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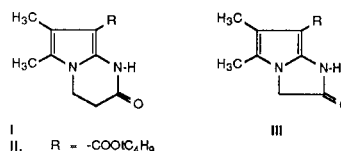
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The one-step synthesis of 8-*t*-butoxycarbonyl-6,7-dimethyl-2-oxo-1,2,3,4-tetrahydropyrrolo[1,2-*a*]pyrimidine from acetyl methyl carbinol, 3-aminopropionic acid, and *t*-butyl cyanoacetate is reported. Utilizing a similar technology under basic conditions, 7-substituted 5,6-dimethyl-2-oxo-2,3-dihydro-(1*H*)-pyrrolo[1,2-*a*]imidazole is synthesized from acetyl methyl carbinol, ethyl glycinate, and the appropriate acetonitrile.

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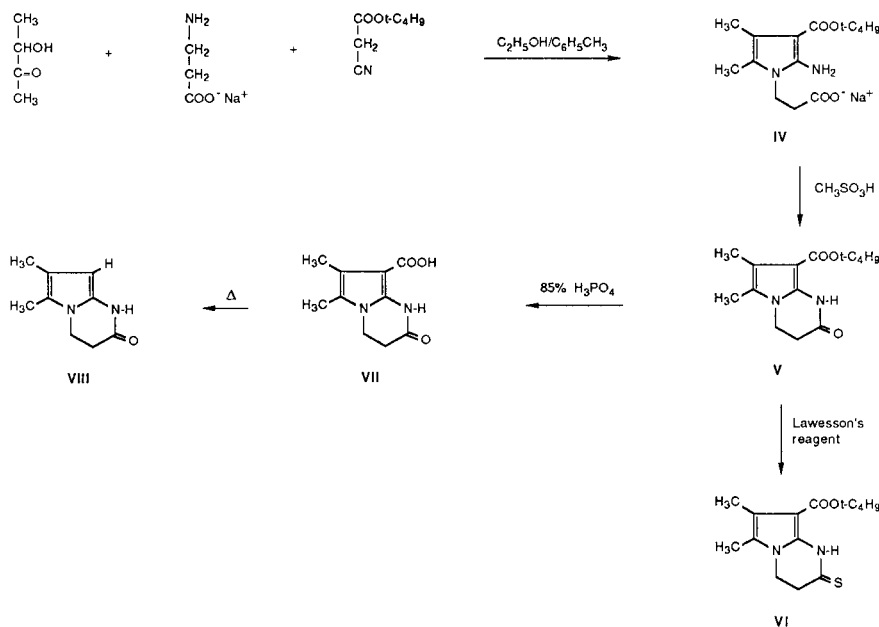
The synthesis of various series of 2-aminopyrroles have been reported by the authors in previous publications [3-5]. The exploration of these derivatives of 2-aminopyrroles have provided a variety of pharmacologically active compounds or served as precursors to potential medicinal agents.

Recently, Sowell *et al.* developed a one-step synthesis of 8-cyano or 8-(alkyl or aryl)sulfonyl 6,7-dimethyl-2-oxo-1,2,3,4-tetrahydropyrrolo[1,2-*a*]pyrimidine **I** from acetyl methyl carbinol, 3-aminopropionic acid and the appropriate acetonitrile [6]. In this report, the synthesis of 8-(*t*-butoxycarbonyl)-6,7-dimethyl-2-oxo-1,2,3,4-tetrahydropyrrolo[1,2-*a*]pyrimidine (**II**), a precursor to potential antimicrobial agents of the nalidixic acid class, and 7-substituted 5,6-dimethyl-2-oxo-2,3-dihydro-(1*H*)-pyrrolo[1,2-*a*]imidazole (**III**), a precursor to potential antiinflammatory agents, will be discussed as an important extension of our previous synthetic technology.



