Monatshefte für Chemie 118, 399—407 (1987)

Monatshefte für Chemie
Chemical Monthly

Synthesis and Transformations of Some Pyrido[2,3—*d*]pyrimidines

Marijan Kočevar[a], **Jože Koller**[b], **Branko Stanovnik**[a], and **Miha Tišler**[a,*]

[a] Department of Chemistry, E. Kardelj University, YU-61000 Ljubljana, Yugoslavia

[b] Chemical Institute Boris Kidrič, YU-61000 Ljubljana, Yugoslavia

(Received 18 March 1986. Accepted 18 April 1986)

A new synthetic approach for pyrido[2,3—*d*]pyrimidine 3-oxides and other pyrido[2,3—*d*]pyrimidines has been developed. This bicyclic system readily undergoes ring opening to give a variety of products, depending on the reagent and reaction conditions.

(Keywords: Cyclization with C—N *or* C—O *bond formation; Ring opening; Substituted pyridines; Substituted 1,2,4-oxadiazoles)*

Synthesen und Umwandlungen einiger Pyrido[2,3—d]pyrimidine

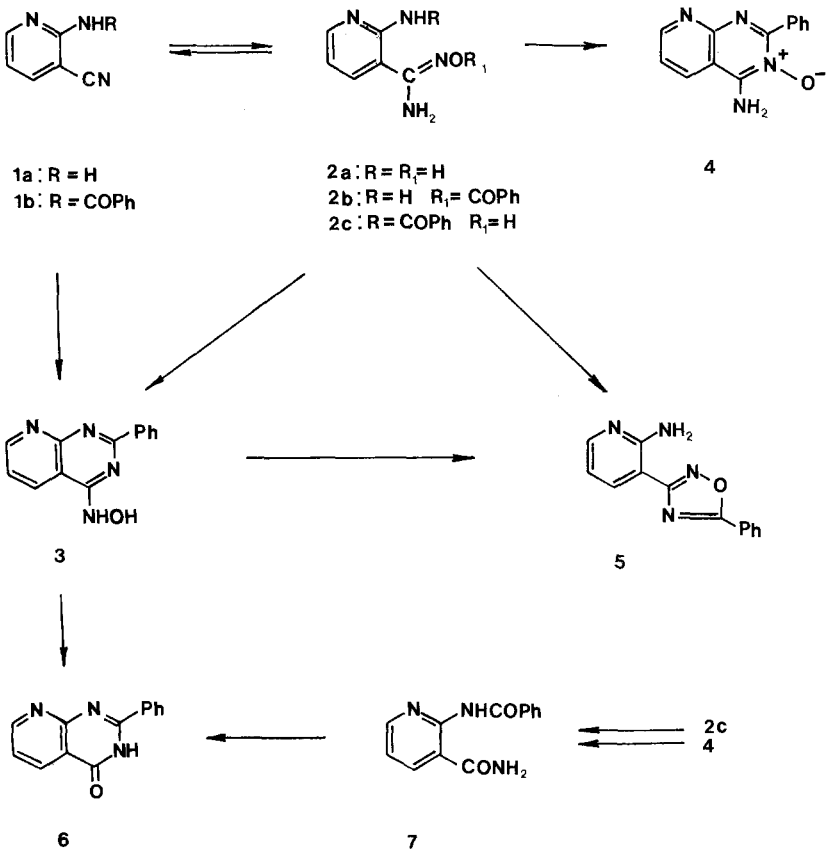
Synthesen von Pyrido[2,3—*d*]pyrimidin-3-oxiden und einigen anderen Pyrido[2,3—*d*]pyrimidinen werden beschrieben. Das bicyclische System reagiert leicht unter Ringöffnung, wobei entsprechend den Reaktionsbedingungen und Reagenzien verschiedene Produkte entstehen.

