Effects of 1,5-Disubstituted Imidazoles on the Growth of Lettuce and Rice Seedlings

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Twenty two 1,5-disubstituted imidazoles possessing a phenyl, 4-hydroxyphenyl or 4-methoxyphenyl group at the 5-position were synthesized and their plant growth regulatory activities were examined by the lettuce and rice seedling tests. Most of the compounds inhibited the hypocotyl growth of lettuce seedlings at 10 ppm. Among the compounds tested, 1-butyl-5-(4-methoxyphenyl)imidazole (20) and the 1-cyclohexylmethyl analog 25 showed the highest activity. 1,5-Disubstituted imidazoles described in this article had little or no effect on the growth of the shoot of rice seedlings at low concentrations, while a number of compounds remarkably enhanced the elongation of rice roots. Administration of 0.1-1 ppm of compound 20 accelerated the root elongation, the values being more than 150% of the control.

INTRODUCTION

In a previous paper we reported that some 5-substituted 1-neopentylimidazoles inhibited the growth of hypocotyls in the lettuce seedlings, and their activities were annulled fully by simultaneous application of gibberellic acid (GA,), suggesting an inhibition of gibberellin biosynthesis (Kikuchi *et al.*, 1990). In the lettuce seedling tests it was also found that some of 1-alkyl-5-arylimidazoles stimulated the growth of roots. It is well known that several 1,2,4-triazole, pyrimidine and pyridine derivatives inhibit the gibberellin biosynthesis and show plant growth regulatory activity resulting in growth retardation (Burden *et al.*, 1989). However, little information has been reported on the activity of promoting root growth. We therefore synthesized a new series of 1,5-disubstituted imidazoles and examined their effects on the growth of lettuce and rice seedlings. This report describes the synthesis of 1,5-disubstituted imidazoles and their activities to inhibit the growth of lettuce hypocotyls and to promote the root growth in rice seedlings.

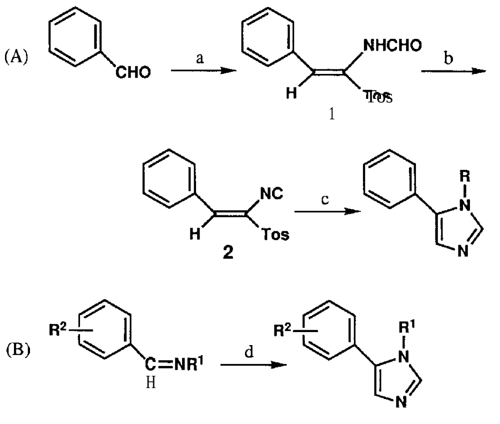
EXPERIMENTAL

Synthesis

All melting points were uncorrected. ^{1}H NMR spectra were determined on a JEOL JNM-FX 100 spectrometer using tetramethylsilane as an internal standard, and all samples were prepared in $CDCl_3$ unless otherwise noted.

N-(α-tosylstyryl)formamide **(1)** and 1-isocyano-2-phenylll-tosylethene (2) were prepared according to the method described by van Leusen et *al.* (1977, 1979).

Scheme 1



Reagent: (a) TosMIC, KO^{t}Bu, DME (b) $POCl_3$, NEt_3, DME
(c) RNH_2, MeOH (d) TosMIC, K_2CO_3, MeOH

1-Ethyl-5-phenylimidazole (3)

