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Reactions of haloketenes with 2-arylideneaminopyridines were examined. Reaction of dichloroketene with 2-benzylideneaminopyridines gave 2,2-dichloro-3-phenyl-3-(2-pyridylamino)propanoic acids and 3-chloro-2-phenylpyrido[1,2-*a*]pyrimidin-4(4*H*)-ones. Similar reaction of dichloroketene with 2-(2-furfurylideneamino)pyridines gave 3-chloro-2-(2-furyl)pyrido[1,2-*a*]pyrimidin-4(4*H*)-ones. Chloroketene and chlorophenylketene also reacted with 2-arylideneaminopyridines to give pyrido[1,2-*a*]pyrimidin-4(4*H*)-ones. Ring transformations of pyrido[1,2-*a*]pyrimidin-4(4*H*)-ones were carried out to give 1,8-naphthyridin-4(1*H*)-ones and imidazo[1,2-*a*]pyridines.

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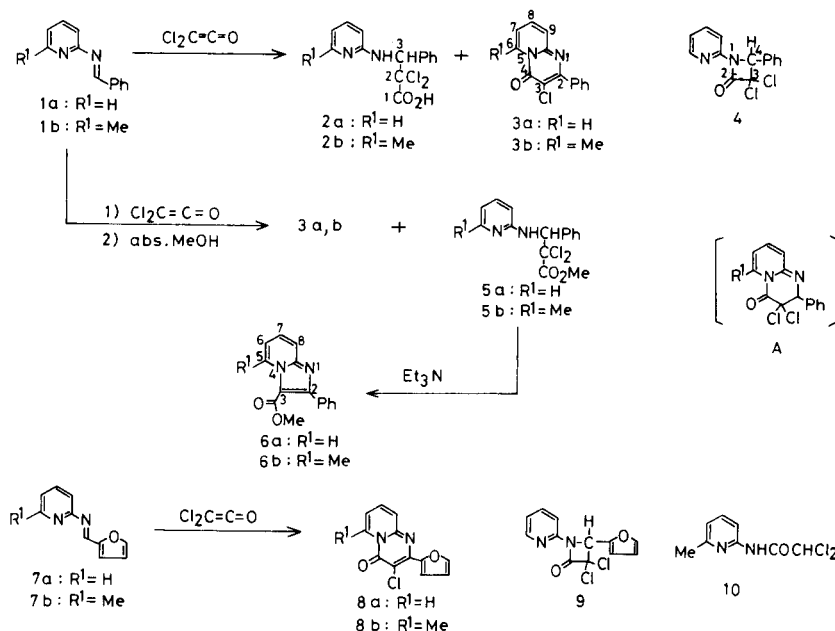
In connection with our continuing interests in the reaction of the dichloroketene with compounds bearing C=N bonds and with studies directed toward the synthesis of heterocyclic compounds [2-4], we now wish to report the reactions of haloketenes with 2-arylideneaminopyridines which have a C=N bond conjugated with the ring C=N bond. The 2-arylideneaminopyridines (**1a,b** and **7a,b**) used in these reactions were prepared by condensation of 2-aminopyridines with benzaldehyde and 2-furfural, according to the literature [5].

When 2-benzylideneaminopyridine (**1a**) was allowed to react with dichloroacetyl chloride in the presence of triethylamine in 1,2-dimethoxyethane (DME), three compounds, 2,2-dichloro-3-phenyl-3-(2-pyridylamino)propanoic acid

(**2a**), 3-chloro-2-phenylpyrido[1,2-*a*]pyrimidin-4(4*H*)-one (**3a**), and 3,3-dichloro-4-phenyl-1-(2-pyridyl)-2-azetidinone (**4**) were obtained in 2, 45, and 10% yields, respectively. Use of ether instead of DME as a solvent gave rise to **2a**, **3a**, and **4** in 13, 39, and 3% yields, respectively.

