PSEUDOESTERS AND DERIVATIVES. XXI[1]. THE REACTION OF 4-BROMO-5-METHOXY-3-PYRROLIN-2-ONE WITH NUCLEOPHILES

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Abstract-Nucleophilic substitution of the halogen in 4-bromo-5-methoxy-3-pyrrolin-2-one (1) is observed by using dimethylamine, azide, phenylmethanethiolate and 2-propanethiolate ions.The reaction of 1 with methoxide ion affords 5,5-dimethoxy-3-pyrrolin-2-one (2).As a general rule,secondary amines react with 1 yielding the respective 4,5-diaminosubstituted 5-pyrrolin-2-one(5). Although the initial reaction of 1 with thiolate ions is the halogen substitution, further reaction with thiolate affords the respective 3-(alkylthio)pyrrolidine-2,5-dione(8).

We have previously reported on the nucleophilic substitution in halogenated formylacrylic acid derivatives, such as methyl 3-bromo-4,4-dimethoxybut-2-enoate and the corresponding nitrile[2], in which the substitution of the halogen by oxygen, nitrogen and sulphur nucleophiles proceeds in good yields.

Recently we have also studied the reaction in cyclic pseudoesters of β-formylacrylic acids, such as 4-bromo-5-methoxy-2(5H)-furanone. In this case, the substitution of the halogen by nitrogen or sulphur nucleophiles occurs in satisfactory yields[3]. In contrast, the main reaction with methoxide ion is the opening of the lactone ring and the expected substitution product is only observed as a minor component[4].

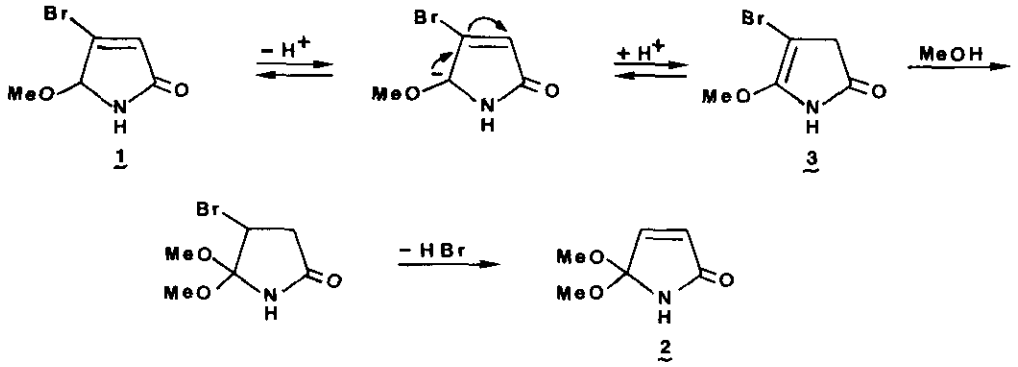
In the present paper we widen the scope of this study to the 4-bromo-5-methoxy-3-pyrrolin-2-one (1). The substrate is readily obtained by ammonolysis of the corresponding furanone and subsequent reaction with methanol in the presence of a catalytic amount of acid, following the method previously described by us[5].

The chemistry of pyrrolinones has been the subject of considerable interest[6] in view of the presence of this lactam ring in the bile pigments, in several antibiotics and as they may be used as intermediates to test new methods of synthesis of macrocyclic tetrapyrroles[7]. Nevertheless, as far as we know, the nucleophilic substitution in monohalogenated 3-pyrrolin-2-ones has not yet been investigated.

We now report on the behaviour of 4-bromo-3-pyrrolin-2-one (1) towards oxygen, nitrogen and sulphur nucleophiles.

Reaction with methoxide ion

The reaction of 4-bromo-5-methoxy-3-pyrrolin-2-one (1) with methanol and an equimolar amount of potassium hydroxide, at room temperature, proceeds differently from that with 4-bromo-5-methoxy-2(5H)-furanone[4] and affords 5,5-dimethoxy-3-pyrrolin-2-one (2) as the sole product, in 90% yield. In the present case, neither the expected product of nucleophilic substitution, nor derivatives originated from the ring-opening have been observed.



