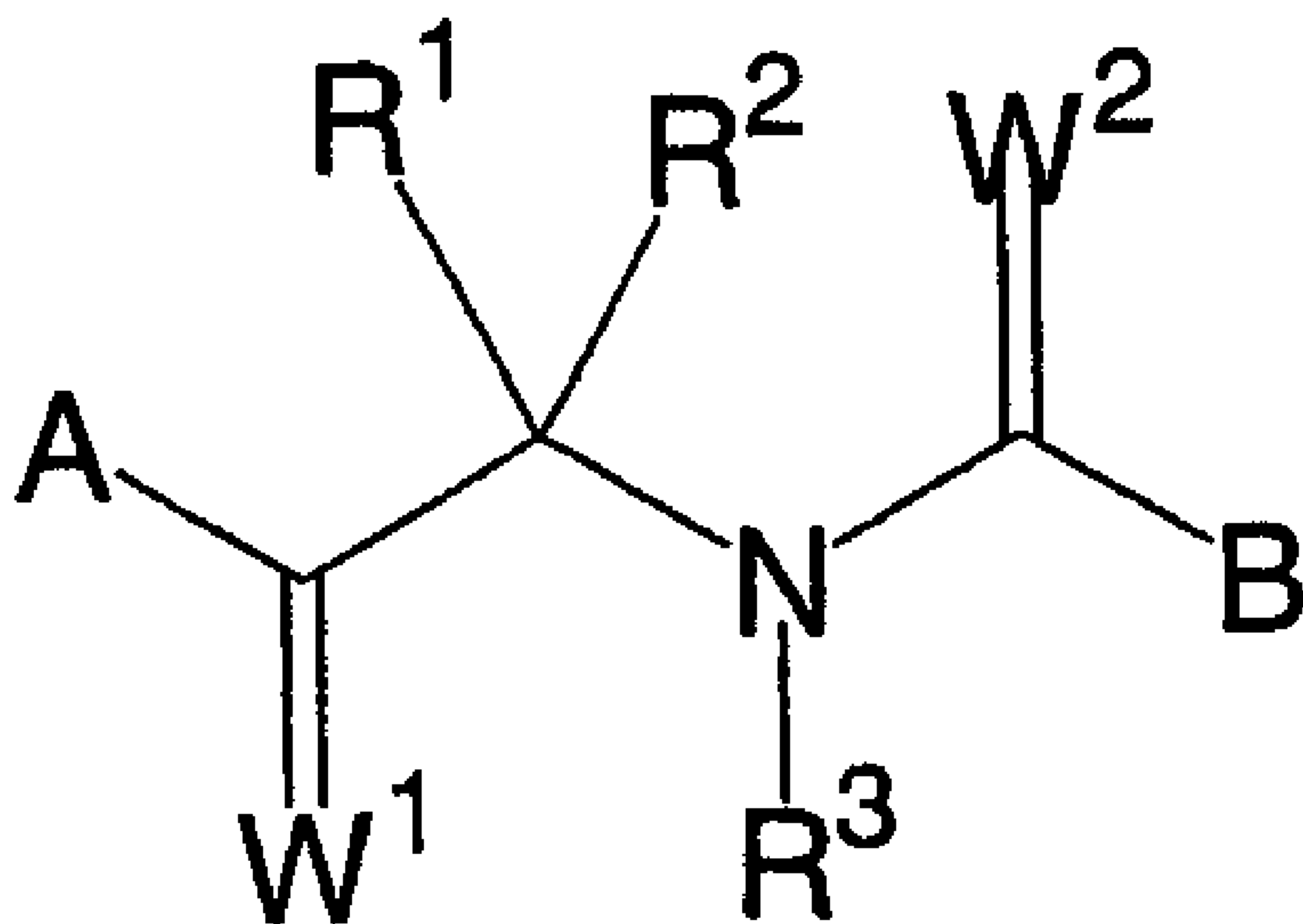




(86) Date de dépôt PCT/PCT Filing Date: 2005/08/10
(87) Date publication PCT/PCT Publication Date: 2006/02/16
(45) Date de délivrance/Issue Date: 2013/05/07
(85) Entrée phase nationale/National Entry: 2007/01/24
(86) N° demande PCT/PCT Application No.: JP 2005/014970
(87) N° publication PCT/PCT Publication No.: 2006/016708
(30) Priorités/Priorities: 2004/08/12 (JP2004-235634);
2005/06/17 (JP2005-178614)

(51) Cl.Int./Int.Cl. *C07D 409/12* (2006.01),
A01N 43/00 (2006.01), *A01P 3/00* (2006.01),
C07D 307/68 (2006.01), *C07D 333/38* (2006.01),
C07D 407/12 (2006.01)
(72) Inventeurs/Inventors:
NAKAMURA, YUJI, JP;
MITANI, SHIGERU, JP;
YONEDA, TETSUO, JP
(73) Propriétaire/Owner:
ISHIHARA SANGYO KAISHA, LTD., JP
(74) Agent: SMART & BIGGAR

(54) Titre : COMPOSITION FONGICIDE CONTENANT UN DERIVE D'AMIDE D'ACIDE
(54) Title: FUNGICIDAL COMPOSITION CONTAINING ACID AMIDE DERIVATIVE



(57) **Abrégé/Abstract:**

Conventional many fungicidal compositions have had practical problems such that either a preventive effect or a curing effect is inadequate, the residual effect tends to be inadequate, or the controlling effect against plant diseases tends to be inadequate depending upon the application site, and a fungicidal composition to overcome such problems has been desired. The present invention provides a fungicidal composition containing an acid amide derivative of the formula (I) or a salt thereof, as an active ingredient: wherein A is phenyl which may be substituted, benzyl which may be substituted, naphthyl which may be substituted, heterocyclic ring which may be substituted, fused heterocyclic ring which may be substituted, or the like; B is heterocyclic ring which may be substituted, fused heterocyclic ring which may be substituted, or naphthyl which may be substituted; each of R¹ and R² which are independent of each other, is alkyl, or the like; R³ is hydrogen, or the like; each of W¹ and W² which are independent of each other, is oxygen or sulfur.

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
16 February 2006 (16.02.2006)

PCT

(10) International Publication Number
WO 2006/016708 A1

(51) International Patent Classification:

<i>A01N 43/80</i> (2006.01)	<i>A01N 43/08</i> (2006.01)
<i>A01N 43/78</i> (2006.01)	<i>A01N 37/20</i> (2006.01)
<i>A01N 43/76</i> (2006.01)	<i>C07C 233/87</i> (2006.01)
<i>A01N 43/56</i> (2006.01)	<i>C07D 333/40</i> (2006.01)
<i>A01N 43/36</i> (2006.01)	<i>C07D 333/38</i> (2006.01)
<i>A01N 43/32</i> (2006.01)	<i>C07D 319/20</i> (2006.01)
<i>A01N 43/30</i> (2006.01)	<i>C07D 319/18</i> (2006.01)
<i>A01N 43/10</i> (2006.01)	

(JP). YONEDA, Tetsuo [JP/JP]; c/o Ishihara Sangyo Kaisha, Ltd. Chuo Kenkyusho, 3-1, Nishi-shibukawa 2-chome, Kusatsu-shi, Shiga, 5250025 (JP).

(74) Agents: SENMYO, Kenji et al.; Torimoto Kogyo Bldg., 38, Kanda-Higashimatsushitacho, Chiyoda-ku, Tokyo 1010042 (JP).

(21) International Application Number:

PCT/JP2005/014970

(22) International Filing Date: 10 August 2005 (10.08.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

2004-235634	12 August 2004 (12.08.2004)	JP
2005-178614	17 June 2005 (17.06.2005)	JP

(71) Applicant (for all designated States except US): ISHIHARA SANGYO KAISHA, LTD. [JP/JP]; 3-15, Edobori 1-chome, Nishi-ku, Osaka-shi, Osaka, 5500002 (JP).

(72) Inventors; and

(75) Inventors/Applicants (for US only): NAKAMURA, Yuji [JP/JP]; c/o Ishihara Sangyo Kaisha, Ltd. Chuo Kenkyusho, 3-1, Nishi-shibukawa 2-chome, Kusatsu-shi, Shiga, 5250025 (JP). MITANI, Shigeru [JP/JP]; c/o Ishihara Sangyo Kaisha, Ltd. Chuo Kenkyusho, 3-1, Nishi-shibukawa 2-chome, Kusatsu-shi, Shiga, 5250025

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

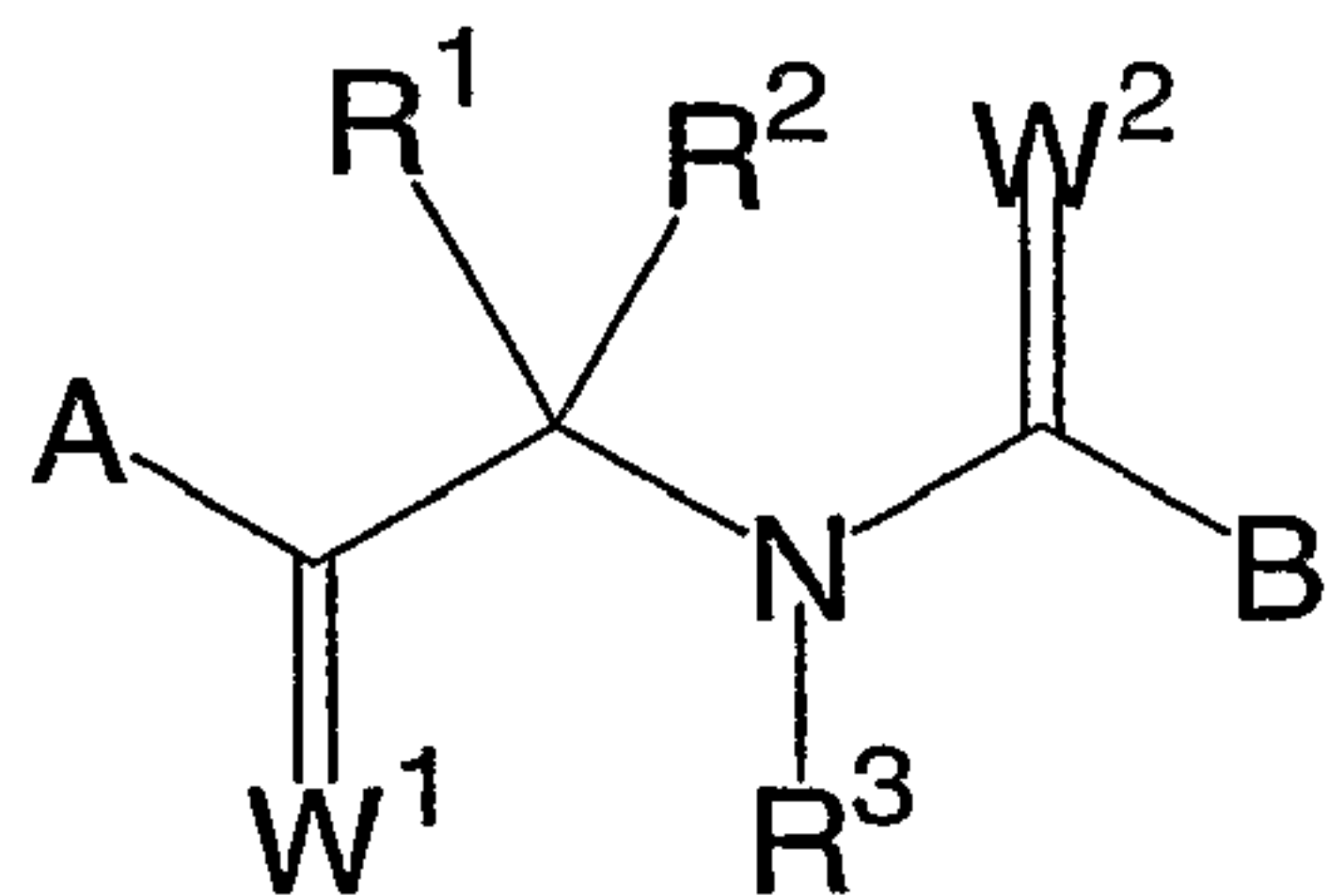
(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: FUNGICIDAL COMPOSITION CONTAINING ACID AMIDE DERIVATIVE



(I)

(57) Abstract: Conventional many fungicidal compositions have had practical problems such that either a preventive effect or a curing effect is inadequate, the residual effect tends to be inadequate, or the controlling effect against plant diseases tends to be inadequate depending upon the application site, and a fungicidal composition to overcome such problems has been desired. The present invention provides a fungicidal composition containing an acid amide derivative of the formula (I) or a salt thereof, as an active ingredient: wherein A is phenyl which may be substituted, benzyl which may be substituted,

naphthyl which may be substituted, heterocyclic ring which may be substituted, fused heterocyclic ring which may be substituted, or the like; B is heterocyclic ring which may be substituted, fused heterocyclic ring which may be substituted, or naphthyl which may be substituted; each of R^1 and R^2 which are independent of each other, is alkyl, or the like; R^3 is hydrogen, or the like; each of W^1 and W^2 which are independent of each other, is oxygen or sulfur.

WO 2006/016708 A1

DESCRIPTION

FUNGICIDAL COMPOSITION CONTAINING ACID AMIDE DERIVATIVE

5 TECHNICAL FIELD

The present invention relates to a fungicidal composition containing an acid amide derivative.

BACKGROUND ART

WO2001/60783 and WO2003/27059 disclose that acid
10 amide derivatives having certain chemical structures are useful as active ingredients for pesticides, but there is no disclosure that compounds of the formula (I) given hereinafter, have fungicidal activities. On the other hand, Japanese Patent Application No. 2003-420864 by the
15 present applicants, discloses a fungicidal composition containing an acid amide derivative as an active ingredient, but the active ingredient compound of such a composition is different from the compound of the formula (I) given hereinafter.

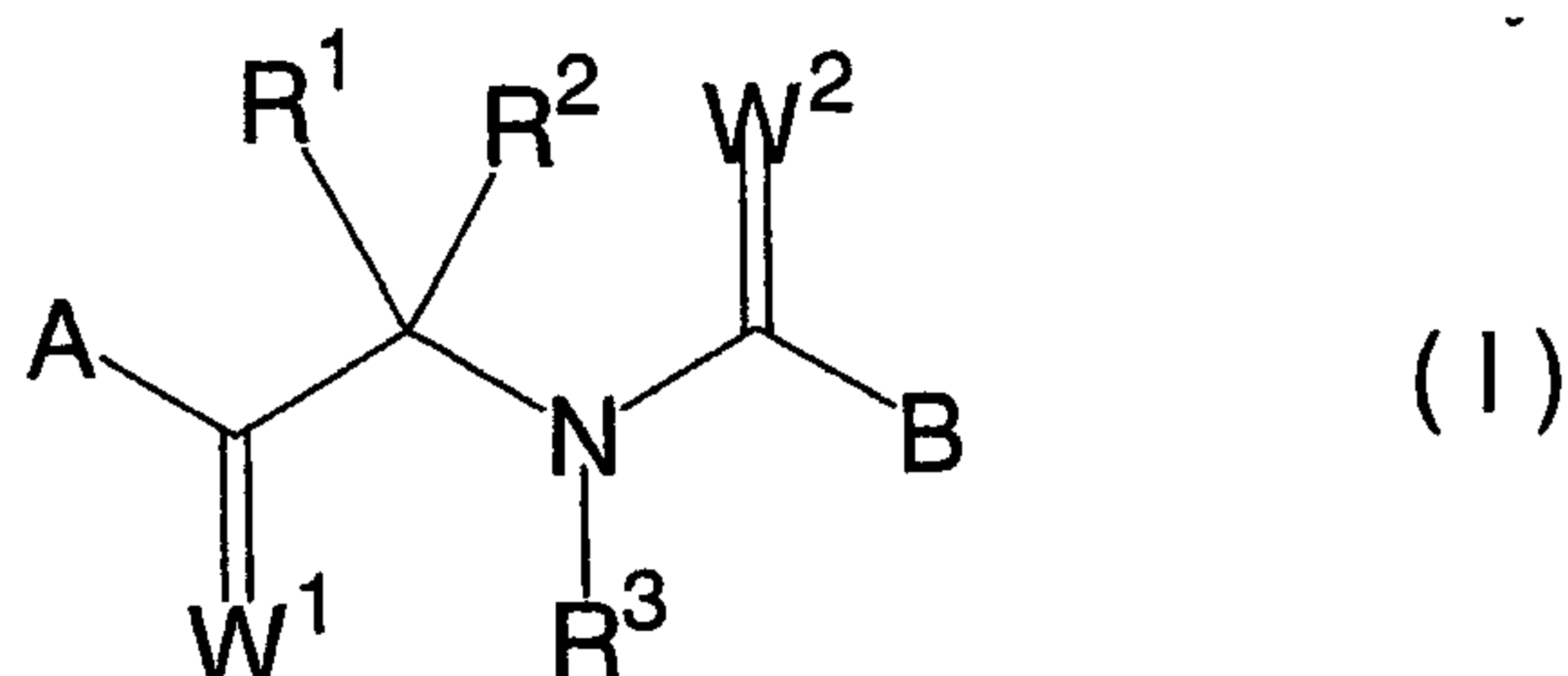
20 Conventional many fungicidal compositions have had practical problems such that either a preventive effect or a curing effect is inadequate, the residual effect tends to be inadequate, or the controlling effect against plant diseases tends to be inadequate depending upon the
25 application site. Accordingly, a fungicidal composition to overcome such problems has been desired.

71416-357

2

SUMMARY OF INVENTION

In one aspect, the present invention relates to a fungicidal composition containing an acid amide derivative of the formula (I):

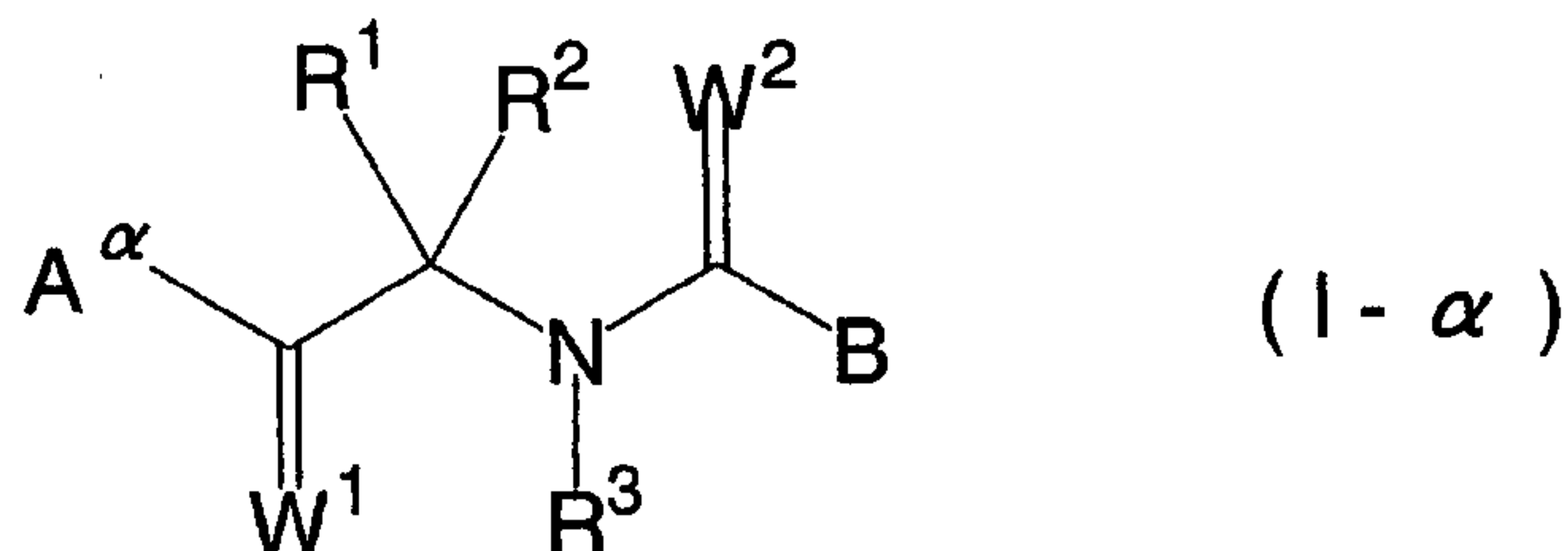


5

or a salt thereof, wherein A is phenyl which may be substituted by X, benzodioxolanyl which may be substituted by X, or benzodioxanyl which may be substituted by X; B is furyl which may be substituted by Y, or thienyl which may be substituted by Y; each of R^1 and R^2 is alkyl or R^1 and R^2 together form a 3- to 6-membered saturated carbocyclic ring; X is fluorine, chlorine, iodine, alkyl, haloalkyl, alkoxy or haloalkoxy; Y is halogen, alkyl, haloalkyl, alkoxy or haloalkoxy; R^3 is hydrogen; and each of W^1 and W^2 is oxygen, and a fungicidal adjuvant.

15

In another aspect, the present invention relates to an acid amide derivative of the formula (I- α):



or a salt thereof, wherein A^α is phenyl which may be substituted by X^α , benzodioxolanyl which may be substituted X^α , or benzodioxanyl which may be substituted X^α ; B is furyl which

20

71416-357

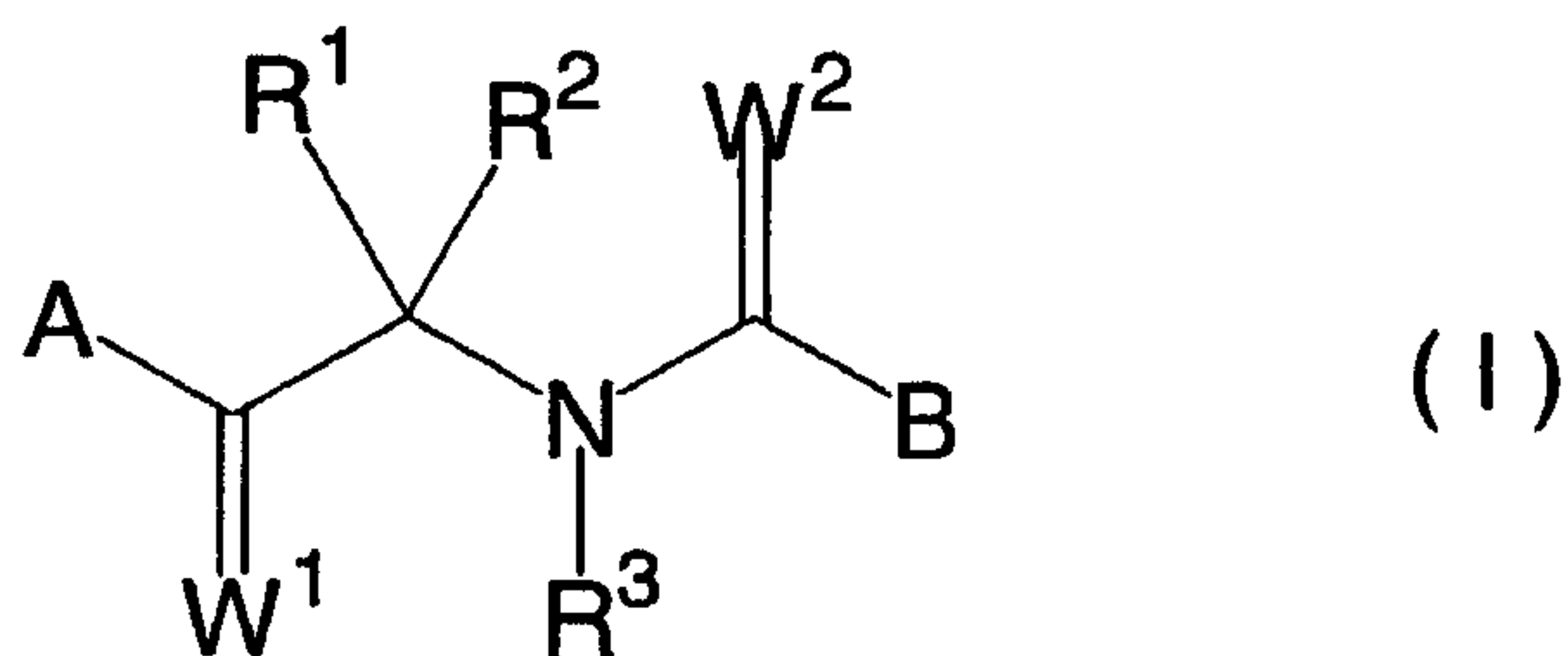
2a

may be substituted by Y, or thienyl which may be substituted by Y; each of R^1 and R^2 is alkyl or R^1 and R^2 may together form a 3- to 6-membered saturated carbocyclic ring; X^α is fluorine, chlorine, iodine, alkyl, haloalkyl, alkoxy, or haloalkoxy; Y is
 5 halogen, alkyl, haloalkyl, alkoxy or haloalkoxy; R^3 is hydrogen; and each of W^1 and W^2 is oxygen.

DISCLOSURE OF THE INVENTION

The present inventors have conducted a research to solve the above problems and as a result, have found that a
 10 fungicidal composition containing an acid amide derivative of the formula (I) given hereinafter exhibits excellent preventive and curing effects against various diseases caused by various noxious fungi such as Oomycetes, Ascomycetes, Basidiomycetes or Deuteromycetes and, at the same time, has practically
 15 satisfactory residual activities. The present invention has been accomplished on the basis of this discovery.

Namely, the present invention provides a fungicidal composition containing an acid amide derivative of the formula (I) or a salt thereof as an active ingredient:



20 wherein A is phenyl which may be substituted by X, benzyl which may be substituted by X, naphthyl which may be substituted by X, heterocyclic ring which may be substituted by X, fused heterocyclic ring which may be substituted by X, indanyl (the

71416-357

2b

indanyl may be substituted by halogen, alkyl, or alkoxy), or tetrahydronaphthyl (the tetrahydronaphthyl may be substituted by halogen, alkyl, or alkoxy); B is heterocyclic ring (excluding pyridyl) which may be substituted by Y, fused

5 heterocyclic ring

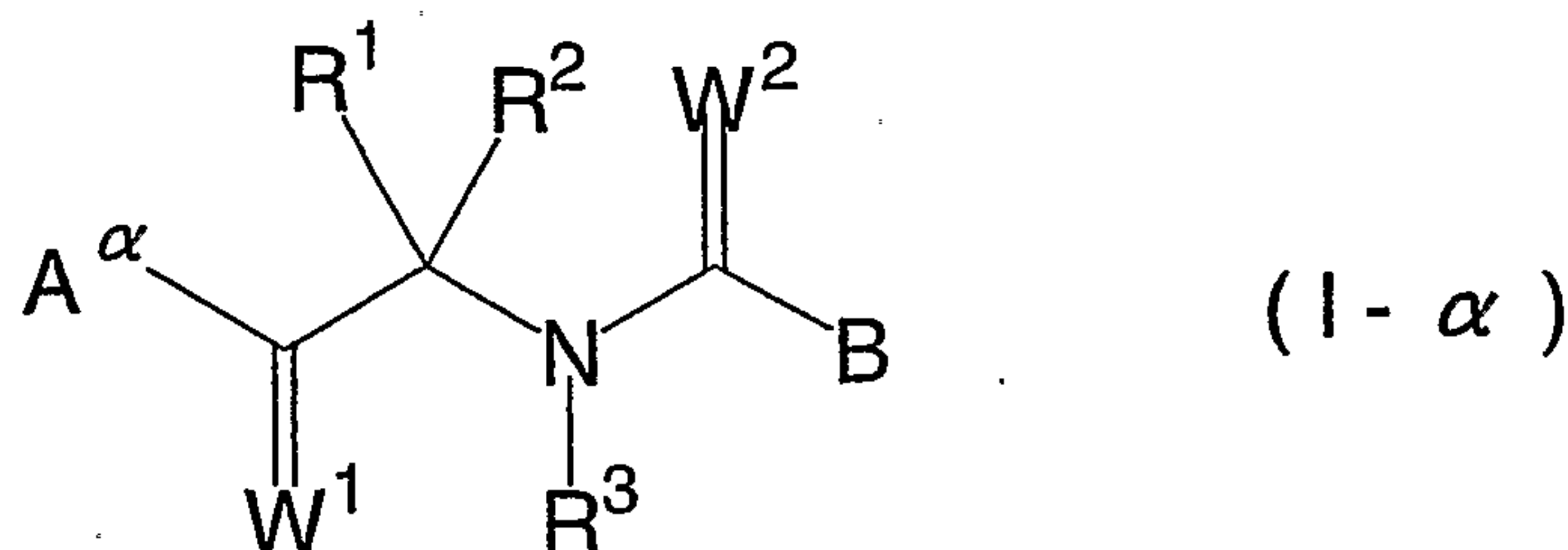
which may be substituted by Y, or naphthyl which may be substituted by Y; X is halogen, alkyl which may be substituted by E^1 , alkenyl which may be substituted by E^1 , alkynyl which may be substituted by E^1 , hydroxy, 5 cyanooxy, alkoxy which may be substituted by E^1 , alkenyloxy which may be substituted by E^1 , alkynyloxy which may be substituted by E^1 , mercapto, cyanothio, alkylthio which may be substituted by E^1 , alkenylthio which may be substituted by E^1 , alkynylthio which may be substituted by E^1 , alkylsulfinyl which may be substituted 10 by E^2 , alkylsulfonyl which may be substituted by E^2 , cycloalkyl which may be substituted by J, cycloalkyloxy which may be substituted by J, cycloalkylthio which may be substituted by J, cyano, nitro, formyl, phenyl which 15 may be substituted by Y, phenoxy which may be substituted by Y, phenylthio which may be substituted by Y, phenylalkyl which may be substituted by Y, phenylalkenyl which may be substituted by Y, phenylalkynyl which may be substituted by Y, phenylalkyloxy which may be substituted 20 by Y, phenylalkenyloxy which may be substituted by Y, phenylalkynyloxy which may be substituted by Y, phenylalkylthio which may be substituted by Y, phenylalkenylthio which may be substituted by Y, phenylalkynylthio which may be substituted by Y, 25 phenylamino which may be substituted by Y, $-OR^4$, $-SR^5$, $-NR^6R^7$, $-CO_2R^8$, $-C(=O)NR^8R^9$, $-SO_2NR^8R^9$, $-CH=NR^{10}$, or heterocyclic ring (the heterocyclic ring may be

substituted by halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, or alkylcarbonyl); Y is halogen, alkyl which may be substituted by E¹, alkenyl which may be substituted by E¹, alkynyl which may be substituted by E¹, hydroxy, cyanoxy, alkoxy which may be substituted by E¹, alkenyloxy which may be substituted by E¹, alkynyloxy which may be substituted by E¹, mercapto, cyanothio, alkylthio which may be substituted by E¹, alkenylthio which may be substituted by E¹, alkynylthio which may be substituted by E¹, alkylsulfinyl which may be substituted by E², alkylsulfonyl which may be substituted by E², cycloalkyl which may be substituted by J, cycloalkyloxy which may be substituted by J, cycloalkylthio which may be substituted by J, cyano, nitro, formyl, -OR⁴, -SR⁵, -NR⁶R⁷, -CO₂R⁸, -C(=O)NR⁸R⁹, -SO₂NR⁸R⁹, -CH=NR¹⁰, or heterocyclic ring (the heterocyclic ring may be substituted by halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, or alkylcarbonyl); each of R¹ and R² which are independent of each other, is hydrogen, alkyl, haloalkyl, hydroxyalkyl, alkoxyalkyl, haloalkoxyalkyl, cyanoalkyl, alkoxycarbonylalkyl, alkenyl, haloalkenyl, alkoxyalkenyl, alkynyl, haloalkynyl, alkoxyalkynyl, cycloalkyl, halocycloalkyl, (alkyl)cycloalkyl, (haloalkyl)cycloalkyl, cyano, or -CO₂R⁸, or R¹ and R² may together form a 3- to 6-membered saturated carbon ring; R³ is hydrogen, alkyl which may be substituted by E¹, alkenyl which may be substituted by E¹, alkynyl which may

be substituted by E^1 , hydroxy, cyanooxy, alkoxy which may be substituted by E^1 , cycloalkyl which may be substituted by J, cycloalkyloxy which may be substituted by J, cycloalkylthio which may be substituted by J, cyano, formyl, $-C(=W^3)R^{11}$, $-C(=W^3)OR^{12}$, $-C(=W^3)SR^{12}$, $-C(=W^3)NR^{12}R^{13}$, $-S(O)mR^{12}$, or $-S(O)nNR^{12}R^{13}$; R^4 is $-C(=W^3)R^{12}$, $-C(=W^3)OR^{12}$, $-C(=W^3)SR^{12}$, $-C(=W^3)NR^{12}R^{13}$, $-S(O)mR^{12}$, $-S(O)nNR^{12}R^{13}$, or heterocyclic ring (the heterocyclic ring may be substituted by halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, or alkylcarbonyl); R^5 is $-C(=W^3)R^{12}$, $-C(=W^3)OR^{12}$, $-C(=W^3)SR^{12}$, $-C(=W^3)NR^{12}R^{13}$, or heterocyclic ring (the heterocyclic ring may be substituted by halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, or alkylcarbonyl); R^6 is hydrogen, alkyl, haloalkyl, alkoxyalkyl, haloalkoxyalkyl, cyanoalkyl, (cycloalkyl)alkyl, cycloalkyl, cyano, $-C(=W^3)R^{12}$, $-C(=W^3)OR^{12}$, $-C(=W^3)SR^{12}$, $-C(=W^3)NR^{12}R^{13}$, $-S(O)mR^{12}$, $-S(O)nNR^{12}R^{13}$, or heterocyclic ring (the heterocyclic ring may be substituted by halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, or an alkylcarbonyl); R^7 is hydrogen, alkyl, haloalkyl, alkoxyalkyl, or haloalkoxyalkyl; each of R^8 and R^9 which are independent of each other, is hydrogen, alkyl, haloalkyl, alkoxyalkyl, or haloalkoxyalkyl, and adjacent R^8 and R^9 may together form a ring; R^{10} is alkyl (the alkyl may be substituted by halogen, alkoxy, or haloalkoxy), alkoxy (the alkoxy may be substituted by halogen, alkoxy, or haloalkoxy),

alkenyloxy (the alkenyloxy may be substituted by halogen, alkoxy, or haloalkoxy), alkynyloxy (the alkynyloxy may be substituted by halogen, alkoxy, or haloalkoxy), or alkoxy carbonyl (the alkoxy carbonyl may be substituted by halogen, alkoxy, or haloalkoxy); R^{11} is hydrogen, alkyl which may be substituted by E^3 , phenyl (the phenyl may be substituted by halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, or alkyl carbonyl), or heterocyclic ring (the heterocyclic ring may be substituted by halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, or alkyl carbonyl); each of R^{12} and R^{13} which are independent of each other, is alkyl which may be substituted by E^3 , alkoxy, haloalkoxy, cycloalkyl which may be substituted by J, or phenyl (the phenyl may be substituted by halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, or alkyl carbonyl), or adjacent R^{12} and R^{13} may together form a ring; each of W^1 , W^2 and W^3 which are independent of one another, is oxygen or sulfur; each of m and n which are independent of each other, is an integer of from 0 to 2; E^1 is halogen, hydroxy, alkoxy, haloalkoxy, mercapto, alkylthio, haloalkylthio, alkylsulfonyl, cycloalkyl, amino, monoalkylamino, dialkylamino, cyano, nitro, hydroxycarbonyl, alkoxy carbonyl, alkyl carbonyloxy, trialkylsilyl, or heterocyclic ring (the heterocyclic ring may be substituted by halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, or alkyl carbonyl); E^2 is halogen, hydroxy, alkoxy, haloalkoxy, cycloalkyl, amino,

monoalkylamino, dialkylamino, cyano, nitro,
hydroxycarbonyl, alkoxycarbonyl, trialkylsilyl, or
heterocyclic ring (the heterocyclic ring may be
substituted by halogen, alkyl, haloalkyl, alkoxy,
5 haloalkoxy, or alkylcarbonyl); E³ is halogen, alkoxy,
alkylthio, amino, monoalkylamino, dialkylamino,
cycloalkyl, cyano, alkoxycarbonyl, haloalkoxy,
haloalkylthio, or phenyl (the phenyl may be substituted
by halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, or
10 alkylcarbonyl); and J is halogen, alkyl, haloalkyl,
alkoxy, or haloalkoxy. Further, the present invention
provides a method for controlling various noxious fungi,
or various diseases caused by various noxious fungi,
which comprises applying an effective amount of such an
15 acid amide derivative or a salt thereof, or a method for
protecting crop plants or improving crop yields, which
comprises applying an effective amount of such an acid
amide derivative or a salt thereof. Further, the present
invention provides an acid amide derivative of the
20 formula (I- α) or a salt thereof, which has not been known
specifically heretofore:



wherein A^α is phenyl which may be substituted by X^α,
naphthyl substituted by X^α, thienyl substituted by X^α,

benzodioxolanyl which may be substituted X^α , or
benzodioxanyl which may be substituted X^α ; B is
heterocyclic ring (excluding pyridyl) which may be
substituted by Y, fused heterocyclic ring which may be
5 substituted by Y, or naphthyl which may be substituted by
Y; X^α is fluorine, chlorine, iodine, alkyl, haloalkyl,
alkoxyalkyl, dialkylaminoalkyl, alkynyl,
trialkylsilylalkynyl, hydroxy, alkoxy, haloalkoxy,
alkoxyalkoxy, cycloalkyl, nitro, phenyl, phenylalkynyl,
10 pyridyloxy which may be substituted by haloalkyl,
alkylcarbonyloxy, alkylsulfonyloxy, or heterocyclic ring
(the heterocyclic ring may be substituted by halogen,
alkyl, or alkylcarbonyl); Y is halogen, alkyl which may
be substituted by E^1 , alkenyl which may be substituted by
15 E^1 , alkynyl which may be substituted by E^1 , hydroxy,
cyanooxy, alkoxy which may be substituted by E^1 ,
alkenyloxy which may be substituted by E^1 , alkynyloxy
which may be substituted by E^1 , mercapto, cyanothio,
alkylthio which may be substituted by E^1 , alkenylthio
20 which may be substituted by E^1 , alkynylthio which may be
substituted by E^1 , alkylsulfinyl which may be substituted
by E^2 , alkylsulfonyl which may be substituted by E^2 ,
cycloalkyl which may be substituted by J, cycloalkyloxy
which may be substituted by J, cycloalkylthio which may
25 be substituted by J, cyano, nitro, formyl, $-OR^4$, $-SR^5$,
 $-NR^6R^7$, $-CO_2R^8$, $-C(=O)NR^8R^9$, $-SO_2NR^8R^9$, $-CH=NR^{10}$, or
heterocyclic ring (the heterocyclic ring may be

substituted by halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, or alkylcarbonyl); each of R^1 and R^2 which are independent of each other, is hydrogen, alkyl, haloalkyl, hydroxyalkyl, alkoxyalkyl, haloalkoxyalkyl, cyanoalkyl, alkoxycarbonylalkyl, alkenyl, haloalkenyl, alkoxyalkenyl, alkynyl, haloalkynyl, alkoxyalkynyl, cycloalkyl, halocycloalkyl, (alkyl)cycloalkyl, (haloalkyl)cycloalkyl, cyano, or $-CO_2R^8$, or R^1 and R^2 may together form a 3- to 6-membered saturated carbon ring;

