3.35 (1H, m, $-OCH_2-\underset{O}{CH}-CH_2$), 3.95 and 4.3 ($1H_A$, dd, J 12, 5 Hz and H_B, dd, J 12, 2 Hz, of $-O-\overset{H_A}{\underset{H_B}{C}}-\underset{O}{CH}-CH_2$), 6.1 (1H, s, proton at position-3), 6.8 (1H, s, at position-5) and 7.3–7.55 (2H, m, proton at position-7 and -8).

4-Methyl-7-(2-hydroxy-3-isopropylaminopropoxy) coumarin (3) : A mixture of 4-methyl-7-(2,3-epoxypropoxy)coumarin (1.0 g) and isopropylamine (1 ml) in methanol was refluxed for 6 h on a steam-bath. The solvent was then evaporated to afford 3 which was crystallised from benzene–petroleum ether, (0.8 g), m.p. 140°; δ 1.1 (6H, d, $-CH(CH_3)_2$), 2.4 (3H, s, CH_3, at position-4), 2.55–2.95 (5H, m, $N-CH_2CHNHOH$), 4.0 (2H, d of $ArOCH_2$), 6.21 (1H, s, proton at position-3) and 7.0–7.8 (3H, m, ArH).

Similarly, other compounds were prepared (Table 1).

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Preparation and Antimicrobial Activity of 2-Amino-4-(2′-hydroxy-3′-bromo/*H*-4′-n-butoxy-5′-nitrophen-1′-yl)-6-arylpyrimidines

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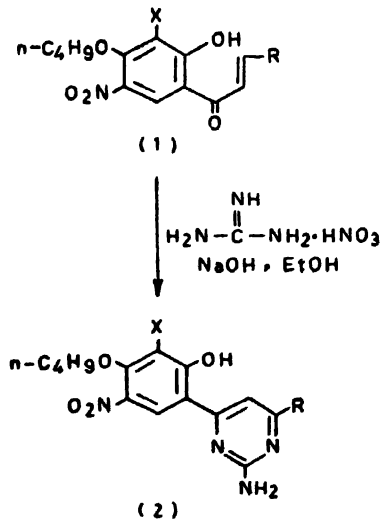
2-AMINOPYRIMIDINES[1] are known for their physiological importance. With a view to get better therapeutic activity, some new 2-aminopyrimidines (2) were synthesised and evaluated for their antimicrobial activity. The compounds have been prepared[2] by the reaction of 2′-hydroxy-3′-bromo-4′-n-butoxy-5′-nitrochalcones and 2′-hydroxy-4′-n-butoxy-5′-nitrochalcones (1) with guanidine nitrate in the presence of aqueous sodium hydroxide (40%) in ethanol (Scheme 1). Previously reported 2′-hydroxy-3′-bromo-4′-n-butoxy-5′-nitrochalcones[3] and 2′-hydroxy-4′-n-butoxy-5′-nitrochalcones[4] have been prepared from 2-hydroxy-3-bromo-4-n-butoxy-5-nitroacetophenone or 2-hydroxy-4-n-butoxy-5-nitroacetophenone and various araldehydes and evaluated their biological activity[3,4]. The structure of the compounds has been supported by elemental analysis and ir spectral data. The products have been screened for their antimicrobial activity.



X=Br/H
R=aryl

Scheme 1

Antimicrobial activity : The compounds were screened for antibacterial and antifungal activities using cup-plate agar diffusion method[5]. The testing was carried out at a concentration of 50 μg using gram-positive bacteria *Staphylococcus aureus*, gram-negative bacteria *Escherichia coli* and fungi *Aspergillus niger*. The compounds showed only mild antibacterial activity (inhibition 20–30%). The compounds 2 having bromo and chloro substituents in benzene ring were found to be more active. Maximum activity was observed in compounds (2) when R=4-tolyl, 2- and 4-chlorophenyl, 2,4-dichlorophenyl against *S. aureus* and 2- and 4-bromophenyl, 4-methoxyphenyl against *E. coli*. The activity was not comparable with the known antibiotic like chloromycetin under similar condition. The most antifungal active compound of the series was Sl. no. 1 (Table 1) ; it inhibited the growth of *A. niger* to the extent of 80%. Most of the compounds showed moderate antifungal activity (inhibition 60–75%) and a few showed weak activity (inhibition < 55%) against *A. niger*. In general, the presence of chlorine atom in R decreased fungitoxicity.

