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NITROAZINES.

12.* REACTION OF 6-NITROAZOLO[1,5-*a*]PYRIMIDINES WITH ACETONITRILES

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Reaction of 6-nitroazolo[1,5-a]pyrimidines with cyanoacetamide, cyanoacetthioamide, or benzoylacetonitrile results in the conversion of the pyrimidine ring into a pyridine ring with the formation of 2-azolylamino-5-nitropyridines. Treatment of the latter with alcoholic sodium carbonate, or reaction of the azolopyrimidines with acetonitriles in an alkaline medium, affords 7-nitroazolo]1,5-a]pyrido[2,3-d]pyrimidines.

6-Nitroazolo[1,5-*a*]pyrimidines are, somewhat unexpectedly, converted into 2-azolylamino-3-ethoxycarbonyl-5-nitropyridines on treatment with cyanoacetic ester [1]. Unlike the reactions of monocyclic nitropyrimidines with cyanoacetic ester [2, 3], this reaction does not involve elimination of a C—N fragment from the pyrimidine ring, nor does it require further activation of either the reactant or the substrate.

We here consider the reactions of azoloannelated nitropyrimidines with other acetonitriles in order to determine the range of applicability of these reactions, and to obtain information on some of the properties of the transformation products.

It has been found that 6-nitro-1,2,4-triazolo- and 6-nitropyrazolo-[1,5-*a*]pyrimidines (Ia-j), on heating with cyanoacetamide (II), cyanoacetthioamide (III), or benzoylacetonitrile (IV), are converted into the 2-azolylamino-3-R-5-nitropyridines (VI)-(VIII) (Table 1) (see scheme on page 211).

The transformation products (VIa-f, i, j) and (VIIIa, b, e) are obtained readily on boiling the reactants for 30 min in ethanol. The reactions of the less electrophilic 2-amino- and 2-diethylamino-6-nitro-1,2,4-triazolo[1,5-*a*]pyrimidines (Ig, h) with cyanoacetamide do not proceed so readily, (VIg, h) being obtained only on heating at 100°C in DMSO. The 2-triazolylamino-5-nitronicotinothioamides (VIIa, b) were obtained when the reactions with (III) were carried out in absolute ethanol. When these reactions were carried out in solvents containing water, hydrolysis of the thioamide group occurred with the formation of the nicotinamides (VI).

The less CH-acidic chloroacetonitrile, tosyloxyacetonitrile, benzyl cyanide, and cyanomethoxybenzimidazole failed to react with the azolopyrimidines (Ia-j) under these conditions.

*See [1] for communication 11.

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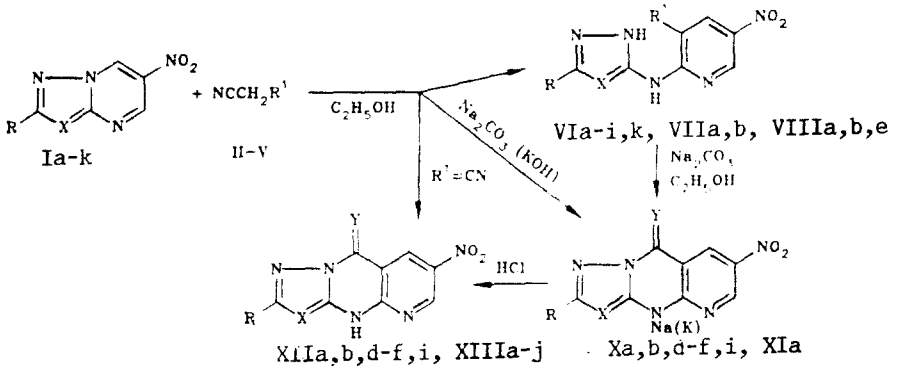
TABLE 1. 2-Azolylamino-5-nitropyridines (VI-VIII) and 7-Nitroazolo-[1,5-*a*]pyrido-[2,3-d]pyrimidines (X-XIII)

