



(72) KALMAN, Miklos, HU

(72) LITERATI NAGY, Péter, HU

(71) MEDGENE LIMITED, VG

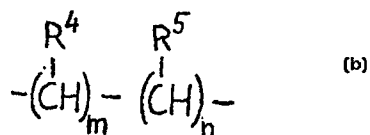
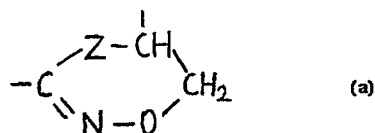
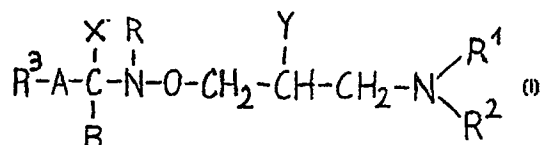
(71) LITERATI NAGY, Péter, HU

(51) Int.Cl.⁶ A01N 43/40, A01N 43/88, A01N 43/74, A01N 37/52,
A01N 43/48

(30) 1995/12/22 (P 95 03727) HU

(54) **COMPOSITION ET PROCEDE SERVANT A FAVORISER LA
PRODUCTION VEGETALE ET/OU A ELIMINER LES
INFLUENCES NEFASTES DE L'ENVIRONNEMENT DANS
LES CULTURES VEGETALES**

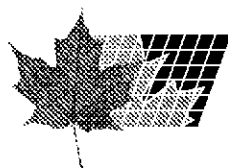
(54) **A COMPOSITION AND A METHOD FOR THE PROMOTION OF
PLANT PRODUCTION AND/OR FOR THE ELIMINATION OF
THE UNFAVOURABLE ENVIRONMENTAL INFLUENCES IN
PLANT CULTIVATION**



(57) L'invention concerne des compositions servant à favoriser la production végétale et/ou à éliminer les influences néfastes de l'environnement dans les cultures végétales, lesdites compositions comportant un dérivé de l'acide hydroxamique, représenté par la formule (I) dans laquelle R¹ représente un atome d'hydrogène ou un groupe alkyle C₁₋₅, R² est un atome d'hydrogène, un groupe alkyle C₁₋₅, un groupe cycloalkyle C₃₋₈ ou un

(57) The invention refers to compositions suitable for the promotion of plant production and for the elimination of unfavourable environmental influences, said compositions comprising a hydroxamic acid derivative of formula (I), wherein R¹ represents a hydrogen atom or a C₁₋₅alkyl group, R² stands for a hydrogen atom, a C₁₋₅alkyl group, a C₃₋₈ cycloalkyl group or a phenyl group optionally substituted by a hydroxy or a phenyl





(21) (A1) **2,240,710**
(86) 1996/12/20
(87) 1997/07/03

groupe phényle éventuellement substitué par un hydroxy ou un groupe phényle, ou R^1 et R^2 forment ensemble, avec l'atome d'azote auquel ils sont fixés, un noyau comportant de 5 à 8 éléments et contenant éventuellement un ou plusieurs atome(s) d'azote, d'oxygène ou de soufre et ledit noyau pouvant être condensé avec un autre noyau alicyclique ou hétérocyclique, de préférence un noyau benzène, naphthalène, quinoline, isoquinoline, pyridine ou pyrazoline, le ou les hétéroatome(s) pouvant en outre, si nécessaire et chimiquement possible, être présents sous la forme d'un oxyde ou d'un dioxyde, R^3 est un atome d'hydrogène, un groupe phényle, un groupe naphthyle ou un groupe pyridyle tel que lesdits groupes peuvent être substitués par un ou plusieurs halo atome(s) ou un(des) groupe(s) alcoxy C_{1-4} , Y est un atome d'hydrogène, un groupe hydroxy, un groupe alcoxy C_{1-24} éventuellement substitué par un groupe amino, un groupe polyalcényloxy C_{2-24} contenant de 1 à 6 doubles liaisons, un groupe alcanoylé C_{1-25} , un groupe alcényloxy C_{3-9} ou un groupe de formule R^7-COO- dans lequel R^7 représente un groupe polyalcényloxy C_{2-30} contenant de 1 à 6 doubles liaisons, X est un halo atome, un groupe amino, un groupe alcoxy C_{1-4} , ou X forme avec B un atome d'oxygène ou X et Y forment ensemble, avec les atomes de carbone auxquels ils sont fixés, un noyau représenté par la formule (a) dans laquelle Z est un atome d'oxygène ou un atome d'azote, R est un atome d'hydrogène ou R forme avec B une liaison chimique, A est un groupe alkylène C_{1-4} ou une liaison chimique ou un groupe représenté par la formule (b) dans laquelle R^4 est un atome d'hydrogène, un groupe alkyle C_{1-5} , un groupe cycloalkyle C_{3-8} ou un groupe phényle éventuellement substitué par un halo atome, un groupe alcoxy C_{1-4} ou un groupe alkyle C_{1-5} , R^5 est un atome d'hydrogène, un groupe alkyle C_{1-4} ou un groupe phényle, m est égal à 0, 1 ou 2 et n est égal à 0, 1 ou 2. Lesdites compositions peuvent également comporter à un sel d'addition acide physiologiquement acceptable en tant qu'ingrédient actif.