To a solution of 1.5 g of compound **1** in 10 ml of dry 1,2-dimethoxyethane (DME) under N_2 was added at -5°C all at once 3.5 ml of triethylamine. To the mixture was added dropwise a solution of 0.5 ml of phosphorus oxychloride in 0.5 ml of DME at -10— 5°C. After stirring for 1 hr at 0°C, the mixture was poured into 200 ml of ice-water and extracted with dichloromethame. The dichloromethane solution was washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure. To the residue (crude compound 2) was added a mixture of 1.3 g of ethylamine hydrochloride and 2.1 ml of triethylamine in 10 ml of methanol, which had been stirred for 12 hr at room temperature. After stirring for 24 hr at room temperature, the mixture was concentrated under reduced pressure and the product was extracted with dichloromethane. The dichloromethane solution was washed with brine, dried over Na_2SO_4 and concentrated. The residue was chromatographed on silica gel and eluted with ethyl acetate-hexane (1 :2), (1 :1), (1 : 2) and 2% isopropyl alcohol in ethyl acetate. Concentration of the eluate of 2% isopropyl alcohol in ethyl acetate under reduced pressure afforded 0.31 g (38%) of 3. NMR δ: 1.34 (3H, t, J =8 Hz), 4.02 (2H, q, J =8 *Hz)*, 7.08 (1H, s), 7.20-7.54 (5H, m), 7.60 (1H, s). Anal. Found: C, 59.45 ; H, 5.34 ; N, 10.71. Calcd. for $C_{13}H_{14}N_2O_4$ (oxalate salt) : C, 59.54 ; H, 5.38 ; N, 10.68%.

Compounds 4, 7 and 9 were prepared in the same manner as compound 3 with use of propylamine, cyclohexylamine and 1-aminomethylnaphthalene, respectively, instead of ethylamine. Yield was calculated based on compound **1**.

5-Phenyl-1-propylimidazole (4) Yield 53%. NMR δ: 0.84 (3H, t, J =8 Hz), 1.4-1.9 (2H, m), 3.96 (2H, t, J=8 Hz), 6.90-7.80 (7H, m). Anal. Found: C, 60.59 ; H, 5.84 ; N, 9.89. Calcd. for $C_{14}H_{16}N_2O_4$ (oxalate salt) : C, 60.86 ; H, 5.83 ; N, 10.14%.

1-Cyclohexyl-5-phenylimidazole (7) Yield 53%. NMR δ : 0.90-2.24 (10H, m), 3.62-4.14 (1H, m), 7.04 (1H, s), 7.20-7.60 (5H, m), 7.70 (1H, s). Anal. Found: C, 64.36 ; H, 6.33 ; N, 8.85. Calcd. for $C_{17}H_{20}N_2O_4$ (oxalate salt) : C, 64.54 ; H, 6.37 ; N, 8.86%.

1-(1-Naphthylmethyl)-5-phenylimidazole (9) Yield 32%. NMR δ: 5.62 (2H, s), 6.94- 8.04 (12H, m). Anal. Found : C, 70.53 ; H, 4.78 N, 7.49. Calcd. for $C_{22}H_{18}N_2O_4$ (oxalate salt) : C, 70.58 ; H, 4.85 ; N, 7.48%.

1-Butyl-5-phenylimidazole (5) and *1-phenethyl-5-phenylimidazole (6)* were synthesized according to the procedure reported priviously (Kikuchi *et al.*, 1990).

1,5-Diphenylimidazole (8)

A mixture of 1.0 g of benzaldehyde, 0.90 g of aniline and 3.0 g of anhydrous $MgSO_4$ in 20 ml of dichloromethane was refluxed for 2 hr. $MgSO_4$ was filtered off and the filtrate was concentrated under reduced pressure. The residue was dissolved in 20 ml of methanol, and to the mixture was added 2.5 g of tosylmethylisocyanide (TosMIC) and 4 g of anhydrous K_2CO_3. After refluxing for 2.5 hr, the solvent was evaporated and 100 ml of water was added to the residue. The product was extracted with ethyl acetate and the ethyl acetate solution was washed with brine, dried over Na_2SO_4 and concentrated. The residue was chromatographed on silica gel by elution with hexane-ethyl acetate (3 : 1) and (1 : 1). Concentration of the hexane-ethyl acetate (1 : 1) eluate under reduced pressure followed by recrystallization from ethanol and water afforded 0.23 g (10%) of 8, mp 126-128°C. NMR 6: 7.00-7.54 (11H, m), 7.72 (1H, s). Anal. Found : C, 81.59; H, 5.43 ; N, 12.67. Calcd. for $C_{15}H_{12}N_2O$: C, 81.79 ; H, 5.49; N, 12.72%.

