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Antimicrobial Study of Some Aromatic Halogenohydroxy Aldehydes and Ketones

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ABSTRACT

Aromatic halogenohydroxy aldehydes and ketones were evaluated against four bacteria and two fungi for antimicrobial activity in 'in vitro'. Many of the compounds tested were found to be antibacterial as well as antifungal. 3,5-dichloro-2,4-dihydroxy benzaldehyde and 3,5-dichloro-2,4-dihydroxy acetophenone were found to be more inhibitory or in some cases equal inhibitory as compared to streptomycin / fluconiazol.

Keywords: Antimicrobial activities, halogenoyhydroxy aldehydes, halogenohydroxy acetophenones

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INTRODUCTION

Various substituted phenols [1-3] and substituted hydroxy acetophenones are reported to be antimicrobial [1,4]. Aromatic iodo compounds are valuable and versatile synthetic intermediates in organic chemistry [5]. They react with nucleophiles such as amines or alkoxides to give corresponding substituted products and can be lithiated to introduce electrophiles via halogen lithium exchange reaction [6]. They are also important and most reactive intermediate for various cross coupling reactions and especially useful for formation of carbon-carbon and carbon-heteroatom bonds [7]. The iodination of aromatic carbonyl compounds has been the subject of numerous studies due to the potential of the product to serve as bacterial and fungicidal agents [8]. Therefore it appeared worthwhile to screen some halogenohydroxy aldehydes and halogenohydroxy acetophenones synthesized in our laboratory [9, 10].

MATERIALS AND METHODS

Synthesis of Aldehydes and Ketones

Iodo / bromo / chloro benzaldehydes and acetophenones required in this study were prepared by iodination [9] using iodine and iodic acid and by bromination using bromine in acetic acid and by chlorination using molecular chlorine in acetic acid [10], respectively.

Invitro Antimicrobial Activity

Compounds were screened for their antibacterial activity against Staphylococcus aureus, Escheria coli (animal pathogen), Xanthomonas malvacearum and Xanthomonas citri (plant pathogen) and for antifungal activity using Aspergilus niger and Aspergilus flavus. The disc diffusion method [11] was employed for determining the antibacterial activity of these substituted halogenohydroxy aldehydes and halogenohydroxy acetophenones. Filter paper disc were soaked into the solutions of different compounds dissolved in 90:10 (v/v) dimethyl sulphoxide and water at a concentration of 100 ppm and placed at the centers of bacteria seeded agar plates. The petriplates were then incubated for 24 hours at 26±1 ^{0}C. The strength was reported by measuring the diameter of zone of inhibition in mm and results are standardized against streptomycin, a antibiotic used against E. coli, S. aureus, X-malvacearum and X- citri.

Poison plate method [12] was used for antifungal activity against A. niger and A. flavus. The fungal cultures were maintained on potato Dextrose Agar (PDA) and subculturing was done for 24-28 hours or complete growth of fungi. Solutions of different compounds were prepared in 90:10 (v/v) water dimethyl sulphoxide and the concentrations of compounds were adjusted to 150 ppm. Aqueous DMSO (90:10 v/v) served as control. The strength was reported by measuring diameter of zone of inhibition in mm. the results were compared with fluconiazol, a standard fungicide used in agriculture. Results are represented in table 1 and 2.

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Table 1: Antimicrobial activity of some halogenohydroxy aldehydes

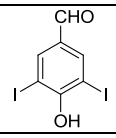
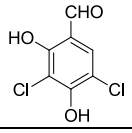
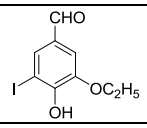
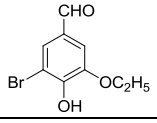
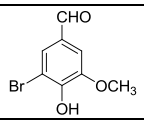
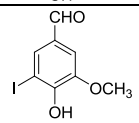
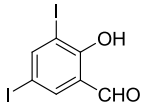
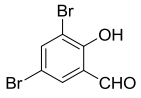
Sr. No.	Compound	Zone of inhibition in mm (values are mean ± S.E. of 3)					
		E. coli	S. Aureus	X. Malvacearum	X.Citri	A. Niger	A. Flavus
1a		18	25	29	32	12	11
1b		22	26	33	29	21	18
1c		19	14	16	22	12	10
1d		08	12	13	10	11	09
1e		09	17	18	20	16	14
1f		16	22	27	31	15	12
1g		19	23	26	31	18	14
1h		19	21	22	18	12	14
	Streptomycin	23	28	32	35	--	--
	Fluconiazol	--	--	--	--	20	16

