SYNTHESIS OF 6-ARYL-6*H*-NAPHTHO[2',1' : 5,6]PYRANO[4,3-*b*]-[1,8]NAPHTHYRIDINES*

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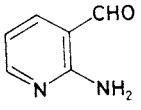
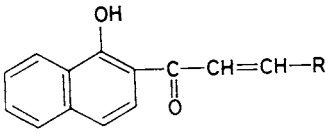
A series of new 6-aryl-6*H*-naphtho[2',1' : 5,6]pyrano[4,3-*b*][1,8]naphthyridines *III* have been synthesized by condensing 2-aminonicotinaldehyde (*I*) with a number of 1-hydroxy-2-naphthyl styryl ketones *II* in the presence of glacial acetic acid containing a catalytic amount of conc. sulphuric acid. The products have been characterized on the basis of elemental analyses and spectral data. Antibacterial activity of these compounds is negligible.

In continuation of our studies on the synthesis and antimicrobial activity of 2-substituted[1-3] and 2,3-condensed[4-7] 1,8-naphthyridines, we now describe in this paper the synthesis of some 6-aryl-6*H*-naphtho[2',1' : 5,6]pyrano[4,3-*b*][1,8]naphthyridines and their antibacterial activity. 1,8-Naphthyridines possess a wide range of biological properties such as antibacterial[8-10], antimalarial[11], herbicidal[12], and diuretic[13] activities.

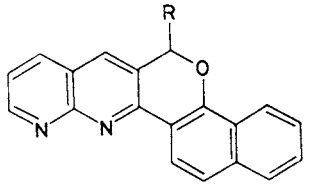
The condensation of 2-aminonicotinaldehyde (*I*) with various 1-hydroxy-2--naphthyl styryl ketones *II* in glacial acetic acid with a trace of concentrated sulphuric acid gave 6-aryl-6*H*-naphtho[2',1' : 5,6]pyrano[4,3-*b*][1,8]naphthyridines *IIIa–IIIl* in good yields. The synthesized compounds have been characterized by chemical tests, elemental analyses, and spectral data. Negative tests with neutral ferric chloride and 2,4-dinitrophenylhydrazine clearly indicated the absence of phenolic hydroxyl and carbonyl groups in the products. The IR spectra of *III* dis-



I



II



III

played two bands at 1 225 (—O—Ar) and 1 030 cm^{-1} (—O—CH—) and an intense band at 1 600 cm^{-1} attributable to ν(C=N). The structural assignments of *III*

* Part V in the series Condensed 1,8-Naphthyridines; Part IV see Ref.[7].

were also supported by their ^{1}H NMR data. The ^{1}H NMR spectrum of *IIIb* in deuteriochloroform–hexadeuteriodimethyl sulfoxide exhibited a three-proton singlet at 3·7 due to methoxyl group. Due to the proximity of the oxygen atom, the benzylic proton appeared at a lower field at 6·3 than expected. The protons at C(7), C(8), and C(10) resonated as multiplets centred at 7·8, 8·5, and 9·0, respectively. The C(9)—H proton on naphthyridine framework appeared along with ten aromatic

TABLE I
Analytical data of compounds *IIIa*—*IIIl*

Compound[a]	R	Formula (mol. wt.)	Calculated/found		
			% C	% H	% N
IIIa	Phenyl	$C_{25}H_{16}N_2O$ (360·4)	83·33 83·41	4·44 4·52	7·78 7·84
IIIb	*p*-Methoxyphenyl	$C_{26}H_{18}N_2O_2$ (390·4)	80·00 80·07	4·61 4·70	7·17 7·15
IIIc	*p*-Tolyl	$C_{26}H_{18}N_2O$ (374·4)	83·42 83·47	4·81 4·83	7·49 7·56
IIId	*o*-Chlorophenyl	$C_{25}H_{15}ClN_2O$ (394·8)	76·14 76·09	3·81 3·73	7·11 7·15
IIIe	*p*-Chlorophenyl	$C_{25}H_{15}ClN_2O$ (394·8)	76·14 76·80	3·81 3·75	7·11 7·12
IIIf	*o*-Nitrophenyl	$C_{25}H_{15}N_3O_3$ (405·4)	74·07 74·11	3·70 3·73	10·37 10·33
IIIg	*m*-Nitrophenyl	$C_{25}H_{15}N_3O_3$ (405·4)	74·07 74·13	3·70 3·71	10·37 10·36
IIIh	*o*-Hydroxyphenyl	$C_{25}H_{16}N_2O_2$ (376·4)	79·78 79·69	4·25 4·18	7·44 7·41
IIIi	*p*-N,N-Dimethylaminophenyl	$C_{27}H_{21}N_3O$ (403·5)	80·39 80·47	5·21 5·26	10·42 10·36
IIIj	1-Naphthyl	$C_{29}H_{18}N_2O$ (410·5)	84·88 84·81	4·39 4·45	6·83 6·87
IIIk	2-Furyl	$C_{23}H_{14}N_2O_2$ (350·4)	78·86 78·77	4·00 4·04	8·00 8·09
IIIl	2-Thienyl	$C_{23}H_{14}N_2SO$ (366·4)	75·41 75·46	3·83 3·87	7·65 7·68

[a] Obtained in 60—80% yields. Crystallized from ethanol, m.p. >300°C.

protons as a complex multiplet at 6·7—7·5. The mass spectra of *IIIa* and *IIId* showed strong molecular ion peaks at *m/z* 360 and 394, respectively.

The products were screened for antibacterial activity by filter paper disc method[14] using a species of gram-positive bacteria, viz., *Bacillus subtillis* and *Streptococcus albus* and a species of gram-negative bacteria, viz., *Escherichia coli* and *Proteus vulgaris*. None of the compounds showed any appreciable activity.

EXPERIMENTAL

All the melting points reported are uncorrected. IR spectra ($\tilde{\nu}_{max}$ cm^{-1}) were recoreed on a Perkin–Elmer 283 instrument. The ^1H NMR spectra were recorded on a Varian 90 MHz spectrometer using tetramethylsilane as internal standard and chemical shifts (δ) are expressed in ppm. Mass spectra were scanned on a Varian MAT CH-7 instrument at 70 eV.

6-Aryl-6*H*-naphtho[2′,1′ : 5,6]pyrano[4,3-*b*][1,8]naphthyridines *IIIa—IIIl*

A mixture of *I* (1 mmol) and an appropriate substituted 1-hydroxy-2-naphthyl styryl ketone *II* (1 mmol) was refluxed in glacial acetic acid (30 ml) in the presence of a trace of conc. sulphuric acid for 4 h. The mixture was allowed to cool and poured on crushed ice. The resultant solid was filtered, washed with water and crystallized, Table I.

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