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Synthesis of 2-Styryl-3-Phenyl-4 Qunazolones as Compound of Antifungal activity.

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Abstract :

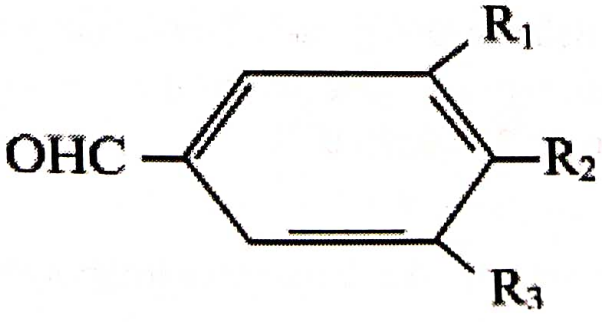
Few 2-Styryl-3-Phenyl-4-Quinazalones have been synthesised using aromatic aldehydes. Structures of these compounds were confirmed by elemental analysis, IR. These compounds were tested for antifungal activity.

Key Words : 2-Styryl-3-Phenyl-4-Quinazalones, Antifungal activity, IR.

Quinazalone nucleus have been utilized in preparation of drug manufacture as starting materials for anti convulant[1], anticarcinogenic[2] and antibacterial activity[3] 2- styryl - 4 - Quinazolones have found favour as antibacterial and antifungal activity[4].

Looking to the interesting properties and usefulness of quinazolones and their condensation products, I have therefore, synthesised 2-Styryl-3phenyl-4quinazolone by the following sequence of the reaction.

Aniline is condensed with sodium salt of acetylanthranilic acid in toluene with phosphorous trichloride, 2-methyl-3-phenyl-4 quinazolone (I) is formed in good yield (Bogert Beal Method)5 compound (I) is condensed with substituted aldehyde in presence of acetic acid, acetic-anhydride yielded title compound (II) Schem I. The condensation were tried in presence of anhydrous zinc-chloride or acetic anhydride, better yield of the styrylqunazolones were obtained when condensation of the aldehyde and quinazolones were done in presence of ZnCl2



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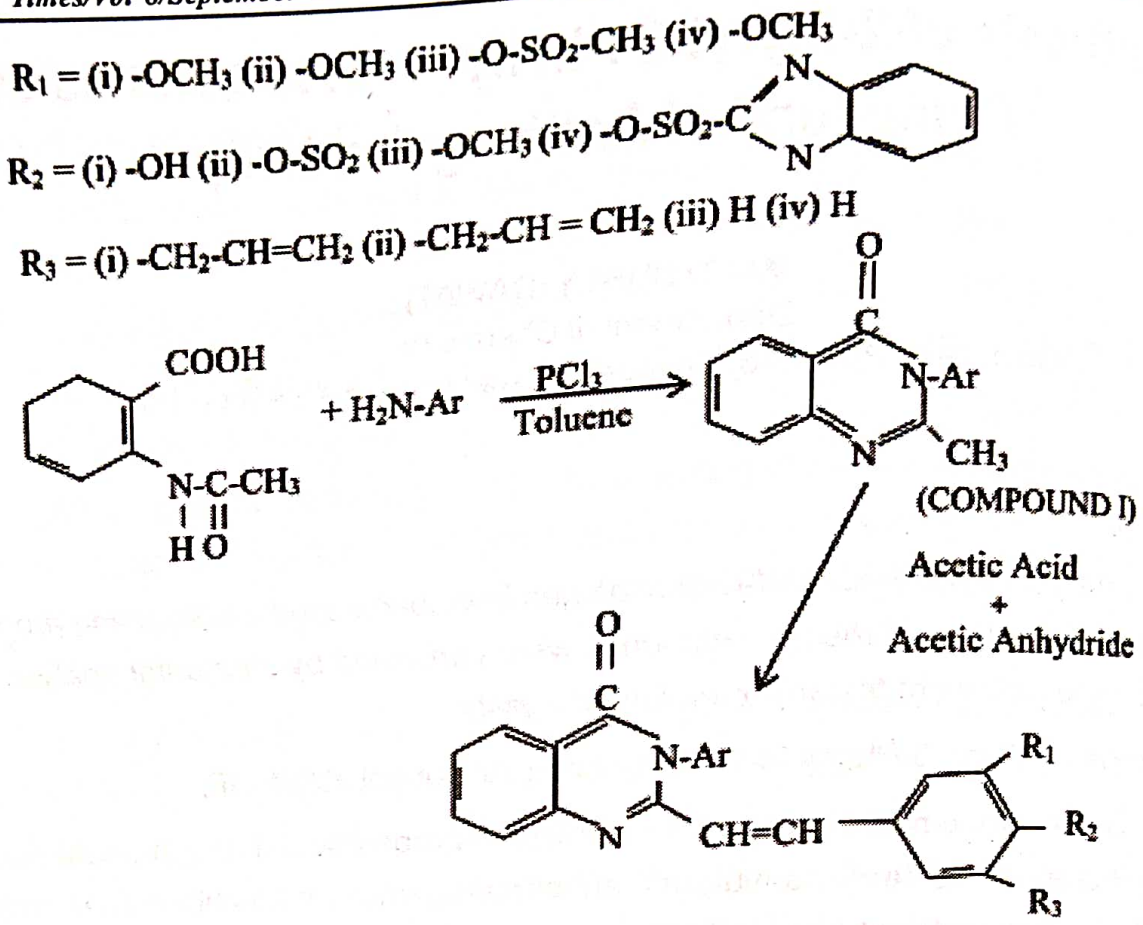

