



Figure 2. Tested APT inhibitors.

yl)phenylacetic acid (3-isoxazole), 2-(5'-phenylisoxazol-5'-yl)-phenylacetic acid (5-isoxazole), 2-(1',3'-dioxo-3'-phenylpropyl)-phenylacetic acid (dioxo), 2-phenyl-5*H*-pyrazolo[5,1- α]isoquinolin-5-one (isoquinoline), 1-benzylisochroman-3-one (benzyl), and 1-styrylisochroman-3-one (styryl), were synthesized as previously reported (Watanabe and Taniguchi, 1986a,b, 1994a). Phytotropins, DPX-1840, CPD, CPP, and 2-(3'-phenylisoxazol-5'-yl)benzoic acid (isoxazole(BA)) were also synthesized as previously reported (Watanabe and Taniguchi, 1986a,b) according to known methods (Brown et al., 1973; Geissler et al., 1975). NPA and TIBA were purchased from Tokyo Kasei Co., Ltd. Isopropyl *m*-*tert*-butylphenoxyacetate (M&B 25105) was supplied from Fujisawa Pharmaceutical Co., Ltd. The compounds used are listed in Figures 1 and 2.

Effect on APT. APT inhibiting activity in bean stem segments of the compound was assessed using agar cylinder blocks according to the method of Keitt and Baker (1966). The activity was shown by the negative logarithm of the molar concentration achieving 50% inhibition (pI_{50} (APT)).

Effect on Lettuce Seedlings. Inhibiting activity of hypocotyl elongation by the compound was assessed using lettuce seedling as described in the previous paper (Berrie, 1971; Watanabe and Taniguchi, 1986a). The activity was shown by the negative logarithm of the mole concentration achieving a half-maximum inhibition (pI_{50} (seed)), which was 40–60% of control as previously reported (Watanabe and Taniguchi, 1986b).

Effect on Early Growth Stage. The treatment emulsions were prepared by diluting a mixture of the compound (5%) and Tween 20 (5%) in dimethyl sulfoxide, or the compound (10%) and polyoxyethylene alkylphenyl ether (20%) in xylene (40%) and dimethylformamide (30%), with water to the required concentrations as Kamuro et al. (1985) reported. Growth regulators in emulsion were sprayed to two- to four-leaf stage plants applying 100 mL·m⁻². Growth inhibiting activity of the compound was evaluated as percent of control plant height 2 weeks after application. The activity on rice was shown by grams per hectare achieving 25% reduction of plant height (I_{25} (rice)). On soybean, the activity was evaluated by percent of control dry weight and shown by grams per hectare achieving 25% reduction (I_{25} (soy)).

Field Tests. Experiments were conducted annually from 1989 to 1992 in paddy fields of Chikugo, Japan, under two different fertilizer managements, with annual application of chemical fertilizer and without fertilizer application, where rice (June 22–October 24) and wheat (November 17–May 29) were cultivated in turn in habitual ways.

The growth regulator in the emulsion (25 and 50 ppm) was sprayed, applying 100 mL·m⁻² to rice on July 15 (2 weeks before the maximum tillering stage) and to wheat on March 7 (1 month before heading). Dry top weight, dry polished seed weight, and ear number of each duplicate plot were measured at harvest. Effects on morphology were evaluated by measuring tiller number and plant height on the maximum tillering stage (July 29), heading stage (September 5), and harvest stage (October 25).

RESULTS

Among the tested phenylacetic acids, pI_{50} (seed) and pI_{50} (APT) values of 3- and 5-isoxazoles and isoquinoline were the same as those of the benzoic acids with a 2-phenylpropyl substituent (phytotropins) (Table 1). The value of *m*-Cl isoxazole was the highest in the tested compounds (Table 1), even if those of phytotropins (Keitt and Baker, 1966; Brown et al., 1973; Katekar and Geissler, 1977, 1980) and the other APT inhibitors were included (Table 1). Although pI_{50} (APT) of 2-[(1-naphthyl)methyleneaminoxy]isovaleric acid (NAIV), morphactins, and DPX-1840 were estimated in corn coleoptile, their activities were reported to be not higher than that of NPA (Beyer, 1972; Thomson and Leopold, 1974; Gardner and Sanborn, 1989).

