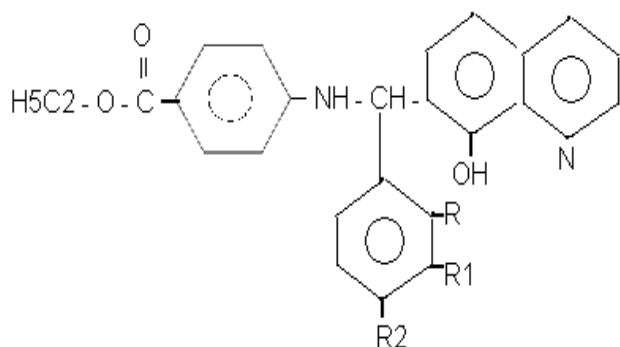
Type-(I)

Looking to the applicability of these Compounds having phenolic, OH, bromo, chloro and cyanoethyl groups as pharmacological agents. It appeared worthwhile to condense new Betti’s products which bear phenolic OH. Bromo, chloro cyanoethyl and 8-hydroxy quinoline moiety for studying fungicidal activity of these compounds. The following Ten aldehydes (II) required for the present study have been synthesized by the method described in the literature.



Type(II)

In the present study Betti's reaction was carried out with ten aromatic aldehyde (II), 8-Quinololinol and benzo caine in absolute ethanol at room temp. Using method proposed by Acharya and Thakar new products of the type (III) have been obtained in good yield.



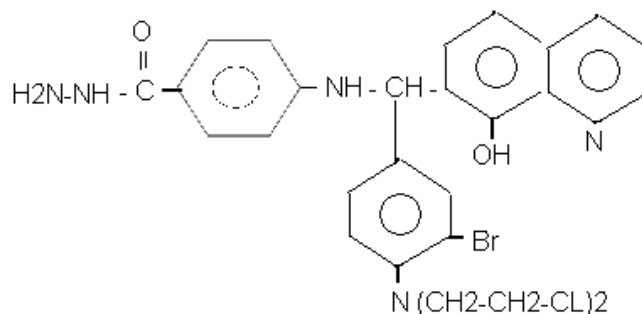
Type(III)

All these compounds are brown, yellow, orange, pink and red in colour and gave characteristic colour reaction when treated with concentrated sulphuric and nitric acid or 1% ferric-chloride solution (Test for Betti's products) Due to the presence of the chelating centre. These compounds

(III)acts as metal precipitants and antifungal agents.

[b] Synthesized New hydrazides and hydrazone from Betti's products .

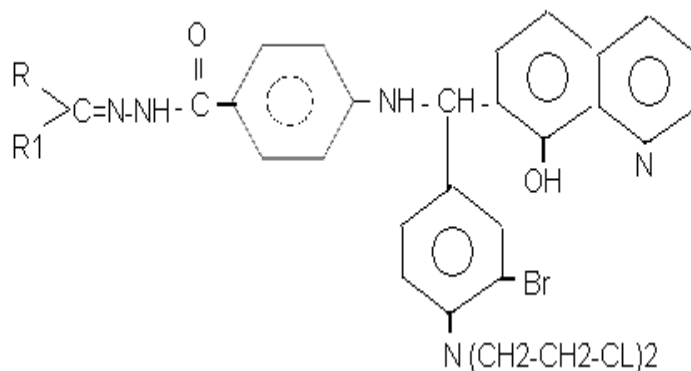
In the present investigation 7-(4-N-N-bis-2-chloro-ethyl amino-3-bromo 4-carbethoxy-anilino)-methyl -8-Quinololinol were treated with 85% hydrazine hydrate in ethanol. It furnished 7-(8-Quinololinolyl) - (4-N-N-bis-2'-chloroethyl amino-3 bromo phenyl) - (4-amino methyl) - benzo-hydrazide (IV) in good yield.



Type (IV)

The compound (IV) has been synthesized with a view to see the combined effect of hydrazide and 8-Quinololinol Moities in the same molecules on the fungicidal activity.

The acid hydrazide (IV) has been condensed with fifty aldehyde and five Ketones and products of the type (V) have been isolated for testing their fungicidal activity.



Type (V)

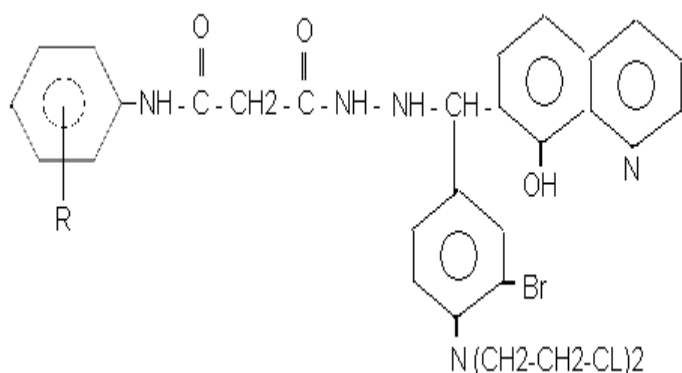
The new acid hydrazones are generally yellow to red in colour.

[C] Synthesized Malo-nanilic-acid hydrazides from Betti's products.

Gupta et al have synthesized some mannich bases of Salicylic acid hydrazides with different amines in the presence of a drop of concentrated hydrochloric acid and tested their ant-fungal activity.

