

Cyclocondensation of Acylketene *S,N*- and *N,N*-acetals with Maleic Anhydride and Maleimide: A Facile One-Step Synthesis of Pyrano[3,4-*c*]pyrrole, Pyrrolo [3,4-*c*]pyridine and Condensed Pyrrole Derivatives¹

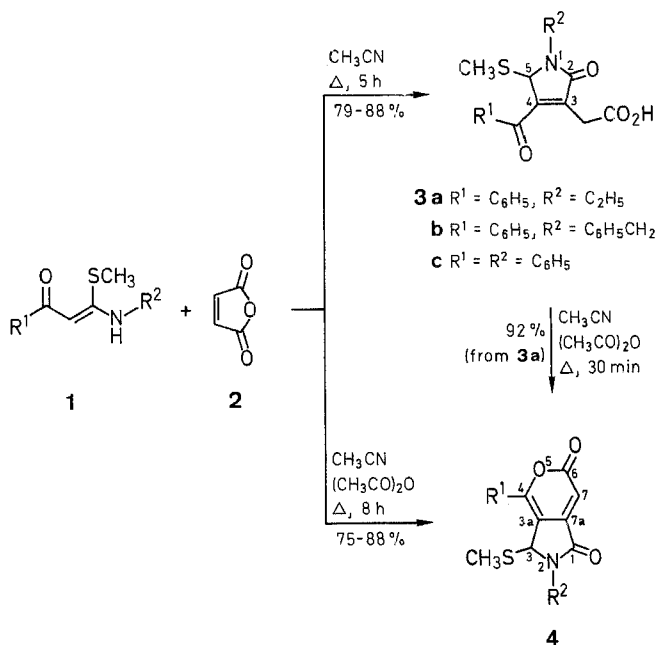
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The acylketene *S,N*-acetals **1a–c** react with maleic anhydride (**2**) in refluxing acetonitrile to give the corresponding 3-pyrrolin-2-one-3-acetic acid derivatives **3a–c** in good yields. Condensation of *S,N*-acetals **1a–j** and **2** in presence of acetic anhydride directly afforded the corresponding 2-substituted-3-methylthio-4-aryl (or methyl)-1,6-dioxo-2,3-dihydropyrano[3,4-*c*]pyrroles **4a–j** in excellent yields. The reaction of cyclic *S,N*- (**5a–c**) and *N,N*- (**5d–f**) acetals with **2** in refluxing acetonitrile gave the corresponding pyrrolo[2,1-*b*]thiazole (**6a–c**) and pyrrolo[1,2-*a*]imidazole (**6d–f**) derivatives, respectively, in good yields. Similarly, the condensation of *S,N*-acetals **1a** and **1d** with maleimide directly yielded the respective pyrrolo[3,4-*c*]pyridine derivatives **9a** and **9b**, while the corresponding pyrrolinone-3-acetamide derivatives **8a** and **8b** were obtained under similar conditions, when the reaction time was reduced.

In our recent report,² we have described the synthesis of novel 2(1*H*)-pyridones by cyclocondensation of acylketene *S,N*-acetals with malonyl chloride. In continuation of these studies, we now report the reactions of these intermediates and few cyclic *N,N*-acetals with maleic anhydride and maleimide, which provide facile one step synthesis of functionalized pyrano[3,4-*c*]pyrrole, pyrrolo[3,4-*c*]pyridine and other condensed pyrrole derivatives.

When the *S,N*-acetal **1a** was reacted with maleic anhydride in refluxing acetonitrile, work-up of the reaction mixture afforded a product (87%), which was characterized as pyrrolinone-3-acetic acid (**3a**).³ The corresponding *N*-benzyl-, **1b**, and *N*-phenyl-, **1c**, *S,N*-acetals similarly gave the respective pyrrolinones **3b** and **3c** in good yields. The pyrrolinone **3a** was cyclized in presence of acetic anhydride, when the corresponding 2-ethyl-3-methylthio-4-phenyl-1,6-dioxo-2,3-dihydropyrano[3,4-*c*]pyrrole (**4a**) was obtained in 92% yield. In an alternative experiment, the pyranopyrrole **4a** was directly obtained in one step in comparable