Compound	Empirical formula	R*	X**	Mp, °C***	R_f	Yield, %
VIa	$C_8H_7N_7O_3$	H	N	300	0,33	61
VIb	$C_9H_9N_7O_6$	CH_3	N	289...291	0,36	65
VIc	$C_{14}H_{11}N_7O_3$	C_6H_5	N	300	0,20	60
VId	$C_9H_9N_7O_3S$	SCH_3	N	300	0,27	60
VIe	$C_9H_6F_3N_7O_3$	CF_3	N	267...269	0,50	68
VIf	$C_8H_6ClN_7O_3$	Cl	N	263...264	0,54	64
VIg	$C_8H_8N_8O_3$	NH_2	N	269...271	0,31	60
VIh	$C_{10}H_{12}N_8O_3$	$N(CH_3)_2$	N	275...276	0,27	58
VIi	$C_{12}H_{12}N_6O_5$	H	$CCOOC_2H_5$	248...250	—	48
VIj	$C_{10}H_6N_7O_5$	CH_3	CNO_2	256...257	0,56	35
VIIa	$C_8H_7N_7O_2S$	H	N	250...252	0,14	56
VIIb	$C_9H_9N_7O_2S$	CH_3	N	247...249	0,15	52
VIIIa	$C_{14}H_{10}N_6O_3$	H	N	242...243	0,51	64
VIIIb	$C_{15}H_{12}N_6O_3$	CH_3	N	245...246	0,58	53
VIIIc	$C_{15}H_9F_3N_6O_3$	CF_3	N	203...204	0,62	56
Xa	$C_8H_3NaN_6O_3$	H	N	300	—	98
Xb	$C_9H_5NaN_6O_3 \cdot H_2O$	CH_3	N	300	—	97
Xd	$C_9H_5NaN_6O_3 \cdot H_2O$	SCH_3	N	300	—	98
Xe	$C_9H_2F_3NaN_6O_3 \cdot H_2O$	CF_3	N	266...268	—	97
Xf	$C_8H_2ClNaN_6O_3 \cdot H_2O$	Cl	N	261...263	—	93
Xi	$C_{12}H_8NaN_5O_5 \cdot H_2O$	H	$CCOOC_2H_5$	300	—	96
XIa	$C_8H_4KN_7O_2$	H	N	267...268	—	98
XIIa	$C_8H_4N_6O_3$	H	N	300	0,33	97
XIIb	$C_9H_6N_6O_3$	CH_3	N	300	0,35	98
XIId	$C_9H_6N_6O_3S$	SCH_3	N	300	0,30	98
XIIe	$C_9H_3F_3N_6O_3$	CF_3	N	295...297	0,37	95
XIIf	$C_8H_3ClN_6O_3$	Cl	N	300	0,26	96
XIIi	$C_{12}H_9N_5O_5$	H	$CCOOC_2H_5$	300	0,32	96
XIIIa	$C_8H_5N_7O_2$	H	N	300	0,17	98
XIIIb	$C_9H_7N_7O_2$	CH_3	N	300	0,20	95
XIIIc	$C_{14}H_9N_7O_2$	C_6H_5	N	300	0,08	98
XIIId	$C_9H_7N_7O_2$	CH_3	N	300	0,21	97
XIIIe	$C_9H_4F_3N_7O_2$	CF_3	N	289...291	0,33	90
XIIIf	$C_8H_4ClN_7O_2$	Cl	N	259...261	0,26	85
XIIIg	$C_8H_6N_8O_2$	NH_2	N	300	0,12	80
XIIIh	$C_{10}H_{10}N_8O_2$	$N(CH_3)_2$	N	300	0,14	92
XIIIi	$C_{12}H_{10}N_6O_4$	H	$CCOOC_2H_5$	300	0,14	95
XIIIj	$C_9H_5N_7O_4$	H	CNO_2	300	0,24	98

*(VIa-k), $R^1 = CONH_2$; (VIIa, b), $R^1 = CSNH_2$; (VIIIa, b, e), $R^1 = COC_6H_5$.
**(Xa, b) and (XIIa, b, d-f, i), Y = O; (XIa) and (XIIIa-j), Y = NH.
***The solvents for recrystallization were: (VIa-i, k), (XIIa, b, d-f, i), and (XIIIa-g, i, j), DMF-water (3:1); (VIIa, b), (VIIIa, b, e), (Xa, b, d, f, i), (XIa), ethanol, (Xe), ethyl acetate, and (XIIIb-d, h), DMF.