Similarly, the reaction of 2-benzylideneamino-6-methylpyridine (**1b**) with dichloroketene gave the carboxylic acid **2b** and the pyridopyrimidine **3b** in 6 and 70% yields, respectively, but the 2-azetidinone corresponding to **4** was not detected. Since **4**, on heating with water in DME, was not transformed into **2a**, compounds **2a,b** would be formed by hydrolysis of the intermediate **A**. In fact, when absolute methanol was added to the reaction mixtures, the methyl esters **5a,b** as well as **3a,b** were obtained. This fact

Scheme 1

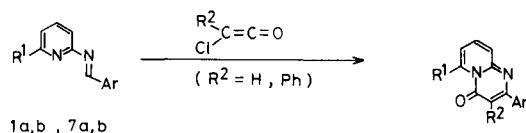


shows that the intermediate **A** is rather stable. When the esters **5a,b** were treated with triethylamine under reflux in DME, they cyclized to the imidazo[1,2-*a*]pyridines **6a,b** in good yields.

2-(2-Furfurylideneamino)pyridine (**7a**) reacted with dichloroketene under the similar condition to give the pyridopyrimidine **8a** and 2-azetidinone **9** in 78 and 9% yields, respectively, whereas the methyl analog **7b** also reacted with dichloroketene giving the pyridopyrimidine **8b**, together with the amide **10**. In both reactions, the carboxylic acids corresponding to **2a,b** were not obtained. In addition, treatment of the reaction mixture with absolute methanol did not give the methyl ester.

Monochloroketene and chlorophenylketene as well as dichloroketene also underwent [2 + 4] cycloaddition with 2-arylideneaminopyridines **1a,b** and **7a,b** to give pyrido[1,2-*a*]pyrimidin-4(4*H*)-ones (**11b-14a,b**). The results are summarized in Table I.

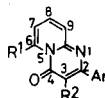
Scheme 2



- 11 b : Ar = Ph, R¹ = Me, R² = H
 12 b : Ar = 2-furyl, R¹ = Me, R² = H
 13 a : Ar = Ph, R¹ = H, R² = Ph
 13 b : Ar = Ph, R¹ = Me, R² = Ph
 14 a : Ar = Ph, R¹ = H, R² = Ph
 14 b : Ar = 2-furyl, R¹ = Me, R² = Ph

Next, the ring transformation of the above obtained pyridopyrimidines was investigated. It is reported that 6-substituted pyrido[1,2-*a*]pyrimidin-4(4*H*)-one, on heating, is transformed into 1,8-naphthyridine [6,7]. Thus, heating of

Table I

Pyrido[1,2-*a*]pyrimidin-4(4*H*)-ones

Compound No.	Ar	R ¹	R ²	Yield (%)	Recryst. solvent	mp (°C) (lit mp °C)	ν max (chloroform) cm ⁻¹	δ (deuteriochloroform) 6-H or 6-Me
3a	Ph	H	Cl	35 45 [a]	Benzene	171-173 (164 [12])	1675 1640	9.05 (dd)
3b	Ph	Me	Cl	70	Benzene	180-181	1670 1640	3.10 (s)
8a	2-furyl	H	Cl	78	Ethanol	201-203	1680 1640	8.90 (dd)
8b	2-furyl	Me	Cl	43	Methanol	172-175	1670 1640	3.08 (s)
11b	Ph	Me	H	34	Methanol	142-145	1685 1640	3.07 (s)
12b	2-furyl	Me	H	20	Methanol	122-124	1685 1640	3.03 (s)
13a	Ph	H	Ph	37	Methanol	195-196 (191-192 [13])	1665 1635	9.07 (dd)
13b	Ph	Me	Ph	32	Methanol	185-186	1665 1640	3.03 (s)
14a	2-furyl	H	Ph	40	Methanol	230-232	1665 1640	9.00 (dd)
14b	2-furyl	Me	Ph	35	Methanol	209-211	1665 1640	3.00 (s)

