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FISCHER SYNTHESIS OF 3-(N-ACYLAMINO)-2-PHENYLINDOLES

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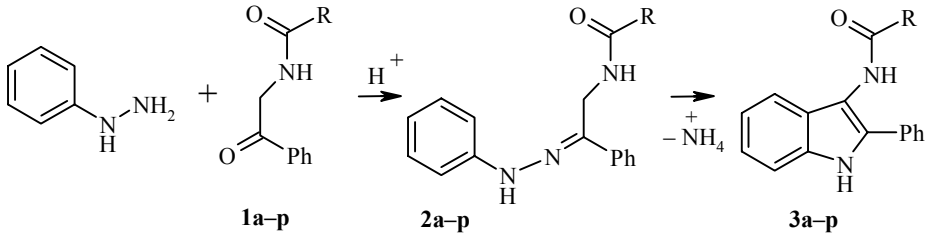
Phenylhydrazones were obtained by the reaction of phenylhydrazine with ω-(N-acylamino)-acetophenones and were converted into 3-(N-acylamino)indoles by the Fischer cyclizaction.

Keywords: 3-(N-acylamino)indoles, phenylhydrazine, phenylhydrazones.

The synthesis of indole derivatives, including aminoindoles, remains crucial in connection with their wide range of biological activity [1, 2]. 3-Aminoindoles are produced by hydrolysis of isocyanates [3] or by reduction of the salts of isonitrosoindoles [4]. Only one paper is known in which the Fischer synthesis of 3-(N-acylamino)indole is described [5].

Earlier we reported on the synthesis of new derivatives of indole containing diethyldithiocarbamic [6] and xanthic [7] groups at position 3. In the present work we propose a convenient single-stage method for the synthesis of 3-(N-acylamino)-2-phenylindoles by Fischer cyclization of the phenylhydrazines of ω-(N-acylamino)acetophenones (see [8]).



1-3 a R = C_6H_4Br-4, **b** R = C_6H_4Cl-4, **c** R = Ph, **d** R = C_6H_4Ph-4, **e** R = 3-(2-chlorophenyl)-5-methyl-4-isoxazolyl, **f** R = 2-oxo-2H-chromen-3-yl, **g** R = $CH_2C_6H_4Cl$-4, **h** R = $CH_2OC_6H_4Cl$-4, **i** R = $(CH_2)_3OPh$, **j** R = $(CH_2)_2C_6H_{11}$, **k** R = $(CH_2)_2C_6H_4OMe$-4, **l** R = 1-adamantyl, **m** R = CH_2SCH_2Ph, **n** R = $CH_2C_6H_3(OMe)_2$-3,4, **o** R = $CH{=}CHC_6H_4Cl$-4, **p** 3-(2-chloro-6-fluorophenyl)-5-methyl-4-isoxazolyl

The N-acylaminoacetophenones (**1**) were synthesized from ω-aminoacetophenone and the respective acid chlorides in the presence of bases. The characteristics of compounds **1a-p** are given in Tables 1 and 2.

When boiled a mixture of equimolar amounts of the ketones **1** and phenylhydrazine in ethanol in the presence of catalytic amounts of acetic acid gives the phenylhydrazones **2**. In a number of cases they were isolated (see Experimental) and were then converted into the indoles **3** by heating with a twofold molar amount of thionyl chloride in ethanol. In other cases the hydrazones **2** without isolation were rearranged under the same conditions to aminoindoles **3** (Table 1).