3- and 5-isoxazole inhibited stem elongation of two- to four-leaf stage rice in lower concentrations than TIBA and dioxo and in much lower concentrations than styryl and benzyl isochroman-3-ones and M&B 25105 (Table 2). Isoquinoline was more effective in inhibiting stem elongation of rice, tomato, and cucumber than 3- and 5-isoxazoles. It was more effective than isoxazole(BA) (phytotropin) in tomato and cucumber (Table 2). On rice stem, *p*-OMe, F, Br, and CF₃ substituted isoquinolines showed higher inhibiting activities than styryl (decreased I_{25} (rice)); ortho-substituted isoquinolines had no activity (Table 3). On soybean stem, *m,p*-DiOMe, *m*-Cl, and *m*-F substituents decreased I_{25} (soy) (Table 3). On soybean root, OMe, halogen, and bulky substituents at the meta or para position decreased I_{25} (soy) of isoquinoline, and Me, Cl, F, Br, and CF₃ substituents at the meta position were more effective than at the

Table 1. Inhibition of Auxin Polar Transport in Bean Stem Segment (pI_{50} (APT))^a and Inhibition of Hypocotyl Elongation in Lettuce Seedling (pI_{50} (Seed))^a

compd	activity		compd	activity	
	pI_{50} (APT)	pI_{50} (seed)		pI_{50} (APT)	pI_{50} (seed)
phytotropins			phenylacetic acids		
NPA	7.0 (7.3) ^b	5.6 (6.7) ^c	<i>m</i> -Cl 3-isoxazole	8.4 ^d	8.0 ^d
isoxazole(BA)	6.4 (6.3) ^b	5.5	3-isoxazole	7.2 ^d	6.6 ^d
CPD	7.6 (7.9) ^b	6.9	dioxo	5.8 ^d	4.0 ^d
CPP	7.1 (8.0) ^b	6.1	pyrazole	6.4 ^d	6.3 ^d
isoindole	(7.3) ^c		isoquinoline	6.8 ^d	6.4 ^d
DPX-1840			5-isoxazole	6.9 ^d	6.5 ^d
morphactins			others		
Me,Cl-HFC	(7.3) ^c		TIBA	5.6 (6.3) ^b	5.8
Me,diCl-HFC	(7.0) ^c		M&B 25105	4.3	
Bu HFC	(6.5) ^c		NAIV	(6.5) ^c	
Cl HFC	(6.3) ^c				
HFC	(5.3) ^c				

^a Activities were negative logarithm of molar concentration causing 50% inhibition. ^b Estimated from the data in bean stem segment (Katekar and Geissler, 1977, 1980). ^c Estimated from the data in corn coleoptile (Thomson and Leopold, 1974; Gardner and Sanborn, 1989). ^d Reported in Watanabe and Taniguchi (1994b).

Table 2. Inhibition of Stem Elongation of Two- to Four-Leaf Stage Plants^a

compd ^b	rice			kidney bean		
	1000 ^c	500 ^c	250 ^c	250 ^c	80 ^c	25 ^c
TIBA	+++	++	+	+++	+++	+++
M&B 25105	+	-	-	+	-	-
styryl	+	-	-	-	-	-
benzyl	+	+	-	+	-	-
dioxo	++	+	-	+	-	-
3-isoxazole	+++	+++	++	++	+	-
5-isoxazole	+++	+++	++	++	+	-

compd	rice			tomato			cucumber		
	300 ^c	100 ^c	30 ^c	300 ^c	100 ^c	30 ^c	30 ^c	15 ^c	7.5 ^c
5-isoxazole	++	+	-	+	-	-	+	-	-
3-isoxazole	++	++	+	++	+	-	+	+	-
<i>m</i> -Cl 3-isoxazole	+++	++	+	++	++	-	+	-	-
isoquinoline	+++	+++	+++	+++	+++	+++	+++	+++	++
isoxazole (BA) ^d	+++	+++	+++	++	++	-	++	++	+