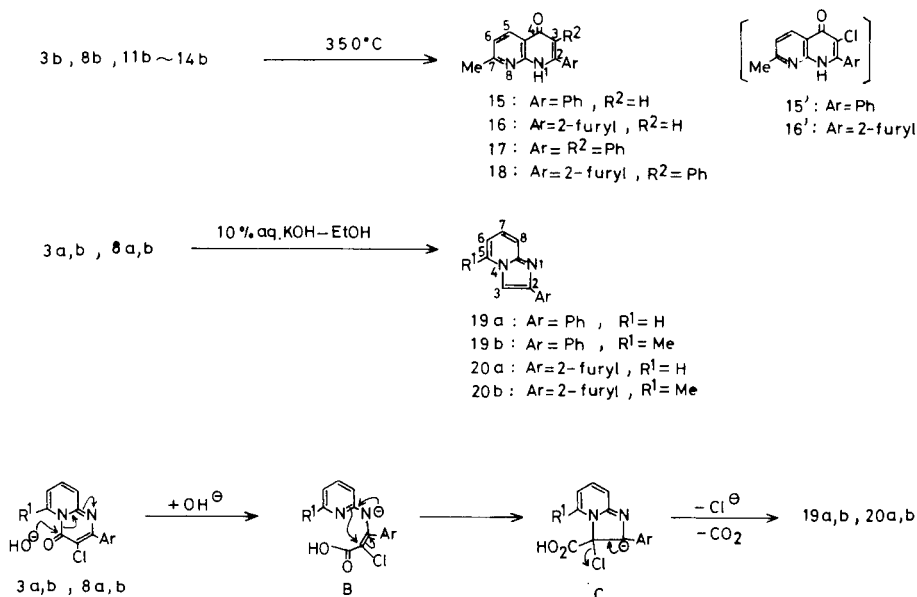
[a] In DME.

Table Ia

Analytical Data

Compound No.	Formula	Analysis (%)				Found	
		Calcd. C	Calcd. H	Calcd. N	Calcd. C	Found H	Found N
3a	C ₁₄ H ₉ ClN ₂ O	65.50	3.53	10.91	65.29	3.22	10.76
3b	C ₁₅ H ₁₁ ClN ₂ O	66.55	4.10	10.35	66.35	4.11	10.37
8a	C ₁₂ H ₇ ClN ₂ O ₂	58.43	2.86	11.36	58.15	2.77	11.26
8b	C ₁₃ H ₉ ClN ₂ O ₂	59.90	3.48	10.75	59.80	3.33	10.62
11b	C ₁₅ H ₁₂ N ₂ O	76.25	5.12	11.86	76.13	5.08	11.80
12b	C ₁₃ H ₁₀ N ₂ O ₂ ·1/6H ₂ O	68.11	4.54	12.22	68.17	4.34	12.02
13a	C ₂₀ H ₁₄ N ₂ O	80.52	4.73	9.39	80.48	4.81	9.30
13b	C ₂₁ H ₁₆ N ₂ O·1/3H ₂ O	79.22	5.28	8.80	79.44	5.26	8.52
14a	C ₁₈ H ₁₂ N ₂ O ₂	74.99	4.20	9.72	75.08	4.33	9.55
14b	C ₁₉ H ₁₄ N ₂ O ₂	75.48	4.67	9.27	75.21	4.55	8.99

Scheme 3

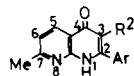


3b and **8b** in liquid paraffin at 350° did not give the 3-chloro-1,8-naphthyridines **15'** and **16'**, but gave the 1,8-naphthyridines **15** and **16**, respectively. By heating of **11b** and **12b**, compounds **15** and **16** were also obtained,

respectively. Similarly, compounds **13b** and **14b**, on heating, were transformed into the 1,8-naphthyridines **17** and **18**, respectively. The results are summarized in Table II.

