NITROAZINES.

6.* DIRECT INTRODUCTION OF INDOLE RESIDUES INTO 6-NITROAZOLO[1,5-a]PYRIMIDINES

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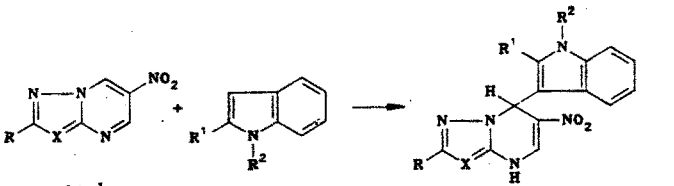
Non-charge-activated 6-nitroazolo[1,5-a]pyrimidines have been reacted with indoles, and the effects of substituents in the azole moiety of the substrate molecule have been examined.

Cases are known in which an indole fragment is introduced into the pyrimidine ring in azolo-annelated compounds (imidazo[3,4-d]pyrimidine [2] and 3-cyano-6,7-bisethoxycarbonyl-pyrazolo[1,5-a]pyrimidine [3]), a necessary condition being activation of the substrate by the formation of N-acyl or N-alkyl quaternary salts.

We have on a previous occasion briefly reported [4] a novel reaction of 6-nitro-1,2,4-triazolo[1,5-a]pyrimidines (Ia,b) with indoles, resulting in the formation of sigma-adducts with a 4,7-dihydro-structure. In this case, the substrate was not previously converted into the cationic form. In order to determine the range of applicability of this method, we have now examined the condensation with indoles of des-aza-analogs of (Ia) and (Ib), namely 6-nitropyrazolo[1,5-a]pyrimidines (If-h) and 6-nitro-1,2,4-triazolo[1,5-a]pyrimidines (Ic-e), bearing various substituents in the azole moiety of the molecule. It was found that most of the 6-nitroazolo[1,5-a]pyrimidines add indole and its methyl derivatives to give sigma-adducts (II-IV).



Ia-h

II a,d,f-h, III a,c,d,e-h
IV e,f,g

I—IV **a**—**e** X=N, **f** X=$COOC_2H_5$, **g** X=CNO_2; **h** X=CCN; **a**,f-h R=H; **b**,**c** R=CH_3; **d** R=$COOC_2H_5$; **e** R=C_6H_5; II $R^1=R^2=H$; III $R^1=CH_3$, $R^2=H$; IV $R^1=H$, $R^2=CH_3$

Taking as an example the reaction of 3-ethoxycarbonyl-6-nitropyrazolo[1,5-a]pyrimidine (If) with indole, the optimum conditions for the reaction were found. The best yield (85%) of the required product was obtained by heating the reactants for 15 min in boiling butanol. Using these conditions, 6-nitro-7-indolyl-4,7-dihydropyrazolo[1,5-a]pyrimidines (IIf-h, IIIf, IVf, g) and 6-nitro-7-indolyl-4,7-dihydro-1,2,4-triazolo[1,5-a]pyrimidines (IIa-d, IIIa,c,d, h, IVe) were obtained in yields of 74-95% (Table 1).

Examination of these results showed that the ability of (Ia-h) to undergo this reaction with indoles is dependent on the extent of π-delocalization in the system. Compounds (Ia,d)

*For communication 5, see [1].

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TABLE 1. Properties of 6-Nitro-7-indolyl-4,7-dihydroazolo-[1,5-a]pyrimidines (II-IV)

