CHAPTER THREE

EVALUATION OF ANTIBACTERIAL ACTIVITY

The compounds reported in Chapter II were tested for their bacteriostatic activity against salmonella typhi, E. coli (Gram negative) and staphylococi aureus, streptococi pneumonia (Gram positive) micro-organisms. These cultures were obtained from Haffkine Institute, Bombay and were subcultured on nutrient agar medium once a month.

Cup plate method[58] was followed for the evaluation of the bacteriostatic activity of compounds. The principle lying in this testing is the sensitivity of micro-organism to organic compound by diffusion through agar medium. The compounds were dissolved in redistilled acetone with concentration 5 mg per ml.

Experimental procedureof cup-plate method :

A uniform suspension of test oryanisms of 24 hour old culture is prepared in test tubes containing sterile saline water. One ml of this suspension is added in each of sterile petridishes aseptically. A sterile nutrient agar is melted under pressure and then moderately cooled agar is then poured in each petridish. The dishes are rotated to ensure the uniform mixing of micro-organism in the agar medium which is then allowed to solidify. The agar cups are prepared with sterile cork borer with suitable dimension. The solution of each compound to be tested for antibacterial activity is added by sterile pepette aseptically into each cup. The control solvent used was Acetone. The plates were incubated at 37°c for 24 hours. After incubation the inhibitory zones around the agar cups were observed. The diameter of inhibition zone was measured in terms of mm. Thus the antibacterial activity of

compounds reported here was tested and the results are tabulated in Table 6.

Table 6

Antibacterial screening results of various compounds

Sr. No.	Name of compound	Salmonella typhi	E.coli	Staphylococci aureus	Streptococci pneumonia
	[A] Hydrazide derivatives				
VIa	4(hydrazido)-1,4-benzoxazin-3(2H)-one	-	++	+	+
VIb	6-methyl-4(hydrazido) 1,4-benzoxazin-3(2H)-one	+++	+	+++	++
VIc	6-chloro-4(hydrazido) 1,4-benzoxazin-3(2H)-one	+++	+	++	++
VId	6,8-Dimethyl, 4(hydrazido)-1,4-benzoxazin-3(2H)-one	+	-	-	+
	[B] N-dialkyl derivatives				
VIIa	4(N-dimethyl hydrazido) 1,4-benzoxazin3(2H)-one	-	-	+	+
VIIb	6-methyl-4(N-dimethyl hydrazido)-1,4-benzoxazin-3(2H)-one	+	-	-	+
VIIc	6-chloro-4(N-dimethyl hydrazido)-1,4-benzoxazin-3(2H)-one	+	+	+	+
VIId	6,8-Dimethyl-4(N-dimethyl hydrazido)-1,4-benzoxazin-3(2H)-one	+	-	+	+

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Table 6 (continued)

	[C] Triazolo derivatives				
VIIIa	Unsubstituted 2H-(1,2,4)-triazolo; 3-oxo-(3,4-c)-benzoxazine	+	-	+	+
VIIIb	6-methyl-2H-(1,2,4)-triazolo-3-oxo-(3,4-c)-benzoxazine	+	-	+	-
VIIIc	6-chloro-7-methyl-2H-(1,2,4)-triazolo-3-oxo-(3,4-c)-benzoxazine	++	+	-	+
VIIId	6,8-Dimethyl-2H-(1,2,4)-triazolo-3-oxo-(3,4-c)-benzoxazine	++	+	-	+

Zones of inhibition :

+++ strong growth inhibitor (zone size, 15-20 mm).

++ moderate growth inhibitor (zone size, 9-15 mm).

+ less growth inhibitor (zone size, 6-8 mm).

- no growth inhibitor.

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Results and Conclusion :

All the compounds were tested for staphylococci aureus, streptococci pneumonia (Gram positive) and salmonella typhi, E. coli (Gram negative) bacteria, for antibacterial property. The compound VIb shows prominent antibacterial activity while VIIa and VIIb showed less antibacterial activity.

The presence of halogen on the benzene ring in the series VI having marked antibacterial activity while the presence of alkyl group decreases the antibacterial activity slightly. In case of dialkyl derivatives i.e. series VII have less antibacterial property as compared with corresponding member of series VI. Similarly in case of the triazolo derivatives i.e. series VIII has also less antibacterial activity as compared with the corresponding hydrazide derivatives of series VI.

In conclusion among these three series VIa-d, VIIa-d, VIIIa-d of compounds presented in this dissertation, we report hydrazides VIa-d are of considerable medicinal value.

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List of Publications :

1) "A new route of synthesis and insecticidal activity of 2H-1,4-Benzoxazin-3-ones." Oriental J. Chem. Vol.1 1985 (in press).

2) "Synthesis of 4-(N-dialkyl hydrazido)-3,4-dihydro-2H-1,4-Benzoxazin-3-ones." Acta Ciencia Indica, TY-197/SN-88/C, 1985 (in press).

3) "Synthesis and biological activity of some new bicyclic hydrazides and tricyclic triazoles derived from 3,4-dihydro-2H-(1,4)-benzoxazin-3-ones." J. Proceeding of the National Academy of Sciences 1985 (Revised and in press).