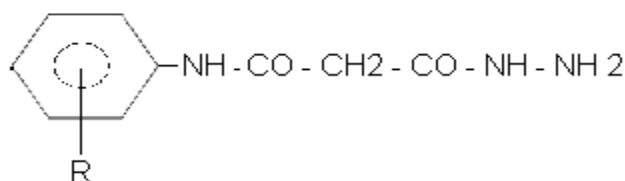
A survey of literature reveals that the interaction of hydrazides Moiety in a large variety of compounds augments. Their Therapeutic values with this object in

view. Condensation of 4-N-N-bis-2'-chloro ethyl amino-3-bromo benzaldehyde. 8-Quinolinol and acid hydrazide of Malonanilic series in the presence of a drop of concentrated sulphuric acid, nitric acid or hydrochloric acid. Furnished ten new products of type (VI) by the procedure suggested by Acharya and Thaker.



Type (VI)

Hydrazides of Malonanilic acid and substituted malonanilic acid (VII) used in their condensation were prepared according to the procedure recommended by Rathore and Ittyerah.



Type (VII)

Concentrated sulphuric acid is the most effective catalyst for this type of Betti's reaction.

In Betti's reaction malonanilic acid hydrazides have been found to be more reactive than benzocaine as higher yield of the products (VI) has been obtained and the time for completion of reaction was also less.

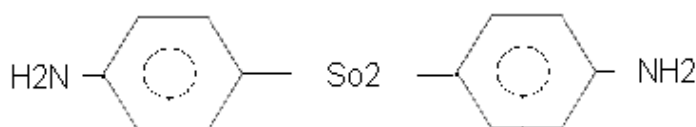
The New products are red, brown and orange in colour and give characteristic colour reaction with con. sulphuric and nitric acid or 1% ferric-chloride solution.

[D] Synthesis of 4-4-diamino-di-phenyl sulphone from Betti's products :-

Dapsone is the first drug which is used for treatment of Leprosy .

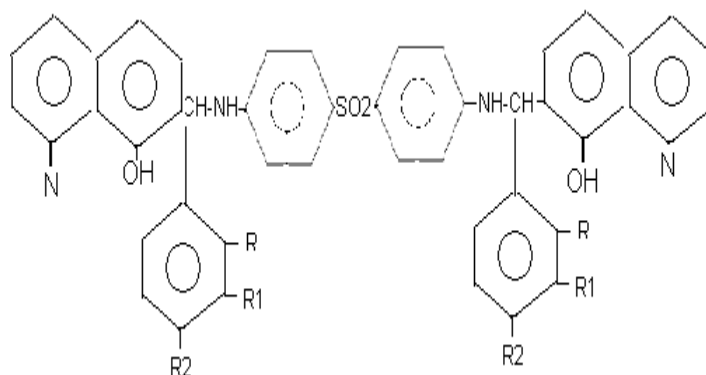
Buu-hoi-xuong and Tien have investigated the anti-tubercular and antibacterial nature of di-amino-diphenyl sulphone. The antibacterial and anti-leprotic nature of dapsone is given in the literature of W.H.O.

In the present Investigation it was thought worthwhile to synthesize some new Betti's products which will bear dapsone, oxine and aromatic aldehyde Moieties for assessing their antifungal activity.



Type (VIII)

Ten new Betti's products have been synthesized by the condensation of dapsone, oxine and aromatic aldehyde by the procedure as suggested by Bux and Jolly.



Type (IX)

These new Betti's products are generally red, brown or orange in colour and have high M.P.

FUNGICIDAL ACTIVITY:-

Betti's products (III) (IV) hydrazides, hydrozones Betti's products derived from malonanilic acid hydrazides (VI) and Betti's products derived from dapsone (IX) have been

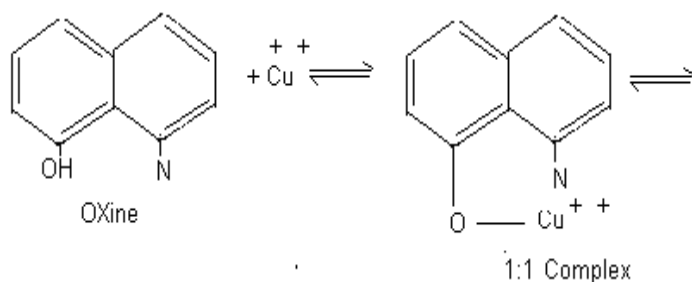
screened for fungicidal activity against axenic *H.Oryzae*. *C.Sacchorii* and *A. Niger* by agar plate technique.

STRUCTURE OF FUNGI STATIC ACTIVITY:-

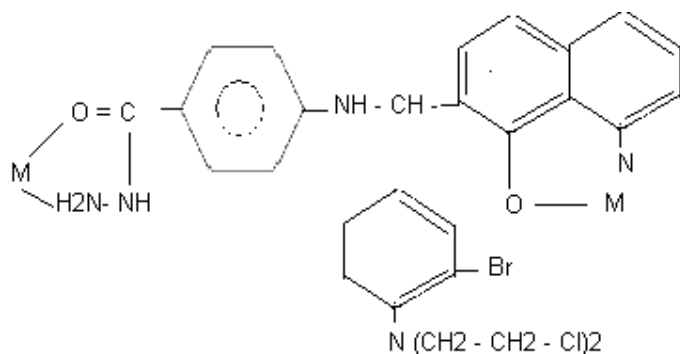
A Number of compounds which are known to be strong chelating agents for metals are also found to be toxic to cells of fungi.

A metal ion combines with two or more electron donating groups of a ligand in such a way that one or more rings are formed.

The step wise reaction of oxine with copper may be written as follow.



The newly synthesized acid hydrazides and acid hydrazone have good properties of chelation with essential metals present in fungus cells and therefore these showed good fungicidal activity.



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