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NOTE

Synthesis and Antibacterial Activity of 2-Amino-4-(4′-Chlorophen-1′-yl)-6-Aryl Pyrimidine Derivatives

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4′-Chlorochalcone reacts with alcoholic solution of guanidine nitrate containing aqueous sodium hydroxide solution to give the corresponding 2-amino-4-(4′-chlorophen-1′-yl)-6-aryl pyrimidines. The structure of products has been studied by elemental analysis and spectral method. The antibacterial activity of this compound have been also investgated.

Previous workers[1, 2] have reported the synthesis of various pyrimidine derivatives. The present investigation describes a new route to the synthesis of pyrimidine derivatives of potential biological activity. Thus different chalcones were prepared and reacted with guanidine to produce the corresponding substituted pyrimidines (2). The structure of these products was established from their spectroscopic 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 have been 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 taken on a Prkin-Elmber-377 spectrophotometer. Satisfactory elemental analysis were obtained.

Preparation of 2-Amino-4-(4′-Chlorophen-1′-yl)-6-Arylpyrimidine Derivatives 2 (a–j)

General Procedure

4′-Chlorochalcone 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.

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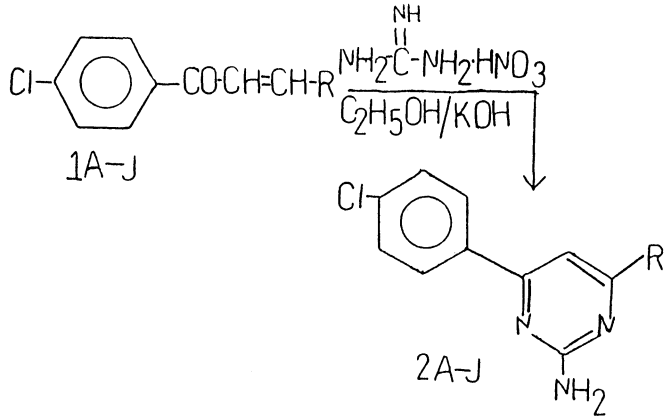

R = a: phenyl, b: 4-hydroxy phyenyl; c: 4-chlorophenyl; d: 4-hydroxy-3-methoxy-phenyl; e: 4-N,N-dimethylamino phenyl; f: 4-methoxy phenyl; g: 2-hydroxy phenyl; h: 4-methyl phenyl; i: 3,4,5-trimethoxy phenyl; j: *m*-phenoxy phenyl.

TABLE
PHYSICAL CHARACTERISTICS OF SYNTHESISED 2-AMINO-4-(4′-CHLOROPHEN-1′-yl)-6-ARYL PYRIMIDINE DERIVATIVES

Compd. No.	m.p. (°C)	M.f.
2a	132	$C_{16}H_{12}N_3Cl$
b	145	$C_{16}H_{12}N_3OCl$
c	109	$C_{16}H_{11}N_3Cl_2$
d	152	$C_{17}H_{14}N_3O_2Cl$
e	118	$C_{18}H_{17}N_4Cl$
f	128	$C_{17}H_{14}ON_3Cl$
g	138	$C_{16}H_{12}ON_3Cl$
h	143	$C_{17}H_{14}N_3Cl$
i	112	$C_{19}H_{18}O_3N_3Cl$
j	108	$C_{22}H_{16}ON_3Cl$

Yield: 65–84%; IR: (KBr) (cm^{-1}): 805–780 ν(C–Cl); 3460–3300, ν(N–H); 1610–1590, ν(C=N).

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