1, 4	R ¹	R ²	1, 4	R ¹	R ²
a	C ₆ H ₅	C ₂ H ₅	f	4-CH ₃ OC ₆ H ₄	C ₂ H ₅
b	C ₆ H ₅	C ₆ H ₅ CH ₂	g	4-ClC ₆ H ₄	C ₆ H ₅
c	C ₆ H ₅	C ₆ H ₅	h	4-ClC ₆ H ₄	C ₆ H ₅ CH ₂
d	C ₆ H ₅	CH ₃	i	CH ₃	C ₂ H ₅
e	4-CH ₃ OC ₆ H ₄	CH ₃	j	4-CH ₃ C ₆ H ₄	C ₆ H ₅ CH ₂

Table 1. Products **3a–c** and **8a–b** Prepared

Product	Yield (%)	mp ^a (°C)	Molecular Formula ^b	IR (KBr) ^c ν (cm ⁻¹)	¹ H-NMR (CDCl ₃) δ, J (Hz)	MS (70 eV) ^e m/z (%)
3a	87	138	C ₁₆ H ₁₇ NO ₄ S (319.4)	1720, 1672, 1642	1.15 (t, 3H, J = 7, CH ₂ CH ₃); 1.67 (s, 3H, SCH ₃); 3.25 (s, 2H, CH ₂ CO ₂ H); 3.32–4.00 (m, 2H, CH ₂ CH ₃); 5.37 (s, 1H, H-5); 7.33–7.82 (m, 5H _{arom}); 9.29 (s, 1H, OH, exchangeable with D ₂ O)	319 (M ⁺ , 1); 275 (9); 228 (100)
3b	79	154	C ₂₁ H ₁₉ NO ₄ S (381.5)	1705, 1684, 1660	1.74 (s, 3H, SCH ₃); 3.30 (s, 2H, CH ₂ CO ₂ H); 4.20 (d, 1H, J = 17, H _A of CH ₂); 5.15 (d, 1H, J = 17, H _B of CH ₂); 5.16 (s, 1H, H-5); 7.20 (s, 5H _{arom}); 7.33–7.80 (m, 5H _{arom}); 9.13 (br s, 1H, OH, exchangeable with D ₂ O)	337 (M ⁺ – 44, 4); 290 (28)
3c	82	168	C ₂₀ H ₁₇ NO ₄ S (367.4)	1716, 1660, 1640	1.68 (s, 3H, SCH ₃); 3.39 (s, 2H, CH ₂); 5.95 (s, 1H, H-5); 7.10–7.93 (m, 10H _{arom}); 9.08 (br s, 1H, OH, exchangeable with D ₂ O)	367 (M ⁺ , 3); 323 (9); 276 (83)
8a	88	156	C ₁₅ H ₁₆ N ₂ O ₃ S (304.4)	3360, 3170, 1680, 1650, 1624	1.73 (s, 3H, SCH ₃); 3.03 (s, 3H, NCH ₃); 3.33 (s, 2H, CH ₂); 5.37 (s, 1H, H-5); 6.00, 6.80 (br s, 1H each, NH ₂ , exchangeable with D ₂ O); 7.37–7.68 (m, 3H _{arom}); 7.84–8.03 (m, 2H _{arom})	304 (M ⁺ , 9); 257 (19); 214 (100)
8b	85	158	C ₁₆ H ₁₈ N ₂ O ₃ S (318.4)	3360, 3168, 1678, 1650, 1625	1.20 (t, 3H, J = 7, CH ₂ CH ₃); 1.71 (s, 3H, SCH ₃); 3.23 (s, 2H, CH ₂ CO); 3.15–3.63 (dq, 1H, J = 17, H _A of CH ₂ CH ₃); 3.63–4.00 (dq, 1H, J = 17, H _B of CH ₂ CH ₃); 5.56 (s, 1H, H-5); 6.60, 7.22 (br s, 1H each, NH ₂ , exchangeable with D ₂ O); 7.38–8.13 (m, 5H _{arom}) ^f	318 (M ⁺ , 11); 228 (M ⁺ – 90, 100)

^a Uncorrected, recorded on Thomas Hoover apparatus.

^b Satisfactory microanalyses obtained: C ± 0.31, H ± 0.29, N ± 0.28.

