the reaction was monitored by tlc. Triethylamine-hydrochloride was separated by filtration, the solvent removed, the residue washed with water and recrystallised from benzene-hexane mixture (2 : 1) (860 mg, 57%), m.p 146—47°. Similarly other compounds (yield 53—63%) were prepared.

3-(1-Piperazinyl)-1,5-dihydro-7,8-dimethyl-2, 4, 3-benzodioxaphosphepin-3-oxide (2a): Phosphorusoxychloride (0.77 g, 0.005 mol) in dry benzene (15 mol) was added to a cooled (5—10°) and stirred mixture of 4,5-dimethyl-1,2-benzenedimethanol (0.83 g, 0.005 mol) and triethylamine (1.56 g, 0.015 mol) in benzene (40 ml) and tetrahydrofuran (10 ml). The reaction mixture was stirred at room temperature for 1 h and later at 40—60° for an additional 2 h. Piperazine (0.47 g, 0 005 mol) in tetrahydrofuran (15 ml) was then added to the reaction mixture at 10—15° while stirring. It was slowly brought to room temperature and stirred for an additional 2 h. Solid triethylaminehydrochloride was separated by filtration and the solvent from the filtrate was removed. The resulting solid was washed with water and purified by trituration with warm methanol and tetrahydrofuran and crystallised from propan-2-ol as a colourless crystals (868 mg, 58%). Similarly other compounds (yield 52—59%) were prepared.

Biological activity: A careful scrutiny of the data of **1a—m** reveals that **1d** appears to show higher enzyme inhibition than **1g, h, l, m.** The presence of electron-withdrawing groups may be responsible for the higher esterase inhibition when compared to electron-releasing groups attached to the phenoxy moiety.

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Synthesis and Biological Studies of some 2-Amino-3-cyano-4-aryl-6-(2′-hydroxy-4′-n-butoxy-5′-*H*/nitrophenyl)pyridines†

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Cyanopyridines exhibit various biological activities[1]. With a view to studying their biological activity, some new cyanopyridines (2) were synthesised. 2′-Hydroxy-4′-n-butoxychalcones (1) and malononitrile were reacted (1 : 1 molar ratio) in presence of ammonium acetate to give 2-amino-3-cyano-4,6-disubstituted-pyridines (2) through Michael reaction[2] with the elimination of water and hydrogen (Scheme 1). Previously reported chalcones were prepared[3] from 2-hydroxy-4-n-butoxy-5-nitroacetophenone or 2-hydroxy-4-n-butoxyacetophenone and various araldehydes. The structure of the compounds have been supported by elemental analysis, ir and nmr spectral studies.

The compounds (2a—l) were screened for antibacterial activity at a concentration of 50 μg ml^{-1} in DMF by cup-plate method[4] against gram-positive bacteria *Staphylococcus aureus* and gram-negative bacteria *Escherichia coli* and were compared with chloromycetin and penicillin G. Most of the compounds were found less active or inactive against both the bacteria.

Experimental

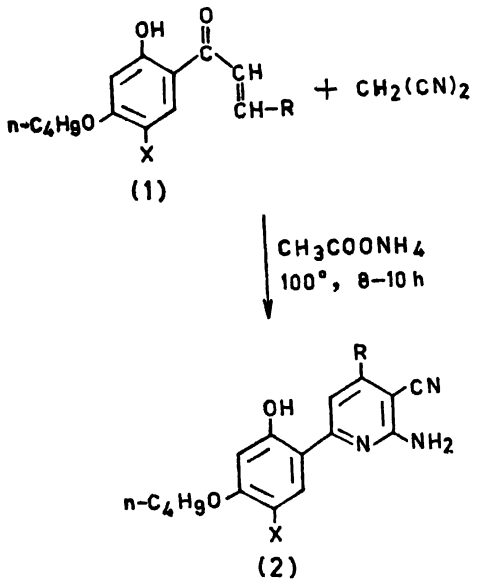
All the melting points were determined in open capillaries and are uncorrected. The ir spectra of the compounds were recorded on a Perkin-Elmer 577 spectrophotometer and pmr spectra ($CDCl_3$: TMS as internal standard) on a XL-100A (100.1 MHz) spectrometer.

†Presented at the 28th Annual Convention of Chemists held at Calcutta, 1991.

TABLE 1—PHYSICAL DATA OF COMPOUNDS (2)*

Compd. no.	R	X	Mol. formula	M.p. °C	Yield %
2a	Phenyl	NO_2	$C_{22}H_{20}O_4N_4$	165	35
b	2′-Bromophenyl	NO_2	$C_{22}H_{19}O_4N_4Br$	190	45
c	4′-Chlorophenyl	NO_2	$C_{22}H_{19}O_4N_4Cl$	200	46
d	2′,4′-Dichlorophenyl	NO_2	$C_{22}H_{18}O_4Cl_2$	227	50
e	2′-Methoxyphenyl	NO_2	$C_{22}H_{22}O_5N_4$	170	30
f	3′,4′-Dimethoxyphenyl	NO_2	$C_{24}H_{24}O_6N_4$	178	37
g	4′-Methylphenyl	NO_2	$C_{23}H_{22}O_4N_4$	205	42
h	3′,4-Methylenedioxyphenyl	NO_2	$C_{23}H_{20}O_6N_4$	168	40
i	4′-*N*-Dimethylaminophenyl	NO_2	$C_{24}H_{25}O_4N_5$	185	35
j	4′-Bromophenyl	H	$C_{22}H_{20}O_2N_3Br$	205	38
k	2′-Chlorophenyl	H	$C_{22}H_{20}O_2N_3Cl$	195	40
l	3′,4′,5′-Trimethoxyphenyl	H	$C_{25}H_{27}O_5N_3$	180	33

* Elemental analyses found satisfactory.



X = NO_2/H, R = Aryl
Scheme 1

2-Amino-3-cyano-4,6-disubstitutedpyridines (2): A mixture of chalcone (0.1 mol), malononitrile (0.1 mol) and ammonium acetate (0.8 mol) was refluxed in ethanol (30 ml) for 8—10 h on a water-bath. The cooled contents were then poured on ice with constant stirring and the resulting yellow solid was washed with water and the residue was crystallised from ethanol (Table 1): ν_{max} 3 300—3 462 (NH_2), 3 450—3 560 (OH) and 2 220—2 225 cm^{-1} (C≡N), δ 7.1—7.4 (ArH of pyridine nucleus), 7.2—7.8 (br s, NH_2) and 4.8—5.2 (s, OH).

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