R^3 is hydrogen, alkyl which may be substituted by E^1 , alkenyl which may be substituted by E^1 , alkynyl which may be substituted by E^1 , hydroxy, cyanooxy, alkoxy which may be substituted by E^1 , cycloalkyl which may be substituted by J, cycloalkyloxy which may be substituted by J, cycloalkylthio which may be substituted by J, cyano, formyl, $-C(=W^3)R^{11}$, $-C(=W^3)OR^{12}$, $-C(=W^3)SR^{12}$, $-C(=W^3)NR^{12}R^{13}$, $-S(O)mR^{12}$, or $S(O)nNR^{12}R^{13}$; R^4 is $-C(=W^3)R^{12}$, $-C(=W^3)OR^{12}$, $-C(=W^3)SR^{12}$, $-C(=W^3)NR^{12}R^{13}$, $-S(O)mR^{12}$, $-S(O)nNR^{12}R^{13}$, or heterocyclic ring (the heterocyclic ring may be substituted by halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, or alkylcarbonyl); R^5 is $-C(=W^3)R^{12}$, $-C(=W^3)OR^{12}$, $-C(=W^3)SR^{12}$, $-C(=W^3)NR^{12}R^{13}$, or heterocyclic ring (the heterocyclic ring may be substituted by halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, or alkylcarbonyl); R^6 is hydrogen, alkyl, haloalkyl, alkoxyalkyl, haloalkoxyalkyl, cyanoalkyl, (cycloalkyl)alkyl, cycloalkyl, cyano, $-C(=W^3)R^{12}$,

10

$-C(=W^3)OR^{12}$, $-C(=W^3)SR^{12}$, $-C(=W^3)NR^{12}R^{13}$, $-S(O)_mR^{12}$,
 $-S(O)_nNR^{12}R^{13}$, or heterocyclic ring (the heterocyclic
 ring may be substituted by halogen, alkyl, haloalkyl,
 alkoxy, haloalkoxy, or alkylcarbonyl); R^7 is hydrogen,
 5 alkyl, haloalkyl, alkoxyalkyl, or haloalkoxyalkyl; each
 of R^8 and R^9 which are independent of each other, is
 hydrogen, alkyl, haloalkyl, alkoxyalkyl, or
 haloalkoxyalkyl, and adjacent R^8 and R^9 may together form
 a ring; R^{10} is alkyl (the alkyl may be substituted by
 10 halogen, alkoxy, or haloalkoxy), alkoxy (the alkoxy may
 be substituted by halogen, alkoxy, or haloalkoxy),
 alkenyloxy (the alkenyloxy may be substituted by halogen,
 alkoxy, or haloalkoxy), alkynyloxy (the alkynyloxy may be
 substituted by halogen, alkoxy, or haloalkoxy), or
 15 alkoxycarbonyl (the alkoxycarbonyl may be substituted by
 halogen, alkoxy, or haloalkoxy); R^{11} is hydrogen, alkyl
 which may be substituted by E^3 , phenyl (the phenyl may be
 substituted by halogen, alkyl, haloalkyl, alkoxy,
 haloalkoxy, or alkylcarbonyl), or heterocyclic ring (the
 20 heterocyclic ring may be substituted by halogen, alkyl,
 haloalkyl, alkoxy, haloalkoxy, or alkylcarbonyl); each of
 R^{12} and R^{13} which are independent of each other, is alkyl
 which may be substituted by E^3 , alkoxy, haloalkoxy,
 cycloalkyl which may be substituted by J, or phenyl (the
 25 phenyl may be substituted by halogen, alkyl, haloalkyl,
 alkoxy, haloalkoxy, or alkylcarbonyl), and adjacent R^{12}
 and R^{13} may together form a ring; each of W^1 , W^2 and W^3

which are independent of one another, is oxygen or sulfur; each of m and n which are independent of each other, is an integer of from 0 to 2; E¹ is halogen, hydroxy, alkoxy, haloalkoxy, mercapto, alkylthio, haloalkylthio, alkylsulfonyl, cycloalkyl, amino, monoalkylamino, dialkylamino, cyano, nitro, hydroxycarbonyl, alkoxycarbonyl, alkylcarbonyloxy, trialkylsilyl, or heterocyclic ring (the heterocyclic ring may be substituted by halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, or alkylcarbonyl); E² is halogen, hydroxy, alkoxy, haloalkoxy, cycloalkyl, amino, monoalkylamino, dialkylamino, cyano, nitro, hydroxycarbonyl, alkoxycarbonyl, trialkylsilyl, or heterocyclic ring (the heterocyclic ring may be substituted by halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, or alkylcarbonyl); E³ is halogen, alkoxy, alkylthio, amino, monoalkylamino, dialkylamino, cycloalkyl, cyano, alkoxycarbonyl, haloalkoxy, haloalkylthio, or phenyl (the phenyl may be substituted by halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, or alkylcarbonyl); and J is halogen, alkyl, haloalkyl, alkoxy, or haloalkoxy.

In the above formula (I), the number of substituents X contained in A may be one or more, and in the case of more than one, such substituents may be the same or different. The number of halogen, alkyl or alkoxy which is substituent(s) on indanyl or tetrahydronaphthyl

contained in A, may be one or more, and in the case of more than one, such substituents may be the same or different. The number of substituents Y contained in B or X may be one or more, and in the case of more than one, such substituents may be the same or different. The number of substituents E^1 , E^2 or E^3 contained in X, Y, R^3 , R^{11} , R^{12} or R^{13} , may be one or more, and in the case of more than one, such substituents may be the same or different. The number of substituents J contained in X, Y, R^3 , R^{12} or R^{13} , may be one or more, and in the case of more than one, such substituents may be the same or different. The number of halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, or alkylcarbonyl, which is substituent(s) on phenyl or heterocyclic ring contained in X, Y, R^4 , R^5 , R^6 , R^{11} , R^{12} , R^{13} , E^1 , E^2 or E^3 , may be one or more, and in the case of more than one, such substituents may be the same or different. The number of halogen, alkoxy or haloalkoxy, which is substituent(s) on alkyl, alkoxy, alkenyloxy, alkynyloxy or alkoxycarbonyl, contained in R^{10} , may be one or more, and in the case of more than one, such substituents may be the same or different.

The heterocyclic ring in A, B, X, Y, R^4 , R^5 , R^6 , R^{11} , E^1 or E^2 , is preferably a 3-, 5- or 6-membered heterocyclic ring containing from 1 to 4 atoms of at least one type selected from the group consisting of O, S and N, and it may, for example, be a 3-membered

heterocyclic ring such as oxiranyl; a 5-membered heterocyclic ring such as furyl, tetrahydrofuryl, thienyl, pyrrolyl, pyrrolinyl, pyrrolidinyl, dioxolanyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, imidazolinyl, imidazolidinyl, pyrazolyl, pyrazolinyl, pyrazolidinyl, triazolyl, oxadiazolyl, thiadiazolyl or tetrazolyl; a 6-membered heterocyclic ring such as pyranyl, pyridyl, piperidinyl, dioxanyl, oxazinyl, morpholinyl, thiazinyl, pyridazinyl, pyrimidinyl, pyrazinyl, piperazinyl or triazinyl.

The fused heterocyclic ring in A or B is preferably a 8- to 10-membered fused heterocyclic ring containing from 1 to 4 atoms of at least one type selected from the group consisting of O, S and N, and it may, for example, be benzofuranyl, isobenzofuranyl, dihydrobenzofuranyl, dihydroisobenzofuranyl, benzothienyl, isobenzothienyl, dihydrobenzothienyl, dihydroisobenzothienyl, tetrahydrobenzothienyl, indolyl, isoindolyl, benzoxazolyl, benzothiazolyl, indazolyl, benzimidazolyl, benzodioxolanyl, benzodioxanyl, chromenyl, chromanyl, isochromanyl, chromonyl, chromanonyl, quinolyl, isoquinolyl, cinnolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, indolizinyl, quinolizinyl, imidazopyridyl, naphthyridinyl, pteridinyl, dihydrobenzoxazinyl, dihydrobenzoxazolinonyl, dihydrobenzoxazinonyl or benzothioxanyl.

The alkyl or alkyl moiety in A, X, Y, R^1 to R^3 , R^6 to

R^{13} , E^1 to E^3 or J, may be linear or branched, and as a specific example thereof, C_{1-7} alkyl may be mentioned such as methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, pentyl, hexyl or heptyl.

5 The cycloalkyl or cycloalkyl moiety in X, Y, R^1 to R^3 , R^6 , R^{12} , R^{13} or E^1 to E^3 , may be one having from 3 to 6 carbon atoms, such as cyclopropyl, cyclopentyl or cyclohexyl. Further, a specific example of the 3- to 6-membered saturated carbon ring which R^1 and R^2 may
10 together form, may be cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl.

 The alkenyl or alkenyl moiety in X, Y, R^1 to R^3 or R^{10} , may be a linear or branched one having from 2 to 7 carbon atoms, such as vinyl, 1-propenyl, allyl,
15 isopropenyl, 1-butenyl, 1,3-butadienyl, 1-hexenyl or 1-heptenyl. Further, the alkynyl or alkynyl moiety in X, Y, R^1 to R^3 or R^{10} , may be a linear or branched one having from 2 to 7 carbon atoms, such as ethynyl, 2-butynyl, 2-pentynyl, 3-hexynyl or 4-dimethyl-2-pentynyl.

20 The halogen or the halogen as a substituent in A, X, Y, R^1 to R^{13} , E^1 to E^3 or J may be an atom of fluorine, chlorine, bromine or iodine. The number of halogen as substituent(s), may be one or more, and in the case of more than one, such halogens may be the same or
25 different. Further, such halogens may be substituted at any positions.

 The definition of substituent A^α or X^α in the above

formula (I- α) follows the definition of substituent A or X in the above formula (I), respectively.

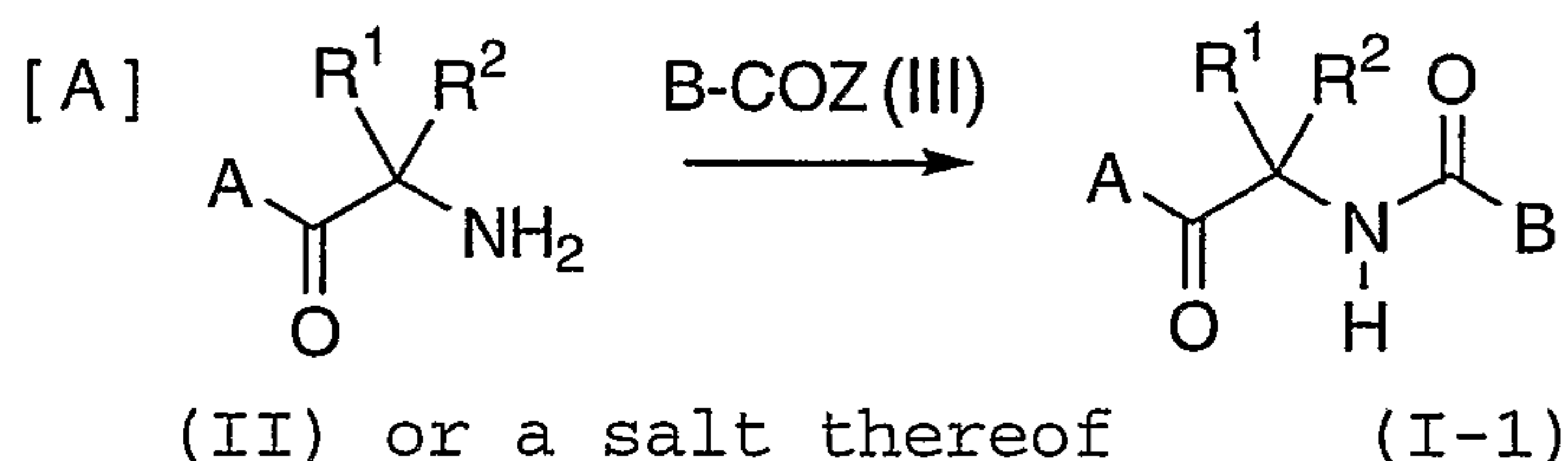
The salt of the acid amide derivative of the above formula (I) or (I- α) may be any salt so long as it is agriculturally acceptable. For example, it may be an alkali metal salt such as a sodium salt or a potassium salt; an alkaline earth metal salt such as a magnesium salt or a calcium salt; an inorganic acid salt such as a hydrochloride, a perchlorate, a sulfate or a nitrate; or an organic acid salt such as an acetate or a methane sulfonate.

The acid amide derivative of the above formula (I) or (I- α) has various isomers such as optical isomers or geometrical isomers, and the present invention includes both isomers and mixtures of such isomers. Further, the present invention also includes various isomers other than the above isomers within the common knowledge in the technical field concerned. Further, depending upon the types of isomers, they may have chemical structures different from the above formula (I) or (I- α), but they are within the scope of the present invention, since it is obvious to those skilled in the art that they are isomers.

The acid amide derivative of the above formula (I) or (I- α) or a salt thereof can be produced by the following reactions (A) to (K), (U) to (W), or by a usual process for producing a salt.

16

REACTION (A)



In the reaction (A), A, B, R¹, and R², are as defined above. Z is hydroxy, alkoxy or halogen, and the halogen
 5 may be an atom of fluorine, chlorine, bromine or iodine.

Reaction (A) may be carried out usually in the presence of a base and a solvent.

The base may be one or more suitably selected from e.g. an alkali metal such as sodium or potassium; an
 10 alkali metal alkoxide such as sodium methoxide, sodium ethoxide or potassium tertiary butoxide; a carbonate such as sodium carbonate or potassium carbonate; a bicarbonate such as sodium bicarbonate or potassium bicarbonate; a metal hydroxide such as sodium hydroxide or potassium
 15 hydroxide; a metal hydride such as sodium hydride or potassium hydride; an amine such as monomethylamine, dimethylamine or triethylamine; a pyridine such as pyridine or 4-dimethylaminopyridine; and an organic lithium such as methyllithium, n-butyllithium or lithium
 20 diisopropyl amide. The base may be used in an amount of from 1 to 3 mols, preferably from 1 to 2 mols, per mol of the compound of the formula (II).

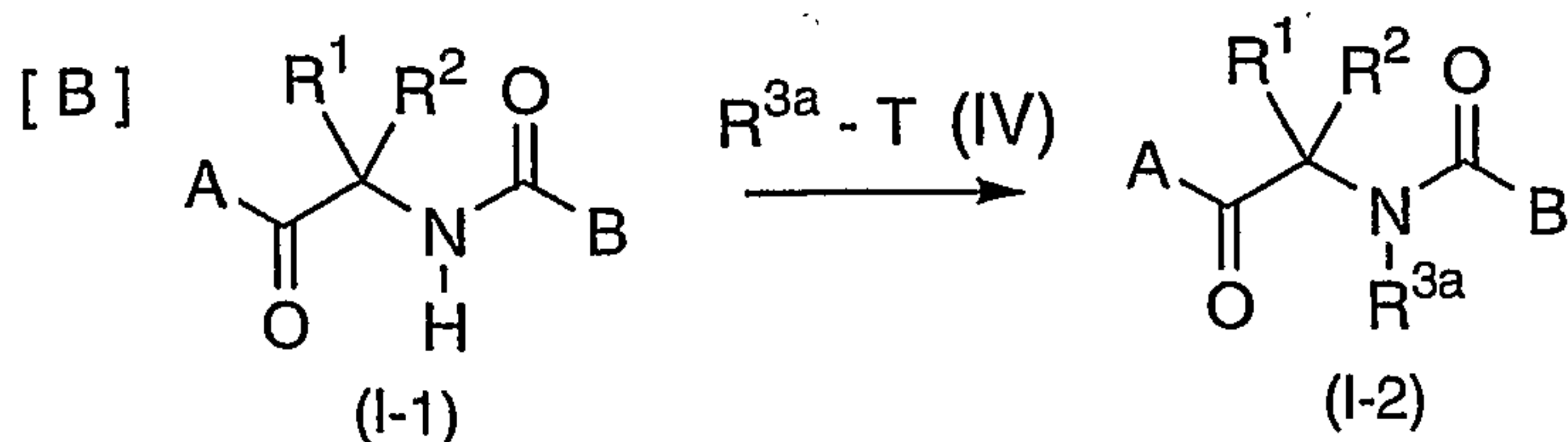
The solvent may be any solvent so long as it is a solvent inert to the reaction. For example, it may be

one or more suitably selected from e.g. an aromatic hydrocarbon such as benzene, toluene, xylene or chlorobenzene; an aliphatic hydrocarbon such as carbon tetrachloride, methyl chloride, chloroform, dichloromethane, dichloroethane, trichloroethane, hexane or cyclohexane; an ether such as dioxane, tetrahydrofuran, diethyl ether or dimethoxyethane; an ester such as methyl acetate or ethyl acetate; a polar aprotic solvent such as dimethyl sulfoxide, sulfolane, dimethylacetamide, dimethylformamide, N-methylpyrrolidone, pyridine acetonitrile or propionitrile; and a ketone such as acetone or methyl ethyl ketone.

Reaction (A) may be carried out, if necessary, in the presence of a dehydration condensation agent. The dehydration condensation agent may, for example, be N,N'-dicyclohexylcarbodiimide, chlorosulfonyl isocyanate, N,N'-carbonyldiimidazole and trifluoroacetic anhydride.

The reaction temperature for reaction (A) is usually from 0 to 100°C, preferably from 0 to 50°C, and the reaction time is usually from 0.5 to 48 hours, preferably from 1 to 24 hours.

REACTION (B)



In reaction (B), A, B, R¹ and R² are as defined above, and R^{3a} is alkyl which may be substituted by E¹,

alkenyl which may be substituted by E^1 , alkynyl which may be substituted by E^1 , hydroxy, cyanooxy, alkoxy which may be substituted by E^1 , cycloalkyl which may be substituted by J, cycloalkyloxy which may be substituted by J,
 5 cycloalkylthio which may be substituted by J, cyano, formyl, $-C(=W^3)R^{11}$, $-C(=W^3)OR^{12}$, $-C(=W^3)SR^{12}$, $-C(=W^3)NR^{12}R^{13}$, $-S(O)mR^{12}$ or $S(O)nNR^{12}R^{13}$ (E^1 , J, R^{11} , R^{12} , R^{13} , W^3 , m and n are as defined above) and T is
 10 chlorine, bromine or iodine.

Reaction (B) may be carried out usually in the presence of a base and a solvent.

The base may be one or more suitably selected from e.g. an alkali metal such as sodium or potassium; an
 15 alkali metal alkoxide such as sodium methoxide, sodium ethoxide or potassium tertiary butoxide; a carbonate such as sodium carbonate or potassium carbonate; a bicarbonate such as sodium bicarbonate or potassium bicarbonate; a metal hydroxide such as sodium hydroxide or potassium
 20 hydroxide; a metal hydride such as sodium hydride or potassium hydride; an amine such as monomethylamine, dimethylamine or triethylamine; and a pyridine such as pyridine or 4-dimethylaminopyridine. The base may be used in an amount of from 1 to 3 mols, preferably from 1
 25 to 1.5 mols, per mol of the compound of the formula (I-1).

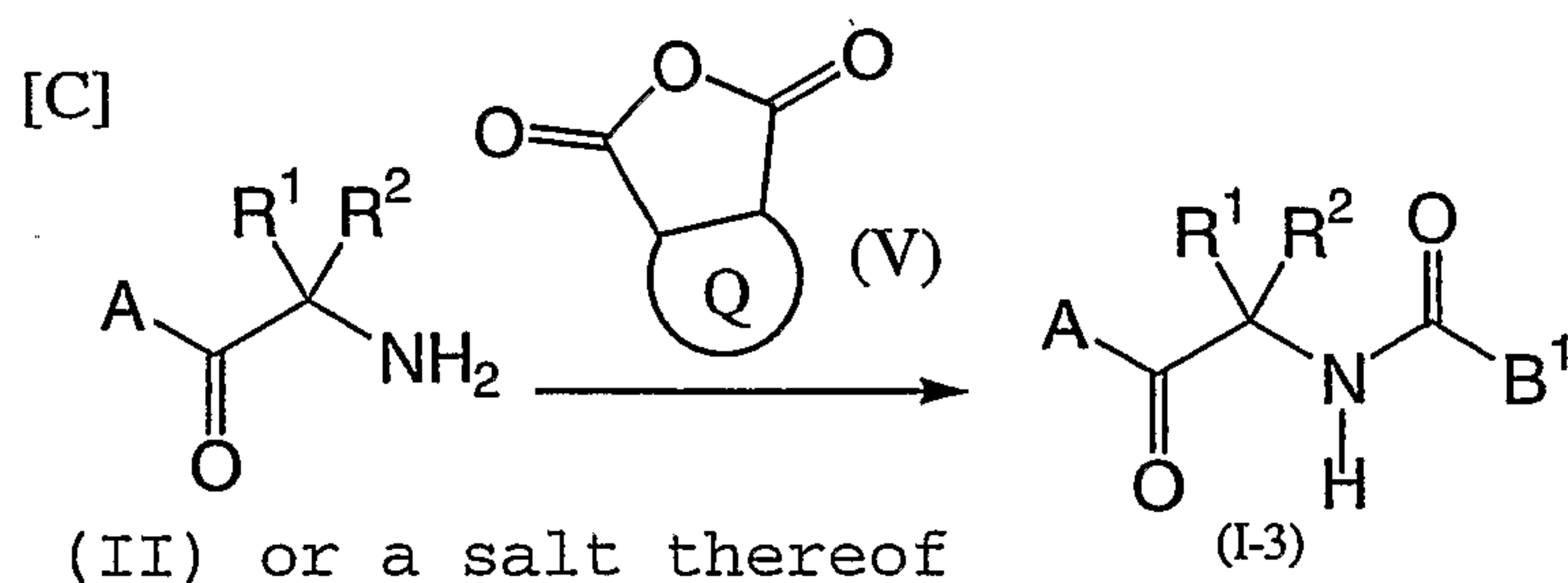
The solvent may be any solvent so long as it is a solvent inert to the reaction. For example, it may be

19

one or more suitably selected from e.g. an aromatic hydrocarbon such as benzene, toluene, xylene or chlorobenzene; an aliphatic hydrocarbon such as carbon tetrachloride, methyl chloride, chloroform, dichloromethane, dichloroethane, trichloroethane, hexane or cyclohexane; an ether such as dioxane, tetrahydrofuran, diethyl ether or dimethoxyethane; an ester such as methyl acetate or ethyl acetate; a polar aprotic solvent such as dimethyl sulfoxide, sulfolane, dimethylacetamide, dimethylformamide, N-methylpyrrolidone or pyridine; a nitrile such as acetonitrile, propionitrile or acrylonitrile; and a ketone such as acetone or methyl ethyl ketone.

The reaction temperature for reaction (B) is usually from 0 to 100°C, preferably from 0 to 50°C, and the reaction time is usually from 1 to 300 hours, preferably from 1 to 150 hours.

REACTION (C)



In reaction (C), A, R¹ and R² are as defined above, and B¹ is a heterocyclic ring substituted by -CO₂H, or a fused heterocyclic ring substituted by -CO₂H. The formula (V) is anhydrous dicarboxylic acid of Q (phenyl,

a heterocycle or a fused heterocycle).

Reaction (C) may be carried out usually in the presence of a solvent. The solvent may be any solvent so long as it is a solvent inert to the reaction. For
5 example, it may be one or more suitably selected from e.g. an aromatic hydrocarbon such as benzene, toluene, xylene or chlorobenzene; an aliphatic hydrocarbon such as carbon tetrachloride, methyl chloride, chloroform, dichloromethane, dichloroethane, trichloroethane, hexane
10 or cyclohexane; an ether such as dioxane, tetrahydrofuran, diethyl ether or dimethoxyethane; an ester such as methyl acetate or ethyl acetate; a polar aprotic solvent such as dimethyl sulfoxide, sulfolane, dimethylacetamide, dimethylformamide, N-methylpyrrolidone or pyridine; a
15 nitrile such as acetonitrile, propionitrile or acrylonitrile; a ketone such as acetone or methyl ethyl ketone; and an alcohol such as methanol, ethanol, propanol or tert-butanol.

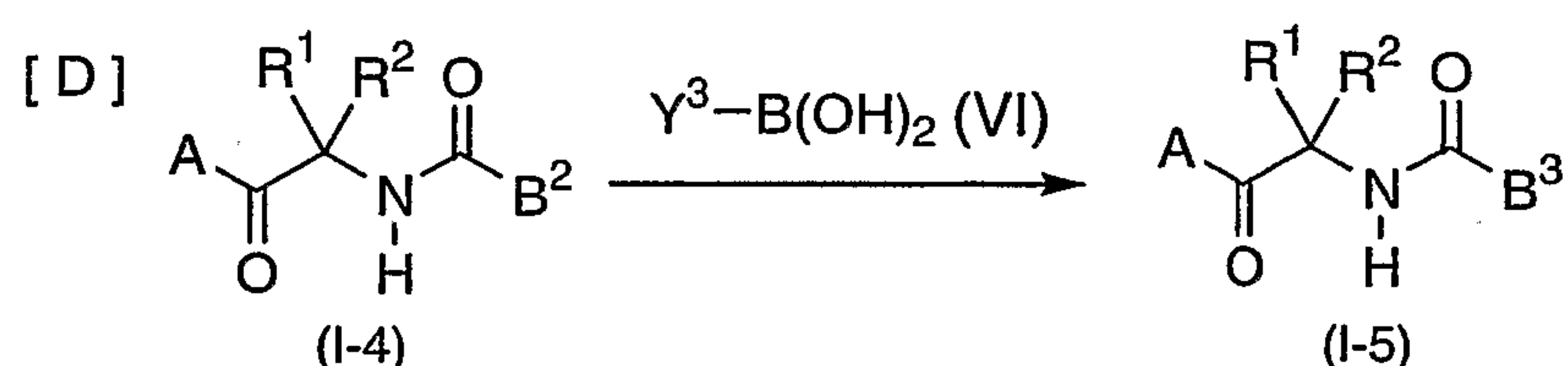
Reaction (C) may be carried out, if necessary, in
20 the presence of a base. The base may be one or more suitably selected from e.g. an alkali metal such as sodium or potassium; an alkali metal alkoxide such as sodium methoxide, sodium ethoxide or potassium tertiary butoxide; a carbonate such as sodium carbonate or
25 potassium carbonate; a bicarbonate such as sodium bicarbonate or potassium bicarbonate; a metal hydroxide such as sodium hydroxide or potassium hydroxide; a metal

21

hydride such as sodium hydride or potassium hydride; an amine such as monomethylamine, dimethylamine or triethylamine; and a pyridine such as pyridine or 4-dimethylaminopyridine. The base may be used in an amount
 5 of from 1 to 3 mols, preferably from 1 to 1.5 mols, per mol of the compound of the formula (II).

The reaction temperature for reaction (C) is usually from 0 to 150°C, preferably from 0 to 80°C. The reaction time is usually from 0.5 to 96 hours, preferably
 10 from 1 to 48 hours.

REACTION D



In reaction (D), A, R¹ and R² are as defined above. B² is a heterocyclic ring substituted by Y², or a fused heterocyclic ring substituted by Y², B³ is a heterocyclic
 15 ring substituted by Y³, or a fused heterocyclic ring substituted by Y³, Y² is an atom of chlorine, bromine or iodine, and Y³ is an unsaturated heterocyclic ring (the unsaturated heterocyclic ring may be substituted by halogen, alkyl, haloalkyl, alkoxy or haloalkoxy).

20 Reaction (D) may be carried out usually in the presence of a catalyst, a base, a solvent and an inert gas.

The catalyst may be one or more suitably selected from e.g. palladium complexes such as tetrakis

(triphenylphosphine)palladium(0), bis
(dibenzylideneacetone)palladium(0), and tris
(dibenzylideneacetone)dipalladium(0).

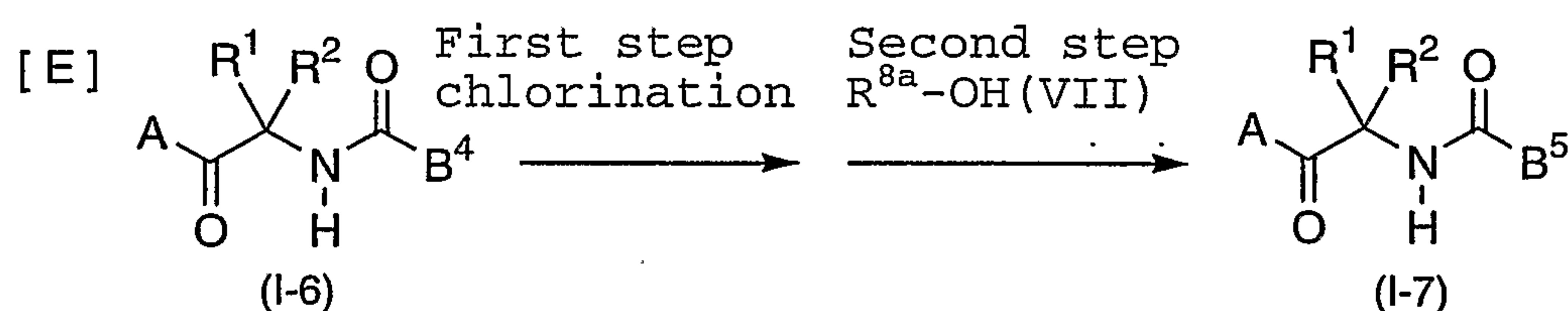
The base may be one or more suitably selected from
5 e.g. a carbonate such as sodium carbonate, potassium
carbonate or calcium carbonate; a bicarbonate such as
sodium bicarbonate or potassium bicarbonate; and a metal
hydroxide such as sodium hydroxide or potassium hydroxide.
The base may be used in an amount of from 1 to 20 mols,
10 preferably from 1 to 10 mols, per mol of the compound of
the formula (I-4).

The solvent may be any solvent so long as it is a
solvent inert to the reaction. For example, it may be
one or more suitably selected from e.g. an aromatic
15 hydrocarbon such as benzene, toluene, xylene or
chlorobenzene; an aliphatic hydrocarbon such as carbon
tetrachloride, methyl chloride, chloroform,
dichloromethane, dichloroethane, trichloroethane, hexane
or cyclohexane; an ether such as dioxane, tetrahydrofuran,
20 diethyl ether or dimethoxyethane; an ester such as methyl
acetate or ethyl acetate; a polar aprotic solvent such as
dimethyl sulfoxide, sulfolane, dimethylacetamide,
dimethylformamide, N-methylpyrrolidone or pyridine; a
nitrile such as acetonitrile, propionitrile or
25 acrylonitrile; a ketone such as acetone or methyl ethyl
ketone; an alcohol such as methanol, ethanol, propanol or
tert-butanol; and water.

The inert gas may, for example, be nitrogen gas or argon gas.

The reaction temperature for reaction (D) is usually from 0 to 150°C, preferably from 15 to 100°C. The reaction time is usually from 0.5 to 96 hours, preferably from 1 to 48 hours.

REACTION E



In reaction (E), A, R¹ and R² are as defined above, and B⁴ is a heterocyclic ring substituted by -CO₂H, or a fused heterocyclic ring substituted by -CO₂H, B⁵ is a heterocyclic ring substituted by -CO₂ R^{8a}, or a fused heterocyclic ring substituted by -CO₂ R^{8a}, and R^{8a} is alkyl, haloalkyl, alkoxyalkyl or haloalkoxyalkyl.

The first step in reaction (E) may be carried out in the presence of a chlorination agent. The chlorination agent may be one or more suitably selected from e.g. thionyl chloride, oxalyl chloride and phosphorus pentachloride.

The first step in reaction (E) may be carried out, if necessary, in the presence of a solvent. The solvent may be any solvent so long as it is a solvent inert to the reaction. For example, it may be one or more suitably selected from e.g. an aromatic hydrocarbon such as

benzene, toluene, xylene or chlorobenzene; an aliphatic hydrocarbon such as carbon tetrachloride, methyl chloride, chloroform, dichloromethane, dichloroethane, trichloroethane, hexane or cyclohexane; an ether such as
5 dioxane, tetrahydrofuran, diethyl ether or dimethoxyethane; and an ester such as methyl acetate or ethyl acetate.

The reaction temperature for the first step in reaction (E) is usually from 0 to 200°C, preferably from
10 15 to 150°C. The reaction time is usually from 0.1 to 72 hours, preferably from 0.5 to 3 hours.

