1-ACETYL-2-BROMO-3-INDOLINONE IN NUCLEOPHILIC SUBSTITUTION REACTIONS AND THE SYNTHESIS OF PYRROLO[3,2-b]INDOLES

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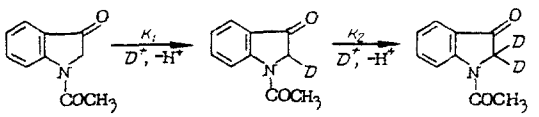
Indoles with 2,3-disubstitution and heterocycles obtained from them are of interest in the search for new biologically active materials [4, 9]. One of the possible approaches to the synthesis of compounds of such structure is in the use of 2-substituted indoxyls [1, 6]. The present work presents a new method for the introduction of nucleophilic substituents into position 2 of indoxyl and its subsequent conversion into hetero[b]indoles, and in particular, into pyrrolo[3,2-b]indoles. The starting material for the preparation of the 2-substituted indoxyls proceeds from the earlier unknown N-acetyl-2-bromo-3-indolinone (II). The latter is formed upon bromination of N-acetylindoxyl with bromine in a low-polarity, aprotic solvent such as dioxane or CH_2Cl_2. Thus, upon carrying out the bromination in CH_2Cl_2, the bromoketone II is obtained in quantitative yield. According to TLC and ^1H NMR spectral data, there is no formation of more highly brominated products, particularly the dibromoketone, which occurs in the bromination of benzothiophenone-3 [5].

The high rate of bromination of compounds I indicates the possibility of an electrophilic substitution mechanism, including an enolization step. With the aim of verifying this proposition, we determined the rate of enolization of N-acetyl indoxyl by measuring the rate of deuterium exchange in position 2 with consideration for the equilibrium exchange rate.

If the isotope effect is disregarded, the rate constant for deuterioexchange $k_D = k_1 = k_2$. This value is calculated on the basis of the size of the dependence of the integral intensity of the 2-H signal upon the time for deuterioexchange in 0.2 M solution of compound I in THF-D_4-D_2O-DCl (12:2:1) and is equal to $k_D = 3.9 \cdot 10^{-4} \pm 0.1 \cdot 10^{-4} s^{-1}$. Such a value (more than 10 times exceeding the analogous value for acetone) confirms the existence of an enolization step [7].



Bromoketone II is not stable: upon storage in the solid state (over 24 h) and especially in solution it decomposed, partially transforming into indigoids. For this reason bromoketone II was expediently used in the form of a dioxane solution prepared in situ.

We carried out the reaction of bromoketones II with a series of O-, N-, S-, and C-nucleophilic reagents, which were used as neutral materials (H_2O, ammonia, primary amines) and salts of alkali metals (acetate, bicarbonate, sodium cyanate, and potassium thiocyanate). It was established that in all cases reaction occurred only by substitution of the bromine atom, resulting in the formation of the 2-substituted ketones IIIa-g in high yield. The products of the reaction of bromoketone II with ammonia and amines were isolated and identified as the hydrochlorides (formula on following page, below table).

The earlier recommended solvents for carrying out the reaction with sodium acetate and bicarbonate and also potassium thiocyanate were acetone and methanol; with water and ammonia they were dioxane and tetrahydrofuran. Depending upon the nature of the reagents, the reaction takes place practically instantaneously (less than 1 min) even at 20°C. This indicates that in the substitution reaction the bromoketone II is more reactive than bromoacetone: for completion of the reaction of the latter with sodium acetate at 55°C, 10-30 min are required [8].