1-(2-Naphthylmethyl)imidazole (**1** 0)

To a suspension of 0.54 g of sodium hydride (60% in oil) in 10 ml of dimethylform-amide was added 0.54 g of imidazole at 0—5°C, and the mixture was stirred for 1 hr at room temperature. To the mixture was added 2.0 g of 2-(bromomethyl)naphthalene. After stirring for 15 hr at toom temperature, to the mixture was added 50 ml of water, and the product was extracted with ether. The ether solution was washed with 5% NaOH solution, brine, and dried over Na_2SO_4. Evaporation of the solvent followed by recrystallization from isopropyl ether to yield 1.1 g (61%) of 10, mp 88-90°C. NMR δ: 5.28 (2H, s), 6.86-8.04 (10H, m). Anal. Found: C, 80.82 ; H, 5.79 ; **N,** 13.49. Calcd. for $C_{14}H_{12}N_2$: C, 80.74 ; H, 5.81; N, 13.45%.

1-Ethyl-5-(4-hydroxyphenyl)imidazoZe (11)

A mixture of 1.6 g of ethylamine hydrochloride, 3.3 ml of triethylamine and 6.0 g of $MgSO_4$ was stirred for 1 hr at room temperature. To the mixture was added 2.4 g of 4-hydroxybenzaldehyde and refluxed for 2 hr. After removal of $MgSO_4$ by filtration, the filtrate was concentrated under reduced pressure. To the residue dissolved in 30 ml of methanol was added 5.0 g of TosMIC and 8.0 g of K_2CO_3, and the mixture was refluxed for 2 hr. After concentration of the solvent, the product was extracted with ethyl acetate and the ethyl acetate solution was washed with brine, dried over Na_2SO_4, and concentrated. The residue was chromatographed on silica gel and eluted with hexane-ethyl acetate (3 : 1) and 3% isopropyl alcohol in ethyl acetate. Concentration of the eluate of 3% isopropyl alcohol in ethyl acetate followed by recrystallization from ethanol and water to give 1.1 g (30%) of **11,** mp 152-154°C. NMR δ: 1.36 (3H, t, J=8 Hz), 4.02 (2H, q, J=8 Hz), 7.00 (2H, d, J=8 Hz), 7.04 (1H, s), 7.24 (2H, d, J=8 Hz), 7.65 (1H, s). Anal. Found: C, 70.21 ; H, 6.40 ; N, 14.83. Calcd. for $C_{11}H_{12}N_2O$: C, 70.19 ; H, 6.43 ; N, 14.88%.

Compounds **12-18** were prepared in the same manner as compound 11, but with the use of the appropriate amine, instead of ethylamine. Yield was calculated based on 4-hydroxybenzaldehyde.

1-Butyl-5-(4-hydroxyphenyl)imidazole(***12***) Yield 75%. mp 161-164°C. NMR δ: 0.86 (3H, t, J=7 Hz), 1.02-1.80 (4H, m), 3.94 (2H, t, J=8 Hz), 6.80-7.30 (5H, m), 7.64 (1H, s). Anal. Found : C, 72.24 ; H, 7.40 ; N, 12.87. Calcd. for $C_{13}H_{16}N_2O$: C, 72.19 ; H, 7.46 ; N, 12.95%.

1-Hexyl-5-(4-hydroxyphenyl)imidazole (13) Yield 77%. mp 117-119°C. NMR δ: 0.82 (3H, t, J=7 Hz), 1.00-1.40 (6H, m), 1.62 (2H, m), 3.92 (2H, t, J=8 Hz), 6.93-7.28 (6H, m), 7.63 (1H, s).

5-(4-Hydroxyphenyl)-1-octylimidazole (14) Yield 77%. mp 96-97°C. NMR δ: 0.86 (3H, deformed t), 1.00-1.84 (12H, m), 3.96 (2H, t, J=8 Hz), 6.80-7.26 (6H, m), 7.64 (1H, s). Anal. Found: C, 74.60 ; H, 8.86 ; N, 10.31. Calcd. for $C_{17}H_{24}N_2O$: C, 74.96 ; H, 8.88 ; N, 10.28%.