The one-step synthesis of 8-(*t*-butoxycarbonyl)-6,7-dimethyl-2-oxo-1,2,3,4-tetrahydropyrrolo[1,2-*a*]pyrimidine is presented in Scheme I. In this procedure, acetyl methyl carbinol is initially condensed with sodium 3-aminopropionate to form the corresponding α -aminoketone. The intermediate α -aminoketone was condensed, without purification, with *t*-butyl cyanoacetate to produce sodium 2-amino-3-(*t*-butoxycarbonyl)-4,5-dimethylpyrrole-1-propionate (**IV**). The previous procedure for pyrrole synthesis was a modification reported by Roth and Eger [7]. The pyrrole was reacted *in situ* with methane sulfonic acid, refluxed for 30 minutes, and then allowed to stand overnight to yield the corresponding pyrrolo[1,2-*a*]pyrimidine **V**.

Scheme I



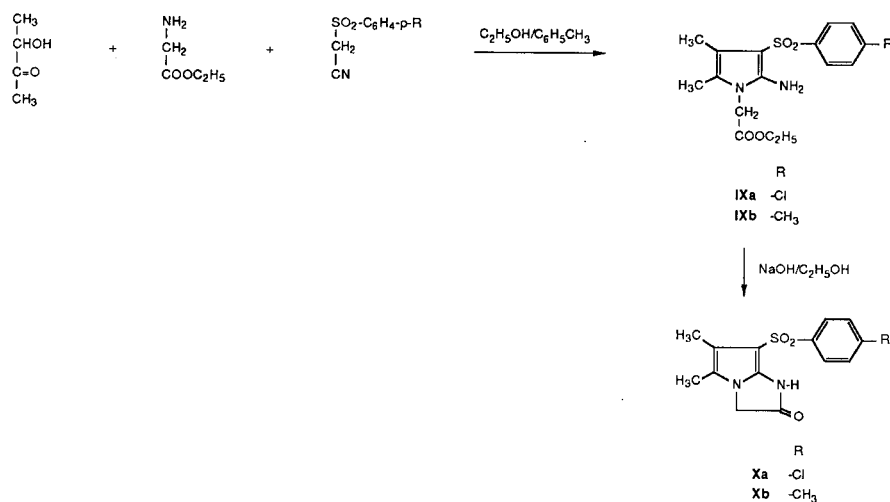
The treatment of lactams with Lawesson's reagent has been shown to successfully convert the lactam to the corresponding thiolactam [8]. The transformation of the 2-oxopyrrolo[1,2-*a*]pyrimidine to the 2-thioxo derivative **VI** was successfully accomplished using Lawesson's reagent.

The *t*-butyl ester of compound **V** was hydrolyzed under acidic conditions using 85% phosphoric acid to yield the corresponding carboxylic acid **VII**. Thermal decarboxylation was accomplished by refluxing the carboxylic acid in 1-octanol to produce 6,7-dimethyl-2-oxo-1,2,3,4-tetrahydropyrrolo[1,2-*a*]pyrimidine (**VIII**).

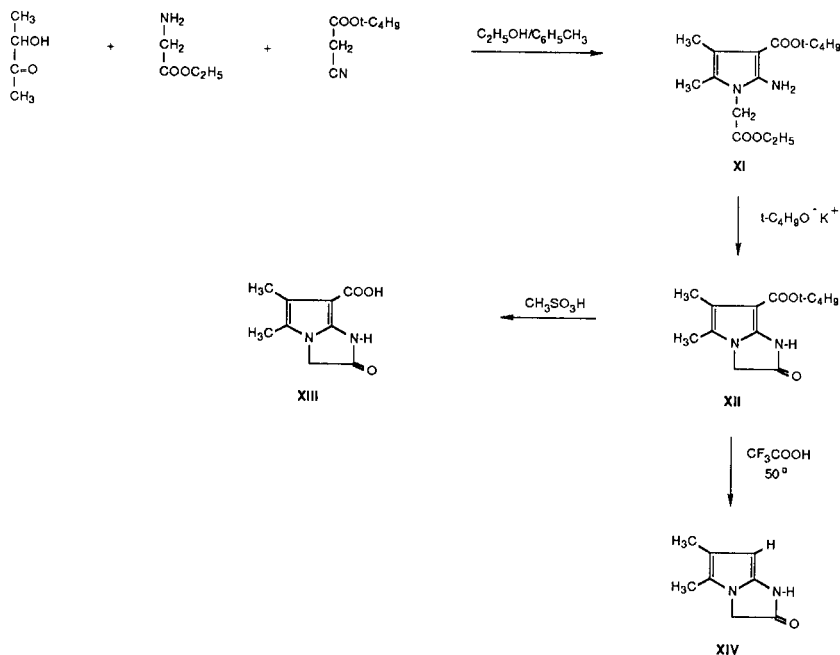
In Scheme II, the synthesis of ethyl 2-amino-3-arylsul-

