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NOTE

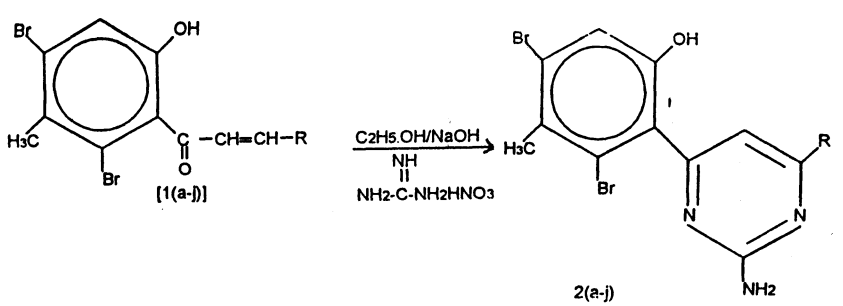
Synthesis and Antibacterial Activity of 2-Amino-4-(2′-Hydroxy-5′-Methyl-4′,6′-Dibromophen-1′-yl)-6-Substituted Phenyl Pyrimidine Derivatives

S.M. NAIK and H.B. NAIK*
Department of Chemistry, South Gujarat Univesity, Surat-395 007, India

The reaction of 2′-hydroxy-5′-methyl-4′,6′-dibromochalcones with alcoholic solution of guanidine nitrate and aqueous sodium hydroxide solution gave the corresponding 2-amino-4-(2′-hydroxy-5′-methyl-4′,6′-dibromophen-1′-yl)-6-substituted phenyl pyrimidine derivatives. The structure of these compounds has been studied by elemental analysis and spectral method. The antibacterial activity of these compounds has also been investigated.

2-Aminopyrimidines are known for their physiological importance[1]. Previous workers have reported the synthesis of various pyrimidine derivatives.[2,3] The present investigation describes a new route to the synthesis of pyrimidine derivatives of potential biological activity. Thus the different chalcones were prepared and reacted with alcoholic solution of guanidine nitrate in presence of sodium hydroxide to produce the corresponding substituted pyrimidines. The structure of these products was established from their spectroscpic and chemical analysis. Thus, their IR spectra show two bands in the ranges 1620–1580 cm^{-1} ν(C=N) and 3460–3300 cm^{-1} ν(N—H).

Antibacterial screening of synthesised compounds was carried out by cup-plate method[4], 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.



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-trimethoxy phenyl.

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

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

General Procedure: 2′-Hydroxy-5′-methyl-4′,6′-dibromochalcone **[1(a–j)]** (0.01 mol) was treated with guanidine nitrate (0.01 mol) in ethanol. The reaction mixture was refluxed and aqueous solution of sodium hydroxide (40%, 5 mL) added to it portionwise during 3 h. The reflux was continued further for 6 h and the resulting solid on cooling was filtered and crystallised from aqueous DMF.

TABLE
PHYSICAL CHARACTERISTICS OF SYNTHESISED 2-AMINO-4-(2′-HYDROXY-5′-METHYL-4′,6′-DIBROMOPHEN-1′-YL)-6-SUBSTITUTED PHENYLPYRIMIDINE DERIVATIVES

Compound No.	m.p. (°C)	m.f.
2a	218	$C_{17}H_{12}ON_3Br_2Cl$
b	215	$C_{17}H_{13}O_2N_3Br_2$
c	204	$C_{17}H_{13}ON_3Br_2$
d	139	$C_{17}H_{11}ON_3Br_2Cl_2$
e	168	$C_{23}H_{17}O_2N_3Br_2$
f	158	$C_{17}H_{11}ON_3Br_2Cl_2$
g	184	$C_{17}H_{12}O_3N_4Br_2$
h	168	$C_{20}H_{19}O_4N_3Br_2$
i	236	$C_{18}H_{15}O_2N_3Br_2$
j	224	$C_{19}H_{18}ON_4Br_2$

Yield: 60–85%; IR (KBr), (cm^{-1}): 620–610, ν(C—Br); 3460–3350 ν(N—H); 1610–1590 ν(C=N).

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