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TABLE 1. Chemical Shifts in the ^1H NMR Spectra of Compounds II, III, and V*

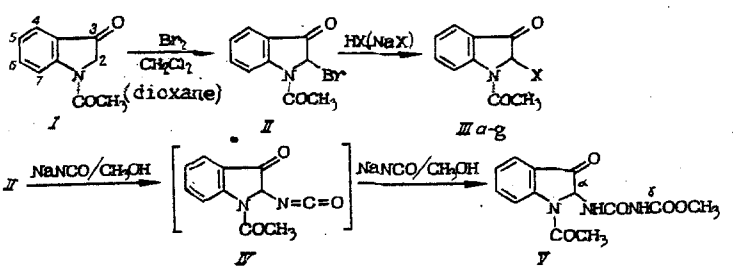
Compound	Chemical shift, δ, ppm						
	2-H s	4-H d	5-H	6-H t	7-H d	CH_3CO	other signals
II	6,11	7,83	7,31	7,71	8,60	2,56s	—
IIIa	5,35	7,68	7,20	7,66	8,38	2,46s	—
IIIb	5,64	7,81	7,36	7,84	8,16	2,50s	9,2 (s, 2H, NH_2)
IIIc	5,75	7,77	7,36	7,82	8,00	2,50s	9,8 (s, 1H, NH) 1,46 (s, 9H, CH_3)
IIId	5,32	7,71	7,29	7,76	8,16	2,35s	2,64 (s 3H, CH_3)
IIIe	5,59	7,77	7,36	7,83	8,16	2,55s	4,08 (s, 2H, CH_2) 7,30—7,44 (m,5H, C_6H_5)
IIIf	5,54	7,82	7,31	7,78	8,58	2,57s	—
V	5,58	7,68	7,24	7,69	8,41	2,42s	9,23 (s 1H, NH-α) 10,41 (s, 1H, NH-γ) 3,74 (s,3H, CH_3)

*The spectra were obtained at 20°C in the following solvents: II, IIIa, and IIIf, $CO-Cl_3$; IIIb-e, DMSO-D_6; V, DMF-D_7.

TABLE 2. Characteristic Ions in the Mass-Spectra of Compounds II, IIIb-f and V, m/z (I)

Compound, ion	II	IIIb	IIId	IIIc	IIIe	IIIf	V
M^+	253/255*	190	204	246	—***	232	291
	(8)	(34)	(31)	(16)		(31)	(26)
$(M-CO)^+$	—	162	176	218	252	204	—
		(42)	(42)	(26)	(5)	(5)	
$(M-R)^-$	174	—	—	—	—	174	174
	(12)					(40)	(67)
$(M-COCH_2)^+$	211/213*	—	—	—	—	190	249
	(6)					(15)	(73)
$(M-COCH_3)^+$	—	147	161	—	—	—	—
		(58)	(35)				
$(M-R-COCH_2)^+$	132	—	—	—	—	132	—
	(100)					(100)	
$(RhNHCHNH)^+$	—	120	134**	120			120
		(100)	(51)	(64)			(53)
$(PhNHCNH)^+$	—	119	133**	119	119	—	119
		(77)	(68)	(73)	(7)		(100)
$PhNH^+$	—	93	93	93	93	—	93
		(58)	(100)	(100)	(20)		(15)

*Presented as the sum of the intensities of the isotopic peaks.
**The spectrum of compound IIIc showed peaks for the corresponding $PhNHCNCH_3^+$ and $PhNHCHNCH_3^+$.
***The spectrum of compound IIIe showed peaks for the $[M-2H]^+$ ion because of the easy dehydrogenation of the molecule. The most intense peaks were those of the ions $CH_2-C_6H_5$(91) and $NH{=}CHC_6H_5$(105).



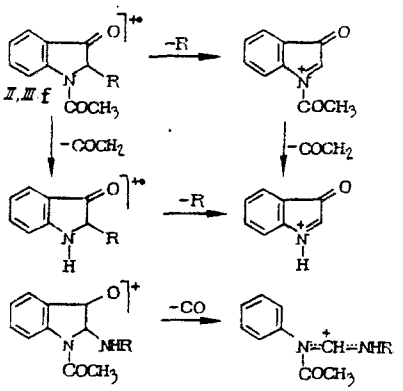
III: X=OH(a), NH_2(b), t-BuNH(c), CH_3NH(d), $C_6H_5CH_2NH$(e), SCN(f), $OCOCH_3$(g)

Upon reaction of bromoketone II with sodium cyanate in MeOH the allophanic ester V is obtained in 35% yield. This result may be explained by the reaction of the intermediate isocyanate IV with excess sodium cyanate (or with cyanic acid formed in situ) and MeOH.

