Phosphorus Pentoxide in Organic Synthesis. XX [1]. Synthesis of *N*-Aryl-7*H*-pyrrolo[2,3-*d*]pyrimidin-4-amines

Anker Jørgensen*, Khairy A. M. El-Bayouki [2], and Erik B. Pedersen

Department of Chemistry, Odense University,
DK-5230 Odense M, Denmark
Received November 13, 1984

N-Aryl-7*H*-pyrrolo[2,3-*d*]pyrimidine-4-amines **7** were prepared in 30-67% yields by treating N7-(1-phenylethyl)pyrrolo[2,3-*d*]pyrimidin-4(3*H*)-ones **2** with a mixture of phosphorus pentoxide, triethylamine hydrochloride, and an appropriate arylamine hydrochloride at 240° for 3-7 hours.

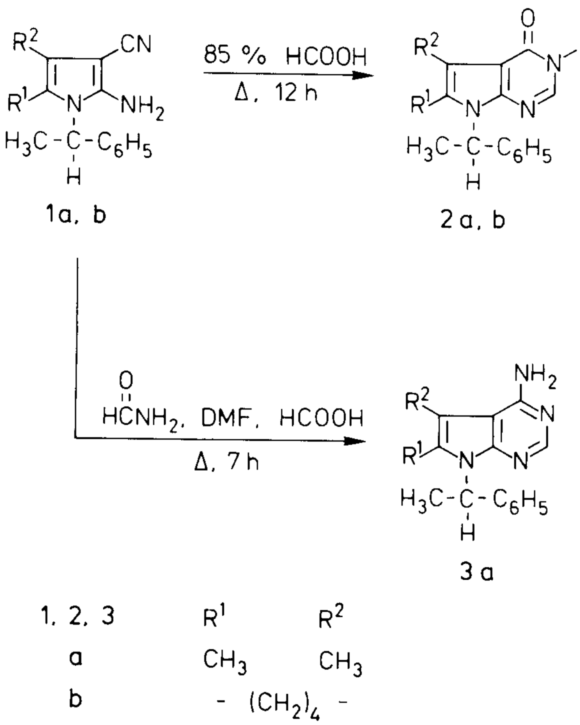
J. Heterocyclic Chem., **22**, 859 (1985).

The pyrrolo[2,3-*d*]pyrimidine ring system has aroused considerable interest due to its presence in several natural products. It is contained in the nucleoside antibiotics tubercidin, toyocamycin and sangivamycin [3], as well as in the more recently characterized nucleoside Q [4].

As part of an ongoing work with phosphorus pentoxide-amine reagents directed toward the synthesis of new derivatives of pyrrolo[2,3-*d*]pyrimidines of anticipated biological potentialities [5-9], we now report a one-pot synthesis involving simultaneous dealkylation and amination reactions in preparation of *N*-aryl-7*H*-pyrrolo[2,3-*d*]pyrimidin-4-amines **7**.

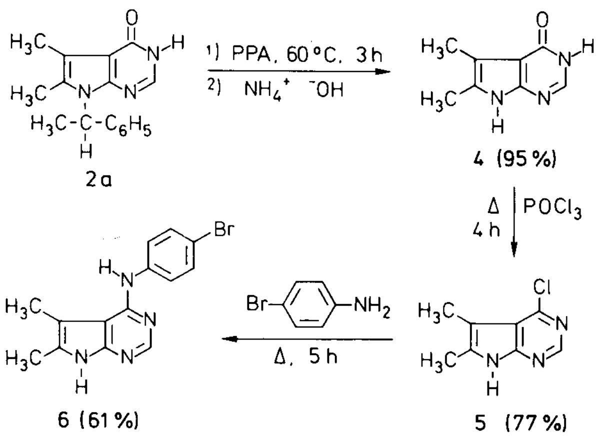
*N*1-Substituted-2-amino-3-cyanopyrroles are essential precursors for preparation of pyrrolo[2,3-*d*]pyrimidines bearing reactive functionalities such as an amino- or an oxo group at C-4. This event was utilized and described by Roth and coworkers in a thesis [10] and two patents [11,12]. Compound **1** [10,11] could be refluxed in 85% formic acid or a mixture of formamide, DMF, and formic acid to afford the corresponding pyrrolo[2,3-*d*]pyrimidin-4(3*H*)-ones **2** or pyrrolo[2,3-*d*]pyrimidin-4-amine **3a** respectively (Scheme I).

