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Synthesis and Characterization of Some New 2,5-Diaryl-1,2,4-Triazolo-(3,2-b)1,3,4-Thiadiazole-6-Thiones as Potential Pesticide (Fungicides)

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ABSTRACT

The new 2,5-Diaryl-2-Triazolo-(3,2-b)1,3,4-Thiadiazole-6-thiones have been synthesiszed by refluxing N^1-aryl-N^3 (5-aryl-1,3,4-thiadiazole-2yl)thiourea and thionyl chloride in presence of DMF solvent. All the Synthesized compounds have been screened for their fungicidal activity two have shown good to fair activity.

Key words: 2,5-Diaryl-2-Triazolo-(3,2-b)1,3,4-Thiadiazole-6-thiones have shown fair antifungal activity.

INTRODUCTION

Many azoles have been reported to exhibit antibacterial[1] herbicidal[2], anticonvulsant[3], antimalarial[4-5], antiinflammatory[6], insecticide[7] and fungicidal[8-10]. The importance of some azoles prompted us to synthesized some novel 1,3,4-thiadiazole-6-thione derivatives with a view to studying their pesticidal activity (antifungal).

Preliminary compounds A, B & C were synthesized by the method Lieber and Maffi *et al*., used by Wahab and Rao[14] N^1-aryl-N^3 (5-aryl-1,3,4-thiadiazole-2yl)thiourea (0.02 mole) and thionyl chloride (0.025 mole) were refluxed in pyridine (50 ml) for 6-8 hours. The solvent was evaporated, the residue was washed with water and product was crystalline from ethanol. The compound thus synthesized are given in Table 1 with their characterization data.

Fungicidal activity was screened by employing Agar-Plate culture technique[11-12] (Table 2).

Spectroscopic studies

UV

The ultraviolet spectra of ten compounds synthesized during the course of investigation were recorded on Perkin-Elmer-202- Automatic spectrophotometer using ethanol as solvent. The absorption maxima of 2,5-diaryl-,2,4,-triazolo-(3,2-b)-1,3,4-thiadiazole-6-thiones come to be at 230, 250, 350 mμ.

IR

Infrared spectra of 2,5-diaryl-1,2,4-triazolo-(3,2-b)-1,3,4-triazolo-6-thione have been recorded by IR. Perkin - Elmer 720 spectrophotometer in the form of nujol mulls and KBr disc. Assignment have been made for different type of groups and structural units. Characteristics groups frequencies have been recorded for individual compounds, the most common are as below.

1055cm^{-1}: Presence of C=S stretching vibrations

1625cm^{-1}: Cyclic C=N stretching vibrations.

1015 cm^{-1}: Aromatic C-C-C bending vibrations.

995 cm^{-1}: Aromatic C-C stretching vibrations.

950,830,620 cm^{-1}: Aromatic C-H out plane bending vibrations

1460,1495 cm^{-1}: Cyclic N=C=S stretching vibrations

1375,750 cm^{-1}: Cyclic C-S stretching vibrations.

Elemental analysis

The compounds were analysed for C, H & elements on colman Analyser. Colman data were

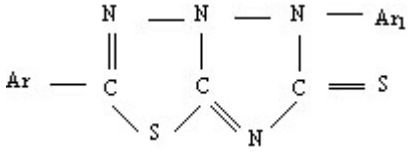
Table 1: Analytical data of synthesised compounds