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TABLE 1. Characteristics of Compounds **1** and **3**

Compound	Name	Empirical formula	Found, % / Calculated, %			mp, °C	Yield, % (method)
			C	H	N		
1	2	3	4	5	6	7	8
1a	N-(2-Oxo-2-phenylethyl)-4-bromobenzamide	$C_{15}H_{12}BrNO_2$	56.47 / 56.63	3.72 / 3.80	4.12 / 4.40	159-160 (*i*-PrOH aq.)	48 (A)
1b	N-(2-Oxo-2-phenylethyl)-4-chlorobenzamide	$C_{15}H_{12}ClNO_2$	65.72 / 65.82	4.29 / 4.42	5.42 / 5.12	163-164 (*i*-PrOH aq.)	42 (A)
1c	N-(2-Oxo-2-phenylethyl)benzamide	$C_{15}H_{13}NO_2$	75.43 / 75.30	5.42 / 5.48	5.42 / 5.85	130-131 (*i*-PrOH aq.)	84 (C)
1d	N-(2-Oxo-2-phenylethyl)-4-phenylbenzamide	$C_{21}H_{17}NO_2$	80.13 / 79.98	5.37 / 5.43	4.33 / 4.44	100-101 (*i*-PrOH aq.)	72 (B)
1e	3-(2-Chlorophenyl)-5-methyl-N-(2-oxo-2-phenylethyl)-4-isoxazolecarboxamide	$C_{19}H_{15}ClN_2O_3$	64.60 / 64.32	4.33 / 4.26	7.89 / 7.90	78-79 (*i*-PrOH aq.)	60 (B)
1f	2-Oxo-N-(2-oxo-2-phenylethyl)-2H-3-chromenecarboxamide	$C_{18}H_{13}NO_4$	70.14 / 70.35	4.14 / 4.26	4.44 / 4.56	207-208 (CH_3CN)	64 (D)
1g	2-(4-Chlorophenyl)-N-(2-oxo-phenylethyl)acetamide	$C_{16}H_{14}ClNO_2$	66.60 / 66.79	5.07 / 4.90	4.81 / 4.87	210-211 (*i*-PrOH aq.)	33 (A)
1h	2-(4-Chlorophenoxy)-N-(2-oxo-2-phenylethyl)acetamide	$C_{16}H_{14}ClNO_3$	63.50 / 63.27	4.71 / 4.65	4.82 / 4.61	155-156 (*i*-PrOH)	34 (D
1i	N-(2-Oxo-2-phenylethyl)-4-phenoxybutanamide	$C_{18}H_{19}NO_3$	72.84 / 72.71	6.49 / 6.44	4.67 / 4.71	109-110 (toluene)	16 (B)
1j	N-(2-Oxo-2-phenylethyl)-3-cyclohexylpropanamide	$C_{17}H_{23}NO_2$	74.53 / 74.69	8.44 / 8.48	5.20 / 5.12	115-116 (*i*-PrOH)	75 (D)
1k	3-(4-Methoxyphenyl)-N-(2-oxo-2-phenylethyl)propanamide	$C_{18}H_{19}NO_3$	72.82 / 72.71	6.49 / 6.44	4.89 / 4.71	118-119 (*i*-PrOH)	62 (D)
1l	N-(2-Oxo-phenylethyl)-1-adamantanecarboxamide	$C_{19}H_{23}NO_2$	76.61 / 76.74	7.87 / 7.80	4.77 / 4.71	158-159 (*i*-PrOH)	51 (D)
1m	2-(Benzylthio)-N-(2-oxo-2-phenylethyl)acetamide	$C_{17}H_{17}NO_2S$	68.36 / 68.20	5.64 / 5.72	4.85 / 4.68	90-91 (*i*-PrOH)	38 (D)
1n	2-(3,4-Dimethoxyphenyl)-N-(2-oxo-2-phenylethyl)acetamide	$C_{18}H_{19}NO_4$	69.14 / 69.00	6.02 / 6.11	4.39 / 4.47	92-93 (*i*-PrOH)	56 (D)
1o	3-(4-Chlorophenyl)-N-(2-oxo-2-phenylethyl)-2-propenamide	$C_{17}H_{14}ClNO_2$	68.27 / 68.12	4.62 / 4.71	4.59 / 4.67	205-206 (*i*-PrOH aq.)	61 (D)

TABLE 1 (continued)