Compound	mp, °C	Found, %			Empirical formula	Calculated, %			Yield, %
		C	H	N		C	H	N	
IIa	248—250	55,0	3,6	29,7	$C_{13}H_{10}N_6O_2$	55,1	3,5	29,8	84
IIb	250—252	52,2	3,8	28,2	$C_{14}H_{12}N_6O_2$	52,6	3,4	28,4	90
IIc	220—221	51,0	3,7	25,3	$C_{14}H_{12}N_6O_2$	51,3	3,7	25,6	85
IId	260	54,6	4,0	23,5	$C_{16}H_{14}N_6O_4$	54,2	4,0	23,8	75
IIf	265	57,7	4,5	19,6	$C_{17}H_{15}N_5O_4$	58,0	4,0	19,9	85
IIg	266	52,2	3,4	26,0	$C_{14}H_{10}N_6O_4$	52,5	3,1	25,8	74
IIh	242	56,8	3,6	27,5	$C_{15}H_{10}N_6O_2 \cdot H_2O$	57,1	3,5	27,7	64
IIIa	237	52,6	4,2	25,0	$C_{15}H_{14}N_6O_2$	52,6	4,0	24,6	95
IIIc	280	54,4	4,9	21,2	$C_{17}H_{16}N_6O_4 \cdot H_2O$	54,1	4,5	21,8	82
IIId	300	63,7	4,3	22,2	$C_{20}H_{16}N_6O_2$	64,5	4,3	22,6	77
IIIf	298—300	59,2	5,0	19,3	$C_{18}H_{17}N_5O_4$	58,8	4,6	19,1	82
IIIg	272—274	52,7	3,7	24,7	$C_{15}H_{12}N_6O_4$	53,0	3,5	24,7	76
IIIh	260—261	56,9	4,2	28,5	$C_{14}H_{12}N_6O_2$	56,7	4,1	28,4	80
IVe	265—266	56,7	4,0	28,8	$C_{14}H_{12}N_6O_2$	56,7	4,1	28,4	78
IVf	260	58,8	4,8	18,6	$C_{18}H_{17}N_5O_4$	58,8	4,6	19,1	88
IVg	270—271	53,1	3,5	24,2	$C_{15}H_{12}N_6O_4$	53,0	3,5	24,7	80

add indoles smoothly to give the corresponding adducts. In the triazolopyrimidine series, the introduction into the azole moiety even of donor substituents such as CH_3 and CH_3S does not prevent the reaction from taking place. However, groups possessing a considerable +M effect [NH_2, $N(CH_3)_2$] deactivate the substrate, and sigma-adducts with indoles could not be detected even by chromatography.

Des-aza-analogs of the triazolopyrimidines (Ia-e), namely compounds (If-h), behave differently in these reactions. These compounds are able to react with indoles only when acceptor substituents ($COOC_2H_5$, NO_2) are introduced into the pyrazole moiety. 6-Nitropyrazolo[1,5-a]pyrimidine itself, much less 2-methyl-6-nitropyrazolo[1,5-a]pyrimidine, fails to undergo condensation with indoles.

The structures of the compounds obtained (II-IV) were confirmed by IR, UV, PMR, and mass spectrometry (Table 2), and by x-ray diffraction analysis in the case of (IIb).

In the IR spectra of (II-IV), absorption is seen corresponding to stretching vibrations of the NO_2 group (1580-1330 cm^{-1}) and of NH (3200-3400 cm^{-1}). In the spectra of (IId,f), (IIId,f), and (IVf) a signal is present corresponding to carbonyl absorption (1700 cm^{-1}), and in that of (IIh), to the nitrile group (2240 cm^{-1}).

The mass spectra of the adducts show a molecular ion peak M^+, which fragments in different ways: 1) loss of the NO_2 group from the heteroazine part of the molecule; 2) elimination and registration of the indole residue [Ind] [5]; 3) dehydrogenation reactions resulting in further aromatization of the heteroazine ring — ions $[(M - NO_2)-H]^+$ and $[(M - Ind)-H]^+$; and 4) direct cleavage of the $CH{=}CNO_2$ residue from M^+ by retrodiene decomposition [6]. The ester group in the 3-position of the azole moiety of the molecule in (IIf), (IIIf), and (IVf) is recorded in the mass spectra as the fragment ions with m/z 72 and $[(M - Ind)-H-C_2H_4OCO]^+$. Similar behavior is seen in the cases of compounds (IId) and (IIId). In the spectra of (IIg), (IIIg), (Vg), and (IIh), the ions $[(M - Ind)-H-NO_2]^+$ or $[(M - Ind)-H-CN]^+$ respectively are also present. The observed breakdown of the pseudomolecular indole ion with the appropriate substituents R^1 and R^2 is easily verified as in [7].