1-Decyl-5-(4-hydroxyphenyl)imidazole **(15)** Yield 72%. mp 87-90°C. NMR δ: 0.86 (3H, deformed t), 1.00-1.80 (16H, m), 3.94 (2H, t, J=8 Hz), 6.80-7.30 (6H, m), 7.64 (1H, s). Anal. Found: C, 76.04 ; H, 9.39 ; N, 9.47. Calcd. for $C_{19}H_{28}N_2O$: C, 75.96 ; H, 9.39 ; N, 9.32%.

1-Geranyl-5-(4-hydroxyphenyl)imidazole (16) Yield 89%. mp 96-99°C. NMR δ: 1.58 (3H, broad s), 1.63 (3H, broad s), 1.67 (3H, broad s), 1.80-2.20 (4H, m), 4.50 (2H, d, J=7 Hz), 4.88-5.16 (1H, m), 5.16-5.44 (1H, m), 6.80-7.10 (3H, m), 7.25 (2H, d, J=8 Hz), 7.63 (1H, s). Anal. Found: C, 76.75 ; H, 8.20 ; N, 9.41. Calcd. for $C_{19}H_{24}N_2O$: C, 76.99 ; H, 8.16 ; N, 9.45%.

1-Cyclohexylmethyl-5-(4-hydroxyphenyl)imidazole (I 7) Yield 50%. mp 184-186°C. NMR δ: 0.52-1.80 (11H, m), 3.78 (2H, d, J=8 Hz), 6.80-7.05 (3H, m), 7.24 (2H, d, J=12 Hz), 7.58 (1H, s). Anal. Found : C, 75.11 ; H, 7.88 ; N, 10.85. Calcd. for $C_{16}H_{20}N_2O$: C, 74.97 ; H, 7.86 ; H, 10.93%.

5-(4-Hydroxyphenyl)-1-(1-naphthylmethyl)imidazole **(18)** Yield 2%. mp 246-248 "C. NMR (DMSO-d,) δ: 5.62 (2H, s), 6.40-8.20 (11H, m), 9.44 (1H, s). Anal. Found : C, 79.88 ; H, 5.39 ; N, 9.11. Calcd. for $C_{20}H_{16}N_2O$: C, 79.98 ; H, 5.37; N, 9.33%.

1-Ethyl-5-(4-methoxyphenyl)imidazole **(19)**

To a suspension of 0.10 g of sodium hydride (60% in oil) in 10 ml of dimethylformamide was added 0.40 g of compound **11,** and the mixture was stirred for 1 hr at room temperature. To the ice-cooled mixture was added dropwise 0.14 ml of methyl iodide. After stirring for 15 hr at room temperature, 50 ml of water was added to the mixture, and the product was extracted with ether. The ether solution was washed with 5% NaOH solution and brine, and dried over Na_2SO_4. After removal of the solvent, the residue was chromatographed on silica gel by elution with hexane-ethyl acetate (3 :1) and ethyl acetate. Concentration of ethyl acetate eluate under reduced pressure afforded 0.35 g (83%) of **19.** NMR δ: 1.32 (3H, t, J=7 Hz), 3.88 (3H, s), 4.00 (2H, d, J = 7 Hz), 6.80-7.14 (3H, m), 7.34 (2H, d, J=8 Hz), 7.58 (1H, s). Anal. Found: C, 57.22 ; H, 5.48 ; N, 9.54. Calcd. for $C_{14}H_{16}N_2O_5$ (oxalate salt) : C, 57.53 ; H, 5.52 ; N, 9.58%.

Compounds 20-25 were prepared in an analogous manner to that described for **19.** Yield was calculated based on each 1-alkyl-5-(4-hydroxyphenyl)imidazole.

1-Butyl-5-(4-methoxyphenyl)imidazole (20) Yield 92%. NMR δ: 0.84 (3H, t, J= 8 Hz), 1.00-1.80 (4H, m), 3.87 (3H, s), 3.93 (2H, d, J=8 Hz), 6.80-7.10 (3H, m), 7.32 (2H, d, J=8 Hz), 7.56 (1H, s). Anal. Found : C, 72.61 ; H, 7.83 ; N, 11.99. Calcd. for $C_{14}H_{18}N_2O$: **C,** 73.01 ; H, 7.88 ; N, 12.16%.