1	2	3	4	5	6	7	8
1p	3-(6-Fluoro-2-chlorophenyl)-5-methyl-N-(2-Oxo-2-phenylethyl)-4-isoxazolecarboxamide	$C_{19}H_{14}ClFN_2O_3$	61.39 61.22	3.74 3.79	7.47 7.51	72-73 (*i*-PrOH aq.)	56 (D)
3a	3-(4-Bromobenzoylamino)-2-phenyl-1H-indole	$C_{21}H_{15}BrN_2O$	64.33 64.47	3.95 3.86	7.01 7.16	194-195 (*i*-PrOH)	42
3b	3-(4-Chlorobenzoylamino)-2-phenyl-1H-indole	$C_{21}H_{15}ClN_2O$	72.79 72.73	4.45 4.36	8.21 8.08	210-211 (*i*-PrOH)	33
3c	3-Benzoylamino-2-phenyl-1H-indole	$C_{21}H_{16}N_2O$	80.64 80.75	5.21 5.16	8.88 8.97	198-199 (*i*-PrOH)	62 52*
3d	2-Phenyl-3-(4-phenylbenzoylamino)-1H-indole	$C_{27}H_{20}N_2O$	83.64 83.48	5.27 5.19	7.15 7.21	132-133 (*i*-PrOH)	11
3e	3-(2-Chlorophenyl)-5-methyl-N-(2-phenyl-1H-3-indolyl)-4-isoxazolecarboxamide	$C_{25}H_{18}ClN_3O_2$	70.00 70.18	4.15 4.24	9.96 9.82	228-229 (*i*-PrOH)	62 41*
3f	2-Oxo-N-(2-phenyl-1H-3-indolyl)-2H-3-chromenecarboxamide	$C_{24}H_{16}N_2O_3$	75.90 75.78	4.32 4.24	7.50 7.36	258-259 (CH_3CN)	83 65*
3g	3-(4-Chlorophenylacetylamino)-2-phenyl-1H-indole	$C_{22}H_{17}ClN_2O$	73.14 73.23	4.80 4.75	7.84 7.76	210-211 (*i*-PrOH)	35
3h	3-(4-Chlorophenoxyacetylamino)-2-phenyl-1H-indole	$C_{22}H_{17}ClN_2O_2$	70.25 70.12	4.62 4.55	7.29 7.43	240-241 (*i*-PrOH)	11
3i	2-Phenyl-3-(phenoxypropylcarbonylamino)-1H-indole	$C_{24}H_{22}N_2O_2$	77.93 77.81	5.94 5.99	7.76 7.56	66-67 (*i*-PrOH)	20
3j	3-(Cyclohexylethylcarbonylamino)-2-phenyl-1H-indole	$C_{23}H_{26}N_2O$	79.54 79.73	7.61 7.56	8.13 8.09	120-121 (*i*-PrOH)	28
3k	3-(4-Methoxyphenylethylcarbonylamino)-2-phenyl-1H-indole	$C_{24}H_{22}N_2O_2$	77.93 77.81	5.90 5.99	7.37 7.56	157-158 (*i*-PrOH)	30
3l	3-(1-Adamantylcarbonylamino)-2-phenyl-1H-indole	$C_{25}H_{26}N_2O$	81.17 81.05	7.00 7.07	7.60 7.56	307-308 (AcOH)	31
3m	3-(Benzylthioacetylamino)-2-phenyl-1H-indole	$C_{23}H_{20}N_2OS$	74.09 74.16	5.37 5.41	4.34 7.52	157-158 (AcOH)	44
3n	3-(3,4-Dimethoxyphenylacetylamino)-2-phenyl-1H-indole	$C_{24}H_{22}N_2O_3$	74.72 74.59	5.81 5.74	7.39 7.25	93-94 (*i*-PrOH)	49 32*
3o	3-(4-Chlorophenylethenylcarbonylamino)-2-phenyl-1H-indole	$C_{23}H_{17}ClN_2O$	74.18 74.09	4.62 4.60	7.47 7.51	120-121 (*i*-PrOH)	28 15*
3p	3-(2-Chloro-6-fluorophenyl)-5-methyl-N-(2-phenyl-1H-3-indolyl)-4-isoxazolecarboxamide	$C_{25}H_{17}ClFN_3O_2$	67.26 67.34	3.75 3.84	9.56 9.42	198-199 (*i*-PrOH)	18

* The yield obtained after by-passing the stage involving the formation of the hydrazone.