group, or R^1 and R^2 together with the nitrogen atom they are attached to form a 5 to 8 membered ring optionally containing one or more further nitrogen, oxygen or sulfur atom(s) and said ring can be condensed with another alicyclic or heterocyclic ring, preferably a benzene, naphthalene, quinoline, isoquinoline, pyridine or pyrazoline ring, furthermore, if desired and chemically possible, the nitrogen and/or sulfur heteroatom(s) are present in the form of an oxide or dioxide, R^3 means a hydrogen atom, a phenyl group, a naphthyl group or a pyridyl group wherein said groups can be substituted by one or more halo atom(s) or C_{1-4} alkoxy group(s), Y is a hydrogen atom, a hydroxy group, a C_{1-24} alkoxy group optionally substituted by an amino group, a C_{2-24} polyalkenyloxy group containing 1 to 6 double bond(s), a C_{1-25} alkanoyl group, a C_{3-9} alkenoyl group or a group of the formula R^7-COO- wherein R^7 represents a C_{2-30} polyalkenyl group containing 1 to 6 double bond(s), X stands for a halo atom, an amino group, a C_{1-4} alkoxy group, or X forms with B an oxygen atom, or X and Y together with the carbon atoms they are attached to and the $-NR-O-CII_2-$ group being between said carbon atoms form a ring of formula (a), wherein Z represents an oxygen atom or a nitrogen atom, R stands for a hydrogen atom or, R forms with B a chemical bond, A is a C_{1-4} alkylene group or a chemical bond or a group of formula (b), wherein R^4 represents a hydrogen atom, a C_{1-5} alkyl group, a C_{3-8} cycloalkyl group or a phenyl group optionally substituted by a halo atom, a C_{1-4} alkoxy group or a C_{1-5} alkyl group, R^5 stands for a hydrogen atom, a C_{1-4} alkyl group or a phenyl group, m has a value of 0, 1 or 2, n has a value of 0, 1 or 2, or a physiologically acceptable acid addition salt thereof as the active ingredient.





PCT

WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

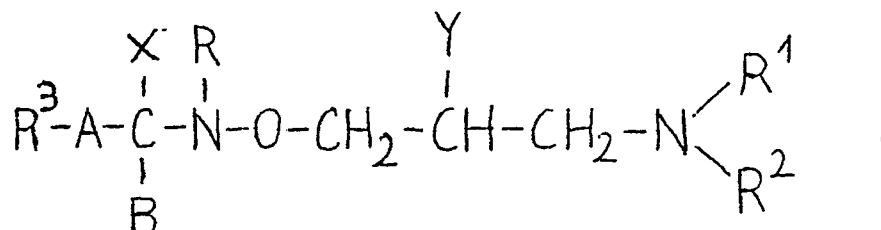
INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁶ : A01N 37/28, 37/52, 43/40, 43/88	A1	(11) International Publication Number: WO 97/23132 (43) International Publication Date: 3 July 1997 (03.07.97)
(21) International Application Number: PCT/HU96/00080 (22) International Filing Date: 20 December 1996 (20.12.96) (30) Priority Data: P 95 03727 22 December 1995 (22.12.95) HU (71) Applicant (for all designated States except US): MEDGENE LIMITED [GB/-]; Pasea Estate, Road Town, P.O. Box 3149, Tortola (VG). (71)(72) Applicant and Inventor: LITERÁTI NAGY, Péter [HU/HU]; Jablonka u. 61/b, H-1037 Budapest (HU). (72) Inventor; and (75) Inventor/Applicant (for US only): KÁLMÁN, Miklós [HU/HU]; Jakab L. u. 12/a, H-6724 Szeged (HU). (74) Agent: ADVOPATENT; Office of Patent Attorneys, P.O. Box 11, H-1251 Budapest (HU). (81) Designated States: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, ARIPO patent (KE, LS, MW, SD, SZ, UG), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG). Published <i>With international search report.</i> <i>Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</i>		
(54) Title: A COMPOSITION AND A METHOD FOR THE PROMOTION OF PLANT PRODUCTION AND/OR FOR THE ELIMINATION OF THE UNFAVOURABLE ENVIRONMENTAL INFLUENCES IN PLANT CULTIVATION		
(57) Abstract The invention refers to compositions suitable for the promotion of plant production and for the elimination of unfavourable environmental influences, said compositions comprising a hydroxamic acid derivative of formula (I), wherein R¹ represents a hydrogen atom or a C₁-alkyl group, R² stands for a hydrogen atom, a C₁-alkyl group, a C₃₋₈ cycloalkyl group or a phenyl group optionally substituted by a hydroxy or a phenyl group, or R¹ and R² together with the nitrogen atom they are attached to form a 5 to 8 membered ring optionally containing one or more further nitrogen, oxygen or sulfur atom(s) and said ring can be condensed with another alicyclic or heterocyclic ring, preferably a benzene, naphthalene, quinoline, isoquinoline, pyridine or pyrazoline ring, furthermore, if desired and chemically possible, the nitrogen and/or sulfur heteroatom(s) are present in the form of an oxide or dioxide, R³ means a hydrogen atom, a phenyl group, a naphthyl group or a pyridyl group wherein said groups can be substituted by one or more halo atom(s) or C₁-alkoxy group(s), Y is a hydrogen atom, a hydroxy group, a C₁₋₂₄alkoxy group optionally substituted by an amino group, a C₂₋₂₄polyalkenyloxy group containing 1 to 6 double bond(s), a C₁₋₂₅alkanoyl group, a C₃₋₉alkenoyl group or a group of the formula R⁷-COO- wherein R⁷ represents a C₂₋₃₀polyalkenyl group containing 1 to 6 double bond(s), X stands for a halo atom, an amino group, a C₁₋₄alkoxy group, or X forms with B an oxygen atom, or X and Y together with the carbon atoms they are attached to and the -NR-O-CH₂- group being between said carbon atoms form a ring of formula (a), wherein Z represents an oxygen atom or a nitrogen atom, R stands for a hydrogen atom or, R forms with B a chemical bond, A is a C₁₋₄alkylene group or a chemical bond or a group of formula (b), wherein R⁴ represents a hydrogen atom, a C₁-alkyl group, a C₃₋₈cycloalkyl group or a phenyl group optionally substituted by a halo atom, a C₁₋₄alkoxy group or a C₁-alkyl group, R⁵ stands for a hydrogen atom, a C₁₋₄alkyl group or a phenyl group, m has a value of 0, 1 or 2, n has a value of 0, 1 or 2, or a physiologically acceptable acid addition salt thereof as the active ingredient.		

A composition and a method for the promotion of plant production and/or for the elimination of the unfavourable environmental influences in plant cultivation

The invention refers to a composition and a method for the promotion of plant production and/or for the elimination of the unfavourable environmental influences in plant cultivation.