Table II

7-Methyl-1,8-naphthyridin-4(1H)-ones



Compound No.	Ar	R ²	Yield (%)	Recryst. solvent	mp (°C)	ν max (potassium bromide) cm ⁻¹	δ (deuteriochloroform-deuteriomethanol)	
							7-Me	5-H
15	Ph	H	53 42 [a]	Methanol	266-267	1630	2.87	8.78
16	2-furyl	H	62 50 [b]	Methanol	273-275	1620	2.67	8.50
17	Ph	Ph	73	Methanol	290-292	1610	2.67	8.57
18	2-furyl	Ph	41	Methanol	189-191	1605	2.67	8.50

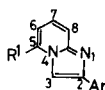
[a] From compound **11b**. [b] From compound **12b**.

Table IIa

Analytical Data

Compound No.	Formula	C	Calcd. H	N	Analysis (%)	
					Found C	Found H N
15	C ₁₅ H ₁₂ N ₂ O	76.25	5.12	11.86	75.79	5.07 11.74
16	C ₁₃ H ₁₀ N ₂ O ₂ ·1/6H ₂ O	68.11	4.54	12.22	68.30	4.25 12.22
17	C ₂₁ H ₁₆ N ₂ O·1/4H ₂ O	79.60	5.25	8.84	79.80	5.33 8.83
18	C ₁₉ H ₁₄ N ₂ O ₂ ·H ₂ O	71.24	5.03	8.75	71.52	5.18 8.54

Table III
Imidazo[1,2-*a*]pyridines



Compound No.	Ar	R ¹	Yield (%)	Recryst. solvent	mp (°C)	ν max (chloroform) cm ⁻¹	δ (deuteriochloroform) 3-H
					(lit mp °C)		
19a	Ph	H	87	Ether	140 (135-136 [14])	1635	7.82
19b	Ph	Me	65	Hexane	108	1635	7.75
20a	2-furyl	H	64	Hexane-ether	93	1635	7.77
20b	2-furyl	Me	51	Ether	82-84	1635	7.70

Table IIIa
Analytical Data

Compound No.	Formula	Calcd. C	Calcd. H	Calcd. N	Analysis (%)		
					Found C	Found H	Found N
19a	C ₁₃ H ₁₀ N ₂	80.38	5.19	14.42	80.21	5.24	14.08
19b	C ₁₄ H ₁₂ N ₂	80.74	5.81	13.45	80.71	5.81	13.24
20a	C ₁₁ H ₈ N ₂ O·1/3H ₂ O	69.46	4.59	14.73	69.06	4.67	14.79
20b	C ₁₂ H ₁₀ N ₂ O·1/2H ₂ O	69.55	5.35	13.52	69.15	5.31	13.37

Lastly, the pyridopyrimidines **3a,b** and **8a,b** were treated with alcoholic potassium hydroxide to give the corresponding imidazo[1,2-*a*]pyridines **19a,b** and **20a,b**, which would be formed *via* intermediates **B** - **C**. These reactions involve a novel ring contraction of pyrido[1,2-*a*]pyrimidine to imidazo[1,2-*a*]pyridine.

It is well known that the reaction of halo ketene with imines gives 2-azetidinones [8-10] whereas the reaction with compounds having a conjugated C=N bond produces [2 + 4] cycloadducts [8,11]. However, the reaction of halo ketene with 2-arylideneaminopyridines gave pyrido[1,2-*a*]pyrimidin-4(4*H*)-ones as major products, together with 2-azetidinones. Thus, the present work has provided a useful tool for the synthesis of pyrido[1,2-*a*]pyrimidin-4(4*H*)-ones.

EXPERIMENTAL

All melting points were measured with Yanaco micro melting point apparatus and are uncorrected. The ¹H-nmr spectra were obtained on a JEOL JNM PMX-60 spectrometer and reported as δ value (ppm) relative to tetramethylsilane as an internal standard. The ir spectra were recorded on a JASCO A-102 spectrophotometer.