TABLE 2. The ^{1}H NMR Spectra of Compounds **1**

Compound	Chemical shifts, δ, ppm, (SSCS, *J*, Hz)				
	Phenyl-*o*-H, m, *m*-H, m, *p*-H, m	CH_2, d	NH, br. s	R	
				CH_3, CH_2, CH=	Phenyl or hetaryl
1a	8.06; 7.68; 7.73	4.89 (*J* = 4.6)	7.48	—	7.99 (2H, d, *J* = 12.0, H-2, H-6); 7.87 (2H, d, *J* = 12.0, H-3, H-5)
1b	8.06; 7.58; 7.70	4.86 (*J* = 4.6)	7.43	—	7.87 (2H, d, *J* = 9.0, H-2, H-6); 7.54 (2H, d, *J* = 9.0, H-3, H-5)
1c	7.89; 7.52; 7.52	4.87 (*J* = 5.5)	7.43	—	8.07 (2H, d, *J* = 8.5, H-2, H-6); 7.70 (1H, t, *J* = 14.5, H-4); 7.58 (2H, t, *J* = 14.5, H-3, H-5)
1d	8.06; 7.66; 7.79	4.82 (*J* = 5.0)	7.76	—	7.76 (2H, t, *J* = 8.0, H-3, H-5); 7.60 (2H, t, *J* = 8.0, H-2, H-6); 7.33 (2H, t, *J* = 8.0, H-2′, H-6′); 7.27 (2H, t, *J* = 8.0, H-3′, H-5′); 7.20 (1H, t, *J* = 6.5, H-4′)
1e	7.95; 7.67; 7.67	4.72 (*J* = 6.5)	6.59	2.76 (3H, s, CH_3)	7.60-7.50 (4H, m, CH arom.)
1f	8.03; 7.57; 7.69	4.95 (*J* = 6.5)	9.30	—	8.05 (1H, s, H-4); 8.03 (1H, m, H-5); 7.78 (1H, t, *J* = 16.5, H-7); 7.53 (1H, m, H-8); 7.45 (1H, t, *J* = 16.5, H-6)
1g	7.99; 7.54; 7.67	4.66 (*J* = 6.0)	6.82	3.62 (2H, s, CH_2)	7.38 (2H, d, *J* = 6.0, H-2, H-6); 7.35 (2H, d, *J* = 6.0, H-3, H-5)
1h	8.00; 7.53; 7.67	4.74 (*J* = 7.5)	7.44	4.56 (2H, s, CH_2)	7.34 (2H, d, *J* = 12.0, H-3, H-5); 7.01 (2H, d, *J* = 12.0, H-2, H-6)
1i	8.02; 7.55; 7.67	4.69 (*J* = 5.5)	6.86	4.05 (2H, t, *J* = 14.0, OCH_2); 2.46 (2H, t, *J* = 14.0, CH_2); 2.07 (2H, m, CH_2)	7.31 (2H, t, *J* = 16.5, H-3, H-5); 6.95 (3H, m, H-2, H-4, H-6)
1j	7.98; 7.52; 7.64	4.62 (*J* = 5.5)	6.74	2.22 (2H, m, CH_2); 1.71-0.92 (11H, m, cyclohexyl) 1.47 (2H, m, CH_2)	—
1k	7.98; 7.52; 7.65	4.64 (*J* = 4.5)	6.76	3.75 (3H, s, OCH_3); 2.85 (2H, t, *J* = 17.0, CH_2); 2.51 (2H, t, *J* = 17.0, CH_2)	7.16 (2H, d, *J* = 8.5, H-2, H-6); 6.83 (2H, d, *J* = 8.5, H-3, H-5)
1l	7.98; 7.52; 7.65	4.60 (*J* = 4.5)	6.65	2.02-1.75 (15H, m, adamantyl)	—
1m	8.00; 7.54; 7.67	4.66 (*J* = 5.5)	7.30	3.86 (2H, s, CH_2); 3.15 (2H, s, CH_2)	7.30-7.20 (5H, m, CH arom.)
1n	7.96; 7.51; 7.64	4.63 (*J* = 6.5)	6.74	3.81 (3H, s, OCH_3); 3.79 (3H, s, OCH_3); 3.51 (2H, s, CH_2)	6.94 (1H, m, H-2); 6.90 (1H, m, H-5); 6.85 (1H, m, H-6)
1o	8.01; 7.53; 7.66	4.79 (*J* = 5.0)	7.04	7.57 (1H, d, *J* = 14.0, CH=); 6.76 (1H, d, *J* = 14.0, CH=)	7.59 (2H, d, *J* = 8.3, H-2, H-6); 7.41 (2H, d, *J* = 8.3, H-3, H-5)
1p	7.95; 7.67; 7.67	4.67 (*J* = 6.5)	6.52	2.56 (3H, s, CH_3)	7.30-7.20 (3H, m, CH arom.)