Scheme I



In order to prepare the *N*7-unsubstituted-*N*-aryl-7*H*-pyrrolo[2,3-*d*]pyrimidin-4-amine **6** from the corresponding *N*7-(1-phenylethyl)-7*H*-pyrrolo[2,3-*d*]pyrimidin-4(3*H*)-one **2a**, a three step synthesis was described [12] (Scheme II). The first step involves a dealkylation of **2a** in polyphosphoric acid, followed by ammonium hydroxide neutralization to give **4**. In the second step the oxo group of **4** is replaced by chlorine by reaction with phosphoryl chloride to give **5**, and finally this chloro compound is reacted with *p*-bromoaniline to afford **6** in 45% overall yield (Scheme II).

Scheme II

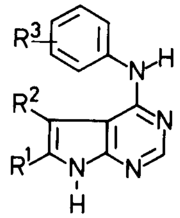


Overall yield 2a - 6: 45%

We have recently demonstrated [8,9] that *N*7-phenyl-7*H*-pyrrolo[2,3-*d*]pyrimidin-4(3*H*)-ones and 7*H*-pyrrolo[2,3-*d*]-pyrimidin-4(3*H*)-ones of type **4** can be reacted with phosphorus pentoxide-amine mixtures to give the corresponding 4-amino derivatives of type **7**. We also showed that our reagent caused dealkylation reactions [9].

Table I

Preparation of *N*-Aryl-7*H*-pyrrolo[2,3-*d*]pyrimidin-4-amines **7**



Compound No.	R_1	R_2	R_3	Reaction Time (hours)	Yield (%)	Mp °C Solvent	IR (potassium bromide) (cm⁻¹) N-H	N_7-H	Formula (Mol Wt)	Analyses % Calcd./Found C	H	N
7a	CH_3	CH_3	H	5	61	259-261 (2-propanol)	3450	3240	$C_{14}H_{14}N_4$ (238.3)	70.56 / 70.73	5.92 / 5.93	23.51 / 23.49
7b	CH_3	CH_3	4-CH_3	5	58	289-291 (butanone)	3435	3220	$C_{15}H_{16}N_4$ (256.3)	71.40 / 71.47	6.39 / 6.45	22.20 / 22.18
7c	CH_3	CH_3	3,5-$(CH_3)_2$	5	45	261-263 (methanol)	3430	3230	$C_{16}H_{18}N_4$ (266.3)	72.15 / 72.13	6.81 / 6.86	21.03 / 20.91
7d	CH_3	CH_3	2,6-$(CH_3)_2$	4	65	304-306 (ethanol)	3420	3240	$C_{16}H_{18}N_4$ (266.3)	72.15 / 72.07	6.81 / 6.96	21.03 / 20.87
7e	CH_3	CH_3	2-C_2H_5	4	54	237-239 (dioxane)	3465	3245	$C_{16}H_{18}N_4$ (266.3)	72.15 / 72.07	6.81 / 7.01	21.03 / 20.80
7f	CH_3	CH_3	4-C_2H_5	3	67	266-268 (ethanol)	3437	3240	$C_{16}H_{18}N_4$ (266.3)	72.15 / 72.06	6.81 / 6.77	21.03 / 20.98
7g	CH_3	CH_3	4-*n*-C_4H_9	5	49	227-229 (ethyl acetate)	3460	3265	$C_{18}H_{22}N_4$ (294.4)	73.43 / 73.35	7.53 / 7.69	19.03 / 18.87
7h	CH_3	CH_3	2-Cl	4	43	241-243 (ethyl acetate)	3450	3230	$C_{14}H_{13}ClN_4$ (272.4)	61.65 / 61.80	4.81 / 4.80	20.54 / 20.61
7i	CH_3	CH_3	4-Cl	5	50	314-316 (2-ethoxyethanol)	3450	3240	$C_{14}H_{13}ClN_4$ (272.4)	61.65 / 61.62	4.81 / 4.82	20.54 / 20.48
7j	CH_3	CH_3	3,4-Cl_2	5	40	299-301 (butanone)	3430	3230	$C_{14}H_{12}Cl_2N_4$ (307.2)	54.74 / 54.67	3.93 / 4.12	18.23 / 18.25
7k	CH_3	CH_3	2-F	7	37	231-233 (ethyl acetate)	3440	3240	$C_{14}H_{13}FN_4$ (256.3)	65.61 / 65.97	5.11 / 5.25	21.86 / 21.24
7l	CH_3	CH_3	4-F	5	30	290-292 (dioxane)	3450	3240	$C_{14}H_{13}FN_4$ (256.3)	65.61 / 65.65	5.11 / 5.13	21.86 / 21.77
7m	CH_3	CH_3	3-CF_3	4	42	249-251 (toluene)	3430	3230	$C_{15}H_{13}F_3N_4$ (306.3)	58.82 / 59.28	4.27 / 4.26	18.29 / 18.06
7n	-$(CH_2)_4$-		H	4	50	258-260 (dioxane)	3442	3240	$C_{16}H_{16}N_4$ (278.4)	72.70 / 72.36	6.10 / 6.12	21.19 / 20.87
7o	-$(CH_2)_4$-		CH_3	5	38	268-270 (dioxane)	3442	3230	$C_{17}H_{18}N_4$ (264.3)	73.35 / 73.37	6.51 / 6.52	20.12 / 20.12

