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NOTE

Synthesis and Antibacterial Activity of Some Substituted Isoxazolines and Isothiazolines

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Some substituted isoxazolines and isothiazolines were synthesized from chalcones. These varied products have been characterized by elemental analysis and spectral studies and tested for antibacterial activities against *S. aureus* and *E. coli*.

The heterocyclic nuclei such as isoxazolines possess remarkable biological activities[1–3]. Isoxazolines have been reported to be prepared usually by the action of hydroxylamine hydrochloride on chalcones[3, 4]. Isoxazolines on treatment with phosphorous pentasulphide in pyridine gave isothiazolines[5, 6]. The present study is concerned with the reaction of 2′-hydroxy-3′-bromo-5′-ethylchalcones **[1(a–j)]** with hydroxylamine hydrochloride in ethanol in presence of potassium hydroxide yielding 3-(2′-hydroxy-3′-bromo-5′-ethyl phen-1′-yl)-5-aryl-2-isoxazolines **[2(a–j)]**, which on treatment with phosphorous pentasulphide in pyridine yielded 3-(2′-hydroxy-3′-bromo-5′-ethyl phen-1′-yl)-5-aryl-2-isoxazolines **[3(a–j)]**.

All the melting points were taken in open capillary tubes and are uncorrected. IR spectra were recorded on a Perkin-Elmer Spectrophotometer. All the compounds gave satisfactory elemental analysis.

Preparation of 3-(2′-hydroxy-3′-bromo-5′-ethyl phen-1′-yl)-5-aryl-2-isoxazolines [2(a–j)]

A mixture of 2′-hydroxy-3′-bromo-5′-ethylchalcone (0.01 mol), hydroxylamine hydrochloride (0.02 mol) and potassium hydroxide (30%, 20 mL) in ethanol (95%, 25 mL) was refluxed on water-bath for 4 h, cooled and acidified with acetic acid. The solid obtained was filtered, washed with water and crystallised from ethanol (95%).

IR (cm^{-1}) (KBr): 3450–3300 ν(OH), 1630–1610 ν(C═N), 1230 ν(C—O—N), 950 ν(—N—O).

Preparation of 3-(2′-hydroxy-3′-bromo-5′-ethyl phen-1′-yl)-5-aryl-2-isothiazolines [3(a–j)]

A mixture of **(2)** (0.01 mol) and phosphorous pentasulphide (0.01 mol) in pyridine (20 mL) was refluxed on water-bath for 1 h, cooled and diluted with

water. The solid separated was filtered, washed with water and crystallised from ethanol (95%).

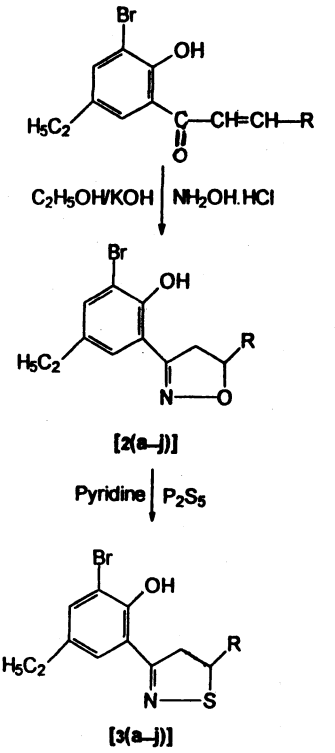
IR (cm^{-1}) (KBr): 3450–3350 ν(OH), 1640–1610 ν(C=N), 930–905 ν(C—S), 840–830 ν(—S—N).

Antibacterial Activity

All the compounds were tested for their antibacterial activity against gram positive bacteria *S. aureus* and gram negative bacteria *E. coli* at a concentration of 50 μg/disc using cup-plate method[7]. the antibacterial activities of synthesized compounds were compared with known antibiotics like gentamycin and tetracycline. All the compounds show the activity mild to moderate.

TABLE-1
PHYSICAL DATA OF COMPOUNDS

Compd. No.	R	m.p. (°C)	Yield (%)	m.f.
2a	phenyl	86	63	$C_{17}H_{15}O_2NBr$
b	4-chlorophenyl	120	73	$C_{17}H_{14}O_2NBrCl$
c	2-hydroxyphenyl	125	62	$C_{17}H_{15}O_3NBr$
d	4-hydroxyphenyl	130	68	$C_{17}H_{15}O_3NBr$
e	4-methylphenyl	90	71	$C_{18}H_{17}O_2NBr$
f	3-nitrophenyl	80–81	77	$C_{17}H_{14}O_4N_2Br$
g	4-methoxyphenyl	114	67	$C_{18}H_{17}O_3NBr$
h	4-N,N-dimethylaminophenyl	105	70	$C_{19}H_{20}O_2N_2Br$
i	2,4-dichlorophenyl	98–100	80	$C_{17}H_{13}O_2NBrCl_2$
j	3,4,5-trimethoxyphenyl	95	72	$C_{20}H_{21}O_5NBr$
3a	phenyl	125	69	$C_{17}H_{15}ONSBr$
b	4-chlorophenyl	128	72	$C_{17}H_{14}ONSBrCl$
c	2-hydroxyphenyl	134	60	$C_{17}H_{15}O_2NSBr$
d	4-hydroxyphenyl	118	70	$C_{17}H_{15}O_2NSBr$
e	4-methylphenyl	100	72	$C_{18}H_{17}ONSBr$
f	3-nitrophenyl	70	75	$C_{17}H_{14}O_3N_2SBr$
g	4-methoxyphenyl	138–40	68	$C_{18}H_{17}O_2NSBr$
h	4-N,N-dimethylaminophenyl	109–10	61	$C_{19}H_{20}ON_2SBr$
i	2,4-dichlorophenyl	85	79	$C_{17}H_{13}ONSBrCl_2$
j	3,4,5-trimethoxyphenyl	116	66	$C_{20}H_{21}O_4NSBr$



Scheme-I

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