TABLE 3. The ^{1}H NMR Spectra of Compounds **3**

Compound	Chemical shifts, δ, ppm (SSCS, *J*, Hz)					
	Indole ring H-4, m, H-5, m, H-6, m, H-7, m	$N_{ind}H$, br. s	NH, br. s	2-phenyl, *o*-H, m, *m*-H, m, *p* -H, m	–R	
					CH_3, CH_2, CH=	Phenyl or hetaryl
3a	7.40-7.50; 7.12; 7.24; 7.40-7.50	8.50	9.58	7.69; 7.35; 7.20	—	7.87 (2H, d, *J* = 9.3, H-2, H-6); 7.72 (2H, d, *J* = 9.3, H-3, H-5)
3b	7.40-7.50; 7.12; 7.24; 7.40-7.50	8.58	9.63	7.77; 7.47; 7.36	—	7.99 (2H, d, *J* = 9.3, H-2, H-6); 7.57 (2H, d, *J* = 9.3, H-3, H-5)
3c	7.40-7.50; 7.10; 7.21; 7.40-7.50	8.51	9.65	7.76; 7.45; 7.35	—	7.98 (2H, d, *J* = 8.0, H-2, H-6); 7.40-7.50 (3H, m, H-3, H-4, H-5)
3d	7.40-7.50; 7.10; 7.22; 7.40-7.50	8.54	9.64	7.70-7.50; 7.70-7.50; 7.36	—	8.07 (2H, d, *J* = 9.6, H-2, H-6); 7.81 (2H, d, *J* = 9.6, H-3, H-5); 7.70-7.50 (5H, m, CH arom.)
3e	7.38; 7.11; 7.21; 7.43	7.71	9.63	7.60-7.40	2.75 (3H, s, CH_3)	7.60-7.40 (4H, m, CH arom.)
3f	7.50-7.40; 7.11; 7.23; 7.50-7.40	9.62	10.28	7.78; 7.47; 7.36	—	8.96 (1H, s, H-4); 7.87 (1H, m, H-5); 7.75 (1H, m, H-7); 7.47 (2H, m, H-6, H-8)
3g	7.45-7.40; 7.10; 7.21; 7.45-7.40	8.00	9.61	7.62; 7.45-7.40; 7.45-7.40	3.74 (2H, s, CH_2)	7.35 (4H, m, CH arom.)
3h	7.45-7.40; 7.09; 7.20; 7.45-7.40	8.42	9.59	7.67; 7.50-7.40; 7.50-7.40	4.74 (2H, s, CH_2)	7.36 (2H, m, H-3, H-5); 7.06 (2H, m, H-2, H-6)
3i	7.40; 7.06; 7.18; 7.30	7.89	9.55	7.98; 7.70; 6.69	4.07 (2H, t, *J* = 14.0, OCH_2); 2.61 (2H, t, *J* = 14.0, CH_2); 2.05 (2H, m, CH_2)	7.30 (2H, m, H-3, H-5); 6.97 (3H, m, H-2, H-4, H-6)
3j	7.40-7.30; 7.08; 7.18; 7.40-7.30	7.80	9.55	7.72; 7.43; 7.43	2.42 (2H, m, CH_2); 1.76-0.96 (11H, m, cyclohexyl); 1.61 (2H, m, CH_2)	—
3k	7.50-7.40; 7.16; 7.05; 7.50-7.40	7.73	9.47	7.66; 7.50-7.40; 7.50-7.40	3.79 (3H, s, OCH_3); 2.98 (2H, t, *J* = 17.7, CH_2); 2.86 (2H, t, *J* = 17.7, CH_2)	7.23 (2H, m, H-2, H-6); 6.89 (2H, m, H-3, H-5)
3l	7.33; 7.07; 7.18; 7.42	9.59	12.07	7.70; 7.48; 7.38	2.08-1.79 (15H, m, adamantyl)	—
3m	7.36; 7.10; 7.20; 7.45	8.20	9.60	7.76; 7.48-7.30; 7.48-7.30	3.90 (2H, s, CH_2); 3.31 (2H, s, CH_2)	7.45-7.35 (5H, m, CH arom.)
3n	7.40; 7.07; 7.18; 7.40	7.71	9.40	7.61; 7.40; 7.40	3.83 (3H, s, OCH_3); 3.81 (3H, s, OCH_3); 3.67 (2H, s, CH_2)	7.02 (1H, m, H-2); 6.96 (2H, m, H-5, H-6)
3o	7.43; 7.09; 7.20; 7.35	8.05	9.65	7.70-7.40	7.64 (1H, d, *J* = 7.6, CH=); 6.85 (1H, d, *J* = 7.6, CH=)	7.70-7.40 (4H, m, CH arom.)
3p	7.38; 7.11; 7.21; 7.43	7.71	9.63	7.60-7.40	2.58 (3H, s, CH_3)	7.20-7.10 (3H, m, CH arom.)

