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NOTE

Synthesis and Antibacterial Activity of Some New 2-Aminopyrimidines and 2-(1H) Pyrimidinones

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Several related 2-amino-4-(2′-hydroxy-4′-ethoxy phen-1′-yl)-6-substituted phenyl pyrimidine and pyrimidinone compounds are prepared with a view to the fact that a number of related compounds are known to possess biological activity.

The chalcones, 2′-hydroxy-4′-ethoxy-4-substituted chalcones have been prepared though the reaction of 2-hydroxy-4-ethoxy acetophenone and aryl aldehyde by the Claisen-Schmidt condensation[1]. When above prepared chalcones are reacted with guanidine nitrate in presence of aqueous sodium hydroxide solution and ethanol they produce 2-aminopyrimidine derivatives (I)[2]. The treatment of I with nitrous acid gives the 2-(1H)-pyrimidinone (II)[3].

The structural assignment of the compounds were based on their elemental analysis and IR spectral data. The antibacterial activity of I and II has been studied by paper-disc method[4].

Antibacterial activity

The antibacterial screening of all the compounds was carried out by paper-disc method, at a concentration of 50 g. Using gram negative bacteria *Escherichia coli* and gram-positive bacteria *Staphylococcus aureus*. The compounds possess moderate to good activity.

Melting points were taken in open capillary tubes and are uncorrected. The purity of the synthesised compounds was checked by TLC. Infrared spectra (KBr) were recorded on Perkin-Elmer-377 Spectrophotometer.

2-Amino-4-(2′-hydroxy-4′-ethoxy phen-1′-yl)-6-(substituted phenyl) pyrimidine (I)

A mixture of chalcone (1.0 g) and guanidine nitrate (0.30 g) in ethanol (50 mL) was refluxed on water bath at 65–70°C. An aqueous solution of sodium hydroxide (40%, 5 mL) was added to reaction mixture at certain intervals during 3 h. The mixture was refluxed continuously further for 6 h. Then the mixture was cooled and the solid separated was washed with water and crystallised from aq. DMF to give pyrimidine (I). *IR (KBr)*: The pyrimidines gave 3400–3350 $cm^{-1}\nu$ (—OH), 1170–1140 cm^{-1} ν(C—N), 1620–1580 cm^{-1} ν(C=N), 1300–1290 cm^{-1} ν(Ar—NH_2)

4-(2′-hydroxy-4′-ethoxy phen-1′-yl)-6-(substituted phenyl)-2-(1H) pyrimidinone (II)

A solution of sodium nitrite (1.5 g) in water (10 mL) was added dropwise to

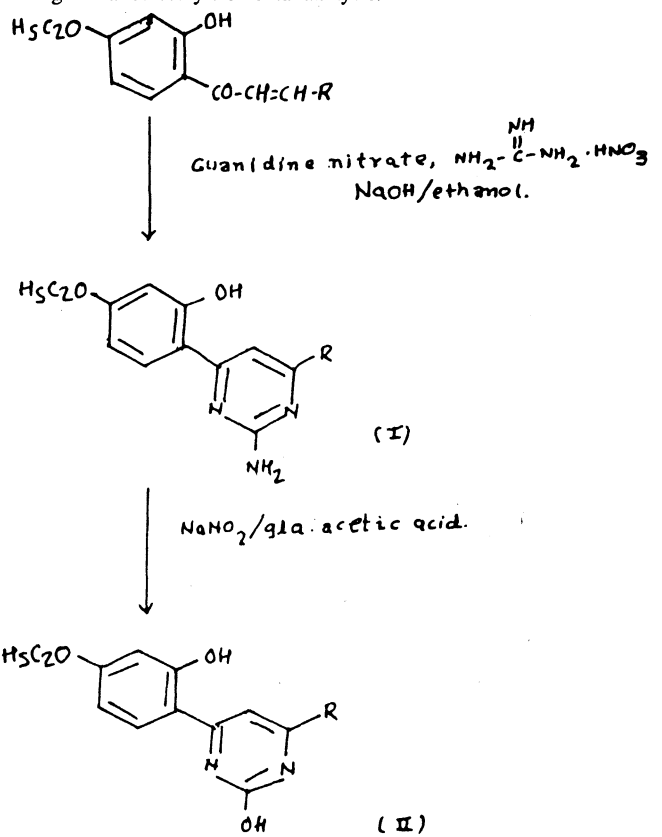
a solution of pyrimidine (I) in glacial acetic acid (15 mL). The precipitated solid was crystallised from acetone to give pyrimidinone (II).

IR (KBr): The pymidinone gave 3450–3350 cm^{-1} ν (—OH), 1600–1570 cm^{-1} ν(C=N), 3100–3080 cm^{-1} ν(—NH), 1660–1645 cm^{-1} ν(C=O).

PHYSICAL DATA OF THE ISOLATED COMPOUNDS

S. No.	R	m.p. (°C)	Yield %	Molecular formula	Diameter of the zone of inhibition (in mm)	
					S. aureus (+ve Bact.)	*E. coli* (–ve Bact)
IIa	Phenyl	284	83	$C_{18}H_{14}O_3N_2$	8	8
IIb	4-Methyl phenyl	276	69	$C_{19}H_{16}O_3N_2$	8	9
IIc	4-Chloro phenyl	264	85	$C_{18}H_{13}O_3N_2Cl$	9	11
IId	4-Methoxy phenyl	258	86	$C_{19}H_{16}O_4N_2$	8	7
IIe	4-N-N-dimethyl amino phenyl	226	80	$C_{20}H_{19}O_3N_3$	8	9
IIf	2-Furfuryl	140	72	$C_{16}H_{14}O_4N_2$	9	9
IIg	2-Chloro phenyl	219	78	$C_{18}H_{13}O_3N_2Cl$	7	10

*All compounds gave satisfactory elemental analysis.



R = Phenyl, 4-methylphenyl, 4-chlorophenyl, 4-methoxyphenyl, 4-N-*N'*-dimethyl aminophenyl, 2-furfuryl, 2-chlorophenyl

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