fonyl-4,5-dimethylpyrrole-1-acetate **IXa-b** was performed from the condensation of acetyl methyl carbinol, ethyl glycinate, and the appropriate arylsulfonfylacetonitrile according to the method previously described. The pyrrole was dissolved in ethanol to which one equivalent of a 1% sodium hydroxide solution was added and heated at 80° for one hour. After acidification with 6*N* hydrochloric acid, the isolated product was identified as 7-arylsulfonyl-5,6-dimethyl-2-oxo-2,3-dihydro-(1*H*)-pyrrolo[1,2-*a*]imidazole **Xa-b**. This procedure provides a unique synthesis of the pyrrolo[1,2-*a*]imidazole nucleus as compared to previous publications which use imidazole derivatives as precursors to form the heterocyclic nucleus [9-11].

Scheme II



Scheme III



The synthesis of 7-(*t*-butoxycarbonyl)-5,6-dimethyl-2-oxo-2,3-dihydro-(1*H*)-pyrrolo[1,2-*a*]imidazole is a one-step process which is outlined in Scheme III. Thus, condensation of acetyl methyl carbinol, ethyl glycinate, and *t*-butyl cyanoacetate yielded the intermediate ethyl 2-amino-3-(*t*-butoxycarbonyl)-4,5-dimethylpyrrole-1-acetate (**XI**), which was consequently reacted *in situ* with potassium *t*-butoxide to produce the desired pyrrolo[1,2-*a*]imidazole **XII**. The formation of 7-carboxy-5,6-dimethyl-2-oxo-2,3-dihydro-(1*H*)-pyrrolo[1,2-*a*]imidazole (**XIII**) was accomplished by treating the *t*-butyl ester with methane sulfonic acid.

According to Clezy, *et al.* [12], trifluoroacetic acid at 50° causes decarboxylative rupture of the *t*-butyl ester attached to a pyrrole ring. This process was utilized in the one-step ester hydrolysis and decarboxylation of compound **XII** to form 5,6-dimethyl-2-oxo-2,3-dihydro-(1*H*)-pyrrolo[1,2-*a*]imidazole (**XIV**).

The structural assignments of the pyrrolo[1,2-*a*]pyrimidines and pyrrolo[1,2-*a*]imidazoles were determined on the basis of elemental analysis, infrared spectra, and nmr spectra.

EXPERIMENTAL

Melting points were determined on a Thomas-Hoover apparatus (capillary method) and are uncorrected. The nmr spectra were determined on a Varian EM 360A or EM 390 NMR spectrometer using tetramethylsilane as an internal standard and deuteriochloroform or DMSO-*d*₆ as the solvent. Infrared spectra were determined on a Beckman Acculab 4 spectrophotometer using the potassium bromide technique. Elemental analyses were performed by Atlantic Microlab, Inc., Atlanta, Georgia. The tlc were performed on Eastman Chromatogram sheets, type 6060 (silica gel).

8-(*t*-Butoxycarbonyl)-6,7-dimethyl-2-oxo-1,2,3,4-tetrahydropyrrolo[1,2-*a*]pyrimidine (**V**).