Our intention in the present work was therefore to test the applicability of our reagent for a possible one step reaction involving both dealkylation and amination of **2** to give amino compounds **7**.

We reacted **2a** with a mixture of phosphorus pentoxide, dimethylcyclohexylamine (DMCA) and *p*-chloroaniline hydrochloride at 200° for 1.5 hours and we isolated a mixture of two compouds, namely the dealkylated product **7i** and the *N*7-(1-phenylethyl)-substituted product **8** in 21% and 48% yields respectively. The experiment was repeated with the prolonged reaction time of 3.5 hours. This change in time showed to favour the formation of the dealkylated product **7i** isolated in 46% yield along with 7% yield of **8** (Scheme III).

In order to facilitate the dealkylation step, the acidity of our reagent was increased by using triethylamine hydrochloride instead of DMCA besides an increase in temperature to 240°. Due to these conditions totally dealkylation will now occur to afford *N*-aryl-7*H*-pyrrolo[2,3-*d*]pyrimidin-4-amines **7** as sole products (Table I).

Scheme III

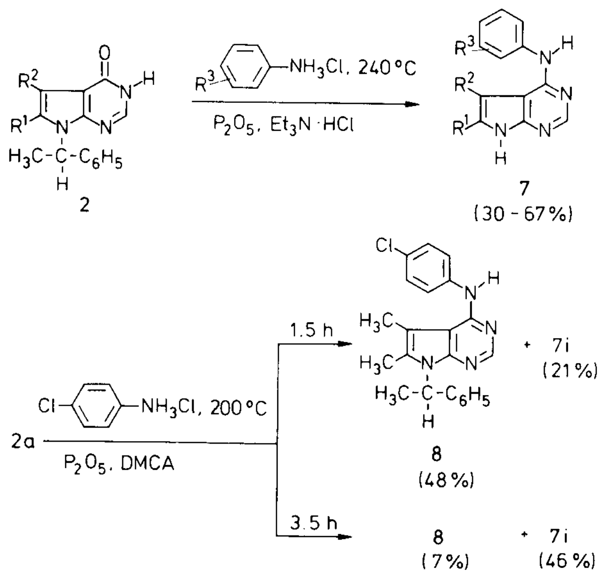
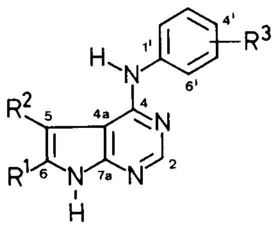