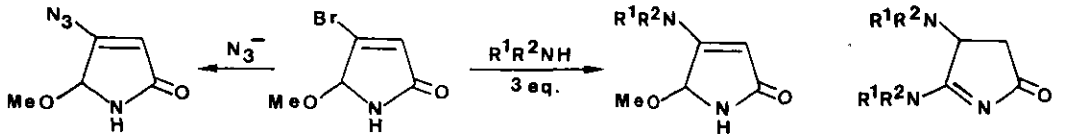
The formation of 2 is assumed to proceed by (a) abstraction of the C-5 proton by the basic reagent leading to an anionic intermediate[8], which facilitates the isomerization to the pyrrolinone 3; (b) methanol addition to 3; and (c) HBr elimination to afford product 2. The reason for the preferential attack on the hard C-5 proton rather than for nucleophilic substitution at the soft center C-4, presumably lies in the hard character of the basic reagent[9].

Reaction with nitrogen nucleophiles

We first examined the reactions with secondary amines, such as dimethylamine, diethylamine and piperidine in a 1:3 ratio substrate/reagent, using methanol or THF as solvent.

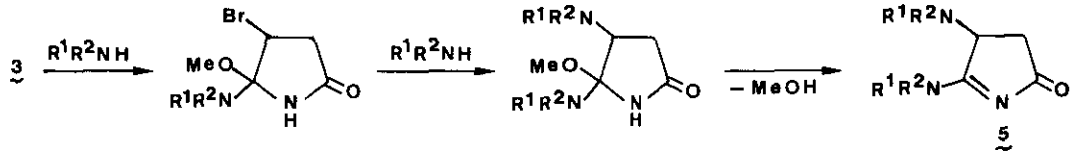
The reaction of bromopyrrolinone 1 with dimethylamine affords a mixture of the expected substitution product 4a and 4,5-bis(dimethylamino)-5-pyrrolin-2-one (5a) in an approximate ratio of 2:1. In contrast, when using diethylamine or piperidine as nuclephiles, the substitution products are not observed and solely compounds 5b and 5c, respectively, are isolated. The reaction in methanol as solvent is faster than in THF. It is to be noted that when the reaction with secondary amines is repeated by using a 1:2 ratio substrate/reagent, the same products are obtained and starting material is recovered.

We have also examined the reaction of 1 with sodium azide, which, in methanol as solvent, affords the expected substitution product 6 in 85% yield. However when the solvent is THF, only unchanged starting material is recovered.



6 1 a: $R^1=R^2=Me$ b: $R^1=R^2=Et$ c: $R^1-R^2=C_5H_{10}$ 4a (60%) 5a (32%) 5b (58%) 5c (70%)

A plausible mechanism for the formation of the 5-pyrrolin-2-ones (5) is shown in the following scheme. As before, in a first step the amine, acting as a hard base, abstract the proton in 5-position preferentially to the attack at C-4, which is a soft center[9]. In subsequent steps occur the addition of the amine to the double

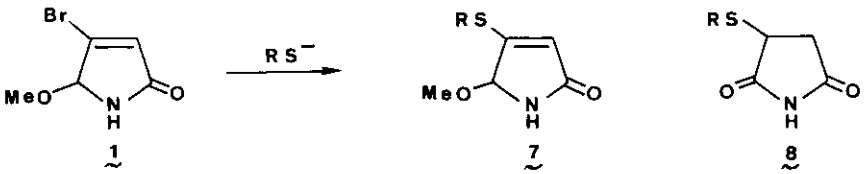


bond of 3, followed by substitution of the halogen by the amine and methanol elimination to afford compound 5 with ketoamidine structure.This mechanism is consistent with the fact that 4-dimethylamino-5-methoxy-3-pyrrolin-2-one (4a) does not react with dimethylamine to afford 5a.

Reaction with thiolate ions

We have also studied the reaction of 1 with phenylmethanethiol, 2-propanethiol and 2-methyl-2-propanethiol, at room temperature, in the presence of an equimolar amount of the corresponding thiolate. The results are summarized in the Table.
The reaction of 1 with phenylmethanethiolate ion affords, after 1 h, the expected substitution product 7a in very good yield. However, when the reaction time is prolonged for one day, only a minor proportion of 7a is produced and 3-(benzylthio)-pyrrolidine-2,5-dione (8a)[10] becomes the predominant product. The reaction with 2-propanethiolate ion gives the substitution product (7b) accompanied by the pyrrolidinedione (8b) as a minor component. Finally, when the reaction is effected with 2-methyl-2-propanethiolate ion, the pyrrolidinedione 8c is obtained as the sole product. It is to be noted that when the latter reaction mixture is analyzed after 1 h,