The PMR spectra of the compounds contain signals corresponding to the resonances of the protons in the substituents in the azole moiety of the molecule (Table 2). Comparison of the spectra of (IIa-d), (IIf-h), (IIIa,c,d), and (IIIf-h) with those of the products of the addition of N-methylindole (IVe,f,g) enables the broadened singlet at 11.20 ppm to be assignee to the indole NH proton, confirming that the indole adds to the 3-position of the substrate. In addition, the PMR spectra of (II-IV) contain signals for the NH protons in the dihydropyrimidine fragment at 12.80 ppm, and two singlets for CH protons at 7.00 and 8.50 ppm. From the available data, a rigorous assignment of these singlets and location of the site of attack by the nucleophile is not possible.

In order to establish the site of addition of indole to these azolopyrimidines, an x-ray diffraction examination of the product of the reaction of indole with 2-methyl-6-nitro-1,2,4-triazolo[1,5-a]pyrimidine was carried out. It was found that this compound is 2-methyl-6-nitro-7-indolyl-4,7-dihydro-1,2,4-triazolo[1,5-a]pyrimidine (IIb). The structure in the

TABLE 2. Spectral Characteristics of 6-Nitro-7-indolyl-4,7-dihydroazolo[1,5-*a*]pyrimidines (II-IV)

Compound	IR spectrum, ν, cm^{-1}	UV spectrum, λ_{max}, nm (log ε)	PMR spectrum	Mass spectrum, m/z*
IIa	1580, 1340 (NO_2), 3300 (NH)	363 (4,00), 276 (3,13), 222 (3,99)	6,96 (1H, s, 7-H), 7,00—7,55 (5H, m, H_{ind}), 7,72 (1H, s, 2-H), 8,50 (1H, s, 5-H), 11,21 (1H, s, NH_{ind}), 12,61 (1H, s, 4-H)	282 (53), 236 (1), 235 (29), 221 (15), 166 (30), 165 (100), 117 (58), 116 (16), 90 (23), 89 (28), 77 (13)
IIb	1585, 1325 (NO_2), 3350 (NH)	366 (4,00), 273 (3,83), 218 (4,03)	2,10 (3H, t, CH_3), 6,86 (1H, s, 7-H); 6,90—7,35 (5H, m, H_{ind}), 8,45 (1H, s, 5-H); 11,20 (1H, s, NH_{ind}), 12,85 (1H, s, 4-H)	296 (43), 250 (15), 249 (39), 225 (16), 180 (33), 179 (100), 117 (45), 116 (14), 90 (23), 89 (37), 77 (23)
IIc	1600, 1320 (NO_2), 3420 (NH)	369 (3,91), 276 (3,97), 219 (3,06)	2,51 (3H, s, CH_3), 6,96 (1H, s, 7-H), 6,90—7,50 (5H, m, H_{ind}), 8,50 (1H, s, 5-H), 11,30 (1H, s, NH_{ind}); 12,85 (1H, s, 4-H)	328 (40), 282 (10), 281 (35), 257 (18), 212 (18), 211 (32), 117 (100), 116 (80), 90 (15), 89 (30), 77 (15)
IId	1595, 1325 (NO_2), 3300 (NH), 1710 (CO)	360 (4,98), 272 (4,92), 221 (4,59)	1,1—1,3 (3H, t, CH_3); 4,0—4,4 (2H, q, CH_2); 7,10 (1H, s, 7-H); 7,0—7,5 (5H, m, H_{ind}), 8,50 (1H, s, 5-H); 11,4 (1H, s, NH_{ind}), 12,8 (1H, s, 4-H)	354 (12), 308 (10), 307 (14), 283 (22), 238 (46), 237 (100), 165 (20), 117 (47), 116 (12), 90 (27), 89 (22), 77 (17), 72 (15)
IIf	1595, 1313 (NO_2), 3420 (NH)	370 (3,36), 281 (3,47), 220 (3,84)	1,10—1,30 (3H, t, CH_3); 4,10—4,40 (2H, q, CH_2); 7,09 (1H, s, 7-H), 7,00—7,60 (5H, m, H_{ind}); 8,55 (1H, s, 5-H); 11,30 (1H, s, NH_{ind}); 12,84 (1H, s, 4-H)	—
IIg	1590, 1330 (NO_2), 3422 (NH)	387 (4,16), 276 (4,02), 218 (4,59)	6,98 (1H, s, 7-H); 7,00—7,60 (5H, m, H_{ind}); 8,15 (1H, s, 2-H); 8,25 (1H, s, 5-H); 11,22 (1H, s, NH_{ind}); 12,66 (1H, s, 4-H)	324 (34), 280 (15), 281 (40), 255 (14), 210 (18), 209 (100), 163 (12), 117 (23), 90 (10), 89 (14), 77 (14)
IIh	1600, 1330 (NO_2), 3360 (NH), 2240 (CN)	—	6,90 (1H, s, 7-H); 7,88 (1H, s, 2-H); 8,41 (1H, s, 5-H); 7,00—7,50 (5H, m, H_{ind}); 11,15 (1H, s, NH_{ind}); 12,82 (1H, s, 4-H)	—
IIIa	1600, 1330 (NO_2), 3330 (NH)	356 (4,06), 272 (3,84), 220 (3,92)	2,50 (6H, s, CH_3); 6,90 (1H, s, 7-H); 6,90—7,40 (4H, m, H_{ind}); 8,51 (1H, s, 5-H); 11,12 (1H, s, NH_{ind}); 12,85 (1H, s, 4-H)	—