The structure of ketones IIIa-g, as well as the bromoketone II and compound V was verified by ^1H NMR data. Thus, the spectra of the indicated compounds show signals characteristic

of the methine protons at 5.3-5.9 ppm. The value of the chemical shift for the substituent X and the 2-H and 4-H to 7-H protons correspond to the suggested structures (cf. Table 1).

The mass spectra of compounds II, IIIb-f, and V show molecular ion peaks corresponding to the proposed structures. The decay of bromoketone II and the thiocyanate IIIf proceeds with elimination of both the substituent in the two-position and a molecule of ketene. The maximal peak in the spectra of compounds II and IIIf belong to the $[M\text{-}R\text{-}COCH_2]^+$ ion which demonstrates the preservation in the cleavage process of the bicyclic nucleus of these molecules (cf. Tables 2, 3).



II : R=Br; IIIf : R=SCN

A characteristic feature of the cleavage of the aminoindoxyls IIIb-e is the efficient cleavage of the bond of the pyrroline ring with subsequent elimination of the C=O group. The removal of the NHR groups from the molecule was not observed.

The intensity of the $[M\text{-}CO]^+$ ion peak is comparable with and in some cases exceeds the intensity of the $[M\text{-}COCH_3]^+$ ion peak. This apparently is connected with the possible delocalization of charge on the neighboring atom of nitrogen in the fragments which are formed.

Further cleavage of the $[M\text{-}CO]^+$ ion leads to stepwise breakage of the lateral chain and requires the appearance in the spectra of compounds IIIb-d of intense peaks for the ions $[PhNH_2]^+$ (93), $[PhNHC{=}NH]^+$ (119), and $[PhNHCH{=}NH]^+$ (120) (cf. Table 2).

According to the spectra of the daughter ions and the metastable defocussing, the decomposition of the molecular ion of compound IIId, with an N-t-butyl substituent, is brought about only through elimination of the C=O group of the indoxyl group; the removal of the t-butyl and acetyl groups take place in the subsequent steps.

The decomposition of the allophanyl ester V (m/z = 291) is determined by the stepwise cleavage of the side chain substituents (cf. Table 2). The presence of intense peaks with mass number of 120 and 119 speaks in favor of this compound giving the indoxyl nucleus upon decomposition.

In correspondence with the literature [3], the interaction of bromoketone II with enaminoesters may take place in several concurrent directions: C- or/and N-alkylation and also cyclocondensation. It has been shown that the result of the indicated reaction depends not only upon the conditions used, but also on the nature of the enaminoester. Thus, briefly stirring bromoketone II with N-unsubstituted enaminoesters VIa and VIb in dioxane gives only the products of C-alkylation VIIa and VIIb (60-70%) (formula on following page, below table).