Introduction

Syntheses of pyrido[2,3—*d*]pyrimidines start mainly from 2-aminonicotinic acids or their derivatives such as esters, amides, nitriles, or from 2-aminonicotinaldehyde or pyrido[2,3—*d*](1,3)-oxazin-4-ones [1]. For the most part the corresponding 4-ones or 2,4-diones have been synthesized. Transformation of 2-aminonicotinonitrile with formamide gave the corresponding 4-amino derivatives [2]. We describe here a new synthetic approach for this bicyclic system and some transformations which reveal the easiness of pyrimidine ring opening.

Results and Discussion

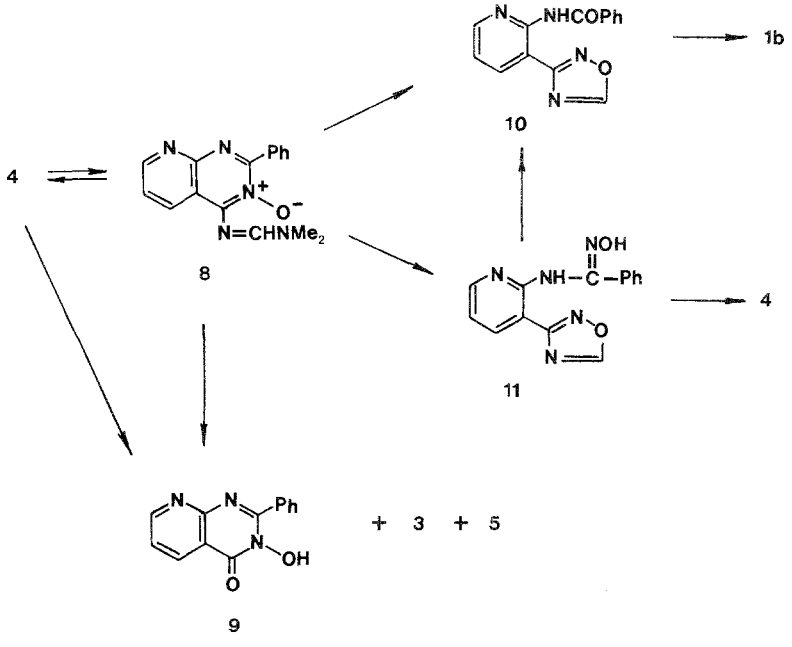
2-Benzoylaminopyridine-3-carboxamide oxime (**2c**), prepared from the cyano compound **1b** was transformed in the presence of sulfuric or polyphosphoric acid into 4-amino-2-phenylpyrido[2,3—*d*]pyrimidine 3-oxide (**4**). Alternatively, the cyano compound **1b** when heated in an alcoholic solution of hydroxylamine hydrochloride afforded in moderate yield 4-hydroxylamino-2-phenylpyrido[2,3—*d*]pyrimidine (**3**). The amidoxime **2c** or its analogue **2b** (at the amidoxime group benzoylated) were transformed with hot aqueous alkali into the corresponding oxadiazolylpyridine **5**. The amidoxime **2c** gave besides compound **5** also the bicyclic hydroxylamino derivative **3**. Treatment of the amidoxime **2c** with nitrous acid yielded the substituted nicotinamide **7** which cyclized thermally or in the presence of alkali into 2-phenylpyrido[2,3—*d*]pyrimidin-4(3*H*)one



(**6**). This compound had been obtained previously either from the corresponding ester and ammonia or from benzoylation of 2-nictotinamide [3]. In an analogous procedure the amide **7** could be prepared by nitrosation of the N-oxide **4**.

Treatment of these bicyclic products with base caused in the first instance the pyrimidine ring opening followed by either ring closure to an oxadiazolyl ring or the formation of a rearranged pyridopyrimidine. The last case represents thus a kind of *Dimroth* rearrangement. The hydroxylamino compound **3** is transformed in this manner into a mixture of the oxadiazolyl compound **5** and the bicyclic pyrimidinone **6**. The N-oxide **4**, however, is transformed in the presence of hot aqueous sodium hydroxide into the oxadiazolyl derivative **5**, accompanied by minor amounts of the hydroxylamino **3** and N-hydroxy compound **9**. The latter compound is formed most probably upon hydrolytic displacement of the amino group in **4**, since a ring opened amidoxime would give rise to a 2-benzoylaminopyridine derivative. Compound **9** is stable in a solution of hydrochloric acid. Treatment of the amidine N-oxide **8** with alkali at room temperature yielded again the N-hydroxy compound **9** whereas upon heating this product **9** was accompanied by a small amount of compounds **3**, **4**, and **5**.