More specifically, the invention refers to a composition comprising a hydroximic acid derivative of the formula



wherein

R^1 represents a hydrogen atom or a C_{1-5} alkyl group,

R^2 stands for a hydrogen atom, a C_{1-5} alkyl group, a C_{3-8} cycloalkyl group or a phenyl group optionally substituted by a hydroxy or a phenyl group, or

R^1 and R^2 together with the nitrogen atom they are attached to form a 5 to 8 membered ring optionally containing one or more

-2-

further nitrogen, oxygen or sulfur atom(s) and said ring can be condensed with another alicyclic or heterocyclic ring, preferably a benzene, naphthalene, quinoline, isoquinoline, pyridine or pyrazoline ring, furthermore, if desired and chemically possible, the nitrogen and/or sulfur heteroatom(s) are present in the form of an oxide or dioxide,

R³ means a hydrogen atom, a phenyl group, a naphthyl group or a pyridyl group wherein said groups can be substituted by one or more halo atom(s) or C₁₋₄ alkoxy group(s),

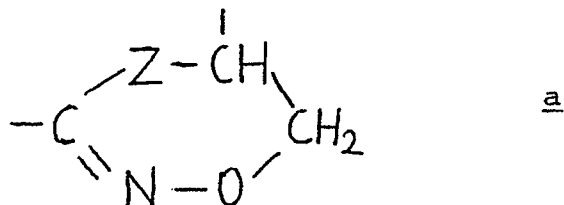
Y is a hydrogen atom, a hydroxy group, a C₁₋₂₄ alkoxy group optionally substituted by an amino group, a C₂₋₂₄ polyalkenyloxy group containing 1 to 6 double bond(s), a C₁₋₂₅ alkanoyl group, a C₃₋₉ alkenoyl group or a group of the formula R⁷-COO- wherein R⁷ represents a C₂₋₃₀ polyalkenyl group containing 1 to 6 double bond(s),

X stands for a halo atom, an amino group, a C₁₋₄ alkoxy group, or

X forms with B an oxygen atom, or

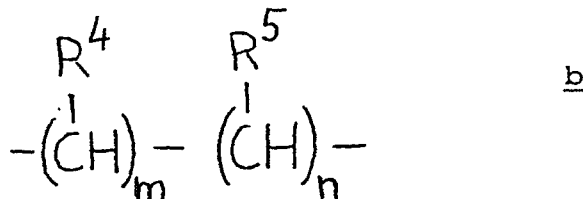
X and Y together with the carbon atoms they are attached to and the -NR-O-CH₂- group being between said carbon atoms form a ring of the formula

-3-



wherein

- Z represents an oxygen atom or a nitrogen atom,
- R stands for a hydrogen atom or
- R forms with B a chemical bond,
- A is a C₁₋₄ alkylene group or a chemical bond or a group of the formula



wherein

- R⁴ represents a hydrogen atom, a C₁₋₅ alkyl group, a C₃₋₈ cycloalkyl group or a phenyl group optionally substituted by a halo atom, a C₁₋₄ alkoxy group or a C₁₋₅ alkyl group,
- R⁵ stands for a hydrogen atom, a C₁₋₄ alkyl group or a phenyl group,
- m has a value of 0, 1 or 2,
- n has a value of 0, 1 or 2,
- or a physiologically acceptable acid addition

-4-

salt thereof as the active ingredient.

The hydroximic acid derivatives of the formula I are known. HU-P No. 177 578 and its equivalent US-P No. 4,308,399 describe hydroximic acid derivatives within the compounds of the formula I suitable for the treatment of diabetic angiopathy.

HU-P No. 207 988 and its equivalent E-P No. 417 210 also describe hydroximic acid halides within the formula I having a selective beta-blocking effect, thus, being suitable for the treatment of diabetic angiopathy.

HU-P Application No. 2385/92 published under No. T/66350 describes further hydroximic acid derivatives within the formula I. These known compounds can be used in the treatment of vascular deformations, mainly in the therapy of diabetes mellitus.

Plants have a very important role from the point of view of the life on the earth. They are nutrient source for the animal world including man, and they have a considerable part in the preparation of oxygen that is essential for the life.

For the optimal growth and production conditions of plants they have to be adaptable to the environmental conditions. If these environmental factors are suddenly changed in a drastic manner (e.g. due to a long-lasting drought, a sudden cooling down to about 0 °C or the appearance of acidic,

-5-

mutagenic or radiating agents in the environment), then the fertility and in many cases even the surviving ability of plants are significantly reduced.

The plants are especially sensitive to these sudden unfavourable changes in the germinating state since their natural defensive ability i.e. a certain buffering ability have not developed yet to a sufficient extent.

Thus, there is a need to find substances having low or high molecular weight which could enhance the natural defensive mechanisms of plants to increase the survival chances thereof in case of extreme environmental changes.

Presumably, such substances should have general properties that promote the maintenance or regeneration of the biological integrity of plant cell membranes. Furthermore, such substances should be able to induce the defensive mechanisms of plant cells, thus, avoiding the irreversible damage of the chromosome set or the mitochondrial genom of the cell.

Owing to substances having the above properties, plants could probably tolerate the detrimental effects of chemical or mutagenic agents that appear in the environment.

If, by means of such substances, plants are able to tolerate the low water

-6-

concentration of the cells for a longer time, then also lands having a restricted water supply could be cultivated.

If the germinating seeds could be made resistant to low temperature or they could tolerate cooling down to a temperature below freezing point for a limited time, then certain domesticated plants could be sowed sooner, on the one part, and the crop land thereof could be shifted to northern areas, on the other. In both cases, a considerable economical benefit can be expected.

It was found that the above need is fulfilled by the composition of the invention comprising a hydroximic acid derivative of the formula I or a physiologically acceptable acid addition salt thereof as the active ingredient.

Thus, the composition of the invention comprises a hydroximic acid derivative of the formula I or a physiologically acceptable acid addition salt thereof as the active ingredient in admixture with one or more conventional solid or liquid carrier(s) of compositions used in plant protection.

In the specification and Claims, a C₁₋₅ alkyl group is, for example, a methyl, ethyl, n-propyl, isopropyl, n-butyl or n-pentyl group, preferably a methyl or an ethyl group.