1-Hexyl-5-(4-methoxyphenyl)imidazole (***21***) Yield 44%. NMR δ: 0.83 (3H, t, J =

7 Hz, 1.08-1.32 (6H, m), 1.59 (2H, m), 3.84 (3H, s), 3.90 (2H, t, $J=7$ Hz), 6.89-7.31 (5H, m), 7.52 (1H, s).

5-(4-Methoxyphenyl)-1-octylimidazole (22) Yield 89%. NMR δ: 0.85 (3H, deformed t), 1.00-1.80 (12H, m), 3.86 (3H, s), 3.92 (2H, t, $J=7$ Hz), 6.80-7.44 (5H, m). 7.56 (1H, s). Anal. Found: C, 75.09 ; H, 9.10 ; N, 9.67. Calcd. for $C_{18}H_{26}N_2O$: C, 75.48 ; H, 9.15 ; N, 9.78%.

1-Decyl-5-(4-methoxyphenyl)imidazole (23) Yield 88%. NMR δ: 0.88 (3H, deformed t), 1.00-1.80 (16H, m), 3.88 (3H, s), 3.94 (2H, t, $J=7$ Hz), 6.80-7.44 (5H, m), 7.54 (1H, s). Anal. Found: C, 75.97 ; H, 9.52 ; N, 8.71. Calcd. for $C_{20}H_{30}N_2O$: C, 76.39 ; H, 9.62 ; N, 8.91%.

1-Geranyl-5-(4-methoxyphenyl)imidazole (24) Yield 67%. NMR δ: 1.30-2.30 (13H, m), 3.86 (3H, s), 4.48 (2H, d, $J=6$ Hz), 4.80-5.45 (2H, m), 6.84-7.44 (5H, m), 7.56 (1H, s).

1-Cyclohexylmethyl-5-(4-methoxyphenyl)imidazole (25) Yield 85%. mp 63-65°C (recrystallized from hexane). NMR δ: 0.44-1.84 (11H, m), 3.76 (2H, d, $J=7$ Hz), 3.87 (3H, s), 6.80-7.04 (3H, m), 7.16-7.40 (2H, m), 7.52 (1H, s). Anal. Found: C, 75.46 ; H, 8.18 ; N, 10.26. Calcd. for $C_{17}H_{22}N_2O$: C, 75.52 ; H, 8.20 ; N, 10.36%.

Lettuce and rice seedling tests

Lettuce (*Lactuca sativa* L. cv. Sacramento) seedling tests were performed by the same method as described previously (Kikuchi *et al.*, 1990). The effects of the compounds on the growth of rice (*Oryza sativa* L. cv. nihonbare) seedlings were examined according to the method as previously reported by Ogasawara *et al.* (1990). Rice seeds were sterilized with 1% sodium hypochlorite solution at 25-30°C for 0.5 hr, and were germinated in deionized water for 2 days at 30°C in the dark. Eight germinated seeds with uniformed-sized coleoptiles were set in four slits (3.0 × 0.25 cm) of a polystyrene disc (5 cm diameter x 0.3 cm thickness), which was floated on 200 ml of deionized water containing each compound dissolved in dimethyl sulfoxide (0.4 ml) in a conical beaker (11 cm height). Plants were maintained at 30 ± 1°C in darkness (relative humidity ; 60 ± 5%) or in light (photosynthetically available radiation ; 250 $\mu mol \cdot m^{-2} \cdot sec^{-1}$, photoperiod ; 12 hr, relative humidity ; 70 ± 5%). The growth regulatory activity of the compounds was evaluated after 3 (in darkness) or 4 (in light) days by inspecting the rate of growth inhibition or stimulation of the hypocotyls and radicles. The rates were determined by percentage of the averaged lengths of hypocotyls or radicles of treated plants to those of controls and indicated according to the following scale :

