ANTIMICROBIAL ACTIVITY OF 3-SUBSTITUTED 6-NITROBENZOXAZOLINONES-2, 6-CHLOROBENZOXAZOLINONES-2 AND BENZOXAZOLIN-2-THIONES

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(*Received* 20 *February* 1974; *revised* 18 *July* 1974)

The results of antimicrobial screening of several 3—substituted 6-nitrobenzo xazolinones-2 (I), 6-chlorobenzoxazolinones-2 (II) and benzoxazolin-2 thiones (III) have been reported.

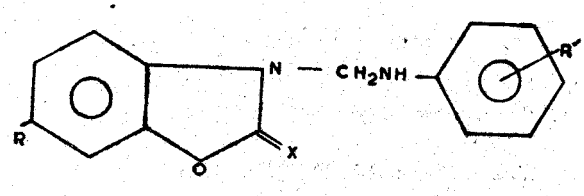
Recently the synthesis of several 3-substituted 6-nitrobenzoxazolinones-2 (I)[1], 6-chlorobenzoxazolinones-2 (II)[2] and benzoxazolin-2-thiones (III)[3] (Fig. 1) was reported. The compounds were screened for their antimicrobial properties against four organisms, viz., *Escherichia coli, Staphylococcus aureus Salmonella typhosa* and *Aerobacter aerogenes* by agar diffusion techniques.

MATERIALS AND METHODS

The agar medium was inoculated with a 24 hr old culture of the test organism. Filter paper discs (5 mm dia) saturated with the solution of the test compound[4] (10 mg/ml in ethanol or acetone) were placed on the agar plate after drying up the solvent. After an incubation period of 24 hr the zones of inhibition around the discs were measured. The test organisms[5] included were *Escherichia coli, Staphylococcus aureus, Salmonella typhosa* and *Aerobacter aerogenes.*

DISCUSSION

Twentyfour out of sixty compounds listed in Table 1 showed varying degree of antimicrobial activity. Most of the compounds of series *I* and *III* having a 6-nitro and 2-thione group respectively have shown antimicrobial activity, whereas the compounds of series *II* having a 6-chloro substituent were generally devoid of such activity. Inactive compounds of series *II* have not been included in the Table. Thus it appears that the presence of the nitro and thione groups is responsible to some extent for the biological activity. This view is substantiated by the fact that the corresponding compounds lacking in these groups are largely inactive[6]. All the compounds of Table 1 with the exception of series *II* and *III*d having a carboxylic acid group are active. The demonstation of antimicrobial activity by compounds *Iq* and *IIa* containing a morpholine moiety is in conformity with the observations of others[7]. Compounds *Ia* and *Ir* inhibited the growth of all the organisms.



(I) R=NO2, X=O (II) R=Cl, X=O (III) R=H, X=S

Fig. 1—General structure of substituted benzoxazolinones.

TABLE 1

ANTIMICROBIAL DATA OF 3-SUBSTITUTED 6-NITROBENZOXAZOLINONES-2 (I), 6-CHLOROBENZOXAZOLINONES-2 (II) AND BENZOXAZOLIN-2-THIONES (III)

Compound No.	R'	Microbial Spectrum			
		E. Coli	*Staph. aureus*	*Salmonella typhosa*	*Aerobacter aerogenes*
Ia	2-*COOH*	++	++	++	+++
Ib	2-*COOCH*$_3$	—	—	—	—
Ic	3-*COOCH*$_3$	—	—	—	++
Id	4-*COOCH*$_3$	—	—	—	—
Ie	2-*COOC*$_2$*H*$_5$	—	—	—	—
If	3-*COOC*$_2$*H*$_5$	—	—	—	++
Ig	4-*COOC*$_2$*H*$_5$	—	—	—	—
Ih	4-*COOC*$_3$*H*$_7{}^n$	—	+	—	—
Ii	4-*COOC*$_4$*H*$_9{}^n$	—	—	—	+
Ij	4-*Ph*	—	—	—	—
Ik	*H*	—	—	—	+
Il	2-*CH*$_3$	—	—	—	++
Im	4-*CH*$_3$	—	+	—	++
In	2-*Cl*	—	—	—	—
Io	4-*Cl*	—	—	—	+
Ip	3-Piperidinome-α-thyl-6-nitrobenzoxazolinone-2	—	—	—	—
Iq	3- Morpholinome- -thyl-6-nitrobenzoxazolinone-2	+	—	—	++
Ir	2-*OCH*$_2$*CH*$_3$	+	++	++	++
IIa	3-Morpholino-α methyl-6-chloro-benzoxazolinone-2	++	++	—	—
IIb	3-Piperidinome-α thyl-6-chloro-benzoxazolinone-2	+	—	—	—
IIIa	4-*COOH*	++	++	b	—
IIIb	4-*COOCH*$_3$	—	—	b	—
IIIc	4-*COOC*$_2$*H*$_5$	++	—	b	—
IIId	3-*COOH*	—	—	b	—
IIIe	3-*COOCH*$_3$	++	—	b	—
IIIf	3-*COOC*$_2$*H*$_5$	+	—	b	—
IIIg	2-*COOH*	++	—	b	—
IIIh	2-*COOCH*$_3$	++	—	b	—
IIIi	2-*COOC*$_2$*H*$_5$	—	—	b	—
IIIj	3-(*N*-2-Thiazolyl-α aminomethyl) benzoxazolin-2-thione	++	—	b	—
IIIk	4-*Ph*	—	—	b	—
IIIl	2-*Ph*	+++	+	b	—
IIIm	4-I-2-*COOH*	+	+	b	—
IIIn	4-Br-2-*COOH*	+	—	b	—
IIIo	2-*OCH*$_2$*CH*$_3$	+	—	b	—
IIIp	4-*COOC*$_3$*H*$_7{}^n$	—	—	b	—
IIIq	4-*COOC*$_4$*H*$_9{}^n$	—	—	b	—

a–actual names are given. b–not tested. Zone size (average of two readings) :
+ = 6–8 mm; ++ = 9–12 mm; +++ = > 12 mm.; — = no inhibition.

ACKNOWLEDGEMENT

The authors wish to thank Professor Ram Gopal and Dr. B. N. Singh for their interest in the present work.

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