^a The activity is shown using the following symbols: +++, over 50% inhibition; ++, 30–49% inhibition; +, 10–29% inhibition; -, not active. ^b Compounds are shown in Figure 2. ^c gha⁻¹. ^d Phytotropin.

para position (Table 3). The NO₂ substituent had no high effect on these activities (Table 3).

p-F isoxazole 25 gha⁻¹ slightly increased or did not affect seed yield or rice without a decrease in the ear number per plant, while *m*-CF₃ isoxazole decreased seed yield. Ear numbers of wheat per square meter were decreased to the same level by *p*-F and *m*-CF₃ isoxazoles, but the seed yield was increased by the former (Table 4). *p*-OMe isoxazole, *m*-Cl isoxazole, and DPX-1840 decreased the ear numbers and seed yields of rice and wheat (Table 4). The effect of *p*-F isoxazole on seed yield was inconsistent: i.e., seed yield of rice increased to 111% in 1989 but only to 102% in 1991 and decreased to 87% in 1990 (Table 4). Seed yield of wheat increased to 104% in 1991, decreased to 84% in 1992, and was not affected in 1990 (Table 4). It increased to 110% in Ibaraki but was not affected in Saitama (Table 4).

Total morphology change by *p*-F isoxazole, represented as the increase of tiller number per plant and the reduction of plant height, was much greater in the chemical fertilizer field than in the no-fertilizer field throughout the maximum tillering stage (July 29), heading stage (September 5), and harvest stage (October 25) (Table 5). The early morphological changes were greater in the semidwarf cultivars IR-36 and Suigen-258 than in native tall cultivars Oppamoti and ASD-7, except for Rantai Emas II (Table 6).

DISCUSSION

Through studies to modify naturally occurring lactones (Taniguchi et al., 1982), we have found the 1-benzyl and 1-styryl isochromanes have plant growth regulating activity due to antiauxin activity (Figure 1) (Watanabe and Taniguchi, 1994a). Introduction of a heterocyclic ring between the two aromatic rings changed their activity profile from antiauxin activity to APT inhibition (Figure 1) (Watanabe and Taniguchi, 1986a). The high APT inhibiting activity of isoxazoles and isoquinolines, without phytotoxicity, prompted us to develop a new class of highly specific APT inhibitors with high potential for regulation of major crop production.

The structural similarity and same level of APT inhibiting activities of the phenylacetic acids and phytotropins (Figure 2) suggested that they might bind to the same site of action. However, both structural requirements for higher pI_{50} (APT) were little different, e.g.: pI_{50} (APT) of dioxo was the lowest in the phenylacetic acids, while that of CPD was the highest in the phytotropins (Figure 2; Table 1) (Watanabe and Taniguchi, 1994b); pI_{50} (APT) of isoquinoline was higher than that of pyrazole (open ring derivative), while in phytotropins, pI_{50} (APT) of 2-phenyl-8H-pyrazolo[5,1-*a*]isoindol-8-one (isoindole; closed ring) was lower than that of its open ring derivative, CPP (Beyer et al., 1976)

Table 3. Effect of Substituent on Growth Inhibition by 2-Ar-5H-pyrazolo[5,1-a]isoquinolin-5-one