The amidine N-oxide **8** reacts with methanolic hydroxylamine hydrochloride at room temperature to give in almost quantitative yield the

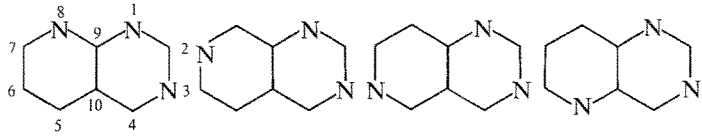


oxadiazolyl derivative **11**. This is further transformed by aqueous alkali at room temperature into the bicyclic N-oxide **4** or with hydrochloric acid into compound **10**, accessible also in a direct transformation of **8** with acid.

These results are of interest in view of the reactivity of a fused pyrimidine ring. It is well known that, in general, the pyrimidine ring undergoes most easily transformations with nucleophiles at position 4 [4]. Addition reactions in fused pyrimidines such as pteridines or quinazolines occur preferentially across the 3,4-double bond [5–10]. In the case of four isomeric pyridopyrimidines this bond is involved in covalent hydration [11]. Using tentative reactivity "rules" and the activation-numbering system the following order has been predicted for nucleophilic substitution: for 2-substituted pyridopyrimidines: 4,3—d = 2,3—d > 3,4—d > 3,2—d, and for 4-substituted pyridopyrimidines: 4,3—d > 3,2—d > 2,3—d ≥ 3,4—d [4].

Since the reactivity of these four parent bicyclic systems so far has not been correlated, we have performed theoretical calculations. Standard geometries for the pyridine and pyrimidine rings [12] with the bond lengths and angles were used to calculate the geometries of isomeric pyridopyrimidines. Net charges on particular carbon and nitrogen atoms were calculated by the semiempirical MNDO (modified NDDO) method [13, 14] and these are presented in Table 1 (net charges are given in 10^3

Table 1. *Net charges (10^3 atomic units)*



Positions	[2,3—*d*]	[3,4—*d*]	[4,3—*d*]	[3,2—*d*]
1	—299	—237	—262	—247
2	157	141	166	145
3	—281	—262	—282	—274
4	151	132	160	153
5	45	—72	125	—189
6	—140	36	—240	62
7	104	—216	68	—99
8	—196	100	—101	12
9	156	13	121	30
10	—218	—123	—238	—77
Total energy (eV)	—1 586.065	—1 586.087	—1 586.131	—1 586.130

atomic units). From the calculated data it appears that the unsubstituted isomeric pyridopyrimidines will be attacked by nucleophiles at position 2 in the following order of decreasing reactivity: 3,4—*d* ≥ 3,2—*d* > 2,3—*d* > 4,3—*d*. For position 4 the following order appears to be operating: 3,4—*d* > 2,3—*d* ≥ 3,2—*d* > 4,3—*d*. Thus the 3,4—*d* system should be most and the 4,3—*d* system the least reactive.

It should be mentioned, however, that the 4,3—*d* system was found most reactive under acidic conditions at *pH* 2 when after covalent hydration ring opening occurs with great ease. Except for the 3,2—*d* system, it appears that nucleophilic attack would preferentially take place at position 4, rather than at 2. There are also small differences in total energies of these systems and calculations predict the 4,3—*d* and 3,2—*d* systems as the most stable of the four isomeric bicycles in the ground state.

These predictions are also of interest in connection with our recent studies on reactivity of various azolopyrimidines or azoloquinazolines towards nucleophiles. In these compounds only positions N_1 and C_2 have remained unblocked and, indeed, these compounds proved to be quite reactive at these position [15–17]. All these transformations represent a valuable contribution to the knowledge about the pyrimidine ring stability in condensed pyrimidines.

