Asian Journal of Chemistry Vol. 5, No. 4 (1993), 802–804

Synthesis and Antifungal Activity Of Some 2-Oxothiazolidinopyrazolines

A.K. NAG, V.N. MEHROTRA and V.P. SINGH*
Chemical Laboratories, Magadh University
Bodh-Gaya-824 234, India.

Some 2-oxothiazolidinopyrazolines were synthesised and screened for their antifungal activity against *Aspergillus flavus* and *Vstilago tritici (Pers) Roster.*

INTRODUCTION

A large number of thiazolidones are reported in literature for their biological activities such as hypnotic[1], anaesthetic[2], analgesic[3], antibiotic[4], antifungal[5] etc. Pyrazoline derivatives are also reported to possess antifungal[6], antidiabetic[7], herbicidal[8], anaesthetic[9], antifertile[10], sedative[11], analgesic[12] and antimicrobial[13] activities. It is desirable that thiazolidone condensed pyrazoline ring system may possess biological activity.

EXPERIMENTAL

2-Iminothiazolidinone-4(I)

A mixture of thiourea (0.6 mole), ethanol (250 ml) and chloroacetic acid (0.6 mole) was refluxed for 3 hrs. It was allowed to cool, the solid was filtered and washed with ethanol. The crude hydrochloride obtained was dissolved in boiled water (75 ml) and a boiling solution of sodium acetate trihydrate (0.6 mol) in water (75 ml) was added. After 24 hrs, the crystals were filtered, washed and crystallized from ethanol.

2-Imino-5-Arylidene-4-thiazolidinone (II–VI):

Compound (I) (0.05 mole), glacial acetic acid (30 ml) fused sodium acetate (0.05 mole) and aryl aldehyde (0.05 mole) were refluxed for 2 hrs. After cooling the solid was filtered, washed with alcohol and crystallized from glacial acetic acid.

2-Oxothiazolidinopyrazolines (VII–XVI):

A mixture of one of the compounds (II–VI)(0.01 mole) hydrazine or phenyl hydrazine (2 ml) and glacial acetic acid (40 ml) were refluxed for 2 hrs. After cooling the crystals were filtered, washed with ethanol and crystallized from glacial acetic acid. 2-Oxothiazolidinopyrazolines (VII–XI) and (XII–XVI) were obtained by using hydrazine and phenyl hydrazine respectively with corresponding arylidene derivatives (II–VI).

*For correspondence: Deptt. of Chemistry, K.P. College, Distt. & P.O. Muraliganj, Madhepura (Bihar).

TABLE 1
ANALYTICAL AND SPECTRAL DATA OF 2-OXOTHIAZOLIDINO PYRAZOLINES

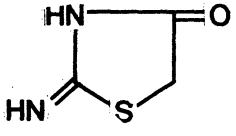
Compd. No.	M. pt. °C	Yield %	Molecular formulae	IR bands (cm^{-1})
(VII)	270	70	$C_{10}H_9N_3OS$	1590 (C=N), 1690 (C=O), 3120 (NH)
(VIII)	265	70	$C_{10}H_9N_3O_2S$	1600 (C=N), 1690 (C=O), 3040 (NH)
(IX)	325	60	$C_{11}H_{11}N_3O_2S$	1580 (C=N), 1680 (C=O), 3100 (NH)
(X)	311	70	$C_{11}H_{11}N_3O_2S$	1585 (C=N), 1680 (C=O), 3120 (NH)
(XI)	318	60	$C_{11}H_{11}N_3O_3S$	1500 (C=N), 1690 (C=O), 3280 (NH)
(XII)	223	65	$C_{16}H_{13}N_3OS$	1590 (C=N), 1670 (C=O), 3120 (NH)
(XIII)	240	60	$C_{16}H_{13}N_3O_2S$	1520 (C=N), 1680 (C=O), 3040 (NH)
(XIV)	215	60	$C_{17}H_{15}N_3O_2S$	1590 (C=N), 1590 (C=O), 3280 (NH)
(XV)	276	60	$C_{17}H_{15}N_3O_2S$	1580 (C=N), 1680 (C=O), 3290 (NH)
(XVI)	260	90	$C_{17}H_{15}N_3O_3S$	1540 (C=N), 1700 (C=O), 3200 (NH)

All the compounds gave satisfactory C,H and N analysis.