Table. The reaction of 1 with thiolate ions

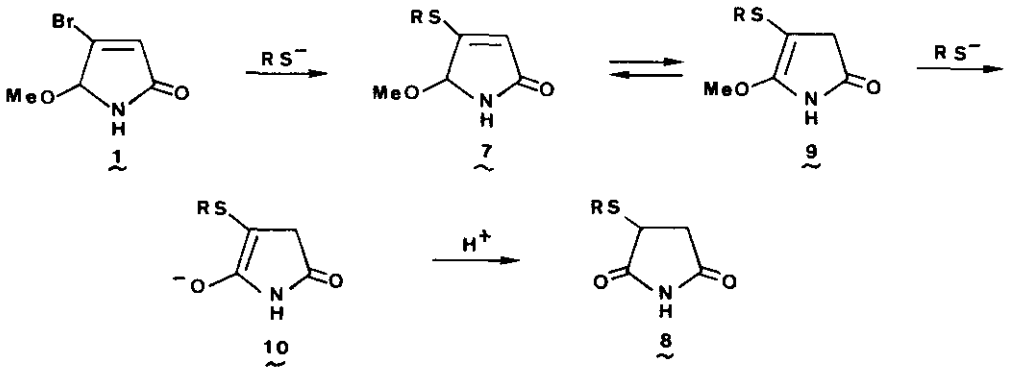


1 7 8

R	Reaction time	Solvent	Products (Yield, %) 7	8	1
a: $PhCH_2$	1 h	Methanol	90	–	–
	1 day	Methanol	11	45	–
b: i-Pr	5 h	Methanol	52	18	–
	15 days	THF	47	14	12
c: t-Bu	3 h	Methanol	–	50	–
	13 days	THF	–	30	55

before completion of the reaction, compound 8c is present but the substitution product 7c is not detected.

The formation of the pyrrolidine-2,5-dione 8 may be rationalized through the following mechanism:



The thiolate ion, which is a soft nucleophile, attacks at the soft site C-4 of the ring rather than at the hard proton in 5-position; therefore, the nucleophilic substitution of the halogen by the thiolate is assumed to be the first step. In subsequent steps the 3-pyrrolin-2-one 7 is converted into the tautomeric 4-pyrrolin-2-one 9, in which the methoxy group is attacked by the thiolate[11], due to its enol

ether character. The enolate 10, the carbanionic form of which is stabilized by the presence of the sulphur atom, is protonated to give the pyrrolidine-2,5-dione 8. The proposed mechanism is consistent with the fact that the substitution products 7a and 7b react with the corresponding thiolate yielding 8a and 8b, respectively. Furthermore, the fact that substitution product 7c is not detected when using 2-methyl-2-propanethiolate is presumably due to the greater basicity of the thiolate[12], which favours the tautomerization 7 ⇌ 9.

In summary, in the first step of the reaction of bromopyrrolinone 1 with nucleophiles, there is a competition between the abstraction of the C-5 proton and the nucleophilic substitution at C-4. The resulting products depend, among other factors, on the hardness or softness and basic strength of the reagent.

EXPERIMENTAL

Mps are uncorrected. IR spectra were recorded on a Pye-Unicam SP-1100 grating spectrometer, ν values in cm^{-1}. ^{1}H-NMR spectra were obtained on a Hitachi Perkin-Elmer R-24-A or on a Varian XL-100, ^{13}C-NMR spectra on a Bruker W-80 spectrometers for solutions in $CDCl_3$ (unless otherwise stated) and the chemical shifts are reported as δ (ppm from internal TMS). Mass spectra were determined on a Hitachi Perkin-Elmer RMU=6MG spectrometer. UV spectra were recorded on a Perkin-Elmer 402 spectrophotometer for acetonitrile solutions, λ_{max}nm(ε). Silica gel Merck 60 (70-230 mesh) and F_{254} (layers 2 mm) were normally used for column, preparative and analytical t.l.c.