Inhibition

$0 \leq 10\% < -1 \leq 30\% < -2 \leq 50\% < -3 \leq 70\% < -4 \leq 90\% < -5$

stimulation

$0 \leq 10\% < 1 \leq 30\% < 2 \leq 50\% \leq 3 \leq 70\% < 4 \leq 90\% < 5$

RESULTS AND DISCUSSIONS

Synthesis

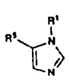
1,5-Disubstituted imidazoles were synthesized by two different procedures using tosylmethylisocyanide (TosMIC) according to the methods described by van Leusen *et* al. (1977, 1979) (Scheme 1). (Method A) 1-Isocyano-2-phenyl-1-tosylethene (2) was treated with an appropriate amine to afford 1-alkyl-5-phenylimidazoles. (Method B) Cyclization of aldimines derived from benzaldehydes and amines with TosMIC in the presence of potassium carbonate in methanol yielded 1,5-disubstituted imidazoles. In method B 1-alkyl-5-(4-hydroxyphenyl)imidazoles were obtained relatively high yield. Methylation of 4-hydroxy group on the benzene ring by methyl iodide gave 1-alkyl-5-(4-methoxyphenyl)imidazoles.

Biological activities

Table 1 shows the effects of a large number of 1,5-disubstituted imidazoles on the growth of lettuce seedlings. In a series of l-substituted 5-phenylimidazoles, the 1-ethyl(**3**), the 1-cyclohexyl (7) and the 1-(1-naphthylmethyl) (9) analogs inhibited considerably the hypocotyl growth of lettuce seedlings at 10 ppm. However, those activities were somewhat lower compared with those of the l-butyl (5) and the I-phenethyl (6) nalogs which had been reported previously (Kikuchi et al., 1990). 1-(2-Naphthylmethyl)imidazole (10) showed very low activity and imidazole had no activity at 10 ppm, suggesting that the presence of two substituents at the 1- and 5-positions of the imidazole ring is essential for the inhibitory activity in hypocotyl growth.

The introduction of a hydroxy substituent into the phenyl group at the 5-position decreased the activity in comparison with those of the corresponding l-substituted 5-phenylimidazoles (compounds 11, 12 and 18). Other 5-(4-hydroxyphenyl)imidazoles also showed very weak activity irrespective of the substituent at the l-position (compounds 13-17). On the other hand, in a series of 5-(4-methoxyphenyl)imidazoles

Table 1. Effects of 1,5-disubstituted imidazoles on the growth of lettuce seedlings



No	R^5	R^1	Activity (10 ppm)	
			Hypocotyl	Root
	H	H	0	−1
3	phenyl	ethyl	- 3	- 1
		cyclohexyl	- 3	0
8	〃	phenyl	- 2	0
9	〃	1-naphthylmethyl	- 3	- 3
10	H	2-naphthylmethyl	- 1	- 2
11	4-hydroxyphenyl	ethyl	- 1	0
12		n-butyl	- 1	1
13	〃	n-hexyl	- 1	1
14		n-octyl	- 1	1
15		n-decyl	- 1	1
16		geranyl	0	- 1
17	〃	cyclohexylmethyl	- 2	2
18	〃	l-naphthylmethyl	0	- 1
19	4-methoxyphenyl	ethyl	- 2	0
20	〃	n-butyl	- 4	−1
21		n-hexyl	- 3	
22	〃	n-octyl	- 2	0
23	〃	n-decyl	- 1	- 1
24		geranyl	- 1	1
25		cyclohexylmethyl	- 4	- 1

the 1-butyl (20) and the 1-cyclohexylmethyl (25) analogs exhibited high inhibitory activity, which was comparable to those of the most active compounds reported previously (Kikuchi et al., 1990). Increasing the size of the alkyl substituent at the l-position led to reduced activity (compounds 21, 24).

As previously described (Kikuchi *et al.*, 1990), several 1-alkyl-5-arylimidazoles such as compound 5 have been found to promote the root growth in the lettuce seedlings. However, a series of compounds shown in Table 1 did not show distinct promoting effects on the root growth in the lettuce seedlings. We used a new bioassay method recently developed by Ogasawara *et al. (1990)* in order to examine the effects of 1,5-disubstituted imidazoles on the growth of rice seedlings. This method was more suitable than a Petri dish method to evaluate the elongation of rice roots (Fig. 1).