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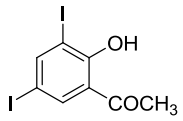
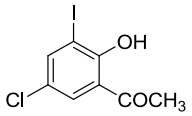
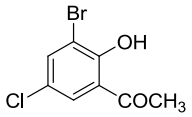
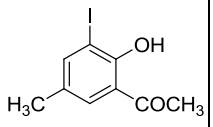
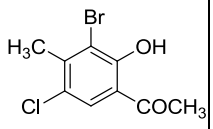
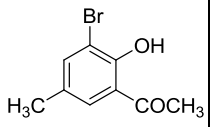
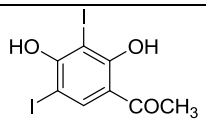
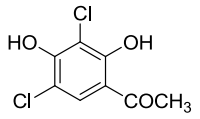
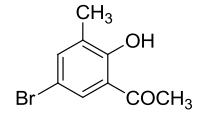
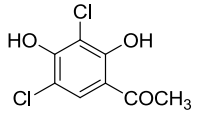
Table 2: Antimicrobial activity of some halogenohydroxy acetophenones

Sr. No.	Compound	Zone of inhibition in mm (values are mean ± S.E. of 3)					
		E. coli	S. Aureus	X.Malvacearum	X. Citri	A. Niger	A. Flavus
2a		12	20	24	18	14	10
2b		14	22	20	21	10	07
2c		17	14	15	16	13	11
2d		09	11	13	14	08	09
2e		07	13	14	15	12	12
2f		10	09	10	11	08	07
2g		18	24	21	24	25	13
2h		26	26	09	27	24	15
2i		11	13	19	16	15	06
2j		26	26	38	35	23	21

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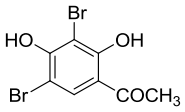
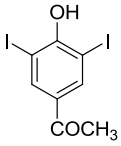
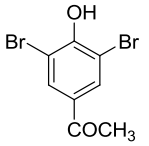
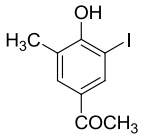
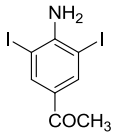
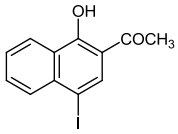
2k		18	21	24	21	13	09
2l		20	20	26	26	18	17
2m		14	18	23	18	14	11
2n		12	15	19	22	08	09
2o		16	14	30	32	10	12
2p		16	21	26	24	26	18
	Streptomycin	23	28	32	35	--	--
	Fluconiazol	--	--	--	--	20	16

RESULT AND DISCUSSION

Eight aromatic substituted hydroxy aldehydes and sixteen substituted hydroxy acetophenones were studied for their antimicrobial activity and results are presented in the table I & II. In comparison with reference drug streptomycin for antibacterial study, the compound 1a & 1b showed effective activity against E. coli, S. aureus, X-malvacearum & X-citri. The compound 2h displayed effective activity against only E. coli & S. aureus. The only compound 2j showed effective activity against all the tested microbes.

The compounds 1d, 1e, 2d, 2e, 2f, 2i & 2n against E. coli, compounds 1d, 1c, 2d, 2e & 2f against S. aureus; compounds 1c, 1d, 2c, 2d, 2e against X-malvacearum and the compounds 1d,1h, 2c, 2d, 2e, 2f & 2i against X-citri were showing less inhibiton. The remaining compounds exhibited moderate antibacterial activities against all the tested bacteria.

While in comparison with reference fungicide fluconiazol for antifungal study the compounds 1b, 2h, 2j & 2p displayed effective antifungal activity against A. niger and A. flavus. The compound 2g showed effective antifungal activity against only A. niger.the compounds 1d, 2b, 2d, 2f, 2n & 2o against A. niger and the compounds 1d, 2b, 2d, 2f, 2i & 2n against A. flavus showed very less antifungal activities.

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