Reaction with potassium hydroxide in methanol

To a solution of the bromopyrrolinone 1 (0.96 g, 5 mmol) in methanol (30 ml) is added dropwise 1N methanolic potassium hydroxide (5 ml, 5 mmol) and the mixture is stirred at room temperature for 1 h. The methanol is removed in vacuo and the 5,5-dimethoxy-3-pyrrolin-2-one (2) is extracted with chloroform. Yield 90%. The product is recrystallized from ethyl acetate-petroleum ether, mp 71-72°C. (Found: C, 49.98; H, 6.37; N, 9.52. $C_6H_9NO_3$ requires, C, 50.34; H, 6.29; N, 9.78. IR(nujol): 3200, 3130 (NH); 1725, 1690 (C=O); 1605 (C=C). ^{1}H-NMR (DMSO-d_6): 8.83 (br, 1H, NH); 7.09 (dd, 1H, C-4, $J_{3,4}$= 6.6, $J_{1,4}$= 1.5); 6.05 (dd, 1H, C-3, $J_{3,4}$= 6.6, $J_{1,3}$=1.5); 3.24 (s, 6H, $2OCH_3$). UV: 204(3680). Ms: m/e = 112(100%) (M^+-OCH_3), 81(10.3) (M^+-$2OCH_3$).

Reaction with secondary amines. General procedure

To a solution of the bromopyrrolinone 1 (0.96 g, 5 mmol) in methanol or THF (10 ml), is added the dialkylamine (15 mmol) and the mixture is stirred at room temperature, or at reflux until the starting material is not detected by t.l.c. The solvent is removed in vacuo, the crude is treated with ethyl acetate, the dialkylammonium salt is filtered and the product is separated by column chromatography (chloroform-methanol 4:1).

Reaction with dimethylamine.- The amine is added at 0°C and the reaction mixture in methanol as solvent is stirred for 7 h, during this period the temperature rises to 14°C. Chromatography on a silica gel column afford the compounds 4a and 5a. 4-Dimethylamino-5-methoxy-3-pyrrolin-2-one (4a) as a colourless solid, mp 107°C (from ethyl acetate-petroleum ether). Yield 60%. (Found: C, 53.64; H, 7.33; N, 17.96. $C_7H_{12}N_2O_2$ requires, C, 53.84; H, 7.69; N, 17.95. IR(KBr): 3270, 3140 (NH); 1675, 1650 (C=O); 1625 (C=C). ^{1}H-NMR: 6.28 (br, 1H, NH); 5.47 (d, 1H, C-5, $J_{1,5}$= 1.9); 4.54 (d, 1H, C-3, $J_{1,3}$= 0.7); 3.19 (s, 3H, OCH_3); 2.92 [s, 6H, $(CH_3)_2N$]. UV: 213(7600); 280(12000). Ms: m/e = 156(43%)(M^+), 125(32)(M^+-OCH_3), 112(2)[M^+-$(CH_3)_2N$], 69(100)(M^+-87). 4,5-Bis(dimethylamino)-5-pyrrolin-2-one (5a).-Attempts of recrystallization from chloroform-petroleum ether gave an oil. Yield 32%. IR (nujol): 1710 (C=O); 1600 (C=N). ^{1}H-NMR: 3.74 (dd, 1H, C-4, $J_{3a,4}$= 7.45, $J_{3b,4}$ = 5.25); 3.25 (s, 3H, CH_3N, C-5); 3.16 (s, 3H, CH_3N, C-5); 2.85-2.71 (m, 2H, C-3); 2.38 (s, 6H, CH_3N, C-4). ^{13}C-NMR: 191.16 (s, C=O, C-2); 182.01 (s, C=N, C-5); 66.76 (d, CH, C-4); 41.44, 38.80, 38.69 (3q, $4CH_3N$, C-4 and C-5); 29.15 (t, CH_2, C-3). UV: 242(19800). Ms: m/e = 169 (11.8%)(M^+), 126(100)(M^+-C_2H_5N), 71 (94), 56 (63.5), 44(94)($C_2H_5N^+$). When THF is used as solvent, after 9 h stirring, the compounds 4a and 5a are obtained in similar yield.