Experimental

All the melting points were determined in open capillaries and are uncorrected. The ir spectra

TABLE 1—ANALYTICAL AND PHYSICAL DATA OF 2-AMINO-4,6-DIARYLPYRIMIDINES (2)*

Sl. no.	R	X	Mol. formula	M.p. °C	Yield %
1.	Phenyl	Br	$C_{20}H_{19}O_4N_4Br$	205	70
2.	2'-Chlorophenyl	Br	$C_{20}H_{18}O_4N_4BrCl$	190	75
3.	4'-Chlorophenyl	Br	$C_{20}H_{18}O_4N_4BrCl$	240	78
4.	2',4'-Dichlorophenyl	Br	$C_{20}H_{17}O_4N_4BrCl_2$	260	85
5.	4'-Methylphenyl	Br	$C_{21}H_{21}O_4N_4Br$	185	65
6.	3',4'-Methylenedioxy-phenyl	Br	$C_{21}H_{19}O_6N_4Br$	162	70
7.	3',4',5'-Trimethoxy-phenyl	Br	$C_{23}H_{25}O_7N_4Br$	155	65
8.	3',4'-Dimethoxy-phenyl	Br	$C_{22}H_{23}O_6N_4Br$	180	70
9.	4'-Methoxyphenyl	Br	$C_{21}H_{21}O_5N_4Br$	135	65
10.	2'-Methoxyphenyl	Br	$C_{21}H_{21}O_5N_4Br$	201	60
11.	4'-*N*-Dimethylamino-phenyl	Br	$C_{22}H_{24}O_4N_5Br$	210	62
12.	Phenyl	H	$C_{20}H_{20}O_4N_4$	190	70
13.	2'-Bromophenyl	H	$C_{20}H_{19}O_4N_4Br$	235	75
14.	4'-Bromophenyl	H	$C_{20}H_{19}O_4N_4Br$	250	80
15.	2'-Chlorophenyl	H	$C_{20}H_{19}O_4N_4Cl$	202	85
16.	4'-Chlorophenyl	H	$C_{20}H_{19}O_4N_4Cl$	231	80
17.	2',4'-Dichlorophenyl	H	$C_{20}H_{18}O_4N_4Cl_2$	270	90
18.	2'-Methoxyphenyl	H	$C_{21}H_{22}O_5N_4$	185	70
19.	4'-Methoxyphenyl	H	$C_{21}H_{22}O_5N_4$	208	65
20.	3',4'-Dimethoxy-phenyl	H	$C_{22}H_{24}O_6N_4$	160	68
21.	3',4',5'-Trimethoxy-phenyl	H	$C_{23}H_{26}O_7N_4$	175	70
22.	4'-Methylphenyl	H	$C_{11}H_{22}O_4N_4$	198	75
23.	3',4'-Methylenedioxy-phenyl	H	$C_{21}H_{20}O_6N_4$	217	70
24.	4'-*N*-Dimethylamino-phenyl	H	$C_{22}H_{25}O_4N_5$	165	60

*All compounds gave satisfactory N analysis.

(KBr) were taken on a Perkin-Elmer spectrophotometer.

2-Amino-4-(2'-hydroxy-3'-bromo-4'-n-butoxy-5'-nitrophen-1'-yl)-6-phenylpyrimidine (2): A mixture of 2'-hydroxy-3'-bromo-4'-n-butoxy-5'-nitrochalcone (1; X=Br; 1.00 g) and guanidine nitrate (0.30 g) in ethanol (50 ml) was refluxed and an 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, (70%), m.p. 205° (Found: C, 52.17; H, 4.21; N, 12.12. $C_{20}H_{19}O_4N_4Br$ calcd. for: C, 52.29; H, 4.14; N, 12.20%); ν_{max} (KBr) 3 460, 3 330 (NH), 1 630 (C=N) and 3 200 cm^{-1} (OH phenolic).

Similarly, other pyrimidines (Table 1) were prepared.

2-Amino-4-(2'-hydroxy-3'-H-4'-n-butoxy-5'-nitrophen-1'-yl)-6-phenylpyrimidine (2): A mixture of 2'-hydroxy-4-n-butoxy-5'-nitrochalcone (1; X=H, 1.00 g) and guanidine nitrate (0.30 g) in ethanol (50 ml) was refluxed and an aqueous solution of sodium hydroxide (40%; 5 ml) added to it portionwise during 3 h. The reflux was continued further for 8 h and the resulting yellow solid on cooling was filtered and crystallised from aqueous DMF, (75%), m.p. 190° (Found: C, 63.20; H, 5.12; N, 14.82. $C_{20}H_{20}O_4N_4$ calcd. for: C, 63.16; H, 5.26; N, 14.74%); ν_{max} (KBr) 3 455, 3 335 (NH), 1 620 (C=N) and 3 200 cm^{-1} (OH phenolic).

Similarly, other pyrimidines (Table 1) were prepared.

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Pyrimidine Antagonists. Part-III. Synthesis of some 2,4-Diamino-5-substituted-phenylazo-6-substituted-aminopyrimidines and Evaluation of their Anticancer Property against Leukemia P388

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PURINE and pyrimidine possess widerange biological activities[1].

The presence of amino groups in C-2 and C-4 positions of the pyrimidine ring and also the presence of hydroxyl and/or amino groups in C-2 and C-4 prositions having a bulky substituted-amino group in C-6 position[2] have been found to exhibit activity against *Streptococus faecalis* ATCC 8043. The present investigation aims to keep the 2,4-diaminopyrimidine moiety intact and then substitute new group at the phenylmoiety at the C-6 position having phenylazo group in C-5 position.

In previous communications[3] the present author reported the synthesis of some 2,4-diamino-6-substituted-aminopyrimidines and 2,4-diamino-6-substituted-amino-5-arylazopyrimidines.

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