Experimental

Melting points were determined on a *Kofler* hot plate apparatus and are uncorrected. Nuclear magnetic resonance spectra (NMR) were obtained with a JEOL C-60 HL spectrometer. Chemical shifts are reported in δ values relative to Me_4Si as the internal standard. Mass spectra were recorded on a Hitachi-Perkin-Elmer RMU-6L spectrometer. Microanalyses were performed on a Perkin-Elmer CHN Analyzer 240 C. Most of the commercial chemicals were purified or dried by standard procedures.

2-(Benzoylamino)-3-cyanopyridine (**1 b**)

A mixture of 2-amino-3-cyanopyridine (**1 a**) (1.2 g), benzoyl chloride (1.9 g) and pyridine (15 ml) was heated under reflux for 3.5 h. Upon evaporation of the solvent the oily residue was suspended in water (15 ml) and upon cooling to 0 °C the separated crystals were filtered and washed with water and ethanol. The product was crystallized from ethanol (1.6 g; 71%): m.p. 207–209 °C; MS: 223 (M^+); ^{1}H NMR (Me_2SO-d_6), δ 8.72 (dd, H_6), 8.36 (dd, H_4), 7.9–8.1 (m, H_2, and $H_{6'}$), 7.30–7.65 (m, H_5 and $H_{3'}$, $H_{4'}$, $H_{5'}$), $J_{4,5} = 6.7$, $J_{5,6} = 5.4$, $J_{4,6} = 2.0$ Hz. Anal. calcd. for $C_{13}H_9N_3O$: C 69.94, H 4.06, N 18.83; found: C 69.81, H 4.01, N 18.67.

Compound **1 b** could be obtained also from the oxadiazolyl derivative **10** and 5% aqueous NaOH at room temperature after 19 h in 89% yield.

2-(Benzoylamino)pyridine-3-carboxamide oxime (**2 c**)

To a solution of methanolic sodium methylate, prepared from sodium (1.46 g) and methanol (50 ml), hydroxylamine hydrochloride (4.4 g) was added and the

mixture was stirred at room temperature for 3 h. The precipitate (NaCl) was filtered, to the filtrate compound **1b** (4.4 g) was added and the mixture was heated under reflux for 30 min. Upon cooling water (5 ml) and glacial acetic acid were added until *pH* 5–6. The mixture was cooled to about —10 °C, the separated product was filtered and crystallized from methanol (3.4 g; 67%): m.p. 172–174 °C (dec. and partial transformation into compound **3**); MS: 256 (M^+); ^{1}H NMR (Me_2SO-d_6), δ 8.31 (dd, H_6), 7.99 (dd, H_4), 7.77–8.0 (m, H_2, and $H_{6'}$), 7.4–7.6 (m, $H_{3'}$, $H_{4'}$, $H_{5'}$), 7.14 (dd, H_5), $J_{4,5}$ = 7.9, $J_{4,6}$ = 1.8, $J_{5,6}$ = 4.8 Hz. Anal. calcd. for $C_{13}H_{12}N_4O_2$: C 60.93, H 4.72, N 21.80; found: C 60.94, H 4.65, N 22.03.

The compound, when treated with N,N-dimethylformamide dimethyl acetal in boiling $CHCl_3$ for 2 h was transformed into a mixture of **1b** (66%) and N,N-dimethylurea (7%).

4-Amino-2-phenylpyrido[2,3—d]pyrimidine-3-oxide (**4**)

A) A mixture of the amidoxime **2c** (0.5 g) and conc. H_2SO_4 (2 ml) was heated at 75–80 °C for 95 min until a clear solution was obtained. The cooled mixture was poured on ice (7 g), the solid was filtered (20 mg of benzoic acid) and the filtrate was neutralized under cooling with conc. aqueous ammonia (*pH* 5–6) and left on ice. The separated product was crystallized from methanol (0.31 g, 67%): m.p. 214–215 °C; MS: 238 (M^+); ^{1}H NMR (Me_2SO-d_6), δ 9.00 (dd, H_7), 8.74 (dd, H_5), 8.35–8.58 (m, H_2, and $H_{6'}$), 7.4–7.7 (m, H_6 and $H_{3'}$, $H_{4'}$, $H_{5'}$), $J_{5,6}$ = 8.4, $J_{5,7}$ = 1.8, $J_{6,7}$ = 4.5 Hz. Anal. calcd. for $C_{13}H_{10}N_4O$: C 65.53, H 4.23, N 23.52; found: C 65.82, H 4.36, N 23.64.

