Syntheses of Kinetin-analogues. III*

By F. Shigeo Okumura, Noboru Enishi,
Hiroyuki Itoh, Mitsuo Masumura
and Susumu Kuraishi**

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In the first paper of this series1), the authors showed that 6-(*n*-hexylamino)-purine has almost the same activity on the growth of *Raphanus* leaf as kinetin, and the furan ring of kinetin can be replaced by an alkyl group without causing a remarkable decrease in the kinetin activity. It is very interesting to investigate the relation between the carbon

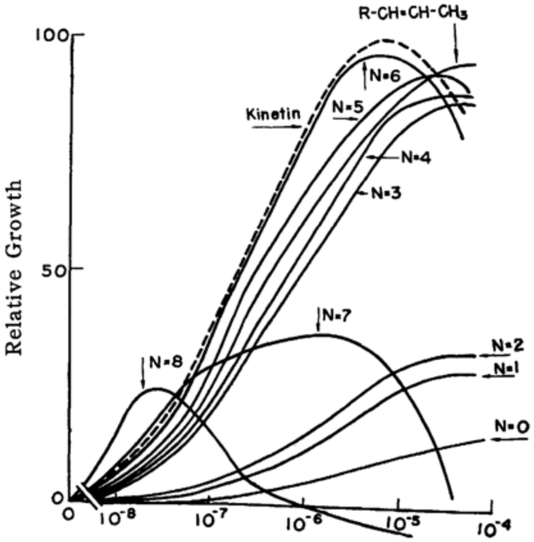

Fig. 1.
R · C_NH_{2N+1}
N=0—8
R=Aminopurine

TABLE I. SYNTHESES OF 6-(ALKYLAMINO)-PURINES

Alkyl	Temp. (°C) and time (hr.) of run		Yield (%)	m.p.	Analysis N%	
					Found	Calcd.
Methyl	130～140	14a)	74.0	308b),j)	46.96	47.00
Ethyl	130～135	17a)	42.0	234～235c),j)	42.76	42.92
n-Propyl	130～140	20a)	39.5	234j)	38.59	39.52
Allyl	130～140	10	48.7	221～222g)	39.60	39.96
n-Butyl	130	20a)	36.0	228～229d),h)	36.58	36.63
n-Amyl	100	15	37.0	164～165k)	34.21	34.12
n-Hexyl	130～135	9	31.0	177～178h)	32.81	31.94
n-Heptyl	130～140	14	54.2	175～176g)	30.00	30.02
n-Octyl	140～145	15a)	53.0	168～170j)	28.13	28.34
n-Nonyl	125～135	12	30.1	165～166h)	26.12	26.79
Geranyl	100～115	8	21.0	146～148i)	25.90	25.82
n-Dodecyl	150～160	16a)	50.4	154～156g)	23.68	23.08
n-Octadecyl	160～165	18a)	51.0	153～154g)	18.82	18.12
Dimethyl	130～135	17a)	45.0	263～264e),j)	43.08	42.92
Diethyl	110	8a)	51.4	217～219f),h)	36.70	36.65
Dibutyl	115～125	8a)	24.8	124～125h)	28.60	28.32

a) in a sealed tube b) m. p. 312～314°C2) c) m. p. 238～239°C2) d) m. p. 233～234°C2) e) m. p. 251°C2) f) m.p. 186°C2) g) Ethanol h) Aq. ethanol i) Aq. methanol j) Water k) Benzene

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** Biological Institute, College of General Education, The University of Tokyo, Meguro-ku, Tokyo.

1) F. S. Okumura et al., This Bulletin, **30**, 194 (1957).

2) G. B. Elison, E. Burgi and G. H. Hitching, *J. Am. Chem. Soc.*, **74**, 411 (1952).

number in the side chain of 6-(alkylamino)-purines and the leaf growth activity.

Sixteen 6-(alkylamino)-purines have been synthesized for this purpose, eleven of which are new compounds, and tested for their physiological activity on the growth of the leaf of *Raphanus sativus L. var. acanthiformis* Makino. The results are shown in Fig. 1.

The activity of the first three members with 0, 1 and 2 carbon atoms in the side chain is very weak, but a sudden increase of activity is observed in 6-(*n*-propylamino)-purine and then the activity gradually increases with the carbon number until the peak of activity is attained in 6-(*n*-hexylamino)-purine, and then the activity decreases rapidly in 6-(*n*-heptylamino)- and 6-(*n*-octylamino)-purines. No activity was observed with higher homologues with 9, 10, 12 and 18 carbon atoms in the side chain.

The activities of 6-(dialkylamino)-purines such as 6-(dimethylamino)-, 6-(diethylamino)- and 6-(dibutylamino)-purines were very weak.

Experimental.—6-(Alkylamino)-purines were prepared by the reaction of 6-(methylmercapto)-purine with aliphatic amines. In general, the 6-(methylmercapto)-purine was heated with an amine in a sealed or open tube without any solvent, but an aqueous solution was used in the case of the volatile amines. After cooling, the reaction product was either precipitated by the addition of acetone, or it was obtained as a residue after evaporation of the excess of the amine under reduced pressure. The product was then recrystallized from an appropriate solvent.

6-(*n*-Hexylamino)-purine. — A mixture of 1.00 g. (1 mol.) of 6-(methylmercapto)-purine and 1.8 g. of *n*-hexylamine (3 mol.) was heated in a sealed tube at 130~135°C for 9 hr. After cooling, the reaction mixture was evaporated to dryness and the residue was recrystallized from 60% ethanol (yield, 0.4 g. or 31.5%).

Department of Applied Chemistry
Faculty of Engineering
Tokushima University
Tokushima