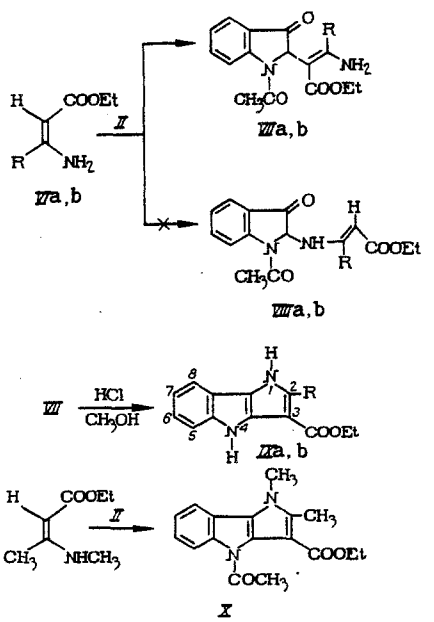
The choice between the structures of compounds VIIa, b and the products of N-alkylation VIIIa,b was made on the basis of the ^1H NMR and ^{13}C NMR spectra. Thus, the ^1H NMR spectra show signals which may be related to the vinyl protons, and in the corresponding ^{13}C NMR spectrum no signals for the vinyl carbon =CH are observed. At the same time, the values of the ^{13}C chemical shifts and the coupling constants J ($^{13}C^1H$) (cf. Table 4) completely confirm the proposed structure. The double signals in the ^{13}C NMR and ^1H NMR spectra corresponding to the olefinic substituent and the indoxyl ring allows the prediction that compounds VIIa and VIIb correspond to a mixture of the Z- and E-isomers.

TABLE 3. Mass-Spectra for Compounds II, IIIb-f, V, VIIa and b, IXa and b, X

Compound	m/z (I)
II	255(3), 25 (4), 213(3), 211(3), 174(12), 148(3), 146(3), 132(100), 115(14), 114(24), 113(16), 78(40), 77(40), 43(100)
IIIb	190(34), 162(43), 147(58), 130(28), 120(100), 119(77), 104(21), 93(58), 92(28), 91(11), 90(10), 77(25), 76(12), 71(45), 66(14), 65(39), 53(16), 52(16)
IIIc	246(16), 218(26), 162(39), 161(23), 148(20), 147(37), 146(66), 145(23), 135(27), 132(35), 127(34), 121(19), 120(64), 119(73), 118(24), 104(13), 93(100), 92(30), 90(20), 59(90), 58(85)
IIId	204(3), 176(42), 175(26), 161(35), 134(51), 133(68), 130(26), 106(35), 104(22), 93(100), 92(24), 85(65), 77(46)
IIIe	278(4), 252(5), 251(4), 236(7), 235(4), 210(13), 209(22), 193(4), 182(6), 175(5), 162(10), 161(12), 157(7), 156(112), 119(7), 106 (20), 105(100), 93(20), 91(65), 79(10), 77(18), 65(19)
IIIf	232(31), 175(24), 174(40), 133(43), 132(100), 105(21), 104(23), 77(22), 76(20), 43(76)
V	291(26), 260(6), 249(73), 222(20), 217(67), 189(8), 174(67), 147(66), 146(67), 120(53), 119(100), 104(13), 103(19), 102(9), 101(13), 93(15), 77(23), 76(17), 45(33), 44(60)
VIIb	364(65), 321(28), 318(46), 305(22), 276(84), 259(20), 249(100), 219(25), 203(15), 172(48), 162(34), 146(24), 144(16), 121(20), 104(72), 77(55)
IX a	243(200), 242(100), 213(13), 197(27), 196(85), 195(26), 169(8), 168(21), 167(7), 146(3), 103(10)
IX b	304(58), 259(31), 258(100), 230(21), 229(19), 129(15), 103(21), 102(38)
X	298(41), 256(59), 210(100), 182(7), 181(6), 117(54)

TABLE 4. ^{13}C NMR Characteristics of Compound VIIa

	δ (^{13}C), ppm	^1J ($^{13}C^1H$), Hz	Long-range coupling constants
C (2)	65,10	139,2	
C (3)	199,41	—	^3J (C3, H4)=6,7, ^2J (C3, H2)=3,1
C (4)	136,15	159,2	^3J (C4, H6)=8,1, ^2J (C4, H5)=2,7
C (5)	122,63	161,0	^3J (C (5), 7-H)=7,8
C (6)	123,18	162,1	
C (7)	117,60	170,5	
C (8)	153,22	—	^3J (C (8), 4-H)=^3J (C(8), 6-H)=9,1
C (9)	124,42	—	
CO (1)	168,95	—	^3J (CO, 2-H)=6,4, ^2J (CO, CH_3)=5,5
CH_3-1	24,01	128,9	
CO-1'	163,17; 163,04	—	
C-2'	88,26; 88,21	—	
C-3'	167,42	—	^3J (C(3), 2-H)=6,9
CH_3-4'	19,93; 19,86	128,5	^4J (CH_3-4, 2-H)=6,2
CH_2 (Et)	58,06	146,7	^2J (CH_2, H-CH_3)=4,0
CH_3 (Et)	12,56; 12,51	126,2	^2J (CH_3, H-CH_2)=2,7