Table II

^{13}C Chemical Shifts of *N*-Aryl-7*H*-pyrrolo[2,3-*d*]pyrimidin-4-amines 7



	Pyrrolopyrimidine Ring							Benzene Ring					Aliphatic R		
	C-2	C-4	C-4a	C-5	C-6	C-7a	C-1'	C-2'	C-3'	C-4'	C-5'	C-6'	R¹[a]	R²[a]	R³
7a	149.1	153.0	102.2	103.1	128.5	150.3	140.2	120.4	127.8	121.5			10.2	9.9	
7b	149.1	153.1	103.9	103.0	129.2	150.1	137.5	120.6	128.2	130.5			10.0	9.8	19.8
7c	149.1	153.0	102.9	103.8	128.2	150.2	139.9	118.0	136.7	123.1			10.0	9.8	20.5
7d	149.7	154.6	102.7	103.2	127.5	150.2	137.0	136.1	127.0	125.5			10.1	10.0	17.7
7e	149.3	154.0	103.6	102.9	126.6	150.1	137.5	136.8	127.8	124.9	125.4	123.8	10.1	9.9	13.3 23.5
7f	149.5	153.4	104.1	103.4	128.5	150.3	137.9	121.2	127.4	137.4			10.6	10.3	15.8 27.5
7g	149.1	153.2	103.9	103.0	128.2	150.2	137.7	120.7	127.5	135.7			10.1	9.9	13.1 21.2 32.7 33.8
7h	148.8	152.2	104.2	102.4	129.2	150.2	136.3	122.8[a]	128.5	122.8 [a]	126.9	122.2 [a]	10.0	9.8	
7i	149.3	152.7	104.5	103.4	129.0	150.6	139.4	122.1	128.0	125.3			10.6	10.3	
7j	149.1	152.2	104.8	103.4	129.5	150.7	140.7	121.2	130.4	122.7	129.9	120.3	10.7	10.2	
7k	149.5	153.3	104.2	103.2	128.9	150.4	128.1 (d) J = 10.7 Hz	154.7 (d) J = 244 Hz	115.1 (d) J = 19.5 Hz	124.5 (d) J = 5.9 Hz	124.2 (d) [a] J = 4.3 Hz	123.9 (d) [a] J = 4.3 Hz	10.6	10.2	
7l	149.4	153.0	103.0	103.8	128.3	150.2	136.4 (d) J = 1.1 Hz	122.5 (d) J = 7.8 Hz	114.2 (d) J = 22.5 Hz	157.4 (d) J = 239 Hz			10.1	9.9	
7m	148.8	152.3	104.6	103.1	128.6	150.5	141.1	116.2 (q) J = 3.9 Hz	129.0 (q) J = 31 Hz	117.4 (q) J = 3.9 Hz	128.7	123.6	10.1	9.8	123.4 (q) J = 243 Hz
7n	149.2	152.9	103.0	105.8	131.3	150.8	140.1	120.3	127.8	121.5			21.5 22.1	21.8 22.5	
7o	149.2	153.1	102.7	105.8	131.0	150.6	137.5	120.5	128.2	130.5			21.5 22.0	21.7 22.5	19.8

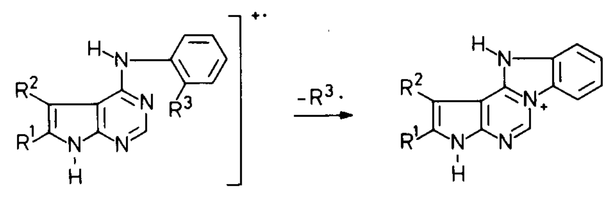
[a] These values may be interchanged. (d) Doublet. (q) Quartet.

The one step method employed in this work clearly manifests its superiority in synthesizing **7**. In addition to its convenience and easiness, the products **7** were obtained in 30-67% yields, whereas using the three step route, the overall yield could not exceed 45%.

The identity of the pyrrolo[2,3-*d*]pyrimidin-4-amines **7** and **8** was established by elemental analysis, uv, ir, ms, ^{1}H-nmr, and ^{13}C-nmr. The uv spectra of **7** (Table III) are characterized by the presence of two maxima in the 238 (sh) and 305 nm regions. N-H infrared stretching bands of **7** and **8** appear in the 3420-3460 cm^{-1} region, in addition **7** showed stretch vibrations in the 3220-3265 cm^{-1} region due to *N*7-H (Table I). Their mass spectra show a general tendency to form cyclic fragment ion such as **9**, through expulsion of the ortho substituent of the anilino group. The peak corresponding to this ion occurs at M^+-1, M^+-15, M^+-19 or M^+-35 when the ortho substituent is H, CH_3, F or Cl, respectively (Table III, Scheme IV).

The ^{1}H-nmr spectra (determined in DMSO-d$_6$ at 85°) confirm the structures of **7**. In some cases the N-H proton signal overlaps signals of aromatic protons. This could be established by addition of deuterium oxide, which lead to a collapse of the N-H signal in the aromatic cluster as well

Scheme IV



7 9

as a collapse of the N7-H signal around 11.0 ppm (Table III).