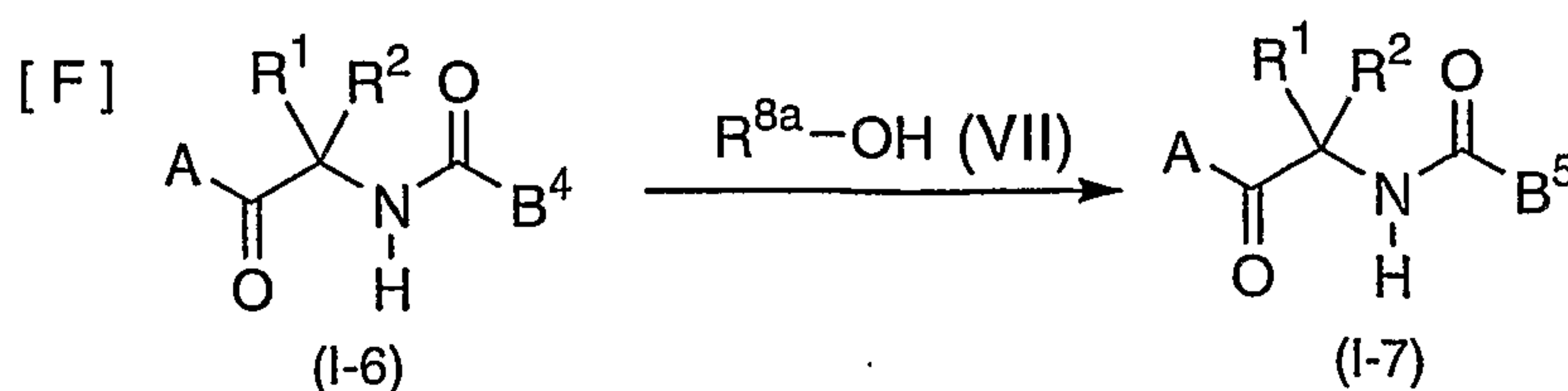
The second step in reaction (E) may be carried out, if necessary, in the presence of a base. The base may be one or more suitably selected from e.g. an alkali metal
15 such as sodium or potassium; an alkali metal alkoxide such as sodium methoxide, sodium ethoxide or potassium tertiary butoxide; a carbonate such as sodium carbonate or potassium carbonate; a bicarbonate such as sodium bicarbonate or potassium bicarbonate; a metal hydroxide
20 such as sodium hydroxide or potassium hydroxide; a metal hydride such as sodium hydride or potassium hydride; an amine such as monomethylamine, dimethylamine or triethylamine; and a pyridine such as pyridine or 4-dimethylaminopyridine. The base may be used in an amount
25 of from 1 to 5 mols, preferably from 1 to 2 mols, per mol of the compound of the formula (I-6).

The second step in reaction (E) may be carried out,

if necessary, in the presence of a solvent. The solvent may be any solvent so long as it is a solvent inert to the reaction. For example, it may be one or more suitably selected from e.g. an aromatic hydrocarbon such as benzene, toluene, xylene or chlorobenzene; an aliphatic hydrocarbon such as carbon tetrachloride, methyl chloride, chloroform, dichloromethane, dichloroethane, trichloroethane, hexane or cyclohexane; an ether such as dioxane, tetrahydrofuran, diethyl ether or dimethoxyethane; an ester such as methyl acetate or ethyl acetate; a polar aprotic solvent such as dimethyl sulfoxide, sulfolane, dimethylacetamide, dimethylformamide, N-methylpyrrolidone or pyridine; a nitrile such as acetonitrile, propionitrile or acrylonitrile; and a ketone such as acetone or methyl ethyl ketone. Further, in this reaction, the compound of the formula (VII) may serve also as a solvent if used excessively.

The reaction temperature for the second step in reaction (E) is usually from 0 to 100°C, preferably from 0 to 50°C. The reaction time is usually from 0.1 to 48 hours, preferably from 0.5 to 6 hours.

REACTION F



In reaction (F), A, B⁴, B⁵, R¹, R² and R^{8a} are as

defined above.

Reaction (F) may be carried out usually in the presence of a catalyst or a dehydration condensation agent.

5 The catalyst may be one or more suitably selected from e.g. a mineral acid such as hydrochloric acid or sulfuric acid; an organic acid such as paratoluene sulfonic acid; and a Lewis acid such as boron trifluoride etherate.

10 The dehydration condensation agent may be one or more suitably selected from e.g. N,N'-dicyclohexylcarbodiimide, chlorosulfonyl isocyanate, N,N'-carbonyldiimidazole and trifluoroacetic anhydride.

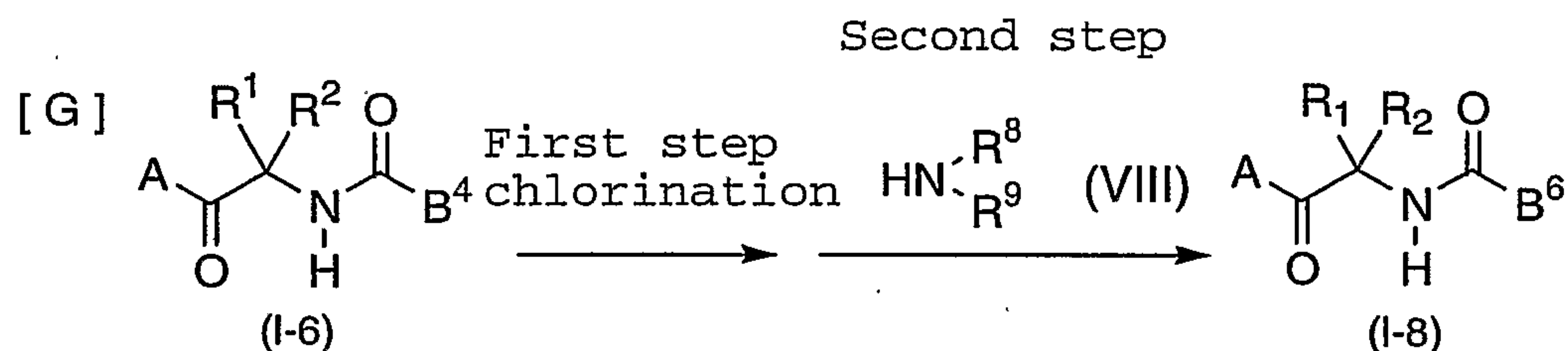
Reaction (F) may be carried out, if necessary, in the
15 presence of a solvent. The solvent may be any solvent so long as it is a solvent inert to the reaction. For example, it may be one or more suitably selected from e.g. an aromatic hydrocarbon such as benzene, toluene, xylene or chlorobenzene; an aliphatic hydrocarbon such as carbon
20 tetrachloride, methyl chloride, chloroform, dichloromethane, dichloroethane, trichloroethane, hexane or cyclohexane; an ether such as dioxane, tetrahydrofuran, diethyl ether or dimethoxyethane; an ester such as methyl acetate or ethyl acetate; a polar aprotic solvent such as
25 dimethyl sulfoxide, sulfolane, dimethylacetamide, dimethylformamide, N-methylpyrrolidone or pyridine; a nitrile such as acetonitrile, propionitrile or

27

acrylonitrile; a ketone such as acetone or methyl ethyl ketone; and an alcohol such as methanol, ethanol, propanol or tert-butanol. Further, in this reaction, the compound of the formula (VII) may serve also as a solvent
5 if used excessively.

The reaction temperature for reaction (F) is usually from 0 to 200°C, preferably from 0 to 100°C. The reaction time is usually from 0.1 to 96 hours, preferably from 0.5 to 24 hours.

10 REACTION G



In reaction (G), A, B⁴, R¹, R², R⁸ and R⁹ are as defined above, and B⁶ is a heterocyclic ring substituted by -CONR⁸R⁹, or a fused heterocyclic ring substituted by -CONR⁸R⁹ (wherein R⁸ and R⁹ are as defined above).

15 The first step in reaction (G) may be carried out in accordance with the first step in the above-described reaction (E).

The second step in the reaction (G) may be carried out, if necessary, in the presence of a base. The base
20 may be one or more suitably selected from e.g. an alkali metal such as sodium or potassium; an alkali metal alkoxide such as sodium methoxide, sodium ethoxide or potassium tertiary butoxide; a carbonate such as sodium

carbonate or potassium carbonate; a bicarbonate such as sodium bicarbonate or potassium bicarbonate; a metal hydroxide such as sodium hydroxide or potassium hydroxide; a metal hydride such as sodium hydride or potassium hydride; an amine such as monomethylamine, dimethylamine or triethylamine; and a pyridine such as pyridine or 4-dimethylaminopyridine. The base may be used in an amount of from 1 to 10 mols, preferably from 1 to 2 mols, per mol of the compound of the formula (I-6).

10 The second step in reaction (G) may be carried out, if necessary, in the presence of a solvent. The solvent may be any solvent so long as it is a solvent inert to the reaction. For example, it may be one or more suitably selected from e.g. an aromatic hydrocarbon such as benzene, toluene, xylene or chlorobenzene; an aliphatic hydrocarbon such as carbon tetrachloride, methyl chloride, chloroform, dichloromethane, dichloroethane, trichloroethane, hexane or cyclohexane; an ether such as dioxane, tetrahydrofuran, diethyl ether or dimethoxyethane; an ester such as methyl acetate or ethyl acetate; a polar aprotic solvent such as dimethyl sulfoxide, sulfolane, dimethylacetamide, dimethylformamide, N-methylpyrrolidone or pyridine; a nitrile such as acetonitrile, propionitrile or acrylonitrile; a ketone such as acetone or methyl ethyl ketone; and water.

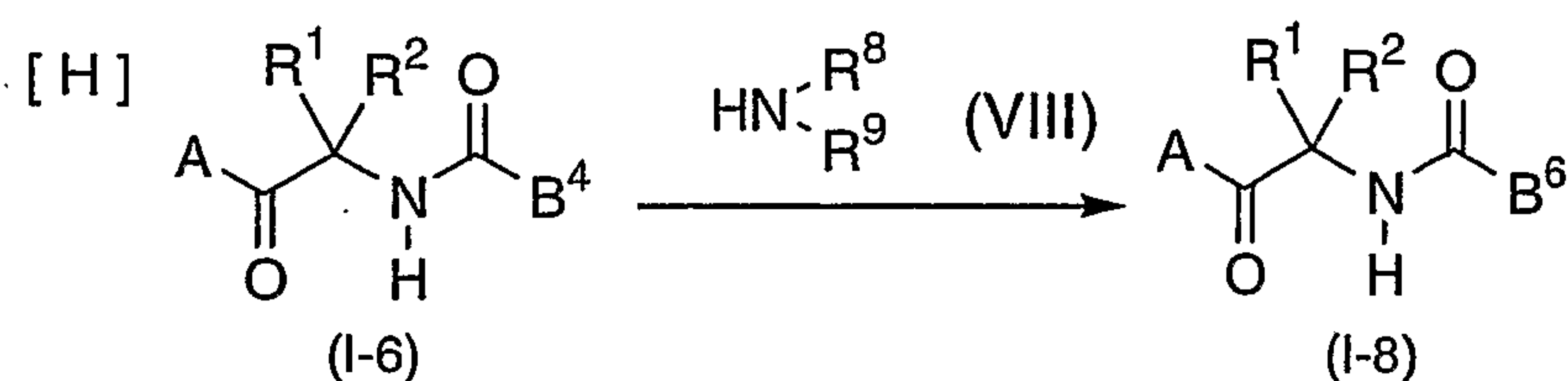
25

The reaction temperature for the second step in

29

reaction (G) is usually from 0 to 100°C, preferably from 0 to 50°C. The reaction time is usually from 0.1 to 48 hours, preferably from 0.5 to 6 hours.

REACTION H



5 In reaction (H), A, B⁴, B⁶, R¹, R², R⁸ and R⁹ are as defined above.

Reaction (H) may be carried out usually in the presence of a dehydration condensation agent and a solvent.

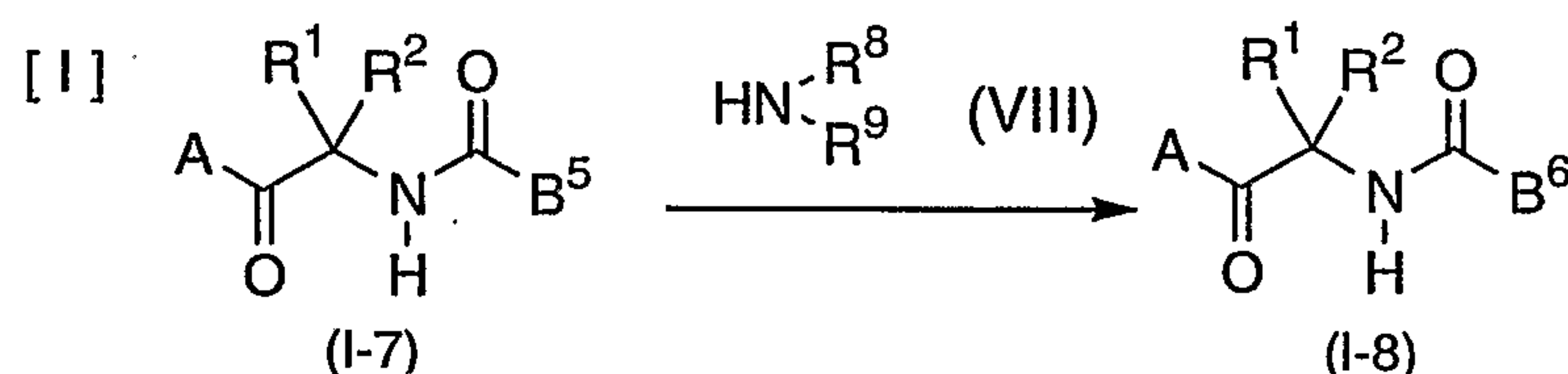
10 The dehydration condensation agent may be one or more suitably selected from e.g. N,N'-dicyclohexylcarbodiimide, chlorosulfonyl isocyanate, N,N'-carbonyldiimidazole and trifluoroacetic anhydride.

The solvent may be any solvent so long as it is a
 15 solvent inert to the reaction. For example, it may be one or more suitably selected from e.g. an aromatic hydrocarbon such as benzene, toluene, xylene or chlorobenzene; an aliphatic hydrocarbon such as carbon tetrachloride, methyl chloride, chloroform,
 20 dichloromethane, dichloroethane, trichloroethane, hexane or cyclohexane; an ether such as dioxane, tetrahydrofuran, diethyl ether or dimethoxyethane; an ester such as methyl acetate or ethyl acetate; a polar aprotic solvent such as dimethyl sulfoxide, sulfolane, dimethylacetamide,

dimethylformamide, N-methylpyrrolidone or pyridine; a nitrile such as acetonitrile, propionitrile or acrylonitrile; and a ketone such as acetone or methyl ethyl ketone.

5 The reaction temperature for the reaction (H) is usually from 0 to 200°C, preferably from 0 to 100°C. The reaction time is usually from 0.1 to 96 hours, preferably from 0.5 to 24 hours.

REACTION I



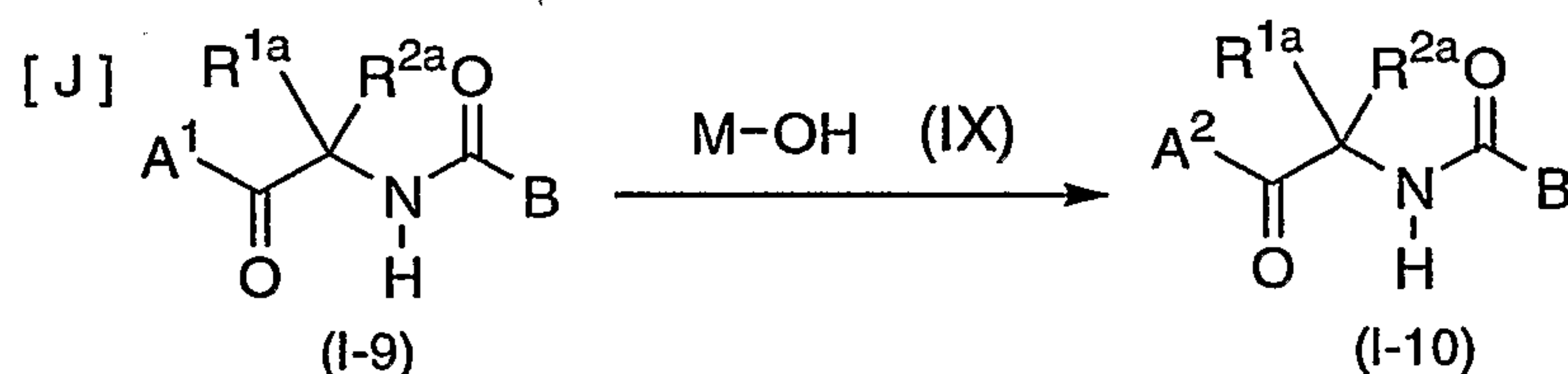
10 In reaction (I), A, B⁵, B⁶, R¹, R², R⁸ and R⁹ are as defined above.

Reaction (I) may be carried out usually in the presence of a solvent. The solvent may be any solvent so long as it is a solvent inert to the reaction. For
 15 example, it may be one or more suitably selected from e.g. an aromatic hydrocarbon such as benzene, toluene, xylene or chlorobenzene; an aliphatic hydrocarbon such as carbon tetrachloride, methyl chloride, chloroform, dichloromethane, dichloroethane, trichloroethane, hexane
 20 or cyclohexane; an ether such as dioxane, tetrahydrofuran, diethyl ether or dimethoxyethane; an ester such as methyl acetate or ethyl acetate; a polar aprotic solvent such as dimethyl sulfoxide, sulfolane, dimethylacetamide, dimethylformamide, N-methylpyrrolidone or pyridine; a

nitrite such as acetonitrile, propionitrile or acrylonitrile; a ketone such as acetone or methyl ethyl ketone; an alcohol such as methanol, ethanol, propanol or tert-butanol; and water. Further, in this reaction, the
 5 compound of the formula (VIII) may serve also as a solvent if used excessively.

The reaction temperature for the reaction (I) is usually from 0 to 150°C, preferably from 0 to 80°C. The reaction time is usually from 0.1 to 48 hours, preferably
 10 from 0.5 to 24 hours.

REACTION J



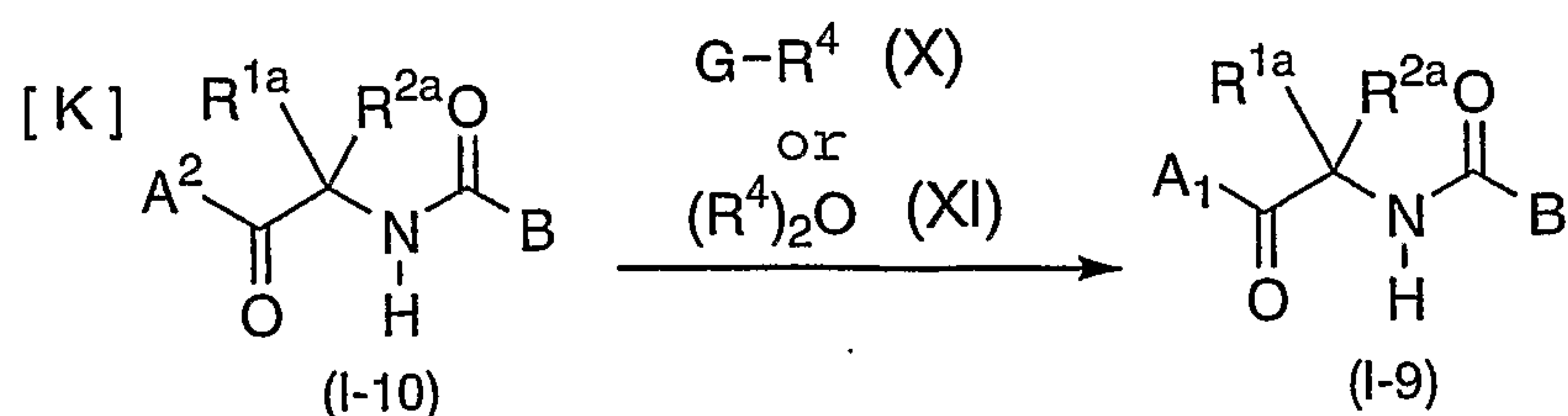
In reaction (J), B is as defined above, A¹ is phenyl substituted by -OR⁴, benzyl substituted by -OR⁴, naphthyl substituted by -OR⁴, a heterocyclic ring substituted by
 15 -OR⁴ or a fused heterocyclic ring substituted by -OR⁴ (wherein R⁴ is defined above), A² is phenyl substituted by -OH, benzyl substituted by -OH, naphthyl substituted by -OH, a heterocyclic ring substituted by -OH or a fused heterocyclic ring substituted by -OH, each of R^{1a} and R^{2a}
 20 is alkyl, haloalkyl, hydroxyalkyl, alkoxyalkyl, haloalkoxyalkyl, cyanoalkyl, alkoxycarbonylalkyl, alkenyl, haloalkenyl, alkoxyalkenyl, alkynyl, haloalkynyl, alkoxyalkynyl, cycloalkyl, halocycloalkyl, (alkyl)cycloalkyl, (haloalkyl)cycloalkyl or cyano, and

R^{1a} and R^{2a} may together form a 3- to 6-membered saturated carbocycle, and M is sodium or potassium.

Reaction (J) may be usually carried out in the presence of a solvent. The solvent may be any solvent so long as it is a solvent inert to the reaction. For example, it may be one or more suitably selected from e.g. an aromatic hydrocarbon such as benzene, toluene, xylene or chlorobenzene; an aliphatic hydrocarbon such as carbon tetrachloride, methyl chloride, chloroform, dichloromethane, dichloroethane, trichloroethane, hexane or cyclohexane; an ether such as dioxane, tetrahydrofuran, diethyl ether or dimethoxyethane; a polar aprotic solvent such as dimethyl sulfoxide, sulfolane, dimethylacetamide, dimethylformamide, N-methylpyrrolidone or pyridine; a nitrile such as acetonitrile, propionitrile or acrylonitrile; a ketone such as acetone or methyl ethyl ketone; an alcohol such as methanol, ethanol, propanol or tert-butanol; and water.

The reaction temperature for reaction (J) is usually from 0 to 100°C, preferably from 20 to 80°C. The reaction time is usually from 0.1 to 24 hours, preferably from 0.1 to 12 hours.

REACTION K



In reaction (K), A^1 , A^2 , B, R^{1a} , R^{2a} and R^4 are as defined above, G is an atom of chlorine, bromine or iodine.

Reaction (K) may be usually carried out in the
5 presence of a base and a solvent.

The base may be one or more suitably selected from e.g. an alkali metal alkoxide such as sodium methoxide, sodium ethoxide or potassium tertiary butoxide; a carbonate such as sodium carbonate or potassium
10 carbonate; a bicarbonate such as sodium bicarbonate or potassium bicarbonate; a metal hydroxide such as sodium hydroxide or potassium hydroxide; a metal hydride such as sodium hydride or potassium hydride; an amine such as monomethylamine, dimethylamine or triethylamine; and a
15 pyridine such as pyridine or 4-dimethylaminopyridine. The base may be used in an amount of from 1 to 2 mols, preferably from 1 to 1.5 mols, per mol of the compound of the formula (I-10).

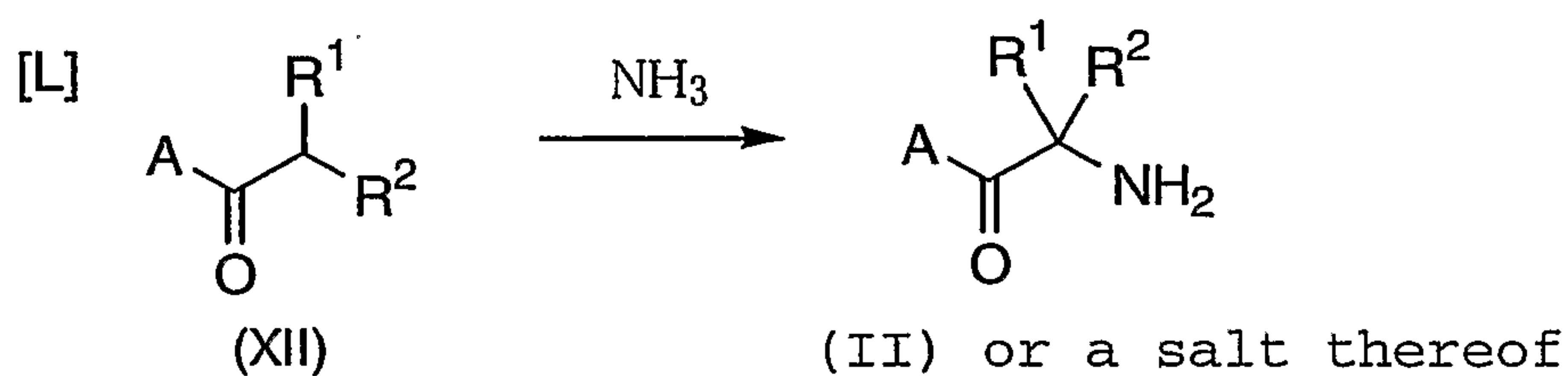
The solvent may be any solvent so long as it is a
20 solvent inert to the reaction. For example, it may be one or more suitably selected from e.g. an aromatic hydrocarbon such as benzene, toluene, xylene or chlorobenzene; an aliphatic hydrocarbon such as carbon tetrachloride, methyl chloride, chloroform,
25 dichloromethane, dichloroethane, trichloroethane, hexane or cyclohexane; an ether such as dioxane, tetrahydrofuran, diethyl ether or dimethoxyethane; an ester such as methyl

acetate or ethyl acetate; a polar aprotic solvent such as dimethyl sulfoxide, sulfolane, dimethylacetamide, dimethylformamide, N-methylpyrrolidone or pyridine; a nitrile such as acetonitrile, propionitrile or
 5 acrylonitrile; and a ketone such as acetone or methyl ethyl ketone.

The reaction temperature for reaction (K) is usually from -20 to 100°C, preferably from 0 to 50°C. The reaction time is usually from 0.1 to 24 hours, preferably
 10 from 0.1 to 12 hours.

The compound of the formula (II) to be used in the above reaction (A) or (C) can be produced by the following reactions (L) to (N).

REACTION (L)



15

In reaction (L), A, R¹ and R² are as defined above. In reaction (L), a salt of the compound (II) can be produced by post treatment of the reaction or in accordance with a usual reaction for forming a salt.

20 Reaction (L) may be carried out usually in the presence of an oxidizing agent and a solvent.

The oxidizing agent may, for example, be potassium ferricyanide. The oxidizing agent may be used in an

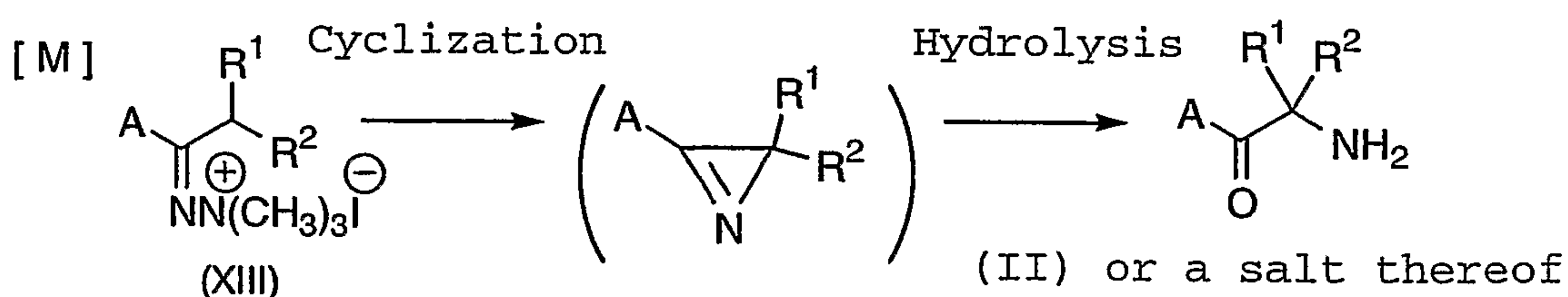
35

amount of from 1 to 10 mols, preferably from 1 to 5 mols, per mol of the compound of the formula (XII).

The solvent may be any solvent so long as it is inert to the reaction. For example, it may be one or more
 5 suitably selected from e.g. an ether such as dioxane, tetrahydrofuran, diethyl ether or dimethoxyethane; an ester such as methyl acetate or ethyl acetate; a polar aprotic solvent such as dimethyl sulfoxide, sulfolane, dimethylacetamide, dimethylformamide, N-methylpyrrolidone,
 10 pyridine, acetonitrile or propionitrile; and a ketone such as acetone or methyl ethyl ketone.

The reaction temperature for reaction (L) is usually from 20 to 150°C, preferably from 50 to 100°C. The reaction time is usually from 0.5 to 30 hours, preferably
 15 from 1 to 20 hours.

REACTION (M)



In reaction (M), A, R¹ and R² are as defined above.

20 In reaction (M), a salt of the compound (II) can be produced by post treatment of the reaction or in accordance with a usual reaction for forming a salt.

The cyclization reaction in reaction (M) may be carried out usually in the presence of a base and a

solvent.

The base may be one or more suitably selected from e.g. an alkali metal such as sodium or potassium; an alkali metal alkoxide such as sodium methoxide, sodium
5 ethoxide or potassium tert-butoxide; and a metal hydride such as sodium hydride or potassium hydride. The base may be used in an amount of from 1 to 3 mols, preferably from 1 to 1.5 mols per mol of the compound of the formula (XIII).

10 The solvent may be any solvent so long as it is inert to the reaction. For example, it may be one or more suitably selected from e.g. an aromatic hydrocarbon such as benzene, toluene, xylene or chlorobenzene; an ether such as dioxane, tetrahydrofuran, diethyl ether or
15 dimethoxyethane; an alcohol such as methanol, ethanol, propanol or tert-butanol; and a nitrile such as acetonitrile, propionitrile or acrylonitrile.

The reaction temperature for the cyclization reaction in reaction (M) is usually from 0 to 150°C, preferably
20 from 30 to 100°C. The reaction time is usually from 0.5 to 24 hours, preferably from 1 to 12 hours.

The hydrolytic reaction in reaction (M) may be carried out in accordance with a common hydrolytic reaction and may be carried out usually in the presence
25 of an acid or base and a solvent.

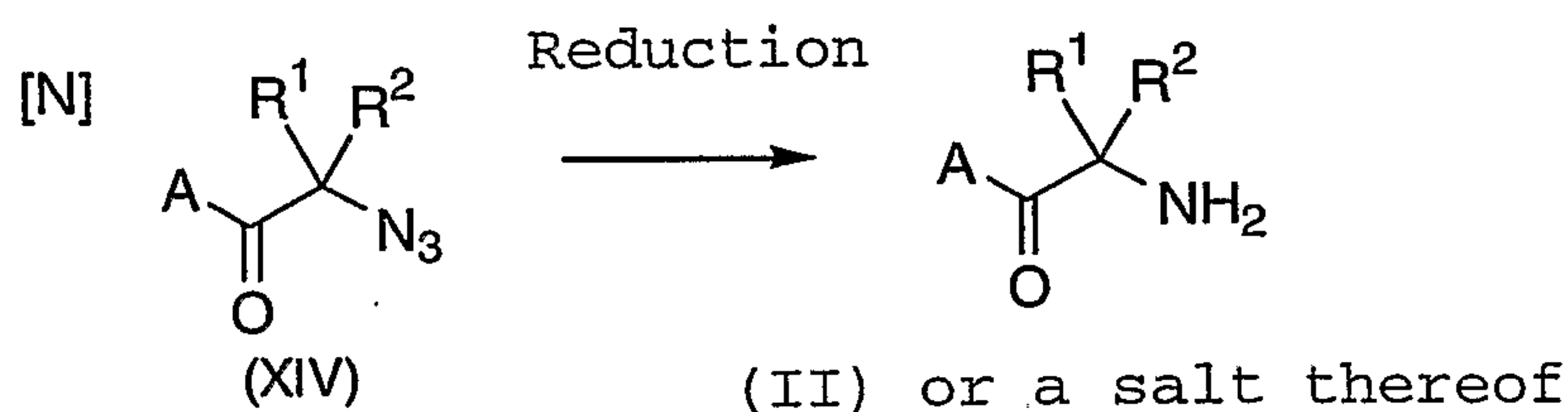
The acid may, for example, be hydrogen chloride or sulfuric acid. The base may, for example, be a metal

hydroxide such as sodium hydroxide or potassium hydroxide.

The solvent may be any solvent so long as it is inert to the reaction. For example, it may be one or more suitably selected e.g. an alcohol such as methanol, ethanol, propanol or tert-butanol; a nitrile such as acetonitrile, propionitrile or acrylonitrile; a ketone such as acetone or methyl ethyl ketone; and water.

The reaction temperature for the hydrolytic reaction in reaction (M) is usually from 0 to 100°C, preferably from 20 to 80°C. The reaction time is usually from 0.1 to 12 hours, preferably from 0.1 to 1 hour.

REACTION (N)



In reaction (N), A, R¹ and R² are as defined above.

In reaction (N), a salt of the compound (II) can be produced by post treatment of the reaction or in accordance with a usual reaction for forming a salt.

The reduction reaction in reaction (N) may, for example, be catalytic reduction, reduction by a metal hydride (such as sodium boron hydride, or lithium aluminum hydride); reduction by e.g. triphenylphosphine, dimethyl sulfide or diphenyl sulfide; or reduction in a reaction system constituted by a metal such as iron or copper and a carboxylic acid such as formic acid or

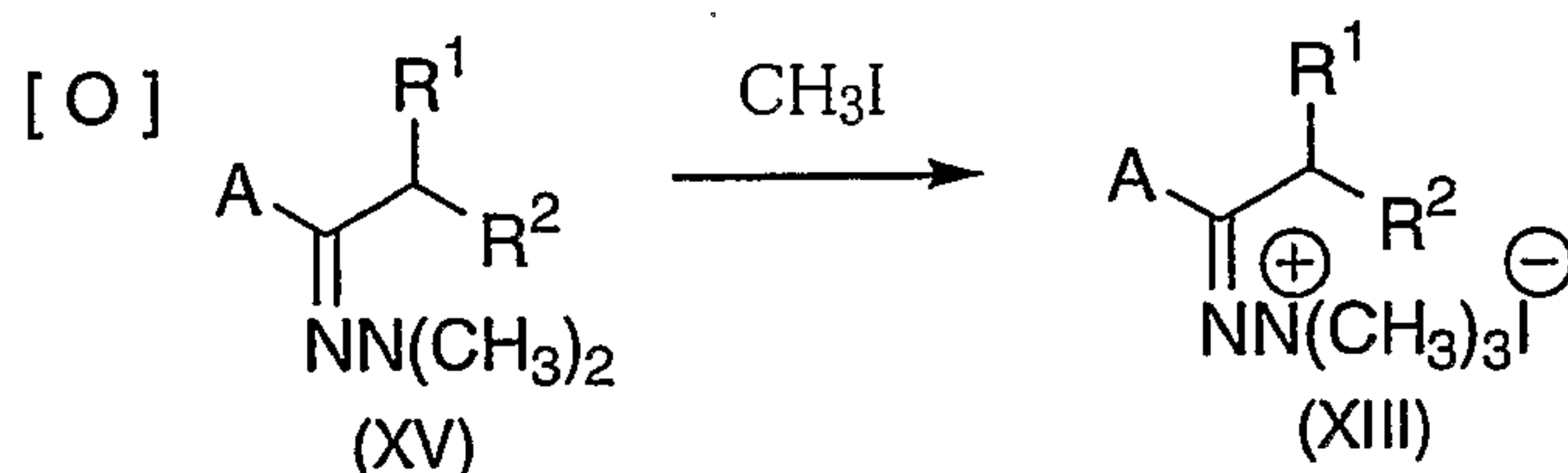
acetic acid. The catalytic reduction is usually carried out in a hydrogen atmosphere by using a catalyst, such as platinum, platinum oxide, platinum black, Raney Nickel, palladium, palladium-carbon, rhodium or rhodium-alumina.

5 Reaction (N) may be carried out usually in the presence of a solvent. The solvent may be any solvent so long as it is a solvent inert to the reaction. For example, it may be one or more suitably selected from e.g. an aromatic hydrocarbon such as benzene, toluene or
10 xylene; an aliphatic hydrocarbon such as hexane or cyclohexane; an ether such as dioxane, tetrahydrofuran, diethyl ether or dimethoxyethane; an ester such as methyl acetate or ethyl acetate; a polar aprotic solvent such as dimethyl sulfoxide, sulfolane, dimethylacetamide,
15 dimethylformamide, N-methylpyrrolidone, pyridine, acetonitrile or propionitrile; a ketone such as acetone or methyl ethyl ketone; an alcohol such as methanol, ethanol, propanol or tert-butanol; and water.

The reaction temperature in reaction (N) is usually
20 from 0 to 150°C, preferably from 0 to 80°C. The reaction time is usually from 0.5 to 96 hours, preferably from 1 to 48 hours.

The compound of the formula (XIII) to be used in the above reaction (M) can be produced by the following
25 reaction (O).

REACTION (O)



In reaction (O), A, R¹ and R² are as defined above.

