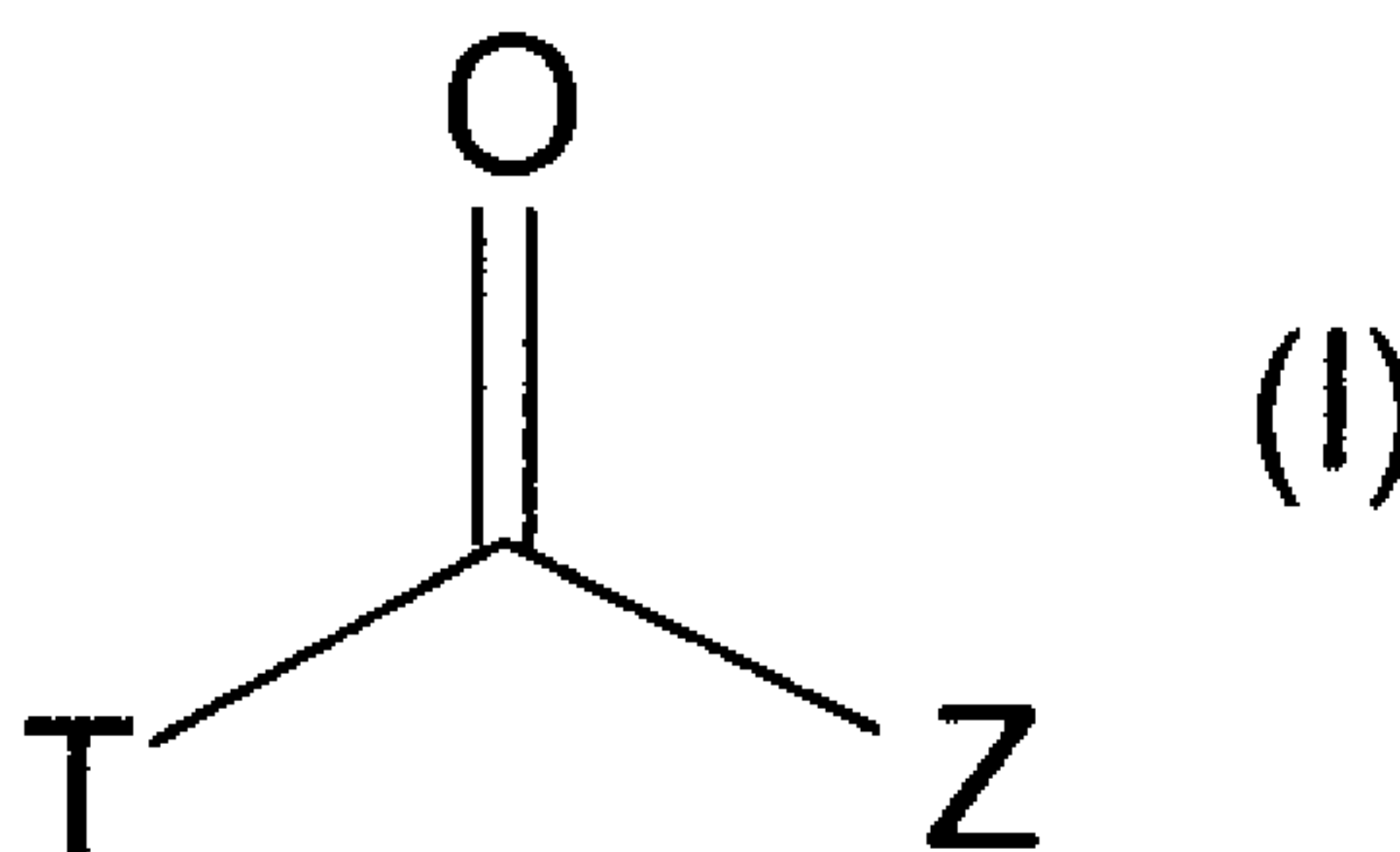




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(54) **Titre : COMPOSITION HERBICIDE**
(54) **Title: HERBICIDAL COMPOSITION**



(57) **Abstré/Abstract:**

A herbicidal composition which can be improved in the effectiveness of a herbicidal active ingredient and can be applied in a smaller amount in order to reduce environmental burden on an area where a chemical is to be applied or on neighboring areas. Also provided is a method of applying the composition. The herbicidal composition contains (1) a compound represented by the formula (1): (1) (wherein T and Z have the same meanings as defined in the description) or a salt thereof and (2) a polyoxyalkylene alkyl ether phosphate or a salt thereof. The method comprises using the herbicidal composition to control an undesirable plant or inhibit the growth thereof.

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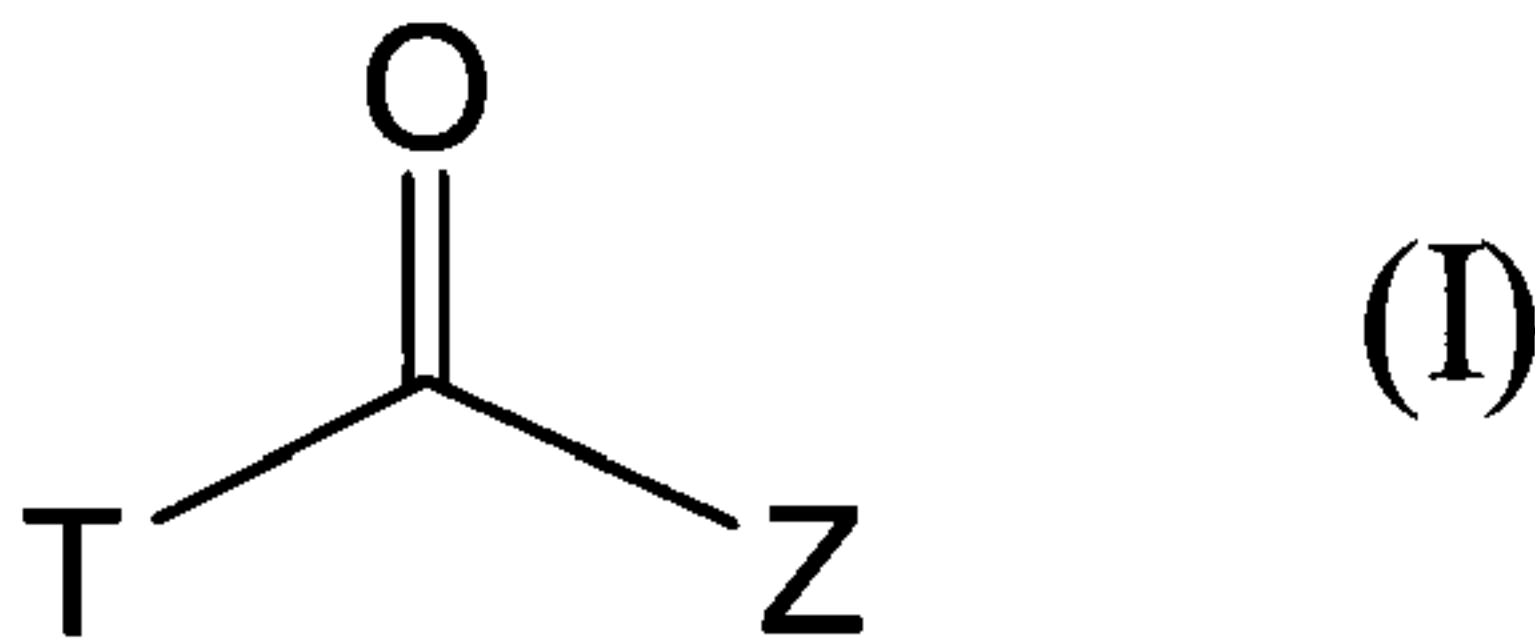
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(54) Title: HERBICIDAL COMPOSITION

(54) 発明の名称: 除草性組成物



(57) Abstract: A herbicidal composition which can be improved in the effectiveness of a herbicidal active ingredient and can be applied in a smaller amount in order to reduce environmental burden on an area where a chemical is to be applied or on neighboring areas. Also provided is a method of applying the composition. The herbicidal composition contains (1) a compound represented by the formula (I): (I) (wherein T and Z have the same meanings as defined in the description) or a salt thereof and (2) a polyoxyalkylene alkyl ether phosphate or a salt thereof. The method comprises using the herbicidal composition to control an undesirable plant or inhibit the growth thereof.

(57) 要約: 薬剤の施用地域又はその周辺の環境負荷を、これまで以上に軽減するために、除草有効成分の効力を向上させ、その施用量を削減できる除草組成物及びその施用方法を提供する。(1) 式 (I): (式中、T 及び Z は明細書で記載した意味を有する) で表される化合物又はその塩及び (2) ポリオキシアルキレンアルキルエーテルリン酸エステル又はその塩を含有する除草組成物。該除草組成物を用い、望ましくない植物を防除又はその生育を抑制する方法。

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DESCRIPTION

HERBICIDAL COMPOSITION

5 TECHNICAL FIELD

The present invention relates to a herbicidal composition which improves the herbicidal effect of a compound represented by the following formula (I) or its salt by use of a polyoxyalkylene alkyl ether phosphate or its salt.

10 BACKGROUND ART

Heretofore, in cultivation of crop plants in cropland, it has been desired to control weeds which inhibit the growth or the harvest of crop plants. Further, in non-cropland also, it is beneficial for utilization of the non-cropland to effectively control weeds. Thus, control of weeds is necessary in each of cropland and non-cropland, and various
15 herbicides have been used. However, in recent years, there is a movement to reduce the dosage of a herbicidally active ingredient as far as possible, so as to reduce the environment load at a site where the herbicide is applied or the periphery thereof. For example, it is known to blend a nonionic surfactant to a spray solution thereby to improve the herbicidal effect and to reduce the dosage of the herbicide. As a general
20 purpose product, an alkyl aryl polyglycol ether type surfactant (tradename: CITOWETT, manufactured by BASF France) or a silicon type surfactant (tradename: SILWETT L-77, polyalkylene oxide modified heptamethyl-trisiloxane, manufactured by Helena Chemical Company) may, for example, be mentioned.

The compound represented by the following formula (I) or its salt is disclosed in
25 Patent Documents 1 to 4, but it is not known that its herbicidal effect is remarkably improved by a polyoxyalkylene alkyl ether phosphate or its salt.

Patent Document 5 discloses a herbicidal mixture comprising a 3-hetero ring-substituted benzoyl derivative or its salt, and an adjuvant containing a C₁₋₅ alkyl ester of a C₅₋₂₂ alkanolic acid, a C₁₀₋₂₀ carboxylic acid, a partial phosphate or partial sulfate of a
30 monohydroxy-functional polyalkyl ether and, as the case requires, an alkylpolyoxyalkylene polyether.

Patent Document 1: WO2007/069771

Patent Document 2: U.S. Patent No. 6,376,429

Patent Document 3: WO2008/065907

35 Patent Document 4: WO2001/094339

Patent Document 5: WO2000/53014

DISCLOSURE OF THE INVENTION

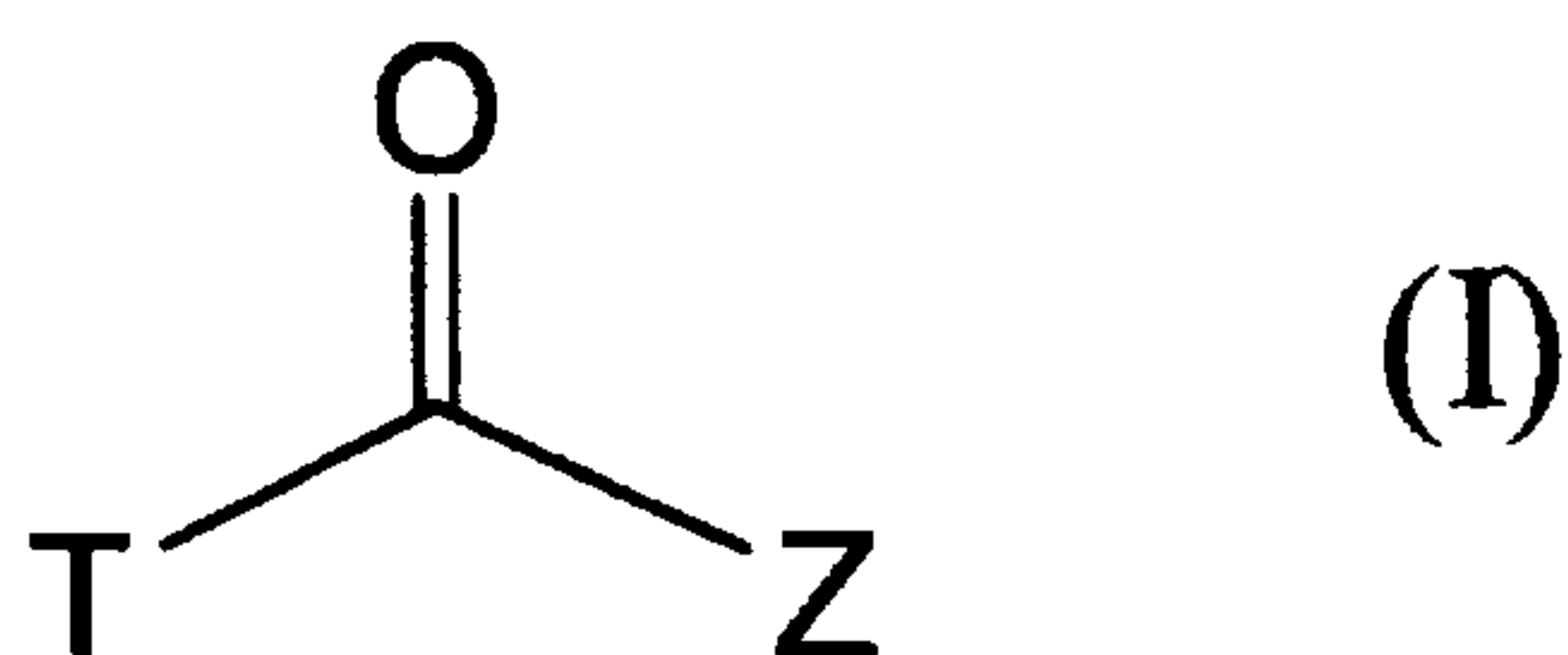
OBJECT TO BE ACCOMPLISHED BY THE INVENTION

40 It has been desired to improve the effect of a herbicidally active ingredient and to reduce the dosage as far as possible, in order to reduce the environmental load on a site where the herbicide is applied or the periphery thereof, more than ever.

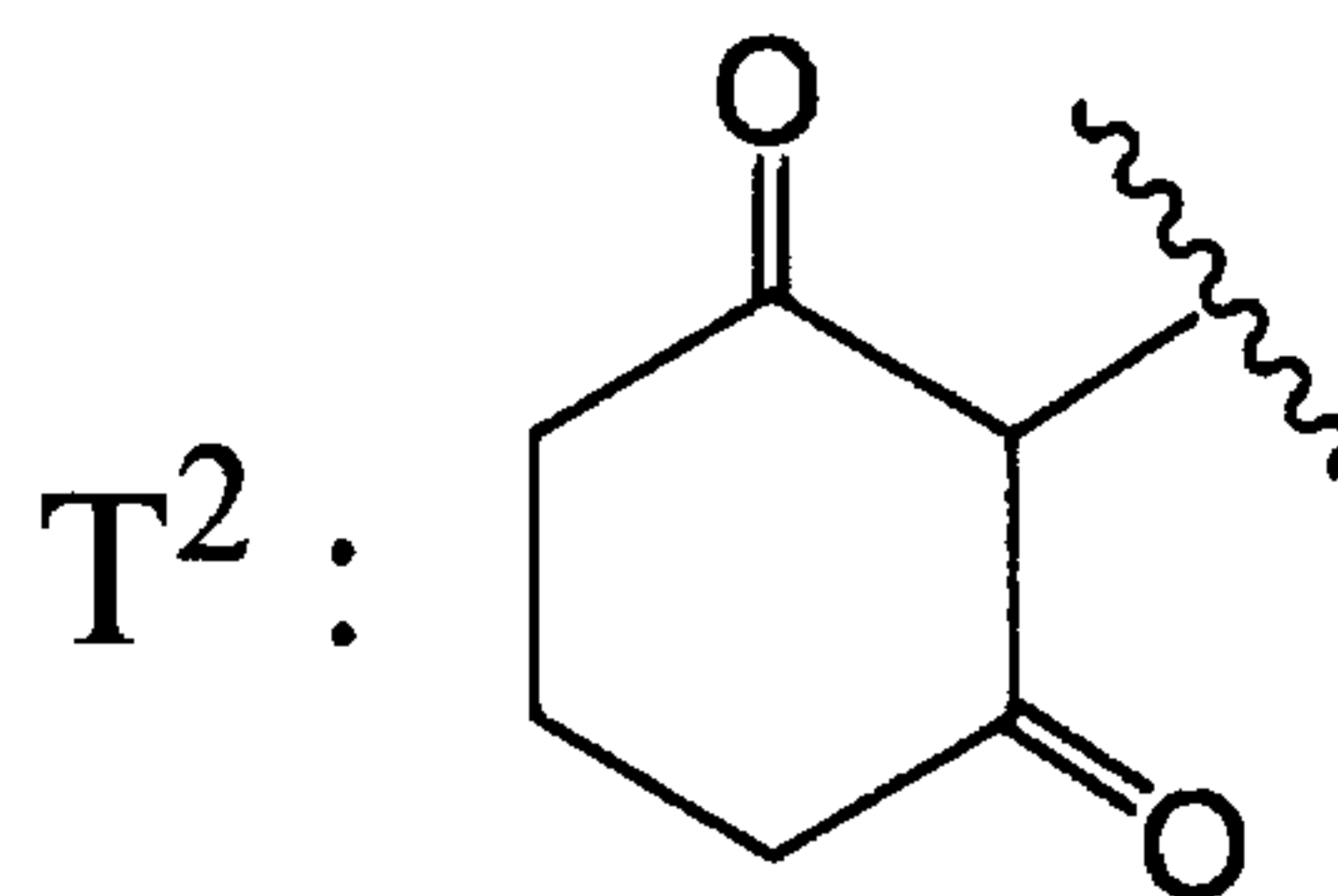
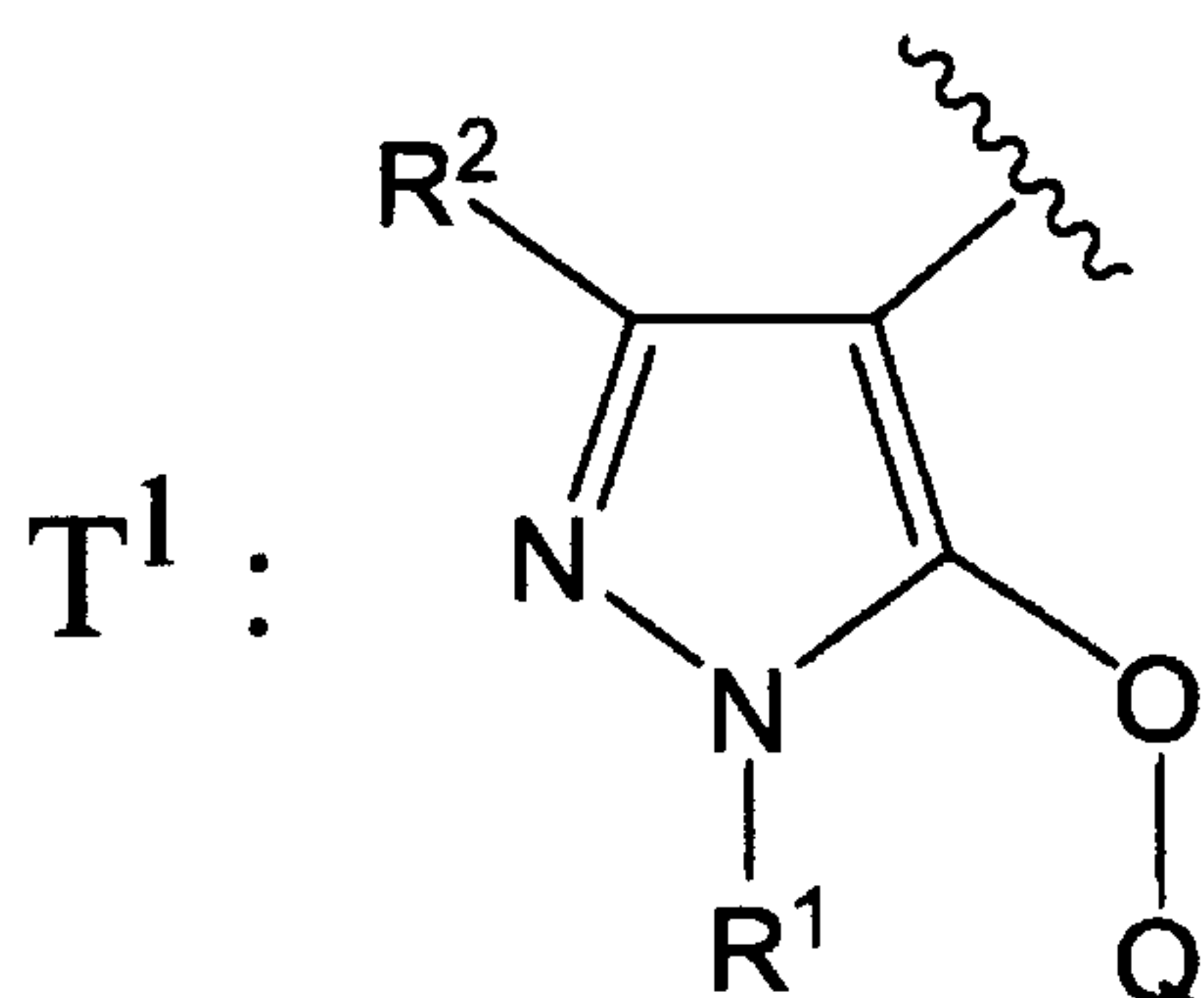
MEANS TO ACCOMPLISH THE OBJECT

45 The present inventors have conducted extensive studies to accomplish the above object and as a result, have found that the herbicidal effect of the compound represented by the following formula (I) or its salt can be remarkably improved by using a specific compound, and have accomplished the present invention.