substituent on Ar ₂	<i>I</i> ₂₅ (rice) ^a	<i>I</i> ₂₅ (soy) ^a		<i>pI</i> ₅₀ (seed)
		stem	root	
H	19.6	≥10	16.0	6.35 ^b
<i>p</i> -Me	18.4	≥10	15.0	6.68 ^b
<i>m</i> -Me	38.1	21.7	4.8	6.54 ^b
<i>o</i> -Me	≥30	15.9	10.1	6.50 ^b
<i>p</i> -Et	nd	18.5	5.2	6.74 ^b
<i>p</i> -isoPr	41.1	5.7	0.1	6.32 ^b
α-naphthyl	33.6	8.4	2.6	6.58 ^b
<i>p</i> -OMe	0.5	5.4	5.0	6.13 ^b
<i>m</i> -OMe	nd	14.3	8.4	6.96 ^b
<i>o</i> -OMe	nd	≥10	≥10	nd
<i>m,p</i> -DiOMe	≥30	4.4	8.2	6.16 ^b
8,9-DiOMe	≥30	≥10	≥10	5.30 ^b
<i>p</i> -SMe	≥30	≥10	≥10	5.55 ^b
<i>m</i> -OPh	≥30	8.4	3.6	7.01 ^b
<i>p</i> -Cl	nd	10.4	7.9	6.40 ^b
<i>m</i> -Cl	29.7	3.0	1.3	7.55 ^b
<i>o</i> -Cl	≥30	≥10	≥10	6.35 ^b
<i>m,p</i> -DiCl	14.8	7.3	2.7	6.53 ^b
<i>o,p</i> -DiCl	≥30	5.2	0.3	6.78 ^b
<i>p</i> -F	1.3	7.0	12.3	6.02 ^b
<i>m</i> -F	4.9	3.9	3.8	6.83 ^b
<i>p</i> -Br	0.1	8.1	3.7	6.37 ^b
<i>m</i> -Br	32.2	10.0	1.3	6.83 ^b
<i>p</i> -CF ₃	0.7	6.6	4.8	6.82 ^b
<i>m</i> -CF ₃	nd	7.0	4.4	6.92 ^b
<i>p</i> -NO ₂	nd	18.7	18.8	5.74 ^b
<i>m</i> -NO ₂	nd	≥10	24.6	6.01 ^b
TIBA	≥30	≥10	13.2	5.77
isoxazole(BA) ^c	18.3	nd	nd	5.52

^a Activities are shown as g ha⁻¹, which achieved 25% reduction of plant height of rice to control (*I*₂₅(rice)) and 25% reduction of stem and root dry weight of soybean to control (*I*₂₅(soy)). ^b Activities are shown as the negative logarithm of the molar concentration achieving a half-maximum inhibition of hypocotyl elongation in seedling lettuce. Data were reported in Watanabe and Taniguchi (1986b). ^c Phytotropin.

(Figure 2). We supposed that the active conformation of the phenylacetic acids might be the plane conformation superimposable to isoquinoline, which was supported by our previous study on structure-activity relations using molecular mechanistic calculation (Watanabe and Taniguchi, 1994b), although not consistent with that on phytohormones (Beyer et al., 1976; Katekar et al., 1987a,b). Recent studies suggested multiple binding sites in NPA binding site (Brunn et al., 1992). The phenylacetic acids might bind to a different site from phytohormones and to the same site as morphactins (Thomson and Leopold, 1974) and flavonoids (Jacobs and Rubery, 1988), which are fixed to plane conformation. Binding experiments are required to confirm this explanation.

On two- to four-leaf stage plants, isoquinoline was 1 order more effective than 3- and 5-isoxazoles (Table 2) in spite of lower *pI*₅₀(APT) and *pI*₅₀(seed) (Table 1). This might be caused by a protection of the acid group, which might otherwise conjugate before reaching the receptor site. In isoquinolines, *I*₂₅(soy) of soybean stem was highly correlated with *I*₂₅(soy) of root growth ($r = 0.841$, $n = 26$, $P < 0.01$), while the latter growth was negatively correlated with *pI*₅₀(seed) ($r = -0.715$, $n = 26$, $P < 0.001$). However *I*₂₅(rice) of rice stem had no significant correlation with *I*₂₅(soy) of stem nor that of root nor *pI*₅₀(seed) ($r = 0.326$, 0.447 , -0.272 , respectively, $n = 20$). Structural requirements for the higher inhibiting activities of rice and soybean were different. The structures of the two receptor sites might be slightly different. *p*-F isoquinoline was screened from *m*-OMe, *p*-OMe, *m,p*-DiCl, *m*-F, *p*-F, *m*-Br, *m*-CF₃, and *p*-CF₃ isoquinolines

because it selectively inhibited stem elongation without affecting root growth and new leaf development and increased pod number of soybean in water culture (Watanabe, 1986). Increased seed yield of rice and wheat by the compound might be attributed to these properties.