B) Instead of sulfuric acid polyphosphoric acid was used and the mixture was heated at 75–80 °C for 1.5 h and at 120–125 °C for 3 h. After the workup as described above the N-oxide was obtained in 39% yield, identical in all respects with the compound prepared as described under A).

4-Hydroxylamino-2-phenylpyrido[2,3—d]pyrimidine (**3**)

A mixture of compound **1b** (0.5 g) and hydroxylamine (0.5 g, prepared from its hydrochloride as described for the preparation of **2c**) in ethanol (10 ml of 100%) was heated under reflux for 9 h. The obtained solution was cooled t 15 °C and the separated product (0.14 g, 26%) was crystallized from methanol, m.p. 212–214 °C; MS: 238 (M^+); ^{1}H NMR (Me_2SO-d_6), δ 8.52 (dd, H_7), 8.12 (dd, $H_{5'}$), 8.1 (m, H_2, and $H_{6'}$), 7.55 (m, $H_{3'}$, $H_{4'}$, H_5), 7.22 (dd, H_6), $J_{5,6}$ = 7.9, $J_{5,7}$ = 1.8, $J_{6,7}$ = 4.8 Hz. Anal. calcd. for $C_{13}H_9N_3O$: C 65.53, H 4.23, N 23.52; found: C 65.85, H 4.45, N 23.70.

2-Aminopyridine-3-carboxamide-O-benzoyloxime (**2b**)

Benzoyl chloride (2.2 g) was added dropwise to a mixture of the amidoxime **2c** (2.0 g) and triethylamine (1.7 g) in $CHCl_3$ (20 ml) and the mixture was stirred at room temperature for 3 h. Upon evaporation *in vacuo* the solid residue was treated with water (30 ml) and the remaining product was filtered and crystallized from a mixture of methanol and *DMF*, (2.9 g, 86%), m.p. 184–187 °C. ^{1}H NMR (Me_2SO-d_6), δ 6.60 (dd, H_5), 7.6 (m, $H_{3'}$, $H_{4'}$, $H_{5'}$), 7.7–8.2 (m, H_4, H_6, $H_{2'}$, $H_{6'}$), $J_{4,5}$ = 8.0, $J_{5,6}$ = 4.8 Hz. Anal. calcd. for $C_{13}H_{12}N_4O_2$: C 60.93, H 4.72, N 21.87; found: C 60.93, H 4.84, N 21.78.

2-Amino-3-(5'-phenyl-1',2',4'-oxadiazolyl-3')pyridine (**5**)

A) The above benzoyl derivative (**2b**) (0.2 g) was heated in aqueous sodium hydroxyde (3 ml of 5%) for 35 min, the cooled solution was neutralized with

glacial acetic acid and the separated product was crystallized from methanol (0.13 g, 70%), m.p. 177–179 °C (Ref. [18] m.p. 177 °C). Anal. calcd for $C_{13}H_{10}N_4O$: C 65.53, H 4.23, N 23.52; found: C 65.46, H 4.28, N 23.46.

B) The amidoxime **2c** (0.5 g) in aqueous sodium hydroxide (7 ml of 5%) was heated under reflux for 30 min, cooled and the separated product was filtered to give the oxadiazolyl derivative **5** (0.22 g, 47%). From the filtrate after acidification with glacial acetic acid and cooling to 0 °C another product was obtained (0.1 g, 22%), identified as the hydroxylamino derivative **3**.