A C₃₋₈ cycloalkyl group is, for example, a cyclopropyl, cyclopentyl, cyclohexyl, cyclo-

-7-

heptyl or cyclooctyl group, preferably a cyclopentyl or a cyclohexyl group.

A 5 to 8 membered ring containing one or more heteroatom(s) can be, for example, a pyrrole, pyrazole, imidazole, oxazole, thiazole, pyridine, pyridazine, pyrimidine, piperazine, morpholine, indole, quinoline etc. ring.

A C₁₋₂₄ alkoxy group is, for example, a methoxy, ethoxy, n-propoxy, tert.-butoxy, n-pentoxy, decyloxy, dodecyloxy, octadecyloxy etc. group.

A C₁₋₂₅ alkanoyl group is, for example, a formyl, acetyl, propionyl, butiryl, caproyl, palmityl, stearyl etc. group.

A C₃₋₉ alkenoyl group is, for example, an acryloyl, pentenoyl, hexenoyl, heptenoyl, octenoyl etc. group.

A C₁₋₄ alkylene group is, for example, a methylene, ethylene, propylene or butylene group.

A halo atom is, for example, a fluoro, chloro, bromo or iodo atom, preferably a chloro or a bromo atom.

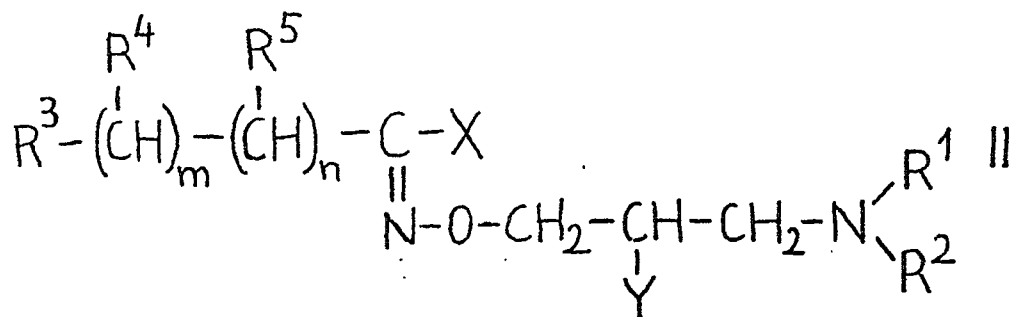
If Y stands for a group of the formula R⁷-COO-, it can represent, for example, a linolenoyl, linoloyl, docosahexanoyl, eicospentanoyl, arachidonoyl etc. group.

The physiologically acceptable acid addition salts of the compounds of the formula I are the acid addition salts formed with physiologically acceptable inorganic acids

-8-

such as hydrochloric acid, sulfuric acid etc. or with physiologically acceptable organic acids such as acetic acid, fumaric acid, lactic acid etc.

A preferred subgroup of the compounds of the formula I consists of the hydroximic acid derivatives of the formula

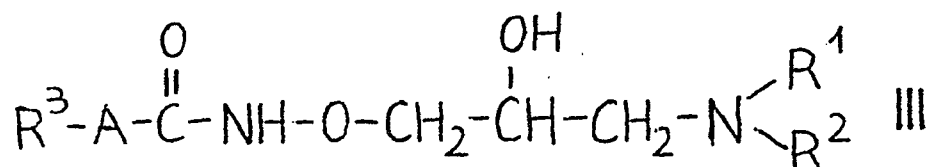


wherein R^1 , R^2 , R^3 , R^4 , R^5 , m and n are as stated in relation to formula I, X represents a halo atom or an amino group, Y means a hydroxy group.

Especially preferred compounds of the formula II are those wherein R^1 and R^2 together with the nitrogen atom they are attached to form a piperidino group, R^3 stands for a pyridyl group, m and n have a value of 0, X is as defined above. Of these compounds, preferred species are as follows:
 N-/2-hydroxy-3-(piperidinyl)propoxy/-3-
 -pyridinecarboximidoyl chloride and
 N-/2-hydroxy-3-(1-piperidinyl)propoxy/-3-
 -pyridinecarboximide amide.

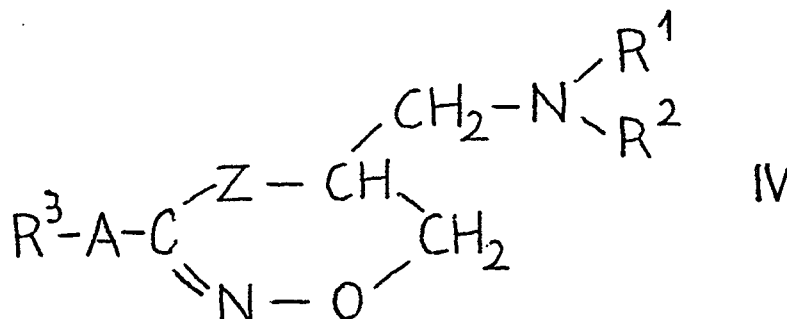
-9-

A further preferred subgroup of the hydroximic acid derivatives of the formula I consists of the compounds of the formula



wherein R^1 , R^2 , R^3 and A are as stated in relation to formula I.

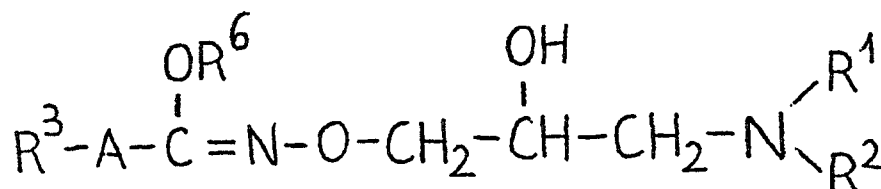
Another preferred subgroup of the hydroximic acid derivatives of the formula I consists of the compounds of the formula



wherein R^1 , R^2 , R^3 and A are as stated in relation to formula I, Z represents an oxygen or a nitrogen atom.

A still further preferred subgroup of the hydroximic acid derivatives of the formula I consists of the compounds of the formula

-10-



wherein R^1 , R^2 , R^3 and A are as stated in relation to formula I, R^6 stands for a C_{1-4} alkyl group.