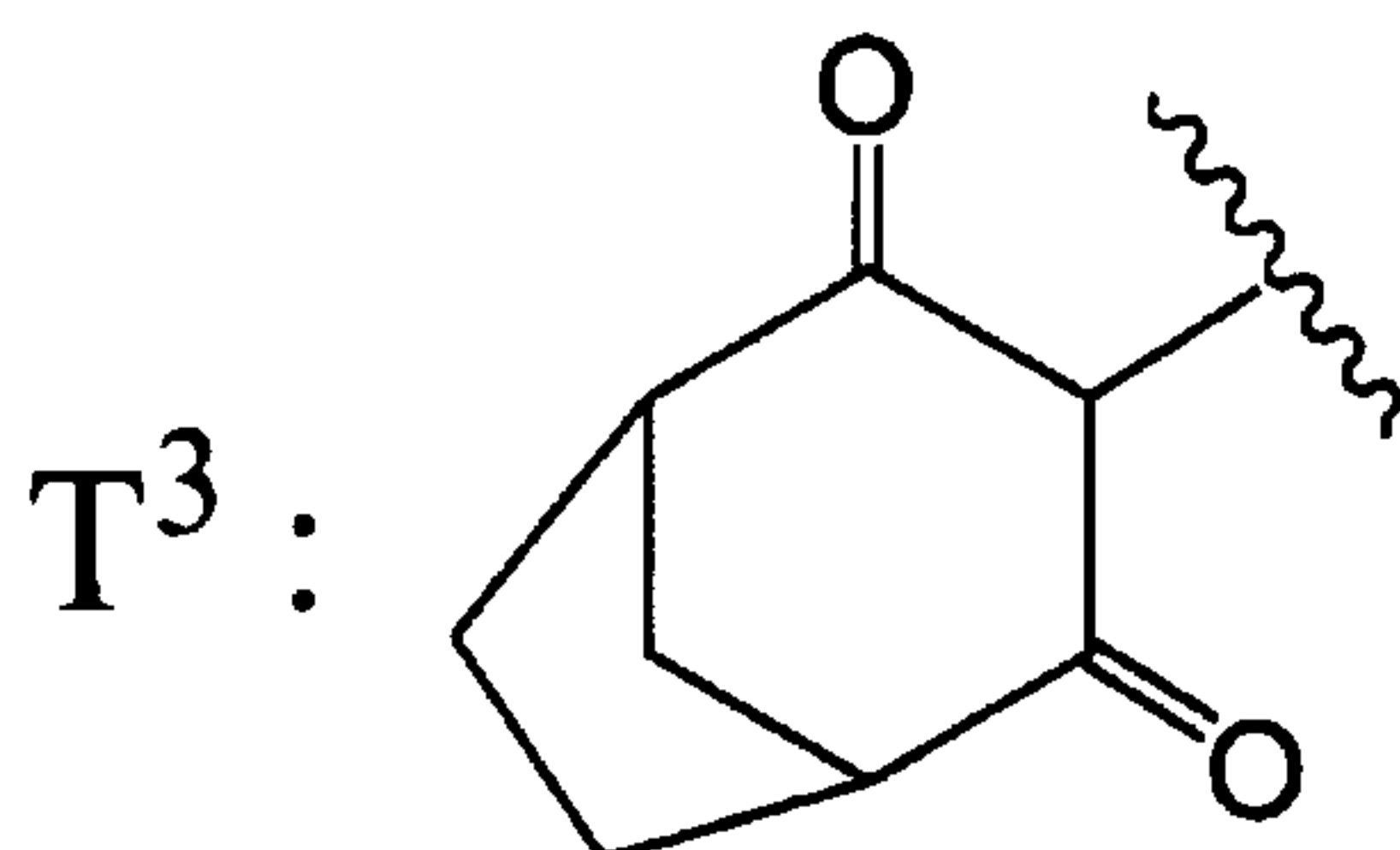
That is, the present invention relates to a herbicidal composition comprising (1) a compound represented by the formula (I) or its salt:



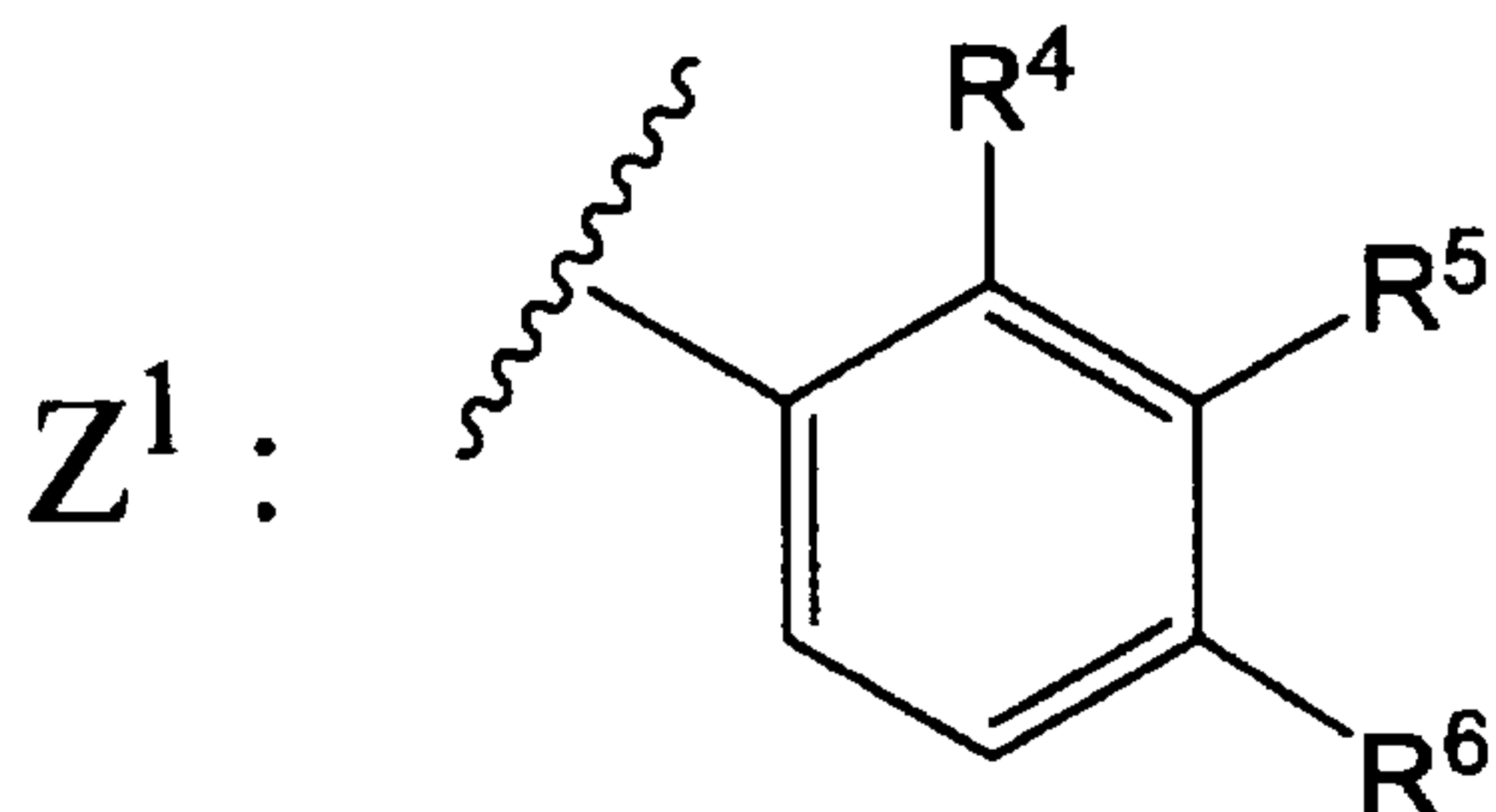
wherein T is



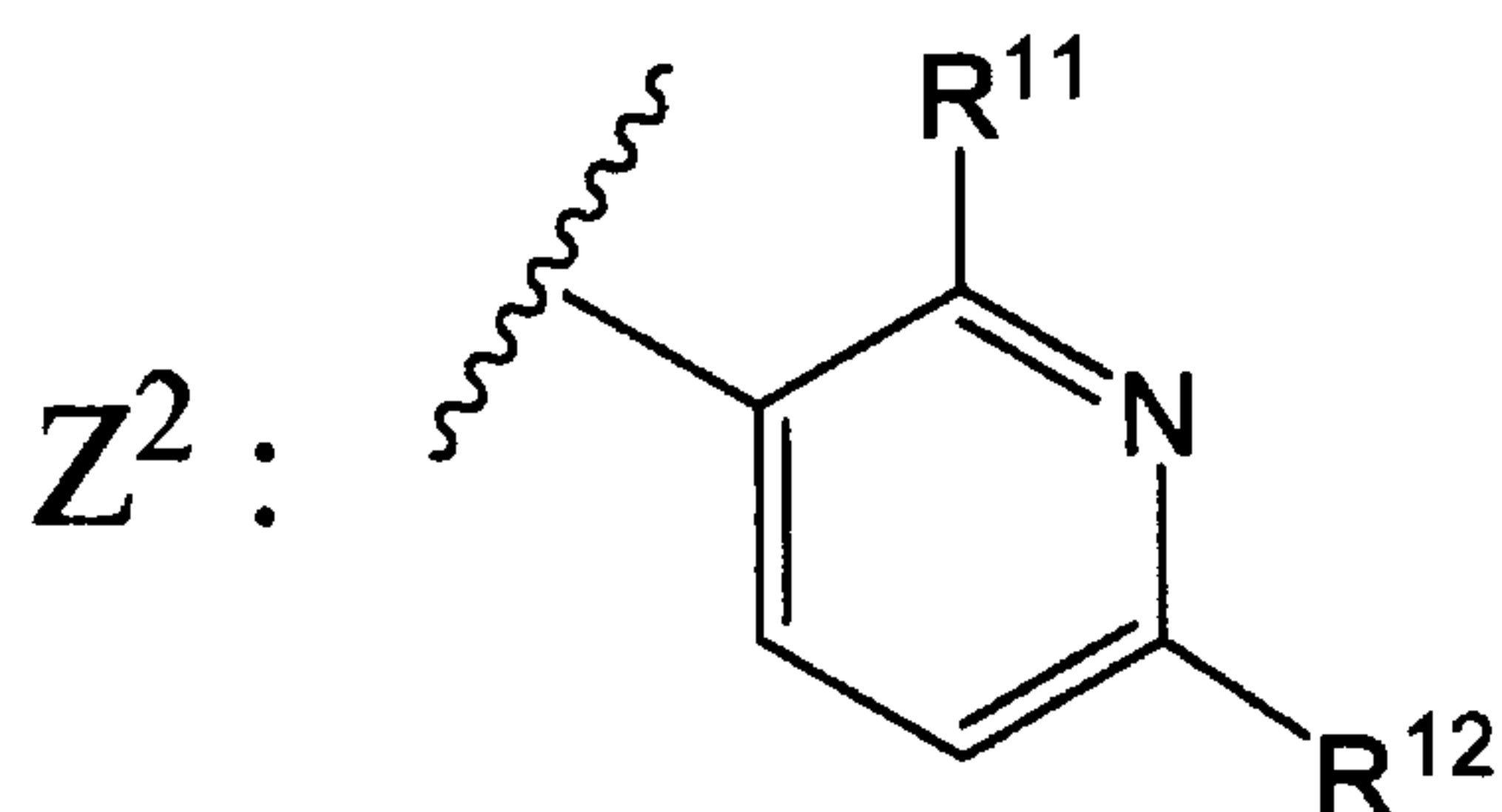
or



and Z is



or



Q is $-\text{C}(\text{O})\text{SR}^3$, hydrogen or $-\text{A}-\text{O}-\text{C}(\text{O})\text{OR}^{10}$, R^1 is alkyl or cycloalkyl, R^2 is hydrogen or alkyl, R^3 is alkyl; cycloalkyl; haloalkyl; alkoxyalkyl; alkoxyalkyl; alkenyl; or arylalkyl which may be substituted by R^8 , R^4 is alkyl; haloalkyl; alkoxy; halogen; nitro; cyano; alkylthio; alkylsulfinyl; or alkylsulfonyl, R^5 is hydrogen; alkyl; alkenyl; alkynyl, halogen; cyano; cyanoalkyl; cyanoalkenyl; haloalkyl; alkoxyalkyl; haloalkoxyalkyl; amino(thiocarbonyl)alkyl which may be substituted by at least one substituent selected from the group consisting of alkyl, cyano, cyanoalkyl, (alkylthio)carbonylalkyl, alkyl(thiocarbonyl)alkyl, $-\text{C}(\text{O})\text{OR}^7$ and $-\text{C}(\text{O})\text{SR}^7$; thiocyanatoalkyl; alkoxy; alkenyloxy; alkynyloxy; haloalkoxy; alkoxyalkoxy; haloalkoxyalkoxy; alkoxyhaloalkoxy; haloalkoxyhaloalkoxy; alkoxyalkoxyalkyl; alkylthio; alkoxyalkylthio; haloalkoxyalkylthio; alkoxyhaloalkylthio; haloalkoxyhaloalkylthio; alkylthioalkylthio; haloalkylthioalkylthio; alkylthiohaloalkylthio; haloalkylthiohaloalkylthio; alkylthioalkoxy; alkylsulfonyl; alkylsulfonylalkyl; alkoxyalkoxyalkyl; alkoxyalkoxyalkoxy; heterocyclic alkyl; heterocyclic oxy; heterocyclic alkoxy; heterocyclic alkoxyalkyl; heterocyclic oxyalkyl;

cycloalkyloxy; -OC(O)SR⁷; -OC(O)OR⁷; -C(O)OR⁷; -C(O)SR⁷; -C(S)OR⁷; -C(S)SR⁷; or aminoalkyl which may be substituted by at least one substituent selected from the group consisting of alkyl, cyano, cyanoalkyl, (alkylthio)carbonylalkyl, alkyl(thiocarbonyl)alkyl, -C(O)OR⁷ and -C(O)SR⁷, R⁶ is haloalkyl; halogen; nitro; cyano; alkylthio; alkylsulfinyl; or alkylsulfonyl, R⁷ is alkyl; haloalkyl; alkoxyalkyl; alkenyl; haloalkenyl; alkynyl; or arylalkyl which may be substituted by R⁹; each of R⁸ and R⁹ which are independent of each other, is halogen; alkyl; or alkoxy; R¹⁰ is alkyl, A is alkylene substituted by at least one alkyl, R¹¹ is alkoxyalkoxyalkyl, and R¹² is haloalkyl, provided that when T is T¹ or T², Z is Z¹, when T is T³, Z is Z², when T is T¹ and R⁵ is hydrogen, Q is not hydrogen, and when T is T², R⁵ is not hydrogen, and (2) a polyoxyalkylene alkyl ether phosphate or its salt.

EFFECTS OF THE INVENTION

According to the present invention, the herbicidal effect of a compound represented by the above formula (I) (hereinafter referred to as a compound of the formula (I)) or its salt is effectively brought about and improved by a polyoxyalkylene alkyl ether phosphate (hereinafter referred to as a POA alkyl ether phosphate) or its salt. Further, the dosage of the herbicide can be reduced by the POA alkyl ether phosphate or its salt, whereby the environmental load on a site where the herbicide is applied or the periphery thereof can be remarkably reduced and further, the reduction in the dosage of the herbicide contributes to remarkable reduction in the cost required for storage or transportation.

BEST MODE FOR CARRYING OUT THE INVENTION

The herbicidal composition of the present invention comprises a compound of the formula (I) or its salt and a POA alkyl ether phosphate or its salt. For example, the present invention is applied in such a manner that (a) a compound of the formula (I) or its salt is formulated by using various additives, the formulation is diluted with e.g. water together with a POA alkyl ether phosphate or its salt, and the diluted liquid is applied to undesired plants or to a place where they grow, or (b) a compound of the formula (I) or its salt, and a POA alkyl ether phosphate or its salt, are formulated together with various additives, and the resulting formulation diluted with e.g. water or as it is without dilution, is applied to undesired plants or to a place where they grow.

The salt of the compound of the formula (I) may be any salt so long as it is agriculturally acceptable, and it may, for example, be an alkali metal salt such as a sodium salt or a potassium salt; an alkaline earth metal salt such as a magnesium salt or a calcium salt; an amine salt such as a dimethylamine salt or a triethylamine salt; an inorganic acid salt such as a hydrochloride, a perchlorate, a sulfate or a nitrate; or an organic acid salt such as an acetate or a methanesulfonate.

In a case where the compound of the formula (I) has various structural isomers such as optical isomers, geometric isomers or keto-enol tautomers, such isomers are, of course, included in the compound of the formula (I).

The POA alkyl ether phosphate in the present invention may, for example, be a mono-POA alkyl ether phosphate, a di-POA alkyl ether phosphate or a tri-POA alkyl ether phosphate, having 1 to 3 POA alkyl ether moieties bonded to a phosphorus atom, and in a case where a plurality of POA alkyl ether moieties are bonded to a phosphorus atom, they may be the same or the different. In the present invention, the above-described phosphates may optionally be mixed.

The long chain alkyl moiety located at a terminal or at a position interposed

between POA moieties of the POA alkyl ether phosphate in the present invention may be either linear or branched, and it preferably has, for example, from about 8 to about 20 carbon atoms. Specific examples thereof include octyl, nonyl, decyl, undecyl, dodecyl, tridecyl, tetradecyl, pentadecyl, hexadecyl, heptadecyl, octadecyl, nonadecyl and eicosyl.

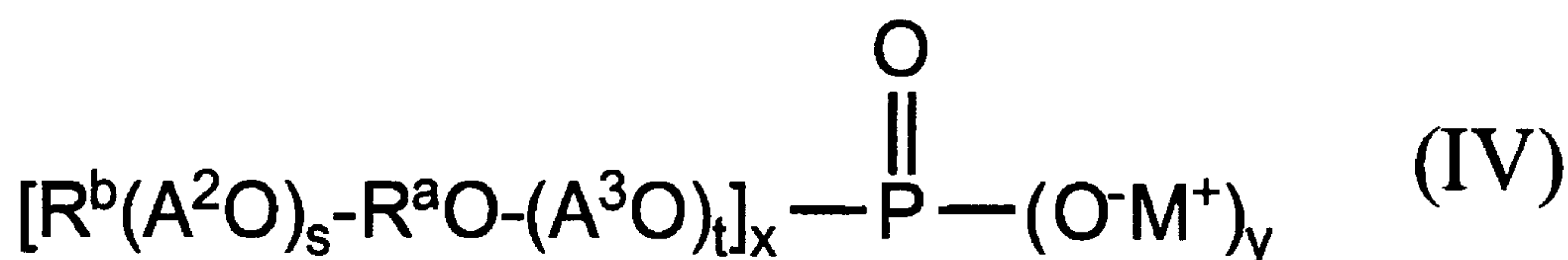
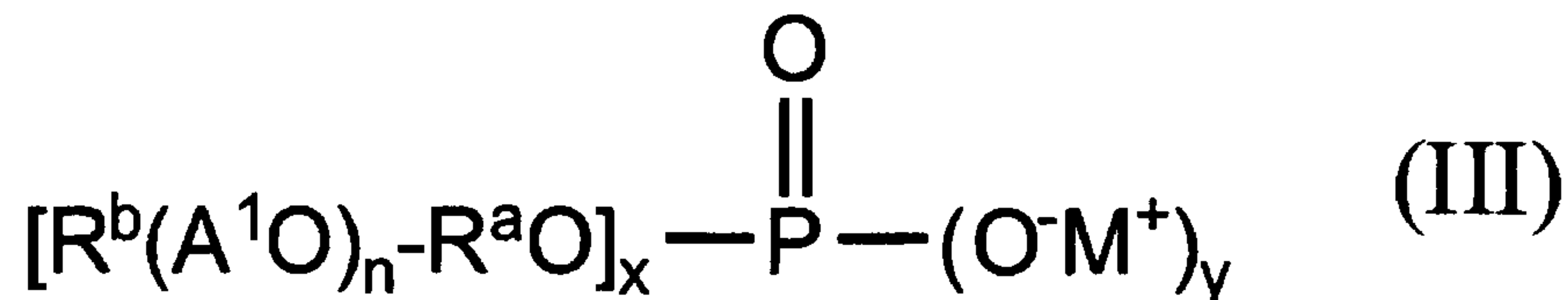
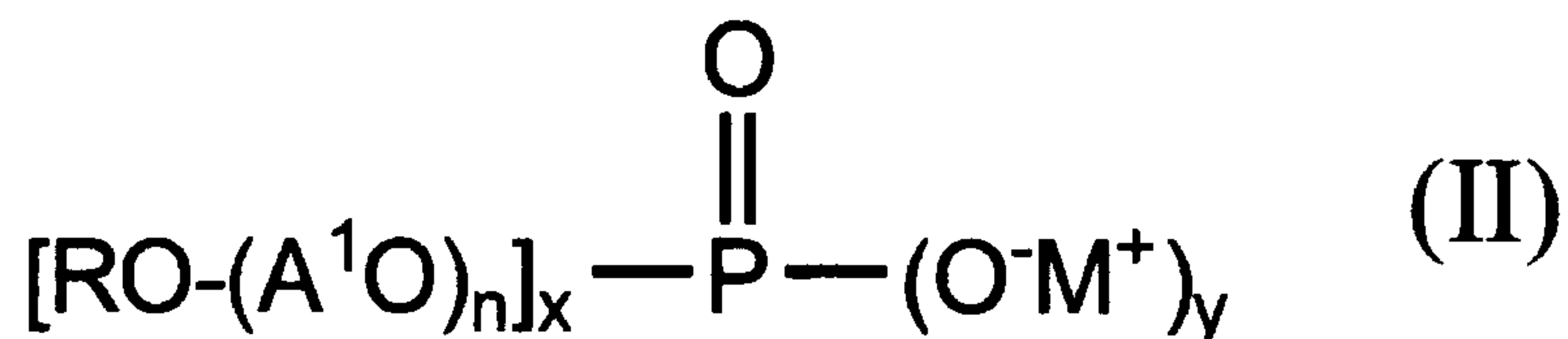
In the present invention, the number of addition of POA moiety in the POA alkyl ether phosphate is from about 1 to about 50, preferably from about 1 to about 20. Further, the alkylene oxide moiety in the POA alkyl ether phosphate may be linear or branched, and it preferably has, for example, from about 2 to about 3 carbon atoms. Specific examples thereof include ethylene oxide, propylene oxide and $-\text{CH}(\text{CH}_3)\text{CH}_2\text{O}-$. Their copolymers and block copolymers may also be mentioned. The position of substitution of the alkylene oxide moiety is not particularly limited.

In the present invention, as the salt of the POA alkyl ether phosphate, various salts may be mentioned, such as a salt with an alkali metal such as sodium or potassium; a salt with an alkaline earth metal such as magnesium or calcium; a salt with NH_4^+ ; and an amine salt such as a salt with a monoethanolamine, a salt with a diethanolamine, a salt with a triethanolamine, a salt with a trimethylamine, a salt with a triethylamine, a salt with a tributylamine, a salt with a diisopropylethylamine or a salt with morpholine.

In the present invention, in a case where the POA alkyl ether phosphate is used in the form of a salt, the POA alkyl ether phosphate may be added to a spray solution or a formulation, followed by neutralization with a base to form a salt in a spray tank or during formulation. Otherwise, the POA alkyl ether phosphate as it is or in a solution state such as an aqueous solution, is preliminarily neutralized with a base to form a salt, which is then added to a spray solution or a formulation. In either case, the base to be used may be added as it is or in a solution state such as an aqueous solution.

The base to be used for the neutralization may be either an inorganic base or an organic base. The inorganic base may, for example, be an alkali metal carbonate such as sodium carbonate or potassium carbonate; an alkali metal hydrogencarbonate such as sodium hydrogencarbonate or potassium hydrogencarbonate; an alkaline earth metal carbonate such as magnesium carbonate, calcium carbonate or barium carbonate; an alkali metal hydroxide such as lithium hydroxide, sodium hydroxide or potassium hydroxide; or an alkaline earth metal hydroxide such as magnesium hydroxide, calcium hydroxide or barium hydroxide. The organic base may, for example, be an amine such as ammonia, monoethanolamine, diethanolamine, triethanolamine, trimethylamine, triethylamine, tributylamine, diisopropylethylamine or morpholine. The base may be used alone or as a mixture of two or more of them.

As examples of the chemical structure of the POA alkyl ether phosphate in the present invention, compounds of the following formulae (II), (III) and (IV) may be mentioned. However, the present invention is by no means restricted thereto.



In the above formulae, each of R and R^b is alkyl, each of R^a, A¹, A² and A³ is alkylene, M⁺ is a hydrogen ion, a metal ion, ammonium or an organic ammonium, each of n, s and t is an integer of at least 1, and x and y satisfy x+y=3, x is an integer of 1, 2 or 3 and y is an integer of 0, 1 or 2. When x is at least 2, R's, R^a's, R^b's, A¹'s, A²'s, A³'s and n's in the respective [RO(A¹O)_n], [R^b(A¹O)_nR^aO] and [R^b(A²O)_sR^aO(A³O)_t] may be the same or different. When y is 2, M⁺'s may be the same or different. In the formula (IV), A² and A³ may be the same or different.

The POA alkyl ether phosphate or its salt in the present invention is also known, for example, as a phosphate ester of an alkoxylated alcohol or its salt, a phosphated alcohol alkoxylate or its salt, or a (polyoxyalkylene alcohol) phosphate or its salt. They are all included in the POA alkyl ether phosphate or its salt used in the present invention, and the present invention is not limited thereto.

In the present invention, a surfactant containing a POA alkyl ether phosphate or its salt may be used, and the following may be mentioned as specific examples thereof.

NIKKOL DLP-10, NIKKOL DOP-8NV, NIKKOL DDP-2, NIKKOL DDP-4, NIKKOL DDP-6, NIKKOL DDP-8, NIKKOL DDP-10, NIKKOL TLP-4, NIKKOL TCP-5, NIKKOL TDP-2, NIKKOL TDP-6, NIKKOL TDP-8, NIKKOL TDP-10, etc., tradenames, manufactured by NIKKO CHEMICALS CO., LTD.

PLYSURF A212C, PLYSURF A215C, PLYSURF A208B, PLYSURF A219B, etc., tradenames, manufactured by DAI-ICHI KOGYO SEIYAKU CO., LTD.

PHOSPHANOL ED-200, PHOSPHANOL RA-600, PHOSPHANOL ML-220, PHOSPHANOL ML-240, PHOSPHANOL RD-510Y, PHOSPHANOL RS-410, PHOSPHANOL RS-610, PHOSPHANOL RS-710, PHOSPHANOL RL-210, PHOSPHANOL RL-310, PHOSPHANOL RB-410, PHOSPHANOL RS-610NA, PHOSPHANOL SC-6103, PHOSPHANOL RS-710M, PHOSPHANOL GB-520, PHOSPHANOL RD-720, etc., tradenames, manufactured by TOHO Chemical Industry Co., Ltd.

ADEKA COL PS-440E, ADEKA COL PS-509E, ADEKA COL PS-807, ADEKA COL PS-810, ADEKA COL PS-984, etc., tradenames, manufactured by ADEKA CORPORATION.

PHOSPHOLAN 5AP, PHOSPHOLAN PS-131, PHOSPHOLAN PS-220, PHOSPHOLAN PS-222, PHOSPHOLAN PS-236, PHOSPHOLAN PS-331,

PHOSPHOLAN PS-810, PHOSPHOLAN PS-900, etc., tradenames, manufactured by AKZO NOVEL.

AGNIQUE PE23-5, AGNIQUE PE25-5, AGNIQUE PE25-5K, AGNIQUE PE28-5N, Crafol AP67, etc., tradenames, manufactured by Cognis Deutschland GmbH Co. & KG.

5 In the present invention, an oil such as a vegetable oil, a fatty acid ester or a hydrocarbon solvent may be used as a coadjuvant, as the case requires, in order to more significantly improve the herbicidal effect of the compound of the formula (I) or its salt, to expand the range of plants against which the herbicidal effect is exhibited, or to expand the timing for the application of the herbicide. As such an oil, one type or more
10 may optionally be used.

The vegetable oil may, for example, be olive oil, kapok oil, castor oil, palm oil, camellia oil, coconut oil, sesame oil, corn oil, rice bran oil, peanut oil, cotton oil, soybean oil, rapeseed oil, linseed oil or tung oil.

15 The fatty acid ester may be one derived from a vegetable oil or an animal oil as the starting material or may be one chemically synthesized from a petroleum oil. Further, the alkyl moiety of such a fatty acid may be saturated or unsaturated and may be straight chained or branched. A common product derived from a vegetable oil as the starting material may, for example, be methylated seed oil (MSO).

20 The hydrocarbon solvent may, for example, be xylene, an alkylbenzene, an alkylnaphthalene, other high boiling point aromatic hydrocarbons, normal paraffin (saturated linear hydrocarbon), isoparaffin (saturated branched hydrocarbon), naphthene (saturated cycloalkane) or a mixture thereof.

The following ones may, for example, be mentioned as specific examples of a product containing the aromatic hydrocarbon:

25 Solvesso 100, Solvesso 150, Solvesso 200, etc., tradenames, manufactured by Exxon Mobil Chemical Company.

Nisseki Hisol SAS296, Nisseki Hisol SAS LH, etc., tradenames, manufactured by Nippon Oil Corporation.