It is well known that light affects the growth of roots (Beffa et al., 1982). We first examined the light effects on the action of the substituted imidazoles. Fig. 2 shows the effects of 1-phenethyl-5-phenylimidazole (6) on the growth of rice seedlings in light and darkness. In light compound 6 promoted the growth of root at a low concentration of 0.1 ppm, whereas in darkness it showed slightly inhibitory activity at the same concentration. No effect was found on the growth of leaf sheath at the concentrations causing the promotion of roots. At high concentrations of 10-50 ppm the growth of root and leaf sheath was inhibited both in dark and light. Since the stimulation of the root growth was observed by treatment of compound 6 in light, in further experiment we examined structure-activity relationships of a number of 1,5-disubstituted imidazoles under the light.

In Table 2 there are presented the effects of 1,5-disubstituted imidazoles on the growth of rice seedlings. Most of the compounds promoted the growth of roots at the

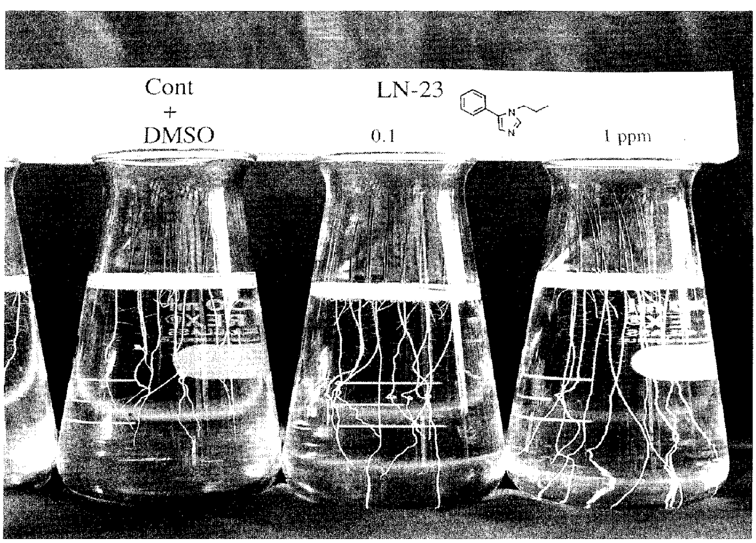


Fig. 1. Rice seedling test : (left) control, (middle, right) treated with 5 phenyl-1-propylimidazole at 0.1 and 1 ppm, respectively.

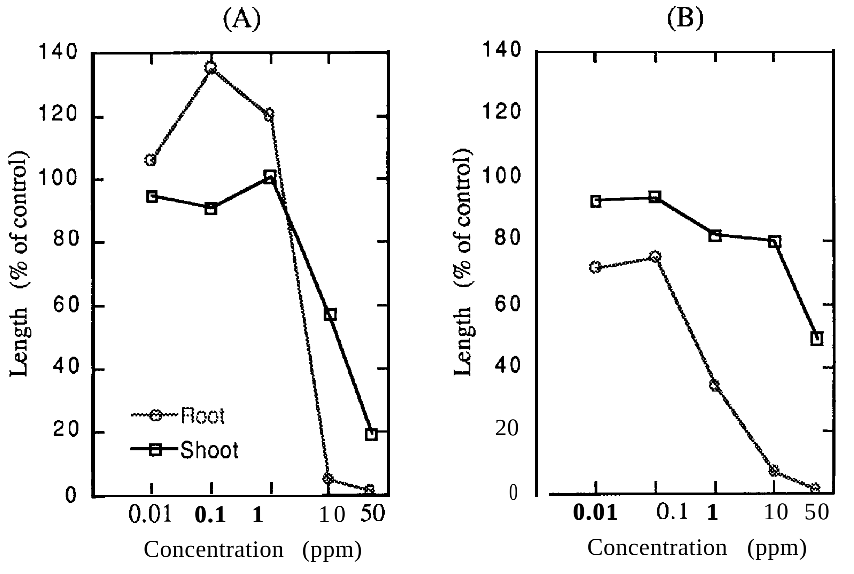


Fig. 2. Effects of 1-phenethyl-5-phenylimidazole on the growth of rice seedlings in light (A) and darkness (B).

