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Synthesis and Biological Evaluation of 2-Aryl - 6, 7-Difluorophenyl-1,3,4-Oxadiazolo (3,2-a) (1,3,5)-Triazine-5-(6H,7H)-Thiones

Kiran Mishra*
Department of Applied Sciences, Chandigarh Engineering College, CGC, Landran, Mohali, Punjab, India

Abstract

2-Aryl-6,7-difluorofenyl-1,3,4-oxadiazolo (3,2-a) (1,3,5)- triazine-5-(6H,7H)- thiones (2a-j) have been orchestrated by the cyclo expansion of para-fluorophenyl 4 –fluoro phenyl isothiocynate and 2-(4-fluorobenzylidine) to (1a-j) 2-amino - 5-phenyl-1,3,4-oxadiazole in dry toluene all the integrated compounds were very much described by their elemental analysis and spectral data. The integrated compounds have been screened for their antifungal action against *Phytophthora infestans* and *Colletotrichum falcatum*. Results demonstrated that greatest antifungal activity was appeared by the compounds 2b, 2c, 2g and 2h. These compounds demonstrated 99%, 98%, 99% and 97% hindrance of *Phytophthora infestans* and 97%, 96%, 98% and 97% *Colletotrichum falcatum* at 1000 ppm respectively.

Keywords: Fungitoxicity; Oxadiazole; Triazine; Thiones

Introduction

A few 1,3,4-oxadiazole derivatives are known not different kind of valuable natural exercises including herbicidal [1], fungicidal [2,3], bactericidal [4], insecticidal [5], etc. Perhaps toxophoric significance of which have been all around pushed in numerous pesticides [6,7]. Numerous sorts of 1,3,5-triazine derivatives have significance in horticulture as herbicides and fungicides of these, Simanize (1) [2-chloro-4,6-bis (ethyl amino) - 1,3,5-triazine], Atrazine [2-chloro - 4-ethylamino-6-isopropylamino-1,3,5-triazine], Prometryne [2-methylthio-4,6-bis (isopropyl amino)- 1,3,5-triazine], Ametryne [2-Methylthio-4-ethylamino-6-(isopropylamino)- 1,3,5-triazine], Dyrene [2,4-dichloro-6-(2-chloroanilino)- 1,3,5-triazine] and Methoprotryne [2-Methylthio-4-isopropylamino-6(3-methyoxypropylamino)- 1,3,5-triazine] are all the more remarkable. This significance of 1,3,4-oxadiazole derivatives and 1,3,5-triazine derivatives have incited us to synthesize. Some novel title compounds (2a-j). Thus, antifungal action of synthesize compounds have been screened against growths *Phythophthora infestans* and *Colletotrichum falcatom*.

Experimental

Melting points were taken in open capillary tubes and are uncorrected. The IR spectra were recorded in KBr on Perkin-Elemer-720 spectrophotometer. The ^{1}H NMR spectra were recorded in CDCI3 on Varian A-60D spectrophotometer. The chemical shifts are recorded in ppm downfield from TMS, which are utilized as an inner standard (Figure 1).

Synthesis of compounds (2a-j)

2-(4-fluorobenzylidine amino)-5-phenyl-1, 3, 4-oxadiazole (1a-j): A mixture of 2-amino-5-phenyl-1,3,4-oxadiazole 0.02 mol and 4-fluorobenzaldehyde 0.02mol in total ethanol was refluxed for 4 hrs. and separated while hot. The filtrate after cooling outfitted the wanted item which was recrystallized from ethanol as yellowish needles. All the prepared compounds very much concurred with their antifungal information.

7-(4-Fluorophenyl-6,7-dihydro-2-phenyl-6-fluorophenyl)-1,3,4-oxadiazolo(3,2-a)-s-triazine-5-thione (2aj): A mixture of 2-(4-fluorobenzylidine) amino-5-phenyl-1,3,4-oxadizole 0.01mol and 4-fluorophenyl isothiocynate 0.01mol) was refluxed in dry toluene for 6 hrs. What's more, the dissolvable was refined of under decreased weight. The residue thus acquired was washed with little measures of ethanol took after by water and the product was recrystallized from ethanol was sparkling yellowish needles. Yield, melting point, molecular formula and elemental analysis of this and in addition that of alternate compounds of this class are recorded in Table 1.

Antifungal activity

The compounds (2a-j) were screened for their antifungal movement against *Phytophthora infestans* and *Colletrotrichum falcatum* by known technique at the three concentrations Viz., 1000, 100, 10 ppm. The screening information of compounds is recorded in Table 2. Results were contrasted with commercial fungicide DithaneM-45 tested under similar conditions. The percentage inhibition has been calculated by the formula:

$$\% \text{ of inhibition} = (C-T) \times 100/C$$

Where C and T are diameter (in mm) of fungus colony in control and treated plates respectively.

