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Synthesis and Fungicidal Activities of Some 2-Aryloxymethyl-4-(β -D-glucopyranosyl)-1,3,4 oxadiazolin-5-ones

Atul Kumar Srivastava and Balmukund Tiwari*
Department of Chemistry, Magadh University, Bodh Gaya, Bihar, India

ABSTRACT The 1,3,4-oxadiazoles are a significant class of heterocyclic substances having a wide range of biological actions, including fungicidal and herbicidal. The goal of the current study is to synthesize new 1,3,4-oxadiazole derivatives bearing a sugar moiety. Some 2-aryloxymethyl-4-(β-D-glucopyranosyl-1,3,4 oxadizolin-5-ones (**4a-g**) have been conveniently prepared from the deacetylation of 2-aryloxymethyl-4-(β-D-2,3,4,6-tetra-*O*-acetylglucopyronosyl)-1,3,4-oxadizolin-5-ones (**3a-g**). The latter compounds (**3a-g**) were obtained from the reaction of 2-aryloxymethyl-1,3,4-oxadizolin-5-ones (**2a-g**) with 2,3,4,6-tetra-*O*-acetylglucopyranose and I_2 in dioxan. The newly synthesized compounds have been tested for their fungicidal activity against the two fungal species *Colletotrichum falcatum and Fusarium oxysporum*.

KEY WORDS Aryloxymethyl, Fungicidal ativities, Tetra-*O*-acetylglucopyranose, Oxadiazoles.

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INTRODUCTION

The oxadiazoles are heterocyclic compounds that are physiologically active due to a wide range of biological activities, including fungicidal and herbicidal effects. The 1,3,4 -oxadiazole[1-6] derivatives have bactericidal, fungicidal, and and herbicidal biological effects. The 3-aryl-5-alkoxy-1,3,4-oxadiazole-2-one and oxadiazolinone moiety are found in numerous bioactive compounds and have been studied for their inhibitory properties. In the light of the aforementioned, some 2-aryloxymethyl-4-(β-D-glucopyranosyl-1,3,4 oxadizolin-5-ones (**4a-g**) were synthesized by combining the 2-aryloxymethyl-1,3,4-oxadiazolin-5-one with the D-glucopyranosyl moiety[7] as potential antifungal agents.

RESULTS AND DISCUSSION

In this study, some 2-aryloxymethyl-(4-β-D-2,3,4,6-tetra-o-acetyl glucopyranosyl)-1,3,4- oxadiazoline-5-ones (**3a-g**) were deacetylated using sodium ethoxide in dry methyl alcohol to produce 2-aryloxymethyl-4-(β-D-glucopyranosyl-1,3,4 oxadizolin-5-ones (**4a-g**) (**Scheme 1)** with a yield of 54-69%. The required **3a-g**, in turn, were synthesized by the reaction of 2-aryloxymethyl-1,3,4-oxadiazolin-5-ones (**2a-g**), with acetyl glucopyranose and I_2 by refluxing in dioxan.

All the seven compounds (**4a-g**) have been tested for antifungal activity. The fungicidal results indicated that all the tested compounds possess strong to moderate activities. It is interesting to mention from fungicidal data, all the title compounds (**4a-g**) were found to be more active against the two fungal species *Collectotrichum falcatum and Fusarium oxysporum* at 1000 ppm but their activity decreased at lower concentration, that is, 100 ppm and 10 ppm. The compound **4c** and **4d** showed greater toxicity at 1000 ppm. It is remarkable to mention that -Cl and -NO_2, increased the antifungal activity. In case of 2,4-Cl_2, it was found to be more effective due to better lipophilic character of Cl group which favors the permeate of the compound through lipoid layer of the fungal cell wall.

EXPERIMENTAL SECTION

The melting points were determined in open capillaries and are uncorrected. The ^{1}H NMR spectra in $CDCl_3$ were obtained on a Varian EM-360 (200 MHz) spectrometer using TMS as internal reference, while the IR spectra in KBr were obtained as a Perkin-Elmer 881 infrared spectrophotometer (cm^{-1}).

*Corresponding author: Email: bmtiwari4u@gmail.com

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Table 2: Physical and analytical data of (4a-g)

Compound	R	Yield (%)	M.P.	C Found (Calculated)	H Found (Calculated)	N Found l (Calculated)
4a	4-H	62	161	50.03 (50.84)	4.35 (5.08)	6.71 (7.90)
4b	4-NO_2	68	238	44.51 (45.11)	3.52 (4.26)	9.21 (10.52)
4c*	4-Cl	69	190	45.33 (46.33)	3.51 (4.37)	6.05 (7.20)
4d	2,4-Cl_2	54	192	42.80 (42.55)	3.06 (3.72)	6.11 (6.61)
4e	2-CH_3	60	158	51.24 (52.17)	4.89 (5.43)	6.50 (7.60)
4f	2-Cl	67	182	45.12 (46.33)	4.20 (4.37)	6.25 (7.20)
4g	2-NO_2	66	230	44.51 (45.11)	3.61 (4.26)	10.32 (10.52)

*PMR ($CDCl_3$) (ppm)–2.01-2.07 (m, 2H,-CH_2);4.06-4.32 (m, 3H,2'H,3H',4H'); 5.06-5.50 (m, 5H,5'H,4×OH); 6.34(s, 1H, NCH); 7.26 (m, 4H, ArH); 4.28 (s, 2H, OCH_2).

*IR (KBr) (cm^{-1})- 1178-(-NCO);1586 (>C=N-); 1682 (.C=O). 3352(-OH)

Table 3: Fungicidal screening data of 2-aryloxymethyl-4-(β -D-glucopyranosyl)-1,3,4 oxadiazolin-5-ones

Compd. No.	Average % inhibition against					
	C. falactum			***F.oxysporum***		
	1OOO ppm	100 ppm	10 ppm	1000 ppm	100 ppm	10 ppm
4a	83	70	47	85	61	36
4b	89	75	51	90	72	53
4c	91	78	56	92	75	51
4d	93	81	62	94	79	61
4e	81	72	46	83	69	52
4f	84	72	49	86	74	47
4g	87	76	52	88	71	49
Dithane M-45	100	88	65	100	86	68

Further, it is also significant to note that the antifungal activities of all the title compounds enhanced in the case of more electronegative oxophores[8] (Cl and NO_2).

These substances disrupt the fungal cell wall, which affects the metabolic processes of the fungi and promotes the proliferation of fungal cells.

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