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Synthesis of some New 2-Hydroxy-1-naphthal-2'-[5'-Pheny-1',3',4'-oxadiazole/thiadiazole] as Potential Antifungal Agents

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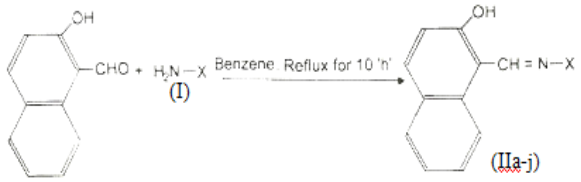
Abstract

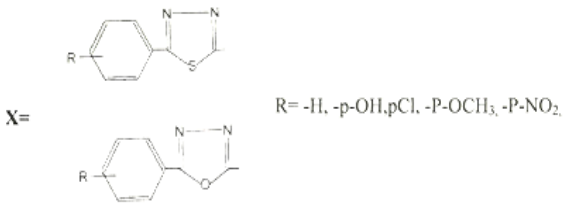
Several new 2-hydroxy-1-naphthal-2'-[5' (p-substituted/unsubstituted) pheny- 1',3',4' oxadiazole/thiadiazole] (IIa-j) have been reported by the condensation of 2-hydroxy-1-naphthaldehyd with 2-amino-4(substituted/unsubstituted) pheny1 thiadiazole/ oxadiazole in benzene. The synthesized compounds were characterized by Ir and nmr spectra. All these synthesized compounds have been screened against Aspergillus niger for antifungal activity.

Introduction

Imines have been reported to possess biological activity[1-2]. Various 1,3,4 thaidiazole/oxadiazole compounds have also been reported to have significant biological activities, specially fungicidal[3-15] and bactericidal[16-20]. The fact were also considered during heterocyclic derivatives preparation that presence of hydroxyl, methoxy, ethoxy etc. (electron donating groups) in the phenyl nucleus increases the activity of the parent compound[21].

In the present study attempts were made to synthesise some new 2-hydroxy-1-naphthal-2']5'-(substituded/unsubstitued) phenyl-1',3',4'Thaidiazole / oxadiazole] derivatives and their antifungal-activity.





Experimental

Melting points were determined in open capillary tubes and are uncorrected. Purity of compounds were checked by TLC using silica gel-G. Ir spectra were recorded on a Perkin-Elmer spectrophotometer and nmr spectra ($CDC1_3$) were recorded on Varian EM 360L spectrometer using T.M.S. as an internal standard.

Synthesis of 2-Hydroxy-1-naphthal-2'-[5-'phenyl-1',3',4',-thiadiazole]

A mixture of 2-hydroxy-1-naphthaldehyde (0.01mole) in dry benzene (50ml) and 2-amino-5phenyl-1,3,4 thiadiazole (0.01mole) was refluxed on water bath for 10 hours. The solution was then allowed to cool and the separated solid was recrystallized fram methanol. (Yield-62%) MP-178-179^{0}C.

Ir (KBr)-1260cm^{-1} (C-N), 685cm^{-1} (C-S-C), 1620 cm^{-1}, 1610cm^{-1}, 1580cm^{-1} (C=N). pmr δ=7.6 (5H,m, Ar-H), δ=8.1 (H,s,-CH=N-), δ=7.8-8.6 (6H,m, Naphthyl proton) δ=9.2 (H,s,-OH). The compounds thus obtained are listed in table – 1.

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Table – 1: Physical and analytical data of Compounds

Comp. No.	X	R	Molecular Formula	Yield (%)	M.P(^{0}C)
IIa	2-Amino-5phenyl (subs/unsubs.) Thaidiazole	-H	$C_{19}H_{13}N_3SO$	62	178-179
IIb	”	-p-OH	$C_{19}H_{13}N_3O_2S$	56	183-184
IIc	”	-P-Cl	$C_{19}H_{12}N_3OSCl$	60	163-164
IId	”	-p-OCH_3	$C_{20}H_{15}N_3O_2S$	68	192
IIe	”	-p-NO_2	$C_{19}H_{12}N_4O_3S$	54	158
IIf	2-Amino-5phenyl (subs/unsubs.)oxadiazole	H	$C_{19}H_{13}N_3O_2$	62	95
IIg	”	-p-OH	$C_{19}H_{13}N_3O_3$	52	85-86
IIh	”	-p-Cl	$C_{19}H_{12}N_3O_2Cl$	55	88-89
Iii	”	-p-OCH_3	$C_{20}H_{15}N_3O_3$	58	100-101
IIj	”	-p-NO_2	$C_{19}H_{12}N_4O_4$	55	80-82

satisfactory NS analyses were obtained for all compounds

Table – 2: Antifungal activity data for newly synthesized compounds against *Aspergillus niger* at optimum temp. 28 $\pm$ 1^0 C after 10 days incubation)

Comp. No	Dose in %	Average colony Diam.(in mm)in PDA medium [22-23]	% of inhibition
Control	-	60.66	-
IIa	.20	14.22	76.55
IIb	.20	13.11	78.38
IIc	.20	10.11	83.33
IId	.20	4.44	92.68
IIe	.20	27.33	54.94
IIf	.20	16.66	72.53
IIg	.20	11.11	81.68
IIh	.20	10.33	82.29
Iii	.20	4.92	91.88
IIj	.20	30.36	49.95
Carbendazine(Std.drug)	0.15	.20	100.00

Fungicidal Screening

All synthesized compounds were screened for their antifungal activity against aspergillus niger by using food and poison technique. The compounds were tested at 0.2% concentration. Fungus cultures were incubated at 28 $\pm$ 1^0 c for 10 days. The efficiency of all antifungal compound was recorded by measuring the radial growth of the fungal colony in mm. Under similar condition control experiment was carried out by using carbendazine as a standard for comparison. % Inhibition by various compounds were calculated from the formula % inhibition = (C-T)x100/C, where C = dia. of zone inhibition in control plates and T = dia. of zone of inhibition in treated plate. All data are given in table (2).

Result and Discussion

The fungal screening data indicates that all synthesized compounds tasted were found statistically superior over control but inferior to that of standard antifungal (Carbedazin) compound. Two compound (IId, Iii) showed a marked inhibition of the fungal growth on vitro tests. Compound IIa, IIb, IIc, IIg and IIh also showed a significant level of inhibition, were found to inferior than the compound IId and Iii and the standard which has shown complete inhibition of the fungal colony. It can also be concluded from the result that compound with –Cl and –OCH_3 group possess more activity as most of the compounds possessing these groups showed significant level of antifungal activity.

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