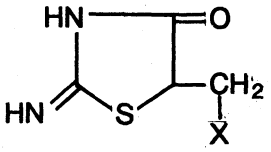
RESULTS AND DISCUSSION

In order to synthesise 2-oxothiazolidinopyrazolines, 2-iminothiazolidinone-4(I) was allowed to react with some aromatic aldehydes to give the corresponding arylidene derivatives (II–VI). These arylidenes were then converted to 2-oxothiazolidinopyrazolines[14] (VII–XVI) when reacted with hydrazine or phenyl hydrazine. The structure of these compounds are supported by their analytical and spectral data.

All these compounds (VII–XVI) were screened for their antifugal activity against *Aspergillus flavus* and *Ustilago tritici(Pers) Roster* as the test fungi.



(I)



(II – VI)

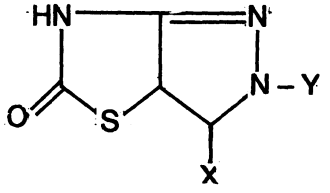
(I) X = H (II) X = C_6H_5
(III) X = 2-OH-C_6H_4 (IV) X = 2-MeO-C_6H_4
(V) X = 4-MeO-C_6H_4 (VI) X = 3-MeO-4-OH-C_6H_3

Screening for antifugal activity

Compounds (VII–XVI) were screened for their antifugal activity against *Aspergillus flarus* and *Vstilago tritici (Pers)* Roster as the test fungi by **Food Poison Technique**[15] at concentration level (0.05, 0.10, 0.15) in Czapak Dox Agar medium (%, w/v). The incubation temperature was maintained 25°C for 3 days.

The spores were sprayed on the medium by sterlized camel hair brush. Pure medium was tried as control.

All the ten compounds are found to be active against both the fungi. The concetration, compound and fungus in which the maximum efficacy obtained are 0.15% (XV) and *Aspergillus flavus* respectively.



(VII – XVI)

(VII) X = C_6H_5, Y = H
(VIII) X = 2-OH-C_6H_4, Y = H
(IX) X = 2-MeO-C_6H_4, Y = H
(X) X = 4-MeO-C_6H_4, Y = H
(XI) X = 3-MeO-4OH-C_6H_3, Y = H
(XII) X = C_6H_5, Y = C_6H_5
(XIII) X = 2-OH-C_6H_4, Y = C_6H_5
(XIV) X = 2-MeO-C_6H_4, Y = C_6H_5
(XV) X = 4-MeO-C_6H_4, Y = C_6H_5
(XVI) X = 3-MeO-4-OH-C_6H_3, Y = C_6H_5

REFERENCES

1. W.J. Doran and H.A. Shonle, *J. Org. Chem.*, **3**, 193 (1938).
2. F.P. Luduena and J.O. Hoppe, *J. Am. Pharm. Assoc.*, **40**, 122 (1951); *Chem. Abstr.*, **45**, 4837 (1951).
3. Jones, Robinson and Strachan, *J. Chem. Soc.*, 91 (1946).
4. H. Peter, Crackett, M. Pant and Richard J. Stoodley, *J. Chem. Soc. Perk. Trans, (1)*, 2785 (1984).
5. P.C. Joshi (Jr) and P.C. Joshi (Sr.), *J. Indian Chem. Soc.*, **61**, 434 (1984).
6. R.B. Pathak and S.C. Bahal, *J. Indian Chem. Soc.*, **57**, 1108 (1980).
7. Rajeev Jain, R.N. Goyal, Sudha Tyagi and Sharad Agarwal, *J. Indian Chem. Soc.*, **58**, 203 (1981).
8. James Bick, Gasewaki Richard and Robert Peter, *Chem. Abstr.*, **87**, 135405C (1977).
9. I.R. Andrisano, L. Chierice and F. Caraveri, *Chem. Abstr*, **5249** (1989).
10. Krishna C. Joshi, V.N. Pathak and Sharda Sharma, *J. Indian Chem. Soc.*, **61**, 1014 (1984).
11. Andre Passedoueb and H. Rousos, *Chem. Abstr.*, 554465 (1970).
12. Avison and A.C. Morrison, *J. Chem. Soc.*, 1414 (1950).
13. V.S. Jolly, A. K. Shrivastava, S.P. Singh and K.S. Tiwari, *J. Indian Chem. Soc.*, **57**, 539 (1980).
14. V.N. Mehrotra and R.S. Achari, *J. Indian Chem. Soc.*, **62**, 306 (1985).
15. Y.L. Nene and P.N. Thaliyal, Fungicides in Plant Disease Control, 2nd Edn., Oxford and IBH Publishing Co., New Delhi, p. 507 (1979).

(*Received*: 25 January 1992; *Received*: 1 January 1993) AJC-532