30 Shellsol A100, Shellsol A150, etc., tradenames, manufactured by Shell Chemicals Japan Ltd.

The following ones may, for example, be mentioned as specific examples of a product containing normal paraffin or isoparaffin.:

Normal Paraffin SL, Normal Paraffin L, Normal Paraffin M, Normal Paraffin H, Sunsol IP600, etc., tradenames, manufactured by Nippon Oil Corporation.

35 Shellsol S, Shellsol TG, Shellsol TK, Shellsol TM, etc., tradenames, manufactured by Shell Chemicals Japan Ltd.

The following ones may, for example, be mentioned as specific examples of a product containing naphthene:

40 Naphtesol 160, Naphtesol 200, Naphtesol 220, Naphtesol MS-20P, etc., tradenames, manufactured by Nippon Oil Corporation.

Shellsol D40, Shellsol D70, etc., tradenames, manufactured by Shell Chemicals Japan Ltd.

45 In the present invention, in a case where the above oil is to be used, an emulsifying agent i.e. a surfactant having an emulsifying effect other than the POA alkyl ether phosphate or its salt, may be used as the case requires. By incorporating such an emulsifying agent, the water dispersibility of the above oil can be improved, such being advantageous in a case where a herbicidal composition containing the compound of the formula (I) or its salt is to be applied as diluted with water. This is one of

preferred embodiments in the present invention. Further, the emulsifying agent may be used in a form as preliminarily mixed with the POA alkyl ether phosphate or its salt or with the above oil, or may be used by mixing it at the time of preparing a spray solution.

5 The above emulsifying agent, may, for example, be the following nonionic surfactant or anionic surfactant. Such surfactants may be used alone or in optional combination as a mixture.

10 The nonionic surfactant may, for example, be a polyoxyalkylene alkyl ether, a polyoxyalkylene alkyl ether, a polyoxyalkylene alkyl aryl ether, a polyoxyalkylene styryl phenyl ether, a polyoxyalkylene alkyl ester, a polyoxyalkylene sorbitan alkyl ester, a polyoxyalkylene sorbitol alkyl ester, a polyoxyalkylene sorbitan fatty acid ester, a polyoxyalkylene sorbitol fatty acid ester, a polyoxyalkylene phenyl ether polymer, a polyoxyalkylene alkylene aryl phenyl ether, a polyoxyalkylene aryl phenyl ether, a polyoxyalkylene alkylene glycol, a polyoxyalkylene polyoxypropylene block polymer, a polyoxyalkylene hydrogenated castor oil or a polyoxyalkylene castor oil.

15 The anionic surfactant may, for example, be a polycarboxylic acid type surfactant, a lignin sulfonate, an alkyl aryl sulfonate, a dialkyl sulfosuccinate, a polyoxyalkylene alkyl aryl ether sulfate, an alkyl naphthalene sulfonate, a polyoxyalkylene styryl phenyl ether sulfate, a polyoxyalkylene styrene-modified phenyl ether phosphate, an alkylbenzene sulfonate (such as sodium dodecylbenzene sulfonate) or an alkyl sulfate
20 (such as sodium lauryl sulfate).

The herbicidal composition of the present invention may be either in a form such that the herbicidal composition containing the compound of the formula (I) or its salt, and the POA alkyl ether phosphate or its salt, or a surfactant containing it, are mixed, for example, at the time of application, or in a form such that they are preliminarily
25 formulated. The same applies to a case where an oil or an emulsifying agent as a coadjuvant is used. Various additives may be used if desired, when the compound of the formula (I) or its salt and the POA alkyl ether phosphate or its salt are formulated, or when the above oil is further added to the above compounds and formulated. The additives to be used are not particularly limited so long as they can be used in this
30 technical field, and examples thereof include a surfactant other than the POA alkyl ether phosphate, a carrier, a binder, a vegetable oil, a mineral oil, an anti-settling agent, a thickener, an antifoaming agent and an antifreezing agent. Formulation may be carried out in accordance with a conventional method in this technical field.

In the present invention, a herbicidal compound other than the compound of the formula (I) or its salt may be mixed or used in combination if desired, whereby more
35 excellent effects or activity may be exhibited in some cases. For example, it may sometimes be possible to improve e.g. the range of the weeds to be controlled, the timing for the application of the herbicide or the herbicidal activities. The compound of the formula (I) or its salt and another herbicidal compound may be individually prepared and mixed at the time of application, or they may be formulated together and applied.
40 Such another herbicidal compound may suitably be selected from the following compound groups (1) to (11) (common names including ones under application for approval by ISO). Even when not specifically mentioned here, in a case where such compounds have salts, alkyl esters, structural isomers such as optical isomers etc., they
45 are, of course, all included.

(1) Those which are believed to exhibit herbicidal effects by disturbing hormone activities of plants, such as a phenoxy type such as 2,4-D, 2,4-D-butyl, 2,4-D-butyl, 2,4-D-dimethylammonium, 2,4-D-diolamine, 2,4-D-ethyl, 2,4-D-2-ethylhexyl, 2,4-D-

isobutyl, 2,4-D-isooctyl, 2,4-D-isopropyl, 2,4-D-isopropylammonium, 2,4-D-sodium, 2,4-D-isopropanolammonium, 2,4-D-trolamine, 2,4-DB, 2,4-DB-butyl, 2,4-DB-dimethylammonium, 2,4-DB-isooctyl, 2,4-DB-potassium, 2,4-DB-sodium, dichlorprop, dichlorprop-butotyl, dichlorprop-dimethylammonium, dichlorprop-isooctyl, dichlorprop-potassium, dichlorprop-P, dichlorprop-P-dimethylammonium, dichlorprop-P-potassium, dichlorprop-P-sodium, MCPA, MCPA-butotyl, MCPA-dimethylammonium, MCPA-2-ethylhexyl, MCPA-potassium, MCPA-sodium, MCPA-thioethyl, MCPB, MCPB-ethyl, MCPB-sodium, mecoprop, mecoprop-butotyl, mecoprop-sodium, mecoprop-P, mecoprop-P-butotyl, mecoprop-P-dimethylammonium, mecoprop-P-2-ethylhexyl, mecoprop-P-potassium, naproanilide or clomeprop; an aromatic carboxylic acid type such as 2,3,6-TBA, dicamba, dicamba-butotyl, dicamba-diglycolamine, dicamba-dimethylammonium, dicamba-dioline, dicamba-isopropylammonium, dicamba-potassium, dicamba-sodium, dichlobenil, picloram, picloram-dimethylammonium, picloram-isooctyl, picloram-potassium, picloram-triisopropanolammonium, picloram-triisopropylammonium, picloram-trolamine, triclopyr, triclopyr-butotyl, triclopyr-triethylammonium, clopyralid, clopyralid-oline, clopyralid-potassium, clopyralid-triisopropanolammonium or aminopyralid; and others such as naptalam, naptalam-sodium, benazolin, benazolin-ethyl, quinclorac, quinmerac, diflufenzopyr, diflufenzopyr-sodium, fluroxypyr, fluroxypyr-2-butoxy-1-methylethyl, fluroxypyr-meptyl, chlorflurenol or chlorflurenol-methyl.

(2) Those which are believed to exhibit herbicidal effects by inhibiting photosynthesis of plants, such as a urea type such as chlorotoluron, diuron, fluometuron, linuron, isoproturon, metobenzuron, tebuthiuron, dimefuron, isouron, karbutilate, methabenzthiazuron, metoxuron, monolinuron, neburon, siduron, terbumeton or trietazine; a triazine type such as simazine, atrazine, atratone, simetryn, prometryn, dimethametryn, hexazinone, metribuzin, terbuthylazine, cyanazine, ametryn, cybutryne, triaziflam, terbutryn, propazine, metamitron or prometon; a uracil type such as bromacil, bromacyl-lithium, lenacil or terbacil; an anilide type such as propanil or cypromid; a carbamate type such as swep, desmedipham or phenmedipham; a hydroxybenzonitrile type such as bromoxynil, bromoxynil-octanoate, bromoxynil-heptanoate, ioxynil, ioxynil-octanoate, ioxynil-potassium or ioxynil-sodium; and others such as pyridate, bentazone, bentazone-sodium, amicarbazone, methazole or pentanochlor.

(3) Quaternary ammonium salt type such as paraquat or diquat, which is believed to be converted to free radicals by itself to form active oxygen in the plant body and shows rapid herbicidal efficacy.

(4) Those which are believed to exhibit herbicidal effects by inhibiting chlorophyll biosynthesis of plants and abnormally accumulating a photosensitizing peroxide substance in the plant body, such as a diphenylether type such as nitrofen, chlormethoxyfen, bifenox, acifluorfen, acifluorfen-sodium, fomesafen, fomesafen-sodium, oxyfluorfen, lactofen, aclonifen, ethoxyfen-ethyl (HC-252), fluoroglycofen-ethyl or fluoroglycofen; a cyclic imide type such as chlorophthalim, flumioxazin, flumiclorac, flumiclorac-pentyl, cinidon-ethyl or fluthiacet-methyl; and others such as oxadiargyl, oxadiazon, sulfentrazone, carfentrazone-ethyl, thidiazimin, pentoxazone, azafenidin, isopropazole, pyraflufen-ethyl, benzfendazole, butafenacil, saflufenacil, flupoxam, fluazolate, profluazol, pyraclonil, flufenpyr-ethyl or bencarbazone.

(5) Those which are believed to exhibit herbicidal effects characterized by bleaching activities by inhibiting chromogenesis of plants such as carotenoids, such as

a pyridazinone type such as norflurazon, chloridazon or metflurazon; a pyrazole type such as pyrazolynate, pyrazoxyfen, benzofenap, topramezone (BAS-670H) or pyrasulfotole; and others such as amitrole, fluridone, flurtamone, diflufenican, methoxyphenone, clomazone, sulcotrione, mesotrione, tembotrione, tefuryltrione (AVH-301), isoxaflutole, difenzoquat, difenzoquat-metilsulfate, isoxachlortole, benzobicyclon, picolinafen or beflubutamid.

(6) Those which exhibit strong herbicidal effects specifically to gramineous plants, such as an aryloxyphenoxypionic acid type such as diclofop-methyl, diclofop, pyriphenop-sodium, fluazifop-butyl, fluazifop, fluazifop-P, fluazifop-P-butyl, haloxyfop-methyl, haloxyfop, haloxyfop-etotyl, haloxyfop-P, haloxyfop-P-methyl, quizalofop-ethyl, quizalofop-P, quizalofop-P-ethyl, quizalofop-P-tefuryl, cyhalofop-butyl, fenoxaprop-ethyl, fenoxaprop-P, fenoxaprop-P-ethyl, metamifop-propyl, metamifop, clodinafop-propargyl, clodinafop or propaquizafop; a cyclohexanedione type such as alloxydim-sodium, alloxydim, clethodim, sethoxydim, tralkoxydim, butroxydim, tepraloxym, profoxydim or cycloxydim; and others such as flamprop-M-methyl, flamprop-M or flamprop-M-isopropyl.

(7) Those which are believed to exhibit herbicidal effects by inhibiting an amino acid biosynthesis of plants, such as a sulfonylurea type such as chlorimuron-ethyl, chlorimuron, sulfometuron-methyl, sulfometuron, primisulfuron-methyl, primisulfuron, bensulfuron-methyl, bensulfuron, chlorsulfuron, metsulfuron-methyl, metsulfuron, cinosulfuron, pyrazosulfuron-ethyl, pyrazosulfuron, azimsulfuron, flazasulfuron, rimsulfuron, nicosulfuron, imazosulfuron, cyclosulfamuron, prosulfuron, flupyrsulfuron-methyl-sodium, flupyrsulfuron, triflusulfuron-methyl, triflusulfuron, halosulfuron-methyl, halosulfuron, thifensulfuron-methyl, thifensulfuron, ethoxysulfuron, oxasulfuron, ethametsulfuron, ethametsulfuron-methyl, iodosulfuron, iodosulfuron-methyl-sodium, sulfosulfuron, triasulfuron, tribenuron-methyl, tribenuron, tritosulfuron, foramsulfuron, trifloxysulfuron, trifloxysulfuron-sodium, mesosulfuron-methyl, mesosulfuron, orthosulfamuron, flucetosulfuron, amidosulfuron, TH-547 or a compound disclosed in WO2005092104; a triazolopyrimidinesulfonamide type such as flumetsulam, metosulam, diclosulam, cloransulam-methyl, florasulam or penoxsulam; an imidazolinone type such as imazapyr, imazapyr-isopropylammonium, imazethapyr, imazethapyr-ammonium, imazaquin, imazaquin-ammonium, imazamox, imazamox-ammonium, imazamethabenz, imazamethabenz-methyl or imazapic; a pyrimidinylsalicylic acid type such as pyriithiobac-sodium, bispyribac-sodium, pyriminobac-methyl, pyribenzoxim, pyriftalid or pyrimisulfan (KUH-021); a sulfonylaminocarbonyltriazolinone type such as flucarbazone, flucarbazone-sodium, propoxycarbazine-sodium or propoxycarbazine; and others such as glyphosate, glyphosate-sodium, glyphosate-potassium, glyphosate-ammonium, glyphosate-diammonium, glyphosate-isopropylammonium, glyphosate-trimesium, glyphosate-sesquisodium, glufosinate, glufosinate-ammonium, bilanafos, bilanafos-sodium or cinmethylin.

(8) Those which are believed to exhibit herbicidal effects by inhibiting cell mitoses of plants, such as a dinitroaniline type such as trifluralin, oryzalin, nitratin, pendimethalin, ethalfluralin, benfluralin, prodiamine, butralin or dinitramine; an amide type such as bensulide, napropamide, propyzamide or pronamide; an organic phosphorus type such as amiprofos-methyl, butamifos, anilofos or piperophos; a phenyl carbamate type such as propham, chlorpropham, barban or carbetamide; a cumylamine type such as daimuron, cumyluron, bromobutide or methyldymron; and others such as

asulam, asulam-sodium, dithiopyr, thiazopyr, chlorthal-dimethyl, chlorthal or diphenamid.

(9) Those which are believed to exhibit herbicidal effects by inhibiting protein biosynthesis or lipid biosynthesis of plants, such as a chloroacetamide type such as alachlor, metazachlor, butachlor, pretilachlor, metolachlor, S-metolachlor, thenylchlor, pethoxamid, acetochlor, propachlor, dimethenamid, dimethenamid-P, propisochlor or dimethachlor; a thiocarbamate type such as molinate, dimepiperate, pyributicarb, EPTC, butylate, vernolate, pebulate, cycloate, prosulfocarb, esprocarb, thiobencarb, diallate, tri-allate or orbencarb; and others such as etobenzanid, mefenacet, flufenacet, tridiphane, cafenstrole, fentrazamide, oxaziclomefone, indanofan, benfuresate, pyroxasulfone (KIH-485), dalapon, dalapon-sodium, TCA-sodium or trichloroacetic acid.

(10) MSMA, DSMA, CMA, endothall, endothall-dipotassium, endothall-sodium, endothall-mono(N,N-dimethylalkylammonium), ethofumesate, sodium chlorate, pelargonic acid (nonanoic acid), fosamine, fosamine-ammonium, pinoxaden, HOK-201, aclolecin, ammonium sulfamate, borax, chloroacetic acid, sodium chloroacetate, cyanamide, methylarsonic acid, dimethylarsinic acid, sodium dimethylarsinate, dinoterb, dinoterb-ammonium, dinoterb-diolamine, dinoterb-acetate, DNOC, ferrous sulfate, flupropanate, flupropanate-sodium, isoxaben, mefluidide, mefluidide-diolamine, metam, metam-ammonium, metam-potassium, metam-sodium, methyl isothiocyanate, pentachlorophenol, sodium pentachlorophenoxide, pentachlorophenol laurate, quinoclamine, sulfuric acid, urea sulfate, etc.

(11) Those which are believed to exhibit herbicidal effects by being parasitic on plants, such as Xanthomonas campestris, Epicoccossirus nematosorus, Epicoccossirus nematosperus, Exserohilum monoseras or Drechsrela monoceras.

The herbicidal composition of the present invention is capable of controlling a wide range of undesired weeds, such as gramineae such as barnyardgrass (Echinochloa crus-galli L., Echinochloa oryzicola vasing.), crabgrass (Digitaria sanguinalis L., Digitaria ischaemum Muhl., Digitaria adscendens Henr., Digitaria microbachne Henr., Digitaria horizontalis Willd.), green foxtail (Setaria viridis L.), giant foxtail (Setaria faberi Herrm.), yellow foxtail (Setaria lutescens Hubb.), goosegrass (Eleusine indica L.), wild oat (Avena fatua L.), johnsongrass (Sorghum halepense L.), quackgrass (Agropyron repens L.), alexandergrass (Brachiaria plantaginea), guineagrass (Panicum maximum Jacq.), paragrass (Panicum purpurascens), sprangletop (Leptochloa chinensis), red sprangletop (Leptochloa panicea), annual bluegrass (Poa annua L.), black grass (Alopecurus myosuroides Huds.), cholorado bluestem (Agropyron tsukushiense (Honda) Ohwi), broadleaf signalgrass (Brachiaria platyphylla Nash), southern sandbur (Cenchrus echinatus L.), italian ryegrass (Lolium multiflorum Lam.), and bermudagrass (Cynodon dactylon Pers.); cyperaceae such as rice flatsedge (Cyperus iria L.), purple nutsedge (Cyperus rotundus L.), yellow nutsedge (Cyperus esculentus L.), Japanese bulrush (Scirpus juncoides), flatsedge (Cyperus serotinus), small-flower umbrellaplant (Cyperus difformis), slender spikerush (Eleocharis acicularis), and water chestnut (Eleocharis kuroguwai); alismataceae such as Japanese ribbon waparo (Sagittaria pygmaea), arrow-head (Sagittaria trifolia), and narrowleaf waterplantain (Alisma canaliculatum); pontederiaceae such as monochoria (Monochoria vaginalis), and monochoria species (Monochoria korsakowii); scrophulariaceae such as false pimpernel (Lindernia pyxidaria), and abunome (Dopatrium junceum); lythraceae such as toothcup (Rotala india), and red stem (Ammannia multiflora); elatinaceae such as long stem waterwort (Elatine triandra SCHK.); malvaceae such as velvetleaf (Abutilon theophrasti

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MEDIC.), and prickly sida (Sida spinosa L.); compositae such as common cocklebur (Xanthium strumarium L.), common ragweed (Ambrosia elatior L.), thistle (Breea setosa (BIEB.) KITAM.), hairy galinsoga (Galinsoga ciliata Blake), wild chamomile (Matricaria chamomilla L.); solanaceae such as black nightshade (Solanum nigrum L.), and
 5 jimsonweed (Datura stramonium); amaranthaceae such as slender amaranth (Amaranthus viridis L.), and redroot pigweed (Amaranthus retroflexus L.); polygonaceae such as pale smartweed (Polygonum lapathifolium L.), ladythumb (Polygonum persicaria L.), wild buckwheat (Polygonum convolvulus L.), and knotweed (Polygonum aviculare L.); cruciferae such as flexuous bittercress (Cardamine flexuosa
 10 WITH.), shepherd's-purse (Capsella bursa-pastoris Medik.), and indian mustard (Brassica juncea Czern.); convolvulaceae such as tall morningglory (Ipomoea purpurea L.), field bindweed (Calystegia arvensis L.), and ivyleaf morningglory (Ipomoea hederacea Jacq.); Chenopodiaceae such as common lambsquarters (Chenopodium album L.), and mexican burningbush (Kochia scoparia Schrad.); Portulacaceae such as
 15 common purslane (Portulaca oleracea L.); leguminosae such as sicklepod (Cassia obtusifolia L.); caryophyllaceae such as common chickweed (Stellaria media L.); labiatae such as henbit (Lamium amplexicaule L.); rubiaceae such as catchweed (Galium spurium L.); euphorbiaceae such as threeseeded copperleaf (Acalypha australis L.); and Commelinaceae such as common dayflower (Commelina communis
 20 L.).

Therefore, it can be effectively used for selectively controlling noxious weeds or nonselectively controlling noxious weeds in cultivation of useful crops such as corn (Zea mays L.), soybean (Glycine max Merr.), cotton (Gossypium spp.), wheat (Triticum spp.), rice (Oryza sativa L.), barley (Hordeum vulgare L.), rye (Secale cereale L.), oat (Avena sativa L.), sorgo (Sorghum bicolor Moench), rape (Brassica napus L.), sunflower (Helianthus annuus L.), sugar beet (Beta vulgaris L.), sugar cane (Saccharum officinarum L.), japanese lawnggrass (Zoysia japonica stend), peanut (Arachis hypogaea L.), flax (Linum usitatissimum L.), tobacco (Nicotiana tabacum L.), and coffee (Coffea spp.). Particularly, the herbicidal composition of the present invention is effectively
 25 used for selectively controlling noxious weeds in cultivation of corn, soybean, cotton, wheat, rice, rape, sunflower, sugar beet, sugar cane, japanese lawnggrass, peanut, flax, tobacco, coffee, and the like, and among these, especially corn, wheat, rice, japanese lawnggrass and the like. Its application range extends not only to crop plant fields but also to agricultural fields such as orchards and mulberry fields and non-agricultural
 30 fields such as forest land, farm roads, play grounds, factory sites and lawn fields.

Now, among the compounds of the formula (I), typical examples of the compound wherein T is T¹, Q is -C(O)SR³, and Z is Z¹, are shown in Table a1; typical examples of the compound wherein T is T¹, Q is hydrogen, and Z is Z¹, are shown in Table a2; typical examples of the compound wherein T is T², and Z is Z¹, are shown in Table a3;
 40 typical examples of the compound wherein T is T¹, Q is -A-O-C(O)OR¹⁰, and Z is Z¹, are shown in Table a4; and typical examples of the compound wherein T is T³, and Z is Z², are shown in Table a5. However, the compounds of the formula (I) in the present invention are not limited thereto. These compounds can be prepared in accordance with various production methods disclosed in e.g. WO2007/069771, U.S. Patent No.
 45 6,376,429, WO2008/065907 and WO2001/094339. Further, the following compound No. 4-320 can be prepared in accordance with the following Reference Preparation Example.

REFERENCE PREPARATION EXAMPLE

Preparation of 1-(1-ethyl-4-(3-(2-methoxyethoxy)-2-methyl-4-(methylsulfonyl)benzoyl)-1H-pyrazol-5-yloxy)ethyl methyl carbonate (the following Compound No. 4-320)

5-Hydroxy-1-ethylpyrazol-4-yl 3-(2-methoxyethoxy)-2-methyl-4-(methylsulfonyl)phenyl ketone (300 mg) was dissolved in 2-butanone (10 mL), and
5 potassium carbonate (130 mg) and tetrabutylammonium bromide (15 mg) were added. After stirring at room temperature for 10 minutes, 1-chloroethyl methyl carbonate (purity: 85%, 270 mg) was added at room temperature, followed by heating and refluxing for 3 hours. After completion of the reaction, the reaction mixture was cooled to room temperature and poured into water and then extracted with ethyl acetate. The organic
10 layer was washed with 1N hydrochloric acid and a saturated sodium chloride aqueous solution, followed by drying over anhydrous sodium sulfate. The solvent was distilled off under reduced pressure. The obtained residue was purified by column chromatography with n-hexane:ethyl acetate=1:1, to obtain the desired product (180 mg) as slightly yellow solid. The NMR spectrum data of this product are as follows.
15 ¹H=NMR δppm (measuring instrument:JEOL-GSX(400MHz), solvent:CDCl₃)
1.40(3H,t,J=7.2Hz), 1.77(3H,d,J=5.2Hz), 2.35(3H,s), 2.94(3H,s), 3.46(3H,s), 3.71(3H,s), 3.80(2H,t,J=4.4Hz), 4.05(2H,m), 4.24(2H,t,J=4.4Hz), 6.78(1H,q,J=5.2Hz), 7.26(1H,d,J=7.6Hz), 7.28(1H,s), 7.88(1H,d,J=7.6Hz).

In Tables a1 to a5, No. represents a compound No. Further, in Tables a1 to a5,
20 Me represents a methyl group, Et an ethyl group, n-Pr a n-propyl group, i-Pr an isopropyl group, c-Pr a cyclopropyl group, s-Bu a secondary butyl group, t-Bu a tertiary butyl group, and Bn a benzyl group. Further, the left hand side of -A- is bonded to the pyrazole side, and the right hand side of -A- is bonded to the carbonate side.