IIIc	1720 (CO), 1590, 1320 (NO_2), 3200 (NH)	380 (5,14), 272 (5,01), 222 (4,65)	1,10—1,30 (3H, t, CH_3); 4,10—4,22 (2H, q, CH_2); 7,00 (1H, s, 7-H); 6,98—7,40 (4H, m, H_{ind}); 8,55 (1H, s, 5-H); 11,20 (1H, s, NH_{ind}), 12,85 (1H, s, 4-H)	368 (10), 322 (18), 323 (30), 297 (10), 238 (70), 237 (18), 165 (23), 131 (48), 130 (100), 103 (20), 90 (11), 77 (27), 72 (10)
IIId	1590, 1330 (NO_2), 3400 (NH)	—	2,50 (3H, t, CH_3); 7,00 (1H, s, 7-H); 6,90—7,90 (9H, m, H_{phenyl} H_{ind}); 8,50 (1H, s, 5-H); 11,10 (1H, s, NH_{ind}), 12,58 (1H, s, 4-H)	372 (16), 326 (15), 325 (30), 301 (18), 242 (16), 241 (81), 131 (76), 130 (100), 104 (22), 103 (41), 77 (42)
IIIf	1730 (CO), 1590, 1320 (NO_2), 3400 (NH)	358 (4,01), 270 (3,34), 219 (4,03)	1,10—1,35 (3H, t, CH_3); 4,05—4,40 (2H, q, CH_2); 7,04 (1H, s, 7-H); 7,60 (1H, s, 2-H); 7,00—7,50 (4H, m, H_{ind}); 8,53 (1H, s, 5-H); 11,22 (1H, s, NH_{ind}); 12,60 (1H, s, 4-H)	—
IIIg	1585, 1335 (NO_2), 3400 (NH)	390 (4,20), 279 (3,96), 222 (4,09)	6,92 (1H, s, 7-H); 1,1—1,3 (3H, t, CH_3); 6,85—7,30 (4H, m, H_{ind}); 8,10 (1H, s, 2-H); 8,20 (1H, s, 5-H); 11,20 (1H, s, NH_{ind}); 12,60 (1H, s, 4-H)	—
IIIh	1585, 1330 (NO_2), 3350 (NH)	364 (3,95), 278 (3,20), 2,23 (3,37)	2,54 (3H, s, CH_3); 6,93 (1H, s, 7-H); 6,92—7,34 (5H, m, H_{ind}); 7,70 (1H, s, 2-H); 8,50 (1H, s, 5-H); 11,10 (1H, s, NH_{ind}), 12,85 (1H, s, 4-H)	296 (43), 250 (15), 249 (16), 225 (10), 166 (20), 165 (82), 131 (93), 130 (100), 90 (20), 89 (16), 77 (13)
IVe	1595, 1335 (NO_2), 3350 (NH)	—	2,51 (3H, s, CH_3); 6,96 (1H, s, 7-H); 6,90—7,50 (5H, m, H_{ind}); 7,72 (1H, s, 2-H); 8,51 (1H, s, 5-H); 12,84 (1H, s, 4-H)	—
IVf	1650 (CO), 1600, 1330 (NO_2), 3300 (NH)	380 (4,18), 270 (3,52), 221 (4,13)	1,15—1,40 (3H, t, CH_3); 3,75 (3H, s, CH_3); 4,11—4,40 (2H, q, CH_2); 6,90 (1H, s, 7-H); 7,00—7,50 (5H, m, H_{ind}), 7,70 (1H, s 2-H); 8,30 (1H, s, 5-H); 12,82 (1H, s, 4-H)	367 (14) — M^+, 321 (15), 320 (40), 296 (17), 295 (30), 237 (60), 236 (100), 164 (50), 131 (40), 130 (29), 104 (10), 90 (18), 72 (43)
IVg	1595, 1330 (NO_2), 3280 (NH)	390 (4,24), 279 (4,13), 222 (4,09)	6,95 (1H, s, 7-H); 6,80—7,30 (5H, m H_{ind}); 8,11 (1H, s, 2-H); 8,21 (1H, s, 5-H); 12,42 (1H, s, 4-H); 2,70 (3H, s, CH_3)	340 (20), 294 (18), 293 (62), 269 (13), 210 (30), 209 (100), 163 (12), 131 (35), 430 (18), 116 (10), 91 (10), 90 (16)