VI, VII, IX: R=CH_3(a), C_6H_5(b)

In the mass spectrum of compound VIIb the presence of peaks for the ions $[PhCNH]^+$ (104), $[M-PhCNH_2]^+$(259), and $[M-C_2H_5OH-PhCNH]^+$ (172) indicate that the compound actually is the prod-

TABLE 5. ^{1}H NMR Chemical Shifts for Compounds IX and X*

Compound	Value of the chemical shift, δ, ppm						
	NH (1)	NH (4)	5-H	6-H	7-H	8-H	other signals
	8,42	8,12	7,54	7,16	7,11	7,42	2,72(s,3H, 2-CH_3) 4,40(q,2H, CH_2CH_3) 1,44(t,3H, CH_3)
IXa	11,65	10,48	7,50	7,02	6,98	7,42	2,62(s,3H, 2-CH_3) 4,28(s,2H, CH_2CH_3) 1,36(s,3H, CH_3CH_2)
IXb	8,60	8,21	**	7,18	7,18	**	4,38(s,2H, CH_2CH_3) 1,39(s,3H, CH_3CH_2)
X	—	—	8,30	7,26	7,26	7,59	3,88(s,3H, 1-CH_3) 2,61(s,3H, 2-CH_3) 2,56(s,3H, CH_3CO) 4,35(s,2H, CH_2CH_3) 1,38(s,3H, CH_3CH_2)

*Solvents: First spectrum, $CDCl_3$; remaining spectra, DMSO-D_6.
**H-5, H-8 and phenyl proton signals are present as a wide multiplet at 7.40-7.80 ppm.

TABLE 6. Physicochemical Characteristics of Compounds II-X

Compound	Empirical formula	M.p., °C	IR spectrum, ν_{max}, cm^{-1} C=O, CON, COOR	N—H(N—H)
II	$C_{10}H_8BrNO_2$	129—130	1680, 1720	
IIIb	$C_{10}H_{11}ClNO_2$	120 Decomp.	1680, 1740	2500—3200
IIIc	$C_{14}H_{19}ClNO_2$	130 Decomp.	1665, 1730	2500—2800
IIId	$C_{16}H_{17}ClNO_2$	140 Decomp.	1610, 1685	2200—2800
IIIe	$C_{11}H_{13}ClNO_2$	170 Decomp.	1700, 1700	2300—2800
IIIf	$C_{11}H_8NO_2S$	103—104	1680, 1720, 2150 (C=N)	
V	$C_{13}H_{13}N_3O_5$	258—260	1625, 1740 1700, 1755	3350, 3310
VIIa	$C_{16}H_{18}N_2O_4$	183—186	1615, 1670, 1690	3300, 3420
VIIb	$C_{21}H_{20}N_2O_4$	214—218	1600, 1650, 1750	3320, 3360
IXa	$C_{14}H_{14}N_2O_2$	159—160	1690	3380, 3490
IX b	$C_{19}H_{16}N_2O_2$	172—175	1680	3250, 3500
X	$C_{17}H_{18}N_2O_3$	124—125	1680	

uct of C-alkylation and has the structure presented above (cf. complete decomposition, Table 3).