2-Benzoylaminonicotinamide (7)

A) To a cold mixture of the amidoxime **2c** (0.256 g), water (3 ml) and conc. hydrochloric acid (1 ml) a solution of $NaNO_2$ (0.13 g) in water (1 ml) was added dropwise at 0 °C. The resulting mixture was left at the same temperature for 3 h and neutralized with solid $NaHCO_3$ to *pH* 5–6. The obtained product was filtered and crystallized from methanol (0.2 g, 83%), m.p.: over 180 °C transformation to compound **6** takes place; MS: 241 (M^+); ^{1}H NMR (Me_2SO-d_6), δ 8.56 (dd, H_6), 8.20 (dd, H_4), 8.0 (m, $H_{2'}$ and $H_{6'}$), 7.6 (m, $H_{3'}$, $H_{4'}$, $H_{5'}$), 7.27 (dd, H_5), $J_{4,5} = 7.9$, $J_{4,6} = 1.8$, $J_{5,6} = 5.0$ Hz. Anal. calcd. for $C_{13}H_{11}N_3O_2$: C 64.72, H 4.60, N 17.42; found: C 65.02, H 4.57, N 17.65.

B) A similar treatment of N-oxide **4** afforded the amide in 49% yield.

2-Phenylpyrido[2,3—d]pyrimidin-4(3H)-one (**6**)

A) A solution of the amide **7** (0.12 g) in aqueous NaOH (2 ml of 5%) was stirred at room temperature for 2 h. After acidification with glacial acetic acid the separated product was filtered and crystallized from a mixture of *DMF* and methanol (81 mg, 73%), m.p. 287–289 °C (Ref. [3, 19] m.p. 291–292 °C); ^{1}H NMR (Me_2SO-d_6), δ 8.97 (dd, H_7), 8.53 (dd, H_5), 8.10–8.35 (m, $H_{2'}$ and $H_{6'}$), 7.4–7.7 (m, H_6, $H_{3'}$, $H_{4'}$, $H_{5'}$), $J_{5,6} = 7.8$, $J_{5,7} = 2.2$, $J_{6,7} = 5.1$ Hz. Anal. calcd. for $C_{13}H_9N_3O$: C 69.94, H 4.06, N 18.83; found: C 69.51, H 4.15, N 18.66.

B) If the amide was heated at 230 °C for 15 min and the resulting product crystallized as above, the bicyclic compound was obtained in 36% yield.

Transformation of 4-Hydroxylamino-2-phenylpyrido[2,3—d]pyrimidine in the Presence of Base

A) A mixture of the hydroxylamino compound **3** (0.1 g) and aqueous sodium hydroxide (3 ml of 5%) was heated under reflux for 1 h. Upon cooling to 0 °C the formed precipitate was filtered and identified as the oxadiazolyl derivative **5** (33 mg, 33%). From the filtrate after acidification with glacial acetic acid and after standing on ice overnight the starting compound **3** (62 mg) was recovered. From TLC analysis it could br established that also traces of the bicyclic pyrimidone **6** were present.

B) If the same procedure as above was applied, but heating was continued for 6 h, the oxadiazolyl derivative **5** was obtained in 62% yield, but from the filtrate only the bicyclic pyrimidone **6** could be isolated in 22% yield.

Transformation of 4-Amino-2-phenyl-pyrido[2,3—d]pyrimidine 3-oxide in the Presence of Base

A) The N-oxide **4** (0.2 g) and aqueous sodium hydroxide (2.5 ml of 5%) were heated under reflux for 30 min. From the cold reaction mixture a product separated, it was filtered and identified as the oxadiazolyl derivative **5** (34 mg,

17%). The filtrate was acidified with glacial acetic acid and after standing at 5 °C for 15 h the solid material (135 mg) was filtered. As shown by TLC it consisted of a mixture of the hydroxylamino (**3**) and N-hydroxy compound (**9**). Upon crystallization from methanol the orange hydroxylamino compound **3** was obtained together with yellow compound **9**.