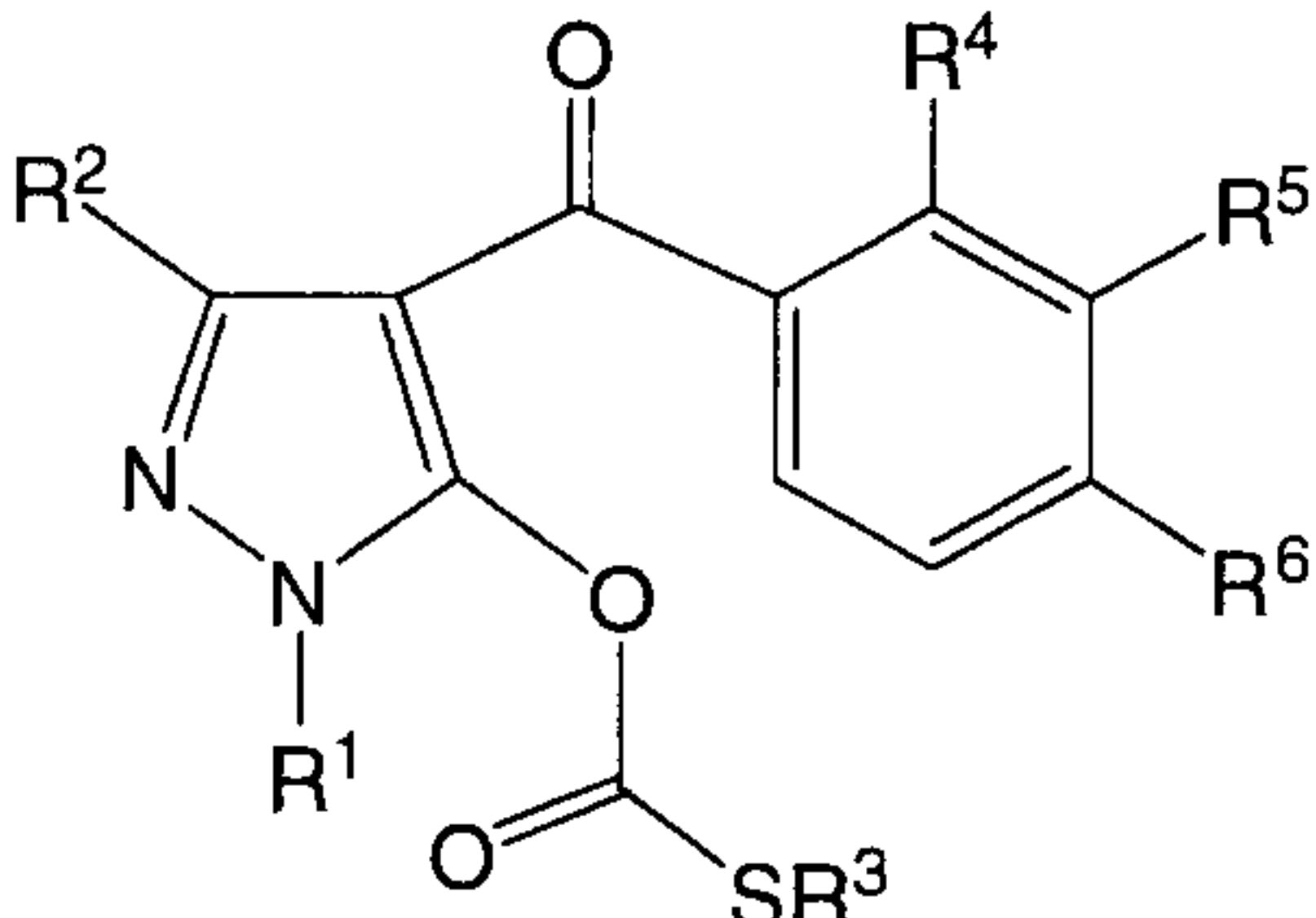
						
Table a1						
No.	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶
1	Me	H	Et	Me	CO ₂ Me	SO ₂ Me
2	Et	H	Et	Me	CO ₂ Me	SO ₂ Me
3	Me	H	Me	Me	CO ₂ Me	SO ₂ Me
4	Et	H	Me	Me	CO ₂ Me	SO ₂ Me
5	n-Pr	H	Et	Me	CO ₂ Me	SO ₂ Me
6	c-Pr	H	Et	Me	CO ₂ Me	SO ₂ Me
7	n-Pr	H	Me	Me	CO ₂ Me	SO ₂ Me
8	c-Pr	H	Me	Me	CO ₂ Me	SO ₂ Me
9	t-Bu	H	Et	Me	CO ₂ Me	SO ₂ Me
10	t-Bu	H	Me	Me	CO ₂ Me	SO ₂ Me
11	Me	Me	Et	Me	CO ₂ Me	SO ₂ Me
12	Et	H	Et	Me	CO ₂ (i-Pr)	SO ₂ Me
13	Me	H	Et	Me	CO ₂ Et	SO ₂ Me
14	Et	H	Et	Me	CO ₂ Me	NO ₂
15	Et	H	Et	SO ₂ Me	CO ₂ Me	CF ₃
16	Et	H	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Me
17	Et	H	Et	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me
18	Et	H	Et	Me	CO ₂ Me	CN
19	Me	H	Et	Me	C(O)SMe	SO ₂ Me
20	Et	H	Et	Me	C(O)SMe	SO ₂ Me
21	Me	H	Me	Me	C(O)SEt	SO ₂ Me
22	Et	H	Me	Me	C(O)SEt	SO ₂ Me
23	Me	H	Et	Me	2-(2-Oxolanyl)ethoxy	SO ₂ Me
24	Me	H	Et	Me	2-(2-(1,3-Dioxolanyl))ethoxy	SO ₂ Me
25	Et	H	Et	Me	CH ₂ OMe	SO ₂ Me
26	Et	H	Et	Me	2-Oxolanylmethoxymethyl	SO ₂ Me
27	Me	H	Et	Cl	CO ₂ Me	SO ₂ Me
28	Et	H	Et	Cl	CO ₂ Me	SO ₂ Et
29	Me	H	Me	Cl	CO ₂ Me	SO ₂ Me

Table a1 (continued)

No.	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶
30	Et	H	Me	Br	CO ₂ Me	SO ₂ Me
31	Me	H	Et	Cl	C(O)SMe	SO ₂ Me
32	Et	H	Et	Cl	C(O)SMe	SO ₂ Me
33	Me	H	Et	Cl	C(O)SEt	SO ₂ Me
34	Et	H	Et	Cl	C(O)SEt	SO ₂ Me
35	Me	H	Et	Me	OMe	SO ₂ Me
36	Me	H	Et	Me	OEt	SO ₂ Me
37	Me	H	Et	Me	O(i-Pr)	SO ₂ Me
38	Me	H	Et	Me	OCHF ₂	SO ₂ Me
39	Me	H	Et	Me	O(n-Pr)	SO ₂ Et
40	Me	H	Et	Cl	CH ₂ OMe	SO ₂ Me
41	Me	H	Et	Me	OCO ₂ Me	SO ₂ Me
42	Et	H	Et	Me	OCO ₂ Me	SO ₂ Me
43	Me	H	Me	Me	OCO ₂ Me	SO ₂ Me
44	Et	H	Me	Me	OCO ₂ Me	SO ₂ Me
45	Me	H	Et	Me	OC(O)SMe	SO ₂ Me
46	Et	H	Et	Me	OC(O)SMe	SO ₂ Me
47	Me	H	Me	Me	OC(O)SMe	SO ₂ Me
48	Et	H	Me	Me	OC(O)SMe	SO ₂ Me
49	Me	H	Et	Me	OC(O)SEt	SO ₂ Me
50	Et	H	Et	Me	OC(O)SEt	SO ₂ Me
51	Me	H	Me	Me	OC(O)SEt	SO ₂ Me
52	Et	H	Me	Me	OC(O)SEt	SO ₂ Me
53	Me	H	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Me
54	Me	H	Me	Me	OCH ₂ CH ₂ OMe	SO ₂ Et
55	Me	H	Et	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me
56	Et	H	Et	Me	OEt	SO ₂ Me
57	Et	H	Et	Cl	CO ₂ Et	SO ₂ Me
58	Et	H	Et	Cl	CO ₂ (n-Pr)	SO ₂ Me
59	Et	H	Et	Me	CO ₂ Et	SO ₂ Me
60	Et	H	Me	Me	CO ₂ Et	SO ₂ Me
61	Me	H	Et	Me	CH ₂ OMe	SO ₂ Me
62	Me	H	Et	Me	CH ₂ CO ₂ Me	SO ₂ Me
63	Me	H	Et	Me	OCH ₂ CO ₂ Et	SO ₂ Me
64	Me	H	Et	Me	O(n-Pr)	SO ₂ Me

Table a1 (continued)

No.	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶
65	Et	H	Et	Me	O(n-Pr)	SO ₂ Me
66	Et	H	Et	SO ₂ Me	H	CF ₃
67	Me	H	Et	Me	CH ₂ OCH ₂ CF ₃	SO ₂ Me
68	Me	H	Et	Cl	CH ₂ OCH ₂ CF ₃	SO ₂ Me
69	Et	H	Et	Me	Cl	SO ₂ Me
70	Me	H	Et	Me	CH ₂ SO ₂ Me	SO ₂ Me
71	Me	H	Et	Me	CH ₂ OEt	SO ₂ Me
72	Me	H	Me	Cl	CH ₂ OMe	SO ₂ Me
73	Me	H	Et	Me	CH ₂ CH ₂ OMe	SO ₂ Me
74	Me	H	Et	Me	CH ₂ OCH ₂ CH ₂ OMe	SO ₂ Me
75	Me	H	Et	Me	OCH ₂ CH ₂ OEt	SO ₂ Me
76	Me	H	Et	Me	OCH ₂ CH ₂ Cl	SO ₂ Me
77	Me	H	Et	Me	OCH ₂ CF ₃	SO ₂ Me
78	Me	H	Et	Me	CH ₂ OCH ₂ OMe	SO ₂ Me
79	Me	H	Et	Me	OCH ₂ CH ₂ SMe	SO ₂ Me
80	Me	H	Et	Me	CN	SO ₂ Me
81	Me	H	Et	Me	CH ₂ CN	SO ₂ Me
82	Me	H	n-Pr	Me	CO ₂ Me	SO ₂ Me
83	Et	H	n-Pr	Me	CO ₂ Me	SO ₂ Me
84	Me	H	i-Pr	Me	CO ₂ Me	SO ₂ Me
85	Et	H	i-Pr	Me	CO ₂ Me	SO ₂ Me
86	Me	H	s-Bu	Me	CO ₂ Me	SO ₂ Me
87	Et	H	s-Bu	Me	CO ₂ Me	SO ₂ Me
88	Me	H	t-Bu	Me	CO ₂ Me	SO ₂ Me
89	Et	H	t-Bu	Me	CO ₂ Me	SO ₂ Me
90	Me	H	Bn	Me	CO ₂ Me	SO ₂ Me
91	Et	H	Bn	Me	CO ₂ Me	SO ₂ Me
92	Me	H	Et	Br	CO ₂ Me	SO ₂ Me
93	Et	H	Et	Cl	CO ₂ Me	SO ₂ Me
94	Me	H	Me	Br	CO ₂ Me	SO ₂ Me
95	Et	H	Me	Cl	CO ₂ Me	SO ₂ Me
96	Me	H	Allyl	Me	CO ₂ Me	SO ₂ Me
97	Et	H	Allyl	Me	CO ₂ Me	SO ₂ Me
98	Me	H	CH ₂ CH(CH ₃)=CH ₂	Me	CO ₂ Me	SO ₂ Me
99	Et	H	CH ₂ CH(CH ₃)=CH ₂	Me	CO ₂ Me	SO ₂ Me
100	Me	H	Et	Cl	OCH ₂ CH ₂ OCF ₃	SO ₂ Me
101	Et	H	Et	Cl	OCH ₂ CH ₂ OCF ₃	SO ₂ Me
102	Me	H	Et	Me	OCH ₂ CH ₂ OCF ₃	SO ₂ Me

Table a1 (continued)

No.	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶
103	Et	H	Et	Me	OCH ₂ CH ₂ OCF ₃	SO ₂ Me
104	Me	H	Et	CF ₃	OCH ₂ CH ₂ OCF ₃	SO ₂ Me
105	Et	H	Et	CF ₃	OCH ₂ CH ₂ OCF ₃	SO ₂ Me
106	Me	H	Et	Br	OCH ₂ CH ₂ OCF ₃	SO ₂ Me
107	Et	H	Et	Br	OCH ₂ CH ₂ OCF ₃	SO ₂ Me
108	Me	H	Et	SO ₂ Me	OCH ₂ CH ₂ OCF ₃	CF ₃
109	Et	H	Et	SO ₂ Me	OCH ₂ CH ₂ OCF ₃	CF ₃
110	Me	H	Et	Cl	OCH ₂ CH ₂ OCHClF	SO ₂ Me
111	Et	H	Et	Cl	OCH ₂ CH ₂ OCHClF	SO ₂ Me
112	Me	H	Et	Me	OCH ₂ CH ₂ OCHClF	SO ₂ Me
113	Et	H	Et	Me	OCH ₂ CH ₂ OCHClF	SO ₂ Me
114	Me	H	Et	CF ₃	OCH ₂ CH ₂ OCHClF	SO ₂ Me
115	Et	H	Et	CF ₃	OCH ₂ CH ₂ OCHClF	SO ₂ Me
116	Me	H	Et	Br	OCH ₂ CH ₂ OCHClF	SO ₂ Me
117	Et	H	Et	Br	OCH ₂ CH ₂ OCHClF	SO ₂ Me
118	Me	H	Et	SO ₂ Me	OCH ₂ CH ₂ OCHClF	CF ₃
119	Et	H	Et	SO ₂ Me	OCH ₂ CH ₂ OCHClF	CF ₃
120	Me	H	Et	Cl	OCH ₂ CHFOCF ₃	SO ₂ Me
121	Et	H	Et	Cl	OCH ₂ CHFOCF ₃	SO ₂ Me
122	Me	H	Et	Me	OCH ₂ CHFOCF ₃	SO ₂ Me
123	Me	H	Et	Cl	OCH ₂ CHFOMe	SO ₂ Me
124	Et	H	Et	Cl	OCH ₂ CHFOMe	SO ₂ Me
125	Me	H	Et	Me	OCH ₂ CHFOMe	SO ₂ Me
126	Et	H	Et	Me	OCH ₂ CHFOMe	SO ₂ Me
127	Me	H	Et	CF ₃	OCH ₂ CHFOMe	SO ₂ Me
128	Et	H	Et	CF ₃	OCH ₂ CHFOMe	SO ₂ Me
129	Me	H	Et	Br	OCH ₂ CHFOMe	SO ₂ Me
130	Et	H	Et	Br	OCH ₂ CHFOMe	SO ₂ Me
131	Me	H	Et	SO ₂ Me	OCH ₂ CHFOMe	CF ₃
132	Et	H	Et	SO ₂ Me	OCH ₂ CHFOMe	CF ₃
133	Me	H	Et	Cl	OCHFCH ₂ OCF ₃	SO ₂ Me
134	Et	H	Et	Cl	OCHFCH ₂ OCF ₃	SO ₂ Me
135	Me	H	Et	Cl	OCH ₂ CH ₂ OCF ₂ Cl	SO ₂ Me
136	Et	H	Et	Cl	OCH ₂ CH ₂ OCF ₂ Cl	SO ₂ Me
137	Me	H	Et	Me	OCH ₂ CH ₂ OCF ₂ Cl	SO ₂ Me
138	Et	H	Et	Me	OCH ₂ CH ₂ OCF ₂ Cl	SO ₂ Me
139	Me	H	Et	CF ₃	OCH ₂ CH ₂ OCF ₂ Cl	SO ₂ Me

Table a1 (continued)

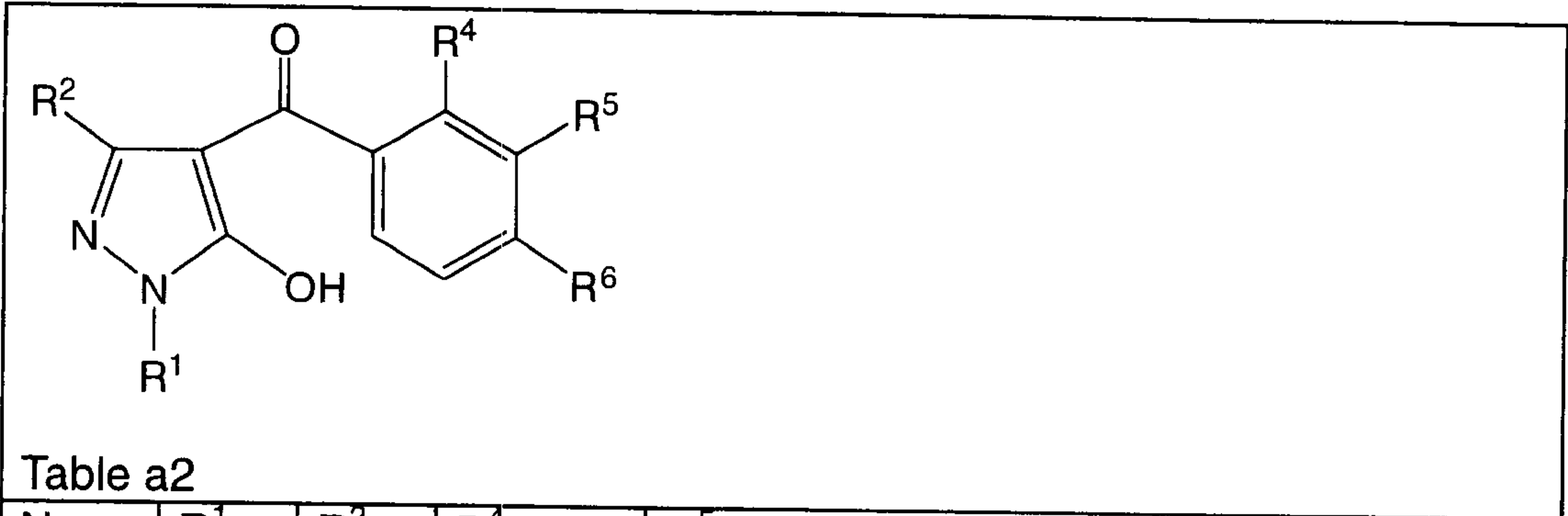
No.	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶
140	Et	H	Et	CF ₃	OCH ₂ CH ₂ OCF ₂ Cl	SO ₂ Me
141	Me	H	Et	Br	OCH ₂ CH ₂ OCF ₂ Cl	SO ₂ Me
142	Et	H	Et	Br	OCH ₂ CH ₂ OCF ₂ Cl	SO ₂ Me
143	Me	H	Et	SO ₂ Me	OCH ₂ CH ₂ OCF ₂ Cl	CF ₃
144	Et	H	Et	SO ₂ Me	OCH ₂ CH ₂ OCF ₂ Cl	CF ₃
145	Me	H	Et	Cl	SCH ₂ CH ₂ OCH ₃	SO ₂ Me
146	Et	H	Et	Cl	SCH ₂ CH ₂ OCH ₃	SO ₂ Me
147	Me	H	Et	Me	SCH ₂ CH ₂ OCH ₃	SO ₂ Me
148	Et	H	Et	Me	SCH ₂ CH ₂ OCH ₃	SO ₂ Me
149	Me	H	Et	CF ₃	SCH ₂ CH ₂ OCH ₃	SO ₂ Me
150	Et	H	Et	CF ₃	SCH ₂ CH ₂ OCH ₃	SO ₂ Me
151	Me	H	Et	Br	SCH ₂ CH ₂ OCH ₃	SO ₂ Me
152	Et	H	Et	Br	SCH ₂ CH ₂ OCH ₃	SO ₂ Me
153	Me	H	Et	SO ₂ Me	SCH ₂ CH ₂ OCH ₃	CF ₃
154	Et	H	Et	SO ₂ Me	SCH ₂ CH ₂ OCH ₃	CF ₃
155	Me	H	Et	Cl	SCH ₂ CH ₂ OCF ₃	SO ₂ Me
156	Et	H	Et	Cl	SCH ₂ CH ₂ OCF ₃	SO ₂ Me
157	Me	H	Et	Me	SCH ₂ CH ₂ OCF ₃	SO ₂ Me
158	Et	H	Et	Me	SCH ₂ CH ₂ OCF ₃	SO ₂ Me
159	Me	H	Et	CF ₃	SCH ₂ CH ₂ OCF ₃	SO ₂ Me
160	Et	H	Et	CF ₃	SCH ₂ CH ₂ OCF ₃	SO ₂ Me
161	Me	H	Et	Br	SCH ₂ CH ₂ OCF ₃	SO ₂ Me
162	Et	H	Et	Br	SCH ₂ CH ₂ OCF ₃	SO ₂ Me
163	Me	H	Et	SO ₂ Me	SCH ₂ CH ₂ OCF ₃	CF ₃
164	Et	H	Et	SO ₂ Me	SCH ₂ CH ₂ OCF ₃	CF ₃
165	Me	H	Et	Cl	SCH ₂ CH ₂ SCH ₃	SO ₂ Me
166	Et	H	Et	Cl	SCH ₂ CH ₂ SCH ₃	SO ₂ Me
167	Me	H	Et	Me	SCH ₂ CH ₂ SCH ₃	SO ₂ Me
168	Et	H	Et	Me	SCH ₂ CH ₂ SCH ₃	SO ₂ Me
169	Me	H	Et	CF ₃	SCH ₂ CH ₂ SCH ₃	SO ₂ Me
170	Et	H	Et	CF ₃	SCH ₂ CH ₂ SCH ₃	SO ₂ Me
171	Me	H	Et	Br	SCH ₂ CH ₂ SCH ₃	SO ₂ Me
172	Et	H	Et	Br	SCH ₂ CH ₂ SCH ₃	SO ₂ Me
173	Me	H	Et	SO ₂ Me	SCH ₂ CH ₂ SCH ₃	CF ₃
174	Et	H	Et	SO ₂ Me	SCH ₂ CH ₂ SCH ₃	CF ₃
175	Me	H	Et	Cl	SCH ₂ CH ₂ SCF ₃	SO ₂ Me
176	Et	H	Et	Cl	SCH ₂ CH ₂ SCF ₃	SO ₂ Me

Table a1 (continued)

No.	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶
177	Me	H	Et	Me	SCH ₂ CH ₂ SCF ₃	SO ₂ Me
178	Et	H	Et	Me	SCH ₂ CH ₂ SCF ₃	SO ₂ Me
179	Me	H	Et	CF ₃	SCH ₂ CH ₂ SCF ₃	SO ₂ Me
180	Et	H	Et	CF ₃	SCH ₂ CH ₂ SCF ₃	SO ₂ Me
181	Me	H	Et	Br	SCH ₂ CH ₂ SCF ₃	SO ₂ Me
182	Et	H	Et	Br	SCH ₂ CH ₂ SCF ₃	SO ₂ Me
183	Me	H	Et	SO ₂ Me	SCH ₂ CH ₂ SCF ₃	CF ₃
184	Et	H	Et	SO ₂ Me	SCH ₂ CH ₂ SCF ₃	CF ₃
185	Me	H	Et	Cl	OCH ₂ CH(CH ₃)OCH ₃	SO ₂ Me
186	Et	H	Et	Cl	OCH ₂ CH(CH ₃)OCH ₃	SO ₂ Me
187	Me	H	Et	Me	OCH ₂ CH(CH ₃)OCH ₃	SO ₂ Me
188	Et	H	Et	Me	OCH ₂ CH(CH ₃)OCH ₃	SO ₂ Me
189	Me	H	Et	CF ₃	OCH ₂ CH(CH ₃)OCH ₃	SO ₂ Me
190	Et	H	Et	CF ₃	OCH ₂ CH(CH ₃)OCH ₃	SO ₂ Me
191	Me	H	Et	Br	OCH ₂ CH(CH ₃)OCH ₃	SO ₂ Me
192	Et	H	Et	Br	OCH ₂ CH(CH ₃)OCH ₃	SO ₂ Me
193	Me	H	Et	SO ₂ Me	OCH ₂ CH(CH ₃)OCH ₃	CF ₃
194	Et	H	Et	SO ₂ Me	OCH ₂ CH(CH ₃)OCH ₃	CF ₃
195	Me	H	Et	Cl	OCH ₂ CF ₂ OCH ₃	SO ₂ Me
196	Et	H	Et	Cl	OCH ₂ CF ₂ OCH ₃	SO ₂ Me
197	Me	H	Et	Me	OCH ₂ CF ₂ OCH ₃	SO ₂ Me
198	Et	H	Et	Me	OCH ₂ CF ₂ OCH ₃	SO ₂ Me
199	Me	H	Et	CF ₃	OCH ₂ CF ₂ OCH ₃	SO ₂ Me
200	Et	H	Et	CF ₃	OCH ₂ CF ₂ OCH ₃	SO ₂ Me
201	Me	H	Et	Br	OCH ₂ CF ₂ OCH ₃	SO ₂ Me
202	Et	H	Et	Br	OCH ₂ CF ₂ OCH ₃	SO ₂ Me
203	Me	H	Et	SO ₂ Me	OCH ₂ CF ₂ OCH ₃	CF ₃
204	Et	H	Et	SO ₂ Me	OCH ₂ CF ₂ OCH ₃	CF ₃
205	Me	H	i-Pr	Me	OCH ₂ CH ₂ OCH ₃	SO ₂ Me
206	Et	H	i-Pr	Me	OCH ₂ CH ₂ OCH ₃	SO ₂ Me
207	Me	H	Et	Me	OCH ₂ CH(OCH ₃) ₂	SO ₂ Me
208	Me	H	Et	Me	CH ₂ N(Me)CH ₂ CN	SO ₂ Me
209	Me	H	Et	Me	(Tetrahydrofuran-2-yl)methoxy	SO ₂ Me
210	Me	H	Et	Cl	SMe	SO ₂ Me
211	Me	H	Et	Cl	Cl	SO ₂ Me

Table a1 (continued)