II $R^1=CONH_2$, III $R^1=CSNH_2$, IV $R^1=COC_6H_5$, V $R^1=CN$; I a R=H, b R=CH_3, c R=C_6H_5, d R=SCH_3, e R=CF_3, f R=Cl, g R=NH_2, h R=$N(CH_3)_2$, i R=H, j R=H, k R=CH_3; a–h X=N, i X=$CCOOC_2H_5$, j,k X=CNO_2

In order to establish the structures of the products (VI-VIII), their spectral data were compared with those for the 2-azolylamino-3-ethoxycarbonyl-5-nitropyridines (IX), the structures of which have been established by x-ray diffraction [1].

TABLE 2. Spectral Data for (VI-VIII)

Compound	PMR spectrum, δ, ppm					IR spectrum, ν, cm^{-1}			UV spectrum, λ_{max}, nm (log ε)
	4-H, d	6-H,d	R, s	R', br.s	NH, br.s	NO_2	C=O	NH	
VIa	9,10*1		7,85	8,18; 8,87	12,50; 13,70	1330, 1570	1675	3140, 3200, 3270, 3380	213 (4,10), 238 (3,98), 344 (3,94)
VIb	9,07	9,13	2,25	8,12; 8,80	12,43; 13,32	1330, 1570	1685	3090, 3170, 3210, 3370	213 (4,05), 238 (4,00), 345 (4,20)
VIc	9,19*1		7,45...8.10*2	8,25; 8,90	12,65; 13,75	1335, 1550	1680	3060, 3180, 3280, 3340	
VId	9,17*1		2,50	8,20; 8,85	12,51; 13,62	1330, 1565	1680	3070, 3190, 3260, 3340	216 (4,05), 244 (3,95), 350 (4,08)
VIe	9,18*1		—	8,25; 8,85	12,75; 14,30	1330, 1575	1675	3090, 3160, 3230, 3390	212 (4,07), 238 (4,04), 335 (4,19)
VIf	9,11	9,19	—	8,23; 8,90	12,62; 13,85	1335, 1575	1680	3080, 3140, 3180, 3390	213 (4,00), 237 (3,98), 342 (4,20)
VIg	9,05*1		6,35	8,15; 8,85	12,75; 13,35	1335, 1560	1680	3070, 3150, 3220, 3350	212 (4,10), 348 (4,05)
VIh	9,11	9,15	2,90	8,15; 8,78	12,25; 13,50	1335, 1570	1685	3080, 3200, 3280, 3360	213 (4,04), 349 (4,00)
VIi*3	9,12*1		8,55	8,15; 8,80	12,50; 13,62	1330, 1580	1680	3080, 3170, 3240, 3380	214 (4,05), 237 (4,00), 376 (4,10)
VIk	9,15*1		2,40	8,17; 8,83	12,50; 13,65	1330, 1350, 1570, 1580	1680	3070, 3170, 3280, 3380	
VIIa	8,80	8,88	8,20	9,85	12,50; 13,65	1315, 1560	—	3090, 3250, 3330, 3350	
VIIb	8,75	8,88	2,35	9,85	12,45; 13,40	1315, 1565	—	3085, 3180, 3350, 3420	
VIIIa	7,95	9,03	7,75	7,35*4	8,24*4; 12,62	1345, 1560	1650	3090, 3180	211 (4,16), 348 (4,13)
VIIIb	7,94	9,12	2,15	7,40*4	8,20*4; 12,62	1350, 1560	1650	3090, 3220	214 (4,18), 315 (4,12)
VIIIc	8,03	9,18	—	7,47*4	8,56*4; 13,10	1355, 1565	1650	3100, 3250	212 (4,15), 341 (4,13)

*1Centers of the AB system given.
*2Multiplet.
*3Signals for the protons of the ethoxycarbonyl group, ppm: 1.35 t, 4.35 q.
*4Singlet.