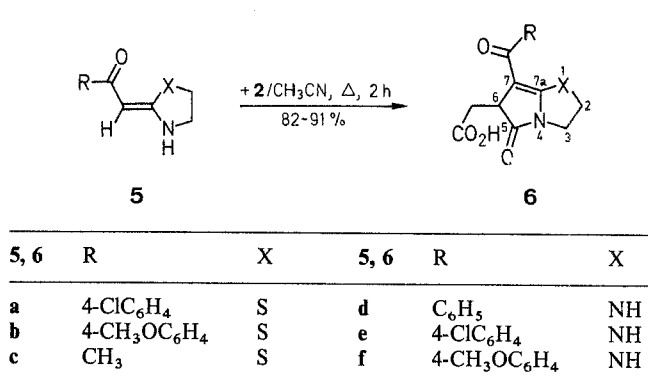
^c Recorded on Perkin-Elmer 297 spectrometer.

^d Recorded on 90 MHz using a Varian EM-390 spectrometer.

^e Recorded on Jeol JMS-D 300 spectrometer.

^f In DMSO-*d*₆ CDCl₃.

yield (85%), when **1a** and **2** were refluxed in acetonitrile in the presence of acetic anhydride. The other substituted pyranopyrrole **4b–j** were similarly obtained from the respective **1b–j** and **2** in 75–88% overall yields. The reaction was extended to cyclic *S,N*- **5a–c** and *N,N*- **5d–f** acetals also. Thus **5a–c** and **2** in refluxing acetonitrile afforded the corresponding pyrrolo[2,1-*b*]thiazole derivatives **6a–c** in 85–91% overall yields. Similarly, **5d–f** under identical conditions yielded the respective pyrrolo[1,2-*a*]imidazolines **6d–f** in good yields. The structures of **6a–f** were established with the help of spectral and analytical data (Table 3). Attempted cyclization of **6a** or **6d** in refluxing acetic anhydride, however gave only intractable mixture of products.



Reaction of **1** with maleimide was next investigated. A few enaminoesters/nitriles and 2-(benzylamino) propenylmethyl ketone are reported⁴ to have been condensed with maleimide recently to give 5-oxo-2-pyrrolin-4-acetamide derivatives, which were further cyclized in the presence of base to afford pyrrolo[3,4-*c*]pyridine derivatives in good yields. Incidentally, when **1a** and **1d** were refluxed with maleimide in acetonitrile for 8 hours, the corresponding pyrrolopyridines **9a** and **9b** were directly obtained in good yields. The open-chain analogs 2-oxo-3-pyrrolin-3-acetamide derivatives **8a** and **8b** were also formed under similar conditions, when reaction time was reduced (2 hours).