Reaction (O) may be carried out, if necessary, in the
 5 presence of a solvent. The solvent may be any solvent so
 long as it is inert to the reaction, and for example, it
 may be one or more suitably selected from e.g. an
 aromatic hydrocarbon such as benzene, toluene, xylene or
 chlorobenzene; an aliphatic hydrocarbon such as carbon
 10 tetrachloride, chloroform, dichloromethane,
 dichloroethane, trichloroethane, hexane or cyclohexane;
 an ether such as dioxane, tetrahydrofuran, diethyl ether
 or dimethoxyethane; an ester such as methyl acetate or
 ethyl acetate; an alcohol such as methanol, ethanol,
 15 propanol or tert-butanol; a polar aprotic solvent such as
 acetonitrile, propionitrile or acrylonitrile; and a
 ketone such as acetone or methyl ethyl ketone.

Methyl iodide in reaction (O) may be used in an
 amount of from 1 to 10 mols, preferably from 1 to 3 mols,
 20 per mol of the compound of the formula (XV). Further,
 methyl iodide may serve also as a solvent if used
 excessively.

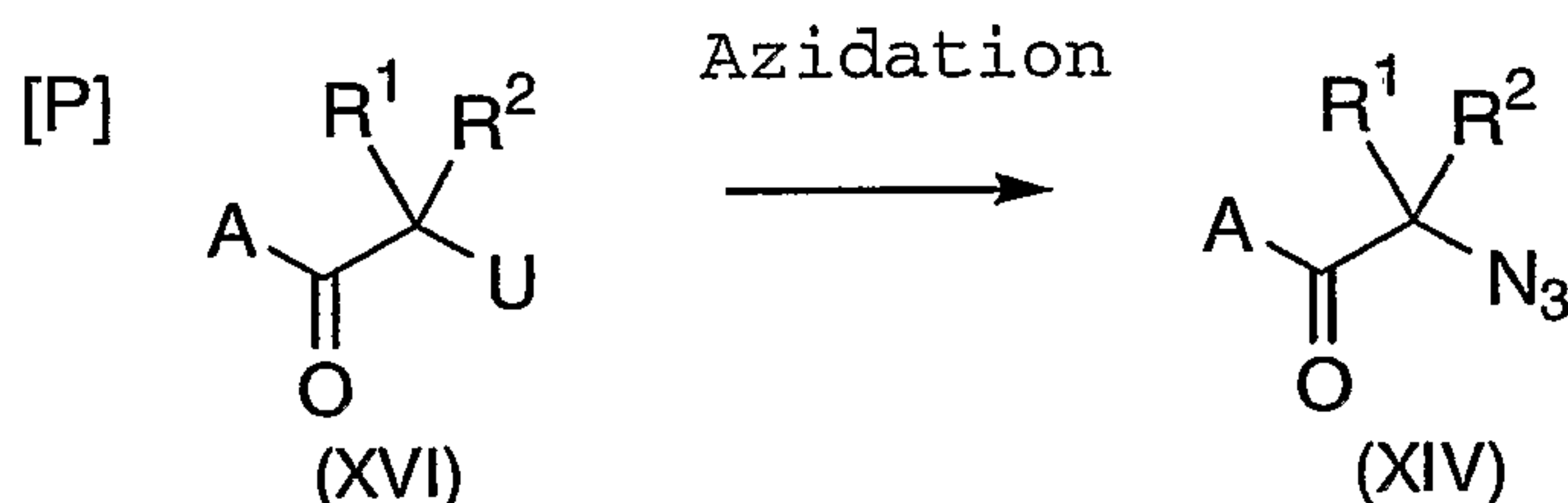
The reaction temperature for reaction (O) is usually
 from 0 to 100°C, preferably from 10 to 50°C. The reaction

40

time is usually from 0.5 to 48 hours, preferably from 1 to 24 hours.

The compound of the formula (XIV) to be used in the above reaction (N) can be produced by the following reaction (P).

REACTION (P)



In reaction (P), A, R¹ and R² are as defined above, U is an atom of chlorine or bromine.

Reaction (P) may be carried out in the presence of an azidation agent. The azidation agent may be one or more suitably selected from e.g. sodium azide, potassium azide and trimethylsilyl azide.

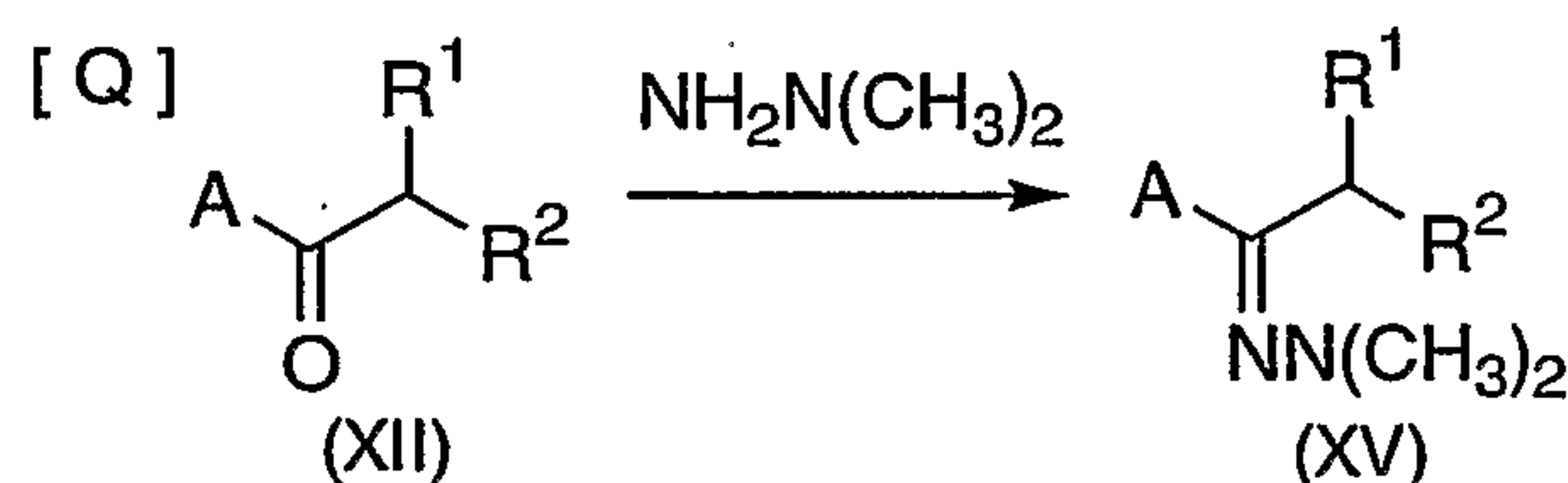
Reaction (P) may be carried out usually in the presence of a solvent. The solvent may be any solvent so long as it is a solvent inert to the reaction. For example, it may be one or more suitably selected from e.g. an aromatic hydrocarbon such as benzene, toluene, xylene or chlorobenzene; an aliphatic hydrocarbon such as carbon tetrachloride, chloroform, dichloromethane, dichloroethane, trichloroethane, hexane or cyclohexane; an ether such as dioxane, tetrahydrofuran, diethyl ether or dimethoxyethane; an ester such as methyl acetate or ethyl acetate; a polar aprotic solvent such as dimethyl

sulfoxide, sulfolane, dimethylacetamide,
 dimethylformamide, N-methylpyrrolidone, pyridine,
 acetonitrile or propionitrile; a ketone such as acetone
 or methyl ethyl ketone; an alcohol such as methanol,
 5 ethanol, propanol or tert-butanol; and water.

The reaction temperature for reaction (P) is usually
 from 0 to 150°C, preferably from 20 to 90°C. The reaction
 time is usually from 0.1 to 96 hours, preferably from 0.5
 to 12 hours.

10 The compound of the formula (XV) to be used in the
 above reaction (O) can be produced by the following
 reaction (Q).

REACTION (Q)



15 In reaction (Q), A, R¹ and R² are as defined above.

Reaction (Q) can be carried out in accordance with a
 common hydrazone synthetic reaction and, if necessary, in
 the presence of a dehydrating agent and/or a catalyst.

As the dehydrating agent, molecular sieve may, for
 20 example, be mentioned. The dehydrating agent may be used
 usually from 1 to 30 times, preferably from 5 to 10 times
 relative to the weight of the compound of the formula
 (XII).

The catalyst may, for example, be titanium
 25 tetrachloride.

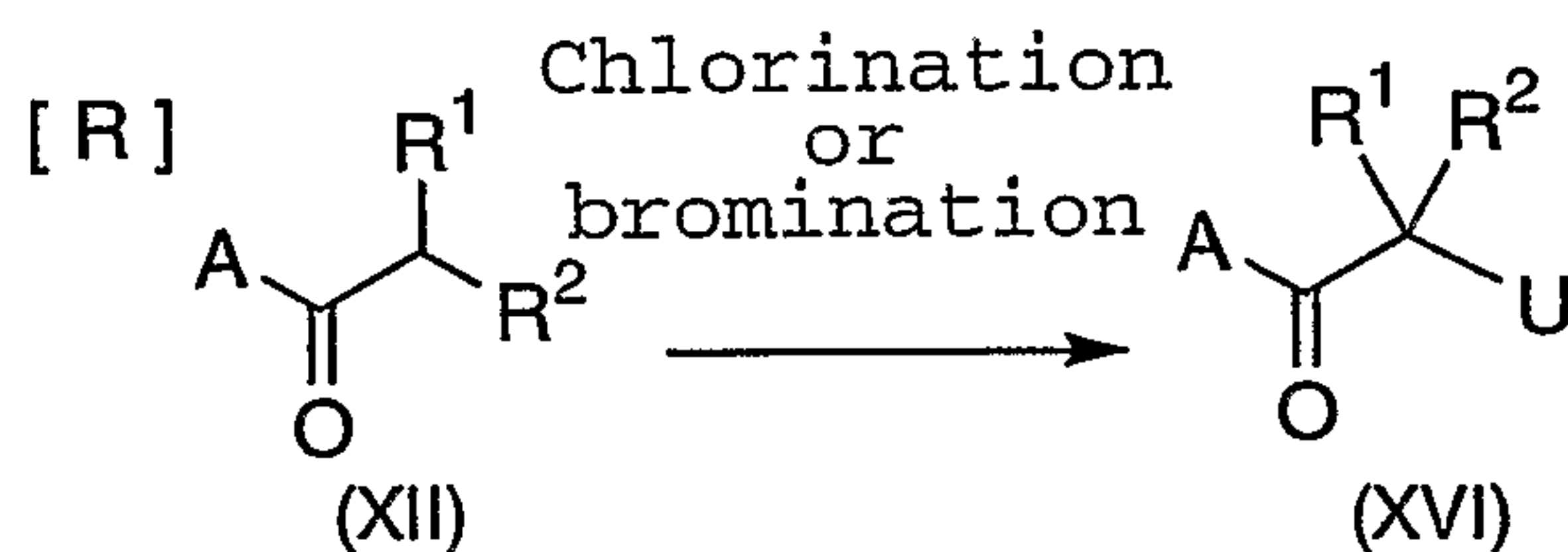
42

Dimethylhydrazine for reaction (Q) may be used usually in an amount of from 1 to 30 mols, preferably from 5 to 10 mols, per mol of the compound of the formula (XII).

5 The reaction temperature for reaction (Q) is usually from 20 to 150°C, preferably from 50 to 120°C. The reaction time is usually from 5 to 200 hours, preferably from 24 to 120 hours.

The compound of the formula (XVI) to be used in the above reaction (P) can be produced by the following reaction (R).

REACTION (R)



15 In reaction (R), A, R¹, R² and U are as defined above.

Reaction (R) may be carried out in the presence of a chlorination agent or a bromination agent. The chlorination agent may be one or more suitably selected from e.g. chlorine and N-chlorosuccinimide. The bromination agent may be one or more suitably selected from e.g. bromine, N-bromosuccinimide and phenyltrimethyl ammonium tribromide.

Reaction (R) may be carried out usually in the presence of a solvent. The solvent may be any solvent so long as it is a solvent inert to the reaction. For

example, it may be one or more suitably selected from e.g. an aliphatic hydrocarbon such as carbon tetrachloride, methyl chloride, chloroform, dichloromethane, dichloroethane, trichloroethane, hexane or cyclohexane; 5 an ether such as dioxane, tetrahydrofuran, diethyl ether or dimethoxyethane; an ester such as methyl acetate or ethyl acetate; a polar aprotic solvent such as dimethyl sulfoxide, sulfolane, dimethylacetamide, dimethylformamide, N-methylpyrrolidone or pyridine; an 10 organic acid such as acetic acid or propionic acid; and water.

Reaction (R) may be carried out, if necessary, in the presence of a base or an acid.

The base may, for example, be lithium 15 diisopropylamide. The base is used in an amount of from 1 to 2 mols, preferably from 1 to 1.2 mols, per mol of the compound of the formula (XII).

When the reaction is carried out in the presence of a base, the solvent may usually be one or more suitably 20 selected from ethers such as tetrahydrofuran and diethyl ether.

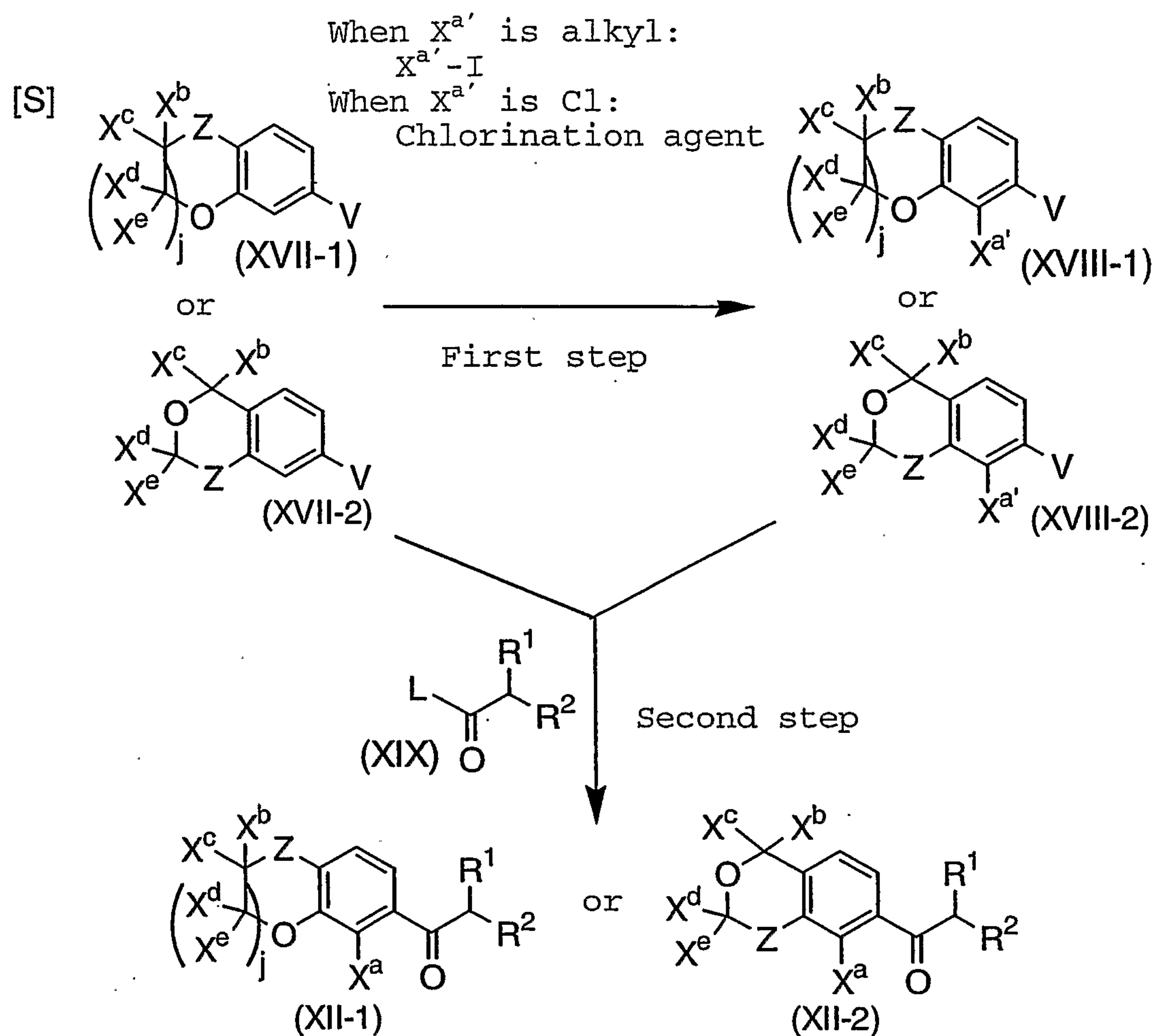
The acid may be one or more suitably selected from e.g. an organic acid such as acetic acid or propionic acid, and aluminum chloride. The acid is usually used in 25 a catalytic amount. Further, an organic acid as a solvent may serve as both a solvent and an acid if used excessively.

The reaction temperature for reaction (R) is usually from -100 to 150°C, preferably from -78 to 110°C. The reaction time is usually from 0.1 to 48 hours, preferably from 0.5 to 24 hours. However, if it is carried out in
5 the presence of a base, the reaction temperature is usually from -100 to 0°C, preferably from -78 to -20°C, and the reaction time is usually from 0.1 to 12 hours, preferably from 0.5 to 6 hours. If it is carried out in the presence of an acid, the reaction temperature is
10 usually from 0 to 150°C, preferably from 20 to 110°C, and the reaction time is usually from 0.1 to 48 hours, preferably from 1 to 24 hours.

The compound of the formula (XII) to be used in the above reaction (Q) is a known compound, or can be
15 produced by the following reactions (S) to (T) or by methods in accordance therewith.

45

REACTION (S)



In reaction (S), R^1 and R^2 are as defined above, and
 5 Z is an oxygen atom or $-C(G^1)G^2-$, X^a is an hydrogen atom,
 chlorine atom or alkyl, $X^{a'}$ is a chlorine atom or alkyl,
 each of X^b , X^c , X^d , X^e , G^1 and G^2 is an atom of hydrogen,
 fluorine or chlorine, V is an atom of bromine or iodine,
 and j is 0 or 1.

10 The first step in reaction (S) may be carried out in
 the presence of a base and a solvent.

The base may be suitably selected from an organic
 lithium compound such as lithium diisopropylamide. The

46

base may be used in an amount of from 1 to 2 mols, preferably from 1 to 1.5 mols, per mol of the compound of the formula (XVII-1) or (XVII-2).

The solvent may be any solvent so long as it is a solvent inert to the reaction. For example, it may be one or more suitably selected from e.g. an ether such as dioxane, tetrahydrofuran and diethyl ether.

The chlorination agent to be used for the first step in reaction (S) may, for example, be N-chlorosuccinimide.

The formula: $X^{a'}-I$ to be used for the first step in reaction (S) may be used in an amount of from 1 to 10 mols, preferably from 1 to 5 mols, per mol of the compound of the formula (XVII-1) or (XVII-2). Further, the chlorination agent to be used for the first step in reaction (S) is used in an amount of from 1 to 5 mols, preferably from 1 to 3 mols; per mol of the compound of the formula (XVII-1) or (XVII-2).

The first step in reaction (S) may be carried out, if necessary, in the presence of an inert gas. The inert gas may be suitably selected from e.g. nitrogen gas or argon gas.

The reaction temperature for the first step in reaction (S) is usually from -100 to 50°C, preferably from -70 to 25°C. The reaction time is usually from 1 to 48 hours, preferably from 1 to 20 hours.

The second step in reaction (S) may be carried out, usually in the presence of a base and a solvent.

The base may be one or more suitably selected from e.g. organic lithium compounds such as methyllithium and n-butyllithium; and Grignard compounds such as isopropyl magnesium chloride. The base may be used in an amount of
5 from 1 to 2 mols, preferably from 1 to 1.5 mols, per mol of the compound of the formula (XVII-1), (XVII-2), (XVIII-1) or (XVIII-2).

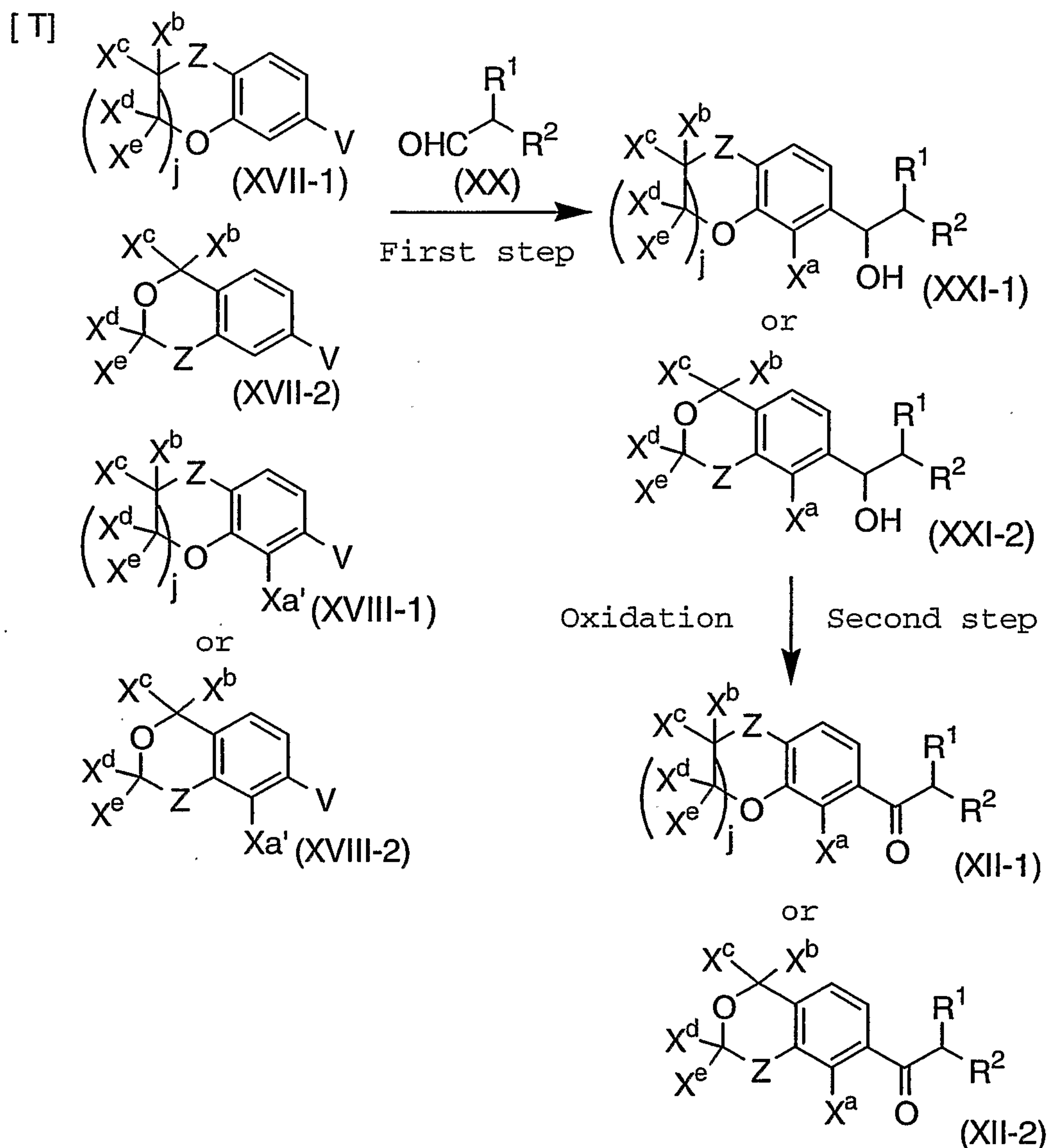
The solvent may be any solvent so long as it is a solvent inert to the reaction. For example, it may be
10 one or more suitably selected from e.g. an ether such as dioxane, tetrahydrofuran and diethyl ether.

The compound of the formula (XIX) to be used for the second step in reaction (S) is used in an amount of from 1 to 3 mols, preferably from 1 to 1.5 mols, per mol
15 of the compound of the formula (XVII-1), (XVII-2), (XVIII-1) or (XVIII-2).

The second step in reaction (S) may be carried out, if necessary, in the presence of an inert gas. The inert gas may be suitably selected from e.g. nitrogen gas and
20 argon gas.

The reaction temperature for the second step in reaction (S) is usually from -100 to 50°C, preferably from -70 to 25°C. The reaction time is usually from 1 to 48 hours, preferably from 1 to 20 hours.

REACTION (T)



In reaction (T), R^1 , R^2 , Z , X^{a} , $\text{X}^{\text{a'}}$, X^{b} , X^{c} , X^{d} , X^{e} , V and j are as defined above.

5 The first step in reaction (T) may be carried out usually in the presence of a base and a solvent.

The base may be one or more suitably selected from e.g. organic lithium compounds such as methyllithium and n-butyllithium; and Grignard compounds such as isopropyl

magnesium chloride.

The base is used in an amount of from 1 to 2 mols, preferably from 1 to 1.5 mols, per mol of the compound of the formula (XVII-1), (XVII-2), (XVIII-1) or (XVIII-2).

5 The solvent may be any solvent so long as it is a solvent inert to the reaction. For example, it may be one or more suitably selected from e.g. an ether such as dioxane, tetrahydrofuran and diethyl ether.

10 The compound of the formula (XX) to be used for the first step in reaction (T) is used in an amount of from 1 to 3 mols, preferably from 1 to 1.5 mols, per mol of the compound of the formula (XVII-1), (XVII-2), (XVIII-1) or (XVIII-2).

15 The first step in reaction (T) may be carried out, if necessary, in the presence of an inert gas. The inert gas may be suitably selected from e.g. nitrogen gas and argon gas.

20 The reaction temperature for the first step in reaction (T) is usually from -100 to 50°C, preferably from -70 to 25°C. The reaction time is usually from 1 to 48 hours, preferably from 1 to 20 hours.

The second step for reaction (T) may be carried out usually in the presence of an oxidizing agent and a solvent.

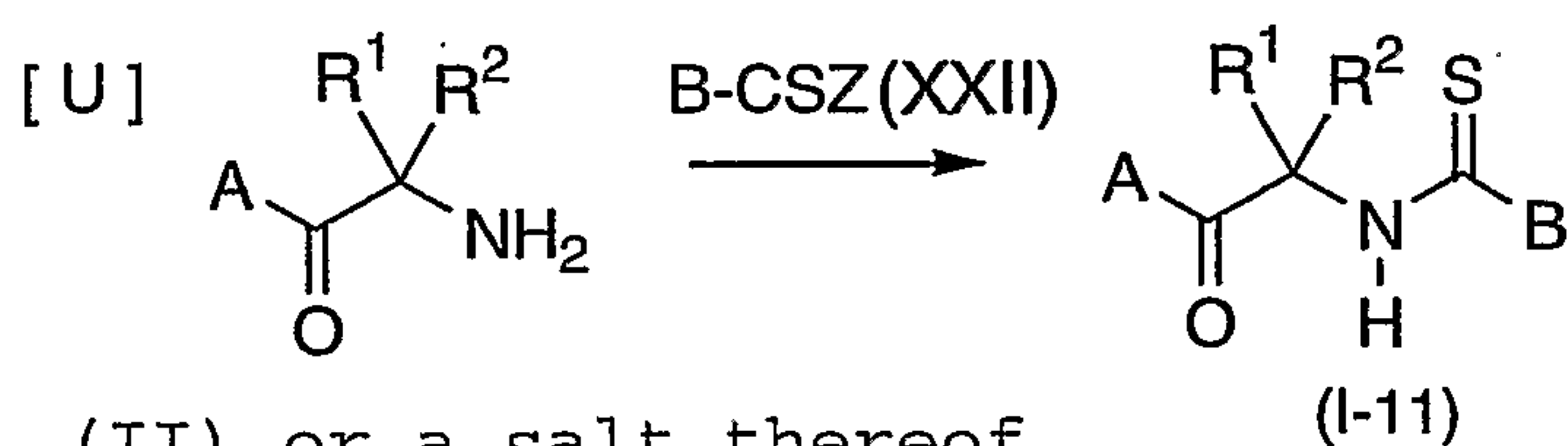
25 The oxidizing agent may be one or more suitably selected from e.g. pyridinium chlorochromate and manganese dioxide. The oxidizing agent is used in an

amount of from 1 to 10 mols, preferably from 1 to 3 mols, per mol of the compound of the formula (XXI-1) or (XXI-2).

The solvent may be any solvent so long as it is a solvent inert to the reaction. For example, it may be one or more suitably selected from e.g. an aromatic hydrocarbon such as benzene, toluene, xylene or chlorobenzene; and an aliphatic hydrocarbon such as carbon tetrachloride, chloroform, dichloromethane, dichloroethane, trichloroethane, hexane or cyclohexane.

The reaction temperature for the second step in reaction (T) is usually from 0 to 150°C, preferably from 20 to 100°C. The reaction time is usually from 0.5 to 24 hours, preferably from 1 to 12 hours.

REACTION (U)

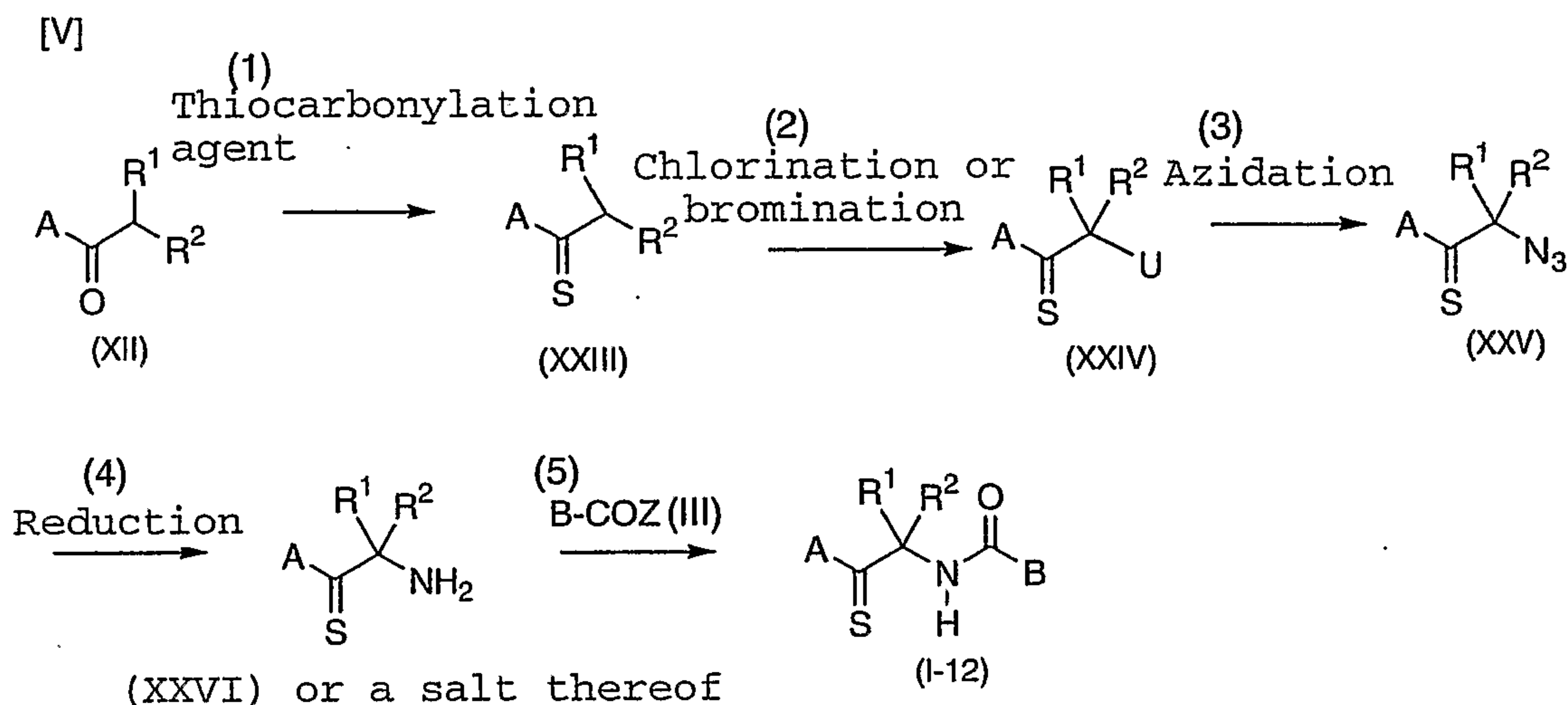


In reaction (U), A, B, R¹, R² and Z, are as defined above.

Reaction (U) may be carried out in accordance with the above reaction (A).

51

REACTION (V)



In reaction (V), A, B, R¹, R², U and Z are as defined above. Further, the thiocarbonylation agent, may, for example, be a Lawesson reagent or diphosphorus pentasulfide. Reaction (V) comprises five stage reactions (1) to (5) as shown in the above flow process, and the reaction conditions of the respective reactions will be described below.

The reaction (1) may usually be carried out in the presence of a solvent. The solvent may be any solvent inert to the reaction, and it may be one or more suitably selected from aromatic hydrocarbons such as benzene, toluene and xylene; aliphatic hydrocarbons such as pentane, hexane, heptane, petroleum ether, ligroin and petroleum benzin; ethers such as diethyl ether, dipropyl ether, dibutyl ether, tetrahydrofuran, and dioxane; and carbon disulfide.

The reaction (1) may usually be carried out at from -20°C to 150°C, preferably from 0 to 110°C, and the reaction time is usually from 0.1 to 48 hours.

In the reaction (1), the thiocarbonylation agent may
5 be used in an amount of from 0.4 to 2 mols, per mol of the compound of the formula (XII).

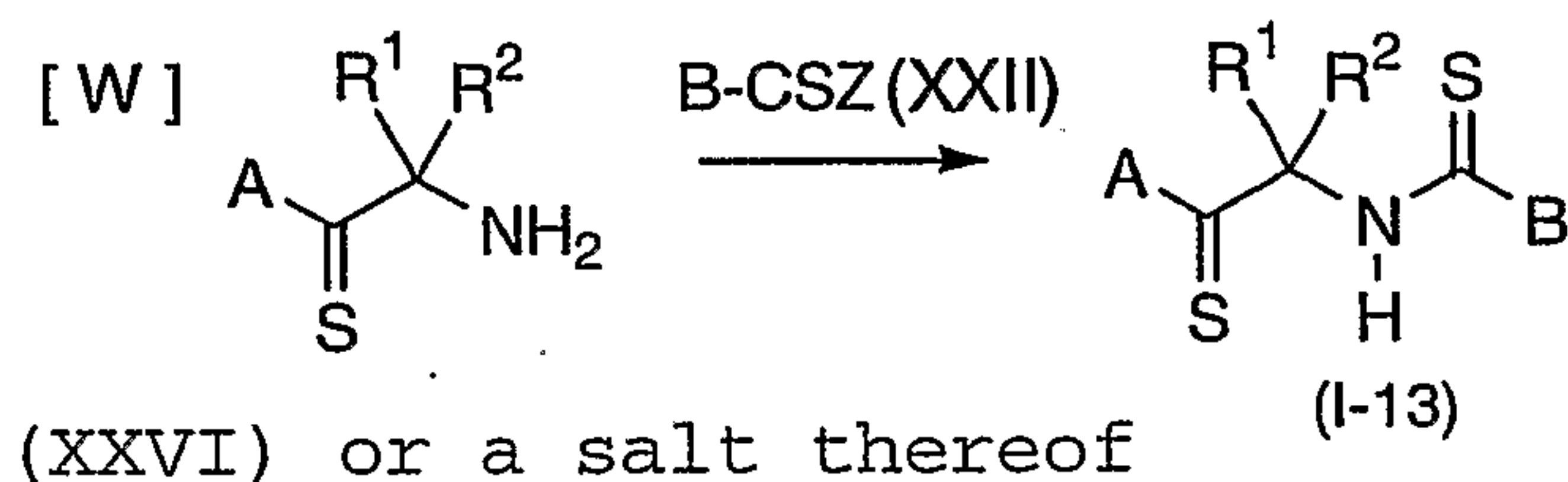
The reaction (2) can be carried out in accordance with the above reaction (R).

The reaction (3) can be carried out in accordance
10 with the above reaction (P).

The reaction (4) can be carried out in accordance with the above reaction (N).

The reaction (5) can be carried out in accordance with the above reaction (A).

15 REACTION (W)



In reaction (W), A, B, R¹, R² and Z are as defined above.

Reaction (W) can be carried out in accordance with
20 the above reaction (A).

Further, the acid amide derivative of the formula (I) or a salt thereof may be produced with reference to the method disclosed in WO2001/60783 or WO2003/27059, as the case requires.

A fungicidal composition containing an acid amide derivative of the formula (I) or a salt thereof as an active ingredient (hereinafter referred to simply as the composition of the present invention) is capable of
5 controlling noxious fungi at a low dose and thus useful, for example, as an agricultural or horticultural fungicidal composition.