The indoles **3** are also formed in the reaction of phenylhydrazine with ketones **1** and thionyl chloride (boiling in ethanol) but with lower yields (see **3c**,**e**,**n**,**o**, Table 1). The structure of the indoles **3a-p** was demonstrated by ^{1}H NMR (Table 3). We did not encounter any fundamental difficulties in the cyclization of the hydrazones **2** with such substituents R as aryl, heteroaryl, alkyl, cycloalkyl, alkoxy, alkylthio, and alkenyl. The proposed method for the synthesis of 3-(N-acylamino)-2-phenylindoles therefore seems fairly general. At present we are studying the effect of substituents in the benzene ring and at the nitrogen atom of the phenylhydrazine on the rearrangement of the hydrazone **2**.

EXPERIMENTAL

The ^{1}H NMR spectra of compounds **1-3** were recorded on a Bruker WM-250 instrument at 250 MHz in CD_3CN. The reactions were monitored by TLC on Silufol plates in 4:1 carbon tetrachloride–ethyl acetate.

Synthesis of ω-(N-Acylamino)acetophenones (1) (General Procedure). To a solution of ω-aminoacetophenone hydrochloride (1 mol) in a suitable solvent we added the respective base (method A, water, sodium acetate (1.1 mol); B, methylene chloride, triethylamine (2.5 mol); C, water, sodium carbonate (3 mol); D, chloroform, pyridine (4 mol)). At 0°C with stirring we added dropwise the acid chloride (1.05 mol). The mixture was stirred for a further 4 h. A, C: The precipitate was filtered off, washed with water, and recrystallized. B, D: The organic solvent was washed with water and dilute hydrochloric acid (method D) and evaporated, and the product was recrystallized.

General Procedure for the Production of the Hydrazone 2. To a solution of phenylhydrazine (5.4 g, 0.05 mol) and the ketone **1** (0.05 mol) in the smallest amount of ethanol (5-10 ml) we added a few drops of glacial acetic acid. The mixture was boiled with a reflux condenser for 2 h. The alcohol was evaporated, and the remaining oil was crystallized or rearranged to the aminoindoles **3** without further purification.

