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NOTE

Biological Activities of Some Novel 1,2,4-Triazole Derivatives

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The antifungal activity of some reported 1,2,4-triazole derivatives against *Rhizoctonia solani*, *Fusarium graminearum* and *Blumeria graminis* at dosages of 50 μg mL^{-1} were evaluated. The antifungal tests indicated that some of the compounds exhibited promising antifungal activity.

Keywords: 1,2,4-Triazole, Schiff base, Synthesis, Antifungal activity.

Triazoles and their heterocyclic derivatives represent an interesting class of compounds, which possess a wide range of biological activities, such as pesticides, fungicides, herbicidal, anticancer, anti-inflammatory, antiviral and antimicrobial properties [1-5].

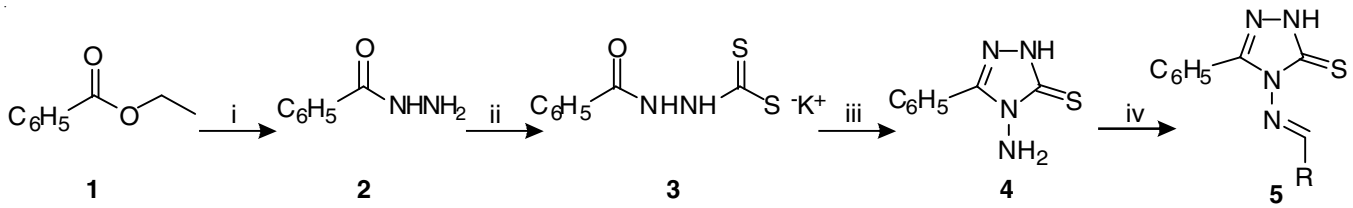
In our previous work, we have reported the synthesis and antifungal activities of some 1,2,4-triazole derivatives, finding that most of the synthesized compounds showed interesting antifungal activities [6]. Nowadays, in this research paper the authors have expand the scope of the bactericidal activity of some compounds. The target compounds were prepared following the reaction sequences shown in **Scheme-I**.

Antimicrobial activity: Inhibitive active freshly prepared compounds were tested by mycelium growth rate method under the laboratory conditions and these target derivatives were screened for antifungal activity against *Rhizoctonia solani*, *Fusarium graminearum* and *Blumeria graminis* at dosages of 50 μg mL^{-1}. Antifungal activity was determined by measuring the diameter of the inhibition zone. The growth inhibition rates were calculated by using the following equation:

$$I = [(C-T)/C] \times 100\ \%$$

Here, I is the growth inhibition rate (%), C is the control settlement radius (mm) and T is the treatment group fungi settlement radius (mm). Activity of each compound was compared to kresoxim-methyl as standard.

Biological evaluation: The fungicidal activities of the series of 1,2,4-triazole derivatives the compound **5** were tested at a concentration of 50 μg mL^{-1} by a modified method as described in the literature [7]. The values (Table-1) clearly indicate that the compounds **5g** and **5j** exhibited promising antifungal activity, inhibiting growth of *Rhizoctonia solani* at 42.23 and 37.95 % and *Fusarium graminearum* at 54.17 and 39.55 %, respectively. However, the obtained values were still less than that of kresoxim-methyl (65.32 % against *Rhizoctonia*



1 2 3 4 5

R = **5a**.C_6H_5; **5b**.4-$CH_3C_6H_4$; **5c**.4-$N(CH_3)_2C_6H_4$; **5d**.2-OHC_6H_4; **5e**.3-OHC_6H_4; **5f**.4-OHC_6H_4; **5g**. 2-$NO_2C_6H_4$; **5h**.3-$NO_2C_6H_4$; **5i**.4-$NO_2C_6H_4$; **5j**.2-ClC_6H_4; **5k**.3-ClC_6H_4; **5l**.4-ClC_6H_4; **5m**.2, 4-$Cl_2C_6H_3$; **5n**.3,4-$Cl_2C_6H_3$

i. $N_2H_4 \cdot H_2O$, EtOH; ii. CS_2, KOH, EtOH; iii. $N_2H_4 \cdot H_2O$, EtOH, reflux; iv. RCHO, EtOH, CH_3COOH

Scheme-I: Synthetic route of target compounds **5a**-**5n** [Ref. 6]

TABLE-1
FUNGICIDAL ACTIVITIES OF COMPOUNDS **5a-5n**
(INHIBITION RATE, %, 50 μg mL^{-1})

Entry	*Rhizoctonia solani*	*Fusarium graminearum*	*Blumeria graminis*
5a	20.80	12.19	23.53
5b	10.38	19.36	43.69
5c	19.44	10.66	70.91
5d	18.14	19.72	22.34
5e	14.32	15.32	21.66
5f	10.44	9.18	33.47
5g	42.23	54.17	39.83
5h	24.42	26.45	16.02
5i	14.85	19.33	71.03
5j	37.95	39.55	37.49
5k	25.63	28.61	25.46
5l	11.01	19.76	56.10
5m	27.31	11.63	70.79
5n	21.42	17.12	49.46
Kresoxim-methyl	65.32	73.36	100

solani and 73.36 % against *Fusarium graminearum* at 50 μg mL^{-1}). Moreover, compounds **5c**, **5i and 5m** exhibited 70.91, 71.03 and 70.79 % inhibitory activity against *Blumeria graminis*, respectively.

Interestingly, the fungicidal activities of the synthesized compound **5** were influenced by the position of substituted group on the benzene ring. The sequence of fungicidal activity against *Rhizoctonia solani* and *Fusarium graminearum* was as follows: *o*-substituted benzylidene derivatives > *m*-substituted benzylidene derivatives > *p*-substituted benzylidene derivatives.

Conclusion

The compounds were screened for their antifungal activity by mycelium growth rate method. The antifungal tests indicated that compounds **5g** and **5j** exhibited promising antifungal activity against *Rhizoctonia solani* and *Fusarium graminearum*. Moreover, compounds **5c**, **5i** and **5m** exhibited higher fungicidal activities against *Blumeria graminis*. This study provides an impetus to the further exploration of antifungal compounds.

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