Reaction with diethylamine.- The reaction mixture in methanol as solvent is stirred for 5 h (or heated for 2 h).The compound 4,5-bis(diethylamino)-5-pyrrolin-2-one (5b) is obtained from the column. Yield 58%. Attemps of recrystallization from chloroform-petroleum ether gave an oil. IR(nujol): 1720 (C=O); 1580 (C=N). ^{1}H-NMR: 3.91 (dd, 1H, C-4, $J_{3a,4}$= 7.95, $J_{3b,4}$= 5.05); 3.66, 3.41 (2q, 4H, CH_2N, C-5, J = 7.2); 3.01-2.3 (m, 2H, C-3); 2.56 (q, 4H, CH_2N, C-4, J = 7.15); 1.27, 1.22 (2t, 6H, CH_3CH_2N, C-5, J = 7.2); 1.10 (t,6H, CH_3CH_2N, C-4, J = 7.15). ^{13}C-NMR: 192.06 (s, C=O, C-2); 180.88 (s, C=N, C-5); 62.71 (d, CH, C-4); 44.85, 43.55, 43.45 (3t, $4CH_2N$, C-4 and C-5); 30.89 (t, CH_2, C-3); 13.91, 13.68, 12.62 (3q, $4CH_3CH_2N$, C-4 and C-5). UV: 243(24000). Ms: m/e = 225(2.7%)(M^+), 154(100)(M^+-C_4H_9N), 99(14.9), 84 (26.0), 72(20.7)($C_4H_{10}N^+$). When THF is used as solvent, the mixture is heated at 50°C for 2 h. The compound 5b is obtained in 69% yield.

Reaction with piperidine.- The reaction mixture in methanol as solvent is stirred for 3 h. The 4,5-dipiperidino-5-pyrrolin-2-one (5c) is purified by column chromatography. Yield 70%. Colourless solid, mp 151°C (from cyclohexane).IR(nujol): 1702 (C=O); 1581 (C=N). ^{1}H-NMR:3.80-3.66 (m, 2H, CH_2N, C-5); 3.58 (dd, 1H, C-4, $J_{3a,4}$= 7.65, $J_{3b,4}$= 5.15); 3.46-3.28 (m, 2H, CH_2N, C-5); 2.95-2.28 (m, 2H on C-3 and 4H, CH_2N, C-4); 1.79-1.29 (m, 12H, CH_2 piperidine rings). ^{13}C-NMR: 191.03(s, C=O, C-2); 180.11 (s, C=N, C-5); 66.68 (d, CH, C-4); 50.17, 47.85, 47.04 (3t, $4CH_2N$, C-4 and C-5); 29.81 (t, CH_2, C-3); 26.30, 26.02, 25.32 (3t, C_β, C-4 and C-5); 24.17, 23.89 (2t, C_γ, C-4 and C-5). UV: 244(25000). Ms : m/e = 249 (2.9%) (M^+), 166 (100) (M^+-C_5H_9N), 111 (10.4), 96 (10.4), 84 (37.5)($C_5H_{10}N^+$).

Attempt of reaction of 4-dimethylamino-5-methoxy-3-pyrrolin-2-one (4a) with dimethylamine. To a solution of pyrrolinone 4a (0.156 g, 1 mmol), in THF (10 ml) is added dimethylamine (0.18 g, 4 mmol). After stirring the mixture at room temperatue for 2 days only unchanged starting material is recovered.

Reaction with sodium azide.- To a solution of the bromopyrrolinone 1 (0.96 g, 5 mmol) in methanol (10 ml) is added the sodium azide (0.81 g, 12.5 mmol) as a saturated solution in water. The reaction mixture is gently heated for 3 h. The solvent is removed in vacuo, and the residue is extracted with dichloromethane. The 4-azido-5-methoxy-3-pyrrolin-2-one (6) is a colourless solid, mp 94°C (from cyclohexane). Yield 85% (Found: C, 39.25; H, 4.04; N, 36.22. $C_5H_6N_4O_2$ requires, C, 38.96; H, 3.92; N, 36.35). IR(nujol): 3220, 3120 (NH); 2120 (N_3); 1740, 1680 (C=O); 1630 (C=C). ^{1}H-NMR: 7.25 (br, 1H, NH); 5.57 (d, 1H, C-3, $J_{1,3}$= 1.5 disappears with D_2O); 5,45 (d, 1H, C-5, $J_{1,5}$= 2.1 disappears with D_2O); 3.33 (s, 3H, OCH_3). UV: 210(5600), 239 (6900), 265 (7300). Ms: m/e = 154 (3.0%)(M^+), 123 (7.3)(M^+-OCH_3), 112 (1.7) (M^+-N_3), 67(100)(M^+-87). When THF is used as solvent, the mixture is refluxed with stirring for 10 h. After removing the solvent, only unchanged material is recovered.