The ^{13}C-nmr parameters of **7**, determined in DMSO-d$_6$ at 85°, have been summarized according to carbon numbering given in Table II. The spectra exhibit the proposed features outlined in our previous work [9] concerning carbon chemical shifts for *N*-aryl-7-phenyl-2,5,6-trimethyl-7*H*-pyrrolo[2,3-*d*]pyrimidin-4-amines. The assignments of the carbon resonances were confirmed by analogy and inspection of the proton-noise-decoupled spectra and the proton-undecoupled spectra. The chemical shifts of the phenyl carbons C-1' - C-6' were assigned on basis of a comparison between the unsubstituted phenyl compounds **7a**, **7n**, and the substituted phenyl compounds, taking account of multiplicity and the effect of the substituents.

Table III

Spectral properties of **7**

	UV [a] λ max nm	log ε	MS m/e (% Intensity)	^{1}H-NMR (DMSO-d_6, δ-values from TMS) [b]
7a	238 [c]	4.10	238 (M^+, 89)	2.28 (s, CH_3, 3H), 2.40 (s, CH_3, 3H), 7.01-7.83 (m, ArH, 5H; NH, 1H), 8.19 (s, H-2, 1H),
	304	4.34	237 (100)	11.20 (br s, NH, 1H)
7b	236 [c]	4.03	252 (M^+, 92)	2.26 (s, 2 × CH_3, 6H), 2.37 (s, CH_3, 3H), 7.14-7.65 (m, ArH, 4H;NH, 1H), 8.10 (s, H-2,
	304	4.32	251 (100)	1H), 10.95 (br s, NH, 1H)
7c	237 [c]	4.03	266 (M^+, 94)	2.26 (s, 3 × CH_3, 9H), 2.37 (s, CH_3, 3H), 6.63 (s, ArH, 1H), 7.34 (s, ArH, 2H), 7.58
	305	4.36	265 (100)	(br s, NH, 1H), 8.13 (s, H-2, 1H), 11.73 (br s, NH, 1H)
7d	240 [c]	4.15	266 (M^+, 69)	2.16 (s, 2 × CH_3, 6H), 2.26 (s, CH_3, 3H), 2.37 (s, CH_3, 3H), 7.08 (s, ArH, 3H), 7.39
	301	4.13	251 (100)	(br s, NH, 1H), 7.86 (s, H-2, 1H), 11.01 (br s, NH, 1H)
7e	242 [a]	3.93	266 (M^+, 98)	1.16 (t, CH_3, 3H, J = 7.8 Hz), 2.26 (s, CH_3, 3H), 2.35 (s, CH_3, 3H), 2.51 (q, CH_2, 2H, J
	300	4.15	237 (100)	= 7.8 Hz), 7.05-7.82 (m, ArH, 4H, NH, 1H), 8.02 (s, H-2, 1H), 10.93 (br s, NH, 1H)
7f	236 [c]	4.06	266 (M^+, 100)	1.18 (t, CH_3, 3H, J = 7.5 Hz), 2.26 (s, CH_3, 3H), 2.39 (s, CH_3, 3H), 2.44 (q, CH_2, 2H, J
	304	4.36	265 (80)	= 7.5 Hz), 7.05-7.69 (m, ArH, 4H), 7.84 (br s, NH, 1H), 8.11 (s, H-2, 1H),11.20 (br s,
				NH, 1H)
7g	240 [c]	4.02	294 (M^+, 100)	0.90 (t, CH_3, 3H, J = 5.7 Hz), 1.16-1.49 (m, 2 × CH_2, 4H), 2.26 (s, CH_3, 3H), 2.38 (s,
	309	4.30	251 (88)	CH_3, 3H), 2.48 (q, CH_2, 2H), 7.01-7.67 (m, ArH, 4H; NH, 1H), 8.12 (s, H-2, 1H), 11.13
				(br s, NH, 1H)
7h	240 [c]	4.09	272 (M^+, 23)	2.27 (s, CH_3, 3H), 2.42 (s, CH_3, 3H), 7.04-7.59 (m, ArH, 3H), 7.77 (br s, NH, 1H), 8.17
	310	4.32	237 (100)	(s, H-2, 1H), 8.42-8.56 (m, ArH, 1H), 11.42 (br s, NH, 1H)
7i	245 [c]	4.04	272 (M^+, 100)	2.27 (s, CH_3, 3H), 2.39 (s, CH_3, 3H), 7.26-7.87 (m, ArH, 4H), 8.07 (s, NH, 1H), 8.17 (s,
	310	4.37	271 (74)	H-2, 1H), 11.46 (br s, NH, 1H)
7j	246 [c]	4.00	306 (M^+, 100)	2.29 (s, CH_3, 3H), 2.39 (s, CH_3, 3H), 7.41-8.15 (m, ArH, 3H, NH, 1H), 8.21 (s, H-2, 1H),
	314	4.36	305 (85)	11.51 (br s, NH, 1H)
7k	235 [c]	4.07	256 (M^+, 80)	2.28 (s, CH_3, 3H), 2.38 (s, CH_3, 3H), 7.08-7.41 (m, ArH, 3H), 7.62 (br s, NH, 1H), 8.03-
	304	4.25	237 (100)	8.30 (m, ArH, 1H, H-2, 1H), 11.05 (br s, NH, 1H)
7l	238 [c]	4.08	256 (M^+, 100)	2.27 (s, CH_3, 3H), 2.39 (s, CH_3, 3H), 6.94-7.84 (m, ArH, 4H, NH, 1H), 8.13 (s, H-2, 1H),
	304	4.27	255 (87)	11.17 (br s, NH, 1H)
7m	242 [c]	4.06	306 (M^+, 100)	2.29 (s, CH_3, 3H), 2.41 (s, CH_3, 3H), 7.06-8.07 (m, ArH, 4H, NH, 1H), 8.20 (s, H-2, 1H),
	312	4.33	305 (70)	11.13 (br s, NH, 1H)
7n	240 [c]	4.08	264 (M^+, 98)	1.81 (m, 2 × CH_2, 4H), 2.68 (m, CH_2, 2H), 2.93 (m, CH_2, 2H), 6.96-7.83 (m, ArH, 5H,
	308	4.30	263 (100)	NH, 1H), 8.18 (s, H-2, 1H), 11.07 (br s, NH, 1H)
7o	242 [c]	4.05	278 (M^+, 100)	1.81 (m, 2 × CH_2, 4H), 2.27 (s, CH_3, 3H), 2.66 (m CH_2, 2H), 2.96 (m, CH_2, 2H), 7.01-
	308	4.32	277 (96)	7.66 (m, ArH, 5H, NH, 1H), 8.12 (s, H-2, 1H), 11.13 (br s, NH, 1H)