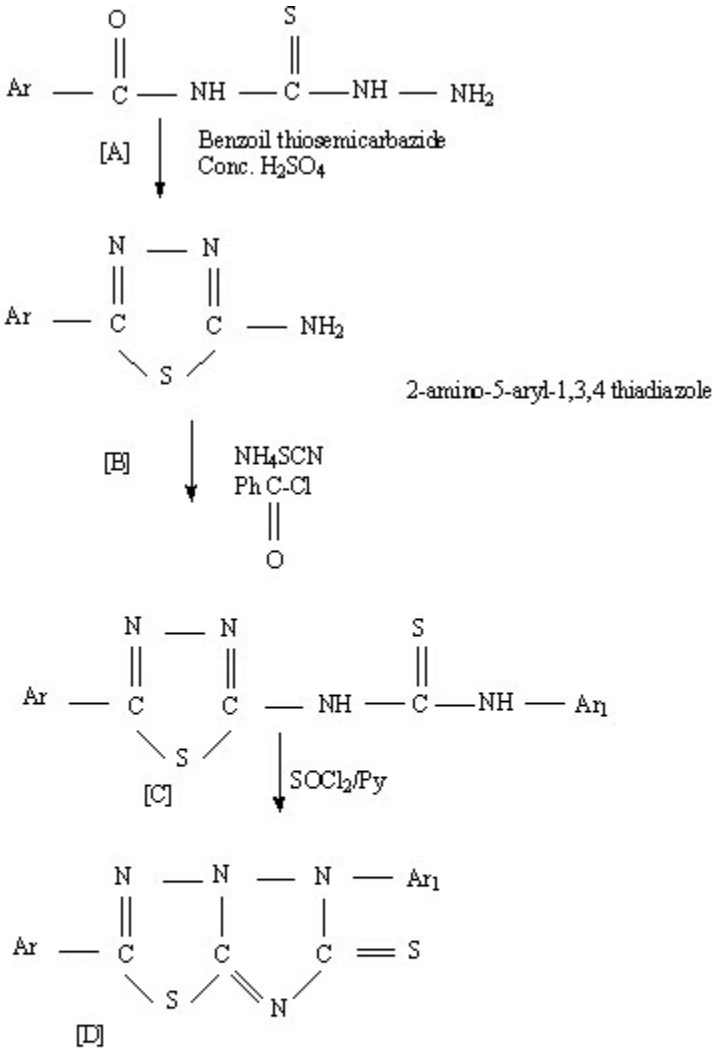
S. No.	Ar	Ar_1	m.p. °C	Yield %	mol. formula	Analysis	
						Found %	Calculated %
1	C_6H_5	C_6H_5	208	80	$C_{15}H_{10}N_4S_2$	C-58.18	58.06
						H-3.20	3.22
						N-18.12	18.06
2	4-ClC_6H_4	C_6H_5	214	75	$C_{15}H_9N_4S_2Cl$	C-52.20	52.24
						H-2.62	2.61
						N-16.20	16.25
3.	4-$MeoC_6H_4$	C_6H_5	190	70	$C_{16}H_{12}N_4S_2O$	C-56.50	56.47
						H-3.50	3.52
						N-16.39	16.47
4.	2-ClC6H4	C_6H_5	177	68	$C_{15}H_8N_4S_2Cl$	C-52.20	52.40
						H-2.63	2.32
						N-16.70	16.30
5.	2-$MeOC_6H_4$	C_6H_5	190	72	$C_{16}H_{12}N_4S_2O$	C-56.40	56.47
						H-2.60	2.61
						N-16.24	16.25
6.	C_6H_5	4-ClC_6H_4	148	75	$C_{15}H_9N_4S_2Cl$	C-52.27	52.24
						H-2.60	2.61
						N-16.24	16.25
7.	4-ClC_6H_4	4-ClC_6H_4	142	80	$C_{15}H_8N_4S_2Cl_2$	C-47.50	47.49
						H-2.10	2.11
						N-14.78	14.77
8.	2-ClC_6H_4	4-ClC_6H_4	150	80	$C_{15}H_8N_4S_2Cl_2$	C-47.40	47.79
						H-2.15	2.11
						N-14.70	14.77
9.	4-$MeOC_6H_4$	4-ClC_6H_4	138	85	$C_{16}H_{11}N_4S_2ClO$	C-51.27	51.26
						H-2.97	2.93
						N-14.90	14.95
10.	4-ClC_6H_4	4-$MeOC_6H_4$	140	80	$C_{16}H_{11}N_4S_2ClO$	C-51.30	51.26
						H-2.90	2.93
						N-15.00	14.95

found well in agreement within the limits of the possible experimental error, with calculated values.

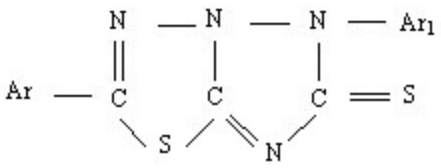
All the melting points were taken by capillary method and are uncorrected. The purity of the some compounds were tested by TLC.

Pesticidal activity (Fungicidal) of some 1,2,4-tiazolo-(3,2-b)-1,3,4-thiadiazole-6-thiones:





Synthesis of 2,5-diaryl-1,2,4-triazolo-(3,2-b)-1,3,4,-thiadiazole-6-thiones



Scheme 1:

Table 2: Screening data were recorded as below

S. No.	Ar	Ar1	Average o/o inhibition against *A. niger*			Average o/o inhibition against *F. oxyporium*		
			1000 ppm	100 ppm	10 ppm	1000 ppm	100 ppm	10 ppm
1.	C_6H_5	C_6H_5	66	35	30	65	38	32
2.	4-ClC_6H_4	C_6H_5	99	57	42	98	58	41
3.	4-$MeOC_6H_4$	C_6H_5	98	35	40	98	56	42
4.	4-ClC_6H_4	C_6H_5	82	40	32	81	42	33
5.	4-$MeOC_6H_4$	C_6H_5	85	42	30	84	40	32
6.	4-ClC_6H_4	4-ClC_6H_4	98	56	41	99	56	40
7.	4-ClC_6H_4	4-$MeOC_6H_4$	76	45	31	78	44	32
8.	4-$MeOC_6H_4$	4-ClC_6H_4	70	72	32	72	43	30
	Standard Dithane M-45		100	80	65	100	80	68

RESULTS

The most active compounds were No 2, 3 and 6 i.e. 2(4-chloro phenyl) 5-phenyl-1,2,4-triazolo-(3,2-b)-1,34-thiadiazole-6 thione, 2 (4-chlorophenyl) 5-(4-chlorophenyl)-1,2,4 triazolo-(3,2-b) 1,3,4-thiadiazole-6-thione and 2(p-anisyl)-5-phenyl-1,2,4triazolo-(3,2,-b)-1,3,4 thiadiazole-6 thione.

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