The morphological changes of rice by *p*-F isoquinoline were attributed to the inhibition of apical dominance from significant negative correlation between the increased tiller numbers and the reduced plant heights ($r = -0.848$, $n = 6$, $P < 0.05$, Table 5; $r = 0.802$, $n = 10$, $P < 0.01$, Table 6). The compound caused morphological changes in soybean, tomato, and cucumber (Watanabe, 1986) similar to those reported on soybean (Greer and Anderson, 1965), rice (Yamada et al., 1963), and wheat (Suge and Yamada, 1964) by TIBA. Effect on seed yield seemed not to be related to the consistently appearing apical dominance inhibition (Tables 5 and 6). Seed increase by *p*-F isoquinoline might be concerned with delay of senescence as reported by other researchers (Dybing and Lay, 1981a,b; Nödden and Nödden, 1985), because effects on seed were not related to ear number (Table 4). Most field tests of the other APT inhibitors with the aim of yield increase of soybean (Misra and Sahu, 1958; Greer and Anderson, 1965; Nödden and Nödden, 1985), flax, and wheat (Dybing and Lay, 1981a,b), were also reported to produce variable yield increase. It is not known from these studies whether seed yield can be consistently increased by the more specific APT inhibitors with less phytotoxicity or if the fluctuating effect on yield is a distinctive feature of APT inhibitors.

Applied APT inhibitor was reported to induce different reactions in plant according to growth stages, i.e., inhibition of elongation (Berrie, 1971) and apical dominance (Mitchell et al., 1965), loss of tropism (Bridges and Wilkins, 1973), delay of senescence (Dybing and Lay, 1981a,b), and abscission (Morgan and Durham, 1972). Under natural conditions, gradients of auxin content between organs are believed to be achieved by APT. These gradients not only induce morphological or physiological changes in the plant in response to environmental conditions but also regulate interaction with microorganisms (Hirsch et al., 1989; Hirsch, 1992). A recent study suggested that flavonoids were endogenous APT regulators (Jacobs and Rubery, 1988). It seems an interesting suggestion that the responses induced by applied synthetic APT inhibitors might be distinctively regulated by endogenous APT inhibitors.

ABBREVIATIONS USED

3-Isoxazole, 2-(3'-phenylisoxazol-5'-yl)phenylacetic acid; 5-isoxazole, 2-(5'-phenylisoxazol-5'-yl)phenylacetic acid; dioxo, 2-(1',3'-dioxo-3'-phenylpropyl)phenylacetic acid; isoquinoline, 2-phenyl-5H-pyrazolo[5,1-a]isoquinolin-5-one; benzyl, 1-benzylisochroman-3-one; styryl, 1-styryl-isochroman-3-one; DPX-1840, 3,3a-dihydro-2-(*p*-methoxyphenyl)-8H-pyrazolo[5,1-a]isoindol-8-one; CPD, 2-(1',3'-dioxo-3'-phenylpropyl)benzoic acid; CPP, 2-(3'-phenylpyrazol-5'-yl)benzoic acid; isoxazole(BA), 2-(3'-phenylisoxazol-5'-yl)benzoic acid; NPA, *N*-(naphth-1-yl)phthalamic acid; TIBA, 2,3,5-triiodobenzoic acid; M&B 25105, *m*-*tert*-butylphenoxyacetate; isoindole, 2-phenyl-8H-pyrazolo[5,1-a]isoindol-8-one; Me,Cl-HFC, methyl 2-chloro-9-hydroxyfluorene-9-carboxylate; Me,-

