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# SYNTHESIS AND FUNGICIDAL ACTIVITY OF SOME 2-AROYL-SPIRO-[ 3' -ARYLTHIAZOLIDIN-2'-THIONE 4'6-1, 3, 4-OXADIAZINO-[ 3, 2-C] THIAZOLINES-2ONES

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## ABSTRACT

*4-Aroyl spiro –3'- aryl-thiazolidin-2'-thione 4' 6 -1, 3, 4-Oxadiazino [3, 2-c] thiazolin] -2 ones have been synthesized via cyclisation of 3-Arylamido-spiro- [3'-arylthiazolidin-2'-thione-4' 2-thiazolidin-4 –ones with chloroacetic acid. The compounds have been screened for their fungicidal activities against A. niger and H. oryzae.*

***Keywords :*** *Thiazoline, thiazolidine, oxadiazine and spiro.*

Several 4-Aroyl -spiro [3' -Arylthiazolidin-2'-thione 4'6-1, 3, 4-oxadiazino-(3, 2-c) thiazolino]-2- thiones (II) have been synthesized and screened for their antifungal activity against A. niger and H. oryzae.

Compound containing thiazolidines ring are well known for their various biological activities[1-3]. Like fungicidal, bactericidal and herbicidal. A number of heterocyclic[4, 5] compounds of different types have been synthesized keeping in view the toxophoric requirements of known pesticides with logical modification. In view of these facts, it is interesting to work on heterocyclic compounds with a hope to get some more versatile pesticides and agrochemicals.

## EXPERIMENTAL:

Melting points were taken in open capillary and or uncorrected. IR spectra phase KBr were recorded on a Perkin-Elmer model 157 spectrophotometer and NMR on Perkin-Elmer R-32 spectrometer in DMSO-$d_6$ using TMS as internal reference. Elemental analyses (C, H, N) were satisfactory. Procedure for I typical case for each step has been described [ scheme-1).

**3-Arylamido-spiro [ 3'-aryl-thiazolidin-2'-thione-4', 2-Thiazolidin-4-ones. ($I_a$)**

A mixture of 3-aryl-4-substituted aryl hydrazino-thiazolidin -2-thione (0.01 M) and mercapto acetic acid (0.15 M) was refluxed in dioxane (20.0 ml) for 4 h. The reaction mixture was cooled and poured into water and neutralized by $NaHCO_3$. The resulting solid was filtered, washed and recrystallized from ethanol to give $I_a$. IR (KBr): 3500 (-0H), 3250 (-NH), 1720, 1640 (>C=0 gps), 1120 (> C=S), 1590, 1490, 1400 $cm^{-1}$ (aromatic). PMR (DMSO-$d_6$) **δ**: 3.2 (s 4H-S-$CH_2$), 6.0-6.7(m, 8H-ArH), 9.2 (b, 2H. NH).

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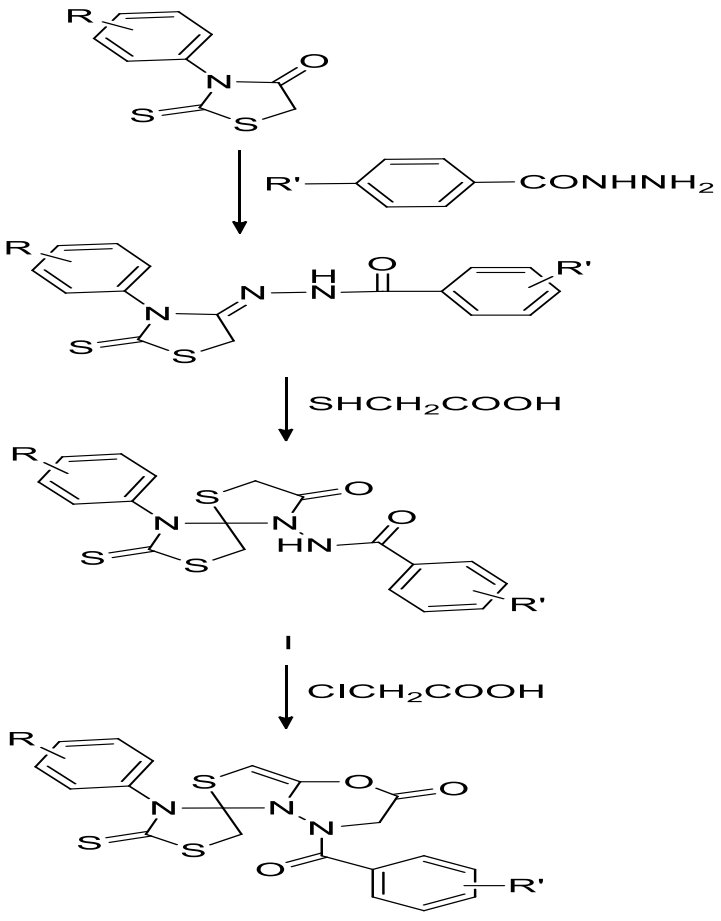
**4-Aroyl-Spiro [3'-aryl thiazolidin-2' – thione, 4', 6-1,3,4-oxadiazino (3, 2-c) thiazolin ]- 2 ones. (IIa)**

A mixture of compound I (.01 M) and chloro acetic acid (0.011 M) fused with $CH_3COONa$ (0.15 M) were refluxed in methanol for 3h. The reaction mixture was poured in cold water, filtered and recrystallized with ethanol to give $II_a$. I R (KBr): 3500 (-OH), 1720, 1680 ( > C=0 gps), 1120 (>C=S), 1580, 1490, 1400, 1400 $cm^{-1}$ (aromatic). PMR (DMSO-$d_6$) **δ**: 3.2 (s, 2H, -N-$CH_2$ ), 3.4 (s, 2H-S-$CH_2$), 4.5 (s,1H-CH), 6.2-7.8 (m, 8H, Ar-H).

## FUNGICIDAL ACTIVITY

Compound $II_{a-e}$ were screened for their antifungal activity by the Agar growth technique[6] against A. niger and H. oryzae at 500 ppm, 100 ppm and 10 ppm. The results have been compared with commercial fungicide Dithane M-45. The results are recorded in Table 1.

It is evident from the fungicidal data that compound no. $II_d$ was found active. The presence of chloro groups at the phenyl ring in parts much towards fungicidal power than any other substituents. Compound $II_d$ was more active against H. oryzae than A. niger. Further investigation of these compounds on wider range of fungi as well as on more dilution is desirable.




I

II

**Scheme 1**

**Table 1**

**a:** R = H, R' = 2-OH
**b:** R = H, R' = 2-Cl
**c:** R = 4-$CH_3$, R' = 4-Cl
**d:** R = H, R' = 2,4-$Cl_2$
**e:** R = 4-$CH_3$, R' = 4-$CH_3$

**Fungicidal activity of compound II**

| Compound | Percentage Inhibition after 96 h. Organisms: A. niger H. oryzae Conc. Used | | | | | |
|---|---|---|---|---|---|---|
| | 500 ppm | 100 ppm | 10 ppm | 500 Ppm | 100 ppm | 10 ppm |
| $II_a$ | 64 | 55 | 45 | 58 | 50 | 42 |
| $II_b$ | 70 | 66 | 56 | 70 | 64 | 54 |
| IIc | 75 | 60 | 50 | 78 | 65 | 52 |
| $II_d$ | 80 | 65 | 60 | 82 | 69 | 58 |
| $II_e$ | 62 | 58 | 55 | 65 | 63 | 48 |

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