Reaction of Dichloro ketene with 2-Benzylideneaminopyridine (**1a**).

1) A solution of dichloroacetyl chloride (1.77 g, 0.012 mole) in anhydrous 1,2-dimethoxyethane (DME) (5 ml) was added dropwise to a solution of **1a** (1.82 g, 0.01 mole) and triethylamine (1.52 g, 0.015 mole) in anhydrous DME (15 ml) with stirring at -15 to -10°. The mixture was stirred for 1 hour at room temperature. The solvent was evaporated off under reduced pressure to give a residue, which was dissolved in chloroform (100 ml). The chloroform solution was washed with water (100 ml × 3), dried over anhydrous sodium sulfate, and concentrated under reduced pressure to

give a residue (2.73 g), which was subjected to silica gel (100 g) column chromatography. Elution with *n*-hexane-ethyl acetate (10:1) gave 0.3 g (10%) of 3,3-dichloro-4-phenyl-1-(2-pyridyl)-2-azetidinone (**4**) as pale yellow needles (*n*-hexane), mp 180-183°; ir (chloroform): 1790 cm⁻¹ (C=O); ¹H-nmr (deuteriochloroform): δ 5.75 (s, 4-H, 1H).

Anal. Calcd. for C₁₄H₁₀Cl₂N₂O (**4**): C, 57.36; H, 3.44; N, 9.56. Found: C, 57.32; H, 3.44; N, 9.66.

Subsequent elution with *n*-hexane-ethyl acetate (5:1) gave 70 mg (2%) of 2,2-dichloro-3-phenyl-3-(2-pyridylamino)propanoic acid (**2a**) as colorless columns (ether), mp 118°; ir (chloroform): 3400-2400 (NH and OH), 1700 cm⁻¹ (C=O); ¹H-nmr (deuteriochloroform): δ 6.20 (s, =NCH-, 1H), 7.0-8.4 (m, ring protons, 9H), 11.10 (br, NH and OH, 2H).

Anal. Calcd. for C₁₄H₁₂Cl₂N₂O₂·H₂O (**2a**): C, 51.08; H, 4.29; N, 8.51. Found: C, 50.97; H, 4.70; N, 8.35.

Elution was continued with *n*-hexane-ethyl acetate (3:1) to give 1.16 g (45%) of 3-chloro-2-phenylpyrido[1,2-*a*]pyrimidin-4(4*H*)-one (**3a**).

2) A solution of dichloroacetyl chloride (1.77 g, 0.012 mole) in anhydrous ether (5 ml) was added dropwise to a solution of **1a** (1.82 g, 0.01 mole) and triethylamine (1.52 g, 0.015 mole) in anhydrous ether (15 ml) with stirring at -15 to -10°. The reaction mixture was stirred for 3 hours at room temperature. The precipitated triethylamine hydrochloride was filtered off, and the filtrate was concentrated under reduced pressure to give a crystalline residue (2.80 g). Recrystallization from benzene gave 1.00 g (39%) of **3a**. The mother liquor was concentrated under reduced pressure to give a residue, which was subjected to silica gel (50 g) column chromatography. Elution with *n*-hexane-ethyl acetate (10:1 and 5:1) gave 0.10 g (3%) of **4** and 0.40 g (13%) of **2a**, respectively.