The compounds of the formula I can be prepared by the processes known from HU-P Nos. 177 578 and 207 988 as well as from HU-P Application published under No. T/66350.

The composition of the invention contains 0.01 to 95 % by mass, preferably 0.1 to 20 % by mass of a hydroximic acid derivative of the formula I or a physiologically acceptable acid addition salt thereof as the active ingredient in admixture with one or more conventional solid or liquid carrier(s) of compositions used in plant protection.

The composition of the invention can be solid or liquid, thus a powder mixture, solution, suspension, emulsion etc. Essentially, any type of the compositions employed in plant protection may contain the active ingredient of the formula I.

Correspondingly, the carrier can be a solvent such as water, ethylene glycol,

-11-

propylene glycol, glycerol, poly(ethylene glycol), vegetable oil, mineral oil etc.; a surface active agent such as an ionic or nonionic emulgator; a conventional agent used in cultivation for amelioration work such as powdered limestone, powdered dolomite, perlite grist, fertilizer, mineral salt, microelement, plant protective agent such as fungicide etc.

Suitably, the composition of the invention is diluted with water before use, and, in general, the solution, suspension or emulsion containing 0.0001 to 1.0 % by mass of the compound of the formula I is employed for the treatment of plants. In the treatment, the plant to be cultivated or a seed or seedling or leaf or root thereof is contacted with the compound of the formula I or a physiologically acceptable acid addition salt thereof and a solution, suspension or emulsion containing said compound, respectively.

For example, the seed of the plant to be cultivated can be treated with a seed-dressing composition comprising a compound of the formula I, or a seedling of the plant can be sprayed with a solution, suspension or emulsion comprising a compound of the formula I.

The plant cultivated or the leaves thereof can be contacted through spraying with a solution, suspension or emulsion of

-12-

a compound of the formula I, or the soil around the roots can be sprinkled with said solution, suspension or emulsion.

A further possibility of treatment consists of adding a concentrated or diluted form of the composition of the invention to the spray used during the conventional plant protection work to obtain a final spray containing the compound of the formula I in the required concentration. In this way, the treatment of the invention is performed together with the conventional plant protection treatment.

Thus, a further embodiment of the invention consists of a method for the promotion of plant production and/or for the elimination of the unfavourable environmental influences in plant cultivation. According to the method of the invention, the plant to be cultivated or a seed or seedling or leaf or root thereof is treated with an effective non-toxic amount of a hydroximic acid derivative of the formula I or a physiologically acceptable acid addition salt thereof.

Preferably, the plant to be cultivated or a seed or seedling or leaf or root thereof is treated with a composition comprising 0.0001 to 1.0 % by mass of a compound of the formula I or a physiologically acceptable acid addition salt thereof in admixture with one or more conventional solid or liquid

-13-

carrier(s) of compositions used in plant protection.

Under the term "unfavourable environmental influences" for example nutrient deficiency, stress, the presence of heavy metal salts in the soil, and/or too cold or too warm environment etc. are meant. If seeds treated with a compound of the formula I are used for the cultivation or, during the cultivation, the plants are treated once or several times with a solution, suspension or emulsion of a compound of the formula I, the growth of the plants is significantly accelerated and the plants resist to the unfavourable environmental influences.

The composition and method of the invention can be preferably used in the cultivation of plants such as maize, bean, muscat melon, sunflower, tomato, capsicum, white mustard, poppy, amaranth, pigeon-berry, apricot, peach etc.

The effect of the compounds of the formula I on the plant cultivation was studied as given below:

In the experiments, the following active ingredients were used:

compound "A":

N-/2-hydroxy-3-(piperidinyl)propoxy/-3-pyridinecarboximidoyl chloride malate; and

compound "B":

N-/2-hydroxy-3-(1-piperidinyl)propoxy/-3-pyridinecarboximide amide dihydrochloride.

-14-

1. Study of frost resistance on maize

For the investigation of the frost resistance, the surface of the maize seeds was treated with the aqueous solutions of the active ingredients. The concentration of the solutions used were: 1 g/l; 0.1 g/l; 0.01 g/l; and 0.001 g/l. After the treatment, the seeds were placed onto a filter paper and let to germinate by adding the required amount of water at a uniform ratio. Then, the seeds, germinated or not, were implanted into normal mould placed in plastic flacons. 20 ml of tap water were added to each flacon day by day. 14 to 15 days after the treatment of the seeds, the plastic flacons were placed into a freezer (Zanussi, 50 litres) and left there for the indicated time at -17°C . Then the flacons were removed, the freezer was left to cool down to -17°C , and further plastic flacons were placed into it taking care that always the same mass of flacons should be placed in.

The number of experiments that could be performed was highly restricted by the fact that the natural yearly biorythm of the plants must be followed. In case of a deviation from the biorythm exceeding a month, the results obtained cannot be compared with plants grown on free land.

The germination ratio of maize seeds was equivalent to nearly 100 %, thus, it is not indicated in detail.

-15-

1.1 Frost treatment in function of time

To study the effect of compound "A", always 50 pieces of maize seeds were treated with a solution thereof having the same concentration. Then, the seeds were divided into groups consisting of 10 to 11 pieces. One group of the germinated and implanted seeds were subjected to frost treatment for 10 minutes, another group of the germinated and implanted seeds were subjected to frost treatment for 15 minutes and a further group of the germinated and implanted seeds were subjected to frost treatment for 20 minutes. The ratio (in percentage) of the surviving plants is given in Table I in case of the treatment with a 0.01 g/l solution of compound "A". In the control group, the maize seeds were treated with water.

Table I

Treatment at -17°C for 10 minutes

Seed group	Number of treated seeds	Number of damaged seeds	Survival in %
control	11	9	18.18
test	10	4	60.00

-16-

Treatment at -17°C for 15 minutes

Seed group	Number of treated seeds	Number of damaged seeds	Survival in %
control	10	7	30.00
test	11	1	90.91

Treatment at -17°C for 20 minutes

Seed group	Number of treated seeds	Number of damaged seeds	Survival in %
control	10	8	20.00
test	10	1	90.00

From Table I it can be seen that compound "A" can protect the plant at a concentration of 0.01 g/l from freezing even in a frost treatment lasting for 20 minutes. The effective dose for a seed amounts to 0.182 mcg.