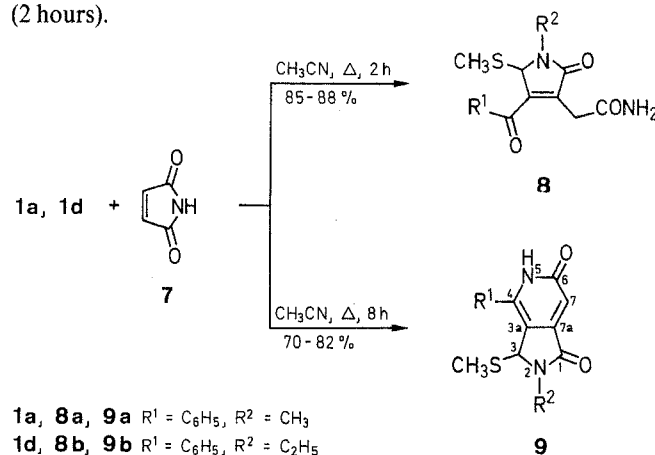


Table 2. Products **4a–j** and **9a–b** Prepared

Product	Yield (%)	mp ^a (°C)	Molecular Formula ^b	IR (KBr) ^c ν (cm ⁻¹)	¹ H-NMR (Solvent/TMS) ^d δ, J (Hz)	MS (70 eV) ^e m/z (%)
4a	85	148	C ₁₆ H ₁₅ NO ₃ S (301.4)	1727, 1693	DMSO- <i>d</i> ₆ : 1.26 (t, 3H, <i>J</i> = 7, CH ₂ CH ₃); 1.50 (s, 3H, SCH ₃); 3.48 (dq, 1H, <i>J</i> = 17, H _A of CH ₂ CH ₃); 3.78 (dq, 1H, <i>J</i> = 17, H _B of CH ₂ CH ₃); 6.36 (s, 1H, H-3); 6.49 (s, 1H, H-7); 7.40–7.45 (m, 3H _{arom}); 7.75–8.12 (m, 2H _{arom})	254 (M ⁺ – 47, 100); 226 (16)
4b	80	134	C ₂₁ H ₁₇ NO ₃ S (363.4)	1719, 1710	CF ₃ CO ₂ H: 1.60 (s, 3H, SCH ₃); 4.56 (d, 1H, <i>J</i> = 15, H _A of CH ₂); 5.38 (d, 1H, <i>J</i> = 15, H _B of CH ₂); 5.68 (s, 1H, H-3); 6.98 (s, 1H, H-7); 7.18–7.84 (m, 10H _{arom})	316 (M ⁺ – 47, 100)
4c	86	192	C ₂₆ H ₁₅ NO ₃ S (349.4)	1720, 1705	DMSO- <i>d</i> ₆ : 1.53 (s, 3H, SCH ₃); 6.65 (s, 1H, H-3); 7.08 (s, 1H, H-7); 7.32–7.82 (m, 8H _{arom}); 7.83–8.00 (m, 2H _{arom})	302 (M ⁺ – 47, 100); 274 (10)
4d	84	176	C ₁₅ H ₁₃ NO ₃ S (287.3)	1721, 1698	CDCl ₃ : 1.66 (s, 3H, SCH ₃); 3.14 (s, 3H, NCH ₃); 5.70 (s, 1H, H-3); 6.60 (s, 1H, H-7); 7.32–7.65 (m, 3H _{arom}); 7.65–7.94 (m, 2H _{arom})	240 (M ⁺ – 47, 100); 212 (18)
4e	81	164	C ₁₆ H ₁₅ NO ₄ S (317.4)	1720, 1685	CF ₃ CO ₂ H: 1.20 (s, 3H, SCH ₃); 2.89 (s, 3H, NCH ₃); 3.53 (s, 3H, OCH ₃); 5.45 (s, 1H, H-3); 6.43 (s, 1H, H-7); 6.70 (d, A ₂ B ₂ , 2H _{arom}); 7.43 (d, A ₂ B ₂ , 2H _{arom})	370 (M ⁺ – 47, 100); 242 (12)
4f	84	158	C ₁₇ H ₁₇ NO ₄ S (331.4)	1711 (br)	CF ₃ CO ₂ H: 1.40 (t, 3H, <i>J</i> = 7, CH ₂ CH ₃); 1.60 (s, 3H, SCH ₃); 3.50–4.28 (m, 2H, NCH ₂); 3.97 (s, 3H, OCH ₃); 5.97 (s, 1H, H-3); 6.89 (s, 1H, H-7); 7.15 (d, A ₂ B ₂ , 2H _{arom}); 7.87 (d, A ₂ B ₂ , 2H _{arom})	284 (M ⁺ – 47, 100); 256 (10)