3) A solution of dichloroacetyl chloride (3.54 g, 0.024 mole) in anhydrous ether (10 ml) was added dropwise to a solution of **1a** (3.64 g, 0.02 mole) and triethylamine (3.03 g, 0.03 mole) in anhydrous ether (45 ml) with stirring at -15 to -10°. The reaction temperature was allowed to come gradually to room temperature. Absolute methanol (20 ml) was added to the mixture. After being stirred for 1 hour, the reaction mixture was allowed to stand overnight at room temperature. The precipitated triethylamine hydrochloride was filtered off, and the filtrate was concentrated under reduced pressure to give a residue (9.8 g), which was sub-

jected to silica gel (150 g) column chromatography. Elution with *n*-hexane-ethyl acetate (10:1) gave 0.29 g (5%) of **4**. Subsequent elution with *n*-hexane-ethyl acetate (5:1) gave 2.10 g (32%) of methyl 2,2-dichloro-3-phenyl-3-(2-pyridylamino)propanoate (**5a**) as pale yellow prisms (*n*-hexane-ether), mp 116-118°; ir (chloroform): 3400 (NH), 1760 cm⁻¹ (C=O); ¹H-nmr (deuteriochloroform): δ 3.73 (s, OCH₃, 3H), 5.50 (d, NH, 1H, J = 10 Hz), 6.07 (d, =N-CH=, 1H, J = 10 Hz), 6.30-7.70 (m, ring protons, 8H), 8.03 (dd, pyridine ring 6-H, 1H, J = 5 Hz, J = 2 Hz).

Anal. Calcd. for C₁₅H₁₄Cl₂N₂O₂·1/6H₂O (**5a**): C, 54.89; H, 4.40; N, 8.54. Found: C, 55.07; H, 4.26; N, 8.53.

Further elution with *n*-hexane-ethyl acetate (3:1) gave 1.1 g (21%) of **3a**.

Reaction of Dichloroketene with 2-Benzylideneamino-6-methylpyridine (**1b**).

1) A solution of dichloroacetyl chloride (3.54 g, 0.024 mole) in anhydrous ether (10 ml) was added dropwise to a solution of **1b** (3.92 g, 0.02 mole) and triethylamine (3.03 g, 0.03 mole) in anhydrous ether (45 ml) with stirring at -15° to -10°. The reaction mixture was stirred for 1 hour at room temperature. The precipitated triethylamine hydrochloride was filtered off, and the filtrate was concentrated under reduced pressure to give a crystalline residue (6.4 g). Recrystallization from benzene gave 3.80 g (70%) of 3-chloro-6-methyl-2-phenylpyrido[1,2-*a*]pyrimidin-4(4*H*)-one (**3b**). The mother liquor was concentrated under reduced pressure to give a residue, which was subjected to silica gel (50 g) column chromatography. Elution with *n*-hexane-ethyl acetate (5:1) gave 0.41 g (6%) of 2,2-dichloro-3-(6-methyl-2-pyridylamino)-3-phenylpropanoic acid (**2b**) as colorless needles (*n*-hexane), mp 107-109°; ir (chloroform): 3400-2400 (NH and OH), 1700 cm⁻¹ (C=O); ¹H-nmr (deuteriochloroform): δ 2.53 (s, pyridine ring-CH₃, 3H), 6.20 (s, =NCH=, 1H), 7.00-8.30 (m, ring protons, 8H), 9.50-10.00 (br, NH and OH, 2H).

2) A solution of dichloroacetyl chloride (1.77 g, 0.012 mole) in anhydrous ether (5 ml) was added dropwise to a solution of **1b** (1.96 g, 0.01 mole) and triethylamine (1.5 g, 0.015 mole) in anhydrous ether (20 ml) with stirring at -15 to -10°. The reaction temperature was allowed to come slowly to room temperature (20°). Absolute methanol (10 ml) was added to the reaction mixture, and the mixture was stirred for 4 hours. After being allowed to stand overnight, the resulting mixture was concentrated under reduced pressure to give a residue, which was dissolved in chloroform. The chloroform solution was washed with water, dried over anhydrous sodium sulfate, and concentrated under reduced pressure to give a crystalline residue, which was washed with ether to give 0.26 g (10%) of **3b**. The washing was concentrated to give a residue, which was subjected to silica gel column chromatography. Elution with *n*-hexane-ethyl acetate (9:1) gave 0.6 g (18%) of methyl 2,2-dichloro-3-(6-methyl-2-pyridylamino)-3-phenylpropanoate (**5b**) as colorless prisms (*n*-hexane-ether), mp 115°; ir (chloroform): 3400 (NH), 1755 cm⁻¹ (C=O); ¹H-nmr (deuteriochloroform): δ 2.35 (s, pyridine ring-CH₃, 3H), 3.80 (s, OCH₃, 3H), 5.40 (bd, NH, 1H, J = 12 Hz), 5.95 (d, =NCH=, 1H, J = 12 Hz), 6.27 (d, pyridine ring 3-H or 5-H, 1H, J = 8 Hz), 6.50 (d, pyridine ring 3-H or 5-H, 1H, J = 7 Hz), 7.2-7.7 (m, ring protons, 6H).