1.2 Survival of maize after a 10 minutes' frost treatment

The maize plants having 3 to 4 leaves grown from the seeds treated with the aqueous

-17-

solutions of compound "A" or "B" were subjected to the frost treatment at -17°C for 10 minutes. The study simulated the physiological state when maize plants were endangered by early frosts in April. The results of the survival tests are summarized in Table II.

Table II

Conc. of compound "A" in g/l	Number of plants			Survival in %
	unharmed	damaged	total	
1.0	24	5	29	82.70
0.1	22	7	29	75.80
0.01	20	7	27	74.10
0.001	22	5	27	81.50

Conc. of compound "B" in g/l	Number of plants			Survival in %
	unharmed	damaged	total	
1.0	21	8	29	72.40
0.1	23	4	27	85.20
0.01	19	9	28	67.80

From Table II it can be seen that an excellent

-18-

frost resistance is obtained in case of a treatment with compound "A" even at a solution concentration of 0.001 g/l. In case of compound "B", the most effective concentration is equivalent to 0.1 g/l.

2. Study of the promotion of plant growth

The maize plants obtained from the seeds treated with aqueous solutions of compound "A" or "B" of different concentrations were grown and the height of each plant as well as the average height was determined on day 11 and 14, respectively. The control group was treated with water. The results obtained are shown in Tables III and IV, respectively.

Table III

Height of maize treated with compound "A"
after 11 days

-19-

Height (in cm) of plants treated with compound "A" in 1.0 0.1 0.01 0.001 g/l solution				Control
26	62	56	140	1
64	23	30	119	3
46	73	50	105	2
109	22	54	76	1
62	64	22	76	3
50	60	40	80	4
40	61	36	107	2
79	82	10	33	1
61	31	22	114	1
58	34	77	166	3
66	41	40	30	3
58	88	56	53	1
52	67	88	39	3
42	67	66	42	2
75	54	40	15	1
48	67	27	42	1
42	29	33	9	1
33	33	43	76	3
69	42	18	36	1
67	40	58	17	1
56	58	54	72	2
54	28	52	43	2
65	12	-	47	1
30	63	-	67	2
33	57	-	82	2

-20-

Table III continued

	Height (in cm) of plants treated with compound "A" in				Control
	1.0	0.1	0.01	0.001	
	g/l solution				
	10	50	-	16	1
	-	-	-	11	2
	-	-	-	-	1
	-	-	-	-	1
Number of plants	26	26	22	27	29
Average height	53.7	50.3	44.2	63.4	1.8

-21-

Table III continued
 Height of maize treated with compound "B"
 after 11 days

Height (in cm) of plants treated with compound "B" in 1.0 0.1 0.01 0.001 g/l solution				Control
68	10	32	15	1
23	10	48	30	3
37	66	30	10	2
27	70	22	48	1
43	36	22	50	3
59	42	24	72	4
25	29	5	-	2
47	42	53	-	1
15	27	25	-	1
8	14	43	-	3
6	32	45	-	3
64	32	12	-	1
62	32	23	-	3
66	32	20	-	2
70	32	17	-	1
40	25	28	-	1
38	29	12	-	1
22	12	-	-	3
22	12	-	-	1
13	10	-	-	1
15	-	-	-	2

-22-

Table III continued

	Height (in cm) of plants treated with compound "B" in				Control
	1.0	0.1	0.01	0.001	
	g/l solution				
	8	-	-	-	2
	-	-	-	-	1
	-	-	-	-	2
	-	-	-	-	2
	-	-	-	-	1
	-	-	-	-	2
	-	-	-	-	1
	-	-	-	-	1
Number of plants	22	20	17	6	29
Average height	35.4	29.7	27.1	37.5	1.8

-23-

Table IV

Height of maize treated with compound "A"
after 14 days

Height (in cm) of plants treated with compound "A" in 1.0 0.1 0.01 0.001 g/l solution				Control
147	110	156	140	45
172	130	72	119	12
190	28	85	105	18
75	78	155	76	22
109	109	153	76	37
77	101	18	80	16
47	63	28	107	29
108	146	64	33	24
84	152	90	114	35
74	156	93	166	16
109	54	69	30	49
142	159	34	53	18
8	175	50	39	23
6	97	83	42	36
18	111	106	15	25
160	145	85	42	14
221	163	135	9	38
97	70	141	76	43
129	146	111	36	11
75	38	176	17	39

-24-

Table IV continued

Height (in cm) of plants treated with compound "A" in 1.0 0.1 0.01 0.001 g/l solution					Control
125	108	173	72	28	
102	24	120	43	12	
187	139	168	47	44	
141	168	101	67	53	
155	153	43	82	17	
150	135	98	16	10	
146	204	70	11	39	
110	112	-	-	41	
75	124	-	-	32	
Number of plants	29	29	27	27	29
Average height	111.7	117.2	99.1	63.4	28.5

-25-

Table IV continued
Height of maize treated with compound "B"
after 14 days

Height (in cm) of plants treated with compound "B" in 1.0 0.1 0.01 0.001 g/l solution				Control
48	15	78	35	45
95	181	54	35	12
110	111	51	20	18
103	60	33	77	22
103	79	32	10	37
82	132	81	50	16
48	73	100	50	29
157	30	77	21	24
136	57	72	25	35
46	74	117	119	16
54	65	30	132	49
167	20	30	153	18
58	93	27	46	23
82	82	8	53	36
112	89	45	84	25
158	71	79	87	14
161	87	80	-	38
130	84	109	-	43
17	108	11	-	11
30	53	63	-	39
19	107	51	-	28

-26-

Table IV continued

Height (in cm) of plants treated with compound "B" in 1.0 0.1 0.01 0.001 g/l solution					Control
43	15	101	-		12
93	27	129	-		44
151	74	93	-		53
104	60	50	-		17
96	58	76	-		10
42	-	70	-		39
24	-	99	-		41
48	-	-	-		32
Number of					
plants	29	27	28	16	29
Average					
height	86.8	73.3	65.9	62.3	28.5

From Tables III and IV it can be seen that the method of the invention exerts a positive effect on the growing of the plants. In case of the control groups, the average height is very low on day 11, and even on day 14, the control plants are considerably less developed than the plants treated according to the invention.