(COMPOUND II)

These compounds have been purified and characterized by their analytical, IR and pmr spectral data. 2-styryl 4-quinazolones show intense bands at 1670 (-N-C=O). 1325 (-N.), 1608 (C=N), 1472 (CH2 Conjugated with ring) 835 (Phenyl 1.4 substituted), 1250 (Allyloxy in aromatic ring), 1156 (-OCH3 in aromatic ring), and 1420 Cm-2 (N-CH3)

Pmr spectra :

In pmr spectra of the substituted 2 methyal-3-H-phenyl-4-quinazolones singlet due to methylene protons were observed around 2.30 of N-CH2-N and multiple at 6.o-8.30 for aromatic protons.

Antifungal activity :

Compound type (1, 2, 3, 4) where screened for their antifungal activity at different concentrations namely 250, 550 and 900 g ml-1. The fungal employed in the present investigation were curvularialunata and fusarum-oxyporum, percentage of inhibition (fungitoxicity) were in the range of 22.5 to 70.0

Experimental

IR spectra were recorded on perkin Elmer spectrophotometer in nujol mull. Pmr

spectra were recorded on a Varian EM-36060 MH2Spectrophotometer using Tms as the internal reference. Elemental analysis was found within range 2-Methyl-3phhenl-4-quinazolone.

(A) Preparation of 2-Methyl-3phenyl-4Quinazolone (III)

Anthranilic acid (17.1g) was dissolved in water (125 ml), containing anhydrous-sodium carbonate (6.6 g) the solution filtered and treated with acetic anhydride with stirring when the sodium salt of acetyl anthranilic acid precipitate out at once the mixture was cooled at 150C, the Solid filtered off, washed with little ice water and dried in an oven at 1100C, Yield 23g, M.P. 2850C

To a mixture of sodium slat (19g), toluene (25ml) and Aniline (9 ml) were added with shaking a solution of PCl3 (2.9 ml) in tolunc (25ml) during 15 minutes and then refluxed in an oil bath 120-1250C for 2 hours with frequent shaking. After cooling, the precipitate was collected, washed with ether, treated with dil sodium hydroxide and filtered the solid was washed thoroughly with water and crystallized twice from ethanol to give the quinazolone was colourless prismatic needles. Yield : 20g. (69.9%) M.P. - 1480C.

(B) Preparation of 2-Styryl-3Phenyl-4-Quinazolone

A solution of the equimolecular amount of foregoing 2-methyl-3-Phenyl-4-Quinazolone and substituted aromatic aldehyde in a mixture of glacial acetic acid and acetic anhydride (5ml), acetic acid (5ml), were refluxed for 16 hours most of the solvent was distilled off and the residual liquid was poured into water when solid separated out it was filtered washed with water and crystallized from ethanol to afford the styryl derivative, coloured needles, yield 16 to 40%

Table-1

Styral quinazolones derived from 2-methyl-3-Phenyl-4-quinazolones

S.No.	Aldehyde	M.P.°C	Styryl Quinazolones Yield %, condensing agent		Colour	Formula
			Acetic-anhydride	Zinc-chloride		
1.	3-Methoxy-4-hydroxyl-5allyl-benzaldehyde.	183	23.46 (c)	63.56 (C)	Brown	$C_{26}H_{22}N_2O_3$
2.	3-Methoxy-4-(p-toluene sulphonyloxy)-5-allylbenaldehyde	210	27.28 (b)	43.78 (b)	Brown	$C_{33}H_{28}N_2O_5S$
3.	3-Methane-sulpho-nyloxy-4-methoxy-benzaldehyde	195	14.43 (b)	91.6 (b)	Red	$C_{34}H_{30}N_2O_5S$
4.	3-Methoxy-4-(2-benzamidazole sulphonyloxy) benzaldehyde.	162	19.24 (c)	27.2 (a)	Yellow	$C_{32}H_{21}N_4O_5S$

Solvent of crystallisation : a= Benzene, b = Acetone, c= Alchohal.

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Table-2

ANTIFUNGAL ACTIVITY OF 2 STYRYL-3-PHENYL-4-QUINAZOLONE

Compd. No	Fungitoxicity (% Inhibition)			
	C. Lunata		F. Oxyporum	
1.	67.0	81.5	70.3	88.4
2.	21.1	40.2	60.8	75.5
3.	17.5	20.6	55.7	79.8
4.	Nil		Nil	

Result & Discussion :

Among 4 compound of series compound 1, 2, 3 followed by compound 2 and 3 were most active both the fungi employed on the other hand compound 1 was selective in their action C. lunata was comparatively more resistant towards these compounds and it could not be inhibited even to 50% at highest concentration tried. The relative higher activity of compound 2 may be due to 3-methoxy-4-(p-Toluene sulphonyloxy) moiety where as the fungicidal activity of compounds 3 may be attributed to the presence of Methane sylphonyloxy-4-methoxy moieties respectively.

Acknowledgement :

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