Results and Discussion

The 2-Aryl-6,7-difluorofenyl-1,3,4-oxadiazolo (3,2-a) (1,3,5)-triazine-5-(6H,7H)- thiones compounds were screened against *Phytophthora infestans* and *Colletrotrichum falcatum* for antifungal activity and their screening data have been summarized in Table 2. Perusal of the screening results demonstrates that all the tested compounds (2a-j) hindered more than 65%growth of the both the test parasites at 1000 ppm concentrations of these, the most dynamic compounds 2b and 2g showed the fungicidal action almost equivalent to that of Dithane M-45 at 1000 ppm concentrations, and restrained 40-44% development of the contagious species even at 10 ppm concentrations. The screened compounds 2b and 2g were highly

***Corresponding author:** Kiran Mishra, Department of Applied Sciences, Chandigarh Engineering College, CGC, Landran, Mohali-140 307, Punjab, India, Tel: 01723984200; E-mail: drmishrakiran@gmail.com

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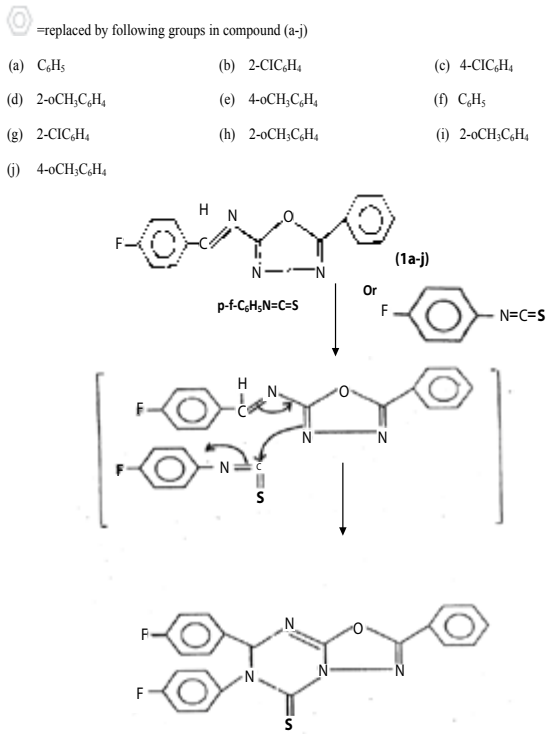

Figure 1: The chemical shifts are recorded in ppm downfield from TMS.

Compd. No.	Ar	Yield %	M.P. (°C)	Molecular Formula	Found (Calcd.)%		
					C	N	S
2a	C_6H_5	76	236	$C_{12}H_{14}F_2N_4OS$	62.8(62.83)	13.3(13.34)	07.6(07.60)
2b	2-ClC_6H_4	80	250	$C_{22}H_{13}ClF_2N_4OS$	58.1(58.11)	13.3(13.34)	07.0(07.60)
2c	4-ClC_6H_4	70	240	$C_{22}H_{13}ClF_2N_4O_2S$	58.1(58.16)	13.3(13.34)	07.0(07.03)
2d	2-$oCH_3C_6H_4$	75	185	$C_{23}H_{16}F_2N_4O_2S$	61.3(61.30)	13.3(13.34)	07.1(07.14)
2e	4-$oCH_3C_6H_4$	78	242	$C_{23}H_{16}F_2N_4O_2S$	61.3(61.35)	13.3(13.34)	07.1(07.10)
2f	C_6H_5	74	235	$C_{22}H_{14}F_2N_4OS$	62.8(62.86)	13.3(13.31)	07.6(07.63)
2g	2-ClC_6H_4	78	248	$C_{22}H_{13}ClF_2N_4OS$	58.1(58.15)	12.3(12.35)	07.0(07.03)
2h	4- ClC_6H_4	73	240	$C_{22}H_{13}ClF_2N_4OS$	58.1(58.17)	12.3(12.32)	07.0(07.07)
2i	2-$oCH_3C_6H_4$	76	189	$C_{23}H_{16}F_2N_4O_2S$	61.3(61.31)	13.3(12.45)	07.1(07.12)
2j	4-$oCH_3C_6H_4$	72	240	$C_{23}H_{16}F_2N_4O_2S$	61.3(61.37)	12.4(12.42)	07.1(07.09)

Table 1: The Yield, melting point, molecular formula and elemental analysis of 7-(4-Fluorophenyl-6,7-dihydro-2-phenyl-6-fluorophenyl)-1,3,4-oxadiazolo(3,2-a)-s-triazine-5-thione (2a-j) and in addition, alternate compounds of this class are shown below.

Comp. No.	Ar	Average % inhibition after 96 hours					
		Phytophthora infestans			*Colletotrichum falcatum*		
		1000 ppm	100 ppm	10 ppm	1000 ppm	100 ppm	10 ppm
2a	C_6H_5	66	37	20	65	35	19
2b	2-ClC_6H_4	99	58	40	97	53	40
2c	4- ClC_6H_4	98	56	38	96	52	40
2d	2-$oCH_3C_6H_4$	72	43	30	74	45	33
2e	4-$CH_3C_6H_4$	67	39	23	68	41	24
2f	C_6H_5	71	42	30	69	39	26
2g	2-ClC_6H_4	99	65	44	98	63	43
2h	4-ClC_6H_4	97	60	41	97	60	39
2i	2-$oCH_3C_6H_4$	71	42	29	72	43	31
2j	4-$oCH_3C_6H_4$	69	40	24	70	42	26
Dithane M-45		100	80	66	100	85	68

Table 2: Antifungal activity of compounds (2a-j) and Dithane M-45.

harmful to *Phytophthora infestans* and *Colletrotrichum falcatum* at higher concentrations (1000 ppm) the general results are not all that empowering as one would anticipate from the combined execution of the two boilable nuclei 1,3,4-oxadiazole and s-triazine this may be credited to the halfway immersion in the s-triazine nucleus resulting about the loss of planarity of the oxadiazolo -s-triazine ring system. This assumption is bolstered by the before perception that minimal size and planarity of molecule frequently improve its pesticidal activity. It is in any case, critical that the presentation of chloromethoxy and methyl groups in aryl moiety of these compounds tend to contention the growths fungi toxicity and that presentation of methoxy or methyl group at ortho position is more viable than that para-position. Likewise, the presentation of chloro group. Fungicidal activity changed imperceptibly with the fungal species.

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