B) If the above procedure was repeated, but the reaction mixture left at room temperature 2.5 h, upon neutralization with glacial acetic acid pure starting compound was recovered in 92% yield, whereas in the filtrate the presence of only **2c** could be detected. After 1 day at room temperature the starting compound was recovered in 50% yield.

3-(Dimethylaminomethyleneamino)-2-phenylpyrido[2,3—d]pyrimidine-3-oxide (**8**)

A mixture of the N-oxide **4** (0.7 g), N,N-dimethylformamide dimethyl acetal (1.0 g) and $CHCl_3$ (6 ml) was heated under reflux for 1 h. The solvent was evaporated and the oily residue was crystallized from benzene (0.6 g, 70%), m.p. 165–167 °C; MS: 293 (M^+); ^{1}H NMR (Me_2SO-d_6), δ 10.34 (s, CH), 8.88 (dd, H_7), 8.63 (dd, H_5), 8.07–8.27 (m, $H_{2'}$ and $H_{6'}$), 3.3–3.6 (m, $H_{6'}$, $H_{3'}$, $H_{4'}$, $H_{5'}$), 3.2 (s, NMe_2), $J_{5,6}$ = 8.4, $J_{5,7}$ = 1.8, $J_{6,7}$ = 4.3 Hz. Anal. calcd. for $C_{16}H_{15}N_5O$: C 65.51, H 5.15, N 23.88; found: C 65.76, H 5.19, N 23.49.

Transformation of the Amidine N-oxide **8** *in the Presence of Base*

A) A mixture of the above amidine N-oxide **8** (0.335 g) and aqueous sodium hydroxide (5 ml of 5%) was stirred at room temperature for 2.5 h. Water (3 ml) was added, the mixture was cooled to 0 °C and acidified with 10% hydrochloric acid to *pH* 4–5. The separated product was filtered and identified as the N-hydroxy compound **9** (0.235 g), containing traces of compound **4** as judged from TLC examination. Upon crystallization from a mixture of *DMF* and methanol, compound **9** was obtained pure (0.13 g, 48%), m.p. 213–215°; MS: 239 (M^+); ^{1}H NMR (Me_2SO-d_6), δ 9.02 (dd, H_7), 8.60 (dd, H_5), 7.8–8.0 (m, $H_{2'}$ and $H_{6'}$), 7.5 (m, H_6, $H_{3'}$, $H_{4'}$, $H_{5'}$), $J_{5,6}$ = 7.9, $J_{5,7}$ = 2.0, $J_{6,7}$ = 4.7 Hz. Anal. calcd. for $C_{13}H_9N_3O_2$: C 65.26, H 3.79, N 17.57; found: C 65.06, H 3.87, N 17.53.

B) If a mixture of the amidine N-oxide **8** (0.2 g) was heated in the presence of aqueous sodium hydroxide (3 ml of 5%) for 10 min, upon cooling a precipitate was obtained, identified as the oxadiazoyl derivative **5** (2.5 mg, 1.5%). The filtrate was acidified with 10% hydrochloric acid to *pH* = 3 and the solid material was filtered to give the N-hydroxy compiund **9** (0.144 g), containing a small amount of the N-oxide **4** and hydroxylamino compound **3**.

Transformation of the Amidine N-Oxide **8** *with Hydroxylamine Hydrochloride to Compound* **11**

A mixture of the amidine N-oxide **8** (0.2 g), hydroxylamine hydrochloride (0.12 g) and methanol (4 ml) was stirred at room temperature for 5 h. The mixture was treated with water (1 ml), cooled to 0 °C, the product was filtered and crystallized from a mixture of *DMF* and methanol (0.175 g, 91%): m.p. 211–213 °C (dec.); MS: 281 (M^+); ^{1}H NMR (Me_2SO-d_6), δ 8.35 (dd, H_4), 7.97 (dd, H_6), 7.27 (s, *Ph*), 6.93 (dd, H_5), 9.43, 9.80 and 11.13 (s, NH, NOH and $H_{5'}$), $J_{4,5}$ = 8.0, $J_{5,6}$ = 5.1, $J_{4,6}$ = 1.9 Hz. Anal. calcd. for $C_{14}H_{11}N_5O_2$: C 59.78, H 3.94, N 24.90; found C 59.46, H 3.88, N 24.93.