Reaction of 1 with thiolate ions. General procedure

To a solution of bromopyrrolinone 1 (7 mmol) in methanol or THF (20 ml), is added the sodium thiolate (7 mmol) (in methanol solution or in solid form, respectively) and the thiol (7 mmol). The reaction mixture is stirred at room temperature until the starting material is consumed. Disappearance of bromopyrrolinone 1 is followed by t.l.c. (ethyl acetate). After evaporation of the solvent, the residue is extracted with ethyl acetate, and the crude is purified or the compounds separated by column chromatography (ethyl acetate-petroleum ether 1:1). The corresponding dialkyldisulfide is also obtained as by-product in every case from the column.

Reaction with sodium phenylethanethiolate.- The reaction mixture in methanol as solvent is stirred for 1 h. The 4-(benzylthio)-5-methoxy-3-pyrrolin-2-one (7a) is obtained as a colourless solid, mp 82°C (from ethyl acetate-petroleum ether). Yield 90%. (Found: C, 60.88; H, 5.64; N, 5.91; S, 13.90. $C_{12}H_{13}NO_2S$ requires, C, 61.26; H, 5.57; N, 5.95; S, 13.60). IR(nujol): 3240, 3110, 3085 (NH); 1685 (C=O); 1570 (C=C). ^{1}H-NMR(DMSO-d_6): 8.38 (br, 1H, NH); 7.38 (m, 5H, C_6H_5); 5.94 (d, 1H, C-3, $J_{1,3}$= 1.5 disappears with D_2O); 5.36 (d, 1H, C-5, $J_{1,5}$= 2.7 disappears with D_2O); 4.22 (s, 2H, CH_2, C-4); 3.12 (s, 3H, OCH_3). UV(ethanol): 220 (5300); 279 (5500). Ms: m/e = 204(2.6%)(M^+-OCH_3), 123 (2.0)(M^+-SCH_2Ph), 91(100)(M^+-CH_2Ph). When the reaction mixture in methanol as solvent is allowed to stand at room temperature for one day, the products 7a and 8a are obtained in 11% and 45% yield respectively. The 3-(benzylthio)pyrrolidine-2,5-dione (8a) is a colourless solid, mp 74-75°C (from cyclohexane). (Found: C, 60.01; H, 5.02; N, 6.62; S, 14.45. $C_{11}H_{11}NO_2S$ requires, C, 59.71; H, 5.01; N, 6.33; S, 14.49. IR(KBr): 3290, 3100 (NH); 1790, 1720 (C=O). ^{1}H-NMR: 8.67 (br, 1H, NH); 7.42-7.29 (m, 5H, C_6H_5); 4.19, 3.86 (AB q, 2H, CH_2Ph, J_{gem}= 13.5), 3.54 (dd, 1H, C-3, $J_{3,4a}$= 9.05, $J_{3,4b}$= 4.02);

3.02 (dd, 1H, C-4, $J_{3,4a}$= 9.05, $J_{4a,ab}$= 18.77); 2.44 (dd, 1H, C-4, $J_{3,4b}$= 4.02, $J_{4a,4b}$= 18.77). UV: 202 (12000). Ms: m/e = 221(5%)(M^+), 123 (100)($PhCH_2S^+$), 91(1) ($PhCH_2^+$).

