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Isolation of 2-Phenylacetamide, Indole-3-acetamide, and Indole-3-carboxaldehyde from Etiolated Seedling of *Phaseolus*

Indole-3-acetic acid has been recognized as a naturally occurring plant growth hormone and a few other plant growth substances, such as indole-3-acetonitrile, ethyl indole-3-acetate, and their related compounds such as indole-3-carboxaldehyde and indole-3-carboxylic acid, have been obtained in a crystalline form.

Although many papers have appeared reporting the presence of other growth promoting substances in plants, evidence of their presence had only been proved by paper chromatography.

One of the present authors, Isogai¹⁾ had reported the presence of the several growth controlling substances in neutral and acidic fractions of aqueous extract of the whole plant of Moyashi.*¹ Now some of the active substances contained in the neutral fraction have been isolated in crystalline form.

Moyashi (900 kg. of fresh weight) was extracted with water at 56° and the extract was treated with charcoal. The active material adsorbed on charcoal was eluted with ammoniacal methanol. The solvent was evaporated from the eluate *in vacuo* and the residue was separated into neutral and acidic fractions, affording 24 g. of active neutral fraction.

This neutral fraction was submitted to column chromatography over activated alumina and at present four kinds of crystals were obtained, two of which being active as growth promoter and the other two inactive by means of Avena straight growth test. The two active crystals were identified as indole-3-acetamide, m.p. 151°, *Anal.* Calcd. for C₁₀H₁₀ON₂: C, 68.95; H, 5.79; N, 16.08. Found: C, 68.95; H, 5.89; N, 15.79, (yield, 600 mg.) and 2-phenylacetamide, m.p. 156°, *Anal.* Calcd. for C₈H₉ON: C, 71.09; H, 6.71; N, 10.36; O, 11.84. Found: C, 71.16; H, 6.71; N, 9.81; O, 11.58, (yield, 90 mg.) and one of the inactive crystals as indole-3-carboxaldehyde, m.p. 189~190°, *Anal.* Calcd. for C₉H₇ON: C, 74.47; H, 4.86; N, 9.65. Found: C, 74.59; H, 4.86; N, 9.63, (yield 10 mg.).

These products were identified by admixture with authentic samples and also by comparing their infrared and ultraviolet spectra.

The studies on the unidentified active substances and the mode of action of indole-3-acetamide and 2-phenylacetamide are now in progress.

*¹ Japanese name "Moyashi" is given for etiolated seedlings of *Phaseolus mungo* Linne, sprouted at factory and commercially sold in greengrocers as a sort of vegetable in Japan.

1) Y. Isogai: Sci. Pap. Coll. Gen. Educ., Univ. Tokyo, 10, 73 (1960).

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Carcinostatic Methanesulfonic Acid Esters of Nitrogen Mustard Analogs

In the course of our study on carcinostatic substances, four sulfonic esters of nitrogen mustard analogs were synthesized and their biological activity against *Yoshida sarcoma* was evaluated.

The compounds were synthesized following the procedure of Sprague, *et al.*¹⁾ By the reaction with methanesulfonic anhydride in acetonitrile, 2,2'-dihydroxy-N-methyl-diethylamine yielded 2,2'-dimesyloxy-N-methyldiethylamine (No. 839) isolated as its

TABLE I.

Compound No.	Formula	<i>in vivo</i>				<i>in vivo</i>
		LD ₅₀ (mg./kg.)	MTD ^{a)} (mg./kg.)	MED ^{b)} (mg./kg.)	CI ^{c)} (LD ₅₀ /MED)	MEC ^{d)} (mM)
839	$\text{CH}_3\text{-N} \begin{array}{l} \diagup \text{CH}_2\text{CH}_2\text{OSO}_2\text{CH}_3 \\ \diagdown \text{CH}_2\text{CH}_2\text{OSO}_2\text{CH}_3 \end{array} \cdot \text{Pc. s.}^e)$	7.5	5	0.5	15	5 × 10 ⁻⁵
840	$\text{CH}_3\text{-N} \begin{array}{l} \diagup \text{CH}_2\text{CH}(\text{CH}_3)\text{OSO}_2\text{CH}_3 \\ \diagdown \text{CH}_2\text{CH}(\text{CH}_3)\text{OSO}_2\text{CH}_3 \end{array} \cdot \text{Pc. s.}^e)$	37.5	25	1	37.5	5 × 10 ⁻³
838	$\text{CH}_3\text{-N} \begin{array}{l} \diagup \text{CH}_2\text{CH}_2\text{CH}_2\text{OSO}_2\text{CH}_3 \\ \diagdown \text{CH}_2\text{CH}_2\text{CH}_2\text{OSO}_2\text{CH}_3 \end{array} \cdot \text{HCl}$	75	50	1	75	2.5 × 10 ⁻²
844	$\begin{array}{l} \text{CH}_3 \\ \diagdown \text{N} \\ \diagup \text{CH}_3 \end{array} \begin{array}{l} \diagup \text{CH}_2\text{CH}_2\text{CH}_2\text{OSO}_2\text{CH}_3 \\ \diagdown \text{CH}_2\text{CH}_2\text{CH}_2\text{OSO}_2\text{CH}_3 \end{array} \cdot \text{Pc. s.}^e)$	37.5	25	—	—	1 × 10 ⁻¹

a) Maximum tolerance dose on rats bearing *Yoshida sarcoma*.

b) Minimum effective dose determined by the method reported by Yoshida, *et al.*: Gann, 45, 489 (1954).

c) Chemotherapeutic index.

d) Minimum effective concentration in tissue culture, determined by the method reported by M. Ishidate, *et al.*: This Bulletin, 7, 873 (1958).

e) Picryl sulfonate.

1) J. M. Sprague: Chem. Abst., 49, 1776 (1955).