4g	88	218	C ₂₀ H ₁₄ ClNO ₃ S (383.9)	1727, 1708	CF ₃ CO ₂ H: 1.73 (s, 3H, SCH ₃); 6.57 (s, 1H, H-3); 7.18 (s, 1H, H-7); 7.45–7.80 (m, 7H _{arom}); 7.81–8.11 (d, A ₂ B ₂ , 2H _{arom})	338 (36); 336 (M ⁺ – 47, 100); 308 (8)
4h	80	124	C ₂₁ H ₁₆ ClNO ₃ S (397.9)	1718, 1704	CDCl ₃ : 1.58 (s, 3H, SCH ₃); 4.36 (d, 1H, <i>J</i> = 15, H _A of CH ₂); 5.33 (d, 1H, <i>J</i> = 15, H _B of CH ₂); 5.48 (s, 1H, H-3); 6.70 (s, 1H, H-7); 7.33 (s, 5H _{arom}); 7.30–7.71 (dd, A ₂ B ₂ , 4H _{arom})	352 (9); 350 (M ⁺ – 47, 18)
4i	79	108	C ₁₁ H ₁₃ NO ₃ S (239.3)	1728, 1692	CF ₃ CO ₂ H: 1.34 (t, 3H, <i>J</i> = 7, CH ₂ CH ₃); 1.74 (s, 3H, SCH ₃); 2.60 (s, 3H, ArCH ₃); 3.45–4.32 (m, 2H, CH ₂ CH ₃); 5.73 (s, 1H, H-3); 6.92 (s, 1H, H-7)	239 (M ⁺ , 19); 192 (M ⁺ – 47, 100); 164 (30)
4j	75	91	C ₂₂ H ₁₉ NO ₃ S (377.5)	1715, 1700	CDCl ₃ : 1.55 (s, 3H, SCH ₃); 2.40 (s, 3H, CH ₃); 4.40 (d, 1H, <i>J</i> = 15, H _A of CH ₂); 5.35 (d, 1H, <i>J</i> = 15, H _B of CH ₂); 5.58 (s, 1H, H-3); 6.72 (s, 1H, H-7); 7.41 (s, 5H _{arom}); 7.28 (d, A ₂ B ₂ , 2H _{arom}); 7.64 (d, A ₂ B ₂ , 2H _{arom})	330 (M ⁺ – 47, 37)
9a	70	249	C ₁₅ H ₁₄ N ₂ O ₂ S (286.4)	1685, 1660, 1623	DMSO- <i>d</i> ₆ : 1.33 (s, 3H, SCH ₃); 3.04 (s, 3H, CH ₃); 6.07 (s, 1H, H-3); 6.63 (s, 1H, H-7); 7.38–7.78 (m, 5H _{arom}); 11.88 (br s, 1H, NH, exchangeable with D ₂ O)	239 (M ⁺ – 47, 100)
9b	82	218	C ₁₆ H ₁₆ N ₂ O ₂ S (300.4)	1684, 1660, 1625	CDCl ₃ : 1.24 (t, 3H, <i>J</i> = 7, CH ₂ CH ₃); 1.38 (s, 3H, SCH ₃); 3.41 (dq, 1H, <i>J</i> = 17.7, H _A of CH ₂); 3.90 (dq, 1H, <i>J</i> = 17.7, H _B of CH ₂); 5.70 (s, 1H, H-3); 6.85 (s, 1H, H-7); 7.58 (br s, 5H _{arom})	253 (M ⁺ – 47, 100); 225 (26)