BEST MODE FOR CARRYING OUT THE INVENTION

10 Now, preferred embodiments of the composition of the present invention will be described.

The composition of the present invention is useful as a fungicidal composition capable of controlling noxious fungi at a low dose, particularly useful as an
15 agricultural or horticultural fungicidal composition. When used as an agricultural or horticultural fungicidal composition, the composition of the present invention is capable of controlling noxious fungi such as Oomycetes, Ascomycetes, Basidiomycetes, Deuteromycetes and
20 particularly effective for controlling noxious fungi belonging to e.g. Ascomycetes or Deuteromycetes.

The following may be mentioned as specific examples of the above noxious fungi.

Oomycetes may, for example, be genus Phytophthora,
25 such as potato or tomato late blight pathogen (Phytophthora infestans), or tomato haiiro-eki-byo pathogen (Phytophthora capsici); genus Pseudoperonospora,

such as cucumber downy mildew pathogen (Pseudoperonospora cubensis); genus Plasmopara, such as grape downy mildew pathogen (Plasmopara viticola); and genus Pythium, such as rice seedling blight pathogen (Pythium graminicola),
5 or wheat browning root rot pathogen (Pythium iwayamai).

Ascomycetes may, for example, be genus Erysiphe, such as wheat powdery mildew pathogen (Erysiphe graminis); genus Sphaerotheca, such as cucumber powdery mildew pathogen (Sphaerotheca fuliginea), or strawberry
10 powdery mildew pathogen (Sphaerotheca humuli); genus Uncinula, such as grape powdery mildew pathogen (Uncinula necator); genus Podosphaera, such as apple powdery mildew pathogen (Podosphaera leucotricha); genus Mycosphaerella, such as garden pea Mycosphaerella blight pathogen
15 (Mycosphaerella pinodes), apple fruit spot pathogen (Mycosphaerella pomi), banana black sigatoka pathogen (Mycosphaerella musicola), persimmons circular leaf spot pathogen (Mycosphaerella nawae), or strawberry leaf spot pathogen (Mycosphaerella fragariae); genus Venturia, such
20 as apple scab pathogen (Venturia inaequalis), or pear scab pathogen (Venturia nashicola); genus Pyrenophora, such as barley net blotch pathogen (Pyrenophora teres), or barley stripe pathogen (Pyrenophora graminea); genus Sclerotinia, such as various Sclerotinia disease pathogen
25 (Sclerotinia Sclerotiorum) such as kidney bean stem rot pathogen, cucumber Sclerotinia rot pathogen, cabbage Sclerotinia rot pathogen, Chinese cabbage Sclerotinia rot

pathogen, red pepper *Sclerotinia* rot pathogen, sweet
pepper *Sclerotinia* rot pathogen, or onion watery soft rot
pathogen, wheat *Sclerotinia* snow blight pathogen
(*Sclerotinia borealis*), tomato syoryu-kinkaku pathogen
5 (*Sclerotinia minor*), or alfalfa *Sclerotinia* rot and crown
rot pathogen (*Sclerotinia trifoliorum*); genus
Botryolinia, such as peanut small *Sclerotinia* rot
pathogen (*Botryolinia arachidis*); genus *Cochliobolus*,
such as rice brown spot pathogen (*Cochliobolus*
10 *miyabeanus*); genus *Didymella*, such as cucumber gummy stem
blight pathogen (*Didymella bryoniae*); genus *Gibberella*,
such as wheat Fusarium blight pathogen (*Gibberella zeae*);
genus *Elsinoe*, such as grape anthracnose pathogen
(*Elsinoe ampelina*), or citrus scab pathogen (*Elsinoe*
15 *fawcettii*); genus *Diaporthe*, such as citrus melanose
pathogen (*Diaporthe citri*), or grape swelling arm
pathogen (*Diaporthe* sp.); genus *Monilinia*, such as apple
blossom blight pathogen (*Monilinia mali*), or peach brown
rot pathogen (*Monilinia fructicola*); and genus
20 *Glomerella*, such as grape ripe rot pathogen (*Glomerella*
cingulata).

Basidiomycetes may, for example, be genus
Rhizoctonia, such as rice sheath blight pathogen
(*Rhizoctonia solani*); genus *Ustilago*, such as wheat loose
25 smut pathogen (*Ustilago nuda*); genus *Puccinia*, such as
oat crown rust pathogen (*Puccinia coronata*), wheat brown
rust pathogen (*Puccinia recondita*), or wheat stripe rust

pathogen (Puccinia striiformis); and genus Typhula, such as wheat or barley Typhula snow blight pathogen (Typhula incarnata, Typhula ishikariensis).

Deuteromycetes may, for example, be genus Septoria,
5 such as wheat glume blotch pathogen (Septoria nodorum), wheat speckled leaf blotch (Septoria tritici); genus Botrytis, such as various gray mold pathogen (Botrytis cinerea) such as grape gray mold pathogen, citrus gray mold pathogen, cucumber gray mold pathogen, tomato gray
10 mold pathogen, strawberry gray mold pathogen, eggplant gray mold pathogen, kidney bean gray mold pathogen, adzuki bean gray mold pathogen, garden pea gray mold pathogen, peanut gray mold pathogen, red pepper gray mold pathogen, sweet pepper gray mold pathogen, lettuce gray
15 mold pathogen, onion gray mold pathogen, statice gray mold pathogen, carnation gray mold pathogen, rose Botrytis blight pathogen, garden pansy gray mold pathogen, or sunflower gray mold pathogen, onion gray mold neck rot pathogen (Botrytis allii), or onion
20 Botrytis hagare-syo (Botrytis squamosa, Botrytis byssoidea, Botrytis tulipae); genus Pyricularia, such as rice blast pathogen (Pyricularia oryzae); genus Cercospora, such as sugar beet Cercospora leaf spot pathogen (Cercospora beticola), or persimmons Cercospora
25 leaf spot pathogen (Cercospora kakiicola); genus Colletotrichum, such as cucumber anthracnose pathogen (Colletotrichum orbiculare); genus Alternaria, such as

apple *Alternaria* leaf spot pathogen (*Alternaria alternata*
apple pathotype), pear black spot pathogen (*Alternaria*
alternata Japanese pear pathotype), potato or tomato
early blight pathogen (*Alternaria solani*), cabbage or
5 Chinese cabbage *Alternaria* leaf spot pathogen (*Alternaria*
brassicae), cabbage *Alternaria* sooty spot pathogen
(*Alternaria brassicola*), onion or Welsh onion *Alternaria*
leaf spot pathogen (*Alternaria porri*); genus
Pseudocercospora, such as wheat eye spot pathogen
10 (*Pseudocercospora herpotrichoides*); genus
Pseudocercospora, such as grape leaf spot pathogen
(*Pseudocercospora vitis*); genus *Rhynchosporium*, such as
barley scold pathogen (*Rhynchosporium secalis*); genus
Cladosporium, such as peach scab pathogen (*Cladosporium*
15 *carpophilum*); genus *Phomopsis*, such as peach *Phomopsis*
rot pathogen (*Phomopsis* sp.); genus *Gloeosporium*, such as
persimmons anthracnose pathogen (*Gloeosporium kaki*);
genus *Fulvia*, such as tomato leaf mold pathogen (*Fulvia*
fulva); and genus *Corynespora*, such as cucumber
20 *Corynespora* leaf spot pathogen (*Corynespora cassiicola*).

The composition of the present invention is capable
of controlling the above various noxious fungi and thus
capable of preventively or curatively controlling various
diseases. Particularly, the composition of the present
25 invention is effective for controlling various diseases
which are problematic in the agricultural and
horticultural field, such as blast, brown spot, sheath

blight or damping-off of rice (Oryza sativa, etc.);
powdery mildew, scab, brown rust, stripe rust, net
blotch, stripe, snow mold, snow blight, loose smut, eye
spot, scald, leaf spot or glume blotch of cereals
5 (Hordeum vulgare, Tricum aestivum, etc.); melanose or
scab of citrus (Citrus spp., etc.); blossom blight,
powdery mildew, melanose, Alternaria leaf spot or scab of
apple (Malus pumila); scab or black spot of pear (Pyrus
serotina, Pyrus ussuriensis, Pyrus communis); brown rot,
10 scab or Phomopsis rot of peach (Prunus persica, etc.);
anthracnose, ripe rot, leaf spot, swelling arm, powdery
mildew or downy mildew of grape (Vitis vinifera spp.,
etc.); anthracnose, circular leaf spot or Cercospora leaf
spot of Japanese persimmon (Diospyros kaki, etc.);
15 anthracnose, powdery mildew, gummy stem blight,
corynespora leaf spot or downy mildew of cucurbit
(Cucumis melo, etc.); early blight, haiiro-eki-byo, leaf
mold or late blight of tomato (Lycopersicon esculentum);
black sigatoka of banana (Musa sapientum, etc.);
20 Cercospora leaf spot of sugar beet (Beta vulgaris var.
saccharifera, etc.); Mycosphaerella blight of garden pea
(Pisum sativum); various Alternaria disease pathogens of
cruciferous vegetables (Brassica sp., Raphanus sp., etc);
late blight or early blight of potato (Solanum
25 tuberosum); powdery mildew or leaf spot of strawberry
(Fragaria, etc.); and gray mold or disease caused by
Sclerotinia of various crops such as beans, vegetables,

fruits or flowers. Among them, it is particularly effective against various gray mold or disease caused by Sclerotinia of cucumber (Cucumis sativus), kidney bean (Phaseolus vulgaris), adzuki bean (Vigna angularis),
5 soybean (Glycine max), garden pea, peanut (Arachis hypogaea), tomato, strawberry, eggplant (Solanum melongena), red pepper (Capsicum annuum), sweet pepper (Capsicum annuum), lettuce (Lactuca sativa), onion (Allium cepa), grape, citrus, statice (Limonium spp.),
10 carnation (Dianthus spp.), rose (Rosa spp.), garden pansy (Viola, etc.) or sunflower (Helianthus annuus).

Further, the composition of the present invention is effective also for preventive or curative control of soil diseases caused by plant pathogens such as Fusarium,
15 Pythium, Rhizoctonia, Verticillium and Plasmodiophora.

Still further, the composition of the present invention is effective also to control various pathogens resistant to fungicides such as benzimidazoles, strobilurins, dicarboximides, phenylamides and ergosterol
20 biosynthesis inhibitors.

Furthermore, the composition of the present invention has an excellent penetrative migration property, and when a pesticide containing the composition of the present invention is applied to soil, it is
25 possible to control noxious fungi on stems and leaves at the same time as controlling noxious fungi in soil.

The composition of the present invention, is usually

formulated by mixing the acid amide derivative represented by the formula (I) or a salt thereof with various agricultural adjuvants and used in the form of a formulation such as a dust, granules, water-dispersible granules, a wettable powder, a water-based suspension concentrate, an oil-based suspension concentrate, water soluble granules, an emulsifiable concentrate, a soluble concentrate, a paste, an aerosol or an ultra low-volume formulation. However, so long as it is suitable for the purpose of the present invention, it may be formulated into any type of formulation which is commonly used in this field. Such agricultural adjuvants include solid carriers such as diatomaceous earth, slaked lime, calcium carbonate, talc, white carbon, kaoline, bentonite, a mixture of kaolinite and sericite, clay, sodium carbonate, sodium bicarbonate, mirabilite, zeolite and starch; solvents such as water, toluene, xylene, solvent naphtha, dioxane, acetone, isophorone, methyl isobutyl ketone, chlorobenzene, cyclohexane, dimethylsulfoxide, N,N-dimethylformamide, dimethylacetamide, N-methyl-2-pyrrolidone, and alcohol; anionic surfactants and spreaders such as a salt of fatty acid, a benzoate, an alkylsulfosuccinate, a dialkylsulfosuccinate, a polycarboxylate, a salt of alkylsulfuric acid ester, an alkyl sulfate, an alkylaryl sulfate, an alkyl diglycol ether sulfate, a salt of alcohol sulfuric acid ester, an alkyl sulfonate, an alkylaryl sulfonate, an aryl

sulfonate, a lignin sulfonate, an alkyl diphenyl ether disulfonate, a polystyrene sulfonate, a salt of alkyl phosphoric acid ester, an alkylaryl phosphate, a styrylaryl phosphate, a salt of polyoxyethylene alkyl ether sulfuric acid ester, a polyoxyethylene alkylaryl ether sulfate, a salt of polyoxyethylene alkylaryl ether sulfuric acid ester, a polyoxyethylene alkyl ether phosphate, a salt of polyoxyethylene alkylaryl phosphoric acid ester, and a salt of a condensate of naphthalene sulfonate with formalin; nonionic surfactants and spreaders such as a sorbitan fatty acid ester, a glycerin fatty acid ester, a fatty acid polyglyceride, a fatty acid alcohol polyglycol ether, acetylene glycol, acetylene alcohol, an oxyalkylene block polymer, a polyoxyethylene alkyl ether, a polyoxyethylene alkylaryl ether, a polyoxyethylene styrylaryl ether, a polyoxyethylene glycol alkyl ether, a polyethylene glycol, a polyoxyethylene fatty acid ester, a polyoxyethylene sorbitan fatty acid ester, a polyoxyethylene glycerin fatty acid ester, a polyoxyethylene hydrogenated castor oil, and a polyoxypropylene fatty acid ester; and vegetable and mineral oils such as olive oil, kapok oil, castor oil, palm oil, camellia oil, coconut oil, sesame oil, corn oil, rice bran oil, peanut oil, cottonseed oil, soybean oil, rapeseed oil, linseed oil, tung oil, and liquid paraffins. Each of the components as such adjuvants may

be one or more suitable selected for use, so long as the purpose of the present invention can thereby be accomplished. Further, various additives which are commonly used, such as a filler, a thickener, an anti-settling agent, an anti-freezing agent, a dispersion stabilizer, a phytotoxicity reducing agent, and an anti-mold agent, may also be employed.

The weight ratio of the acid amide derivative represented by the formula (I) or a salt thereof to the various agricultural adjuvants is usually from 0.001:99.999 to 95:5, preferably from 0.005:99.995 to 90:10.

In the actual application of such a formulation, it may be used as it is, or may be diluted to a predetermined concentration with a diluent such as water, and various spreaders e.g. surfactants, vegetable oils or mineral oils may be added thereto, as the case requires.

The application of the composition of the present invention can not generally be defined, as it varies depending upon the weather conditions, the type of the formulation, the crop plants to be treated, the application season, the application site, the types or germination states of noxious fungi, and the types or degree of outbreak of the diseases. However, it is usually applied in a concentration of the active ingredient being from 0.1 to 10,000 ppm, preferably from 1 to 2,000 ppm in the case of foliage treatment, and its

dose may be such that the acid amide derivative of the formula (I) or a salt thereof is usually from 0.1 to 50,000 g, preferably from 1 to 30,000 g, per hectare. In the case of soil treatment, it is applied usually in such a dose that the acid amide derivative of the formula (I) or a salt thereof is from 10 to 100,000 g, preferably from 200 to 20,000 g, per hectare.

The formulation containing the composition of the present invention or a diluted product thereof may be applied by an application method which is commonly used, such as spreading (spreading, spraying, misting, atomizing, grain diffusing or application on water surface), soil application (such as mixing or irrigation) or surface application (such as coating, dust coating or covering). Further, it may be applied also by so-called ultra low volume. In this method, the formulation may contain 100% of the active ingredient.

The composition of the present invention may be mixed with or may be used in combination with other agricultural chemicals, fertilizers or phytotoxicity-reducing agents, whereby synergistic effects or activities may sometimes be obtained. Such other agricultural chemicals may, for example, be a herbicide, an insecticide, a miticide, a nematocide, a soil pesticide, a fungicide, an antiviral agent, an attractant, an antibiotic, a plant hormone and a plant growth regulating agent. Especially, with a mixed

fungicidal composition having the acid amide derivative of the formula (I) or a salt thereof mixed with or used in combination with one or more of other fungicidally active ingredient compounds, the application range, the application time, the fungicidal activities, etc. may be improved to preferred directions. Here, the acid amide derivative of the formula (I) or a salt thereof, and the active ingredient compound of another fungicide may separately be formulated so that they may be mixed for use at the time of application, or they may be formulated together for use. The present invention includes such a mixed fungicidal composition.

The mixing ratio of the acid amide derivative of the formula (I) or a salt thereof to another fungicidally active ingredient compound can not generally be defined, since it varies depending upon the weather conditions, the types of formulations, the crops to be treated, the application time, the application site, the types or germination state of noxious fungi, the types or state of the diseases, etc., but it is usually within a range of from 1:300 to 300:1, preferably from 1:100 to 100:1, by weight. Further, the dose for the application may be such that the total amount of the active compounds is from 0.1 to 70,000 g, preferably from 1 to 30,000 g, per hectare. The present invention includes a method for controlling noxious fungi by an application of such a mixed fungicidal composition.

65

The active ingredient compound (common name; including some which are under application or test code of the Japan plant protection association) of the fungicide in such another agricultural chemical, may, for
5 example, be:

an anilinopyrimidine compound such as Mepanipyrim,
Pyrimethanil or Cyprodinil;

a pyridinamine compound such as Fluazinam;

an azole compound such as Triadimefon, Bitertanol,
10 Triflumizole, Etaconazole, Propiconazole, Penconazole,
Flusilazole, Myclobutanil, Cyproconazole, Tebuconazole,
Hexaconazole, Furconazole-cis, Prochloraz, Metconazole,
Epoxiconazole, Tetraconazole, Oxpoconazole fumarate,
Sipconazole, Prothioconazole, Triadimenol, Flutriafol,
15 Difenconazole, Fluquinconazole, Fenbuconazole,
Bromuconazole, Diniconazole, Tricyclazole, Probenazole or
Simeconazole, Pefurazoate, Ipconazole or Imibenconazole;

a quinoxaline compound such as Quinomethionate;

a dithiocarbamate compound such as Maneb, Zineb,
20 Mancozeb, Polycarbamate, Metiram or Propineb;

an organic chlorine compound such as Fthalide,
Chlorothalonil or Quintozene;

an imidazole compound such as Benomyl, Thiophanate-
Methyl, Carbendazim or Cyazofamid;

25 a cyano acetamide compound such as Cymoxanil;

a phenylamide compound such as Metalaxyl, Metalaxyl
M, Oxadixyl, Ofurace, Benalaxyl, Benalaxyl M, Furalaxyl

or Cyprofuram;

a sulfenic acid compound such as Dichlofluanid;

a copper compound such as Cupric hydroxide or Oxine
Copper;

5 an isoxazole compound such as Hymexazol;

an organic phosphorus compound such as Fosetyl-Al,
Tolcofos-Methyl, S-benzyl O,O-

diisopropylphosphorothioate, O-ethyl S,S-

diphenylphosphorodithioate or aluminum ethylhydrogen

10 phosphonate;

an N-halogenothioalkyl compound such as Captan,
Captafol or Folpet;

a dicarboxyimide compound such as Procymidone,
Iprodione or Vinclozolin;

15 a benzanilide compound such as Flutolanil, Mepronil,
Zoxamid or Tiadinil;

an anilide compound such as Boscalid;

a piperazine compound such as Triforine;

a pyridine compound such as Pyrifenox;

20 a carbinol compound such as Fenarimol or Flutriafol;

a piperidine compound such as Fenpropidine;

a morpholine compound such as Fenpropimorph or
Tridemorph;

an organic tin compound such as Fentin Hydroxide or
25 Fentin Acetate;

an urea compound such as Pencycuron;

a cinnamic acid compound such as Dimethomorph or

Flumorph;

a phenylcarbamate compound such as Diethofencarb;

a cyanopyrrole compound such as Fludioxonil or
Fenpiclonil;

5 a strobilurin compound such as Azoxystrobin,
Kresoxim-Methyl, Metominofen, Trifloxystrobin,
Picoxystrobin, Oryzastrobin, Dimoxystrobin,
Pyraclostrobin, Fluoxastrobin or Fluacrypyrin;

an oxazolidinone compound such as Famoxadone;

10 a thiazolecarboxamide compound such as Ethaboxam;

a silylamide compound such as Silthiopham;

an amino acid amide carbamate compound such as
Iprovalicarb or Benthiavalicarb-isopropyl;

an imidazolidine compound such as Fenamidone;

15 a hydroxyanilide compound such as Fenhexamid;

a benzenesulfonamide compound such as Flusulfamide;

an oxime ether compound such as Cyflufenamid;

a phenoxyamide compound such as Fenoxanil;

an antibiotic such as Polyoxins;

20 a guanidine compound such as Iminoctadine;

other compound, such as Isoprothiolane, Pyroquilon,
Diclomezine, Quinoxifen, Propamocarb Hydrochloride,

Spiroxamine Chloropicrin, Dazomet, Metam-sodium,

Nicobifen, Metrafenone, MTF-753 (Pentiopyrad), UBF-307,

25 Diclocymet, Proquinazid, NC-224 (Amibromdole,

Amisulbrom), KIF-7767 (KUF-1204, Pyribencarb methyl,

Mepyricarb) or SyngentaTM 446510 (Mandipropamid,

Dipromandamid).

The active ingredient compound (common name; including some which are under application) of the insecticide, miticide, nematocide or soil pesticide in
5 such another agricultural chemical, may, for example, be:

an organic phosphate compound such as Profenofos, Dichlorvos, Fenamiphos, Fenitrothion, EPN, Diazinon, Chlorpyrifos-methyl, Acephate, Prothiofos, Fosthiazate, Phosphocarb, Cadusafos, Disulfoton, Chlorpyrifos,
10 Demeton-S-methyl, Dimethoate or Methamidophos;

a carbamate compound such as Carbaryl, Propoxur, Aldicarb, Carbofuran, Thiodicarb, Methomyl, Oxamyl, Ethiofencarb, Pirimicarb, Fenobucarb, Carbosulfan or Benfuracarb;

15 a nelicetoxin derivative such as Cartap, Thiocyclam or Bensultap;

an organic chlorine compound such as Dicofol or Tetradifon;

an organic metal compound such as Fenbutatin Oxide;

20 a pyrethroid compound such as Fenvalerate, Permethrin, Cypermethrin, Deltamethrin, Cyhalothrin, Tefluthrin, Ethofenprox, Fenpropathrin or Bifenthrin;

a benzoyl urea compound such as Di-flubenzuron, Chlorfluazuron, Teflubenzuron, Flufenoxuron, Lufenuron or
25 Novaluron;

a juvenile hormone-like compound such as Methoprene, Pyriproxyfen or Fenoxycarb;

a pyridadinone compound such as Pyridaben;

a pyrazole compound such as Fenpyroximate, Fipronil, Tebufenpyrad, Ethiprole, Tolfenpyrad, Acetoprole, Pyrafluprole or Pyriprole;

5 a neonicotinoide such as Imidacloprid, Nitenpyram, Acetamiprid, Thiacloprid, Thiamethoxam, Clothianidin or Dinotefuran;

a hydrazine compound such as Tebufenozide, Methoxyfenozide or Chromafenozide;

10 a dinitro compound, an organosulfur compound, an urea compound, a triazine compound or a hydrazone compound;

other compound, such as Flonicamid, Buprofezin, Hexythiazox, Amitraz, Chlordimeform, Silafluofen, Triazamate, Pymetrozine, Pyrimidifen, Chlorfenapyr, Indoxacarb, Acequinocyl, Etoxazole, Cyromazine, 1,3-dichloropropene, Diafenthiuron, Benclothiaz, Flufenerim, Pyridalyl, Spirodiclofen, Bifenazate, Spiromesifen, spirotetramat, Propargite, Clofentezine, Fluacrypyrim, 15 Metaflumizone, Flubendiamide or Cyflumetofen.

Further, a microbial pesticide such as a BT agent, an insect pathogenic virus agent, entomopathogenic fungi or nematophagous fungi;

an antibiotic such as Avermectin, Emamectin-Benzoate, Milbemectin, Spinosad, Ivermectin or Lepimectin;

a natural product such as Azadirachtin.

Preferred embodiments of the present invention are as follows. However, it should be understood that the present invention is by no means restricted to such specific embodiments.

5 (1) An acid amide derivative of the above formula (I) or a salt thereof.

(2) The acid amide derivative of the above formula (I) or a salt thereof, wherein A is phenyl which may be substituted by X, naphthyl which may be substituted by X, 10 heterocyclic ring which may be substituted by X, or fused heterocyclic ring which may be substituted by X; B is heterocyclic ring (excluding pyridyl) which may be substituted by Y, fused heterocyclic ring which may be substituted by Y, or naphthyl which may be substituted by 15 Y; X is halogen, alkyl (the alkyl may be substituted by halogen, hydroxy, alkoxy, haloalkoxy, alkylthio, alkylsulfonyl, cycloalkyl, amino, monoalkylamino, dialkylamino, cyano, alkoxycarbonyl, or alkylcarbonyloxy), alkenyl, haloalkenyl, alkynyl (the 20 alkynyl may be substituted by halogen, hydroxy, alkoxy, amino, hydroxycarbonyl, alkoxycarbonyl, or trialkylsilyl), hydroxy, cyanoxy, alkoxy (the alkoxy may be substituted by halogen, alkoxy, haloalkoxy, alkylthio, cycloalkyl, monoalkylamino, dialkylamino, cyano, or 25 heterocyclic ring), alkenyloxy, haloalkenyloxy, alkynyloxy, haloalkynyloxy, alkylthio (the alkylthio may be substituted by halogen, cycloalkyl, or cyano),

alkenylthio, haloalkenylthio, alkynylthio,
haloalkynylthio, alkylsulfinyl, haloalkylsulfinyl,
alkylsulfonyl, haloalkylsulfonyl, cycloalkyl which may be
substituted by halogen, cycloalkyloxy which may be
5 substituted by halogen, cycloalkylthio which may be
substituted by halogen, cyano, nitro, formyl, phenyl (the
phenyl may be substituted by halogen, alkyl, haloalkyl,
or alkoxy), phenoxy which may be substituted by alkyl,
phenylthio which may be substituted by alkyl, phenylalkyl
10 which may be substituted by alkyl, phenylalkenyl which
may be substituted by alkyl, phenylalkynyl which may be
substituted by alkyl, phenylalkyloxy which may be
substituted by alkyl, phenylalkenyloxy which may be
substituted by alkyl, phenylalkynyloxy which may be
15 substituted by alkyl, phenylamino which may be
substituted by alkyl, $-OR^4$, $-SR^5$, $-NR^6R^7$, $-CO_2R^8$,
 $-C(=O)NR^8R^9$, $-SO_2NR^8R^9$, $-CH=NR^{10}$, or heterocyclic ring
(the heterocyclic ring may be substituted by halogen,
alkyl, or alkylcarbonyl); Y is halogen, alkyl (the alkyl
20 may be substituted by halogen, alkoxy, haloalkoxy, amino,
monoalkylamino, or dialkylamino), alkenyl, alkynyl,
hydroxy, alkoxy, haloalkoxy, alkylthio, haloalkylthio,
alkylsulfinyl, haloalkylsulfinyl, alkylsulfonyl,
haloalkylsulfonyl, cycloalkyl, cyano, nitro, formyl,
25 $-OR^4$, $-NR^6R^7$, $-CO_2R^8$, $-C(=O)NR^8R^9$, $-SO_2NR^8R^9$, or
 $-CH=NR^{10}$; each of R^1 and R^2 which are independent of each
other, is hydrogen, alkyl, haloalkyl, alkoxyalkyl,

alkenyl, alkynyl, or cycloalkyl, or R^1 and R^2 may together form a 3- to 6-membered saturated carbon ring; R^3 is hydrogen, alkyl (the alkyl may be substituted by halogen, alkoxy, haloalkoxy, alkylthio, amino, monoalkylamino, dialkylamino, or cyano), alkenyl, alkynyl, hydroxy, alkoxy, haloalkoxy, cycloalkyl, cycloalkyloxy, cyano, formyl, $-C(=W^3)R^{11}$, $-C(=W^3)OR^{12}$, or $-S(O)_mR^{12}$.

(3) The acid amide derivative or a salt thereof, wherein A is phenyl which may be substituted by X, naphthyl which may be substituted by X, heterocyclic ring which may be substituted by X, or fused heterocyclic ring which may be substituted by X; B is 5-membered heterocyclic ring which may be substituted by Y, pyrazinyl, or fused heterocyclic ring which may be substituted by Y; X is halogen, alkyl, haloalkyl, alkoxyalkyl, dialkylaminoalkyl, alkynyl, trialkylsilylalkynyl, hydroxy, alkoxy, haloalkoxy, alkoxyalkoxy, cycloalkyl, nitro, phenyl, phenylalkynyl, pyridyloxy which may be substituted by haloalkyl, alkylcarbonyloxy, alkylsulfonyloxy, or heterocyclic ring (the heterocyclic ring may be substituted by halogen, alkyl, or alkylcarbonyl); Y is halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, cycloalkyl, or formyl; each of R^1 and R^2 which are independent of each other, is hydrogen, or alkyl; R^3 is hydrogen, alkyl, alkylcarbonyl, or alkoxy carbonyl; and each of W^1 and W^2 which are

independent of each other, is oxygen or sulfur.

(4) The acid amide derivative or a salt thereof according to the above (3), wherein each of W^1 and W^2 is oxygen.

5 (5) The acid amide derivative or a salt thereof according to the above (3), wherein B is fused heterocyclic ring which may be substituted by Y.

(6) The acid amide derivative or a salt thereof according to the above (5), wherein the fused
10 heterocyclic ring is benzofuranyl, dihydrobenzofuranyl, benzodioxanyl or quinolyl.

(7) The acid amide derivative or a salt thereof according to the above (3), wherein B is 5-membered heterocyclic ring which may be substituted by Y; X is
15 halogen, alkyl, haloalkyl, alkynyl, hydroxy, alkoxy, haloalkoxy, alkoxyalkoxy, cycloalkyl, nitro, phenylalkyl, pyridyloxy which may be substituted by haloalkyl, alkylcarbonyloxy, or heterocyclic ring (the heterocyclic ring may be substituted by halogen, alkyl, or
20 alkylcarbonyl); Y is halogen, alkyl, haloalkyl, or alkoxy; R^3 is hydrogen, alkylcarbonyl, or alkoxycarbonyl; and each of W^1 and W^2 is oxygen.

(8) The acid amide derivative or a salt thereof according to the above (3) or (7), wherein B is furyl
25 which may be substituted by Y, thienyl which may be substituted by Y, pyrrolyl which may be substituted by Y, oxazolyl which may be substituted by Y, isoxazolyl which

may be substituted by Y, thiazolyl which may be substituted by Y, isothiazolyl which may be substituted by Y, pyrazolyl which may be substituted by Y, or thiadiazolyl which may be substituted by Y.

5 (9) The acid amide derivative or a salt thereof according to the above (8), wherein B is furyl which may be substituted by Y, thienyl which may be substituted by Y, pyrrolyl which may be substituted by Y, oxazolyl which may be substituted by Y, thiazolyl which may be substituted by Y, isothiazolyl which may be substituted by Y, pyrazolyl which may be substituted by Y, or
10 thiadiazolyl which may be substituted by Y.

 (10) The acid amide derivative or a salt thereof according to the above (9), wherein B is furyl
15 substituted by Y.

 (11) The acid amide derivative or a salt thereof according to the above (9), wherein B is thienyl substituted by Y.

 (12) The acid amide derivative or a salt thereof
20 according to the above (9), wherein B is pyrazolyl substituted by Y.

 (13) An acid amide derivative of the above formula (I- α) or a salt thereof.

 (14) The acid amide derivative of the above formula
25 (I- α) or a salt thereof, wherein B is 5-membered heterocyclic ring which may be substituted by Y, pyrazinyl, or fused heterocyclic ring which may be

75

substituted by Y; X^α is fluorine, chlorine, iodine, alkyl, haloalkyl, alkoxyalkyl, dialkylaminoalkyl, alkynyl, trialkylsilylalkynyl, hydroxy, alkoxy, haloalkoxy, alkoxyalkoxy, cycloalkyl, nitro, phenyl, phenylalkynyl, pyridyloxy which may be substituted by haloalkyl, alkylcarbonyloxy, alkylsulfonyloxy, or heterocyclic ring (the heterocyclic ring may be substituted by halogen, alkyl, or alkylcarbonyl); Y is halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, cycloalkyl, or formyl; each of R^1 and R^2 which are independent of each other, is hydrogen or alkyl; R^3 is hydrogen, alkyl, alkylcarbonyl, or alkoxy carbonyl; and each of W^1 and W^2 which are independent of each other, is oxygen, or sulfur.

(15) The acid amide derivative or a salt thereof according to the above (14), wherein each of W^1 and W^2 is oxygen.

(16) The acid amide derivative or a salt thereof according to the above (14), wherein B is the fused heterocyclic ring which may be substituted by Y.

(17) The acid amide derivative or a salt thereof according to the above (16), wherein the fused heterocyclic ring is benzofuranyl, dihydrobenzofuranyl, benzodioxanyl or quinolyl.

(18) The acid amide derivative or a salt thereof according to the above (14), wherein wherein B is 5-membered heterocyclic ring which may be substituted by Y;

X^{α} is fluorine, chlorine, iodine, alkyl, haloalkyl, alkynyl, hydroxy, alkoxy, haloalkoxy, alkoxyalkoxy, cycloalkyl, nitro, phenylalkynyl, pyridyloxy which may be substituted by haloalkyl, alkylcarbonyloxy, or
5 heterocyclic ring (the heterocyclic ring may be substituted by halogen, alkyl, or alkylcarbonyl); Y is halogen, alkyl, haloalkyl, or alkoxy; R^3 is hydrogen, alkylcarbonyl, or alkoxycarbonyl; and each of W^1 and W^2 is oxygen.

10 (19) The acid amide derivative or a salt thereof according to the above (14) or (18), wherein B is furyl which may be substituted by Y, thienyl which may be substituted by Y, pyrrolyl which may be substituted by Y, oxazolyl which may be substituted by Y, isoxazolyl which
15 may be substituted by Y, thiazolyl which may be substituted by Y, isothiazolyl which may be substituted by Y, imidazolyl which may be substituted by Y, pyrazolyl which may be substituted by Y, or thiadiazolyl which may be substituted by Y.

20 (20) The acid amide derivative or a salt thereof according to the above (19), wherein B is furyl which may be substituted by Y, thienyl which may be substituted by Y, pyrrolyl which may be substituted by Y, oxazolyl which may be substituted by Y, isoxazolyl which may be
25 substituted by Y, thiazolyl which may be substituted by Y, isothiazolyl which may be substituted by Y, pyrazolyl which may be substituted by Y, or thiadiazolyl which may

be substituted by Y.

(21) The acid amide derivative or a salt thereof according to the above (20), wherein B is furyl substituted by Y.

5 (22) The acid amide derivative or a salt thereof according to the above (20), wherein B is thienyl substituted by Y.

(23) The acid amide derivative or a salt thereof according to the above (20), wherein B is pyrazolyl
10 substituted by Y.

(24) The acid amide derivative or a salt thereof according to the above (3) or (14), wherein A is phenyl which may be substituted by X, naphthyl which may be substituted by X, benzodioxolanyl which may be
15 substituted by X, or benzodioxanyl which may be substituted by X; B is furyl which may be substituted by Y, thienyl which may be substituted by Y, or pyrazolyl which may be substituted by Y; X is halogen, alkyl, haloalkyl, alkoxy, or haloalkoxy; Y is halogen, alkyl,
20 haloalkyl, alkoxy, or haloalkyl; R³ is hydrogen; and each of W¹ and W² is oxygen.

(25) The acid amide derivative or a salt thereof according to the above (24), wherein B is furyl substituted by Y, thienyl substituted by Y, or pyrazolyl
25 substituted by Y.

(26) The acid amide derivative or a salt thereof according to the above (24), wherein B is furyl

substituted by Y, thienyl substituted by Y, pyrazolyl substituted by Y, and Y is halogen, alkyl or haloalkyl.

(27) The acid amide derivative or a salt thereof according to the above (24), wherein A is phenyl substituted by X, or benzodioxolanyl substituted by X, and B is furyl substituted by Y, thienyl substituted by Y, or pyrazolyl substituted by Y.

(28) The acid amide derivative or a salt thereof according to the above (24), wherein A is phenyl substituted by X, or benzodioxolanyl substituted by X; B is furyl substituted by Y, thienyl substituted by Y, or pyrazolyl substituted by Y; X is halogen, alkyl, or alkoxy; and Y is halogen, alkyl, or haloalkyl.