TABLE 3. ^{13}C NMR Spectra of (VIe) and (VIIIa, b)

Compound	Chemical shifts, δ, ppm							
	$C_{(2)}$, dd	$C_{(3)}$, dd	$C_{(4)}$, dd	$C_{(5)}$, dd	$C_{(6)}$, dd	$C_{(3')}$	$C_{(5')}$	$C_{(R')}$
VIe	156,0	111,3	133,5	138,8	147,5	—*	152,0s	168,6
VIIIa	148,7	117,4	130,9	136,7	143,7	148,7 dd	146,0d	83,6; 124,3; 126,3; 126,5 140,7
VIIIb**	150,5	119,4	132,9	138,5	145,5	158,9 q	148,1s	85,1; 126,3; 128,2; 128,4; 142,7

*Chemical shift not measured as a result of the poor signal/noise ratio.
**δ 14.1 ppm ($C_{(R)}$, q).

The IR spectra of the transformation products (VI-VIII) show absorption for stretching vibrations of the NO_2 and NH groups (Table 2). The UV spectra of the nicotinamides (VI) and (VII) coincided with those of the esters (IX). The positions and multiplicities of the resonance signals for the skeletal carbon atoms in the ^{13}C NMR spectra of the 2-triazolylamino-3-benzoyl-5-nitropyridines (VIII), and of 2-(3-trifluoromethyl-1,2,4-triazolyl-5-amino)-5-nitronicotinamide (VIe)* (Table 3) were in agreement with those of (IX). In the PMR spectra of the benzoyl compounds (VIII), the signals for the pyridine protons were seen as two doublets at 7.94-8.03 and 9.12-9.18 ppm, while in the case of the nicotinamides (VI) and (VII) the signals for these protons gave rise to an AB system, the ratios of the coupling constants to the chemical shifts (J/J_0) being (1:1)-(5:1). The spectra of most of the compounds showed signals for the cyclic and exocyclic NH groups (Table 2).

In this modification of the reaction of 6-nitroazolo[1,5-*a*]pyrimidines with CH-reactive acetonitriles, as is the case with cyanoacetic ester, the reaction did not require further activation of either the reactant or the substrate. Attempts to increase the reactivity of acetonitriles by base catalysis resulted in an unexpected outcome. When the 6-nitroazolo[1,5-*a*]pyrimidines (I) were reacted with cyanoacetamide (II) or cyanoacetic ester in alcoholic sodium carbonate, the sodium salts of the 7-nitro-9-oxo-4,9-dihydroazolo[1,5-*a*]pyrido[2,3-d]pyrimidines (X) were obtained. These compounds were formed by intramolecular cyclization of the pyridines (VI) or (IX), obtained in the course of the transformation of the azoloazines (I). Authentically synthesized (VI) and (IX) are readily converted into the pyridopyrimidines (X) on heating in alkaline media. These compounds were also obtained by cyclization of the thioamides (VII), probably as a result of hydrolysis of the thioamide group in the alkaline medium. Treatment of the salts (X) with concentrated HCl gave the corresponding 7-nitro-9-oxo-4,9-dihydroazolo-[1,5-*a*]pyrido[2,3-d]pyrimidines (XII).

6-Nitro-1,2,4-triazolo[1,5-*a*]pyrimidine (Ia) reacts with malonodinitrile (V) in aqueous-alcoholic KOH to give the potassium salt of 7-nitro-9-amino-4,9-dihydro-1,2,4-triazolo[1,5-*a*]pyrido[2,3-d]pyrimidine (XIa). No intermediate 3-cyanopyridine (VI) could be isolated, although when the reaction was carried out in the cell of an NRM spectrometer signals were obtained which, from their chemical shifts and coupling constants, could be attributed to the resonance of the protons in this compound. Treatment of the salt (Xa) with hydrochloric acid gave the NH-form (XIIIa).

The tricyclic compounds (XIII) were obtained on heating the nitropyrimidines (I) with malonodinitrile even in the absence of base, unlike other CH-reactive acetonitriles.