A one liter flask was charged with β -alanine (44.5 g, 0.50 mole) and sodium methoxide (27.0 g, 0.50 mole) in 400 ml of ethanol. The reaction mixture was refluxed for 5 minutes to yield a solution which was then cooled to room temperature. Acetyl methyl carbinol (85% aqueous solution) (51.8 g, 0.50 mole) and 50 ml of toluene were added to the solution which was consequently brought to reflux under a Dean-Stark trap with the azeotropic removal of 150 ml of distillate. The reaction was allowed to cool to room temperature and *t*-butyl cyanoacetate (70.5 g, 0.50 mole) was added to the reaction mixture. The solution was refluxed with the removal of an additional 150 ml of distillate and further refluxed for one hour. The reaction was cooled down to room temperature at which time a solution of methane sulfonic acid (48.0 g, 0.50 mole) in 50 ml of ethanol was added slowly. At the end of the addition, the reaction mixture was refluxed for 30 minutes, allowed to stand at room temperature for 18 hours, and finally diluted with 300 ml of water. The precipitate was collected by vacuum filtration and washed with water. The damp solid was suspended in 300 ml of methanol-water (2:1), collected by vacuum filtration, and allowed to air dry. The crude product (62.5 g, 45%) was recrystallized from 400 ml methanol-water (3:1) to yield white crystals (60.8 g, 97%), mp 139-140°; ir (potassium bromide): 3340, 2970, 2920, 2880, 1670, 1645, 1580, 1450, 1400, 1290, 1170, 1060, 900, 850, 770 cm⁻¹; nmr (deuteriochloroform): δ 1.50 (s, 9H, -*t*-C₄H₉), 2.02 (s, 3H, -CH₃ at C₇), 2.10 (s, 3H, -CH₃ at C₆), 2.75 (t, 2H, -CH₂CO), 3.90 (t, 2H, -NCH₂), 9.02 (broad s, 1H, NH) ppm.

Anal. Calcd. for C₁₄H₂₀N₂O₃: C, 63.61; H, 7.63; N, 10.60. Found: C, 63.75; H, 7.63; N, 10.61.

8-(*t*-Butoxycarbonyl)-6,7-dimethyl-2-thiocarbonyl-1,2,3,4-tetrahydropyrrolo[1,2-*a*]pyrimidine (**VI**).

In a three-necked round bottom flask fitted with a reflux condenser, and argon inlet and outlet tubes were placed the 2-oxo derivative **V** (2.64 g, 0.01 mole), Lawesson's reagent (2.43 g, 0.006 mole), and 100 ml of dry toluene. The reaction mixture was stirred in an oil bath and reacted at room temperature for 10 minutes, at 70° for 15 minutes, at 100° for 20 minutes, and again at 70° for 30 minutes. The toluene was removed *in vacuo* to yield a light brown residue which was suspended in 100 ml of cold water and extracted into methylene chloride. The methylene chloride layer was washed with brine solution (3 x 100 ml) and dried over anhydrous sodium sulfate. The methylene chloride was removed *in vacuo* to yield a brown solid (6.50 g), which was purified by silica gel chromatography by eluting with ethyl acetate-hexanes (1:50). The thiolactam was obtained as a deep yellow solid (1.20 g, 39%), mp 167-168°; ir (potassium bromide): 3300, 2970, 2870, 1650, 1590, 1445, 1410, 1300, 1240, 1120, 1070, 950, 780 cm⁻¹; nmr (deuteriochloroform): δ 1.52 (s, 9H, -*t*-C₄H₉), 2.02 (s, 3H, -CH₃ at C₇), 2.08 (s, 3H, -CH₃ at C₆), 3.22 (t, 2H, -CH₂CS), 3.78 (t, 2H, -NCH₂), 10.65 (broad s, 1H, -NHCS) ppm.

Anal. Calcd. for C₁₄H₂₀N₂O₂S: C, 60.00; H, 7.14; N, 10.00; S, 11.43. Found: C, 60.11; H, 7.15; N, 9.97; S, 11.48.

8-Carboxy-6,7-dimethyl-2-oxo-1,2,3,4-tetrahydropyrrolo[1,2-*a*]pyrimidine (**VII**).