*Ions with intensities of more than 10% of the maximum are given in brackets.

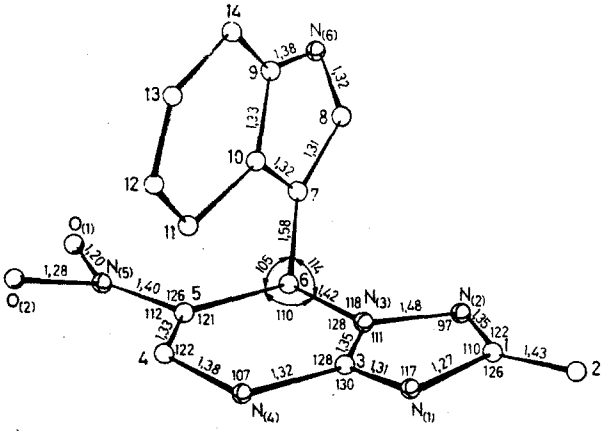

Figure 1. Structure of (IIb).

TABLE 3. Atom Coordinates (× 10^3) for the Triazolopyrimidine (IIb)

Atom	Molecule A			Molecule B		
	x	y	z	x	y	z
$O_{(1)}$	−91(3)	122(1)	−215(3)	−24(3)	380(1)	−706(3)
$O_{(2)}$	−138(3)	38(1)	−187(3)	−46(3)	466(1)	−684(3)
$N_{(1)}$	499(4)	66(1)	−6(3)	560(4)	427(1)	−517(3)
$N_{(2)}$	400(4)	155(1)	936(3)	496(4)	347(1)	444(3)
$N_{(3)}$	306(4)	115(1)	924(3)	377(4)	387(1)	440(3)
$N_{(4)}$	272(4)	19(1)	950(3)	341(4)	477(1)	451(3)
$N_{(5)}$	−34(4)	74(1)	−177(3)	19(4)	421(1)	−670(3)
$N_{(6)}$	−17(4)	233(1)	−954(3)	54(4)	264(1)	537(3)
$C_{(1)}$	539(5)	113(2)	−33(4)	601(5)	379(2)	−517(4)
$C_{(2)}$	646(5)	149(2)	−995(4)	746(5)	361(2)	−498(4)
$C_{(3)}$	350(5)	66(2)	950(4)	428(5)	437(2)	−550(4)
$C_{(4)}$	135(5)	24(2)	915(4)	213(5)	472(2)	−605(4)
$C_{(5)}$	79(5)	75(2)	881(4)	151(5)	424(2)	387(4)
$C_{(6)}$	154(5)	123(2)	883(4)	241(5)	376(2)	−609(4)
$C_{(7)}$	90(5)	170(2)	960(4)	157(5)	338(2)	476(4)
$C_{(8)}$	46(5)	216(2)	961(4)	113(5)	289(2)	455(4)
$C_{(9)}$	−25(5)	197(2)	−879(4)	37(5)	305(2)	608(4)
$C_{(10)}$	34(5)	150(2)	93(4)	97(5)	353(2)	567(4)
$C_{(11)}$	42(5)	104(2)	129(4)	93(5)	394(2)	638(4)
$C_{(12)}$	467(5)	398(5)	749(4)	44(5)	409(2)	768(4)
$C_{(13)}$	−108(5)	151(2)	−723(4)	−17(5)	347(2)	797(4)
$C_{(14)}$	−95(5)	191(5)	−758(4)	−31(5)	304(2)	−275(4)