^a As in Table 1.

^b Satisfactory microanalyses obtained: C ± 0.28, H ± 0.32, N ± 0.30.

^{c–e} As in Table 1.

Table 3. Products 6a–f Prepared

Product	Yield (%)	mp ^a (°C)	Molecular Formula ^b	IR (KBr) ^c ν (cm ⁻¹)	¹ H-NMR (DMSO- <i>d</i> ₆ /TMS) ^d δ , J (Hz)	MS (70 eV) ^e <i>m/z</i> (%)
6a	85	220	C ₁₅ H ₁₂ ClNO ₄ S (337.8)	1733, 1647, 1612	2.70–2.91 (m, 2H, CH ₂ CO); 3.00–3.28 (m, 2H, SCH ₂); 3.55–3.86 (m, 2H, NCH ₂); 4.29–4.60 (m, 1H, H-6); 7.52 (s, 4H _{arom})	339 (8); 337 (M ⁺ , 24); 295 (34); 293 (M ⁺ – 44, 100)
6b	87	170	C ₁₆ H ₁₅ NO ₃ S (333.4)	1722, 1646, 1603	2.80 (d, 2H, <i>J</i> = 5.5, CH ₂ CO); 2.97–3.18 (m, 2H, SCH ₂); 3.57–3.97 (m, 2H, NCH ₂); 3.83 (s, 3H, OCH ₃); 4.23–4.68 (m, 1H, H-6); 6.90 (d, A ₂ B ₂ , 2H _{arom}); 7.52 (d, A ₂ B ₂ , 2H _{arom})	333 (M ⁺ , 4); 289 (M ⁺ – 44, 34)
6c	91	222	C ₁₀ H ₁₁ NO ₄ S (241.2)	1720, 1650, 1640	2.31 (s, 3H, CH ₃); 2.66–2.89 (m, 2H, CH ₂ CO); 2.90–3.28 (m, 2H, SCH ₂); 3.44–3.96 (m, 2H, NCH ₂); 4.18–4.50 (m, 1H, H-6)	241 (M ⁺ , 4); 197 (M ⁺ – 44, 70)
6d	82	198	C ₁₅ H ₁₄ N ₂ O ₄ (286.3)	3258, 1718, 1680, 1620	2.59–2.80 (m, 2H, CH ₂ CO); 3.43–4.04 [m, 5H, N(CH ₂) ₂ and H-6]; 7.35 (s, 5H _{arom}); 9.55 (br s, 1H, OH, exchangeable with D ₂ O)	268 (M ⁺ – 18, 10); 242 (M ⁺ – 44, 5)
6e	87	150	C ₁₅ H ₁₃ ClN ₂ O ₄ (320.7)	3265, 1735, 1712, 1639	2.28–2.81 (m, 2H, CH ₂ CO); 3.38–4.12 [m, 5H, N(CH ₂) ₂ and H-6]; 7.23–7.61 (dd, A ₂ B ₂ , 4H _{arom}); 7.97 (br s, 1H, OH, exchangeable with D ₂ O)	304 (1); 302 (M ⁺ – 18, 5); 278 (6); 276 (M ⁺ – 44, 2)
6f	88	168	C ₁₆ H ₁₆ N ₂ O ₅ (316.3)	3222, 1708, 1691, 1629	2.56–2.78 (m, 2H, CH ₂ CO); 3.48–4.15 [m, 5H, N(CH ₂) ₂ and H-6]; 3.77 (s, 3H, OCH ₃); 6.88 (d, A ₂ B ₂ , 2H _{arom}); 7.35 (d, A ₂ B ₂ , 2H _{arom}); 9.50 (br s, 1H, OH, exchangeable with D ₂ O)	298 (M ⁺ – 18, 2)

^a As in Table 1.^b Satisfactory microanalyses obtained: C \pm 0.34, H \pm 0.26, N \pm 0.31.^{c–e} As in Table 1.

The condensation of *S,N*- and *N,N*-acetals **1** and **5** with maleic anhydride and maleimide provides a convenient one step method for the synthesis of a variety of pyrano[3,4-*c*]pyrrole, pyrrolo[3,4-*c*]pyridine and condensed pyrrole derivatives under simple reaction conditions. Few pyrano[3,4-*c*]pyrrole derivatives are described in the literature,^{5–7} which are obtained through multistep routes and in one case, by acid catalyzed cyclization of naturally occurring Kainic acid.⁸ The pyrrolo[2,1-*b*]thiazolines **6a–c** possess structural framework similar to γ -lactam analogs of penicillanic acid⁹ with potential biological activity.

The starting *S,N*-acetals **1a–j**, **5a–c** and *N,N*-acetals **5d–f** were prepared according to earlier reported procedures.^{10–12}

1-Alkyl/aryl-4-aryl-5-methylthio-2-oxo-3-pyrrolin-3-acetic Acid (3a–c); 7-Acetyl/aryl-5-oxo-2,3,5,6-tetrahydropyrrolo[2,1-*b*]thiazole-6-acetic Acid (6a–c); 7-Aroyl-5-oxo-2,3,5,6-tetrahydro-1*H*-pyrrolo[1,2-*a*]imidazole-6-acetic Acid (6d–f); 1-Alkyl-4-benzoyl-5-methylthio-2-oxo-3-pyrrolin-3-acetamide (8a–b), and 2-Alkyl-3-methylthio-4-phenyl-1,6-dioxo-2,3,5,6-tetrahydro-5*H*-pyrrolo[3,4-*c*]pyridine (9a–b): General Procedure:

A solution of **1** or **5** (20 mmol) and **2** or **7** (20 mmol) in MeCN (30 mL) is refluxed for 2 h (**6a–f**, **8a–b**), 5 h (**3a–c**) and 8 h (**9a–b**). The mixture is cooled, poured into ice-water (50 mL), extracted with CHCl₃ (2 \times 50 mL), dried (Na₂SO₄) and evaporated to give crude products, which are purified either by crystallization from MeOH (**6a–f**, **9a–b**) or by filtering through a silica gel column (**3a–c**, **8a–b**) using hexane/EtOAc (9:1) as eluent (see Tables 1–3).