The *t*-butoxycarbonyl lactam **V** (10.0 g, 0.038 mole) was stirred with 50 g of 85% phosphoric acid at room temperature for 20 minutes. The resulting homogeneous thick solution was poured over 500 ml of ice/water. The white precipitate was collected by vacuum filtration, washed with cold water and air-dried overnight. The crude product (7.80 g, 99%) was dissolved in aqueous sodium hydroxide, filtered, and acidified with 6*N* hydrochloric acid to yield a white precipitate that was collected by vacuum filtration, washed thoroughly with distilled water, and air-dried. The purified white crystals (6.30 g, 80%) were obtained, mp 224-225°; ir (potassium bromide): 3600-3400, 3350, 1685, 1670, 1580, 1370, 1290, 1225, 1130 cm⁻¹; nmr (DMSO-*d*₆): δ 2.02 (s, 6H, -CH₃ at C₆ and C₇), 2.70 (t, 2H, -NCH₂), 3.90 (t, 2H, -CH₂CO), 8.50-9.20 (broad s, 1H, -CONH) ppm.

Anal. Calcd. for C₁₀H₁₂N₂O₃: C, 57.69; H, 5.77; N, 13.46. Found: C, 57.78; H, 5.82; N, 13.43.

6,7-Dimethyl-2-oxo-1,2,3,4-tetrahydropyrrolo[1,2-*a*]pyrimidine (**VIII**).

A reaction mixture of the carboxylic acid **VII** (2.08 g, 0.01 mole), *N,N*-dicyclohexylmethylamine (1.95 g, 0.01 mole), and 1-octanol (10 ml) was refluxed for 5 minutes under argon. The reaction was allowed to cool to room temperature and, then, diluted with 150 ml of hexane. The precipitate was collected by vacuum filtration, washed with hexane (2 x 100 ml), and air-dried. The product (1.38 g, 84%) was shown on tlc in ethyl acetate-methanol (9:2) to consist of two major products which were separated by filtration through a short path of alumina column with ethyl acetate as the solvent, mp 217-218°; ir (potassium bromide): 3350, 3100, 2950, 1720-1620, 1370, 1290, 1045, 800, 720 cm⁻¹; nmr (deuteriochloroform): δ 1.92 (s, 3H, -CH₃ at C₇), 2.08 (s, 3H, -CH₃ at C₆), 2.75 (t, 2H, -CH₂CO), 3.85 (t, 2H, -NCH₂), 5.28 (s, 1H, -H at C₈), 9.10 (broad s, 1H, -CONH) ppm.

Anal. Calcd. for C₈H₁₂N₂O: C, 65.85; H, 7.32; N, 17.07. Found: C, 65.72; H, 7.40; N, 17.04.

Ethyl 2-Amino-3-(*p*-chlorophenylsulfonyl)-4,5-dimethylpyrrole-1-acetate (**IXa**).

A solution of acetyl methyl carbinol (85% aqueous solution) (2.07 g, 0.02 mole), ethyl glycinate hydrochloride (2.82 g, 0.02 mole), and sodium bicarbonate (1.68 g, 0.02 mole) in 25 ml of ethanol and 10 ml of toluene was refluxed under a Dean-Stark trap with the azeotrope removal of 15 ml of distillate. The solution was refluxed for one hour. The reaction mixture was cooled down to room temperature and *p*-chlorophenylsulfonyl-

acetonitrile (4.31 g, 0.02 mole) was added and the solution was refluxed for an additional 1.5 hour with the removal of an additional 15 ml of distillate. At the end of the reaction, the inorganic salt was filtered off and the solvent was removed *in vacuo*. The oil was dissolved in 25 ml of ethanol, then placed in the freezer. The crude product (4.60 g, 62%) was further recrystallized from 70 ml of ethanol to yield white crystals that turned pale pink with time (4.00 g, 87%), mp 89-90°, homogeneous on tlc - ethyl acetate, $R_f = 0.86$; ir (potassium bromide): 3430, 3340, 1735, 1620, 1470, 1295, 1220, 1125, 1080, 740 cm^{-1} ; nmr (deuteriochloroform): δ 1.25 (t, 3H, $-\text{CH}_2\text{CH}_3$), 1.90 (s, 3H, $-\text{CH}_3$ at C_4 or C_5), 1.95 (s, 3H, $-\text{CH}_3$ at C_4 or C_5), 4.20 (q, 2H, $-\text{CH}_2\text{CH}_3$), 4.40 (s, 2H, methylene of acetic acid), 4.80 (broad s, 2H, $-\text{NH}_2$), 7.30-7.85 (m, 4H, ArH) ppm.