Anal. Calcd. for C₁₆H₁₆Cl₂N₂O₂·1/2H₂O (**5b**): C, 55.18; H, 4.63; N, 8.05. Found: C, 54.86; H, 4.42; N, 7.82.

Further elution with *n*-hexane-ethyl acetate (3:1) gave 1.1 g (41%) of **3b**.

Methyl 2-Phenylimidazo[1,2-*a*]pyridine-3-carboxylate (**6a**).

A solution of **5a** (0.5 g) and triethylamine (0.5 g) in anhydrous DME (10 ml) was refluxed for 14 hours. The precipitated triethylamine hydrochloride was filtered off, and the filtrate was concentrated under reduced pressure to give a crystalline residue (0.40 g). Recrystallization from methanol gave 0.30 g (77%) of **6a** as colorless leaves, mp 130-131°; ir (chloroform): 1685 cm⁻¹ (C=O); ¹H-nmr (deuteriochloroform): δ 3.77 (s, OCH₃, 3H), 6.80-7.90 (m, ring protons, 8H), 9.37 (dd, 5-H, 1H, J = 7 Hz, J = 1 Hz).

Anal. Calcd. for C₁₃H₁₂N₂O₂ (**6a**): C, 71.41; H, 4.80; N, 11.11. Found: C, 71.28; H, 4.82; N, 10.92.

Methyl 5-Methyl-2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (**6b**).

A solution of **5b** (0.26 g) and triethylamine (0.52 g) in anhydrous DME (5 ml) was refluxed for 24 hours. The precipitated triethylamine hydrochloride was filtered off, and the filtrate was concentrated under reduced pressure to give a residue, which was subjected to silica gel column chromatography. Elution with *n*-hexane-ethyl acetate (9:1) gave 50 mg of the starting material **5b**. Subsequent elution with *n*-hexane-ethyl acetate (5:1) gave 0.13 g (64%) of **6b** as a pale yellow oil; ir (chloroform): 1710 cm⁻¹; ¹H-nmr (deuteriochloroform): δ 2.74 (s, 5-CH₃, 3H), 3.83 (s, OCH₃, 3H), 6.73 (d, 6-H, 1H, J = 8 Hz), 7.2-7.9 (m, ring protons, 7H).

Anal. Calcd. for C₁₄H₁₄N₂O₂·1/5H₂O (**6b**): C, 71.20; H, 5.23; N, 10.38. Found: C, 71.13; H, 5.41; N, 10.34.

Reaction of Dichloroketene with 2-(2-Furfurylideneamino)pyridine (**7a**).