Reaction with sodium 2-propanethiolate.- The reaction mixture in methanol as solvent is stirred for 5 h. Products 7b and 8b are obtained in 52% and 18% yield respectively. The 4-(isopropylthio)-5-methoxy-3-pyrrolin-2-one (7b) is a colourless solid, mp 88°C (from ethyl acetate-petroleum ether). (Found: C, 51.16; H, 7.26; N, 7.58; S, 17.26. $C_8H_{13}NO_2S$ requires, C, 51.31; H, 7.00; N, 7.48; S, 17.12). IR (KBr): 3240, 3110 (NH); 1705 (C=O); 1580 (C=C). ^{1}H-NMR: 7.48 (br, 1H, NH), 5.68 (d, 1H, C-3, $J_{1,3}$= 1.4 disappears with D_2O); 5.30 (d, 1H, $J_{1,5}$ = 1.8 disappears with D_2O); 3.30 (m, 1H, CHS, J = 6.6); 3.16 (s, 3H, OCH_3); 1.30 [d, 6H, $(CH_3)_2$CHS, J = 6.6]. UV: 228 (6600); 274 (10000). Ms: m/e = 187 (25%)(M^+), 156 (14)(M^+-OCH_3), 112 (3)(M^+-SC_3H_7), 60(100). The 3-(isopropylthio)pyrrolidine-2,5-dione (8b) is recrystallized as a colourless solid, mp 69°C (from cyclohexane). (Found: C, 48.12; H, 6.59; N, 7.94; S, 18.74 . $C_7H_{11}NO_2S$ requires, C, 48.49; H, 6.40 ; N, 8.08; S, 18.50). IR (KBr): 3260, 3120 (NH); 1795, 1720 (C=O). ^{1}H-NMR: 9.06 (br, 1H,NH); 3.81 (dd, 1H, C-3, $J_{3,4a}$= 8.95, $J_{3,4b}$= 3.90); 3.41 (m, 1H, CHS, J = 6.7); 3.18 (dd, 1H, C-4, $J_{3,4a}$= 8.95, $J_{4a,4b}$= 18.8); 2.52 (dd, 1H, C-4, $J_{3,4b}$= 3.90, $J_{4a,4b}$= 18.8); 1.34 (d, 3H, CH_3, J = 6.7); 1.24 (d, 3H, CH_3, J = 6.7). UV: 201 (3411). Ms: m/e = 173 (12.2%)(M^+), 75(100)($C_3H_5S^+$), 43 (45.6)($C_3H_7^+$). When THF is used as solvent, the mixture is stirred at room temperature 15 days. Products 7b, 8b and 1 are obtained from the column in 47%, 14% and 12% yield respectively.

Reaction with sodium 2-methyl-2-propanethiolate.- The reaction mixture in methanol as solvent is stirred for 3 h. The 3-(*tert*-butylthio) pyrrolidine-2,5-dione (8c) is a colourless solid, mp 124°C (from cyclohexane). Yield 50%. (Found: C, 50.98; H, 7.18; N, 7.61; S, 17.02. $C_8H_{13}NO_2S$ requires, C, 51.31; H, 7.00; N, 7.48; S, 17.12). IR(KBr): 3260, 3120 (NH); 1800, 1720 (C=O). ^{1}H-NMR: 8.80 (br, 1H, NH); 3.79 (dd, 1H, C-3, $J_{3,4a}$= 9.05, $J_{3,4b}$= 4.75); 3.24 (dd, 1H, C-4, $J_{3,4a}$= 9.05, $J_{4a,4b}$ = 18.60); 2.65 (dd, 1H, C-4, $J_{3,4b}$= 4.75, $J_{4a,4b}$= 18.60); 1.44 [s, 9H, $(CH_3)_3$CS]. UV: 208 (690). Ms: m/e = 187 (6.0%)(M^+), 89(4.1)($C_4H_9S^+$), 57(100)($C_4H_9^+$). If the reaction mixture is stopped at 1 h, the compound 7c is not detected in ^{1}H-NMR. When THF is used as solvent, the mixture is stirred for 15 days. Products 8c and 1 are obtained in 30% and 55% yield respectively.

Reaction of 4-alkylthio-5-methoxy-3-pyrrolin-2-ones with thiolate ions. General procedure

To a solution of the alkylthiopyrrolinone 7a or 7b (0.5 mmol) in methanol (6 ml) is added the corresponding thiolate ion (0.5 mmol). The mixture is allowed to stand at room temperature for 3 days until the starting material is not detected by t.l.c. The major product of the reaction is 8a or 8b respectively.

Reaction of 3-pyrroline-2,5-dione with thiolate ions. General procedure.

To a solution of 3-pyrroline-2,5-dione(5 mmol) in THF (10 ml) is added phenylmethane-2-propane- or 2-methyl-2-propane-thiol (5 mmol) and 20% of the equimolar amount of the corresponding thiolate. The mixture is stirred at room temperature for 1 h, and then is filtered and the solvent is evaporated in vacuo. The pyrrolidine-2,5-diones 8a, 8b or 8c are obtained in quantitative yields and are recrystallized from cyclohexane.

ACKNOWLEDGEMENT

We thank the Comisión Asesora de Investigación Científica y Técnica for financial support.

REFERENCES AND NOTES

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Received, 6th January, 1984