Table 2. Effects of 1,5-disubstituted imidazoles on the growth of rice seedlings

	Activity			
conc. (ppm)	0.1		1	
Compound	2nd Leaf sheath	Root	2nd Leaf sheath	Root
3	0	1	0	1
4	0	1	0	2
5	1	2	0	4
6	0	2	0	1
7	0	1	0	2
9	0	-1	-1	-3
12	0	2	-1	0
14	0	2	0	1
15	1	2	0	-2
19	nt	nt	1	3
20	0	3	0	3
21	0	3	0	1
22	0	3	-1	0
24	0	2	-1	-2
25	0	3	-1	2

nt : not tested

concentration of 0.1–1 ppm, but did not show any clear effect on the growth of the shoot at low concentrations (Fig. 3). In the 5-phenylimidazole series, the 1-butyl (5) and the 1-phenethyl (6) analogs stimulated the root growth more than 30% of the control value at 0.1 ppm. The activity of compound 5 increased at 1 ppm, whereas that of compound 6 decreased at the same concentration. Of the compounds tested only the 1-naphthylmethyl analog 9 inhibited the growth of roots at 0.1-l ppm. The compounds having a hydroxy group on the benzene ring (**12, 14** and 15) maintained the stimulating activity at 0.1 ppm, however, those activity disappeared at 1 ppm. Introduction of a methoxy substituent into the 4-position of the phenyl group (20-25) increased the activity compared with those of the phenyl and the 4-hydroxyphenyl analogs (**3**–**15**). These compounds equally promoted the growth of roots at 0.1 ppm. However, lengthening an alkyl chain at the l-position of the imidazole ring decreased the activity at 1 ppm. The geranyl analog 24 rather showed inhibitory activity. It is worthy to note that the butyl analog 20 strongly promoted the root growth at relatively wide rage of concentrations (0.1-l ppm).

It is known that interactions between phytohormones such as indol-3-ylacetic acid and abscisic acid play a major role in the control of root growth (Pilet et *al.*, 1987). However, the precise mechanism of root growth is still uncertain. Compound 20 might offer an effective tool in studies of the mechanism of root growth and also represent a reasonable lead for the development of new plant growth regulators. More detailed investigations on the structure-activity relationships are under way.

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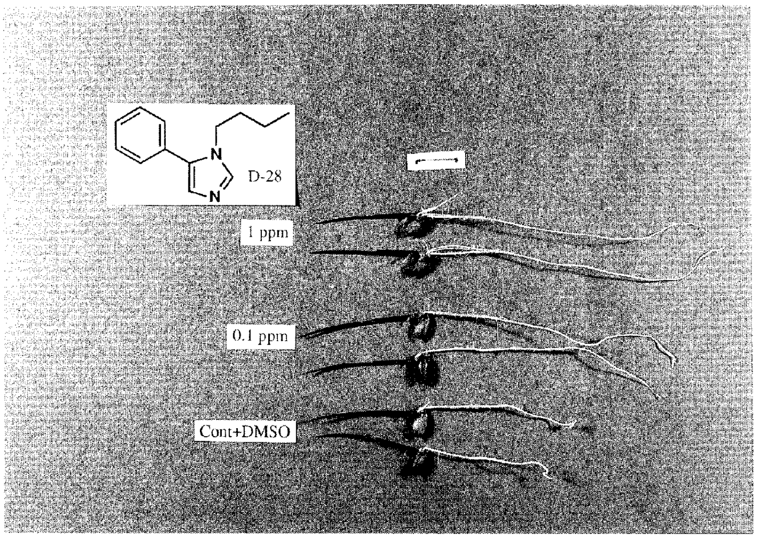

Fig. 3. Rice treated with 1-butyl-Sphenylimidazole at 1 ppm (above), 0.1 ppm (middle) and control (below).

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