Anal. Calcd. for $\text{C}_{16}\text{H}_{19}\text{ClN}_2\text{O}_4\text{S}$: C, 51.82; H, 5.16; Cl, 9.56; N, 7.56; S, 8.65. Found: C, 51.92; H, 5.17; Cl, 9.61; N, 7.55; S, 8.62.

Ethyl 2-Amino-3-(*p*-toluenesulfonyl)-4,5-dimethylpyrrole-1-acetate (IXb).

A solution of acetyl methyl carbinol (85% aqueous solution) (2.07 g, 0.02 mole), ethyl glycinate hydrochloride (2.82 g, 0.02 mole), and sodium bicarbonate (1.68 g, 0.02 mole) in 25 ml of ethanol and 10 ml of toluene was refluxed under a Dean-Stark trap with the azeotrope removal of 15 ml of distillate. The solution was refluxed for one hour. The reaction mixture was cooled down to room temperature and *p*-toluenesulfonylacetonitrile (3.90 g, 0.02 mole) was added and the solution was refluxed for an additional 1.5 hour with removal of an additional 15 ml of distillate. At the end of the reaction, the inorganic salt was filtered off and the solvent was removed *in vacuo*. The oily material was dissolved in 30 ml of ethanol, then placed in the freezer. The crude product (4.20 g, 60%) was further recrystallized from 100 ml of ethanol to yield white crystals that turned pale pink with time (3.00 g, 71%), mp 82-83°, homogeneous on tlc - ethyl acetate, $R_f = 0.89$; ir (potassium bromide): 3420, 3330, 1735, 1610, 1535, 1470, 1290, 1275, 1210, 1120, 1075, 800, 650 cm^{-1} ; nmr (deuteriochloroform): δ 1.25 (t, 3H, $-\text{CH}_2\text{CH}_3$), 1.90 (s, 6H, $-\text{CH}_3$ at C_4 and C_5), 2.30 (s, 3H, $-\text{CH}_3$ of toluene), 4.20 (q, 2H, $-\text{CH}_2\text{CH}_3$), 4.35 (s, 2H, methylene of acetic acid), 4.80 (broad s, 2H, $-\text{NH}_2$), 7.15-7.75 (m, 4H, ArH) ppm.

Anal. Calcd. for $\text{C}_{17}\text{H}_{22}\text{N}_2\text{O}_4\text{S}$: C, 58.26; H, 6.33; N, 8.00; S, 9.15. Found: C, 58.35; H, 6.36; N, 7.99; S, 9.21.

7-(*p*-Chlorophenylsulfonyl)-5,6-dimethyl-2-oxo-2,3-dihydro-(1*H*)-pyrrolo[1,2-*a*]imidazole (Xa).

Ethyl 2-amino-3-(*p*-chlorophenylsulfonyl)-4,5-dimethylpyrrole-1-acetate (1.35 g, 0.0036 mole) was suspended in ethanol (10 ml) and water (6 ml) and stirred in a water bath ($\sim 80^\circ$) until a solution was obtained. One equivalent of a one percent sodium hydroxide solution (14.6 ml) was added dropwise to the warm solution. The reaction was run at 80° for one hour at which time the solution was cooled to room temperature and filtered. The ethanol was removed *in vacuo* and 10 ml of water added to the solution. The aqueous solution was acidified with 6*N* hydrochloric acid. The precipitate was collected by filtration, washed with water (2 x 10 ml) and air dried. The peach colored crystals (0.82 g, 68%) needed no further purification, mp 266-268°, homogeneous on tlc - ethyl acetate, $R_f = 0.88$; ir (potassium bromide): 3200, 1730, 1590, 1300, 1285, 1130, 895, 750 cm^{-1} ; nmr (DMSO- d_6): δ 2.00 (s, 6H, $-\text{CH}_3$ at C_5 and C_6), 4.45 (s, 2H, $-\text{N}-\text{CH}_2$), 7.60-7.95 (m, 4H, ArH), 11.40 (broad s, 1H, NH) ppm.

