J. Indian Chem. Soc.,
Vol. LVI, July 1979, pp. 720-721

New Mannich Bases Prepared from Oxadiazole and Benzenesulfonamides and Evaluation of Their Antibacterial and Insecticidal Activities

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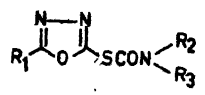
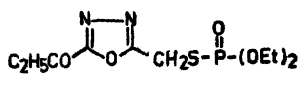
Manuscript received 4 September 1978, revised 2 January 1979, accepted 27 April 1979

A number of *p*-amino oxadiazolyl substituted benzene sulfonamides have been synthesised by the application of Mannich Reaction. All the compounds thus synthesised have been tested for their antibacterial and insecticidal activities.

IMPORTANCE of oxadiazole nucleus in pesticide chemistry has been well stressed by many workers. Okada reported compounds having general formula (I) as insecticides and miticides[1]. Compound (II) showed excellent systemic insecticidal activity against houseflies and cockroaches[2].



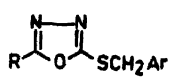
(I)



(II)

(R_1, R_2, R_3 = alkyl or aryl.)

Hagimoto reported various 2-benzylthio-1,3,4-oxadiazoles of the general formula (III) possessing herbicidal properties[3].



(III)

(R = H or alkyl, Ar – Ph or substituted Ph.)

Many Mannich bases have been reported to possess antibacterial[4,5], fungicidal[6] and anticonvulsant[7] properties. These observations led us to prepare a series of oxadiazolyl benzenesulfonamide Mannich bases with a view that they may have better antibacterial and insecticidal activities.

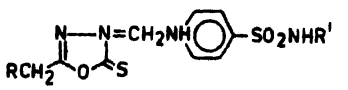
4-Amino 1,3,4 oxadiazoles were prepared by known procedure[8], and these were subjected to Mannich reaction by condensing them in ethanolic solution with formaldehyde and various substituted benzenesulfonamides which gave the required product.

Experimental

Melting points were taken in open capillary tubes and are uncorrected. The compound was checked by i.r. spectroscopy, nitrogen analysis and thin layer chromatography.

To a solution of oxadiazole (0.01 mol) in ethanol (20 ml) and formaldehyde soln. (1 gram, 40 %), an ethanolic solution of substituted benzenesulfonamide (0.01 mol) was added slowly with stirring. The reaction mixture left at room temp. for 10 hours, when a solid was obtained which was filtered and crystallised from excess of ethanol ; the compounds thus prepared are presented along with relevant data in Table 1.

TABLE 1



Sl. No.	R	R'	m.p. °C	Insecticidal activity Mean K. D. time (hr.) 0.5%	0.1%
1.	2,4-dichlorophenol	guanidino	190	10-12	12-15
2.	2,4-dichlorophenol	dimidino	159-161	12-13	15-16
3.	2,4-dichlorophenol	diazino	190-191	15-20	20-22
4.	2,4-dichlorophenol	phenazilino	160	10-5	12-5
5.	2,4-dichlorophenol	pyridino	145	11-12	14-15
6.	2,4-dichlorophenol	somidino	160-161	15-20	20-22
7.	*o*-cresol	guanidino	195	20-21	22-25
8.	*o*-cresol	dimidino	215	5-8	6-8
9.	*o*-cresol	diazino	225	15-20	20-21
10.	*o*-cresol	phenazilino	160-161	6-8	8-10
11.	*o*-cresol	pyridino	165	10-5	12-13
12.	*o*-cresol	somidino	150-155	11-12	14-15
13.	*p*-cresol	guanidino	167	15-20	20-21
14.	*p*-cresol	dimidino	189-190	8-10	10-11
15.	*p*-cresol	diazino	225-230	15-16	17-18
16.	*p*-cresol	phenazilino	200-203	15-20	20-21
17.	*p*-cresol	pyridino	150	11-12	13-14
18.	*p*-cresol	somidino	160-168	10-11	11-12
		Parathion		4	4.55
		Acetone		40	45

Biological Data :

With a view to elucidate the biological activity of the newly synthesised compounds, their

insecticidal activity on adult cockroaches and antibacterial activity on *Staphylococcus aureus* and *Bacillus subtilis* have been determined.

Determination of Antibacterial Activity :

The compounds of Table 1 were screened for their inhibitory effects against two organisms, *Staphylococcus aureus* and *Bacillus subtilis* by Agar diffusion technique[8].

Filter paper (Whatman No. 41) sterile discs (5 mm diam) saturated with a solution of the test compound (10 mg/ml of acetone) were placed on the nutrient agar (1.5%, (w/v) Agar, 5%(w/v) NaCl, 0.5% (w/v) glucose, 2.5% peptone, (*p*H-6.8-7.0) plates. After drying up the solvent the discs contained 150 μg of the test compound. All the tests were carried out in duplicate. Inhibition against *Bacillus subtilis* was observed in compound Nos. (5,3,4,15.16,17,7,8,10,11) ; two compounds (1,3) showed inhibition against *Staphylococcus aureus.*

Determination of Insecticidal Activity :

In the present investigation topical method of application by micrometer syringe was employed to test the toxicity of the compounds on adult male and female cockroaches.

The compounds were dissolved in acetone and applied at a dosage of 0.02 ml of 0.5% and 0.1% concentration. The compounds were injected in the 4th and 5th segment of the cockroaches on the ventral side and the treated insects kept under observation for 48 hrs. For each sample ten replications were performed and insecticidal activity was determined on an average value. Parathion standard insecticides were used for control and the bio-assay data are reported in Table 1.

Results and Discussion

It was noticed that after injecting the compound the posterior part of the body became inactive. Flickering of antenna which continued on an average for about 10 hrs and the moribund state or knock down state was reached between 10 to 18-20 hrs. When injection was given in crop, knock down time increased, it reached between 13-14 to 18-20 hrs.

In general, it was seen that the compound having more than two alkyl groups showed better activity than the compound having alkyl group carrying a chlorine atom. The compounds Nos. (8,14) gave better activity than the rest of the compounds of the table.

Acknowledgement

The authors wish to thank the Head of Chemistry Department, Lucknow University, Lucknow, for providing all the departmental facilities. Grateful acknowledgement is made to C.D.R.I, Lucknow for microanalysis. One of us (B.S.) is indebted to U.G.C. for award of a Junior Research Fellowship.

References

1. Y. Okada, *Japan*, 1970 ; 70, 17, 18a, *Chem. Abs* , 1970, **73**, 77252y.
2. F. Suzuki, I. Kawakami, Y. Mera, M. Havashi, M. Hirose and Y. Iwabuchi, *Japan Kakai*, 1972; **73**, 136; *Chem. Abs.*, 1973, **78**, 144298f.
3. H. Hagimoto et al Brit. Patent 1, 307, 283, 1973 ; *Chem. Abs.*, 1973, **79**, 28894m.
4. Tozakuro Kurihava et al Tohoku Yokka :. Diagaku-keukya Nemp (Jepan) 1970, M, 43 ; *Chem. Abs.*, 1972, **75**, 110246r.
5. Yu Juhyun, Hokin Jang, and S. Y. Lee, Hanguk, *Skib um kwahakhaechi*, 1972, **4**, 72.
6. V. J. Ram and H. N. Pandey, *Agar. Biol. Chem.*, 1973, **37**, 1465.
7. V. J. Ram and H. N. Pandey, *J. Indian Chem. Soc.*, 1974, **51**, 634.
8. R. S. Verma and W. L. J. Nobles, *J. Pharm. Sci.*, 1968, **75**, 1801.