(29) The acid amide derivative or a salt thereof according to the above (24), wherein A is phenyl substituted by X, or benzodioxolanyl substituted by X; B is furyl substituted by Y, thienyl substituted by Y, or pyrazolyl substituted by Y; each of R¹ and R² is alkyl; X is halogen, alkyl, or alkoxy; and Y is halogen, alkyl, or haloalkyl.

(30) The acid amide derivative or a salt thereof according to any one of the above (24) to (29), wherein B is furyl substituted by Y.

(31) The acid amide derivative or a salt thereof according to any one of the above (24) to (29), wherein B is thienyl substituted by Y.

(32) The acid amide derivative or a salt thereof

according to any one of the above (24) to (29), wherein B is pyrazolyl substituted by Y.

(33) A fungicidal composition containing the acid amide derivative or a salt thereof as defined in any one of the above (1) to (32) as an active ingredient.

(34) A mixed fungicidal composition containing the acid amide derivative or a salt thereof as defined in any one of the above (1) to (32), and another fungicidally active ingredient compound, as active ingredients.

(35) The mixed fungicidal composition according to the above (34), wherein said another fungicidally active ingredient compound is at least one member selected from the group consisting of an anilinopyrimidine compound, a pyridinamine compound, an azole compound, a quinoxaline compound, a dithiocarbamate compound, an organic chlorine compound, an imidazole compound, a cyano acetamide compound, a phenylamide compound, a sulfenic acid compound, a copper compound, an isoxazole compound, an organic phosphorus compound, an N-halogenothioalkyl compound, a dicarboxyimide compound, a benzanilide compound, an anilide compound, a piperazine compound, a pyridine compound, a carbinol compound, a piperidine compound, a morpholine compound, an organic tin compound, an urea compound, a cinnamic acid compound, a phenylcarbamate compound, a cyanopyrrole compound, a strobilurin compound, an oxazolidinone compound, a thiazolecarboxamide compound, a silylamide compound, an

amino acid amide carbamate compound, an imidazolidine compound, a hydroxyanilide compound, a benzenesulfonamide compound, an oxime ether compound, a phenoxyamide compound, an antibiotic, a guanidine compound,
5 isoprothiolane, pyroquilon, diclomezine, quinoxifen, propamocarb hydrochloride, spiroxamine, chloropicrin, dazomet, metam-sodium, nicobifen, metrafenone, MTF-753, UBF-307, diclocymet, proquinazid, NC-224, KIF-7767 and Syngenta 446510.

10 (36) The mixed fungicidal composition according to the above (35), wherein said another fungicidally active ingredient compound is at least one member selected from the group consisting of a pyridinamine compound, an azole compound, a dithiocarbamate compound, an organic chlorine
15 compound, an imidazole compound, a copper compound, a dicarboxyimide compound, an anilide compound, a piperazine compound, a pyridine compound, a carbinol compound, a phenylcarbamate compound, a cyanopyrrole compound, a strobilurin compound, a hydroxyanilide
20 compound, MTF-753, and KIF-7767.

(37) The mixed fungicidal composition according to the above (36), wherein said another fungicidally active ingredient compound is at least one member selected from the group consisting of Fluazinam, Triadimefon,
25 Bitertanol, Triflumizole, Etaconazole, Propiconazole, Penconazole, Flusilazole, Myclobutanil, Cyproconazole, Terbuconazole, Hexaconazole, Furconazole-cis, Prochloraz,

Metconazole, Epoxiconazole, Tetraconazole, Oxpoconazole
fumarate, Sipconazole, Prothioconazole, Triadimenol,
Flutriafol, Difenconazole, Fluquinconazole,
Fenbuconazole, Bromuconazole, Diniconazole, tricyclazole,
5 probenazole, Simeconazole, Pefurazoate, Ipconazole,
Imibenconazole, Maneb, Zineb, Mancozeb, Polycarbamate,
Metiram, Propineb, Fthalide, Chlorothalonil, Quintozene,
Benomyl, Thiophanate-Methyl, Carbendazim, Cyazofamid,
Cupric hydroxide, Oxine Copper, Procymidone, Iprodione,
10 Vinclozolin, Boscalid, Diethofencarb, Fludioxonil,
Fenpiclonil, Azoxystrobin, Kresoxim-Methyl, Metominofen,
Trifloxystrobin, Picoxystrobin, Oryzastrobin,
Dimoxystrobin, Pyraclostrobin, Fluoxastrobin,
Fluacrypyrin, Fenhexamid, Polyoxins, Iminoctadine, MTF-
15 753, and KIF-7767.

(38) A method for controlling noxious fungi, which
comprises applying an effective amount of the acid amide
derivative or a salt thereof as defined in any one of the
above (1) to (32).

20 (39) A method for controlling plant diseases, which
comprises applying an effective amount of the acid amide
derivative or a salt thereof as defined in any one of the
above (1) to (32).

(40) A method for protecting crop plants, which
25 comprises applying an effective amount of the acid amide
derivative or a salt thereof as defined in any one of the
above (1) to (32).

(41) A method for improving crop yields, which comprises applying an effective amount of the acid amide derivative or a salt thereof as defined in any one of the above (1) to (32).

5 Now, the present invention will be described in further detail with reference to Examples. However, it should be understood that the present invention is by no means restricted thereto. Firstly, Preparation Examples for the acid amide derivative of the formula (I), (I- α)
10 or a salt thereof will be described.

PREPARATION EXAMPLE 1

Preparation of N-[(3',4'-dichloro-1,1-dimethyl)phenacyl]-2-methyl-3-furancarboxamide (after-mentioned compound No.1-57)

15 (1) A mixture comprising 10.0 g of 3,4-dichlorobenzoyl chloride, 9.31 g of ethyl 2-bromoisobutyrate and 90 ml of anhydrous diethyl ether, was dropwise added to 3.12 g of zinc in a nitrogen atmosphere, followed by a reaction for 15 hours under
20 reflux. The reaction mixture was filtered through celite, and the filtrate was washed with 20% sulfuric acid and then with water. The organic layer was dried over anhydrous magnesium sulfate and then concentrated under reduced pressure. The residue was purified by
25 silica gel column chromatography (developing solvent: ethyl acetate/n-hexane=1/19) to obtain 8.7 g of oily ethyl 2-(3',4'-dichlorobenzoyl)isobutyrate. The NMR

spectrum data of this product is as follows.

^1H -NMR δ ppm (Solvent : CDCl_3 /400 MHz)

1.11 (t, 3H) , 1.52 (s, 6H) , 4.14 (q, 2H) , 7.48 (d, 1H) , 7.63 (dd, 1H) , 7.96 (d, 1H)

5 (2) A mixture comprising 8.7 g of ethyl 2-(3',4'-dichlorobenzoyl)isobutyrate, 14.2 ml of sulfuric acid, 14.2 ml of water and 40 ml of acetic acid, was reacted for 15 hours under reflux. The reaction mixture was put into ice water and extracted with ethyl acetate, followed
10 by washing with water. The organic layer was dried over anhydrous magnesium sulfate and then concentrated under reduced pressure. The residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane=1/19) to obtain 6.47 g of oily 3,4-
15 dichloroisobutyrophenone. The NMR spectrum data of this product is as follows.

^1H -NMR δ ppm (Solvent: CDCl_3 /400 MHz)

1.21 (d, 6H) , 3.46 (m, 1H) , 7.55 (d, 1H) , 7.79 (dd, 1H) , 8.02 (d, 1H)

20 (3) 9.32g of phenyltrimethylammonium tribromide was added to a mixture comprising 6.47 g of 3,4-dichloroisobutyrophenone and 100 ml of tetrahydrofuran, followed by a reaction for 4 hours at room temperature. The reaction mixture was filtered, and the filtrate was
25 concentrated under reduced pressure to obtain 6.39 g of oily α -bromo-3,4-dichloroisobutyrophenone. The NMR spectrum data of this product is as follows.

84

¹H-NMR δppm (Solvent : CDCl₃ /300 MHz)

2.01 (s, 6H), 7.50 (d, 1H), 8.0 (dd, 1H), 8.20 (d, 1H)

(4) 2.8 g of sodium azide was added to a mixture comprising 6.39 g of α-bromo-3,4-dichloroisobutyrophenone and 60 ml dimethyl sulfoxide, followed by a reaction for one hour at 50°C. The reaction mixture was put into water and extracted with ethyl acetate, followed by washing with water. The organic layer was dried over anhydrous magnesium sulfate and then concentrated under reduced pressure. The residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane=1/9) to obtain 6.34 g of oily α-azide-3,4-dichloroisobutyrophenone. The NMR spectrum data of this product is as follows.

¹H-NMR δppm (Solvent: CDCl₃ /300 MHz)

1.60 (s, 6H), 7.53 (d, 1H), 7.97 (dd, 1H), 8.20 (d, 1H)

(5) 7.74 g of triphenylphosphine was added to a mixture comprising 6.34 g of α-azide-3,4-dichloroisobutyrophenone, 90 ml of tetrahydrofuran and 3.2 ml of water, followed by a reaction for 23 hours at room temperature. The reaction mixture was concentrated under reduced pressure. To the residue, water and then hydrochloric acid were added to bring it to be weakly acidic, followed by washing with diethyl ether. The aqueous layer was neutralized with an aqueous sodium hydroxide solution and extracted with diethyl ether. The organic layer was dried over anhydrous magnesium sulfate

and concentrated under reduced pressure. To the residue, ethyl acetate was added, and hydrogen chloride gas was introduced under cooling with ice. Formed solid was collected by filtration and dried to obtain 5.92 g of α -amino-3,4-dichloroisobutyrophenone hydrochloride.

(6) 0.31 g of triethylamine was added to a mixture comprising 0.3 g of α -amino-3,4-dichloroisobutyrophenone hydrochloride and 10 ml of tetrahydrofuran, followed by stirring for 5 hours at room temperature. The mixture was concentrated under reduced pressure. To a mixture comprising the obtained residue, 0.195 g of 2-methyl-3-furancarboxylic acid and 20 ml of dichloromethane, a mixture comprising 0.29 g of N,N'-dicyclohexylcarbodiimide and 10 ml of dichloromethane, was dropwise added under cooling with ice, followed by a reaction for 15 hours at room temperature. The reaction mixture was filtered, and the filtrate was diluted with dichloromethane, and washed with an aqueous potassium carbonate solution and then with water. The organic layer was dried over anhydrous sodium sulfate and then concentrated under reduced pressure. The residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane=1/9) to obtain 0.08 g of the desired product having a melting point of from 175 to 178°C. The NMR spectrum data of this product is as follows.

$^1\text{H-NMR}$ δ ppm (Solvent: CDCl_3 /400 MHz)

86

1.71(s,6H), 2.43(s,3H), 6.28(s,1H), 6.44(d,1H), 7.26(d,1H), 7.44(d,1H), 7.84(dd,1H), 8.11(d,1H)

PREPARATION EXAMPLE 2

Preparation of N-[(3'-difluoromethoxy-1,1-dimethyl)phenacyl]-5-chloro-1,3-dimethyl-4-pyrazole
5 carboxamide (after-mentioned compound No. 1-72)

(1) A Grignard reagent prepared by using 0.75 g of magnesium, 4.46 g of 2-bromopropane and 24 ml of anhydrous diethyl ether, was dropwise added to a mixture
10 comprising 4.09 g of 3-difluoromethoxybenzonitrile and 20 ml of anhydrous diethyl ether. After completion of the dropwise addition, the mixture was reacted at room temperature for 27 hours. The reaction mixture was put into ice water, and 6N sulfuric acid was added to bring
15 the mixture to be weakly acidic, followed by stirring for 0.5 hour. The mixture was extracted with diethyl ether and washed with water. The organic layer was dried over anhydrous magnesium sulfate and then concentrated under reduced pressure. The residue was purified by silica gel
20 column chromatography (developing solvent: ethyl acetate/n-hexane=1/19), to obtain 2.04 g of 3-difluoromethoxyisobutyrophenone. The NMR spectrum data of this product is as follows.

¹H-NMR δppm (Solvent: CDCl₃/300 MHz)

25 1.23(d,6H), 3.52(m,1H), 6.56(t,1H), 7.32(dd,1H), 7.48(t,1H), 7.70(s,1H), 7.80(d,1H)

(2) 3.58 g of phenyltrimethylammonium tribromide was

87

added to a mixture comprising 2.04 g of 3-difluoromethoxyisobutyrophenone and 30 ml of tetrahydrofuran, followed by a reaction for two hours at room temperature. The reaction mixture was filtered, and
5 the filtrate was concentrated under reduced pressure to obtain 2.79 g of oily α -bromo-3-difluoromethoxyisobutyrophenone.

(3) 1.24 g of sodium azide was added to a mixture comprising 2.79 g of α -bromo-3-
10 difluoromethoxyisobutyrophenone and 35 ml of dimethyl sulfoxide, followed by a reaction for one hour at 50°C. The reaction mixture was put into water and extracted with ethyl acetate, followed by washing with water. The organic layer was dried over anhydrous magnesium sulfate
15 and then concentrated under reduced pressure. The residue was purified with silica gel column chromatography (developing solvent: ethyl acetate/n-hexane=1/9) to obtain 2.21 g of oily α -azide-3-difluoromethoxyisobutyrophenone. The NMR spectrum data
20 of this product is as follows.

$^1\text{H-NMR}$ δ ppm (Solvent: CDCl_3 /300 MHz)

1.61(s,6H), 6.56(t,1H), 7.34(dd,1H), 7.48(t,1H), 7.86(s,1H), 7.98(d,1H)

(4) A mixture comprising 2.18 g of α -azide-3-
25 difluoromethoxyisobutyrophenone, 35 ml of methanol and 0.109 g of 5% palladium carbon, was reacted for 1.5 hours at room temperature in a hydrogen atmosphere. The

88

reaction mixture was filtered through celite, and the filtrate was concentrated under reduced pressure. To the residue, ethyl acetate was added, and hydrogen chloride gas was introduced under cooling with ice, followed by concentration under reduced pressure to obtain 1.76 g of α -amino-3-difluoromethoxyisobutyrophenone hydrochloride.

(5) 0.33 g of triethylamine was added to a mixture comprising 0.3 g of α -amino-3-difluoromethoxyisobutyrophenone hydrochloride and 10 ml of tetrahydrofuran, and a mixture comprising 0.25 g of 5-chloro-1,3-dimethyl-4-pyrazolecarbonyl chloride and 5 ml of tetrahydrofuran, was dropwise added thereto under cooling with ice. After completion of the dropwise addition, the mixture was reacted at room temperature for 3 hours. The reaction mixture was extracted with ethyl acetate, followed by washing with water. The organic layer was dried over anhydrous magnesium sulfate and then concentrated under reduced pressure. The residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane=1/4) to obtain 0.23 g of the desired product having a melting point of from 138 to 139°C. The NMR spectrum data of this product is as follows.

$^1\text{H-NMR}$ δ ppm (Solvent: CDCl_3 /400 MHz)

1.75 (s, 6H), 2.28 (s, 3H), 3.80 (s, 3H), 6.50 (t, 1H), 6.80 (s, 1H), 7.23 (dd, 1H), 7.38 (t, 1H), 7.84 (s, 1H), 7.86 (d, 1H)

PREPARATION EXAMPLE 3

89

Preparation of N-[2-(2'-naphthylcarbonyl)-2-propyl]-5-chloro-1,3-dimethyl-4-pyrazolecarboxamide (compound No. 2-1)

(1) A Grignard reagent prepared by using 0.61 g of magnesium, 3.6 g of 2-bromopropane and 18 ml of anhydrous diethyl ether, was dropwise added to a mixture comprising 3.0 g of 2-naphthonitrile and 20 ml of anhydrous diethyl ether. After completion of the dropwise addition, the mixture was reacted for 12 hours under reflux. The reaction mixture was put into ice water, and 6N sulfuric acid was added to bring the mixture to be weakly acidic, followed by stirring for 0.5 hour. The mixture was extracted with ethyl acetate, followed by washing with water. The organic layer was dried over anhydrous magnesium sulfate, and then concentrated under reduced pressure. The residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane=1/50) to obtain 1.14 g of 2-naphthyl isopropyl ketone. The NMR spectrum data of this product is as follows.

$^1\text{H-NMR}$ δ ppm (Solvent: CDCl_3 /400 MHz)

1.27 (d, 6H), 3.73 (m, 1H), 7.53 to 7.65 (m, 2H), 7.86 to 7.92 (m, 2H), 7.97 (d, 1H), 8.03 (dd, 1H), 8.48 (d, 1H)

(2) 2.16 g of phenyltrimethylammonium tribromide was added to a mixture comprising 1.14 g of 2-naphthyl isopropyl ketone and 25 ml of tetrahydrofuran, and the mixture was reacted at room temperature for 3 hours. The

90

reaction mixture was filtered, and the filtrate was concentrated under reduced pressure to obtain 1.59 g of oily α -bromoisopropyl 2-naphthyl ketone.

(3) 0.75 g of sodium azide was added to a mixture comprising 1.59 g of α -bromoisopropyl 2-naphthyl ketone and 40 ml of dimethyl sulfoxide, and the mixture was reacted at 50°C for 1.5 hours. The reaction mixture was put into water and extracted with ethyl acetate, followed by washing with water. The organic layer was dried over anhydrous magnesium sulfate and then concentrated under reduced pressure. The residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane=1/9) to obtain 1.19 g of oily α -azideisopropyl 2-naphthyl ketone.

The NMR spectrum data of this product is as follows.

^1H -NMR δ ppm (Solvent: CDCl_3 /400 MHz)

1.68(s,1H), 7.54 to 7.66(m,2H), 7.86 to 7.90(m,2H), 7.98(d,1H), 8.10(dd,1H), 8.74(d,1H)

(4) A mixture comprising 0.3 g of α -azideisopropyl 2-naphthyl ketone, 10 ml of methanol and 15 mg of 5% palladium carbon, was reacted for one hour at room temperature in a hydrogen atmosphere. The reaction mixture was filtered through celite, and the filtrate was concentrated under reduced pressure to obtain 0.26 g of oily α -aminoisopropyl 2-naphthyl ketone

(5) 0.19 g of triethylamine was added to a mixture comprising 0.26 g of α -aminoisopropyl 2-naphthyl ketone

and 10 ml of tetrahydrofuran, and a mixture comprising 0.24 g of 5-chloro-1,3-dimethyl-4-pyrazolecarbonyl chloride and 5 ml of tetrahydrofuran, was dropwise added thereto under cooling with ice. After the dropwise addition, the mixture was reacted for 15 hours at room temperature. The reaction mixture was extracted with ethyl acetate, followed by washing with water. The organic layer was dried over anhydrous magnesium sulfate and then concentrated under reduced pressure. The residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane=2/3) to obtain 0.15 g of the desired product having a melting point of from 145 to 147°C. The NMR spectrum data of this product is as follows.

¹H-NMR δppm (Solvent: CDCl₃/300 MHz)
1.87(s,6H), 2.28(s,3H), 3.79(s,3H), 7.05(s,1H), 7.48 to 7.58(m,2H), 7.80 to 7.90(m,3H), 8.05(dd,1H), 8.56(d,1H)

PREPARATION EXAMPLE 4

Preparation of N-[2-[(2',2',3',3'-tetrafluoro-1',4'-benzodioxan-6'-yl)carbonyl]isopropyl]-3-methyl-2-thiophene carboxamide (after-mentioned compound No.4-10)

(1) 7.3 ml of n-butyllithium (1.57M n-hexane solution) was dropwise added at -50°C in a nitrogen atmosphere to a mixture comprising 3.0 g of 6-bromo-2,2,3,3-tetrafluoro-1,4-benzodioxane and 38 ml of diethyl ether, followed by stirring for 30 minutes at the same temperature. Then, 0.83 g of isobutyraldehyde was

dropwise added at a temperature of at most -70°C , and the mixture was heated to room temperature and reacted for 15 hours. The reaction mixture was put into water and adjusted to be weakly acidic with hydrochloric acid, and
5 extracted with diethyl ether. The organic layer was washed with water, dried over magnesium sulfate and then concentrated under reduced pressure. The residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane=1/9) to obtain 1.8 g of
10 oily 1-(2',2',3',3'-tetrafluoro-1',4'-benzodioxan-6'-yl)-2-methylpropanol. The NMR spectrum data of this product is as follows.

^1H -NMR δ ppm (Solvent: CDCl_3 /400 MHz)

0.83 (d, 3H), 0.96 (d, 3H), 1.92 (m, 1H), 4.40 (d, 1H), 6.90 (d, 1
15 H), 7.10 (s, 2H), 7.14 (s, 1H)

(2) A mixture comprising 1.8 g of 1-(2',2',3',3'-tetrafluoro-1',4'-benzodioxan-6'-yl)-2-methylpropanol and 7 ml of dichloromethane, was added to a mixture comprising 2.08 g of pyridinium chlorochromate, 1.05 g of
20 sodium acetate and 20 ml of dichloromethane, followed by a reaction for two hours at room temperature. The reaction mixture was filtered through celite, and the filtrate was concentrated under reduced pressure. The residue was purified by silica gel column chromatography
25 (developing solvent: ethyl acetate/n-hexane=1/19) to obtain 1.40 g of oily 2,2,3,3-tetrafluoro-1,4-benzodioxan-6-yl isopropyl ketone. The NMR spectrum data

93

of this product is as follows.

^1H -NMR δ ppm (Solvent: CDCl_3 /400 MHz)

1.23 (d, 6H), 3.48 (m, 1H), 7.24 (d, 1H), 7.78 (d, 1H), 7.81 (dd, 1H)

5 (3) 1.89 g of phenyltrimethylammonium tribromide was added to a mixture comprising 1.40 g of 2,2,3,3-tetrafluoro-1,4-benzodioxan-6-yl isopropyl ketone and 19.7 ml of tetrahydrofuran, followed by a reaction for two hours at room temperature. The reaction mixture was
10 filtered, and the filtrate was concentrated under reduced pressure to obtain 1.78 g of oily α -bromoisopropyl 2,2,3,3-tetrafluoro-1,4-benzodioxan-6-yl ketone.

(4) 0.65 g of sodium azide was added to a mixture comprising 1.78 g of α -bromoisopropyl 2,2,3,3-tetrafluoro-1,4-benzodioxan-6-yl ketone and 10 ml of
15 dimethyl sulfoxide, followed by a reaction for two hours at 50°C. The reaction mixture was put into water, and extracted with diethyl ether, followed by washing with water. The organic layer was dried over anhydrous
20 magnesium sulfate and then concentrated under reduced pressure. The residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane=1/19) to obtain 1.5 g of oily α -azideisopropyl 2,2,3,3-tetrafluoro-1,4-benzodioxan-6-yl ketone. The NMR
25 spectrum data of this product is as follows.

^1H -NMR δ ppm (Solvent: CDCl_3 /400 MHz)

1.61 (s, 6H), 7.23 (d, 1H), 8.01 to 8.03 (m, 2H)

(5) A mixture comprising 0.25 g of α -azideisopropyl 2,2,3,3-tetrafluoro-1,4-benzodioxan-6-yl ketone, 15 ml of methanol and 13 mg of 5% palladium carbon, was reacted for one hour at room temperature in a hydrogen atmosphere. The reaction mixture was filtered through celite, and the filtrate was concentrated under reduced pressure to obtain 0.23 g of oily α -aminoisopropyl 2,2,3,3-tetrafluoro-1,4-benzodioxan-6-yl ketone.

(6) 0.16 g of triethylamine was added to a mixture comprising 0.23 g of α -aminoisopropyl 2,2,3,3-tetrafluoro-1,4-benzodioxan-6-yl ketone and 10 ml of tetrahydrofuran, and a mixture comprising 0.13 g of 3-methyl-2-thiophene carbonyl chloride and 5 ml of tetrahydrofuran, was dropwise added thereto under cooling with ice, followed by a reaction for 3 hours at room temperature. The reaction mixture was extracted with ethyl acetate, followed by washing with water. The organic layer was dried over anhydrous magnesium sulfate and then concentrated under reduced pressure. The residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane=1/4) to obtain 0.23 g of the desired product having a melting point of from 120 to 122°C. The NMR spectrum data of this product is as follows.

¹H-NMR δ ppm (Solvent: CDCl₃/300 MHz)

1.76 (s, 6H), 2.39 (s, 3H), 6.54 (s, 1H), 6.84 (d, 1H), 7.24 (d, 1H), 7.42 (d, 1H), 7.84 (s, 1H), 7.96 (s, 1H)

PREPARATION EXAMPLE 5

Preparation of N-[(3',4'-dichloro-1,1-dimethyl)phenacyl]-3-methyl-2-thiophene carboxamide (after-mentioned compound No.1-20)

5 303 mg of triethylamine was added to a mixture comprising 268 mg of α -amino-3,4-dichloroisobutyrophenone hydrochloride obtained in accordance with the process of (1) to (5) in the above Preparation Example 1 and 5 ml of tetrahydrofuran, and a mixture comprising 265 mg of 3-
10 methyl-2-thiophene carbonyl chloride and 2.5 ml of tetrahydrofuran, was dropwise added thereto under cooling with ice. After completion of the dropwise addition, the mixture was reacted for 3 hours at room temperature. The reaction mixture was extracted with ethyl acetate,
15 followed by washing with water. The organic layer was dried over anhydrous magnesium sulfate and then concentrated under reduced pressure. The residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane=1/3) to obtain 180 mg of
20 the desired product having a melting point of 141°C. The NMR spectrum data of this product is as follows.

$^1\text{H-NMR}$ δ ppm (Solvent: CDCl_3 /400 MHz)

1.72 (s, 6H), 2.37 (s, 3H), 6.53 (s, 1H), 6.85 (d, 1H), 7.25 (d, 1H), 7.43 (d, 1H), 7.86 (dd, 1H), 8.13 (s, 1H)

25 PREPARATION EXAMPLE 6

Preparation of N-[(4'-methoxy-2'-methyl-1,1-dimethyl)phenacyl]-3-methyl-2-thiophene carboxamide

(after-mentioned compound No.1-160)

(1) A mixture comprising 5.7 g of isobutylyl chloride and 5 ml of carbon disulfide, was dropwise added at most 10°C to a mixture comprising 7.15 g of aluminum chloride and 20 ml of carbon disulfide, followed by a reaction for 0.5 hour. Then, a mixture comprising 5.0 g of m-cresol and 5 ml of carbon disulfide was dropwise added at most 5°C, followed by a reaction for 4 hours at room temperature. The reaction mixture was put into a mixture of ice water and hydrochloric acid and extracted with methylene chloride, followed by washing with water. The organic layer was dried over anhydrous sodium sulfate and then concentrated under reduced pressure. To the residue, 60 ml of tetrahydrofuran, 30 ml of water and 3.7 g of sodium hydroxide, were added, followed by a reaction for 1.5 hours at room temperature. The reaction mixture was concentrated under reduced pressure, then put into ice water and adjusted to be weakly acidic with dilute sulfuric acid, and then extracted with ethyl acetate. The organic layer was dried over anhydrous magnesium sulfate and then concentrated under reduced pressure. The residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane=1/9) to obtain 2.45 g of solid 4-hydroxy-2-methyl-isobutyrophenone. The NMR spectrum data of this product is as follows.

¹H-NMR δppm (Solvent: CDCl₃ /400 MHz)

97

1.15 (d, 6H) , 2.43 (s, 3H) , 3.40 (m, 1H) , 6.70 (m, 2H) , 7.57 (d, 1
H)

(2) A mixture comprising 0.62 g of dimethyl sulfate
and 3 ml of dimethylformamide, was added to a mixture
5 comprising 0.8 g of 4-hydroxy-2-methyl-isobutyrophenone,
0.68 g of potassium carbonate and 15 ml of
dimethylformamide, followed by a reaction for 3 hours at
room temperature. The reaction mixture was put into
water and extracted with ethyl acetate, followed by
10 washing with water. The organic layer was dried over
anhydrous magnesium sulfate and then concentrated under
reduced pressure. The residue was purified by silica gel
column chromatography (developing solvent: ethyl
acetate/n-hexane=1/9) to obtain 0.59 g of oily 4-methoxy-
15 2-methylisobutyrophenone. The NMR spectrum data of this
product is as follows.

$^1\text{H-NMR}$ δ ppm (Solvent: CDCl_3 / 400 MHz)

1.13 (d, 6H) , 2.46 (s, 1H) , 3.38 (m, 1H) , 6.72 (m, 2H) , 7.59 (d, 1
H)

20 (3) 1.16 g of phenyltrimethyl ammonium tribromide
was added to a mixture comprising 0.59 g of 4-methoxy-2-
methylisobutyrophenone and 15 ml of tetrahydrofuran,
followed by a reaction for 2.5 hours at room temperature.
Diethyl ether was added to the reaction mixture, and the
25 insoluble matter was filtered off. The filtrate was
concentrated under reduced pressure to obtain 0.7 g of
oily α -bromo-4-methoxy-2-methylisobutyrophenone.

98

(4) 0.4 g of sodium azide was added to a mixture comprising 0.7 g of α -bromo-4-methoxy-2-methylisobutyrophenone and 8 ml of dimethyl sulfoxide, followed by a reaction for 1.5 hours at 50°C. The reaction mixture was put into water and extracted with ethyl acetate, followed by washing with water. The organic layer was dried over anhydrous magnesium sulfate and then concentrated under reduced pressure. The residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane=1/9) to obtain 0.67 g of oily α -azide-4-methoxy-2-methylisobutyrophenone. The NMR spectrum data of this product is as follows.

$^1\text{H-NMR}$ δ ppm (Solvent: CDCl_3 /300 MHz)

1.54 (s, 6H), 2.33 (s, 1H), 3.81 (s, 3H), 6.72 (dd, 1H), 6.75 (d, 1H), 7.61 (d, 1H)

(5) A mixture comprising 0.25 g of α -azide-4-methoxy-2-methylisobutyrophenone, 10 ml of methanol and 13 mg of 5% palladium carbon, was reacted for 3 hours at room temperature in a hydrogen atmosphere. The reaction mixture was filtered through celite, and the filtrate was concentrated under reduced pressure to obtain 0.23 g of oily α -amino-4-methoxy-2-methylisobutyrophenone.

(6) 0.13 g of triethylamine was added to a mixture comprising 0.22 g of α -amino-4-methoxy-2-methylisobutyrophenone and 12 ml of tetrahydrofuran, and a mixture comprising 0.17 g of 3-methyl-2-thiophene

99

carbonyl chloride and 3 ml of tetrahydrofuran, was dropwise added thereto under cooling with ice. After completion of the dropwise addition, the mixture was reacted at room temperature for two hours. The reaction mixture was extracted with ethyl acetate, followed by washing with water. The organic layer was dried over anhydrous magnesium sulfate and then concentrated under reduced pressure. The residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane=1/3) to obtain 0.35 g of the desired product having a melting point of from 99 to 101°C. The NMR spectrum data of this product is as follows.

¹H-NMR δppm (Solvent: CDCl₃/300 MHz)

1.77 (s, 6H), 2.38 (s, 3H), 2.45 (s, 3H), 6.81 (dd, 1H), 6.71 (s, 1H), 6.85 (m, 2H), 7.26 (d, 1H), 7.49 (d, 1H)

PREPARATION EXAMPLE 7

Preparation of N-[(3',4'-dimethoxy-1,1-dimethyl)phenacyl]-3-methyl-2-thiophene carboxamide (after-mentioned compound No.1-535)

(1) An isopropyl magnesium bromide ether solution prepared by using 5.6 g of 2-bromopropane, 0.94 g of magnesium and 30 ml of diethyl ether, was dropwise added to a mixture comprising 5.0 g of 3,4-dimethoxybenzaldehyde and 50 ml of diethyl ether, followed by a reaction for 15 hours under reflux. The reaction mixture was put into ice water, and dilute sulfuric acid was added, followed by stirring. Then, the

100

mixture was extracted with methylene chloride, followed by washing with water. The organic layer was dried over anhydrous sodium sulfate and then concentrated under reduced pressure to obtain 6.3 g of oily 1-(3',4'-
5 dimethoxyphenyl)-2-methylpropanol.

(2) A mixture comprising 6.28 g of 1-(3',4'-dimethoxyphenyl)-2-methylpropanol and 30 ml of dichloromethane, was added to a mixture comprising 6.5 g of pyridinium chlorochromate, 4.9 g of sodium acetate and
10 100 ml of dichloromethane, followed by a reaction for 15 hours at room temperature. The reaction mixture was filtered through celite, and the filtrate was concentrated under reduced pressure. The residue was purified by silica gel column chromatography (developing
15 solvent: ethyl acetate/n-hexane=3/7) to obtain 3.9 g of oily 3,4-dimethoxyisobutyrophenone. The NMR spectrum data of this product is as follows.

¹H-NMR δppm (Solvent: CDCl₃/400 MHz)

1.70 (d, 6H), 3.50 (m, 1H), 3.89 (s, 3H), 3.90 (s, 3H), 6.85 (d, 1
20 H), 7.50 (d, 1H), 7.56 (dd, 1H).

(3) 1.81 g of phenyltrimethyl ammonium tribromide was added to a mixture comprising 1.0 g of 3,4-dimethoxyisobutyrophenone and 20 ml of tetrahydrofuran, followed by a reaction for two hours at room temperature.
25 To the reaction mixture, diethyl ether was added, and the insoluble matter was filtered off. The filtrate was concentrated under reduced pressure to obtain oily α-

101

bromo-3,4-dimethoxyisobutyrophenone.

(4) 0.62 g of sodium azide was added to a mixture comprising α -bromo-3,4-dimethoxyisobutyrophenone and 20 ml of dimethyl sulfoxide, followed by a reaction for 1.5
5 hours at 50°C. The reaction mixture was put into water and extracted with ethyl acetate, followed by washing with water. The organic layer was dried over anhydrous magnesium sulfate and then concentrated under reduced pressure. The residue was purified by silica gel column
10 chromatography (developing solvent: ethyl acetate/n-hexane=1/4) to obtain 1.1 g of oily α -azide-3,4-dimethoxyisobutyrophenone. The NMR spectrum data of this product is as follows.

$^1\text{H-NMR}$ δ ppm (Solvent: CDCl_3 /400 MHz)

15 1.56 (s, 6H), 3.91 (s, 3H), 3.93 (s, 3H), 6.86 (d, 1H), 7.62 (d, 1H), 7.94 (dd, 1H)

(5) A mixture comprising 0.25 g of α -azide-3,4-dimethoxyisobutyrophenone, 15 ml of methanol and 13 mg of
5% palladium carbon, was reacted for 3 hours at room
20 temperature in a hydrogen atmosphere. The reaction mixture was filtered through celite, and the filtrate was concentrated under reduced pressure to obtain 0.2 g of oily α -amino-3,4-dimethoxyisobutyrophenone.

(6) 0.11 g of triethylamine was added to a mixture
25 comprising 0.2 g of α -amino-3,4-dimethoxyisobutyrophenone and 12 ml of 1,2-dichloroethane, and a mixture comprising 0.14 g of 3-methyl-2-thiophenecarbonylchloride and 2 ml

102

of 1,2-dichloroethane, was dropwise added thereto under cooling with ice. After completion of the dropwise addition, the mixture was reacted for 1.5 hours at room temperature. The reaction mixture was extracted with
5 methylene chloride, followed by washing with water. The organic layer was dried over anhydrous sodium sulfate and then concentrated under reduced pressure. The residue was purified by silica gel column chromatography (developing solvent: ethyl acetate/n-hexane=3/2) to
10 obtain 0.1 g of the desired product having a melting point of from 138 to 140°C. The NMR spectrum data of this product is as follows.

¹H-NMR δppm (Solvent: CDCl₃/300 MHz)

1.82 (s, 6H), 2.44 (s, 3H), 3.89 (s, 6H), 6.80 (d, 1H), 6.85 (d, 1
15 H), 6.88 (s, 1H), 7.23 (d, 1H), 7.63 (d, 1H), 7.75 (dd, 1H)

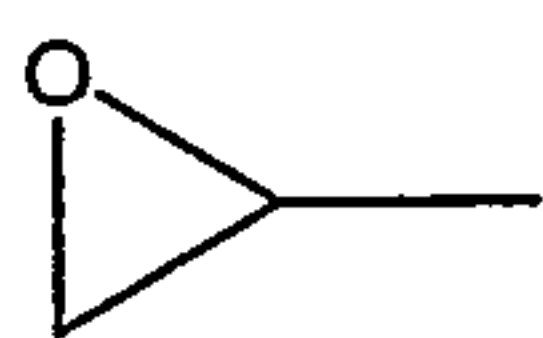
Now, typical examples of the acid amide derivative of the formula (I), (I-α) or a salt thereof will be given in Tables 1 to 9. These compounds can be prepared in accordance with the above Preparation Examples or by the
20 above-described various processes.