No.	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶
212	Me	H	Et	Cl	OMe	SO ₂ Me
213	Me	H	Et	Me	(Tetrahydro-2H-pyran-2-yl)methoxy	SO ₂ Me
214	Me	H	Et	Me	Tetrahydrofuran-3-yloxy	SO ₂ Me
215	Me	H	Et	Me	OCH ₂ CH ₂ CH ₂ OMe	SO ₂ Me
216	Me	H	n-Pr	Me	OCH ₂ CH ₂ OMe	SO ₂ Me
217	Et	H	s-Bu	Cl	C(O)OMe	SO ₂ Me
218	Et	H	Et	Cl	2-(1,3-Dioxolan-2-yl)ethoxy	SO ₂ Me
219	Me	H	Et	Me	Propargyloxy	SO ₂ Me
220	Me	H	Et	Me	(Tetrahydrofuran-3-yloxy)methyl	SO ₂ Me
221	Me	H	Et	Cl	SO ₂ Me	SO ₂ Me
222	Me	H	Et	Me	(CH ₂) ₆ Me	SO ₂ Me
223	Me	H	Et	Me	CH ₂ CH ₂ CH ₂ OMe	SO ₂ Me
224	Et	H	Et	Cl	(1,3-Dioxolan-2-yl)methoxy	SO ₂ Me
225	Me	H	Et	Me	CH ₂ N[C(O)SEt]CH ₂ CN	SO ₂ Me
226	Me	H	Et	Me	CH=CHCN	SO ₂ Me
227	Me	H	Et	Me	CH ₂ CH ₂ CN	SO ₂ Me
228	Me	H	Et	Me	CH ₂ SCN	SO ₂ Me
229	Me	H	Et	Me	CH ₂ C(S)NH ₂	SO ₂ Me
230	Me	H	Me	Me	OCH ₂ CH ₂ OMe	SO ₂ Me
231	Et	H	Me	Me	OCH ₂ CH ₂ OMe	SO ₂ Me
232	Et	H	n-Pr	Me	OCH ₂ CH ₂ OMe	SO ₂ Me
233	Me	H	Et	Me	OCH(CH ₃)CH ₂ OMe	SO ₂ Me
234	Et	H	Et	Me	OCH ₂ CH(Et)OMe	SO ₂ Me
235	Me	H	Et	Me	(1,3-Dioxolan-2-yl)methyl	SO ₂ Me
236	Me	H	s-Bu	Me	OCH ₂ CH ₂ OMe	SO ₂ Me
237	Me	H	Et	Me	CH ₂ O(i-Pr)	SO ₂ Me
238	Me	H	t-Bu	Me	OCH ₂ CH ₂ OMe	SO ₂ Me
239	Me	H	CH ₂ CO ₂ Me	Me	OCH ₂ CH ₂ OMe	SO ₂ Me
240	Et	H	c-Pr	Me	CO ₂ Me	SO ₂ Me
241	Et	H	c-Pr	Me	CO ₂ (i-Pr)	SO ₂ Me



No.	R ¹	R ²	R ⁴	R ⁵	R ⁶
2-1	Me	H	Me	CO ₂ Me	SO ₂ Me
2-2	Et	H	Me	CO ₂ Me	SO ₂ Me
2-3	Et	H	Me	CO ₂ (i-Pr)	SO ₂ Me
2-4	Me	H	Cl	CO ₂ Et	SO ₂ Me
2-5	Et	H	Me	CO ₂ Me	CF ₃
2-6	Et	H	Me	OCH ₂ CH ₂ OMe	SO ₂ Me
2-7	Et	H	SO ₂ Me	CO ₂ Me	CN
2-8	Me	H	Me	C(O)SMe	SO ₂ Me
2-9	Me	H	Me	C(O)SEt	SO ₂ Me
2-10	Me	H	Me	2-(2-Oxolanyl)ethoxy	SO ₂ Me
2-11	Me	H	Me	2-(2-(1,3-Dioxolanyl))ethoxy	SO ₂ Me
2-12	Et	H	Me	CH ₂ OMe	SO ₂ Me
2-13	Et	H	Me	2-Oxolanylmethoxymethyl	SO ₂ Me
2-14	Me	H	Cl	CO ₂ Me	SO ₂ Me
2-15	Et	H	Cl	CO ₂ Me	SO ₂ Et
2-16	Me	H	Cl	C(O)SMe	SO ₂ Me
2-17	Me	H	Cl	C(O)SEt	SO ₂ Me
2-18	Me	H	Me	OMe	SO ₂ Me
2-19	Me	H	Me	OEt	SO ₂ Me
2-20	Me	H	Me	O(i-Pr)	SO ₂ Me
2-21	Me	H	Me	OCHF ₂	SO ₂ Me
2-22	Me	H	Me	O(n-Pr)	SO ₂ Et

Table a2 (continued)

No.	R ¹	R ²	R ⁴	R ⁵	R ⁶
2-23	Me	H	Cl	CH ₂ OMe	SO ₂ Me
2-24	Me	H	Me	OCO ₂ Me	SO ₂ Me
2-25	Me	H	Me	OC(O)SMe	SO ₂ Me
2-26	Me	H	Me	OC(O)SEt	SO ₂ Me
2-27	Me	H	Me	OCH ₂ CH ₂ OMe	SO ₂ Me
2-28	Et	H	Me	OEt	SO ₂ Me
2-29	Et	H	Cl	CO ₂ Et	SO ₂ Me
2-30	Et	H	Cl	CO ₂ (n-Pr)	SO ₂ Me
2-31	Et	H	Me	CO ₂ Et	SO ₂ Me
2-32	Me	H	Me	CH ₂ CO ₂ Me	SO ₂ Me
2-33	Me	H	Me	OCH ₂ CO ₂ Et	SO ₂ Me
2-34	Me	H	Me	O(n-Pr)	SO ₂ Me
2-35	Me	H	Me	CH ₂ OCH ₂ CF ₃	SO ₂ Me
2-36	Me	H	Cl	CH ₂ OCH ₂ CF ₃	SO ₂ Me
2-37	Et	H	Me	Cl	SO ₂ Me
2-38	Me	H	Me	CH ₂ SO ₂ Me	SO ₂ Me
2-39	Me	H	Me	CH ₂ OEt	SO ₂ Me
2-40	Me	H	Cl	CH ₂ OMe	SO ₂ Me
2-41	Me	H	Me	CH ₂ CH ₂ OMe	SO ₂ Me
2-42	Me	H	Me	CH ₂ OCH ₂ CH ₂ OMe	SO ₂ Me
2-43	Me	H	Me	OCH ₂ CH ₂ OEt	SO ₂ Me
2-44	Me	H	Me	OCH ₂ CH ₂ Cl	SO ₂ Me
2-45	Me	H	Me	OCH ₂ CF ₃	SO ₂ Me
2-46	Me	H	Me	CH ₂ OCH ₂ OMe	SO ₂ Me
2-47	Me	H	Me	OCH ₂ CH ₂ SMe	SO ₂ Me
2-48	Me	H	Me	CN	SO ₂ Me
2-49	Me	H	Me	CH ₂ CN	SO ₂ Me
2-50	Me	H	Br	CO ₂ Me	SO ₂ Me
2-51	Et	H	Cl	CO ₂ Me	SO ₂ Me
2-52	Me	H	Cl	OCH ₂ CH ₂ OCF ₃	SO ₂ Me
2-53	Et	H	Cl	OCH ₂ CH ₂ OCF ₃	SO ₂ Me
2-54	Me	H	Me	OCH ₂ CH ₂ OCF ₃	SO ₂ Me

Table a2 (continued)

No.	R ¹	R ²	R ⁴	R ⁵	R ⁶
2-55	Et	H	Me	OCH ₂ CH ₂ OCF ₃	SO ₂ Me
2-56	Me	H	CF ₃	OCH ₂ CH ₂ OCF ₃	SO ₂ Me
2-57	Et	H	CF ₃	OCH ₂ CH ₂ OCF ₃	SO ₂ Me
2-58	Me	H	Br	OCH ₂ CH ₂ OCF ₃	SO ₂ Me
2-59	Et	H	Br	OCH ₂ CH ₂ OCF ₃	SO ₂ Me
2-60	Me	H	SO ₂ Me	OCH ₂ CH ₂ OCF ₃	CF ₃
2-61	Et	H	SO ₂ Me	OCH ₂ CH ₂ OCF ₃	CF ₃
2-62	Me	H	Cl	OCH ₂ CH ₂ OCHClF	SO ₂ Me
2-63	Et	H	Cl	OCH ₂ CH ₂ OCHClF	SO ₂ Me
2-64	Me	H	Me	OCH ₂ CH ₂ OCHClF	SO ₂ Me
2-65	Et	H	Me	OCH ₂ CH ₂ OCHClF	SO ₂ Me
2-66	Me	H	CF ₃	OCH ₂ CH ₂ OCHClF	SO ₂ Me
2-67	Et	H	CF ₃	OCH ₂ CH ₂ OCHClF	SO ₂ Me
2-68	Me	H	Br	OCH ₂ CH ₂ OCHClF	SO ₂ Me
2-69	Et	H	Br	OCH ₂ CH ₂ OCHClF	SO ₂ Me
2-70	Me	H	SO ₂ Me	OCH ₂ CH ₂ OCHClF	CF ₃
2-71	Et	H	SO ₂ Me	OCH ₂ CH ₂ OCHClF	CF ₃
2-72	Me	H	Cl	OCH ₂ CHFOCF ₃	SO ₂ Me
2-73	Et	H	Cl	OCH ₂ CHFOCF ₃	SO ₂ Me
2-74	Me	H	Me	OCH ₂ CHFOCF ₃	SO ₂ Me
2-75	Me	H	Cl	OCH ₂ CHFOMe	SO ₂ Me
2-76	Et	H	Cl	OCH ₂ CHFOMe	SO ₂ Me
2-77	Me	H	Me	OCH ₂ CHFOMe	SO ₂ Me
2-78	Et	H	Me	OCH ₂ CHFOMe	SO ₂ Me
2-79	Me	H	CF ₃	OCH ₂ CHFOMe	SO ₂ Me
2-80	Et	H	CF ₃	OCH ₂ CHFOMe	SO ₂ Me
2-81	Me	H	Br	OCH ₂ CHFOMe	SO ₂ Me
2-82	Et	H	Br	OCH ₂ CHFOMe	SO ₂ Me
2-83	Me	H	SO ₂ Me	OCH ₂ CHFOMe	CF ₃
2-84	Et	H	SO ₂ Me	OCH ₂ CHFOMe	CF ₃
2-85	Me	H	Cl	OCHFCH ₂ OCF ₃	SO ₂ Me
2-86	Et	H	Cl	OCHFCH ₂ OCF ₃	SO ₂ Me
2-87	Me	H	Cl	OCH ₂ CH ₂ OCF ₂ Cl	SO ₂ Me
2-88	Et	H	Cl	OCH ₂ CH ₂ OCF ₂ Cl	SO ₂ Me
2-89	Me	H	Me	OCH ₂ CH ₂ OCF ₂ Cl	SO ₂ Me
2-90	Et	H	Me	OCH ₂ CH ₂ OCF ₂ Cl	SO ₂ Me
2-91	Me	H	CF ₃	OCH ₂ CH ₂ OCF ₂ Cl	SO ₂ Me
2-92	Et	H	CF ₃	OCH ₂ CH ₂ OCF ₂ Cl	SO ₂ Me

Table a2 (continued)

No.	R ¹	R ²	R ⁴	R ⁵	R ⁶
2-93	Me	H	Br	OCH ₂ CH ₂ OCF ₂ Cl	SO ₂ Me
2-94	Et	H	Br	OCH ₂ CH ₂ OCF ₂ Cl	SO ₂ Me
2-95	Me	H	SO ₂ Me	OCH ₂ CH ₂ OCF ₂ Cl	CF ₃
2-96	Et	H	SO ₂ Me	OCH ₂ CH ₂ OCF ₂ Cl	CF ₃
2-97	Me	H	Cl	SCH ₂ CH ₂ OCH ₃	SO ₂ Me
2-98	Et	H	Cl	SCH ₂ CH ₂ OCH ₃	SO ₂ Me
2-99	Me	H	Me	SCH ₂ CH ₂ OCH ₃	SO ₂ Me
2-100	Et	H	Me	SCH ₂ CH ₂ OCH ₃	SO ₂ Me
2-101	Me	H	CF ₃	SCH ₂ CH ₂ OCH ₃	SO ₂ Me
2-102	Et	H	CF ₃	SCH ₂ CH ₂ OCH ₃	SO ₂ Me
2-103	Me	H	Br	SCH ₂ CH ₂ OCH ₃	SO ₂ Me
2-104	Et	H	Br	SCH ₂ CH ₂ OCH ₃	SO ₂ Me
2-105	Me	H	SO ₂ Me	SCH ₂ CH ₂ OCH ₃	CF ₃
2-106	Et	H	SO ₂ Me	SCH ₂ CH ₂ OCH ₃	CF ₃
2-107	Me	H	Cl	SCH ₂ CH ₂ OCF ₃	SO ₂ Me
2-108	Et	H	Cl	SCH ₂ CH ₂ OCF ₃	SO ₂ Me
2-109	Me	H	Me	SCH ₂ CH ₂ OCF ₃	SO ₂ Me
2-110	Et	H	Me	SCH ₂ CH ₂ OCF ₃	SO ₂ Me
2-111	Me	H	CF ₃	SCH ₂ CH ₂ OCF ₃	SO ₂ Me
2-112	Et	H	CF ₃	SCH ₂ CH ₂ OCF ₃	SO ₂ Me
2-113	Me	H	Br	SCH ₂ CH ₂ OCF ₃	SO ₂ Me
2-114	Et	H	Br	SCH ₂ CH ₂ OCF ₃	SO ₂ Me
2-115	Me	H	SO ₂ Me	SCH ₂ CH ₂ OCF ₃	CF ₃
2-116	Et	H	SO ₂ Me	SCH ₂ CH ₂ OCF ₃	CF ₃
2-117	Me	H	Cl	SCH ₂ CH ₂ SCH ₃	SO ₂ Me
2-118	Et	H	Cl	SCH ₂ CH ₂ SCH ₃	SO ₂ Me
2-119	Me	H	Me	SCH ₂ CH ₂ SCH ₃	SO ₂ Me
2-120	Et	H	Me	SCH ₂ CH ₂ SCH ₃	SO ₂ Me
2-121	Me	H	CF ₃	SCH ₂ CH ₂ SCH ₃	SO ₂ Me
2-122	Et	H	CF ₃	SCH ₂ CH ₂ SCH ₃	SO ₂ Me
2-123	Me	H	Br	SCH ₂ CH ₂ SCH ₃	SO ₂ Me
2-124	Et	H	Br	SCH ₂ CH ₂ SCH ₃	SO ₂ Me
2-125	Me	H	SO ₂ Me	SCH ₂ CH ₂ SCH ₃	CF ₃
2-126	Et	H	SO ₂ Me	SCH ₂ CH ₂ SCH ₃	CF ₃

Table a2 (continued)

No.	R ¹	R ²	R ⁴	R ⁵	R ⁶
2-127	Me	H	Cl	SCH ₂ CH ₂ SCF ₃	SO ₂ Me
2-128	Et	H	Cl	SCH ₂ CH ₂ SCF ₃	SO ₂ Me
2-129	Me	H	Me	SCH ₂ CH ₂ SCF ₃	SO ₂ Me
2-130	Et	H	Me	SCH ₂ CH ₂ SCF ₃	SO ₂ Me
2-131	Me	H	CF ₃	SCH ₂ CH ₂ SCF ₃	SO ₂ Me
2-132	Et	H	CF ₃	SCH ₂ CH ₂ SCF ₃	SO ₂ Me
2-133	Me	H	Br	SCH ₂ CH ₂ SCF ₃	SO ₂ Me
2-134	Et	H	Br	SCH ₂ CH ₂ SCF ₃	SO ₂ Me
2-135	Me	H	SO ₂ Me	SCH ₂ CH ₂ SCF ₃	CF ₃
2-136	Et	H	SO ₂ Me	SCH ₂ CH ₂ SCF ₃	CF ₃
2-137	Me	H	Cl	OCH ₂ CH(CH ₃)OCH ₃	SO ₂ Me
2-138	Et	H	Cl	OCH ₂ CH(CH ₃)OCH ₃	SO ₂ Me
2-139	Me	H	Me	OCH ₂ CH(CH ₃)OCH ₃	SO ₂ Me
2-140	Et	H	Me	OCH ₂ CH(CH ₃)OCH ₃	SO ₂ Me
2-141	Me	H	CF ₃	OCH ₂ CH(CH ₃)OCH ₃	SO ₂ Me
2-142	Et	H	CF ₃	OCH ₂ CH(CH ₃)OCH ₃	SO ₂ Me
2-143	Me	H	Br	OCH ₂ CH(CH ₃)OCH ₃	SO ₂ Me
2-144	Et	H	Br	OCH ₂ CH(CH ₃)OCH ₃	SO ₂ Me
2-145	Me	H	SO ₂ Me	OCH ₂ CH(CH ₃)OCH ₃	CF ₃
2-146	Et	H	SO ₂ Me	OCH ₂ CH(CH ₃)OCH ₃	CF ₃
2-147	Me	H	Cl	OCH ₂ CF ₂ OCH ₃	SO ₂ Me
2-148	Et	H	Cl	OCH ₂ CF ₂ OCH ₃	SO ₂ Me
2-149	Me	H	Me	OCH ₂ CF ₂ OCH ₃	SO ₂ Me
2-150	Et	H	Me	OCH ₂ CF ₂ OCH ₃	SO ₂ Me
2-151	Me	H	CF ₃	OCH ₂ CF ₂ OCH ₃	SO ₂ Me
2-152	Et	H	CF ₃	OCH ₂ CF ₂ OCH ₃	SO ₂ Me
2-153	Me	H	Br	OCH ₂ CF ₂ OCH ₃	SO ₂ Me
2-154	Et	H	Br	OCH ₂ CF ₂ OCH ₃	SO ₂ Me
2-155	Me	H	SO ₂ Me	OCH ₂ CF ₂ OCH ₃	CF ₃
2-156	Et	H	SO ₂ Me	OCH ₂ CF ₂ OCH ₃	CF ₃
2-157	Me	H	Me	OCH ₂ CH ₂ OCH ₃	SO ₂ Me
2-158	Et	H	Me	OCH ₂ CH ₂ OCH ₃	SO ₂ Me
2-159	Me	H	Me	OCH ₂ CH(OCH ₃) ₂	SO ₂ Me
2-160	Me	H	Me	CH ₂ N(Me)CH ₂ CN	SO ₂ Me
2-161	Me	H	Me	(Tetrahydrofuran-2-yl)methoxy	SO ₂ Me

Table a2 (continued)

No.	R ¹	R ²	R ⁴	R ⁵	R ⁶
2-162	Me	H	Cl	SMe	SO ₂ Me
2-163	Me	H	Cl	Cl	SO ₂ Me
2-164	Me	H	Cl	OMe	SO ₂ Me
2-165	Me	H	Me	(Tetrahydro-2H-pyran-2-yl)methoxy	SO ₂ Me
2-166	Me	H	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me
2-167	Me	H	Me	Tetrahydrofuran-3-yloxy	SO ₂ Me
2-168	Me	H	Me	OCH ₂ CH ₂ CH ₂ OMe	SO ₂ Me
2-169	Et	H	Cl	(1,3-Dioxolan-2-yl)ethoxy	SO ₂ Me
2-170	Me	H	Me	Propargyloxy	SO ₂ Me
2-171	Me	H	Me	(Tetrahydrofuran-3-yloxy)methyl	SO ₂ Me
2-172	Me	H	Cl	SO ₂ Me	SO ₂ Me
2-173	Me	H	Me	(CH ₂) ₆ Me	SO ₂ Me
2-174	Me	H	Me	CH ₂ CH ₂ CH ₂ OMe	SO ₂ Me
2-175	Et	H	Cl	(1,3-Dioxolan-2-yl)methoxy	SO ₂ Me
2-176	Me	H	Me	CH ₂ N[C(O)SEt]CH ₂ CN	SO ₂ Me
2-177	Me	H	Me	CH=CHCN	SO ₂ Me
2-178	Me	H	Me	CH ₂ CH ₂ CN	SO ₂ Me
2-179	Me	H	Me	CH ₂ SCN	SO ₂ Me
2-180	Me	H	Me	CH ₂ C(S)NH ₂	SO ₂ Me
2-181	Me	H	Me	OCH(CH ₃)CH ₂ OMe	SO ₂ Me
2-182	Me	H	Me	OCH ₂ CH(Et)OMe	SO ₂ Me
2-183	Me	H	Me	(1,3-Dioxolan-2-yl)methyl	SO ₂ Me
2-184	Me	H	Me	CH ₂ O(i-Pr)	SO ₂ Me
2-185	Me	H	Me	CH ₂ OMe	SO ₂ Me
2-186	Me	H	Me	OCH ₂ CH ₂ CH ₂ CH ₃	SO ₂ Me
2-187	Me	H	Me	OCH ₂ CH(Me) ₂	SO ₂ Me

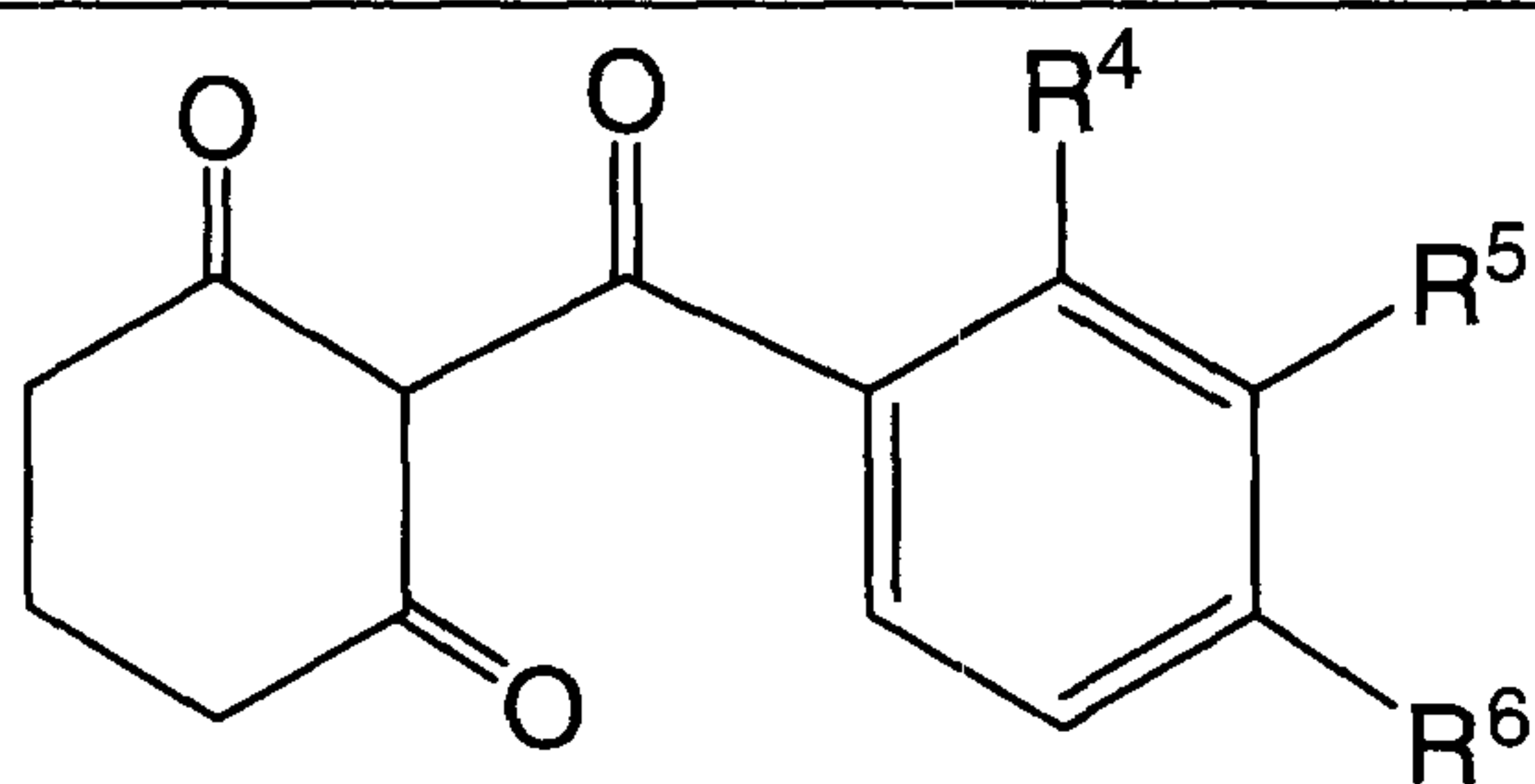


Table a3

No.	R ⁴	R ⁵	R ⁶
3-1	Me	CO ₂ Me	SO ₂ Me
3-2	Me	CO ₂ (i-Pr)	SO ₂ Me
3-3	Cl	CO ₂ Et	SO ₂ Me
3-4	Me	CO ₂ Me	CF ₃
3-5	Me	OCH ₂ CH ₂ OMe	SO ₂ Me
3-6	SO ₂ Me	CO ₂ Me	CN
3-7	Me	C(O)SMe	SO ₂ Me
3-8	Me	C(O)SEt	SO ₂ Me
3-9	Me	2-(2-Oxolanyl)ethoxy	SO ₂ Me
3-10	Me	2-(2-(1,3-Dioxolanyl))ethoxy	SO ₂ Me
3-11	Me	CH ₂ OMe	SO ₂ Me
3-12	Me	2-Oxolanylmethoxymethyl	SO ₂ Me
3-13	Cl	CO ₂ Me	SO ₂ Et
3-14	Cl	C(O)SMe	SO ₂ Me
3-15	Cl	C(O)SEt	SO ₂ Me
3-16	Me	OMe	SO ₂ Me
3-17	Me	OEt	SO ₂ Me
3-18	Me	O(i-Pr)	SO ₂ Me
3-19	Me	OCHF ₂	SO ₂ Me
3-20	Me	O(n-Pr)	SO ₂ Et
3-21	Cl	CH ₂ OMe	SO ₂ Me
3-22	Me	OCO ₂ Me	SO ₂ Me

Table a3 (continued)