The IR spectra of (X-XIII) showed absorption corresponding to stretching vibrations of the NO_2 and NH groups (Table 4), while their PMR spectra, unlike those of the azolylaminopyridines (VI-IX), showed no signals for the protons of the substituent R^1, and the doublet signals for the pyridine protons were shifted to lower field. The typical ^{13}C NMR spectrum of (XIIa) (Table 5) contained eight signals with wide-band decoupling. The GATE spectrum clearly showed a doublet signal for $C_{(2)}$ with a direct coupling constant (J = 211.2 Hz) and a chemical shift of 152.64 ppm. From their chemical shifts and coupling constants, the doublet of doublets was due to the protonated $C_{(6)}$ and $C_{(8)}$. In order to interpret precisely the remaining signals, selective decoupling at 8-H was carried out. When this was done, the doublet at 151.1 ppm was not affected and, consequently, this may be attributed to resonance of $C_{(3a)}$, which is not spin-coupled with this proton. The signals seen as a doublet of doublets at 139.28 and 153.64 ppm (^2J = 3.4 and ^3J = 13.4, ^3J = 7.0 Hz), together with the doublet at 154.55 ppm (^3J = 4.9 Hz), the multiplicity of which decreased on selective decoupling at 8-H, are assigned to resonance of $C_{(2)}$, $C_{(4a)}$, and $C_{(9)}$, respectively, in (XIIa). The singlet signal at 108.87 ppm with ^2J = 0 is assigned to $C_{(8a)}$.

*It was not possible to obtain the ^{13}C NMR spectra for (VI) and (VII) in consequence of their low solubility.

TABLE 4. Spectral Data for (X-XIII)

Compound	PMR spectrum, δ, ppm				IR spectrum, ν, cm^{-1}		
	6-H, d	8-H, d	R, s	NH, br.s	NO_2	C=O	NH
Xa	9,39	9,08	8,15	—	1335, 1555	1700	—
Xb	9,36	9,02	2,22	—	1340, 1545	1700	—
Xd	9,35	9,05	2,60	—	1330, 1545	1675	—
Xe	9,45	9,12	—	—	1350, 1560	1700	—
Xf	9,36	9,05	—	—	1340, 1565	1690	—
Xj*	9,38	9,05	8,21	—	1350, 1570	1700, 1720	—
XIa	9,22	9,12	8,05	7,72	1340, 1565	—	3100
XIIa	9,56	9,89	8,42	6,97	1340, 1560	1730	3150
XIIb	9,55	9,10	2,28	6,95	1345, 1550	1720	3100
XIId	9,54	9,10	2,65	6,90	1350, 1545	1720	3090
XIIe	9,50	9,05	—	7,35	1355, 1570	1735	3100
XIIf	9,58	9,15	—	7,30	1340, 1560	1730	3090
XIIj*	9,58	9,15	8,33	7,10	1355, 1575	1720, 1730	3150
XIIIa	9,89	9,60	8,66	10,30	1330, 1550	—	3110
XIIIb	9,85	9,55	2,33	10,30	1335, 1550	—	3090
XIIIc	9,88	9,60	7,50...8,40**	10,50	1330, 1560	—	3100
XIIId	9,53	9,83	2,65	10,50	1340, 1550	—	3090
XIIIe	9,87	9,58	—	10,31	1330, 1570	—	3080
XIIIf	9,88	9,62	—	10,15	1340, 1590	—	3090
XIIIg	9,73	9,48	6,62	9,70	1340, 1550	—	3080
XIIIh	9,75	9,49	2,99	9,80	1340, 1550	—	3090
XIIIi	9,90	9,65	8,33	10,50	1340, 1355, 1520, 1580	—	3225
XIIIj*	9,88	9,60	8,98	10,48	1345, 1560	—	3200

*Signals for protons of the ethoxycarbonyl group, ppm: (Xj) 1.30 t, 4.25 q; (XIIj) 1.33 t, 4.30 q; (XIIIj) 1.38 t, 4.38 q.

**Multiplet.

TABLE 5. ^{13}C NMR Spectra of (XIa), (XIIa, b), and (XIIIe, f)

Compound	Chemical shifts, δ, ppm								
	$C_{(2)}$	$C_{(3a)}$	$C_{(4a)}$, dd*	$C_{(6)}$, dd*	$C_{(7)}$, dd	$C_{(8)}$, dd	$C_{(8a)}$, s	$C_{(9)}$, d	$C_{(R)}$, q
XIa	153,3 d	156,9 d	161,2	148,6	133,9	130,1	107,1*	149,8*	—
XIIa	152,6 d	151,1 d	153,6	150,4	139,3	133,0	108,9	154,6	—
XIIb	161,9 q	150,8 s	153,5	150,2	139,2	132,8	108,7	154,0	14,2
XIIIe	—**	—**	155,2	151,3	137,3	132,7	100.4	151,3	119,3
XIIIf	159,0 s	156,5 s	155,3	150,9	137,1	132,5	100,2	149,7	—

*Doublet of doublets.