Table 4. Evaluation of Selected Compounds on Yield Parameters in Rice (Var. Reihou) and Wheat (Var. Norin 61) under Field Conditions

compd ^a	rice			wheat		
	ear no. (plant ⁻¹)	total top wt ^b (gm ⁻²)	seed wt ^c (gm ⁻²)	ear no. (m ⁻²)	total top wt ^b (gm ⁻²)	seed wt ^c (gm ⁻²)
1991						
control	7.7	1846	636	367	797	293
DPX-1840 ^d	(96)	(98)	(98)	(80)	(90)	(95)
<i>m</i> -C 3-isoxazole	(97)	(98)	(96)	(81)	(95)	(98)
<i>p</i> -OMe isoquinoline	(98)	(99)	(95)	(88)	(89)	(85)
<i>m</i> -CF ₃ isoquinoline	(101)	(95)	(91)	(90)	(93)	(90)
<i>p</i> -F isoquinoline	(101)	(100)	(102)	(90)	(99)	(104)
1989						
control	5.2	1393	476			
<i>p</i> -F isoquinoline	(114)	(107)	(111)			
1990						
control	7.1	nd	720	269	822	300
<i>p</i> -F isoquinoline	(98)	nd	(87)	(101)	(103)	(100)
1992						
control				nd	1111	512
<i>p</i> -F isoquinoline				nd	(82)	(84)
1989						
Saitama control				395	1210	379
<i>p</i> -F isoquinoline				(85)	(87)	(98)
Ibaraki control				399	nd	417
<i>p</i> -F isoquinoline				(96)	nd	(110)

^a Compounds are shown in Figure 1. The compound (25 g ha⁻¹) was sprayed to rice on July 21 (2 weeks before the maximum tillering stage) and to wheat on March 7 (1 month before heading). ^b Dry weight. ^c Dry weight of polished seed. ^d Phytotropin. ^e Numbers in parentheses are percent of control.

Table 5. Effects of *p*-F Isoquinoline and Fertilizer Management on Morphology of Rice (Var. Reihou), Increase of Tiller Number, and Reduction of Plant Height

test plot ^a	July 29		September 5		October 25 tiller no. ^b (plant ⁻¹)
	tiller no. ^b (plant ⁻¹)	plant height ^b (cm)	tiller no. ^b (plant ⁻¹)	plant height ^b (cm)	
CF 50 g ha ⁻¹	(132)***	(82)***	(122)***	(94)***	(122)***
CF 25 g ha ⁻¹	(124)***	(91)***	(112)*	(99)	(115)***
CF 0	10.7	51.9	5.2	91.8	5.0
NF 25 g ha ⁻¹	(108)*	(97)	(101)	(97)**	(101)
NF 0	6.4	40.2	4.4	70.7	4.1

^a CF stands for chemical fertilizer application field; NF for no-fertilizer application field. Compound was applied by foliar spray on July 15, 1989 (25 or 50 g ha⁻¹). ^b Averages of 90 plants. Figures in parentheses indicate percent of control. *, **, and *** indicate significantly different from control (0 g ha⁻¹) at 5, 1, and 0.1% levels, respectively.

Table 6. Effect of *p*-F Isoquinoline on Tiller Number and Plant Height of Various Rice Cultivars

variety	tiller no. ^a (per pot)	plant height ^a (cm)
Japonica		
Reihou	32.1 (132)**	51.9 (82)**
Hatsushimo	36.8 (115)	72.6 (99)
Koshihikari	28.0 (124)***	77.5 (94)***
Oppamochi	24.6 (102)	88.4 (99)
Indica		
IR-36	53.5 (139)**	62.5 (93)
Suigen 258	38.4 (124)*	56.4 (103)
MR-65	34.6 (116)	61.8 (101)
Talnou-67	28.0 (113)	74.2 (96)
ASD-7	33.2 (100)	95.6 (101)
Rantai Emas II	28.3 (186)***	83.0 (84)***

^a Average of five replicates, in which three seedlings were planted in a pot. Compound (50 g ha⁻¹) was applied by foliar spray. Figures in parentheses indicate percent of control (0 ppm). *, **, and *** indicate significantly different from control at 5, 1, and 0.1% levels, respectively.

diCl-HFC, methyl 2,7-dichloro-9-hydroxyfluorene-9-carboxylate; Bu HFC, *n*-butyl 9-hydroxyfluorene-9-carboxylate; Cl HFC, 2-chloro-9-hydroxyfluorene-9-carboxylic

acid; HFC, 9-hydroxyfluorene-9-carboxylic acid; NAIIV, 2-[(1-naphthyl)methyleneaminoxy]isovaleric acid.

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