The indicated method of obtaining enaminoesters VIIa and b opened a simple route to the previously difficultly-obtainable pyrrolo[3,2-b]indoles, including functional substituents. The single earlier-accessible members of this series of heterocycles, the 2,3-dialkyl(alkyl, aryl) pyrrolo[3,2-b]indoles, were obtained by cyclization of the azine of N-acetylindoxyl [2]. It was established that in methanolic HCl the enaminoesters VIIa and VIIb are easily cyclized into pyrrolidones IXa and IXb with simultaneous departure of the N-acetyl groups. The reaction proceeds in 52-60% yield.

The structures of compounds IXa and b were proven by ^{1}H NMR data. Thus the spectrum of pyrroloindole IXa showed wide signals at 10.48 and 11.65 ppm, corresponding to the protons of the NH groups. Further, a nuclear Overhauser effect (NOE) experiment showed a close spatial arrangement of protons 5-H and 4-H and also of the 2-CH_3 and 1-H (cf. Table 5).

The mass spectra of the pyrrolindoles IXa and b (Table 3) were characterized by intense peaks for the molecular ions at m/z = 242 and 304, respectively. The basic cleavage is connected with elimination of a molecule of ethanol with subsequent loss of the CO group. Mass-spectral analysis of the pyrroloindole IXa in which the mobile hydrogens are substituted by deuterium showed that the cleavage of a molecule of ethanol was not connected with the manifestation of the ortho-effect, and proceeds with the capture of one of the mobile hydrogens of the NH group.

Pyrroloindole X was obtained in a yield of 60% by the direct heating of bromoketone II with N-methyl-β-aminocrotonic ester in dioxane. The C-alkylation reaction and condensation proceed simultaneously in this case. The mass-spectrum of compound X showed the molecular ion (298) as well as peaks of the ions $[M-COCH_2]^+$ (256), and $[M-COCH_2-C_2H_5OH]^+$(210) (cf. Table 3).

EXPERIMENTAL

IR spectra were determined on a Perkin-Elmer instrument in Vaseline oil suspension. The NMR spectra were obtained with a Varian XL-200 instrument working at a frequency of 200.05 MHz for ^1H and 50.3 MHz for ^{13}C. The internal standard was TMS. The difference spectroscopy method was used for observation of the NOE: the unperturbed spectra were subtracted from spectra with preliminary saturation of the corresponding protons. The time of saturation was 8 s, and the time of sampling was 3 s. The mass spectra were obtained with a Varian MAT-112 (70 eV) spectrometer by the method of direct introduction of the sample into the ion chamber. The temperature of the ionization chamber was 180°C. Substitution of hydrogen by deuterium was carried out by heating a sample of IXa with CD_3OD in the direct introduction system before plotting the mass spectrum. Elemental analysis data on C, H, Cl, and N corresponded with the calculated values. Purity of the isolated compounds was monitored by TLC on Silufol UV-254 plates, eluting with a mixture of $CHCl_3$-acetone (20:1). Visualization was by UV light. The characteristics of the compounds prepared are presented in Table 6.

1-Acetyl-2-bromoindolinone-3 (II). A. To solution of 1.8 g (10 mmoles) of N-acetylindoxyl(I) in 20 ml of CH_2Cl_2 at 20°C was added a solution of 1.6 g (10 mmoles) of bromine in 20 ml of CH_2Cl_2. The solution was slowly evaporated and the residue was triturated in petroleum ether to give 2.5 g of bromoketone II (100%).

B. To a solution of 3.5 g (20 mmoles) of N-acetylindoxyl in 50 ml of dioxane at 20°C was added a solution of 3.2 g (20 mmoles) of bromine in 50 ml of dioxane. The solution was used for the preparation of compounds IIIb-e, VIIa,b and X.

1-Acetyl-2-hydroxyindolinone-3 (IIIa). A solution of 1.3 g (5 mmoles) of bromoketone II in 20 ml of acetone was mixed at 20°C with a solution of 1 g (12 mmoles) of sodium bicarbonate in 20 ml of water. After 1 h the acetone was evaporated, the water was decanted, and the oil was triturated with 0.5 ml of i-PrOH to give 0.85 g of compound IIIa (90%).