2-Alkyl/aryl-4-aryl/methyl-3-methylthio-1,6-dioxo-2,3-dihydropyrano[3,4-*c*]pyrrole (4a–j): General Procedure:

To a solution of **1** (20 mmol) and **2** (1.96 g, 20 mmol) in MeCN (30 mL), freshly distilled acetic anhydride (2.04 g, 20 mmol) is added and the mixture is refluxed for 8 h, cooled and poured into ice-water (50 mL). The product is extracted with CHCl₃ (2 \times 50 mL), dried (Na₂SO₄) and evaporated to give crude products, which are purified by filtering through silica gel column using hexane/EtOAc (9:1) as eluent and subsequently crystallized from CHCl₃.

Cyclization of 3a: 2-Ethyl-3-methylthio-4-phenyl-1,6-dioxo-2,3-dihydropyrano[3,4-*c*]pyrrole (4a): Typical Procedure:

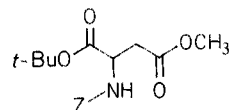
To a solution of **3a** (3.20 g, 10 mmol) in acetonitrile (20 mL), freshly distilled acetic anhydride (2.04 g, 20 mmol) is added and the mixture is refluxed for 30 min, worked-up as described for **4a–j** to give crude **4a**, which on column chromatography over silica gel (hexane/EtOAc eluent 9:1) gives pure **4a**; yield: 2.7 g (92%) (mixed mp, superimposable IR and ¹H-NMR spectra).

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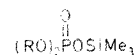
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- Baldo, M. A., Chessa, G., Marangoni, G., Pitteri, B. *Synthesis* **1987**, 720. On p. 722, line 6, "degree of functionalization" should read "yield of binding". On the same page in the preparation of bis-hydrazone **5**, line 8, "solid product" should read "oil".
- Singh, L. W., Ila, H., Junjappa, H. *Synthesis* **1988**, 89. On p. 90 in the ¹H-NMR data for dioxime **4**, line 2, "N₂" should read "NH₂".
- Burger, K., Hübl, D., Geith, K. *Synthesis* **1988**, 194. On p. 196 in the table, for entries **4l**, **4m**, and **4n**, Y = O, and Nu = Cl, Br, and C₆H₅, respectively.
- Tolstikov, A. G., Khakhalina, N. V., Spirikhin, I. V. *Synthesis* **1988**, 221. In the title and abstract, benzyl esters should read benzyl ethers.
- Gupta, A. K., Ila, H., Junjappa, H. *Synthesis* **1988**, 284. Compounds **4** are 1,6-dioxo-1,2,3,6-tetrahydropyrano[3,4-*c*]pyrroles; compounds **9** are 1,6-dioxo-2,3,5,6-tetrahydro-1*H*-pyrrolo[3,4-*c*]pyridines.
- Keshavarz-K., M., Cox, S. D., Angus, R. O., Jr., Wudl, F. *Synthesis* **1988**, 641. On p. 642 the IR spectra shown in Figures 2 and 3 should be interchanged.
- Rodriguez, J., Waegell, B. *Synthesis* **1988**, 534. On p. 535, the first line of the general procedure should read: "DMAP (0.92 g, 7.5 mmol) and then α,β -unsaturated aldehyde **1** (0.1 mol)..."
- Zbiral, E., Drescher, M. *Synthesis* **1988**, 735. On p. 738 in the last procedure, the name for compounds **14** should read: (5-Oxo-5,6-dihydroimidazo[1,2-*c*]pyrimidin-3-yl)methylphosphonsäuren.
- Valerio, R. M., Alewood, P. F., Johns, R. B. *Synthesis* **1988**, 786. On p. 787 formula **2** should be:



Also on p. 787 in the reaction of **5** in the scheme on the right side, the reagent should be:



- Garrigues, B., Mulliez, M. *Synthesis* **1988**, 810. The title should read: Salts of *N*-(Sulfoalkyl)ureas and -thioureas.
- Yokoyama, M., Watanabe, S., Seki, T. *Synthesis* **1988**, 879. On p. 880 the name of compound **3a** in the first procedure should be azido(2-benzyloxyethoxy)methane.