Anal. Calcd. for $\text{C}_{14}\text{H}_{13}\text{ClN}_2\text{O}_3\text{S}$: C, 51.06; H, 4.13; Cl, 10.76; N, 8.50; S, 9.73. Found: C, 51.05; H, 4.13; Cl, 10.71; N, 8.51; S, 9.67.

7-(*p*-Toluenesulfonyl)-5,6-dimethyl-2-oxo-2,3-dihydro-(1*H*)-pyrrolo[1,2-*a*]imidazole (Xb).

Ethyl 2-amino-3-(*p*-toluenesulfonyl)-4,5-dimethylpyrrole-1-acetate (1.22 g, 0.0035 mole) was suspended in ethanol (10 ml) and water (6 ml) and stirred in a water bath ($\sim 80^\circ$) until a solution was obtained. One equivalent of a one percent sodium hydroxide solution (14 ml) was added dropwise to the warm solution. The reaction was run at 80° for one hour at which time the solution was cooled to room temperature and filtered. The ethanol was removed *in vacuo* and 10 ml of water added to the solution. The aqueous solution was acidified with 6*N* hydrochloric acid at which time a gum formed. The gum was dissolved in ethanol (10 ml) and

placed in the freezer. A beige crystal (0.63 g, 58%) was collected by filtration, air dried and needed no further purification, mp 265-267°, homogeneous on tlc - ethyl acetate, $R_f = 0.72$; ir (potassium bromide): 3270, 1750, 1590, 1270, 1115, 1055, 885, 800 cm^{-1} ; nmr (DMSO- d_6): δ 1.95 (s, 6H, $-\text{CH}_3$ at C_5 and C_6), 2.35 (s, 3H, CH_3 of toluene), 4.45 (s, 2H, $-\text{N}-\text{CH}_2$), 7.30-7.85 (m, 4H, ArH), 11.40 (broad s, 1H, NH) ppm.

Anal. Calcd. for $\text{C}_{15}\text{H}_{16}\text{N}_2\text{O}_3\text{S}$: C, 58.33; H, 5.38; N, 9.07; S, 10.38. Found: C, 58.46; H, 5.40; N, 9.03; S, 10.40.

7-(*t*-Butoxycarbonyl)-5,6-dimethyl-2-oxo-2,3-dihydro-(1*H*)-pyrrolo[1,2-*a*]imidazole (XII).

A solution of acetyl methyl carbinol (85% aqueous solution) (10.37 g, 0.1 mole), ethyl glycinate hydrochloride (14.1 g, 0.1 mole), and sodium bicarbonate (8.4 g, 0.1 mole) in 150 ml of ethanol and 15 ml of toluene was refluxed under a Dean-Stark trap with the azeotropic removal of 30 ml of distillate. The solution was refluxed for an additional hour. The reaction mixture was cooled to room temperature and *t*-butyl cyanoacetate (14.86 g, 0.1 mole) was added and the solution was refluxed for 2 hours with the removal of another 25 ml of distillate. All solvents were removed *in vacuo*. The amber oil was dissolved in 200 ml of toluene, filtered to remove any inorganic salt and brought to reflux under a Dean-Stark trap. The toluene was azeotroped until no water appeared to be present in the distillate. The solution was cooled to room temperature and placed in an ice bath. Then potassium *t*-butoxide (11.2 g, 0.1 mole) was added slowly. The ice bath was removed and the solution stirred in a boiling water bath for 2 hours. The toluene was removed *in vacuo* and the residue was suspended in methanol (80 ml), water (20 ml) and glacial acetic acid (6 ml), then placed in the freezer overnight. The crude product (16.5 g, 66%) was further recrystallized from methanol-water (8.5:1.5) to yield pale yellow crystals (13.8 g, 84%), mp 229-230°, homogeneous on tlc - ethyl acetate, $R_f = 0.90$; ir (potassium bromide): 3180, 2980, 2930, 1720, 1670, 1600, 1400, 1290, 1110, 770 cm^{-1} ; nmr (deuteriochloroform): δ 1.55 (s, 9H, $t\text{-C}_4\text{H}_9$), 2.05 (s, 3H, $-\text{CH}_3$ at C_5 or C_6), 2.15 (s, 3H, $-\text{CH}_3$ at C_5 or C_6), 4.25 (s, 2H, $-\text{CH}_2$ of imidazole), 8.25 (broad s, 1H, $-\text{NH}$) ppm.