1-Acetyl-2-thiocyanatoindolinone-3 (IIIf). A solution of 1.3 g (5 mmoles) of bromoketone II in 20 ml of acetone was mixed with a solution of 0.5 g (5 mmoles) of KCNS in 20 ml of water. The precipitate resulting after 1 min was filtered off, the acetone was evaporated, and the oil was triturated with 0.5 ml of i-PrOH to give 1.1 g of compound IIIf (90%).

1-Acetyl-2-acetoxyindolinone-3 (IIIg). A solution of 1.3 g (5 mmoles) of bromoketone II in 20 ml of acetone was mixed at 20°C with a solution of 1 g (12 mmoles) of NaOAc in 20 ml of water. After 1 min the acetone was evaporated, the water was decanted, and the oil was triturated with 0.5 ml of i-PrOH to give 1.1 g of compound IIIg (90%).

Methyl ω-(1-Acetylindolinone-3-yl-2)-allophanate (V). A suspension of 1.3 g (5 mmoles) of bromoketone II, 1.3 g (20 mmoles) of NaCNO in 50 ml of MeOH was stirred for 2.5 h. The precipitate was filtered off and washed with 50 ml of water and 10 ml of MeOH to give 0.45 g of compound V (35%).

1-Acetyl-2-aminoindolinone-3 Hydrochloride (IIIb). A solution of bromoketone was prepared according to Method B from 3.5 g N-acetylindoxyl I and then to it was added 10 ml of ether and a solution of 60 mmoles of ammonia in 50 ml of dioxane. The resulting precipitate was filtered off after 30 min, and to the filtrate was added 30 ml of 1 N ethereal HCl. The resulting precipitate was filtered off and washed with dioxane to give 3.4 g of compound IIIb (75%).

Aminoketones IIIc-e were prepared analogously. Yields: 60% (IIIc), 73% (IIId), and 93% (IIIe).

Ethyl 2-(1-acetylindolinone-3-yl-2)-3-aminocrotonate (VIIa). A solution of bromoketone II prepared by Method B from 3.5 g of N-acetylindoxyl was treated with 7.8 g (60 mmoles) of β-aminocrotonate and the mixture was boiled for 10 min. The resulting precipitate was filtered off, the dioxane was evaporated, and the oil was triturated with 2 ml of i-PrOH to give 4.5 g of compound VIIa (70%).

Ethyl 2-(1-acetylindolinone-3-yl-2)-3-aminocinnamate(VIIb). A solution of 2.5 g (10 mmoles) of bromoketone II and 3.8 g (20 mmoles) of β-aminocinnamate in 100 ml of benzene was boiled for 30 min and let stand for 24 h. The resulting precipitate was filtered off, the benzene was evaporated, and the residue was triturated with 2 ml of i-PrOH to give 2.2 g of compound VIIb (60%).

1,2-Dimethyl-3-ethoxycarbonyl-4-acetylpyrrolo[3,2-b]indole (X). A solution of bromoketone II prepared by Method B from 3.5 g of N-acetylindoxyl was treated with 8.9 g (60 mmoles) of N-methyl-β-aminocrotonate. The mixture was boiled for 2 h, the resulting precipitate was filtered off, and the dioxane was evaporated. The residue was triturated with 2 ml of i-PrOH to give 3.6 g of compound X (60%).

2-Methyl-3-ethoxycarbonylpyrrolo[3,2-b]indole (IXa). A mixture of 1 g (3.3 mmoles) of ester VIIa, 5 ml of dioxane, and 1 ml of 10 N HCl in MeOH was boiled for 30 min, cooled and poured into 15 ml of water. The resulting precipitate was filtered off to give 0.55 g of compound IXa (60%).

2-Phenyl-3-ethoxycarbonylpyrrolo[3,2-b]indole (IXb) was prepared analogously to compound IXa from VIIb, yield 52%.

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