-27-

It is to be mentioned that in an experiment maize plants grown from untreated seeds were sprayed with a solution of compound "A" of optimum concentration in the 3 weeks' state, and on the next day, the plants were subjected to a frost treatment. In some hours, the 15 plants examined were destroyed.

3. Heat treatments using microwave

Extreme temperature fluctuations can be suitably modelled by means of microwave treatments since in the organisms the water molecules become excited, consequently the energy of the radiation exerts an influence on all cells of the organism treated. In the second stage, the excited water molecules transfer the energy to the dissolved protein molecules. Due to the energy uptake, the conformation of the proteins is changed, and the proteins become inactivated proportionately to the dosage used. Thus, mainly the controlling proteins are damaged, said proteins being present in the cells at the lowest concentration.

It was presumed that in germinating seeds the active protein repair system is responsible for the survival in case of a given microwave dosage. Namely, in a separate study it was observed that the seeds treated with microwave "forgot" the lower dosages if the seeds were rested for some days after the irradiation, and only later germinated and sowed. Thus, if the compositions of the

-28-

invention are efficient, they have to modify the survival of the germinating seeds in case of given microwave dosages.

In the test, sunflower seeds were soaked in aqueous solutions of compound "B" of different concentrations for 1 minute. Directly after soaking, the seeds were treated with microwave of 360 W for 10 to 60 seconds. The results obtained with the solution of 1 g/l concentration are shown in Table V.

Table V

Duration of treatment in s.	Number of germinating seeds after the treatment with water 1 g/l of "B"		Number of seeds tested
10	118	110	120
20	98	101	120
30	113	107	120
40	80	112	120
50	26	95	120
60	18	88	120

The data of Table V indicate that compound "B" could give a protective effect of about 73 % for the sunflower seeds against a rather strong heat treatment lasting for 1 minute.

The above experiments prove that the

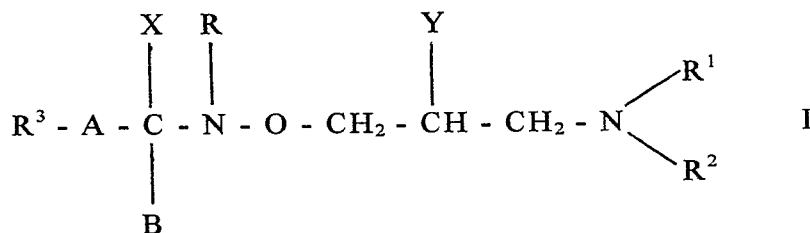
-29-

composition of the invention is in deed
suitable for the promotion of plant production
and for the elimination of the unfavourable
environmental influences in plant cultivation.

- 30 -

Claims

1. Use of a composition for the protection of plant cells against the detrimental effects of the environment comprising a hydroximic acid derivative of the formula



wherein

R^1 represents a hydrogen atom or a C_{1-5} alkyl group,

R^2 stands for a hydrogen atom, a C_{1-5} alkyl group, a C_{3-8} cycloalkyl group or a phenyl group optionally substituted by a hydroxy or a phenyl group, or

R^1 and R^2 together with the nitrogen atom they are attached to form a 5 to 8 membered ring optionally containing one or more further nitrogen, oxygen or sulfur atom(s) and said ring can be condensed with another alicyclic or heterocyclic ring, preferably a benzene, naphthalene, quinoline, isoquinoline, pyridine or pyrazoline ring, furthermore, if desired and chemically possible, the nitrogen and/or sulfur heteroatom(s) are present in the form of an oxide or

AMENDED SHEET

- 31 -

dioxide,

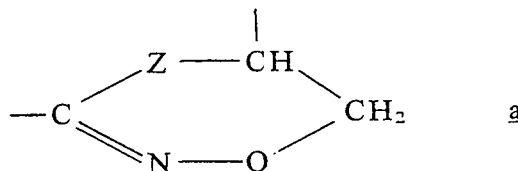
R^3 means a hydrogen atom, a phenyl group, a naphthyl group or a pyridyl group wherein said groups can be substituted by one or more halo atom(s) or C_{1-4} alkoxy group(s),

Y means a hydrogen atom, a hydroxy group, a C_{1-24} alkoxy group optionally substituted by an amino group, a C_{2-24} polyalkenyloxy group containing 1 to 6 double bond(s), a C_{1-25} alkanoyl group, a C_{3-9} alkenoyl group or a group of the formula R^7-COO- wherein R^7 represents a C_{2-30} polyalkenyl group containing 1 to 6 double bond(s),

X stands for a halo atom, an amino group, a C_{1-4} alkoxy group, or

X forms with B an oxygen atom, or

X and Y together with the carbon atoms they are attached to and the $-NR-O-CH_2-$ group being between said carbon atoms form a ring of the formula

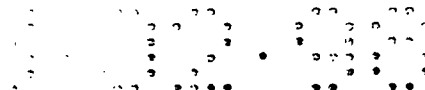


wherein

Z represents an oxygen atom or a nitrogen atom,

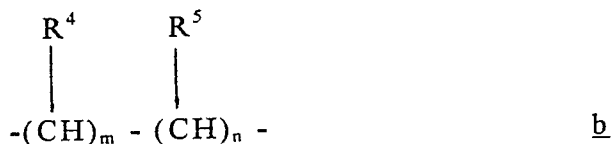
R stands for a hydrogen atom or

R forms with B a chemical bond,



- 32 -

A is a C₁₋₄ alkylene group or a chemical bond or a group of the formula



wherein

R⁴ represents a hydrogen atom, a C₁₋₅ alkyl group, a C₃₋₈ cycloalkyl group or a phenyl group optionally substituted by a halo atom, a C₁₋₄ alkoxy group or a C₁₋₅ alkyl group,