[a] Absolute ethanol. [b] Recorded at 85°. [c] Shoulder.

EXPERIMENTAL

Microanalyses were carried out at NOVO A/S, Copenhagen. The ^{1}H-and ^{13}C-nmr spectra were recorded on a JEOL-FX 60 in DMSO-d_6 at 85° with TMS as internal standard. Ir spectra were recorded on a Perkin-Elmer 580 (potassium bromide used in all cases), uv spectra on a Varian Cary 219 (absolute ethanol as solvent in all cases) and mass spectra on a Varian MAT 311 A. Melting points were obtained on a Büchi-apparatus (uncorrected).

N-Aryl-7*H*-pyrrolo[2,3-*d*]pyrimidin-4-amines **7a-o**. General Procedure.

The reagent was prepared by mixing phosphorus pentoxide (17 g, 0.12 mole), triethylamine hydrochloride (16.5 g, 0.12 mole), and the arylamine hydrochloride (0.12 mole) in a 250 ml 3-necked flask fitted with a mechanical stirrer and a reflux condenser with a drying tube (calcium chloride). The mixture was heated in an oil bath at 240° (oil bath temperature) until a homogeneous mixture was achieved (~0.5 hour). Compound **2** (0.03 mole) was added, and heating with stirring was continued for reaction periods given in Table I. The reaction progress was followed by taking a sample (~100 mg) from the mixture at 0.5 hour periods. The sample was treated with 2*M* sodium hydroxide and extracted with dichloromethane. The extract was subjected to silica gel tlc with ethyl acetate: 2-methoxyethanol (10:1) as eluent. The disappearance of the starting material was monitored using **2** as reference. The reactions was continued until **2** and the product of type **8** was not present in the extract. The flask was removed from the oil bath and allowed to cool to about 100°C and 2 *M* sodium hydroxide (~250 ml) was added until alkaline reaction (*p*H = 12-14). Stirring was continued until the reaction cake was digested (~0.5 hour). The precipitate was filtered off (if necessary facilitated by adding ether or methanol (20 ml)) washed with water, dried, and recrystallized.

N-(4-Chlorophenyl)-7-(1-phenylethyl)-7*H*-pyrrolo[2,3-*d*]pyrimidin-4-amine (**8**).