Anal. Calcd. for $\text{C}_{13}\text{H}_{18}\text{N}_2\text{O}_3$: C, 62.38; H, 7.25; N, 11.20. Found: C, 62.27; H, 7.30; N, 11.17.

7-Carboxy-5,6-dimethyl-2-oxo-2,3-dihydro-(1*H*)-pyrrolo[1,2-*a*]imidazole (XIII).

7-(*t*-Butoxycarbonyl)-5,6-dimethyl-2-oxo-2,3-dihydro-(1*H*)-pyrrolo[1,2-*a*]imidazole (5.0 g, 0.02 mole) was placed in a 150 ml beaker with methane sulfonic acid (10.0 g) and stirred for approximately 10 minutes at room temperature. At the end of this reaction, the clear solution was mixed with 50 g of crushed ice. The insoluble carboxylic acid was collected by filtration, washed with distilled water and air dried to yield an off white powder (3.83 g, 99%). The carboxylic acid was purified by dissolving in 1*N* sodium hydroxide (20 ml) and reacidification with hydrochloric acid, mp 220-221°, homogeneous on tlc - ethyl acetate, $R_f = 0.00$; ir (potassium bromide): 3200, 2930, 2600, 1740, 1640, 1590, 1490, 1290, 1130, 940, 850, 715 cm^{-1} ; nmr (DMSO- d_6): δ 2.00 (s, 3H, $-\text{CH}_3$ at C_5 or C_6), 2.10 (s, 3H, $-\text{CH}_3$ at C_5 or C_6), 4.35 (s, 2H, $-\text{CH}_2$ of imidazole), 11.10 (broad s, 1H, $-\text{NH}$) ppm.

Anal. Calcd. for $\text{C}_9\text{H}_{10}\text{N}_2\text{O}_3$: C, 55.66; H, 5.19; N, 14.43. Found: C, 55.53; H, 5.25; N, 14.41.

5,6-Dimethyl-2-oxo-2,3-dihydro-(1*H*)-pyrrolo[1,2-*a*]imidazole (XIV).

7-(*t*-Butoxycarbonyl)-5,6-dimethyl-2-oxo-2,3-dihydro-(1*H*)-pyrrolo[1,2-*a*]imidazole (12.5 g, 0.05 mole) and trifluoroacetic acid (37.5 g) were stirred in a water bath whose temperature was kept constant between 50-55° for approximately one hour. The majority of the trifluoroacetic acid was removed *in vacuo* and 120 grams of ice and water was added to the residue. The solution was adjusted to a pH of 9 with 1*N* sodium hydroxide. The precipitate (5.85 g, 78%) was collected by filtration, washed with distilled water and resuspended in 150 ml of methanol:diethyl ether (1:2) and collected, mp 211-213°, homogeneous on tlc - ethyl acetate, $R_f = 0.62$; ir (potassium bromide): 3270, 2920, 1725, 1700, 1605, 1450, 1290,

1180, 1110, 870, 740 cm^{-1} ; nmr (DMSO- d_6): δ 1.85 (s, 3H, $-\text{CH}_3$ at C_5 or C_6), 1.95 (s, 3H, $-\text{CH}_3$ at C_5 or C_6), 4.20 (s, 2H, $-\text{CH}_2$ of imidazole), 4.90 (s, 1H, $-\text{H}$ at C_7), 10.50 (broad s, 1H, $-\text{NH}$) ppm.

Anal. Calcd. for $\text{C}_8\text{H}_{10}\text{N}_2\text{O}$: C, 63.98; H, 6.71; N, 18.66. Found: C, 64.19; H, 6.75; N, 18.54.

REFERENCES AND NOTES

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