2-Benzoylamino-3-(1',2',4'-oxadiazolyl-3')pyridine (**10**)

A) Amidine **8** (0.15 g) and hydrochloric acid (2 ml of 18%) were left at room temperature for 4 h. After addition of water (2 ml) and neutralization with solid $NaHCO_3$ at 0 °C the separated product was filtered and crystallized from ethanol (0.1 g, 73%): m.p. 152–154 °C; MS: 266 (M^+): ^{1}H NMR (Me_2SO-d_6), δ 9.63 (s, $H_{5'}$), 8.60 (dd, H_6), 8.30 (dd, H_4), 7.8–8.0 (m, H_2 and H_6 of *Ph*), 7.3–7.6 (m, H_5 and H_3, H_4, H_5 of *Ph*), $J_{4,5} = 8.0$, $J_{4,6} = 1.9$; $J_{5,6} = 4.8$ Hz. Anal. calcd. for $C_{14}H_{10}N_4O_2$: C 63.15, H 3.79, N 21.04; found: C 63.33, H 3.83, N 20.83.

B) The same treatment of compound **11**, but heating the mixture for 3 min, afforded the above product in 77% yield. The compound was found to be identical with the product as described under A.

Transformation of **11** *into N-Oxide* **4**

Compound **11** (53 mg) was stirred in aqueous sodium hydroxide solution (1 ml of 5%) at room temperature for 2.5 h and after acidification to $pH = 5$ and cooling the mixture on ice, the formed precipitate was collected to give the N-oxide (42 mg, 91%) identical in all respects with the sample prepared as described above for **4**.

Acknowledgements

Support for this research from the Research Council of Slovenia and supply of some chemicals from Prof. *J. S. Bradshaw*, Brigham Young University, Provo, Utah, is gratefully acknowledged.

References

[1] *Irwin WJ, Wibberley DG* (1969) Adv Heterocycl Chem 10: 149
[2] *Mulvey DM, Cottis SG, Tieckelmann H* (1964) J Org Chem 29: 2903
[3] *Osselaere JB, Lapiere CL* (1974) Eur J Med Chem Chim Ther 9: 305
[4] *Shepherd RG, Fedrick JL* (1965) Adv Heterocycl Chem 4: 145
[5] *Albert A, Howell CF* (1962) J Chem Soc: 1591
[6] *Albert A, McCormack JJ* (1966) J Chem Soc C: 1117
[7] *Albert A, McCormack JJ* (1968) J Chem Soc C: 63
[8] *Hayashi E, Higashino T* (1964) Chem Pharm Bull 12: 1111
[9] *Hayashi E, Higashino T* (1965) Chem Pharm Bull 13: 291
[10] *Higashino T, Suzuki K, Hayashi E* (1978) Chem Pharm Bull 26: 3485
[11] *Albert A* (1963) In: Physical methods in heterocyclic chemistry, vol 1, 1. Academic Press, New York
[12] *Wheatley PJ* (1972) X-ray bond angles and lengths, physical methods. In: Handbook of molecular dimensions. Academic Press, New York (Physical methods in heterocyclic chemistry, vol. 5)
[13] *Dewar MJS, Thiel W* (1977) J Am Chem Soc 99: 4899
[14] *Dewar MJS, Thiel W* (1977) J Am Chem Soc 99: 4907
[15] *Kočevar M, Stanovnik B, Tišler M* (1983) Tetrahedron 38: 823
[16] *Petrič A, Tišler M, Stanovnik B* (1985) Monatsh Chem 116: 1309
[17] *Petrič A, Stanovnik B, Tišler M* (1983) J Org Chem 48: 4132
[18] *Korbonits D, Kanzel-Szvoboda I, Horvath K* (1982) J Chem Soc Perkin I: 759
[19] *Ried W, Valentin J* (1967) Liebigs Ann Chem 707: 250