Phosphorus pentoxide (17 g, 0.12 mole), *N,N*-dimethylcyclohexylamine (15.3 g, 0.12 mole), and *p*-chloroaniline hydrochloride were mixed 0.5 hour at 240° according to the general procedure. The temperature was lowered to 200° and **1a** was added and reacted for 1.5 hours. The flask was removed from the oil bath and cooled to about 100°, then 2 *M* sodium hydroxide was added till alkaline reaction (*p*H = 12-14). Stirring was continued at room temperature until the reaction cake was digested. The precipitate was filtered off, washed with water, and recrystallized from 2-ethoxyethanol to give 1.75 g (21%) of **7i**. The alkaline mother liquor was extracted with dichloromethane (3 × 100 ml). The extract was washed with water, dried with sodium sulphate, and evaporated to dryness under reduced pressure. The solid left was recrystallized from toluene to give 5.46 g (48%) of the title compound, mp 177°; ir (potassium bromide): 3445 (N-H), 3260 (N_7-H), cm^{-1}; uv (absolute ethanol): λ max (log ε) 245 (sh) (4.15), 311 nm (4.41); ms: m/e 376 (M^+, 46), 272 (100), 271 (63); ^{1}H-nmr (deuteriochloroform): 1.95 (d, CH_3, 3H, J = 7.3 Hz), 2.02 (s, CH_3, 3H), 2.42 (s, CH_3, 3H), 6.37 (q, CH, 1H, J = 7.3 Hz), 7.04-7.39 (m, ArH, 7H; NH, 1H), 7.54-7.73 (m, ArH, 2H), 8.37 (s, H-2, 1H); ^{13}C-nmr (deuteriochloroform): δ 11.09 (CH_3 at C-5), 11.13 (CH_3 at C-6), 19.00 (-CH-*C*H_3), 50.98 (-*C*H-CH_3), 103.71 (C-4a), 104.42 (C-5), 121.70 (*o*-anilino C), 126.28 (*o*-phenyl C), 127.74 (*p*-anilino C), 128.48 (*m*-phenyl C), 128.87 (*m*-anilino C), 130.60 (C-6), 138.13 (*i*-anilino C), 141.38 (*i*-phenyl C), 150.14 (C-2), 150.76 (C-7a), 153.52 (C-4).

Anal. Calcd. for $C_{22}H_{21}ClN_4$: C, 70.11; H, 5.62; N, 14.86; Cl, 9.41. Found: C, 70.44; H, 5.71; N, 14.90; Cl, 9.71.

REFERENCES AND NOTES

[1] Part XIX, *cf.* K. A. M. El-Bayouki, F. E. Nielsen, and E. B. Pedersen, *J. Heterocyclic Chem.*, in press.

[2] Present address, National Research Centre, Dokki-Cairo, Egypt.

[3] R. J. Suhadolnik, "Nucleoside Antibiotics", Wiley-Interscience, New York, NY, 1970.

[4] H. Kasai, Z. Ohashi, F. Harada, S. Nishimura, N. J. Oppenheimer, P. F. Crain, J. G. Liehr, D. L. von Minden, and J. A. McCloskey, *Biochemistry*, **14**, 4198 (1975).

[5] N. S. Girgis, A. Jørgensen, and E. B. Pedersen, *Ann. Chem.*, 2066 (1983).

[6] A. Jørgensen, N. S. Girgis, and E. B. Pedersen, *Chem. Scr.*, **23**, 73 (1984).

[7] N. S. Girgis, A. Jørgensen, and E. B. Pedersen, *Synthesis*, 101 (1985).

[8] A. Jørgensen, N. S. Girgis, and E. B. Pedersen, *Ann. Chem.*, 142 (1985).

[9] A. Jørgensen, N. S. Girgis, and E. B. Pedersen, *Chem. Scr.*, **24**, 73 (1984).

[10] H. Pichler, "Beiträge zur Synthese von Pyrrolo[2,3-*d*]pyrimidinen", Thesis, Bonn, 1982.

[11] H. J. Roth, K. Eger, S. Issa, and H. Jacobi, German Offen DE 2,818,676 (1979); *Chem. Abstr.*, **92**, 58818p (1980).

[12] H. Jacobi, H. J. Roth, J. Hermann, H. Eger, and H. Pichler, German Offen DE 3,036,390 (1982); *Chem. Abstr.*, **97**, 92306h (1982).