N-(2-Phenyl-2-phenylhydrazonoethyl)benzamide (2c). Yield 62%; mp 174-175°C (ethanol). ^{1}H NMR spectrum, δ, ppm, *J* (Hz): 10.22 (1H, s, NH–N=C); 7.96-6.87 (15H, m, CH arom.); 7.76 (1H, br. s, NH); 4.68 (2H, d, *J* = 6.6, CH_2). Found %: C 76.71; H 5.84; N 12.75. $C_{21}H_{19}N_3O$. Calculated %: C 76.59; H 5.77; N 12.76.

3-(2-Chlorophenyl)-5-methyl-N-(2-phenyl-2-phenylhydrazonoethyl)-4-isoxazolecarboxamide (2e). Yield 49%; mp 194-195°C (ethanol). ^{1}H NMR spectrum, δ, ppm, *J* (Hz): 9.80 (1H, s, NH–N=C); 7.73-6.88 (14H, m, CH arom.); 6.83 (1H, br. s, NH); 4.46 (2H, d, *J* = 7.0, CH_2); 2.67 (3H, s, CH_3). Found %: C 67.37; H 4.64; N 12.75. $C_{25}H_{21}ClN_4O_2$. Calculated %: C 67.49; H 4.72; N 12.59.

2-(3,4-Dimethoxyphenyl)-N-(2-phenyl-2-phenylhydrazonoethyl)-acetamide (2n). Yield 70%; mp 160-161°C (ethanol). ^{1}H NMR spectrum, δ, ppm, *J* (Hz): 9.91 (1H, s, NH–N=C); 7.82-6.78 (13H, m, CH arom.); 7.25 (1H, br. s, NH); 4.40 (2H, d, *J* = 9.0, CH_2); 3.72 (3H, s, OCH_3); 3.65 (3H, s, OCH_3); 3.45 (2H, s, CH_2). Found %: C 71.37; H 6.18; N 10.35. $C_{24}H_{25}N_3O_3$. Calculated %: C 71.44; H 6.25; N 10.41.

3-(4-Chlorophenyl)-N-(2-phenyl-2-phenylhydrazonoethyl)-2-propenamide (2o). Yield 70%; mp 184-185°C (ethanol). ^{1}H NMR spectrum, δ, ppm, *J* (Hz): 10.20 (1H, s, NH–N=C); 7.87-6.84 (14H, m, CH arom.); 7.59 (1H, d, *J* = 10.6, CH=); 6.54 (1H, d, *J* = 10.6, CH=); 7.4 (1H, br. s, NH); 4.52 (2H, d, *J* = 6.00, CH_2). Found %: C 70.99; H 5.26; N 10.65. $C_{23}H_{20}ClN_3O$. Calculated %: C 70.86; H 5.17; N 10.78.

General Procedure for the Production of Indoles 3 from Hydrazones 2. To a solution of the hydrazone **2** (0.02 mol) in ethanol (10-20 ml) we added a solution of thionyl chloride (4.8 g, 0.04 mol) in ethanol (10 ml). The mixture was boiled with a reflux condenser for 3-4 h. The alcohol was evaporated, and the residue was crystallized, or the indole **3** was isolated by chromatography (silica gel L 100 × 250 μ, eluent 4:1 carbon tetrachloride–ethyl acetate).

General Procedure for the Production of Indoles 3 from Phenylhydrazine and Ketones 1. To a solution of phenylhydrazine (1.4 g, 0.013 mol) and the ketone **1** (0.01 mol) in ethanol (10 ml) we added a solution of thionyl chloride (2.4 g, 0.02 mol) in ethanol (10 ml). The mixture was boiled with a reflux condenser for 4-5 h. The alcohol was distilled, and the indole was isolated by column chromatography (under the same conditions as in the production of indoles from hydrazones).

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