A solution of dichloroacetyl chloride (3.54 g, 0.024 mole) in anhydrous ether (10 ml) was added dropwise to a solution of **7a** (3.44 g, 0.02 mole) and triethylamine (3.03 g, 0.03 mole) in anhydrous ether (30 ml) with stirring at -15 to -10°. The reaction mixture was stirred for 3 hours at room temperature. The precipitated triethylamine hydrochloride was filtered off, and the filtrate was concentrated under reduced pressure to give a crystalline residue (5.7 g). Recrystallization from ethanol gave 3.85 g (78%) of 3-chloro-2-(2-furyl)pyrido[1,2-*a*]pyrimidin-4(4*H*)-one (**8a**) as pale yellow needles. The mother liquor was concentrated under reduced pressure to give a residue, which was subjected to silica gel (50 g) column chromatography. Elution with *n*-hexane-ethyl acetate (10:1) gave 0.50 g (9%) of 3,3-dichloro-4-(2-furyl)-1-(2-pyridyl)-2-azetidinone (**9**) as colorless needles (*n*-hexane), mp 139-141°; ir (chloroform): 1790 cm⁻¹ (C=O); ¹H-nmr (deuteriochloroform): δ 5.63 (s, 4-H, 1H), 6.3-7.8 (m, ring protons, 6H), 8.15 (d, pyridine ring 6-H, 1H, J = 5 Hz).

Anal. Calcd. for C₁₂H₈Cl₂N₂O₂·1/3H₂O (**9**): C, 49.91; H, 2.91; N, 9.70. Found: C, 49.85; H, 2.81; N, 9.66.

Reaction of Dichloroketene with 2-(2-Furfurylideneamino)-6-methylpyridine (**7b**).

According to the procedure described above, dichloroacetyl chloride (3.54 g, 0.024 mole) was allowed to react with **7b** (3.72 g, 0.02 mole) in the presence of triethylamine (3.03 g, 0.03 mole) in anhydrous ether (55 ml). Purification by recrystallization and silica gel column chromatography gave 2.25 g (43%) of 3-chloro-2-(2-furyl)-6-methylpyrido[1,2-*a*]pyrimidin-4(4*H*)-one (**8b**) and 0.72 g (17%) of 2-dichloroacetamido-6-methylpyridine (**10**) as yellow needles (*n*-hexane), mp 122-124° (lit [4] mp 119-121°).

General Procedure for the Synthesis of Pyrido[1,2-*a*]pyrimidin-4(4*H*)-ones **11b-14a,b**.

A solution of chloroacetyl chloride or α -chlorophenylacetyl chloride (0.024 mole) in anhydrous ether (10 ml) was added dropwise to a solution of 2-arylideneaminopyridines **1a,b** or **7a,b** (0.02 mole) and triethylamine (0.03 mole) in anhydrous ether (45 ml) with stirring at -15 to -10°. The reaction mixture was stirred for 1 hour. The precipitated triethylamine hydrochloride was filtered off, and the filtrate was concentrated under reduced pressure to give a residue, which was subjected to silica gel column chromatography. Elution with *n*-hexane-ethyl acetate (3:1) gave products **11b-14a,b**. The results are summarized in Table I.

General Procedure for the Synthesis of 7-Methyl-1,8-naphthyridin-4(1*H*)-ones **15-18**.

A suspension of 2-aryl-6-methylpyrido[1,2-*a*]pyrimidin-4(4*H*)-one (**3b**, **8b**, **11b-14b**) (0.5 g) in liquid paraffin (15 ml) was heated with stirring at 350° for 30 minutes. After cooling, *n*-hexane was added to the reaction mixture. The precipitated crystals were collected by suction. Recrystallization from an appropriate solvent gave products **15-18**. The results are summarized in Table II.

General Procedure for the Synthesis of Imidazo[1,2-*a*]pyridines **19a,b** and **20a,b**.

A suspension of 2-aryl-3-chloropyrido[1,2-*a*]pyrimidin-4(4*H*)-ones (**3a,b** or **8a,b**) (0.3 g) in a mixture of 10% aqueous potassium hydroxide (10 ml)

and ethanol (10 ml) was refluxed for 1 hour. The reaction mixture was concentrated under reduced pressure. The precipitated crystals were collected by suction. Recrystallization from an appropriate solvent gave products **19a,b** and **20a,b**. The results are summarized in Table III.

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