No.	R ⁴	R ⁵	R ⁶
3-23	Me	OC(O)SMe	SO ₂ Me
3-24	Me	OC(O)SEt	SO ₂ Me
3-25	Cl	CO ₂ (n-Pr)	SO ₂ Me
3-26	Me	CO ₂ Et	SO ₂ Me
3-27	Me	CH ₂ CO ₂ Me	SO ₂ Me
3-28	Me	OCH ₂ CO ₂ Et	SO ₂ Me
3-29	Me	O(n-Pr)	SO ₂ Me
3-30	Me	CH ₂ OCH ₂ CF ₃	SO ₂ Me
3-31	Cl	CH ₂ OCH ₂ CF ₃	SO ₂ Me
3-32	Me	Cl	SO ₂ Me
3-33	Me	CH ₂ SO ₂ Me	SO ₂ Me
3-34	Me	CH ₂ OEt	SO ₂ Me
3-35	Me	CH ₂ CH ₂ OMe	SO ₂ Me
3-36	Me	CH ₂ OCH ₂ CH ₂ OMe	SO ₂ Me
3-37	Me	OCH ₂ CH ₂ OEt	SO ₂ Me
3-38	Me	OCH ₂ CH ₂ Cl	SO ₂ Me
3-39	Me	OCH ₂ CF ₃	SO ₂ Me
3-40	Me	CH ₂ OCH ₂ OMe	SO ₂ Me
3-41	Me	OCH ₂ CH ₂ SMe	SO ₂ Me
3-42	Me	CN	SO ₂ Me
3-43	Me	CH ₂ CN	SO ₂ Me
3-44	Br	CO ₂ Me	SO ₂ Me
3-45	Cl	OCH ₂ CH ₂ OCF ₃	SO ₂ Me
3-46	Me	OCH ₂ CH ₂ OCF ₃	SO ₂ Me
3-47	CF ₃	OCH ₂ CH ₂ OCF ₃	SO ₂ Me
3-48	Br	OCH ₂ CH ₂ OCF ₃	SO ₂ Me
3-49	SO ₂ Me	OCH ₂ CH ₂ OCF ₃	CF ₃
3-50	Cl	OCH ₂ CH ₂ OCHClF	SO ₂ Me
3-51	Me	OCH ₂ CH ₂ OCHClF	SO ₂ Me
3-52	CF ₃	OCH ₂ CH ₂ OCHClF	SO ₂ Me
3-53	Br	OCH ₂ CH ₂ OCHClF	SO ₂ Me
3-54	SO ₂ Me	OCH ₂ CH ₂ OCHClF	CF ₃

Table a3 (continued)

No.	R ⁴	R ⁵	R ⁶
3-55	Cl	OCH ₂ CHFOCF ₃	SO ₂ Me
3-56	Me	OCH ₂ CHFOCF ₃	SO ₂ Me
3-57	Cl	OCH ₂ CHFOMe	SO ₂ Me
3-58	Me	OCH ₂ CHFOMe	SO ₂ Me
3-59	CF ₃	OCH ₂ CHFOMe	SO ₂ Me
3-60	Br	OCH ₂ CHFOMe	SO ₂ Me
3-61	SO ₂ Me	OCH ₂ CHFOMe	CF ₃
3-62	Cl	OCHFCH ₂ OCF ₃	SO ₂ Me
3-63	Cl	OCH ₂ CH ₂ OCF ₂ Cl	SO ₂ Me
3-64	Me	OCH ₂ CH ₂ OCF ₂ Cl	SO ₂ Me
3-65	CF ₃	OCH ₂ CH ₂ OCF ₂ Cl	SO ₂ Me
3-66	Br	OCH ₂ CH ₂ OCF ₂ Cl	SO ₂ Me
3-67	SO ₂ Me	OCH ₂ CH ₂ OCF ₂ Cl	CF ₃
3-68	Cl	SCH ₂ CH ₂ OCH ₃	SO ₂ Me
3-69	Me	SCH ₂ CH ₂ OCH ₃	SO ₂ Me
3-70	CF ₃	SCH ₂ CH ₂ OCH ₃	SO ₂ Me
3-71	Br	SCH ₂ CH ₂ OCH ₃	SO ₂ Me
3-72	SO ₂ Me	SCH ₂ CH ₂ OCH ₃	CF ₃
3-73	Cl	SCH ₂ CH ₂ OCF ₃	SO ₂ Me
3-74	Me	SCH ₂ CH ₂ OCF ₃	SO ₂ Me
3-75	CF ₃	SCH ₂ CH ₂ OCF ₃	SO ₂ Me
3-76	Br	SCH ₂ CH ₂ OCF ₃	SO ₂ Me
3-77	SO ₂ Me	SCH ₂ CH ₂ OCF ₃	CF ₃
3-78	Cl	SCH ₂ CH ₂ SCH ₃	SO ₂ Me
3-79	Me	SCH ₂ CH ₂ SCH ₃	SO ₂ Me
3-80	CF ₃	SCH ₂ CH ₂ SCH ₃	SO ₂ Me
3-81	Br	SCH ₂ CH ₂ SCH ₃	SO ₂ Me
3-82	SO ₂ Me	SCH ₂ CH ₂ SCH ₃	CF ₃
3-83	Cl	SCH ₂ CH ₂ SCF ₃	SO ₂ Me
3-84	Me	SCH ₂ CH ₂ SCF ₃	SO ₂ Me
3-85	CF ₃	SCH ₂ CH ₂ SCF ₃	SO ₂ Me
3-86	Br	SCH ₂ CH ₂ SCF ₃	SO ₂ Me
3-87	SO ₂ Me	SCH ₂ CH ₂ SCF ₃	CF ₃
3-88	Cl	OCH ₂ CH(CH ₃)OCH ₃	SO ₂ Me
3-89	Me	OCH ₂ CH(CH ₃)OCH ₃	SO ₂ Me
3-90	CF ₃	OCH ₂ CH(CH ₃)OCH ₃	SO ₂ Me
3-91	Br	OCH ₂ CH(CH ₃)OCH ₃	SO ₂ Me
3-92	SO ₂ Me	OCH ₂ CH(CH ₃)OCH ₃	CF ₃

Table a3 (continued)

No.	R ⁴	R ⁵	R ⁶
3-93	Cl	OCH ₂ CF ₂ OCH ₃	SO ₂ Me
3-94	Me	OCH ₂ CF ₂ OCH ₃	SO ₂ Me
3-95	CF ₃	OCH ₂ CF ₂ OCH ₃	SO ₂ Me
3-96	Br	OCH ₂ CF ₂ OCH ₃	SO ₂ Me
3-97	SO ₂ Me	OCH ₂ CF ₂ OCH ₃	CF ₃
3-98	Me	OCH ₂ CH ₂ OCH ₃	SO ₂ Me
3-99	Me	OCH ₂ CH(OCH ₃) ₂	SO ₂ Me
3-100	Me	CH ₂ N(Me)CH ₂ CN	SO ₂ Me
3-101	Me	(Tetrahydrofuran-2-yl)methoxy	SO ₂ Me
3-102	Cl	SMe	SO ₂ Me
3-103	Cl	Cl	SO ₂ Me
3-104	Cl	OMe	SO ₂ Me
3-105	Me	(Tetrahydro-2H-pyran-2-yl) methoxy	SO ₂ Me
3-106	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me
3-107	Me	Tetrahydrofuran-3-yloxy	SO ₂ Me
3-108	Me	OCH ₂ CH ₂ CH ₂ OMe	SO ₂ Me
3-109	Cl	(1,3-Dioxolan-2-yl) ethoxy	SO ₂ Me
3-110	Me	Propargyloxy	SO ₂ Me
3-111	Me	(Tetrahydrofuran-3-yloxy) methyl	SO ₂ Me
3-112	Cl	SO ₂ Me	SO ₂ Me
3-113	Me	(CH ₂) ₆ Me	SO ₂ Me
3-114	Me	CH ₂ CH ₂ CH ₂ OMe	SO ₂ Me
3-115	Cl	(1,3-Dioxolan-2-yl)methoxy	SO ₂ Me
3-116	Me	CH ₂ N[C(O)SEt]CH ₂ CN	SO ₂ Me
3-117	Me	CH=CHCN	SO ₂ Me
3-118	Me	CH ₂ CH ₂ CN	SO ₂ Me
3-119	Me	CH ₂ SCN	SO ₂ Me
3-120	Me	CH ₂ C(S)NH ₂	SO ₂ Me
3-121	Me	OCH(CH ₃)CH ₂ OMe	SO ₂ Me
3-122	Me	OCH ₂ CH(Et)OMe	SO ₂ Me
3-123	Me	(1,3-Dioxolan-2-yl) methyl	SO ₂ Me
3-124	Me	CH ₂ O(i-Pr)	SO ₂ Me
3-125	Cl	(Tetrahydrofuran-2-yl) methoxymethyl	SO ₂ Me

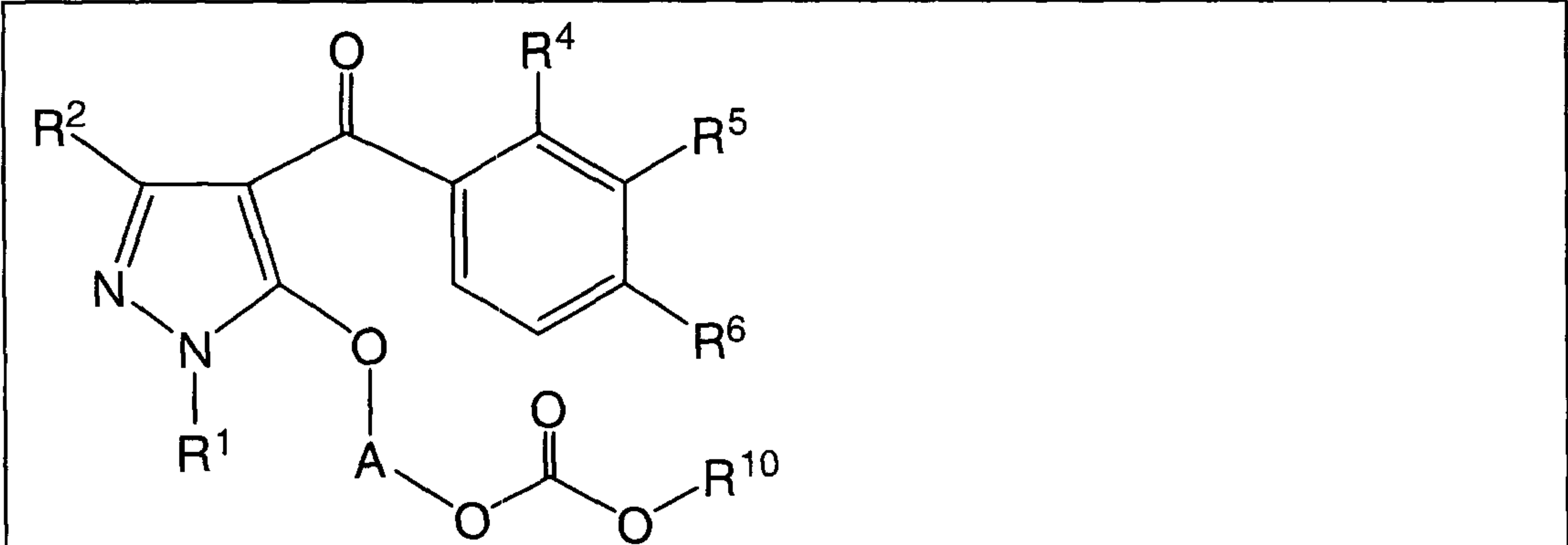


Table a4

No.	R ¹	R ²	R ¹⁰	R ⁴	R ⁵	R ⁶	-A-
4-1	Me	H	Me	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-2	Me	H	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-3	Et	H	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-4	Me	H	i-Pr	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-5	Me	H	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-C(Me) ₂ -
4-6	Me	H	Et	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-7	Me	H	Et	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-8	Me	H	Et	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-9	n-Bu	H	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-10	t-Bu	H	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-11	Me	Me	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-12	Me	H	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-C(Me)(Et)-
4-13	Me	H	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Et)-
4-14	Me	H	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(i-Pr)-
4-15	Me	H	Et	Me	CH ₂ OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-16	Et	H	Et	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-17	Me	H	Et	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-18	i-Pr	H	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-19	Me	H	n-Pr	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-20	Me	H	n-Bu	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-21	Me	H	Et	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-22	Me	H	Me	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-C(Me) ₂ -
4-23	Et	H	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-C(Me) ₂ -
4-24	Me	H	i-Pr	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-C(Me) ₂ -
4-25	i-Pr	Me	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-C(Me) ₂ -
4-26	Me	H	n-Pr	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-C(Me) ₂ -
4-27	Me	H	n-Bu	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-C(Me) ₂ -
4-28	Me	H	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-C(Me) ₂ -

Table a4 (continued)

No.	R ¹	R ²	R ¹⁰	R ⁴	R ⁵	R ⁶	-A-
4-29	Et	H	Et	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-30	t-Bu	H	Et	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-31	Me	Me	Et	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-32	Me	H	Me	Me	OCH ₂ CH ₂ OMe	SO ₂ Et	-CH(Me)-
4-33	Me	H	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Et	-CH(Me)-
4-34	Et	H	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Et	-CH(Me)-
4-35	Me	H	i-Pr	Me	OCH ₂ CH ₂ OMe	SO ₂ Et	-CH(Me)-
4-36	Me	H	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Et	-C(Me) ₂ -
4-37	Me	H	Et	Me	OCH ₂ CF ₃	SO ₂ Et	-CH(Me)-
4-38	Me	H	Et	Me	CH ₂ OMe	SO ₂ Et	-CH(Me)-
4-39	Me	H	Et	Cl	CH ₂ OMe	SO ₂ Et	-CH(Me)-
4-40	n-Bu	H	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Et	-CH(Me)-
4-41	t-Bu	H	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Et	-CH(Me)-
4-42	Me	Me	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Et	-CH(Me)-
4-43	Me	H	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Et	-C(Me)(Et)-
4-44	Me	H	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Et	-CH(Et)-
4-45	Me	H	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Et	-CH(i-Pr)-
4-46	Me	H	Et	Me	CH ₂ OCH ₂ CF ₃	SO ₂ Et	-CH(Me)-
4-47	Et	H	Et	Cl	C(O)OMe	SO ₂ Et	-CH(Me)-
4-48	Me	H	Et	Cl	OCH ₂ CH ₂ OMe	SO ₂ Et	-CH(Me)-
4-49	i-Pr	Me	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Et	-CH(Me)-
4-50	Me	H	n-Pr	Me	OCH ₂ CH ₂ OMe	SO ₂ Et	-CH(Me)-
4-51	Me	H	n-Bu	Me	OCH ₂ CH ₂ OMe	SO ₂ Et	-CH(Me)-
4-52	Me	H	Et	Me	C(O)OMe	SO ₂ Et	-CH(Me)-
4-53	Me	H	Me	Me	OCH ₂ CH ₂ OMe	SO ₂ Et	-C(Me) ₂ -
4-54	Et	H	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Et	-C(Me) ₂ -
4-55	Me	H	i-Pr	Me	OCH ₂ CH ₂ OMe	SO ₂ Et	-C(Me) ₂ -
4-56	Me	H	Me	Br	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-57	Me	H	Et	Br	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-58	Et	H	Et	Br	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-59	Me	H	i-Pr	Br	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-60	Me	H	Et	Br	OCH ₂ CH ₂ OMe	SO ₂ Me	-C(Me) ₂ -
4-61	Me	H	Et	Br	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-62	Me	H	Et	Br	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-63	n-Bu	H	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Et	-CH(Me)-
4-64	t-Bu	H	i-Pr	Me	OCH ₂ CH ₂ OMe	SO ₂ Et	-CH(Me)-
4-65	Me	Me	i-Pr	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-66	Me	Et	Me	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-

Table a4 (continued)

No.	R ¹	R ²	R ¹⁰	R ⁴	R ⁵	R ⁶	-A-
4-67	Me	Et	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-68	Me	Et	i-Pr	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-69	Me	Et	n-Pr	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-70	Me	Et	n-Bu	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-71	Et	Et	Me	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-72	Et	Et	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-73	Et	Et	i-Pr	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-74	Et	Et	n-Pr	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-75	Et	Et	n-Bu	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-76	i-Pr	Et	Me	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-77	i-Pr	Et	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-78	i-Pr	Et	i-Pr	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-79	i-Pr	Et	n-Pr	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-80	i-Pr	Et	n-Bu	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-81	n-Pr	Et	Me	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-82	n-Pr	Et	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-83	n-Pr	Et	i-Pr	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-84	n-Pr	Et	n-Pr	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-85	n-Pr	Et	n-Bu	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-86	n-Bu	Et	Me	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-87	n-Bu	Et	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-88	n-Bu	Et	i-Pr	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-89	n-Bu	Et	n-Pr	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-90	n-Bu	Et	n-Bu	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-91	t-Bu	Et	Me	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-92	t-Bu	Et	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-93	t-Bu	Et	i-Pr	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-94	t-Bu	Et	n-Pr	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-95	t-Bu	Et	n-Bu	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-96	Me	Et	Me	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-97	Me	Et	Et	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-98	Me	Et	i-Pr	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-99	Me	Et	n-Pr	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-100	Me	Et	n-Bu	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-101	Et	Et	Me	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-102	Et	Et	Et	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-103	Et	Et	i-Pr	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-104	Et	Et	n-Pr	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-

Table a4 (continued)

No.	R ¹	R ²	R ¹⁰	R ⁴	R ⁵	R ⁶	-A-
4-105	Et	Et	n-Bu	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-106	i-Pr	Et	Me	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-107	i-Pr	Et	Et	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-108	i-Pr	Et	i-Pr	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-109	i-Pr	Et	n-Pr	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-110	i-Pr	Et	n-Bu	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-111	n-Pr	Et	Me	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-112	n-Pr	Et	Et	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-113	n-Pr	Et	i-Pr	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-114	n-Pr	Et	n-Pr	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-115	n-Pr	Et	n-Bu	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-116	n-Bu	Et	Me	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-117	n-Bu	Et	Et	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-118	n-Bu	Et	i-Pr	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-119	n-Bu	Et	n-Pr	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-120	n-Bu	Et	n-Bu	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-121	t-Bu	Et	Me	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-122	t-Bu	Et	Et	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-123	t-Bu	Et	i-Pr	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-124	t-Bu	Et	n-Pr	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-125	t-Bu	Et	n-Bu	Cl	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-126	Me	Et	Me	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-127	Me	Et	Et	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-128	Me	Et	i-Pr	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-129	Me	Et	n-Pr	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-130	Me	Et	n-Bu	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-131	Et	Et	Me	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-132	Et	Et	Et	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-133	Et	Et	i-Pr	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-134	Et	Et	n-Pr	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-135	Et	Et	n-Bu	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-136	i-Pr	Et	Me	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-137	i-Pr	Et	Et	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-138	i-Pr	Et	i-Pr	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-139	i-Pr	Et	n-Pr	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-140	i-Pr	Et	n-Bu	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-141	n-Pr	Et	Me	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-142	n-Pr	Et	Et	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-

Table a4 (continued)

No.	R ¹	R ²	R ¹⁰	R ⁴	R ⁵	R ⁶	-A-
4-143	n-Pr	Et	i-Pr	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-144	n-Pr	Et	n-Pr	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-145	n-Pr	Et	n-Bu	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-146	n-Bu	Et	Me	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-147	n-Bu	Et	Et	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-148	n-Bu	Et	i-Pr	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-149	n-Bu	Et	n-Pr	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-150	n-Bu	Et	n-Bu	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-151	t-Bu	Et	Me	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-152	t-Bu	Et	Et	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-153	t-Bu	Et	i-Pr	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-154	t-Bu	Et	n-Pr	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-155	t-Bu	Et	n-Bu	Me	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-156	Me	Et	Me	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-157	Me	Et	Et	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-158	Me	Et	i-Pr	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-159	Me	Et	n-Pr	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-160	Me	Et	n-Bu	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-161	Et	Et	Me	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-162	Et	Et	Et	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-163	Et	Et	i-Pr	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-164	Et	Et	n-Pr	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-165	Et	Et	n-Bu	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-166	i-Pr	Et	Me	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-167	i-Pr	Et	Et	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-168	i-Pr	Et	i-Pr	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-169	i-Pr	Et	n-Pr	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-170	i-Pr	Et	n-Bu	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-171	n-Pr	Et	Me	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-172	n-Pr	Et	Et	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-173	n-Pr	Et	i-Pr	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-174	n-Pr	Et	n-Pr	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-175	n-Pr	Et	n-Bu	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-176	n-Bu	Et	Me	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-177	n-Bu	Et	Et	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-178	n-Bu	Et	i-Pr	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-179	n-Bu	Et	n-Pr	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-180	n-Bu	Et	n-Bu	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-

Table a4 (continued)

No.	R ¹	R ²	R ¹⁰	R ⁴	R ⁵	R ⁶	-A-
4-181	t-Bu	Et	Me	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-182	t-Bu	Et	Et	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-183	t-Bu	Et	i-Pr	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-184	t-Bu	Et	n-Pr	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-185	t-Bu	Et	n-Bu	Cl	OCH ₂ CF ₃	SO ₂ Me	-CH(Me)-
4-186	Me	Et	Me	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-187	Me	Et	Et	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-188	Me	Et	i-Pr	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-189	Me	Et	n-Pr	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-190	Me	Et	n-Bu	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-191	Et	Et	Me	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-192	Et	Et	Et	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-193	Et	Et	i-Pr	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-194	Et	Et	n-Pr	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-195	Et	Et	n-Bu	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-196	i-Pr	Et	Me	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-197	i-Pr	Et	Et	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-198	i-Pr	Et	i-Pr	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-199	i-Pr	Et	n-Pr	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-200	i-Pr	Et	n-Bu	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-201	n-Pr	Et	Me	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-202	n-Pr	Et	Et	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-203	n-Pr	Et	i-Pr	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-204	n-Pr	Et	n-Pr	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-205	n-Pr	Et	n-Bu	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-206	n-Bu	Et	Me	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-207	n-Bu	Et	Et	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-208	n-Bu	Et	i-Pr	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-209	n-Bu	Et	n-Pr	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-210	n-Bu	Et	n-Bu	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-211	t-Bu	Et	Me	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-212	t-Bu	Et	Et	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-213	t-Bu	Et	i-Pr	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-214	t-Bu	Et	n-Pr	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-215	t-Bu	Et	n-Bu	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-216	Me	Et	Me	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-

Table a4 (continued)

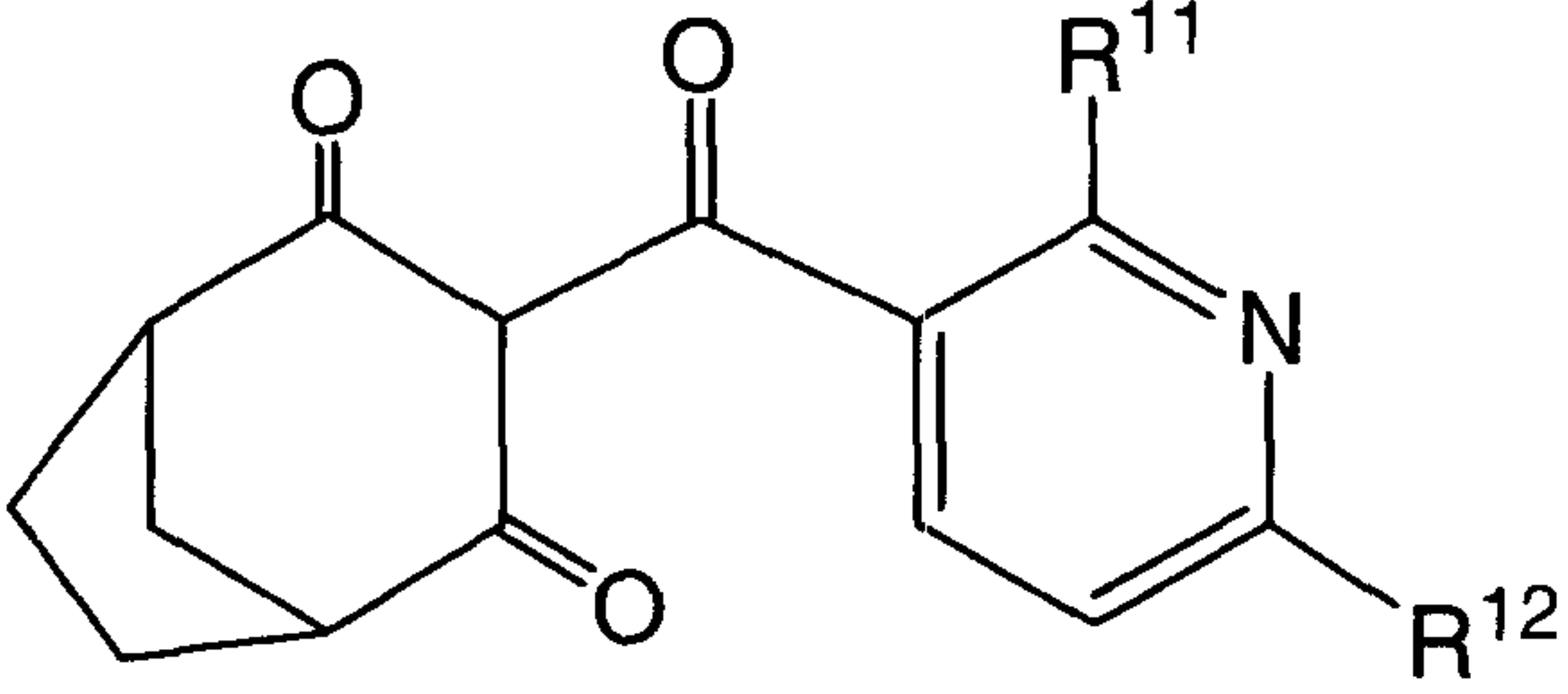
No.	R ¹	R ²	R ¹⁰	R ⁴	R ⁵	R ⁶	-A-
4-217	Me	Et	Et	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-218	Me	Et	i-Pr	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-219	Me	Et	n-Pr	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-220	Me	Et	n-Bu	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-221	Et	Et	Me	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-222	Et	Et	Et	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-223	Et	Et	i-Pr	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-224	Et	Et	n-Pr	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-225	Et	Et	n-Bu	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-226	i-Pr	Et	Me	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-227	i-Pr	Et	Et	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-228	i-Pr	Et	i-Pr	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-229	i-Pr	Et	n-Pr	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-230	i-Pr	Et	n-Bu	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-231	n-Pr	Et	Me	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-232	n-Pr	Et	Et	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-233	n-Pr	Et	i-Pr	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-234	n-Pr	Et	n-Pr	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-235	n-Pr	Et	n-Bu	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-236	n-Bu	Et	Me	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-237	n-Bu	Et	Et	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-238	n-Bu	Et	i-Pr	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-239	n-Bu	Et	n-Pr	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-240	n-Bu	Et	n-Bu	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-241	t-Bu	Et	Me	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-242	t-Bu	Et	Et	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-243	t-Bu	Et	i-Pr	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-244	t-Bu	Et	n-Pr	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-245	t-Bu	Et	n-Bu	Cl	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-246	Me	Et	Me	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-247	Me	Et	Et	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-248	Me	Et	i-Pr	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-249	Me	Et	n-Pr	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-250	Me	Et	n-Bu	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-251	Et	Et	Me	Me	C(O)OMe	SO ₂ Me	-CH(Me)-