**The chemical shifts were not measured as a result of the poor signal/noise ratio.

The other tricyclic compounds had similar spectra (Table 5). The spectrum of the potassium salt (XIa) showed spin coupling of the carbon atoms in the 8*a*- and 9-positions with the proton of the imino-group.

Hence, the reactions of azolo[1,5-*a*]pyrimidines with CH-reactive acetonitriles are of similar types. This provides a simple route to pyrido[2,3-d]pyrimidines, and is of considerable interest, since this heterocyclic system forms part of many therapeutic preparations [4-6].

EXPERIMENTAL

The UV spectra of alcoholic solutions were obtained on a Specord UV-vis, and IR spectra on a UR-20 spectrometer in Vaseline mull. NMR spectra were recorded on a Bruker WP-80 SY pulse spectrometer (80.13 MHz for ^1H and 20.13 MHz for ^{13}C), in DMSO-D_6 internal standard TMS. The reactions were followed and the purity of the products checked by TLC on Silufol UV-254 plates in the system chloroform—ethanol (10:1).

The 6-nitroazolo[1,5-*a*]pyrimidines (I) were obtained as in [7].

2-(5-Azolylamino)-3-R^1-5-nitropyridines (VIa-f, i, j), (VIIa, b), and (VIIIa, b, e). A. A mixture of 2 mmoles of the azolopyrimidine (I) and 2 mmoles of the appropriate acetonitrile in 10 ml of alcohol was boiled for 30 min. The mixture was then cooled, and the solid which separated was filtered off, dried, and crystallized from DMF.

B. The azolopyrimidine (I) (2 mmoles) was dissolved in 5 ml of DMSO, 2 mmoles of the appropriate acetonitrile added, and the mixture stirred for 30 min at 100°C. It was then poured into 20 ml of water, and the solid filtered off and crystallized from DMF.

Sodium Salts of 2-R-7-nitro-9-oxo-4,9-dihydroazolo[1,5-*a*]pyrido[2,3-d]pyrimidines (Xa, b, d-f, j). A. A mixture of 2 mmoles of the azolopyrimidine (I), 0.21 g (2 mmoles) of sodium carbonate, and 2 mmoles of cyanoacetic ester (or cyanoacetamide) in 10 ml of ethanol was boiled for 2 h. The solvent was removed, and the residue crystallized and dried in vacuo over P_2O_5 at 150°C.

B. A mixture of 2 mmoles of the 2-(5-azolylamino)-3-ethoxycarbonyl-5-nitropyridine (IX) [or 2-(5-azolylamino)-3-carbamoyl-5-nitropyridine (VI)] and 0.21 g (2 mmoles) of sodium carbonate in 20 ml of ethanol was boiled for 2 h. The residue after removal of the solvent was crystallized and dried in vacuo over P_2O_5 at 150°C.

Potassium Salt of 7-Nitro-9-imino-4,9-dihydro-1,2,4-triazolo[1,5-*a*]pyrido[2,3-d]pyrimidine (XIa). A mixture of 0.55 g (2 mmoles) of the triazolopyrimidine (Ia), 0.17 g (2.5 mmoles) of malonodinitrile, and 0.11 g (2 mmoles) of KOH in 20 ml of ethanol was boiled for 30 min. The solvent was removed, and the residue crystallized from ethanol and dried in vacuo over P_2O_5 at 150°C.

2-R-7-Nitro-9-oxo-4,9-dihydroazolo[1,5-*a*]pyrido[2,3-d]pyrimidines (XIIa, b, d-i). To an aqueous alcoholic solution of 2 mmoles of the sodium salt (X) was added with stirring 0.5 ml of concentrated HCl. The solid which separated was filtered off, crystallized, and dried.

2-R-7-Nitro-9-imino-4,9-dihydroazolo[1,5-*a*]pyrido[2,3-d]pyrimidines (XIIIa-j). A mixture of 2 mmoles of the azolopyrimidine (I) and 0.17 g (2.5 mmoles) of malonodinitrile in 10 ml of alcohol was boiled for 30 min. The solid which separated on cooling was filtered off, crystallized, and dried.

LITERATURE CITED

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