crystal is composed of two independent molecules, A and B, which are of similar structure (Table 3). The molecule B is shown in Fig. 1 (the error in the determination of the bond lengths was 0.04-0.05 Å, and of the valence angles, 2-3°). As a result of addition of indole, atom $C_{(6)}$ is converted from planar trigonal (in the original pyrimidine) to tetrahedral (the angles at this atom lie in the range 105-114°), resulting in considerable distortion of the planarity of the pyrimidine moiety.

The UV spectra of (IIa-d, f-h), (IIIa,c,d,f,h), and (IVe-g) (Table 2) are similar to that of (IIb), indicating that they possess a common structure. Consequently, in all instances the condensation of 6-nitroazolo[1,5-*a*]pyrimidines with indoles takes place at the 7-position of the pyrimidine moeity. This also makes it possible to assign the signals in the PMR spectra: the singlet signal at 7.00 ppm in the spectra of 2-R-6-nitro-7-indolyl-4,7-dihydroazolo[1,5-*a*]pyrimidines corresponds to the resonance of the 7-, and the singlet at 8.50 ppm to the 5-hydrogen atom.

EXPERIMENTAL

IR spectra were obtained on a UR-20 in Vaseline oil, and PMR spectra on a Perkin-Elmer R-12B spectrometer (60 MHz) in DMSO-d_6, internal standard TMS. UV spectra were recorded on a Specord UV-VIS spectrometer in ethanol (c 10^{-4} mole/liter), mass spectra on a Varian MAT-311 in its standard mode of operation [8]. The x-ray diffraction study was carried out using a Synthex-P1 diffractometer, $\lambda_{CuK\alpha}$, graphite monochromator, $\theta/2\theta$ scanning $2 \leq 2\theta \leq 120°$, least squares in block diagonal isotropic approximation, R 0.15 for 1785

reflexions with $F \geq 3\sigma$ (the high value of the divergence factor R was due to decomposition of the crystal during recording, the magnitudes of the standard reflexions decreasing by 50%). The crystals of the adduct (IIb) were rhombic: a 9,182 (4), b 25,220 (8), c 12,490 (6) Å, z = 8 (two independent molecules), space group $P2_12_12_1$. The final atom coordinates are given in Table 3. The 6-nitroazolo[1,5-a]pyrimidines (Ia-h) were obtained as described in [9].

6-Nitro-7-indolyl-4,7-dihydroazolo[1,5-a]pyrimidines (IIa-d, f-h), (IIIa,c,d,f-h), (IVe-g). The nitroazolo[1,5-a]pyrimidine (0.01 mole) was boiled with an equimolar amount of the indole in 10 ml of butanol for 15 min. The mixture was cooled, the solid filtered off, washed with 10 ml of ether, dried, and crystallized (Table 1).

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POLAROGRAPHIC EXAMINATION OF 5-ARYL-2-(2-THIENYL)-OXAZOLES AND -1,3,4-OXADIAZOLES

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The polarographic behavior of 5-aryl-2-(2-thienyl)oxazoles and -1,3,4-oxadiazoles has been studied.

The polarographic behavior of oxazoles and 1,3,4-oxadiazoles containing various aromatic substituents has been studied quite extensively [1-3]. However, no information on the electroreduction of compounds containing heterocyclic instead of aromatic radicals, particularly the thiophene ring, is available. It is known that in thiophene analogs [4] π-electron conjugation similar to that found in aromatic derivatives of oxazole and oxadiazole is present. It has been reported [2, 3] that oxadiazoles with various radicals in the 2- and 5-positions, in contrast to structurally similar oxazoles and oxadiazoles with the same substituents, are reduced with fission of the heterocycle at the —C—O— bond. It was of interest to discover whether this behavior extended to heterocyclically substituted oxadiazoles.

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