Table a4 (continued)

No.	R ¹	R ²	R ¹⁰	R ⁴	R ⁵	R ⁶	-A-
4-252	Et	Et	Et	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-253	Et	Et	i-Pr	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-254	Et	Et	n-Pr	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-255	Et	Et	n-Bu	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-256	i-Pr	Et	Me	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-257	i-Pr	Et	Et	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-258	i-Pr	Et	i-Pr	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-259	i-Pr	Et	n-Pr	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-260	i-Pr	Et	n-Bu	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-261	n-Pr	Et	Me	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-262	n-Pr	Et	Et	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-263	n-Pr	Et	i-Pr	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-264	n-Pr	Et	n-Pr	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-265	n-Pr	Et	n-Bu	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-266	n-Bu	Et	Me	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-267	n-Bu	Et	Et	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-268	n-Bu	Et	i-Pr	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-269	n-Bu	Et	n-Pr	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-270	n-Bu	Et	n-Bu	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-271	t-Bu	Et	Me	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-272	t-Bu	Et	Et	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-273	t-Bu	Et	i-Pr	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-274	t-Bu	Et	n-Pr	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-275	t-Bu	Et	n-Bu	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-276	Me	Et	Me	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-277	Me	Et	Et	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-278	Me	Et	i-Pr	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-279	Me	Et	n-Pr	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-280	Me	Et	n-Bu	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-281	Et	Et	Me	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-282	Et	Et	Et	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-283	Et	Et	i-Pr	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-284	Et	Et	n-Pr	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-285	Et	Et	n-Bu	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-286	i-Pr	Et	Me	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-287	i-Pr	Et	Et	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-

Table a4 (continued)

No.	R ¹	R ²	R ¹⁰	R ⁴	R ⁵	R ⁶	-A-
4-288	i-Pr	Et	i-Pr	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-289	i-Pr	Et	n-Pr	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-290	i-Pr	Et	n-Bu	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-291	n-Pr	Et	Me	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-292	n-Pr	Et	Et	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-293	n-Pr	Et	i-Pr	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-294	n-Pr	Et	n-Pr	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-295	n-Pr	Et	n-Bu	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-296	n-Bu	Et	Me	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-297	n-Bu	Et	Et	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-298	n-Bu	Et	i-Pr	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-299	n-Bu	Et	n-Pr	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-300	n-Bu	Et	n-Bu	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-301	t-Bu	Et	Me	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-302	t-Bu	Et	Et	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-303	t-Bu	Et	i-Pr	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-304	t-Bu	Et	n-Pr	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-305	t-Bu	Et	n-Bu	Cl	C(O)OMe	SO ₂ Me	-CH(Me)-
4-306	Me	H	Et	Me	CH ₂ OCH(Me) ₂	SO ₂ Me	-CH(Me)-
4-307	Et	H	Et	Me	CH ₂ OCH(Me) ₂	SO ₂ Me	-CH(Me)-
4-308	n-Pr	H	Et	Me	CH ₂ OCH(Me) ₂	SO ₂ Me	-CH(Me)-
4-309	i-Pr	H	Et	Me	CH ₂ OCH(Me) ₂	SO ₂ Me	-CH(Me)-
4-310	Me	H	Et	Cl	CH ₂ OCH(Me) ₂	SO ₂ Me	-CH(Me)-
4-311	Et	H	Et	Cl	CH ₂ OCH(Me) ₂	SO ₂ Me	-CH(Me)-
4-312	n-Pr	H	Et	Cl	CH ₂ OCH(Me) ₂	SO ₂ Me	-CH(Me)-
4-313	i-Pr	H	Et	Cl	CH ₂ OCH(Me) ₂	SO ₂ Me	-CH(Me)-
4-314	Me	H	Me	Me	CH ₂ OEt	SO ₂ Me	-CH(Me)-
4-315	Me	H	Me	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-316	Me	H	Me	Me	CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-317	Me	H	Et	Me	CH ₂ OEt	SO ₂ Me	-CH(Me)-
4-318	Me	H	Et	Me	OCH ₂ CH ₂ OCH(Me) ₂	SO ₂ Me	-CH(Me)-
4-319	Me	Me	Me	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-320	Et	H	Me	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-321	Et	H	Et	Me	C(O)OMe	SO ₂ Me	-CH(Me)-
4-322	Et	Me	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-323	n-Pr	H	Et	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-
4-324	i-Pr	H	Me	Me	OCH ₂ CH ₂ OMe	SO ₂ Me	-CH(Me)-

		
Table a5		
No.	R ¹¹	R ¹²
5-1	CH ₂ OCH ₂ CH ₂ OMe	CF ₃

In the present invention, the mix ratio of (1) the compound of the formula (I) or its salt to (2) the POA alkyl ether phosphate or its salt cannot generally be defined, since it is suitably changed depending upon the types of the compound of the formula (I) or its salt, and the POA alkyl ether phosphate or its salt, the types of the formulations, weather conditions, the type or the size of plants to be controlled, etc. However, the mix ratio may, for example, be within a range of from 10:1 to 1:10,000, preferably from 5:1 to 1:3,000, more preferably from 3:1 to 1:300, particularly preferably from 1:1 to 1:30 by weight ratio of (1):(2).

In the present invention, in a case where (3) an oil such as a vegetable oil, a fatty acid ester or a hydrocarbon solvent is further used as a coadjuvant, the mix ratio of (2) the POA alkyl ether phosphate or its salt to (3) the oil cannot generally be defined since it is suitably changed depending upon the types of the compound of the formula (I) or its salt, and the POA alkyl ether phosphate or its salt, the types of the formulations, weather conditions, the type or the size of plants to be controlled, etc. However, the mix ratio may, for example, be within a range of from 100:1 to 1:100, preferably from 50:1 to 1:50, more preferably from 10:1 to 1:10, by weight ratio of (2):(3).

In the present invention, in a case where (3) the above oil is used, (4) an emulsifying agent may be used as the case requires. The mix ratio of the oil to the emulsifying agent cannot generally be defined since it is suitably changed depending upon the types of the compound of the formula (I) or its salt, the POA alkyl ether phosphate or its salt, and the oil, the types of the formulations, weather conditions, the type or the size of plants to be controlled, etc. However, the mix ratio may, for example, be within a range of from 100:1 to 1:100, preferably from 50:1 to 1:50, more preferably from 10:1 to 1:10, by weight ratio of (3):(4).

Further, in a case where the compound of the formula (I) or its salt is formulated by using various additives, the obtained formulation is diluted with e.g. water together with the POA alkyl ether phosphate or its salt, and the diluted liquid is applied to undesired plants or to a place where they grow, the compound of the formula (I) or its salt is applied as diluted with from 30 to 5,000 L/ha, preferably from 50 to 2,000 L/ha, of water containing the POA alkyl ether phosphate or its salt in a proportion of from 0.005 to 4 vol%, preferably from 0.01 to 2 vol%.

Further, in a case where the oil or the emulsifying agent is used, the compound of the formula (I) or its salt may be applied as diluted with from 30 to 5,000 L/ha, preferably from 50 to 2,000 L/ha, of water containing the oil in a proportion of from 0.005 to 4 vol%, preferably from 0.01 to 2 vol%, or the emulsifying agent in a proportion of from 0.005 to 4 vol%, preferably from 0.01 to 2 vol%, together with the POA alkyl ether phosphate or

its salt in the above proportion.

Now, some preferred embodiments of the present invention will be described. However, the present invention is by no means thereby restricted.

(i) A herbicidal composition comprising (1) the compound of the formula (I) or its salt, (2) a polyoxyalkylene alkyl ether phosphate or its salt, and (3) at least one oil selected from the group consisting of a vegetable oil, a fatty acid ester and a hydrocarbon solvent.

(ii) A herbicidal composition comprising (1) the compound of the formula (I) or its salt, (2) a polyoxyalkylene alkyl ether phosphate or its salt, and (3) at least one oil selected from the group consisting of a vegetable oil, a fatty acid ester and a hydrocarbon solvent, and (4) an emulsifying agent.

(iii) A method for improving the herbicidal effect of (1) the compound of the formula (I) or its salt by using (2) a polyoxyalkylene alkyl ether phosphate or its salt.

(iv) A method for improving the herbicidal effect of (1) the compound of the formula (I) or its salt by using (2) a polyoxyalkylene alkyl ether phosphate or its salt, and (3) at least one oil selected from the group consisting of a vegetable oil, a fatty acid ester and a hydrocarbon solvent.

(v) A method for improving the herbicidal effect of (1) the compound of the formula (I) or its salt by using (2) a polyoxyalkylene alkyl ether phosphate or its salt, (3) at least one oil selected from the group consisting of a vegetable oil, a fatty acid ester and a hydrocarbon solvent, and (4) an emulsifying agent.

(vi) A method for controlling undesired plants, which comprises applying the above herbicidal composition to the undesired plants or to a place where they grow.

(vii) A method for controlling undesired plants, which comprises applying (1) the compound of the formula (I) or its salt and (2) a polyoxyalkylene alkyl ether phosphate or its salt to the undesired plants or to a place where they grow.

(viii) A herbicidal composition comprising (1) the compound of the formula (I) or its salt, wherein T is T¹, Q is hydrogen, R¹ is alkyl, R² is hydrogen, Z is Z¹, R⁴ is alkyl, R⁵ is alkoxyalkyl, alkoxy, alkoxyalkoxy or -C(O)OR⁷, and R⁶ is alkylsulfonyl, and (2) a polyoxyalkylene alkyl ether phosphate or its salt.

(ix) The herbicidal composition according to the above (viii) wherein in the formula (I), T is T¹, Q is hydrogen, R¹ is alkyl, R² is hydrogen, Z is Z¹, R⁴ is alkyl, R⁵ is alkoxyalkyl, and R⁶ is alkylsulfonyl.

(x) The herbicidal composition according to the above (ix), wherein in the formula (I), T is T¹, Q is hydrogen, R¹ is methyl, R² is hydrogen, Z is Z¹, R⁴ is methyl, R⁵ is ethoxymethyl, and R⁶ is methylsulfonyl.

(xi) The herbicidal composition according to the above (ix), wherein in the formula (I), T is T¹, Q is hydrogen, R¹ is methyl, R² is hydrogen, Z is Z¹, R⁴ is methyl, R⁵ is methoxymethyl, and R⁶ is methylsulfonyl.

(xii) The herbicidal composition according to the above (viii), wherein in the formula (I), T is T¹, Q is hydrogen, R¹ is alkyl, R² is hydrogen, Z is Z¹, R⁴ is alkyl, R⁵ is alkoxy, and R⁶ is alkylsulfonyl.

(xiii) The herbicidal composition according to the above (xii), wherein in the formula (I), T is T¹, Q is hydrogen, R¹ is methyl, R² is hydrogen, Z is Z¹, R⁴ is methyl, R⁵ is ethoxy, and R⁶ is methylsulfonyl.

(xiv) The herbicidal composition according to the above (xii), wherein in the formula (I), T is T¹, Q is hydrogen, R¹ is methyl, R² is hydrogen, Z is Z¹, R⁴ is methyl, R⁵ is n-propoxy, and R⁶ is methylsulfonyl.

(xv) The herbicidal composition according to the above (xii), wherein in the formula (I), T is T¹, Q is hydrogen, R¹ is methyl, R² is hydrogen, Z is Z¹, R⁴ is methyl, R⁵ is n-butyloxy, and R⁶ is methylsulfonyl.

5 (xvi) The herbicidal composition according to the above (xii), wherein in the formula (I), T is T¹, Q is hydrogen, R¹ is methyl, R² is hydrogen, Z is Z¹, R⁴ is methyl, R⁵ is isobutyloxy, and R⁶ is methylsulfonyl.

(xvii) The herbicidal composition according to the above (viii), wherein in the formula (I), T is T¹, Q is hydrogen, R¹ is alkyl, R² is hydrogen, Z is Z¹, R⁴ is alkyl, R⁵ is alkoxyalkoxy, and R⁶ is alkylsulfonyl.

10 (xviii) The herbicidal composition according to the above (xvii), wherein in the formula (I), T is T¹, Q is hydrogen, R¹ is methyl, R² is hydrogen, Z is Z¹, R⁴ is methyl, R⁵ is 2-methoxyethoxy, and R⁶ is methylsulfonyl.

(xix) The herbicidal composition according to the above (xvii), wherein in the formula (I), T is T¹, Q is hydrogen, R¹ is ethyl, R² is hydrogen, Z is Z¹, R⁴ is methyl, R⁵ is 2-methoxyethoxy, and R⁶ is methylsulfonyl.

(xx) The herbicidal composition according to the above (viii), wherein in the formula (I), T is T¹, Q is hydrogen, R¹ is alkyl, R² is hydrogen, Z is Z¹, R⁴ is alkyl, R⁵ is -C(O)OR⁷, and R⁶ is alkylsulfonyl.

20 (xxi) The herbicidal composition according to the above (xx), wherein in the formula (I), T is T¹, Q is hydrogen, R¹ is methyl, R² is hydrogen, Z is Z¹, R⁴ is methyl, R⁵ is methoxycarbonyl, and R⁶ is methylsulfonyl.

(xxii) A herbicidal composition comprising (1) a compound of the formula (I) or its salt, wherein T is T¹, Q is -A-O-C(O)OR¹⁰, R¹ is alkyl, R² is hydrogen, Z is Z¹, R⁴ is alkyl, R⁵ is alkoxyalkyl, alkoxy, alkoxyalkoxy or -C(O)OR⁷, R⁶ is alkylsulfonyl, A is alkylene substituted by at least one alkyl, and R¹⁰ is alkyl, and (2) a polyoxyalkylene alkyl ether phosphate or its salt.

25 (xxiii) The herbicidal composition according the above (xxii), wherein in the formula (I), T is T¹, Q is -A-O-C(O)OR¹⁰, R¹ is alkyl, R² is hydrogen, Z is Z¹, R⁴ is alkyl, R⁵ is alkoxyalkoxy, R⁶ is alkylsulfonyl, A is alkylene substituted by at least one alkyl, and R¹⁰ is alkyl.

(xxiv) The herbicidal composition according the above (xxiii), wherein in the formula (I), T is T¹, Q is -CH(CH₃)-O-C(O)OCH₂CH₃, R¹ is ethyl, R² is hydrogen, Z is Z¹, R⁴ is methyl, R⁵ is 2-methoxyethoxy, and R⁶ is methylsulfonyl.

35 (xxv) The herbicidal composition according the above (xxiii), wherein in the formula (I), T is T¹, Q is -CH(CH₃)-O-C(O)OCH₃, R¹ is ethyl, R² is hydrogen, Z is Z¹, R⁴ is methyl, R⁵ is 2-methoxyethoxy, and R⁶ is methylsulfonyl.

(xxvi) A herbicidal composition comprising (1) a compound of the formula (I) or its salt, wherein T is T², Z is Z¹, R⁴ is halogen, R⁵ is haloalkoxyalkyl, and R⁶ is alkylsulfonyl, and (2) a polyoxyalkylene alkyl ether phosphate or its salt.

40 (xxvii) The herbicidal composition according to the above (xxvi), wherein in the formula (I), T is T², Z is Z¹, R⁴ is chlorine, R⁵ is -CH₂OCH₂CF₃, and R⁶ is methylsulfonyl.

(xxviii) A herbicidal composition comprising (1) a compound of the formula (I) or its salt, wherein T is T³, Z is Z², R¹¹ is alkoxyalkoxyalkyl, and R¹² is haloalkyl, and (2) a polyoxyalkylene alkyl ether phosphate or its salt.

45 (xxix) The herbicidal composition according to the above (xxviii), wherein in the formula (I), T is T³, Z is Z², R¹¹ is -CH₂OCH₂CH₂OCH₃, and R¹² is trifluoromethyl.

EXAMPLES

Now, the present invention will be described with reference to Examples, but the

present invention is by no means thereby restricted.

EXAMPLE 1

[1]

- | | | |
|----|--|----------------------|
| 5 | (1) Sodium dodecylbenzenesulfonate (tradename: Sorpol 5060, manufactured by TOHO Chemical Industry Co., Ltd.) | 2.0 parts by weight |
| | (2) Polyoxyethylene nonylphenyl ether sulfate (tradename: Sorpol 5073, manufactured by TOHO Chemical Industry Co., Ltd.) | 3.0 parts by weight |
| 10 | (3) Polyoxyethylene dodecylphenyl ether (tradename: NOIGEN EA-33, manufactured by DAI-ICHI KOGYO SEIYAKU CO., LTD.) | 1.0 part by weight |
| | (4) Clay (tradename: OQ clay, manufactured by NIHON TAIKA GENRYO Co., Ltd.) | 78.0 parts by weight |
| 15 | (5) White carbon (tradename: CARPLEX CS-7, manufactured by Shionogi & Co., Ltd.) | 16.0 parts by weight |
- The above components are mixed to obtain a composition (A).

[2]

- | | | |
|----|-------------------------------|----------------------|
| 20 | (1) Compound No. 1 | 10.0 parts by weight |
| | (2) The above composition (A) | 90.0 parts by weight |
- The above components were mixed to obtain a wettable powder. The wettable powder is diluted with water together with a surfactant (tradename: NIKKOL DDP-8) containing the POA alkyl ether phosphate, followed by applying.

EXAMPLE 2

- | | | |
|----|--|-----------------------|
| 25 | (1) Compound No. 53 | 5.1 parts by weight |
| | (2) Potassium polyoxyethylene tristyryl phenyl ether phosphate (tradename: Soprophor FLK/70, manufactured by Rhodia Nicca, Ltd.) | 3.0 parts by weight |
| 30 | (3) Alkyl naphthalene sulfonic acid-formalin condensate (tradename: Morwet D425, manufactured by LION AKZO Co., Ltd.) | 3.0 parts by weight |
| | (4) Propylene glycol | 10.0 parts by weight |
| 35 | (5) Magnesium aluminum silicate (tradename: Veegum, manufactured by Sanyo Chemical Industries, Ltd.) | 1.0 part by weight |
| | (6) Polydimethylsiloxane (tradename: Rhodorsil 432, manufactured by Rhodia Nicca, Ltd.) | 0.1 part by weight |
| | (7) Xanthan gum (tradename: Rhodpol 23, manufactured by Rhodia Nicca, Ltd.) | 0.1 part by weight |
| 40 | (8) 1,2-Dibenzisothiazolin-3-one (tradename: Proxel GXL, manufactured by Avecia Inc.) | 0.05 part by weight |
| | (9) Water | 77.65 parts by weight |

The above components were mixed and pulverized for 5 minutes by means of a wet system pulverizer to obtain a water-based suspension concentrate. This suspension concentrate was diluted with water together with NIKKOL DDP-8 (tradename), followed by applying.

EXAMPLE 3

A water-based suspension concentrate was obtained in the same manner as in

Example 2 except that compound No. 53 in Example 2 was changed to compound No. 238. This suspension concentrate was diluted with water together with a surfactant containing the POA alkyl ether phosphate (tradename: ADEKA COL PS-440E), a fatty acid ester (tradename: AGNIQUE Me 18RD-F, manufactured by Cognis Deutschland GmbH Co. & KG), a hydrocarbon solvent (tradename: Solvesso 150, manufactured by Exxon Chemical Company) and an emulsifying agent (a mixture of polyoxyethylene sorbitol tetraoleate, polyoxyethylene castor oil and calcium dodecyl benzenesulfonate), followed by applying.

EXAMPLE 4

- | | | |
|-----|------------------------------|----------------------|
| (1) | Compound No. 2-27 | 10.0 parts by weight |
| (2) | Composition [A] in Example 1 | 90.0 parts by weight |

The above components were mixed to obtain a wettable powder. This wettable powder was diluted with water together with a surfactant (tradename: NIKKOL TDP-8) containing the POA alkyl ether phosphate, followed by applying.

EXAMPLE 5

- | | | |
|-----|------------------------------|----------------------|
| (1) | Compound No. 3-31 | 10.0 parts by weight |
| (2) | Composition [A] in Example 1 | 90.0 parts by weight |

The above components were mixed to obtain a wettable powder. This wettable powder was diluted with water together with NIKKOL TDP-8 (tradename), followed by applying.

EXAMPLE 6

- | | | |
|-----|------------------------------|-----------------------|
| (1) | Compound No. 238 | 5.1 parts by weight |
| (2) | Soprophor FLK/70 (tradename) | 3.0 parts by weight |
| (3) | Propylene glycol | 10.0 parts by weight |
| (4) | Veegum (tradename) | 1.0 part by weight |
| (5) | Rhodorsil 432 (tradename) | 0.1 part by weight |
| (6) | Rhodpol 23 (tradename) | 0.1 part by weight |
| (7) | Proxel GXL (tradename) | 0.05 part by weight |
| (8) | water | 80.65 parts by weight |

The above components were mixed and pulverized for 5 minutes by means of a wet system pulverizer to obtain a water-based suspension concentrate. This suspension concentrate was diluted with water together with NIKKOL TDP-8 (tradename), followed by applying.

TEST EXAMPLE 1

Upland field soil was put into a 1/1,000,000 ha pot, and seeds of crabgrass (*Digitaria sanguinalis* L.) and velvetleaf (*Abutilon theophrasti* L.) were respectively sown and grown in a greenhouse. When crabgrass reached 4.2 to 5.0 leaf stage and velvetleaf reached 3.5 to 4.3 leaf stage, a prescribed amount (15 g a.i./ha) of a wettable powder comprising compound No. 1 as an active ingredient, prepared in accordance with the above Example 1, was diluted with water corresponding to 300 L/ha (containing 0.05 vol% of a surfactant containing the POA alkyl ether phosphate), followed by foliar application (the present invention). Further, for comparison, foliar application was carried out in the same manner by using an alkylaryl polyglycol ether surfactant (tradename: CITOWETT) at a concentration of 0.1 vol%, instead of the above surfactant (comparison).

On the 21st day after the application, the state of growth of the plants was visually observed (growth inhibition rate (%) = 0 (the same as the untreated plot) to 100 (complete kill)), and the results as shown in Tables b1 and b2 were obtained.

Table b1

Compound No.1	Surfactant (tradename)	Growth inhibition rate (%) of crabgrass
The present invention	NIKKOL DDP-8	73
	PLYSURF A219B	60
	ADECA COL PS-440E	70
Comparison	CITOWETT	50

Table b2

Compound No.1	Surfactant (tradename)	Growth inhibition rate (%) of velvetleaf
The present invention	NIKKOL DDP-8	93
	PLYSURF A219B	90
	ADECA COL PS-440E	93
Comparison	CITOWETT	73

5 TEST EXAMPLE 2

The results as shown in Tables b3 and b4 were obtained in the same manner as the above Test Example 1 except that a prescribed amount (15 g a.i./ha) of a water-based suspension concentrate comprising compound No. 53 as an active ingredient, prepared in accordance with the above Example 2, was used.

10

Table b3

Compound No.53	Surfactant (tradename)	Growth inhibition rate (%) of crabgrass
The present invention	NIKKOL DDP-8	90
	PLYSURF A219B	78
	ADECA COL PS-440E	90
Comparison	CITOWETT	50

Table b4

Compound No.53	Surfactant (tradename)	Growth inhibition rate (%) of velvetleaf
The present invention	NIKKOL DDP-8	98
	PLYSURF A219B	90
	ADECA COL PS-440E	93
Comparison	CITOWETT	78

15 TEST EXAMPLE 3

Upland field soil was put into a 1/1,000,000 ha pot, and seeds of crabgrass were sown and grown in a greenhouse. When crabgrass reached 4.5 to 5.0 leaf stage, a prescribed amount (30 g a.i./ha) of a water-based suspension concentrate comprising compound No. 238 as an active ingredient, prepared in accordance with the above

Example 6, was diluted with water corresponding to 300 L/ha (containing 0.05 vol% of a surfactant containing the POA alkyl ether phosphate), followed by foliar application. Further, for comparison, foliar application was carried out in the same manner by using CITOWETT (tradename) at a concentration of 0.3 vol%, instead of the above surfactant.

20

On the 21st day after the application, the state of growth of the plant was

evaluated in the same manner as in Test Example 1, and the results as shown in Table b5 were obtained.

Table b5

Compound No.238	Surfactant (tradename)	Growth inhibition rate (%) of crabgrass
The present invention	NIKKOL DDP-8	90
	NIKKOL TDP-8	90
	PLYSURF A219B	90
	PHOSPHANOL RS710	80
	ADEKA COL PS-440E	75
Comparison	CITOWETT	50

5

TEST EXAMPLE 4

The results as shown in Tables b6 and b7 were obtained in the same manner as in the above Test Example 1 except that a prescribed amount (15 g a.i./ha or 7 g a.i./ha) of a wettable powder comprising compound No. 2-27 as an active ingredient, prepared in accordance with the above Example 4, was used.