R⁵ stands for a hydrogen atom, a C₁₋₄ alkyl group or a phenyl group,

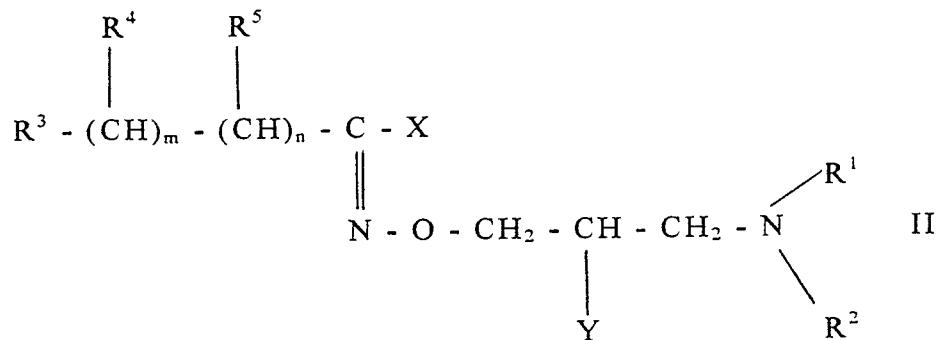
m has a value of 0, 1 or 2,

n has a value of 0, 1 or 2,

or an acid addition salt thereof in admixture with one or more conventional solid or liquid carrier(s) of compositions used in plant protection.

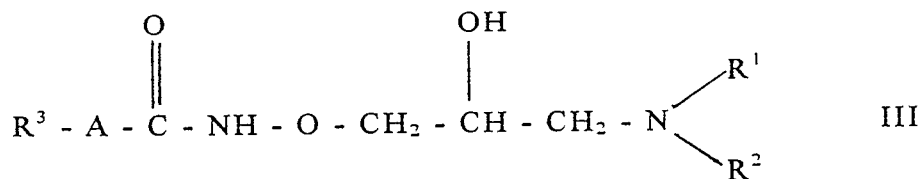
2. A use according to Claim 1 comprising a compound of the formula

- 33 -



wherein R^1 , R^2 , R^3 , R^4 , R^5 , m and n are as stated in Claim 1, X represents a halo atom or an amino group, Y stands for a hydroxy group, or an acid addition salt thereof.

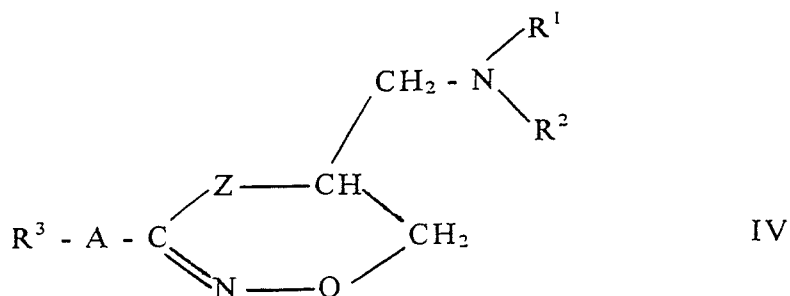
3. A use according to Claim 1 comprising a compound of the formula



wherein R^1 , R^2 , R^3 and A are as defined in Claim 1, or an acid addition salt thereof.

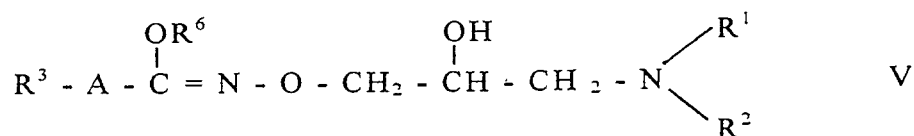
4. A use according to Claim 1 comprising a compound of the formula

- 34 -



wherein R¹, R², R³ and A are as stated in Claim 1, Z represents an oxygen or a nitrogen atom, or an acid addition salt thereof.

5. A use according to Claim 1 comprising a compound of the formula



wherein R¹, R², R³ and A are as defined in Claim 1, R⁶ represents a C₁₋₄ alkyl group, or an acid addition salt thereof.

6. A use according to Claim 1 or 2 comprising a compound of the formula II, wherein R¹ and R² together with the nitrogen atom they are attached to form a piperidino group, m and n have the value of 0, X and Y

11-14-50 SLEPT

- 35 -

are as stated in Claim 2, or an acid addition salt thereof.

7. A use according to Claim 1, 2 or 6 comprising N-/2-hydroxy-3-(piperidinyl)propoxy/-3-pyridine-carboximidoyl chloride or an acid addition salt thereof.

8. A use according to Claim 1, 2 or 6 comprising N-/2-hydroxy-3-(1-piperidinyl)propoxy/-3-pyridine-carboximide amide or an acid addition salt thereof.

9. A use according to Claims 1 to 8 comprising 0.01 to 95 % by mass of the hydroximic acid derivative of Claim 1.

10. A use according to Claims 1 to 9 comprising the protection of plant cells during the growth period or in germinating state against low water concentration for a longer time or against low temperature below freezing point for a restricted time or providing resistance against acidic, mutagenic or radiating agents.



- 36 -

11. A method for the promotion of plant production and/or for the elimination of the unfavourable environmental influences in plant cultivation in which the plant to be cultivated or a seed or seedling or leaf or root thereof is treated with an effective non-toxic amount of a hydroximic acid derivative of the formula I, wherein R, R¹, R², R³, X, Y and B are as defined in Claim 1, or an acid addition salt thereof.

12. A method of Claim 11 in which the plant to be cultivated or a seed or seedling or leaf or root thereof is treated with a composition comprising 0.0001 to 1.0 % by mass of a hydroximic acid derivative of the formula I, wherein R, R¹, R², R³, X, Y and B are as defined in Claim 1, or an acid addition salt thereof as the active ingredient in admixture with one or more conventional solid or liquid carrier(s) of compositions used in plant protection.

13. A method of Claim 11 or 12 in which the hydroximic acid derivative is N-/2-hydroxy-3-(piperidinyloxy)/-3-pyridinecarboximidoyl chloride or an acid addition salt thereof.

14. A method of Claim 11 or 12 in which the hydroximic acid derivative is N-/2-hydroxy-3-(1-piperidinyloxy)/-3-pyridinecarboximideamide or an acid addition salt thereof.

