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SYNTHESIS OF SOME TRIFLUOROMETHYL PYRIDO-THIAZOLIDINONES AND THEIR ANTIFUNGAL ACTIVITY

Dr Nirupama Tiwari
Associate Professor, Department of Chemistry
D. A. V. P. G. College, Gorakhpur, UP, India

ABSTRACT

2-Aryl-3-(2-substituted amino 3, 5-disubstituted pyridin)-1,3-thiazolidin-4-ones (2) have been synthesized by crystallization of Arylaldehyde-2- (3,5 disubstituted) pyridin-2-yl hydrazones (1) with mercapto acetic acid in dioxane. The compounds have been screened for their fungicidal activities against A. niger and A. flavus.

Keywords : *Thiazolidinone, pyridin, trifluoro methyl group.*

The chemistry and diverse biological activity[1] exhibited by thiazolidinone derivatives have been reviewed. Reports having the fungicidal, antimicrobial and other biological activities of Thiazolidinones are also available. Further trifluoromethyl group and pyridine incorporating toxophores and are expected to display strong fungicidal activities.

With this objective in mind and in continuation of our work on the synthesis of biologically active heterocyclic compounds[2-4], the synthesis of above compounds were undertaken.

EXPERIMENTAL

All melting points are uncorrected. I R spectra were recorded on a Perkin-Elmer 157 spectrophotometer and PMR spectra on Perkin-Elmer R-32 spectrometer at 60 MHz.

1. **Arylaldehyde-2 (3, 5-disubstituted) pyriden-2-yl-1-substituted hydrazone (I b)**

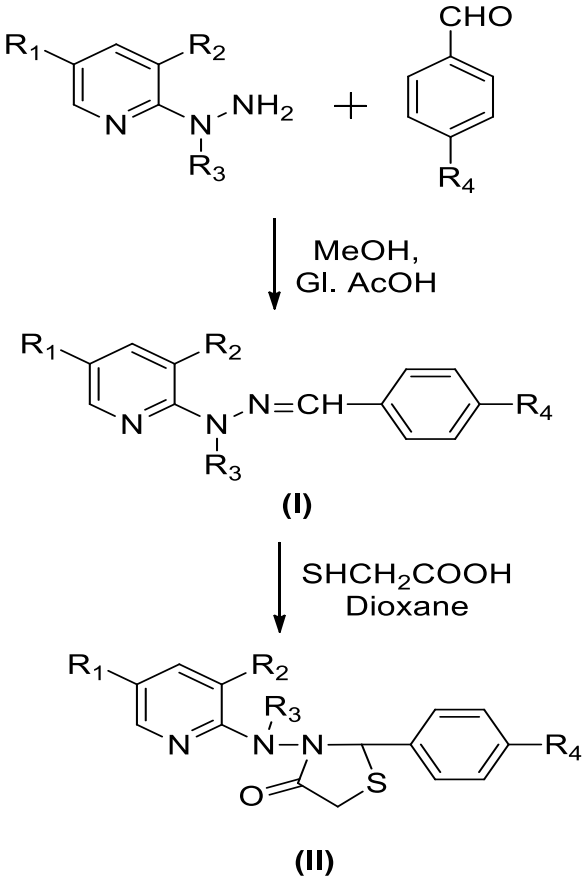
   A mixture of 1-(3. trifluoromethyl) pyriden-2-yl hydrazine (0.01 M) and 4-methoxylbenzaldehyde (0.01 M) was reflexed in methanol for an hour in presence of gl. Acetic acid. It was cooled and poured into water. The product thus separated out was filtered and recrystallized from ethanol. mp (72^0) IR (KBr) cm^{-1} 1620 (C=N), 1590, 1570, 1550 (aromatic ring). PMR (DMSO-d_6) δ: 2.1 (s, 3H, CH_3), 2.4 (s, 3H, -OCH_3), 4,5 (s 1H, -CH), 7.2-8.0 (m, 6H-Ar-H).

   Other compounds were prepared similarly (Scheme-1).

2. <u>2-Aryl-3-(2-substituted amino 3, 5-disubstituted pyriden)-(1,3-thiazolidin-4-ones II b)</u>

A mixture of I (.01 M) and mercaptoacetic acid (.01M) was refluxed in 1,4-Dioxane for 3 hours. The solvent was removed and residue was poured in water and neutralized by $NaHCO_3$. The solid mass was then recrystallized by ethanol. m.p (116^0 C), IR (KBr) cm^{-1} 1640 (>C =0), 1580, 1570, 1550 (aromatic ring). PMR (DMSO) δ 2.1(s, 3H, CH_3), 2.5 (s, 3H, -OCH_3), 3.5 (s, 2H, -CH_2CO), 3.1(s, 1H, s- CH-N), 7.2 - 8.5 (m, 6H, Ar-H).

Other compounds were prepared similarly (Scheme-1)



<u>Scheme 1</u>

a: R_1= H, R_2 = CF_3, R_3 = CH_3, R_4 = 4-CH_3
b: R_1= H, R_2 = CF_3, R_3 = CH_3, R_4 = 4-OCH_3
c: R_1= CF_3, R_2 = Cl, R_3 = H, R_4 = 4-CH_3
d: R_1= CF_3, R_2 = H, R_3 = H, R_4 = 4-Cl
e: R_1= Cl, R_2 = CF_3, R_3 = CH_3, R_4 = 4-OCH_3

Table 1: Fungicidal activity of compound I & II

Compound	**Percentage Inhibition after 96 hours**					
	Organism Cone	**A. Niger Used**		**A . flavus cone**	**Used**	
	500 ppm	**100 ppm**	**10 ppm**	**500 ppm**	**100 ppm**	**10 ppm**
I_a	**75**	**62**	**50**	**78**	**60**	**52**
I_b	**60**	**50**	**42**	**62**	**55**	**45**
II_d	**90**	**80**	**66**	**88**	**79**	**62**
II_c	**82**	**70**	**60**	**83**	**72**	**60**
II_b	**78**	**68**	**50**	**76**	**66**	**55**
carbendazim	**96**	**85**	**73**	**95**	**82**	**70**

FUNGICIDAL ACTIVITY

The fungicidal activity of I a-d and II a-d was evaluated by agar growth technique[5] of 500, 100 and 10 ppm concentration against the fungi A. niger and A. flavus using carbendazim a commercial fungicide, as standard. The results are recorded in Table 1.

All the compounds exhibited moderate fungi toxicity which decreased on dilution. Compound no. II d showed the highest activity (90% at 500 ppm and 66% at 10 ppm) which was quite comparable to the commercial carbendazim (96% at 500 ppm and 73 % at 10 ppm) tested under similar conditions. Further investigation of this compound on a wider range and at more dilution is desirable.

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REFERENCES

1. Nirupama Tiwari & Nizamuddin, J. Indian Chem. Soc. Vol 68, 144-146, 1991.
2. Nirupama Tiwari, Bandana Dwivedi, & Nizamuddin, J. Pesticide Science Japan, 15, 357-362, 1990.
3. Kumud Singh, Nirupama Tiwari & Nizamuddin. Indian Journal of Chemistry, Vol 32 B 1086-1089, 1993.
4. J. S. Singh, M. H. Khan, N. Tiwari & Nizamuddin. Indian Journal of Chemistry, Vol 33B, 350-354, 1995.
5. Horsefall, J. G. Bot. review, 5, 387, 1945.