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Table b6

Compound No.2-27 (15 g a.i./ha)	Surfactant (tradename)	Growth inhibition rate (%) of crabgrass
The present invention	NIKKOL DDP-8	90
	PLYSURF A219B	94
	ADEKA COL PS-440E	90
Comparison	CITOWETT	78

Table b7

Compound No.2-27 (7 g a.i./ha)	Surfactant (tradename)	Growth inhibition rate (%) of velvetleaf
The present invention	NIKKOL DDP-8	95
	PLYSURF A219B	70
	ADEKA COL PS-440E	80
Comparison	CITOWETT	63

15 TEST EXAMPLE 5

The results as shown in Table b8 were obtained in the same manner as in the above Test Example 3 except that a prescribed amount (60 g a.i./ha) of a wettable powder comprising compound No. 3-31 as an active ingredient, prepared in accordance with the above Example 5, was used.

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Table b8

Compound No.3-31	Surfactant (tradename)	Growth inhibition rate (%) of crabgrass
The present invention	NIKKOL DDP-8	80
Comparison	CITOWETT	20

TEST EXAMPLE 6

Upland field soil was put into a 1/1,000,000 ha pot, and seeds of crabgrass were sown and grown in a greenhouse. When crabgrass reached 3.8 to 4.1 leaf stage, a prescribed amount (7 g a.i./ha) of a water-based suspension concentrate comprising compound No. 238 as an active ingredient, prepared in accordance with the above Example 3, was diluted with water corresponding to 300 L/ha (containing 0.03 vol% of a surfactant containing the POA alkyl ether phosphate and optionally having 0.03 vol% of a fatty acid ester, a hydrocarbon solvent or an emulsifying agent added), followed by foliar application. The fatty acid ester used here was AGNIQUE Me 18RD-F (tradename), the hydrocarbon solvent was Solvesso 150 (tradename) and the emulsifying agent was a mixture of a polyoxyethylene sorbitol tetraoleate, a polyoxyethylene castor oil and calcium dodecylbenzene sulfonate.

On the 20th day after the application, the state of growth of the plant was evaluated in the same manner as in Test Example 1, and the results as shown in Table b9 were obtained.

Table b9

Compound No.238	Surfactant (tradename)	Fatty acid ester	Hydrocarbon solvent	Emulsifying agent	Growth inhibition rate (%) of crabgrass
The present invention	ADEKA COL PS-440E	-	-	-	73
		added	-	added	83
		-	added	added	83
		added	added	added	85

TEST EXAMPLE 7

Upland field soil was put into a 1/1,000,000 ha pot, and seeds of crabgrass were sown and grown in a greenhouse. When crabgrass reached 4.0 to 5.0 leaf stage, a prescribed amount (15 g a.i./ha) of a wettable powder comprising compound No. 2-1 as an active ingredient, prepared in accordance with the above Example 1, was diluted with water corresponding to 300 L/ha (containing 0.05 vol% of a surfactant containing the POA alkyl ether phosphate), followed by foliar application. Further, for comparison, foliar application was carried out in the same manner by using a silicon surfactant (tradename: SILWETT L-77) at a concentration of 0.1 vol% instead of the above surfactant.

On the 21st day after the application, the state of growth of the plant was evaluated in the same manner as in Test Example 1, and the results as shown in Table b10 were obtained.

Table b10

Compound No.2-1	Surfactant (tradename)	Growth inhibition rate (%) of crabgrass
The present invention	Phosphanol RS-710	75
	PLYSURF A219B	70
Comparison	SILWETT L-77	10

TEST EXAMPLE 8

Upland field soil was put into a 1/1,000,000 ha pot, and seeds of barnyardgrass (*Echinochloa crus-galli* L) and crabgrass were respectively sown and grown in a greenhouse. When barnyardgrass reached 4.0 to 4.5 leaf stage and crabgrass reached 4.0 to 5.0 leaf stage, a prescribed amount (15 g a.i./ha) of a wettable powder comprising compound No. 2-6 as an active ingredient, prepared in accordance with the above Example 1, was diluted with water corresponding to 300 L/ha (containing 0.05 vol% of a surfactant containing the POA alkyl ether phosphate), followed by foliar application. Further, for comparison, foliar application was carried out in the same manner by using SILWETT L-77 (tradename.) at a concentration of 0.1 vol% instead of the above surfactant.

On the 21st day after the application, the state of growth of the plants was evaluated in the same manner as in Test Example 1, and the results as shown in Tables b11 and b12 were obtained.

15 Table b11

Compound No.2-6	Surfactant (tradename)	Growth inhibition rate (%) of barnyardgrass
The present invention	PHOSPHOLAN PS-236	95
Comparison	SILWETT L-77	10

Table b12

Compound No.2-6	Surfactant (tradename)	Growth inhibition rate (%) of crabgrass
The present invention	ADECA COL PS-440E	75
	NIKKOL DDP-8	70
	NIKKOL TDP-8	73
	PHOSPHANOL ML-240	85
	PHOSPHANOL RS-710	70
	PLYSURF A219B	78
Comparison	SILWETT L-77	40

TEST EXAMPLE 9

Upland field soil was put into a 1/1,000,000 ha pot, and seeds of crabgrass were sown and grown in a greenhouse. When crabgrass reached 4.0 to 4.5 leaf stage, a prescribed amount (15 g a.i./ha) of a wettable powder comprising compound No. 2-39 as an active ingredient, prepared in accordance with the above Example 1, was diluted with water corresponding to 300 L/ha (containing 0.05 vol% of a surfactant containing the POA alkyl ether phosphate), followed by foliar application. Further, for comparison, foliar application was carried out in the same manner by using SILWETT L-77 at a concentration of 0.1 vol% instead of the above surfactant.

On the 21st day after the application, the state of growth of the plant was evaluated in the same manner as in Test Example 1, and the results as shown in Table b13 were obtained.

Table b13

Compound No.2-39	Surfactant (tradename)	Growth inhibition rate (%) of crabgrass
The present invention	PHOSPHANOL RS-410	70
	ADECA COL PS-440E	93
	NIKKOL DDP-8	93
Comparison	SILWETT L-77	20

TEST EXAMPLE10

5 The results as shown in Tables b14 and b15 were obtained in the same manner as in the above Test Example 8 except that a prescribed amount (15 g a.i./ha) of a wettable powder comprising compound No. 2-185 as an active ingredient, prepared in accordance with the above Example 1, was used.

Table b14

Compound No.2-185	Surfactant (tradename)	Growth inhibition rate (%) of barnyardgrass
The present invention	PHOSPHOLAN PS-236	80
Comparison	SILWETT L-77	0

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Table b15

Compound No.2-185	Surfactant (tradename)	Growth inhibition rate (%) of crabgrass
The present invention	NIKKOL DDP-8	70
	NIKKOL TDP-8	70
	PHOSPHANOL ML-240	70
	PHOSPHANOL RS-710	73
	PLYSURF A219B	70
Comparison	SILWETT L-77	20

TEST EXAMPLE 11

15 Upland field soil was put into a 1/1,000,000 ha pot, and seeds of crabgrass were sown and grown in a greenhouse. When crabgrass reached 4.0 to 5.0 leaf stage, a prescribed amount (15 g a.i./ha) of a wettable powder comprising compound No. 4-3 as an active ingredient, prepared in accordance with the above Example 1, was diluted with water corresponding to 300 L/ha (containing 0.05 vol% of a surfactant containing the POA alkyl ether phosphate), followed by foliar application. Further, for comparison, 20 foliar application was carried out in the same manner by using SILWETT L-77 (tradename) at a concentration of 0.1 vol% instead of the above surfactant.

On the 21st day after the application, the state of growth of the plant was evaluated in the same manner as in Test Example 1, and the results as shown in Table b16 were obtained.

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Table b16

Compound No.4-3	Surfactant (tradename)	Growth inhibition rate (%) of crabgrass
The present invention	PHOSPHANOL RS-410	80
	ADECA COL PS-440E	83
	Phospholan PS-236	80
	NIKKOL DDP-8	80
	NIKKOL TDP-8	85
	PHOSPHANOL ML-240	90
	PHOSPHANOL RS-710	80
	PLYSURF A219B	98
Comparison	SILWETT L-77	55

TEST EXAMPLE 12

The results as shown in Tables b17 were obtained in the same manner as in the above Test Example 11 except that a prescribed amount (15 g a.i./ha) of a wettable powder comprising compound No. 4-320 as an active ingredient, prepared in accordance with the above Example 1, was used.

Table b17

Compound No.4-320	Surfactant (tradename)	Growth inhibition rate (%) of crabgrass
The present invention	PHOSPHOLAN PS-236	90
	NIKKOL DDP-8	90
Comparison	SILWETT L-77	88

TEST EXAMPLE 13

Upland field soil was put into a 1/1,000,000 ha pot, and seeds of barnyardgrass were sown and grown in a greenhouse. When barnyardgrass reached 4.0 to 4.5 leaf stage, a prescribed amount (31 g a.i./ha) of a wettable powder comprising compound No. 5-1 as an active ingredient, prepared in accordance with the above Example 1, was diluted with water corresponding to 300 L/ha (containing 0.05 vol% of a surfactant containing the POA alkyl ether phosphate), followed by foliar application. Further, for comparison, foliar application was carried out in the same manner by using SILWETT L-77 (tradename) at a concentration of 0.1 vol% instead of the above surfactant.

On the 21st day after the application, the state of growth of the plant was evaluated in the same manner as in Test Example 1, and the results as shown in Table b18 were obtained.

Table b18

Compound No.5-1	Surfactant (tradename)	Growth inhibition rate (%) of barnyardgrass
The present invention	ADECA COL PS-440E	85
Comparison	SILWETT L-77	70

INDUSTRIAL APPLICABILITY

By using the herbicidal composition of the present invention capable of improving the effect of a herbicidally active ingredient, it is possible to reduce the dose of the

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herbicide and thus substantially reduce the environmental load on a site where the herbicide is applied or the periphery thereof, and further, it is possible to substantially reduce the costs required for its transportation or storage. Thus, its applicability is very high irrespective of whether the application is to agricultural fields or non-

5 agricultural fields.

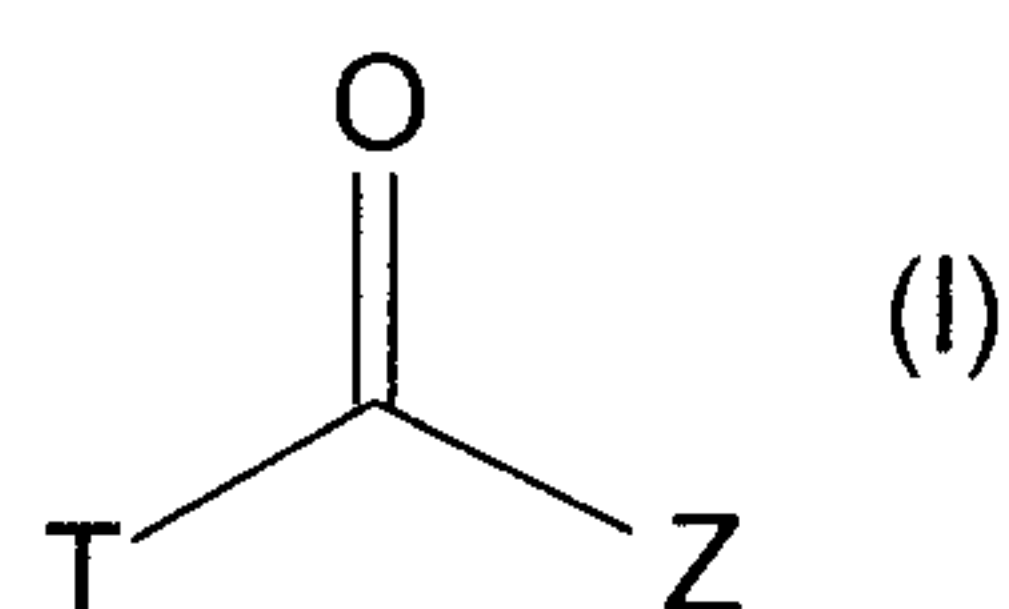
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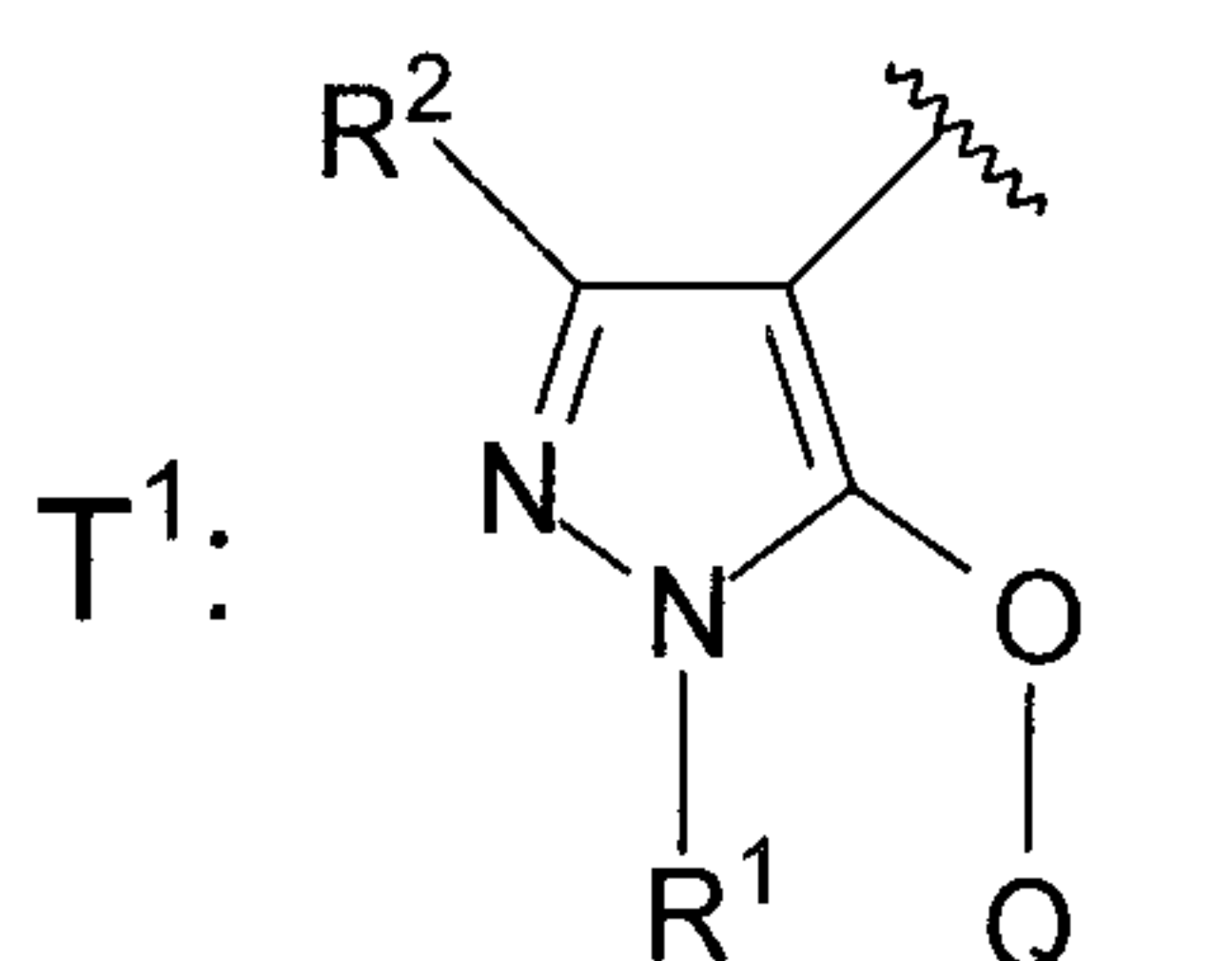
CLAIMS:

1. A herbicidal composition comprising:

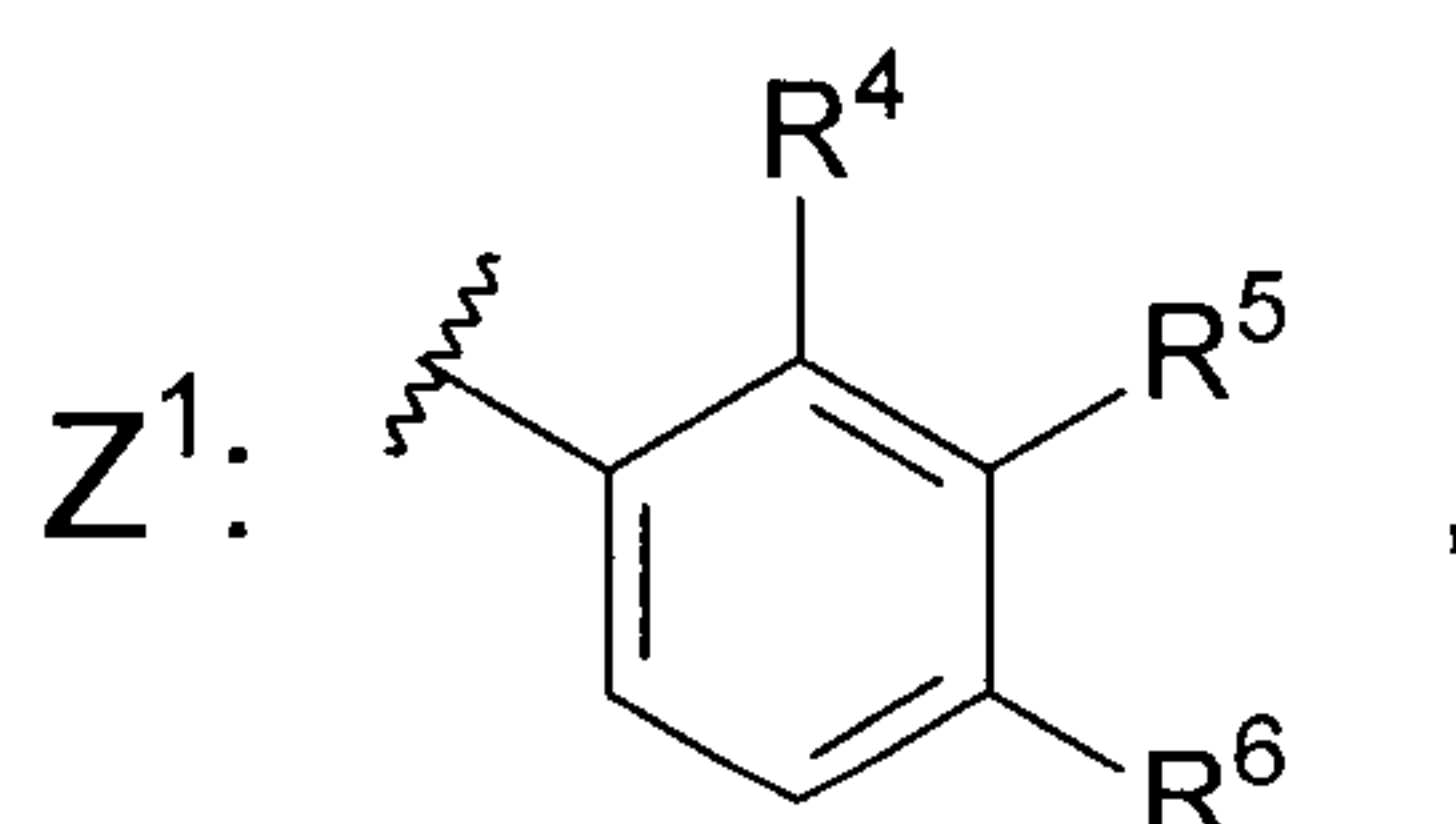
(1) a compound represented by the formula (I) or its salt:



5 wherein T is



and Z is



Q is -A-O-C(O)OR¹⁰, R¹ is alkyl, R² is hydrogen, R⁴ is alkyl, R⁵ is alkoxyalkyl, alkoxy, alkoxyalkoxy or -C(O)OR⁷, R⁶ is alkylsulfonyl, R⁷ is alkyl; haloalkyl; alkoxyalkyl; alkenyl; haloalkenyl; alkynyl; or arylalkyl which may be substituted by R⁹; R⁹ is halogen; alkyl; or alkoxy; A is alkylene substituted by at least one alkyl, and R¹⁰ is alkyl, and

(2) a polyoxyalkylene alkyl ether phosphate or its salt.

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2. The herbicidal composition according to Claim 1, wherein in the formula (I), T is T¹, Q is -A-O-C(O)OR¹⁰, R¹ is alkyl, R² is hydrogen, Z is Z¹, R⁴ is alkyl, R⁵ is alkoxyalkoxy, R⁶ is alkylsulfonyl, A is alkylene substituted by at least one alkyl, and R¹⁰ is alkyl.

5 3. The herbicidal composition according to Claim 1, which further comprises (3) at least one oil selected from the group consisting of a vegetable oil, a fatty acid ester and a hydrocarbon solvent.

4. The herbicidal composition according to Claim 1, which further comprises (3) at least one oil selected from the group consisting of a vegetable oil, a
10 fatty acid ester and a hydrocarbon solvent, and (4) an emulsifying agent.

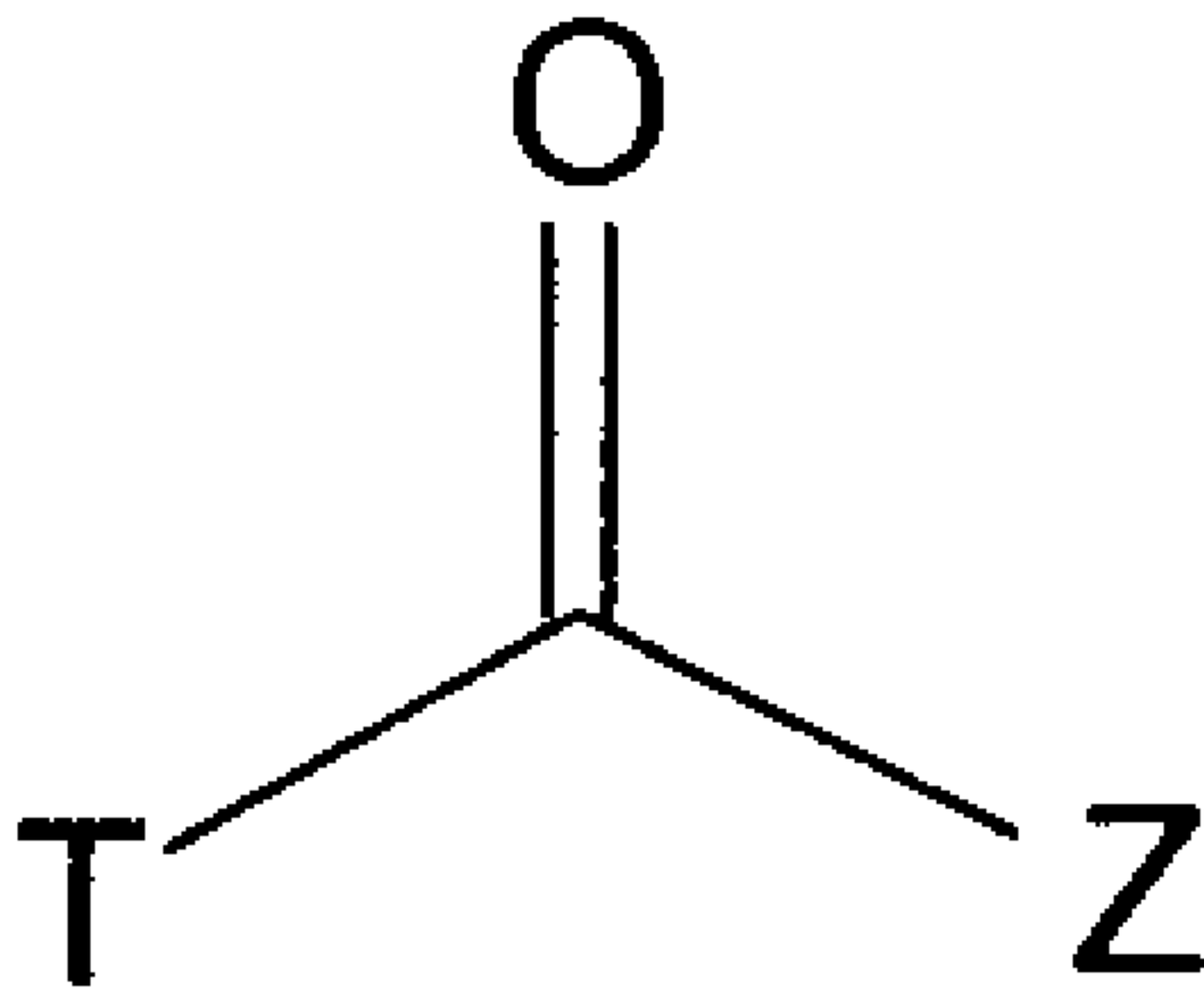
5. A method for improving the herbicidal effect of (1) the compound represented by the formula (I) as defined in Claim 1 or its salt, by using (2) a polyoxyalkylene alkyl ether phosphate or its salt.

6. The method according to Claim 5, wherein (3) at least one oil selected
15 from the group consisting of a vegetable oil, a fatty acid ester and a hydrocarbon solvent, is further used.

7. The method according to Claim 5, wherein (3) at least one oil selected from the group consisting of a vegetable oil, a fatty acid ester and a hydrocarbon solvent, and (4) an emulsifying agent, are further used.

20 8. A method for controlling undesired plants, which comprises applying a herbicidally effective amount of the herbicidal composition as defined in Claim 1, to the undesired plants or to a place where they grow.

9. A method for controlling undesired plants, which comprises applying
(1) the compound represented by the formula (I) as defined in Claim 1 or its salt, and
25 (2) a polyoxyalkylene alkyl ether phosphate or its salt, to the undesired plants or to a place where they grow.



(1)