Plant Growth Retarding Activity of the 4-Substituted-7-(β -D-ribofuranosyl)pyrrolo[2,3-d]pyrimidine Anticytokinins

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Recent developments in the synthesis of anticytokinins¹⁻⁴⁾ immediately suggest their usage as plant growth regulators or herbicides. As a part of the investigations on the practical usage as well as physiological properties of our own pyrrolo [2, 3-d] pyrimidine anticytokinins,^{3,4)} we examined preliminarily their herbicidal activity on graminaceous and broad-leaved plants by the petri-dish method, submerged pot test and foliar treatment test.

The compounds tested were 4-substituted-7-(β -D-

FIG. 1. Effect of the Anticytokinins on the Germination of Rice Grains.

The test was carried out as follows: Five ml of each test solution was pipetted on a filter paper in a Petri-dish (ϕ 7 cm). In each dish, 40 grains of *Oryzae sativa* L. var. "Akibare" were placed and incubated at 27°C under fluorescent light. After 5 days, the lengths of the seedlings were measured and the % inhibition to water control was calculated.

○—○ isopentenyl, □—□ benzyl, △—△ *n*-butyl, ●—● furfuryl, and ▲—▲ ethyl derivatives, respectively.

TABLE I. HERBICIDAL ACTIVITY OF ANTICYTOKININS BY THE PRE-EMERGENCE TEST^{a)}

Compound		Rice		Sawa millet		Cucumber	
4-Substituent	ppm	100	30	100	30	100	30
NH-Et		3~4	1	3~4	1~2	4	2
NH- <i>n</i> -Pr		4~5	4	5	4	3	2
NH- <i>n</i> -Bu		5	4	5	4	4~5	3
NH- <i>n</i> -Am		4	4	4	3	4	3
NH- <i>i</i> -Am		5	4	5	3~4	4	2
NH-iP ^{b)}		4	2~3	4	2~3	3	3
NH-Fu ^{b)}		4	2	4	2	3	2
NH-Bz		5	4	5	3	3	3
NHOH		1	0	1	0	1	0

^{a)} Method: Test solution (6 ml) was pipetted into a Petridish (ϕ 7 cm) in which 5 germinated rice (*Oryzae sativa* L. var. "Nihombare"), 10 germinated sawa millet (*Panicum crus-galli* L. var. *frumentaceum* Hook, f) grains or 3 cucumber (*Cucumis sativa* L. var. "Tokiwa") seeds were placed and incubated at 27°C under fluorescent light for 5 days. The degree of growth inhibition was expressed as follows: 0, no inhibition; 1, 10% or lower; 2, ~30%; 3, ~60%; 4, ~90% and 5, ~100% inhibition, respectively.

^{b)} Abbreviations: iP, isopentenyl; Fu, furfuryl.

TABLE II. HERBICIDAL ACTIVITY OF ANTICYTOKININS BY THE SUBMERGED AND FOLIAR TREATMENT TESTS^{a)}

Compound 4-Substituent	Submerged test			Foliar treatment test			
	Rice	Sawa millet (50 g/a)	Mono- choria	Rice	Sawa millet	Cucum- ber (25 g/a)	Koma- tsuna
NH-Et	0~1	0~1	1	0	0	1	0~1
NH- <i>n</i> -Pr	3	3	1	0	0~1	0	0~1
NH- <i>n</i> -Bu	3	3	1	0	0~1	0	0~1
NH- <i>n</i> -Am	3	2~3	1~2	0	0	0~1	0~1
NH- <i>i</i> -Am	3	2~3	3	0	0	0	0~1
NH- <i>i</i> P ^{b)}	0	1	2	0	0	1	1
NH-Fu ^{b)}	0	1	1	0	0	0	0
NH-Bz	0~1	1	3	0	0	0	1
NH-OH	0~1	1	1	1	1~2	1	2

^{a)} Methods: Submerged test; Plastic pots (176 cm² × 10 cm depth) were filled with sieved soil (5 cm depth). Rice, sawa millet and monochoria were seeded and the pots were flooded (1 cm depth above soil surface). Test solutions were applied at a dosage of 50 g/a after 5 days from seeding. Herbicidal activity was measured conventionally after 5 days' growth in a greenhouse at 25 ± 5°C. Figures 0, 1, 2, 3, and 4 express no, very weak, slight, considerable and serious phytotoxicity, respectively, and 5 complete killing.

Foliar treatment test; Rice, sawa millet, cucumber and komatsuna (*Brassica rapa* L.) were seeded on the pot (176 cm² × 10 cm depth) and the test solutions (2000 ppm) were applied at a dosage of 25 g/a on the entire plants grown for 12 days after seeding. Evaluation of the activity was made 5 days after application. The rating is the same as described above.

^{b)} See footnote b of Table I.

ribofuranosyl)pyrrolo [2, 3-d] pyrimidines with the 4-substituents systematically varied. Their syntheses and anticytokinin activity by the tobacco callus assay have been reported previously.^{3,4)}

Figure 1 shows results of the rice germination test where all of the test compounds exhibited considerable inhibitory activity at 100 μM. At 25 μM, however, the isopentenyl, benzyl and *n*-butyl derivatives showed higher % inhibition than the furfuryl and ethyl derivatives. This difference was further examined under flooded soil condition as described below.

On the basis of above results, a practical screening test was carried out and results were summarized in Tables I and II; comparative data of a pyrrolo [2, 3-d]-pyrimidine derivative which is not an anticytokinin are also included. In the pre-emergence test, the series of the anticytokinins showed significant growth retarding activity at 100 ppm. At 30 ppm, however, the activity of ethyl and furfuryl derivatives was inferior to the others. Similar results were obtained in the submerged pot test as well where the inhibitory activity of *n*-butyl, *n*-amyl, *i*-amyl and benzyl derivatives were conspicuous. Interestingly enough, all of the anticytokinins tested exhibited very weak or little growth retarding activity in the foliar treatment test. In contrast, 4-hydroxylamino compound^{b)} which is not an anticytokinin showed weaker activity in the pre-emergence and submerged tests and stronger activity in

the foliar test. Although the difference in the activity between graminaceous and broad-leaved plants is not so obvious in the present tests, that between the emergence tests and the foliar treatment seems physiologically interesting. Considering the fact that recent advances in the studies of anticytokinins have revealed the peculiar nature of the pyrrolo [2, 3-d] pyrimidine derivatives as a structural analogy of N⁶-substituted adenylate cytokinins,^{4,6)} continued studies on the syntheses of the derivatives as well as the examination of their physiological properties will bring about new anticytokinins which are useful in horticulture.

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