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NOTE

Studies on Synthesis and Antibacterial Activity of 4-(2′-hydroxy-5′-methyl-4′,6′-dibromophen-1′-yl)-6-substituted phenyl-1,2,5,6-tetrahydropyrimidine-2-thione and 6-(2′-hydroxy-5′-methyl-4′,6′-dibromophen-1′-yl)-3-acetyl-4-substituted phenyl-4,5-dihydropyrimidine-2-thiol

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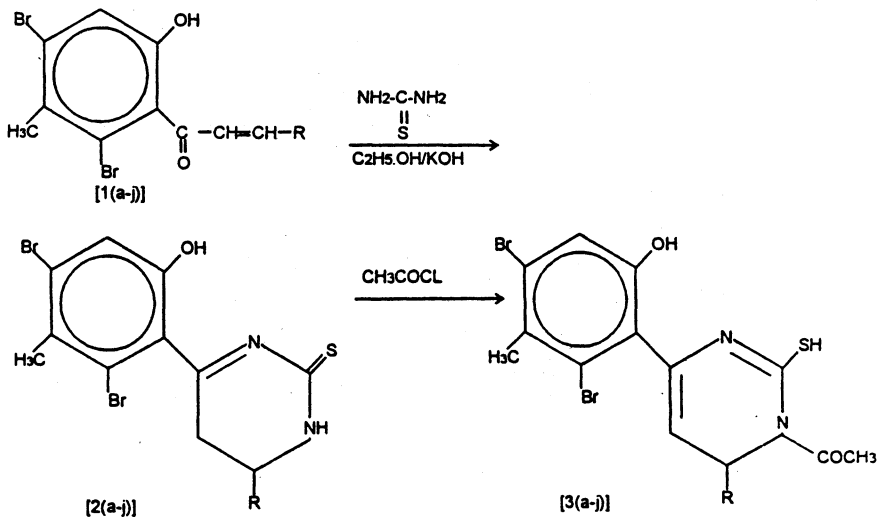
Pyrimidine-2-thiones were prepared by heating chalcones with thiourea in ethanolic potassium hydroxide. 3-Acetylpyrimidine-2-thiol derivatives were prepared by the reaction of pyrimidine-2-thiones with acetyl chloride. All the compounds have been screened for their antibacterial activity.

Previous workers reported the synthesis of various pyrimidine derivatives[1, 2]. In continuation of work on pyridines, we report here the synthesis of some new pyrimidine-2-thiones and 3-acetylprimidine-2-thiols. 4-(2′-hydroxy-5′-methyl-4′,6′-dibromophen-1′-yl)-6-substituted phenyl-1,2,5,6-tetrahydropyrimidine-2- thione **[2(a–j)]** have been prepared by the reaction of chalcones **[1(a–j)]** with thiourea in ethanol in presence of potassium hydroxide, which on treatment



R = a: 4-chlorophenyl; b: 4-hydroxyphenyl; c: phenyl; d: 2,4-dichlorophenyl; e: *m*-phenoxyphenyl; f: 2,6-dichlorophenyl; g: 3-nitrophenyl; h: 3,4,5-trimethoxyphenyl; i: 4-methoxyphenyl; j: 3,4,5-trimethoxyphenyl.

with acetylchloride yielded 6-(2′-hydroxy-5′-methyl-4′,6′-dibromophen-1′-yl)-3-acetyl-4-substituted phenyl-4,5-dihydropyrimidine-2-thiol **[3(a–j)]**.

Antibacterial Activity: Antibacterial screening of synthesised compounds was carried out by cup-plate method[3] using a species of gram positive bacteria *S. aureus* and gram negative bacteria *E. coli.* The testing was carried out using 50 μg of sample in DMF.

All melting points were taken in open capillary and are uncorrected. IR spectra in KBr were scanned on a Perkin-Elmer-377 spectrophotometer. Satisfactory elemental analyses were obtained.

Preparation of 4-(2′-hydroxy-5′-methyl-4′,6′-dibromophen-1′-yl)-6-substituted phenyl-1,2,5,6-tetrahydropyrimidine-2-thiones [2(a–j)]

2′-Hydroxy-5′-methyl-4′,6′-dibromochalcone (0.01 mole), thiourea (0.01 mole) and potassium hydroxide (1 g) in ethanol (95%, 30 mL) were refluxed on water-bath at 70–80°C for 3 h. After keeping overnight, the solid obtained was collected and crystallised from benzene. IR (KBr): 3450–3400 ν(OH); 1600–1580 ν(C=N); 3350–3300 ν(NH) and 1215–1205 cm^{-1} ν(C=S).

Preparation of 6-(2′-hydroxy-5′-methyl-4′,6′-dibromophen-1′-yl)-4,5-dihydropyrimidine-2-thiols [3(a–j)]

4-(2′-Hydroxy-5′-methyl-4′,6′-dibromophen-1′-yl)-6-substituted phenyl-1,2,5, 6-tetrahydropyrimidine-2-thiones (0.0025 mole) and acetyl chloride (8.0 mL) were heated under reflux on water-bath at 35°–45°C for 2 h. Excess of acetyl chloride was evaporated and the oil obtained was treated with light petroleum ether and crystallised from benzene.

IR (KBr): 3500–3400 ν(OH); 1750–1700 ν(N—C=O) and 1600–1590 cm^{-1} ν(C=N).

Physical data of all the compounds are presented in Table-1.

TABLE-1

Compound No.	m.p. (°C)	m.f.	Compound No.	m.p. (°C)	m.f.
2a	121	$C_{17}H_{13}ON_2SBr_2Cl$	**3a**	133	$C_{19}H_{15}O_2N_2SBr_2Cl$
b	115	$C_{17}H_{14}O_2N_2SBr_2$	**b**	127	$C_{19}H_{16}O_3N_2SBr_2$
c	108	$C_{17}H_{14}ON_2SBr_2$	**c**	128	$C_{19}H_{16}O_2N_2SBr_2$
d	138	$C_{17}H_{12}ON_2SBr_2Cl_2$	**d**	152	$C_{19}H_{14}O_2N_2SBr_2Cl_2$
e	118	$C_{23}H_{18}O_2N_2SBr_2$	**e**	132	$C_{25}H_{20}O_3N_2SBr_2$
f	157	$C_{17}H_{12}ON_2SBr_2Cl_2$	**f**	172	$C_{19}H_{14}O_2N_2SBr_2Cl_2$
g	150	$C_{17}H_{13}O_3N_3SBr_2$	**g**	146	$C_{19}H_{15}O_4N_3SBr_2$
h	125	$C_{20}H_{20}O_4N_2SBr_2$	**h**	143	$C_{22}H_{22}O_5N_2SBr_2$
i	119	$C_{18}H_{16}O_2N_2SBr_2$	**i**	126	$C_{20}H_{18}O_3N_2SBr_2$
j	130	$C_{19}H_{19}ON_3SBr_2$	**j**	147	$C_{21}H_{21}O_2N_3SBr_2$

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