In the Tables, No. represents compound No., Me methyl, Et ethyl, Pr(i) isopropyl, P(n) n-propyl, Bu(t) tert-butyl, Bu(n) n-butyl, Bu(sec) sec-butyl, CO carbonyl, CO₂ carboxyl, and Ph phenyl. Further, in the
25 Tables, Ph (4-Cl) represents phenyl having a chlorine atom substituted at the 4-position, and Ph(3,4-Cl₂) represents a phenyl having chlorine atoms substituted at

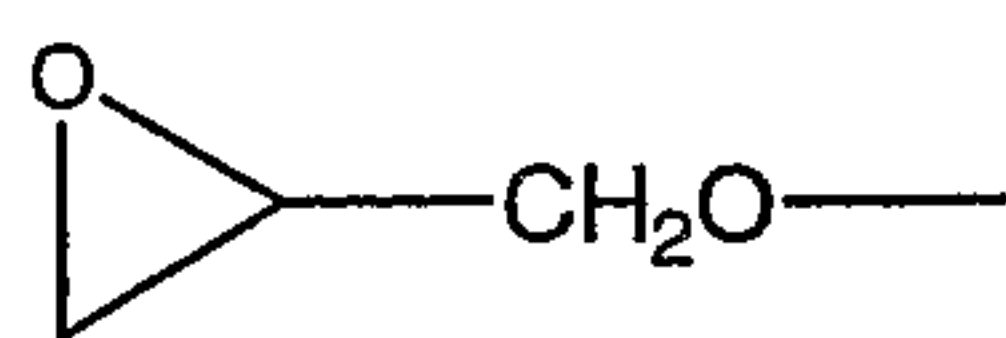
the 3- and 4-positions. The same applies to other expressions. Further, abbreviations D1 to D7 and B1 to B117 used in the Tables represent the following substituents, respectively.

104

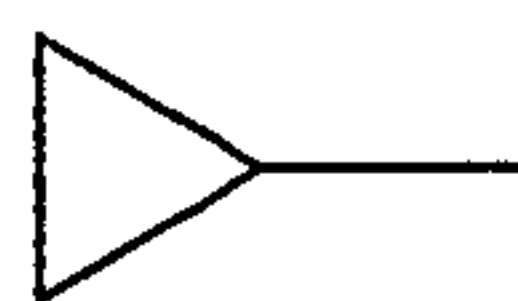
D1:



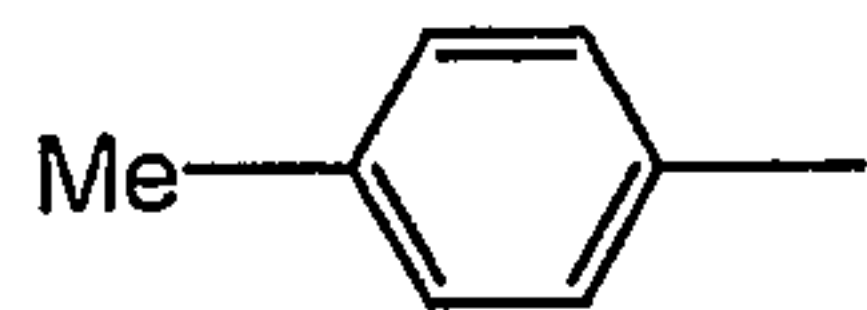
D2:



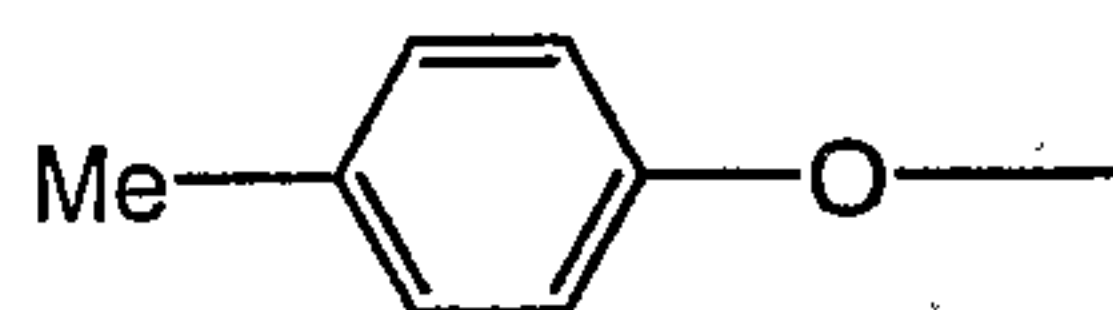
D3:



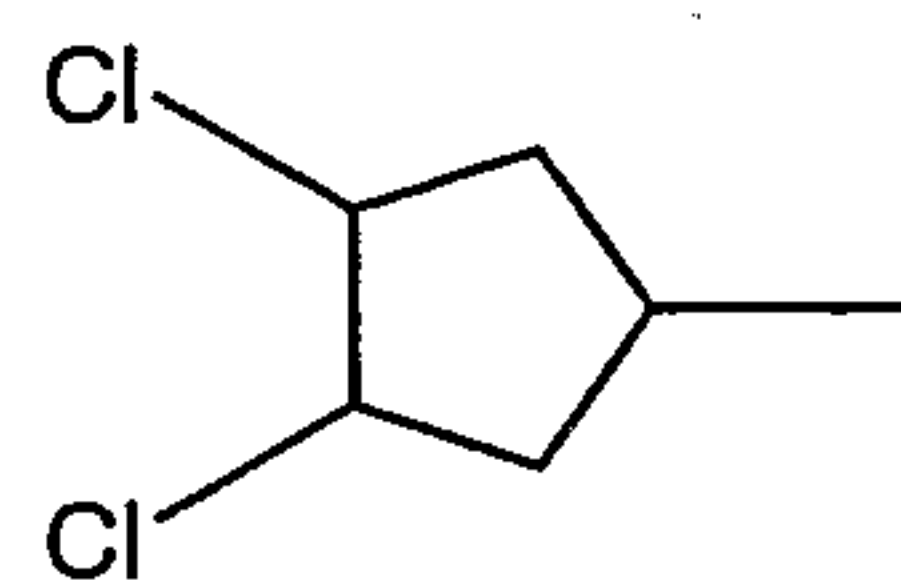
D4:



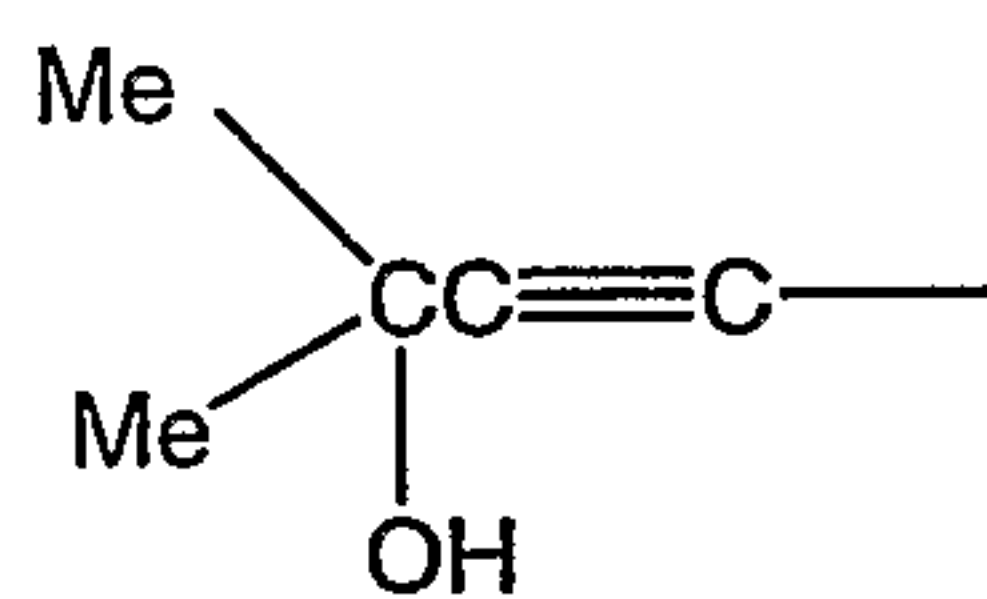
D5:



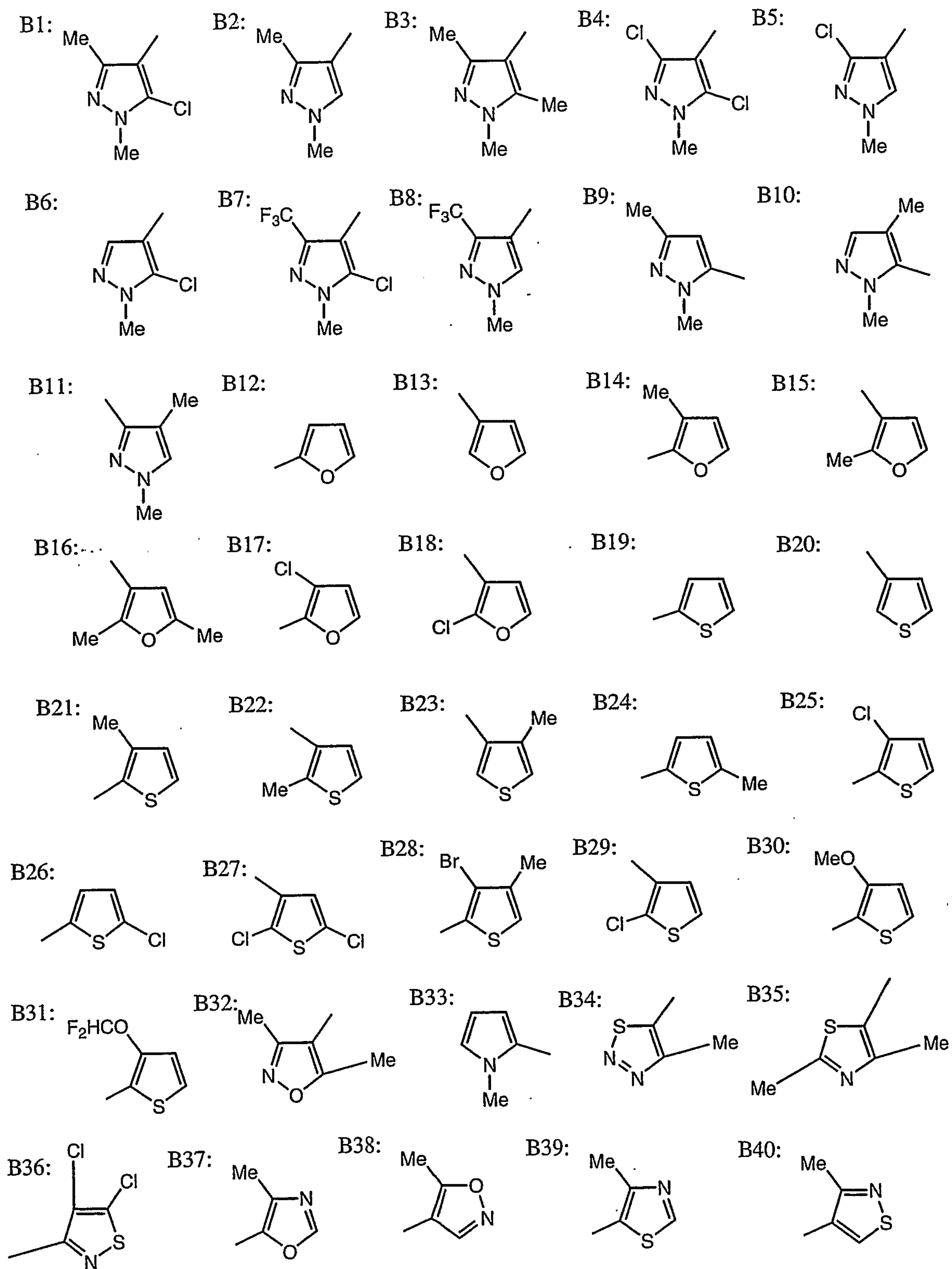
D6:



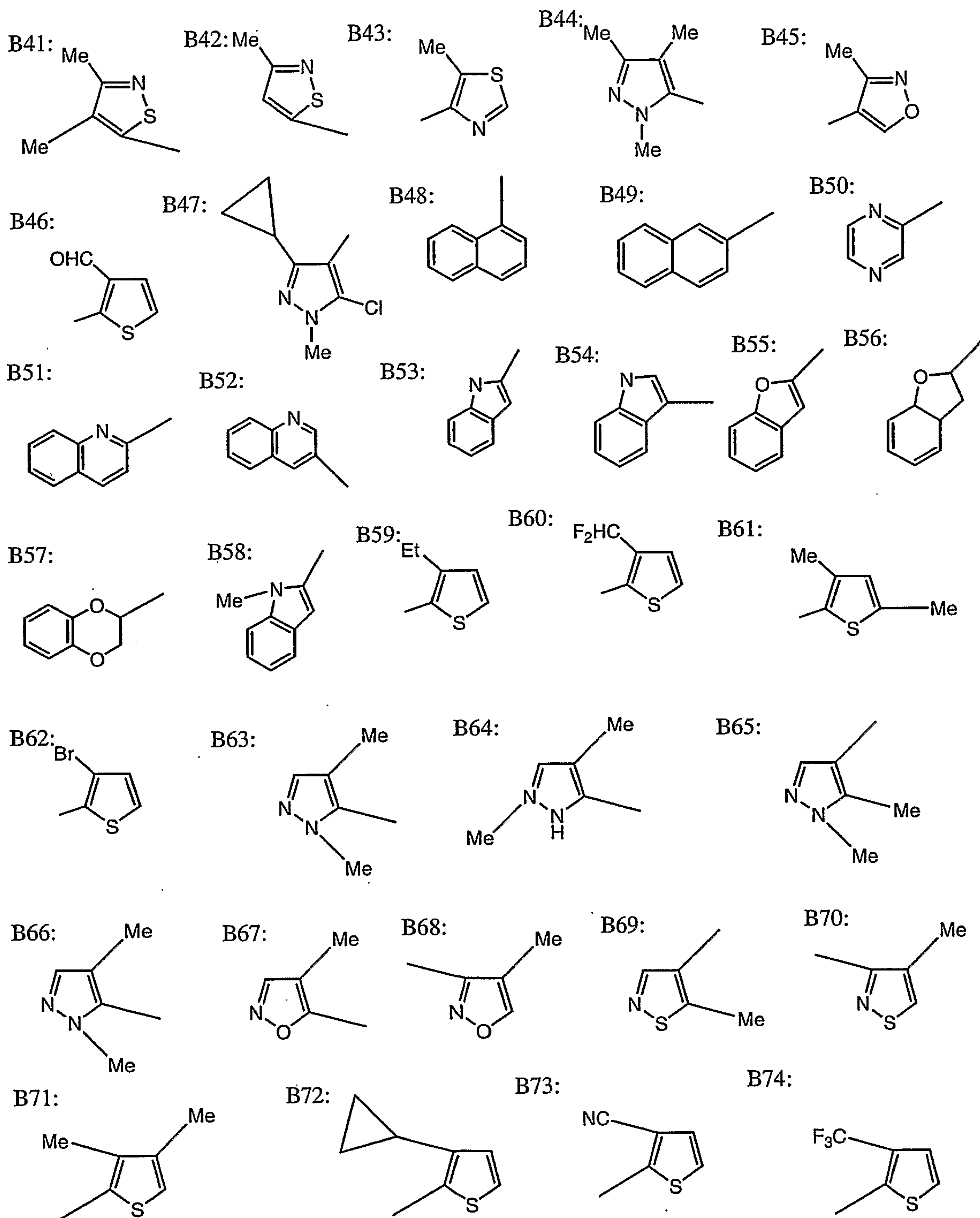
D7:



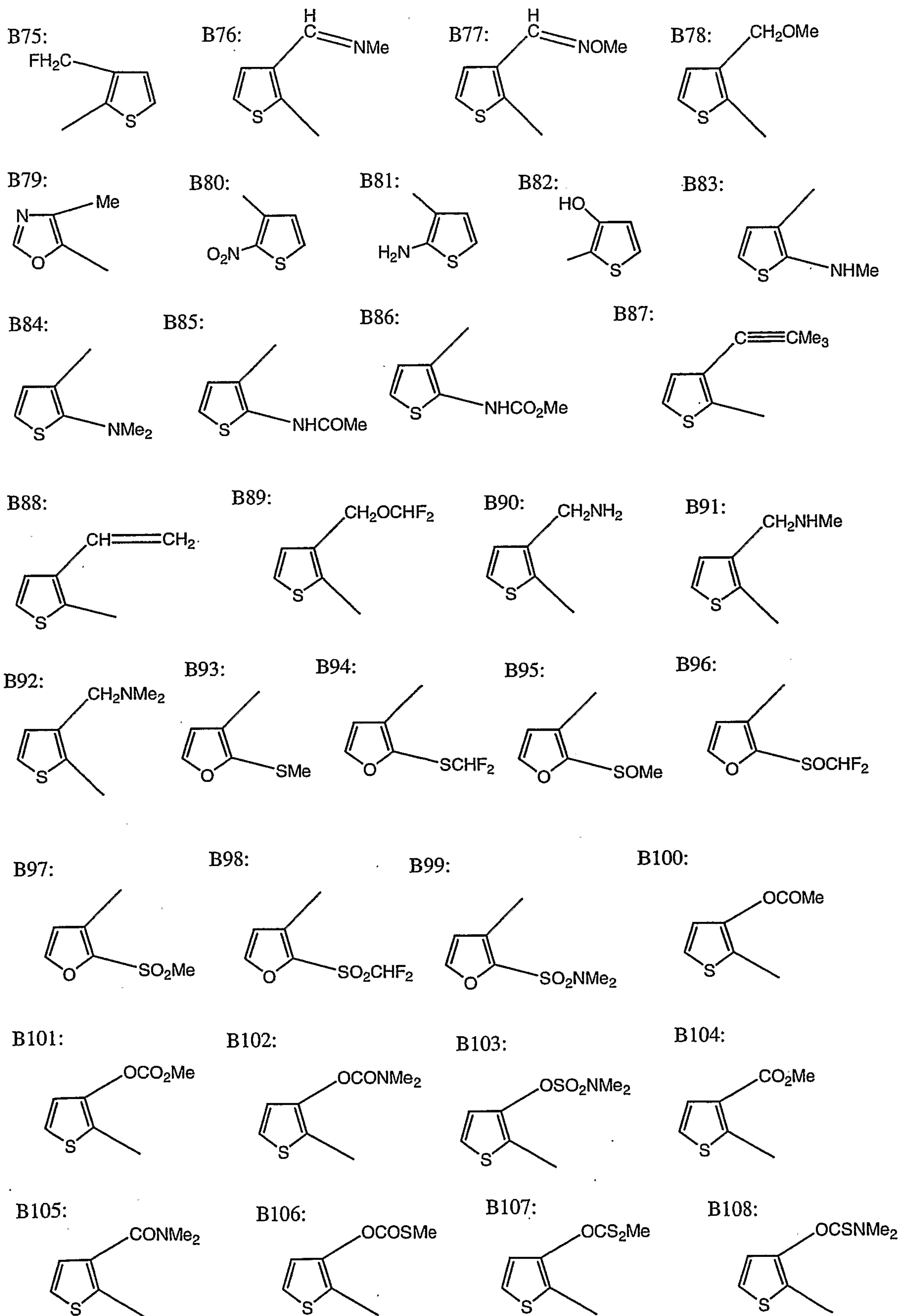
105



106

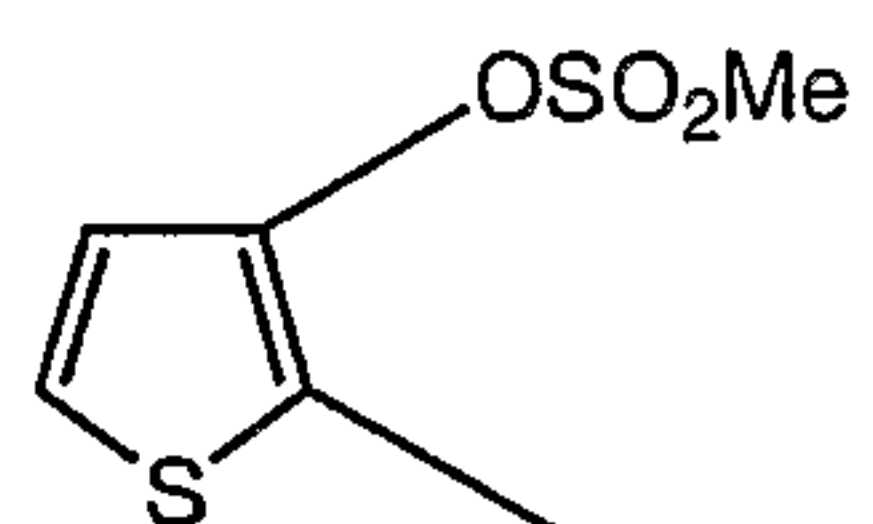


107

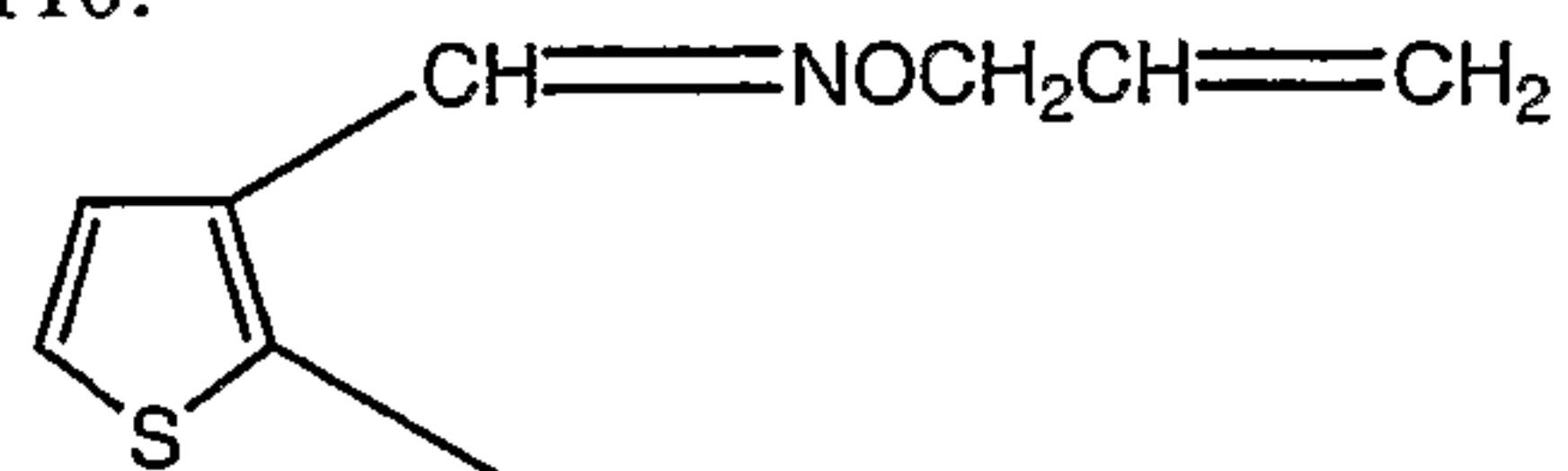


108

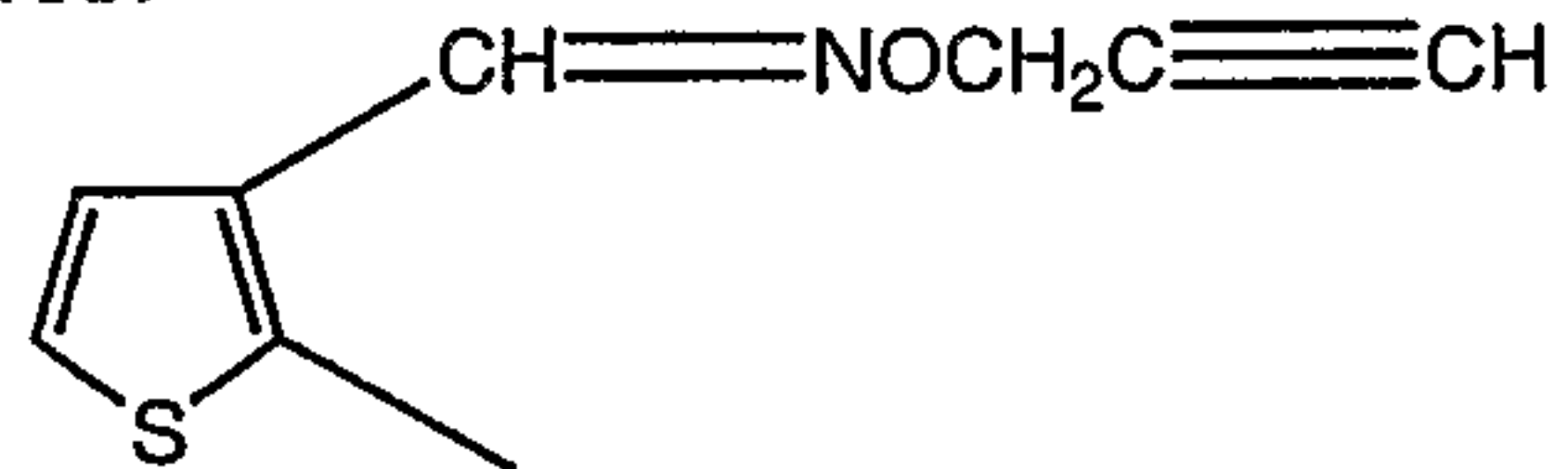
B109:



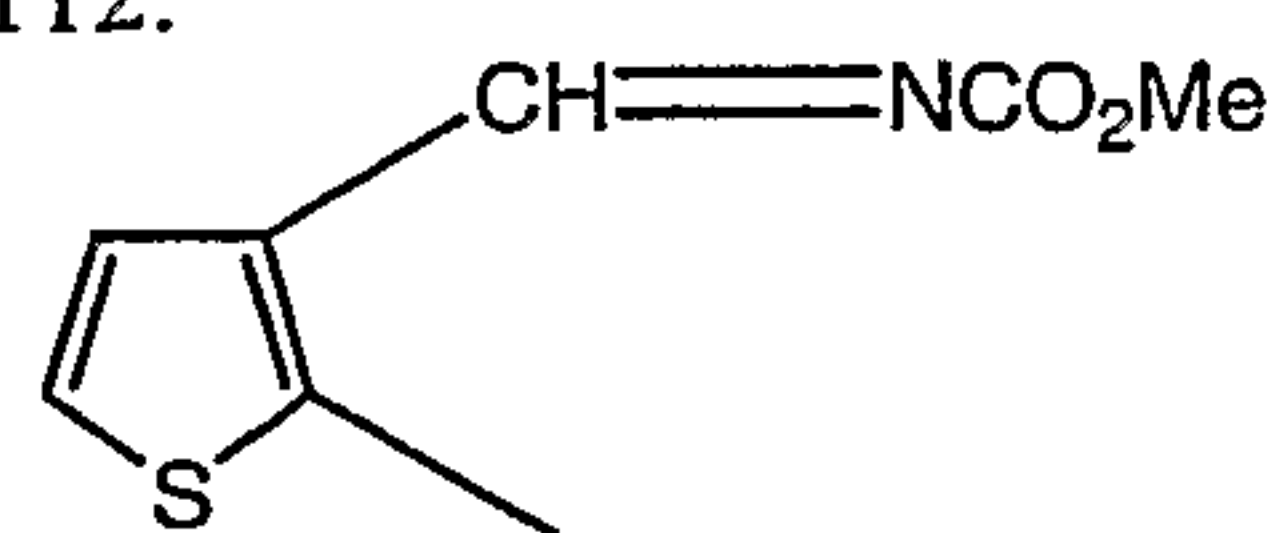
B110:



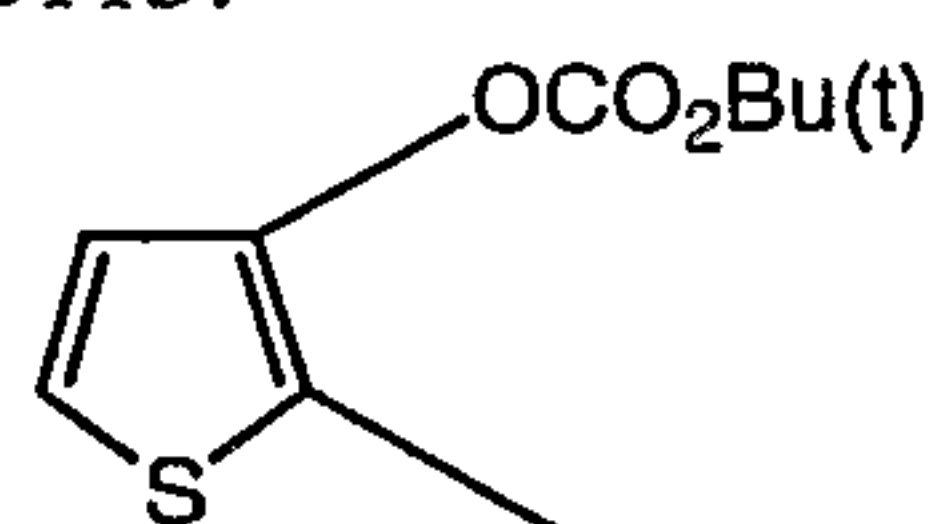
B111:



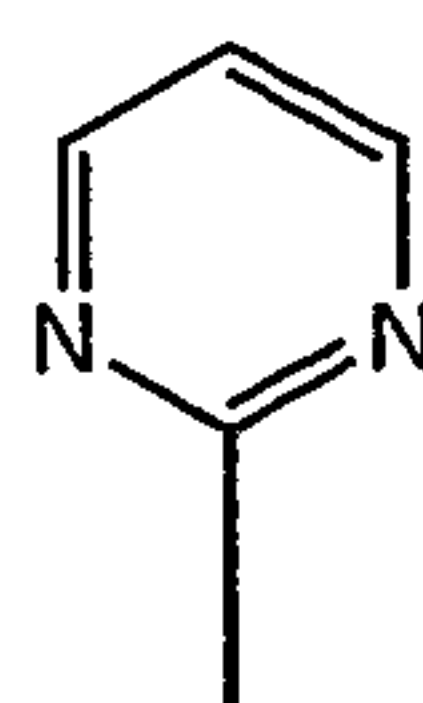
B112:



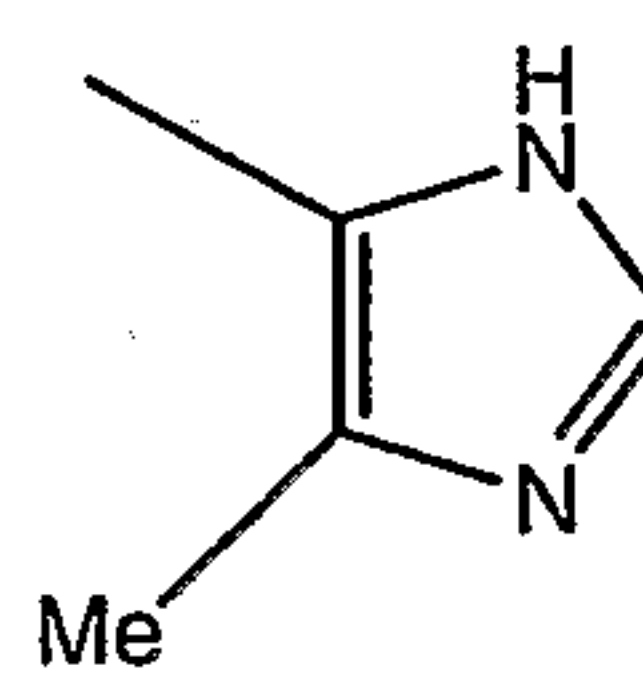
B113:



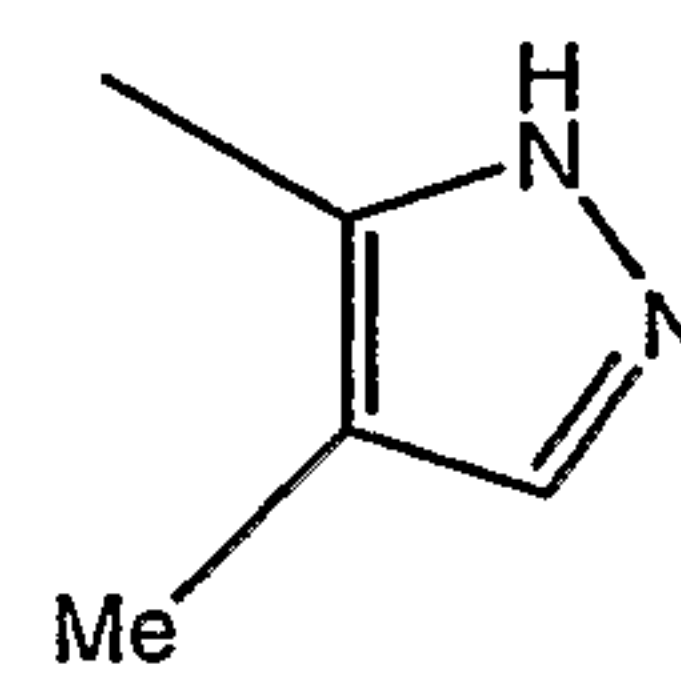
B114:



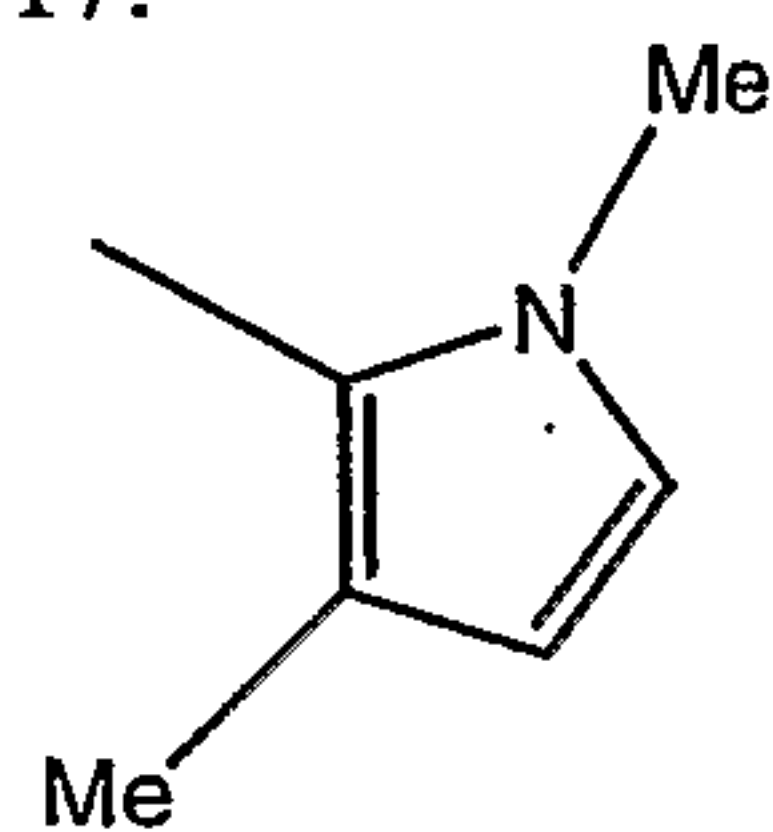
B115:



B116:



B117:



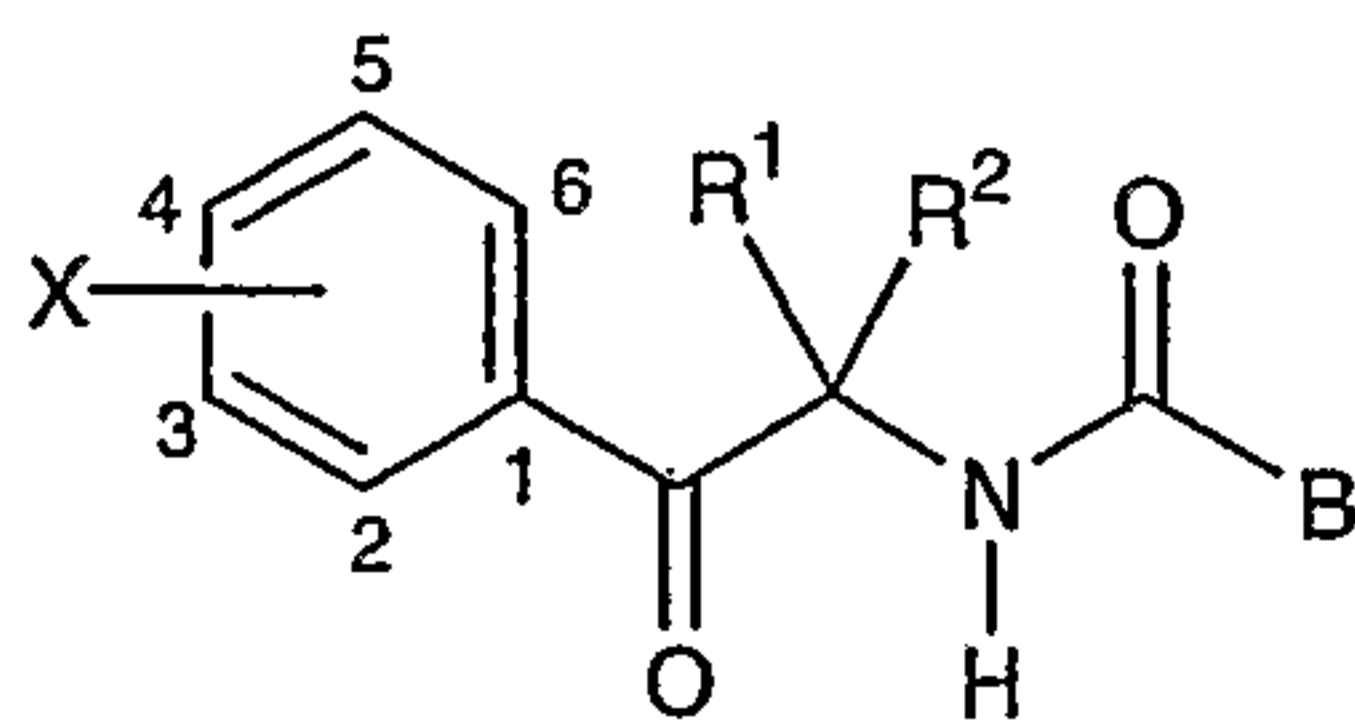


TABLE 1

No.	R ¹	R ²	X	B	Physical properties (mp °C)
1-1	Me	Me	2-Me-4-F	B1	132-134
1-2	Me	Me	2-Me-4-F	B5	
1-3	Me	Me	2-Me-4-F	B8	
1-4	Me	Me	2-Me-4-Cl	B1	141-143
1-5	Me	Me	2-Me-4-Cl	B5	
1-6	Me	Me	2-Me-4-Cl	B21	96-100
1-7	Me	Me	2-Me-4-Br	B16	138-140
1-8	Me	Me	2-Me-4-Br	B8	
1-9	Me	Me	2-Me-4-Br	B21	108-110
1-10	Me	Me	4-Cl	B1	186-188
1-11	Me	Me	4-Cl	B16	184-186
1-12	Me	Me	H	B7	168-170
1-13	Me	Me	3-Cl-4-Cl	B1	188-189
1-14	Me	Me	3-Cl-4-Cl	B16	170-173
1-15	Me	Me	3-Cl-4-Cl	B7	182-183
1-16	Me	Me	3-Cl	B1	112-113
1-17	Me	Me	3-Cl	B16	150-151
1-18	Me	Me	3-Cl-4-Cl	B2	200-201
1-19	Me	Me	4-Br	B21	174
1-20	Me	Me	3-Cl-4-Cl	B21	141
1-21	Me	Me	3-Cl-4-Cl	B25	Amorphous
1-22	Me	Me	3-Cl-4-Cl	B14	137
1-23	Sodium salt of No.1-22				
1-24	Me	Me	3-Cl-4-Cl	B24	Solid
1-25	Me	Me	3-Cl-4-Cl	B26	229
1-26	Me	Me	3-Br	B25	149
1-27	Me	Me	3-Br	B21	119
1-28	Me	Me	3-Me	B21	Oil
1-29	Me	Me	3-CF ₃	B21	Amorphous
1-30	Me	Me	3-OMe	B21	128

TABLE 1 (Continued)

No.	R ¹	R ²	X	B	Physical properties (mp °C)
1-31	Me	Me	3-OCHF ₂	B21	110
1-32	Me	Me	4-Cl	B21	175
1-33	Me	Me	3-Cl-4-Cl	B9	126-130
1-34	Me	Me	H	B1	103-105
1-35	Me	Me	4-Br	B19	235-240
1-36	Me	Me	4-Br	B16	183-185
1-37	Me	Me	4-Br	B20	245-247
1-38	Me	Me	3-Cl	B2	141-142
1-39	Me	Me	4-Cl	B2	140-141
1-40	Me	Me	3-Cl-4-Cl	B12	225-227
1-41	Me	Me	3-Cl-4-Cl	B5	171-172
1-42	Me	Me	3-F-4-F	B1	134-136
1-43	Me	Me	3-F-4-F	B16	150-152
1-44	Me	Me	2-Cl	B1	144-145
1-45	Me	Me	2-Cl	B16	130-132
1-46	Me	Me	3-Cl-4-Cl	B6	Solid
1-47	Me	Me	3-Cl-4-Cl	B4	150-152
1-48	Me	Me	3-Cl-4-Cl	B27	140-141
1-49	Me	Me	3-Cl-4-Cl	B3	141-146
1-50	Me	Me	3-Cl-4-Cl	B10	
1-51	Me	Me	3-Cl-4-Cl	B11	
1-52	Me	Me	4-Br	B1	183-185
1-53	Me	Me	3-Cl-5-Cl	B16	168-170
1-54	Me	Me	3-Cl-5-Cl	B1	152-153
1-55	Me	Me	3-Br	B16	143-145
1-56	Me	Me	3-Br	B1	151-152
1-57	Me	Me	3-Cl-4-Cl	B15	175-178
1-58	Me	Me	3-Cl-4-Cl	B17	
1-59	Me	Me	3-Cl-4-Cl	B18	
1-60	Me	Me	2-Cl-4-Cl	B16	165-167
1-61	Me	Me	2-Cl-4-Cl	B1	170-171

TABLE 1 (Continued)

No.	R ¹	R ²	X	B	Physical properties (mp °C)
1-62	Me	Me	3-Me	B16	133-135
1-63	Me	Me	3-Me	B1	145-146
1-64	Me	Me	3-CF ₃	B16	125-127
1-65	Me	Me	3-CF ₃	B1	120-121
1-66	Me	Me	3-Cl	B21	128-130
1-67	Me	Me	3-Cl	B25	161-162
1-68	Me	Me	3-Cl-4-Cl	B29	
1-69	Me	Me	3-Cl-4-Cl	B30	93-96
1-70	Me	Et	3-Cl-4-Cl	B21	
1-71	Me	Me	3-OCHF ₂	B16	121-123
1-72	Me	Me	3-OCHF ₂	B1	138-139
1-73	Me	Me	3-OMe	B16	116-118
1-74	Me	Me	3-OMe	B1	118-120
1-75	Me	Me	3-Cl-4-Cl	B22	155-158
1-76	Me	Me	3-Cl-4-Cl	B31	Oil
1-77	Me	Me	3-Me-4-Me	B21	101-102
1-78	Me	Me	3-Cl-4-Cl	B23	220-222
1-79	Me	Me	3-Cl-4-Cl	B28	109-110
1-80	Me	Me	3-Cl-4-F	B21	124-126
1-81	Me	Me	3-Cl-4-F	B16	
1-82	Me	Me	3-Cl-4-F	B1	
1-83	-(CH ₂) ₅ -		4-Br	B7	
1-84	-(CH ₂) ₅ -		4-Br	B11	
1-85	Me	Me	3,4-(OCHF ₂) ₂	B1	
1-86	Me	Me	3,4-(OCHF ₂) ₂	B21	147-150
1-87	Me	Me	4-OCF ₃	B1	
1-88	Me	Me	3-OCF ₃	B21	
1-89	Me	Me	4-CF ₃	B1	
1-90	Me	Me	4-CF ₃	B21	113-115
1-91	Me	Me	4-CF ₃	B15	
1-92	Me	Me	4-CF ₃	B11	
1-93	Me	Me	3-Me-4-Me	B16	133-135
1-94	Me	Me	4-NO ₂	B16	179-180
1-95	Me	Me	4-NO ₂	B1	168-170

TABLE 1 (Continued)

No.	R ¹	R ²	X	B	Physical properties (mp °C)
1-96	Me	Me	4-NO ₂	B21	135-137
1-97	Me	Me	3-Me-4-Me	B1	130-132
1-98	Me	Me	2-Me-4-OCHF ₂	B21	112-114
1-99	Me	Me	3-Cl-5-Cl	B21	137-140
1-100	Me	Me	3-Cl-4-Br	B21	120-121
1-101	Me	Me	3-Me-4-Cl	B21	108-112
1-102	Me	Me	3-Br-4-Cl	B21	117-120
1-103	Me	Me	3-Cl-4-Me	B21	115-118
1-104	Me	Me	2-Me-4-F	B21	107-109
1-105	Me	Me	4-Me	B21	152-154
1-106	Me	Me	4-OCF ₃	B21	116-120
1-107	Me	Me	3-Br-4-OCF ₃	B21	107-111
1-108	Me	Me	3-CF ₃ -4-Cl	B21	133-135
1-109	Me	Me	3-Cl-4-Br	B1	105-109
1-110	Me	Me	3-Cl-4-Cl	B19	192-195
1-111	Me	Me	4-OCH ₂ CF ₃	B21	134-138
1-112	Me	Me	4-OCHF ₂	B21	118-120
1-113	Me	Me	3-OMe-4-Cl	B21	154-159
1-114	Me	Me	3-Me-4-OCF ₃	B21	116-118
1-115	Me	Me	3-Cl-4-Me-5-Me	B21	107-114
1-116	Me	Me	2-Cl-3-Cl-4-Cl	B1	133-137
1-117	Me	Me	2-Me-3-Cl-4-Cl	B1	94-98
1-118	Me	Me	2-Cl-3-Cl-4-Cl	B21	112-115
1-119	Me	Me	2-Me-3-Cl-4-Cl	B21	90-95
1-120	Me	Me	2-Me-3-Me-4-Cl	B21	Oil
1-121	Me	Me	2-Cl-3-Me-4-Me	B21	Oil
1-122	Me	Me	3-Cl-4-Cl	B8	200-202
1-123	Me	H	4-Cl	B16	Oil
1-124	Me	Me	4-Br	B39	126-129
1-125	Me	Me	4-Bu(t)	B21	130-134
1-126	Me	Me	4-Bu(t)	B1	161-165
1-127	Me	Me	3-Me-4-Cl	B21	108-112
1-128	Me	Me	3-Cl-4-Cl	B37	157-159
1-129	Me	Me	3-Cl-4-Cl	B43	120-125
1-130	Me	Me	3-Cl-4-Cl	B44	165-170

TABLE 1 (Continued)

No.	R ¹	R ²	X	B	Physical properties (mp °C)
1-131	Me	Me	4-(2-thienyl)	B21	119.2
1-132	Me	Me	4-(5-Me-2-thienyl)	B21	176.8
1-133	Me	Me	4-(2-furyl)	B21	>300
1-134	Me	Me	4-(3-thienyl)	B21	>300
1-135	Me	Me	4-(5-Cl-2-thienyl)	B21	153.5
1-136	Me	Me	4-(2-Me-3-thienyl)	B21	Viscous
1-137	Me	Me	4-(5-COMe-2-thienyl)	B21	199.2
1-138	Me	Me	3-(2-thienyl)	B21	134.2
1-139	Me	Me	3-(3-thienyl)	B21	>300
1-140	Me	Me	3-(5-COMe-2-thienyl)	B21	Oil
1-141	Me	Me	3-(5-Cl-2-thienyl)	B21	141.7
1-142	Me	Me	3-(5-Me-2-thienyl)	B21	137.8
1-143	Me	Me	3-(4-Me-3-thienyl)	B21	Amorphous
1-144	Me	Me	3-(2-furyl)	B21	Solid
1-145	Me	Me	3-Cl-4-Cl	B32	135-137
1-146	Me	Me	3-Cl-4-Cl	B33	164
1-147	Me	Me	3-Cl-4-Cl	B34	153-154
1-148	Me	Me	3-Cl-4-Cl	B35	Solid
1-149	Me	Me	3-Cl-4-Cl	B36	Solid
1-150	Me	Me	3-Cl-4-Cl	B39	142-145
1-151	Me	Me	3-Cl-4-Cl	B40	145-146
1-152	Me	Me	3-Cl-4-Cl	B79	140-142
1-153	Me	Me	3-Cl-4-Cl	B38	165-166
1-154	Me	Me	3-Cl-4-Cl	B41	136-140
1-155	Me	Me	3-Cl-4-Cl	B42	175-178
1-156	Me	Me	3-Cl-4-Cl	B45	175-177
1-157	Me	Me	3-Cl-4-Cl	B46	Oil
1-158	Me	Me	4-(5-CF ₃ -pyridin-2-yloxy)	B1	127-128
1-159	Me	Me	4-(5-CF ₃ -pyridin-2-yloxy)	B21	138-140
1-160	Me	Me	2-Me-4-OMe	B21	99-101
1-161	Me	Me	2-Me-4-OEt	B21	85-88
1-162	Me	Me	2-Me-4-CF ₃	B21	110-113
1-163	Me	Me	2-Me-4-Me	B21	102-105
1-164	Me	Me	2-Me	B21	79-82
1-165	Me	Me	2-Me-3-Cl	B21	109-111

TABLE 1 (Continued)

No.	R ¹	R ²	X	B	Physical properties (mp °C)
1-166	Me	Me	2-Br-4-CF ₃	B21	90-92
1-167	Me	Me	3-Br-4-Br	B21	127-130
1-168	Me	Me	3-Me-4-OCHF ₂	B21	100-106
1-169	Me	Me	4-[D3]	B21	107-109
1-170	Me	Me	3-Cl-4-Cl	B59	139-145
1-171	Me	Me	3-Cl-4-Cl	B60	139-141
1-172	Me	Me	3-Cl-4-Cl	B61	140-142
1-173	H	H	4-Cl	B21	119-121
1-174	Me	Me	2-Me-4-OPr	B21	97-100
1-175	Me	Me	3-Cl-4-Cl	B62	89-92
1-176	Me	Me	3-Me-4-OMe	B21	123-125
1-177	Me	Me	3-Cl-4-OMe	B21	161-164
1-178	Me	Me	2-Me-3-Me-4-OMe	B21	88-90
1-179	Me	Me	2-Me-3-Me-4-OCHF ₂	B21	Oil
1-180	Me	Me	4-OMe	B21	139-141
1-181	Me	Me	2-Me-4-OCOPr(i)	B21	Amorphous
1-182	Me	Me	2-Me-4-OH	B21	204-208
1-183	Me	Me	2-Me-4-OSO ₂ Me	B21	81-84
1-184	Me	Me	2-OMe	B21	Oil
1-185	Me	Me	2-Me-3-OMe	B21	128-129
1-186	Me	Me	2-Me-4-OBu(n)	B21	69-71
1-187	Me	Me	2-Me-4-OCH ₂ CF ₃	B21	Oil
1-188	Me	Me	2-Me-4-OCH ₂ CH ₂ OMe	B21	73-75
1-189	Me	H	4-Cl	B21	Oil
1-190	Me	Me	2-Me-4-OPr(i)	B21	96-98
1-191	Me	Me	4-Br	B48	
1-192	Me	Me	4-Br	B49	
1-193	Me	Me	4-Br	B50	122-125
1-194	Me	Me	4-Br	B51	
1-195	Me	Me	4-Br	B52	192-195
1-196	Me	Me	4-Br	B53	
1-197	Me	Me	4-Br	B54	
1-198	Me	Me	4-Br	B13	
1-199	Me	Me	4-Br	B12	
1-200	Me	Me	4-Br	B63	132-134

TABLE 1 (Continued)

No.	R ¹	R ²	X	B	Physical properties (mp °C)
1-201	Me	Me	4-Br	B55	164-166
1-202	Me	Me	4-Br	B56	145-147
1-203	Me	Me	4-Br	B57	45-47
1-204	Me	Me	4-Br	B57	50-58
1-205	Me	Me	3-F-4-Cl	B21	119-122
1-206	Me	Me	2-Me-4-Et	B21	77-81
1-207	Et	H	4-Cl	B21	114-117
1-208	Me	Me	2-Cl-4-Cl	B21	103-104
1-209	Me	Me	3-OCHF ₂ -4-Cl	B21	106-110
1-210	Me	Me	3-Cl-4-OCHF ₂	B21	137-139
1-211	Me	Me	3-Cl-4-Cl	B63	
1-212	Me	Me	3-Cl-4-Cl	B64	
1-213	Me	Me	3-Cl-4-Cl	B65	
1-214	Me	Me	3-Cl-4-Cl	B66	
1-215	Me	Me	3-Cl-4-Cl	B67	
1-216	Me	Me	3-Cl-4-Cl	B68	
1-217	Me	Me	3-Cl-4-Cl	B69	
1-218	Me	Me	3-Cl-4-Cl	B70	
1-219	Me	Me	3-Cl-4-Cl	B71	122-124
1-220	Me	Me	3-Cl-4-Cl	B72	
1-221	Me	Me	3-Cl-4-Cl	B73	
1-222	Me	Me	3-Cl-4-Cl	B74	
1-223	Me	Me	3-Cl-4-Cl	B75	
1-224	Me	Me	3-Cl-4-Cl	B76	
1-225	Me	Me	3-Cl-4-Cl	B77	
1-226	Me	Me	3-Cl-4-Cl	B78	
1-227	Me	Me	2-Me-4-O-[D3]	B21	
1-228	Me	Me	2-Me-4-CH ₂ NMe ₂	B21	
1-229	Me	Me	2-Me-4-CH ₂ OMe	B21	
1-230	Me	Me	2-Me-4-CH ₂ SMe	B21	
1-231	Me	Me	2-Me-5-OMe	B21	Oil
1-232	Me	Me	2-Me-5-Cl	B21	
1-233	Me	Me	2-Me-6-OMe	B21	
1-234	Me	Me	2-Me-6-Me	B21	
1-235	Me	Me	2-Me-4-OMe-6-Me	B21	

TABLE 1 (Continued)

No.	R ¹	R ²	X	B	Physical properties (mp °C)
1-236	Me	Me	2-Me-4-Br	B21	
1-237	Me	Me	2-Me-4-OCF ₂ CHF ₂	B21	
1-238	Me	Me	2-Me-4-OCH ₂ CF ₂ CF ₃	B21	
1-239	Me	Me	2-Me-4-OCF ₂ CHFCF ₃	B21	
1-240	Me	Me	2-Et-4-OMe	B21	
1-241	Me	Me	2-CF ₃ -4-OMe	B21	
1-242	Me	Me	2-CF ₃ -4-Cl	B21	
1-243	Me	Me	3-Me-4-Br	B21	
1-244	Me	Me	3-Br-4-Me	B21	118-120
1-245	Me	Me	2-Me-4-OCH ₂ CN	B21	
1-246	Me	Me	2-Me-4-NHCH ₂ CN	B21	
1-247	Me	Me	2-Me-4-SCH ₂ CN	B21	
1-248	Me	Me	2-Me-4-OCH ₂ -[D3]	B21	
1-249	Me	Me	2-Me-4-NHCH ₂ -[D3]	B21	
1-250	Me	Me	2-Me-4-SCH ₂ -[D3]	B21	
1-251	Me	Me	2-Me-4-SMe	B21	
1-252	Me	Me	2-Me-4-SOMe	B21	
1-253	Me	Me	2-Me-4-SO ₂ Me	B21	
1-254	Me	Me	2-Me-4-CHO	B21	
1-255	Me	Me	2-Me-4-OCF ₃	B21	
1-256	Me	Me	2-Me-4-CHF ₂	B21	
1-257	Me	Me	2-Me-4-CH ₂ -[D3]	B21	
1-258	Me	Me	2-Me-4-[D3]	B21	
1-259	Me	Me	2-OCHF ₂ -4-Cl	B21	
1-260	Me	Me	2-F-4-Cl	B21	
1-261	Me	Me	2-OMe-4-Cl	B21	
1-262	Me	Me	2-Me-4-CH ₂ OCOMe	B21	
1-263	Me	Me	2-Me-4-CH ₂ OH	B21	
1-264	Me	Me	2-Me-4-CH ₂ Br	B21	
1-265	Me	Me	2-Me-4-I	B21	
1-266	Me	Me	2-Me-3-OEt	B21	
1-267	Me	Me	2-Me-4-cyclopentyloxy	B21	
1-268	Me	Me	2-Me-4-Ph	B21	97-102
1-269	Me	Me	2-Me-4-OPh	B21	
1-270	Me	Me	2-Me-4-OCOMe	B21	Oil

TABLE 1 (Continued)

No.	R ¹	R ²	X	B	Physical properties (mp °C)
1-271	Me	Me	2-Me-4-C≡CCMe ₃	B21	Amorphous
1-272	Me	Me	2-Me-4-OCH ₂ C≡CH	B21	
1-273	Me	Me	2-Me-4-OBu(sec)	B21	Viscous
1-274	Me	Me	2-Me-4-OCH([D3])Me	B21	
1-275	Me	Me	2-Me-4-NH([D3])Me	B21	
1-276	Me	Me	2-Me-4-C≡CPh	B21	Amorphous
1-277	Me	Me	2-Me-4-OCF ₂ CHFOCF ₃	B21	
1-278	Me	Me	2-Me-4-CN	B21	
1-279	Me	Me	2-Me-4-CH ₂ C≡CCMe ₃	B21	
1-280	Me	Me	2-Me-4-C≡CMe	B21	
1-281	Me	Me	2-Me-4-C≡CH	B21	
1-282	Me	Me	2-Me-4-C≡CSiMe ₃	B21	Viscous
1-283	Me	Me	2-Me-4-[D1]	B21	
1-284	Me	Me	2-Me-4-[D2]	B21	
1-285	Me	Me	2-Me-4-CH ₂ C≡CI	B21	
1-286	Me	Me	2-Me-4-CH ₂ C≡CH	B21	
1-287	Me	Me	2-Me-4-CH=CF ₂	B21	
1-288	Me	Me	2-Me-4-CH ₂ CH=CF ₂	B21	
1-289	Me	Me	2-Me-4-OCH ₂ CH=CCl ₂	B21	
1-290	Me	Me	2-Me-4-CH ₂ CH ₂ CH=CF ₂	B21	
1-291	Me	Me	2-Me-4-(CH ₂) ₅ CBrF ₂	B21	
1-292	Me	Me	2-Me-4-CH ₂ C≡CI	B1	
1-293	Me	Et	3-Cl-4-Cl	B1	
1-294	Me	Et	3-Me-4-Cl	B1	
1-295	Me	Et	2-Me-4-OMe	B1	
1-296	Me	Et	2-Me-4-OEt	B1	
1-297	Me	Et	2-Me-4-OPr(i)	B1	
1-298	Me	Et	3-Cl-4-Br	B1	
1-299	Me	Et	3-Br-4-Cl	B1	
1-300	Me	Et	3-F-4-Cl	B1	
1-301	Me	Et	3-Me-4-Br	B1	
1-302	Et	Et	3-Cl-4-Cl	B1	
1-303	Et	Et	3-Me-4-Cl	B1	
1-304	Et	Et	2-Me-4-OEt	B1	
1-305	Et	Et	2-Me-4-OPr(i)	B1	

TABLE 1 (Continued)

No.	R ¹	R ²	X	B	Physical properties (mp °C)
1-306	Me	Et	3-Cl-4-Cl	B16	
1-307	Me	Et	3-Me-4-Cl	B16	
1-308	Me	Et	2-Me-4-OMe	B16	
1-309	Me	Et	2-Me-4-OEt	B16	
1-310	Me	Et	2-Me-4-OPr(i)	B16	
1-311	Me	Et	3-Cl-4-Br	B16	
1-312	Me	Et	3-Br-4-Cl	B16	
1-313	Me	Et	3-F-4-Cl	B16	
1-314	Me	Et	3-Me-4-Br	B16	
1-315	Et	Et	3-Cl-4-Cl	B16	
1-316	Et	Et	3-Me-4-Cl	B16	
1-317	Et	Et	2-Me-4-OEt	B16	
1-318	Et	Et	2-Me-4-OPr(i)	B16	
1-319	Me	Et	3-Me-4-Cl	B21	
1-320	Me	Et	2-Me-4-OMe	B21	
1-321	Me	Et	2-Me-4-OEt	B21	
1-322	Me	Et	2-Me-4-OPr(i)	B21	
1-323	Me	Et	3-Cl-4-Br	B21	
1-324	Me	Et	3-Br-4-Cl	B21	
1-325	Me	Et	3-F-4-Cl	B21	
1-326	Me	Et	3-Me-4-Br	B21	
1-327	Et	Et	3-Cl-4-Cl	B21	
1-328	Et	Et	3-Me-4-Cl	B21	
1-329	Et	Et	2-Me-4-OEt	B21	
1-330	Et	Et	2-Me-4-OPr(i)	B21	
1-331	Me	Me	3-Me-4-Cl	B71	
1-332	Me	Me	2-Me-4-OMe	B71	
1-333	Me	Me	2-Me-4-OEt	B71	
1-334	Me	Me	2-Me-4-OPr(i)	B71	
1-335	Me	Me	3-Cl-4-Br	B71	
1-336	Me	Me	3-Br-4-Cl	B71	
1-337	Me	Me	3-F-4-Cl	B71	
1-338	Me	Me	3-Me-4-Br	B71	
1-339	Me	Me	2-Me-4-[D4]	B21	
1-340	Me	Me	2-Me-4-[D5]	B21	

TABLE 1 (Continued)

No.	R ¹	R ²	X	B	Physical properties (mp °C)
1-341	Me	D3	3-Cl-4-Cl	B16	
1-342	Me	D3	3-Me-4-Cl	B16	
1-343	Me	D3	2-Me-4-OMe	B16	
1-344	Me	D3	2-Me-4-OEt	B16	
1-345	Me	D3	2-Me-4-OPr(i)	B16	
1-346	Me	D3	3-Cl-4-Br	B16	
1-347	Me	D3	3-Br-4-Cl	B16	
1-348	Me	D3	3-F-4-Cl	B16	
1-349	Me	D3	3-Me-4-Br	B16	
1-350	H	D3	3-Cl-4-Cl	B16	
1-351	H	D3	3-Me-4-Cl	B16	
1-352	H	D3	2-Me-4-OMe	B16	
1-353	H	D3	2-Me-4-OEt	B16	
1-354	H	D3	2-Me-4-OPr(i)	B16	
1-355	Me	D3	3-Cl-4-Cl	B21	
1-356	Me	D3	3-Me-4-Cl	B21	
1-357	Me	D3	2-Me-4-OMe	B21	
1-358	Me	D3	2-Me-4-OEt	B21	
1-359	Me	D3	2-Me-4-OPr(i)	B21	
1-360	Me	D3	3-Cl-4-Br	B21	
1-361	Me	D3	3-Br-4-Cl	B21	
1-362	Me	D3	3-F-4-Cl	B21	
1-363	Me	D3	3-Me-4-Br	B21	
1-364	H	D3	3-Cl-4-Cl	B21	
1-365	H	D3	3-Me-4-Cl	B21	
1-366	H	D3	2-Me-4-OMe	B21	
1-367	H	D3	2-Me-4-OEt	B21	
1-368	H	D3	2-Me-4-OPr(i)	B21	
1-369	Me	CH ₂ F	3-Cl-4-Cl	B21	
1-370	Me	CH ₂ F	3-Me-4-Cl	B21	
1-371	Me	CH ₂ Cl	2-Me-4-OMe	B21	
1-372	Me	CH ₂ F	2-Me-4-OEt	B21	
1-373	Me	CH ₂ F	2-Me-4-OPr(i)	B21	
1-374	CH ₂ F	CH ₂ F	2-Me-4-OEt	B21	
1-375	CH ₂ F	CH ₂ F	2-Me-4-OPr(i)	B21	

TABLE 1 (Continued)

No.	R ¹	R ²	X	B	Physical properties (mp °C)
1-376	Me	Me	4-SMe	B16	
1-377	Me	Me	4-SOMe	B16	
1-378	Me	Me	4-SO ₂ Me	B16	
1-379	Me	Me	4-SO ₂ CF ₃	B16	
1-380	Me	Me	4-SO ₂ NMe ₂	B16	
1-381	Me	Me	4-CH ₂ CH=CH ₂	B16	
1-382	Me	Me	4-CH ₂ NHMe	B16	
1-383	Me	Me	2-Me-4-CH ₂ NHMe	B21	
1-384	Me	Me	2-Me-4-OCH ₂ CN	B21	
1-385	Me	Me	2-Me-4-NHCH ₂ CN	B21	
1-386	Me	Me	2-Me-4-SCH ₂ CN	B21	
1-387	Me	Me	4-OCH ₂ CH=CH ₂	B16	
1-388	Me	Me	4-SCH ₂ CH=CH ₂	B16	
1-389	Me	Me	4-OCH ₂ C≡CH	B16	
1-390	Me	Me	4-SCH ₂ C≡CH	B16	
1-391	Me	Me	4-OCH ₂ C≡CI	B16	
1-392	Me	Me	4-SCH ₂ C≡CI	B16	
1-393	Me	Me	2-Me-4-OCF ₂ CHFOMe	B21	
1-394	Me	Me	4-S-CH ₂ CH=CF ₂	B16	
1-395	Me	Me	4-SOCHF ₂	B16	
1-396	Me	Me	2-Me-4-CH ₂ OCHF ₂	B21	
1-397	Me	Me	2-Me-4-CH ₂ NH ₂	B21	
1-398	Me	Me	2-Me-4-SCHF ₂	B21	
1-399	Me	Me	2-Me-4-SOCHF ₂	B21	
1-400	Me	Me	2-Me-4-SO ₂ CHF ₂	B21	
1-401	Me	Me	2-Me-4-OCH ₂ CH ₂ SMe	B21	
1-402	Me	Me	2-Me-4-OCH ₂ CH ₂ NHMe	B21	
1-403	Me	Me	2-Me-4-OCH ₂ CH ₂ NMe ₂	B21	
1-404	Me	Me	2-Me-4-NH-[D3]	B21	
1-405	Me	Me	2-Me-4-S-[D3]	B21	
1-406	Me	Me	2-Me-4-OCH ₂ CH ₂ OCHF ₂	B71	
1-407	Me	Me	4-CH=NOCH ₂ CH=CH ₂	B1	
1-408	Me	Me	4-CH=NOCH ₂ C≡CH	B1	
1-409	Me	Me	4-CH=NCO ₂ Me	B1	
1-410	Me	Me	2-Me-4-CH=NMe	B21	

TABLE 1 (Continued)

No.	R ¹	R ²	X	B	Physical properties (mp °C)
1-411	Me	Me	2-Me-4-CH=N-OMe	B21	
1-412	Me	Me	4-[D6]	B71	
1-413	Me	Me	2-Me-4-OCOCF ₃	B21	
1-414	Me	Me	2-Me-4-OCO ₂ Me	B16	
1-415	Me	Me	2-Me-4-OCONMe ₂	B16	
1-416	Me	Me	2-Me-4-OCOSPh	B16	
1-417	Me	Me	2-Me-4-OCSOMe	B14	
1-418	Me	Me	2-Me-4-OCS ₂ Me	B14	
1-419	Me	Me	2-Me-4-OCSNMe ₂	B14	
1-420	Me	Me	2-Me-4-OSCCl ₃	B14	
1-421	Me	Me	2-Me-4-OSO ₂ Me	B14	
1-422	Me	Me	2-Me-4-OSO ₂ CF ₃	B14	
1-423	Me	Me	2-Me-4-OSO ₂ Ph	B14	
1-424	Me	Me	2-Me-4-OSNMe ₂	B14	
1-425	Me	Me	2-Me-4-OSO ₂ NMe ₂	B14	
1-426	Me	Me	2-Me-4-NH ₂	B14	
1-427	Me	Me	2-Me-4-NH ₂ · HCl	B14	
1-428	Me	Me	2-Me-4-NHMe	B14	
1-429	Me	Me	2-Me-4-NMe ₂	B14	
1-430	Me	Me	2-Me-4-NHCOMe	B14	
1-431	Me	Me	2-Me-4-NHCOBu(t)	B14	
1-432	Me	Me	2-Me-4-NHCOCF ₃	B14	
1-433	Me	Me	2-Me-4-NHCO ₂ Me	B14	
1-434	Me	Me	2-Me-4-N(Me)CO ₂ Me	B14	
1-435	Me	Me	2-Me-4-NHCONMe ₂	B14	
1-436	Me	Me	2-Me-4-NHCOSMe	B14	
1-437	Me	Me	2-Me-4-NHCSOMe	B14	
1-438	Me	Me	2-Me-4-NHCS ₂ Me	B14	
1-439	Me	Me	2-Me-4-NHCSNMe ₂	B14	
1-440	Me	Me	2-Me-4-NHCS ₂ Ph	B14	
1-441	Me	Me	2-Me-4-NHSCCl ₃	B14	
1-442	Me	Me	2-Me-4-NHSOMe	B14	
1-443	Me	Me	2-Me-4-NHSO ₂ Me	B14	
1-444	Me	Me	2-Me-4-NHSO ₂ Ph	B14	
1-445	Me	Me	2-Me-4-NHCOPh	B14	

TABLE 1 (Continued)

No.	R ¹	R ²	X	B	Physical properties (mp °C)
1-446	Me	Me	4-CO ₂ Me	B14	
1-447	Me	Me	4-CO ₂ Et	B14	
1-448	Me	Me	4-CO ₂ H	B14	
1-449	Me	Me	4-CONH ₂	B14	
1-450	Me	Me	4-CONMe ₂	B14	
1-451	Me	Me	4-CONHMe	B14	
1-452	Me	Me	2-Me-4-SCH ₂ CF ₃	B21	
1-453	Me	Me	2-Me-4-NHCH ₂ CF ₃	B21	
1-454	Me	Me	2-Me-4-SCHF ₂	B21	
1-455	Me	Me	2-Me-4-SO ₂ CH ₂ CF ₃	B21	
1-456	Me	Me	2-Me-4-OCN	B21	
1-457	Me	Me	2-Me-4-CH ₂ CO ₂ Me	B21	
1-458	Me	Me	2-Me-4-OPh	B21	
1-459	Me	Me	2-Me-4-Ph	B21	
1-460	Me	Me	2-Me-4-C≡CCO ₂ Me	B21	
1-461	Me	Me	2-Me-4-C≡CCO ₂ H	B21	
1-462	Me	Me	2-Me-4-C≡CCH ₂ OH	B21	
1-463	Me	Me	2-Me-4-C≡CCH ₂ Br	B21	
1-464	Me	Me	2-Me-4-C≡CCH ₂ NH ₂ · HCl	B21	
1-465	Me	Me	2-Me-4-[D7]	B21	
1-466	Me	Me	2-Me-4-C≡COEt	B21	
1-467	Me	Me	2-Me-4-C≡CCH ₂ OMe	B21	
1-468	Me	Me	2-Me-4-C≡C-[D4]	B21	
1-469	Me	Me	2-Me-4-OCH ₂ -[D4]	B21	
1-470	Me	Me	2-Me-4-[D5]	B14	
1-471	Me	Me	2-Me-4-[D4]	B14	
1-472	Me	Me	2-Me-4-CH=CH-[D4]	B21	
1-473	Me	Me	2-Me-4-CH ₂ -[D4]	B21	
1-474	Me	Me	2-Me-4-OC≡C-[D4]	B21	
1-475	Me	Me	2-Me-4-OCH=CH-[D4]	B21	
1-476	Me	Me	4-Me	B62	
1-477	Me	Me	4-Me	B25	
1-478	Me	Me	3-Cl-4-Cl	B80	
1-479	Me	Me	3-Cl-4-Cl	B81	
1-480	Me	Me	3-Cl-4-Cl	B82	

TABLE 1 (Continued)

No.	R ¹	R ²	X	B	Physical properties (mp °C)
1-481	Me	Me	3-Cl-4-Cl	B83	
1-482	Me	Me	3-Me-4-Cl	B84	
1-483	Me	Me	2-Me-4-OMe	B85	
1-484	Me	Me	2-Me-4-OEt	B86	
1-485	Me	Me	2-Me-4-OPr(i)	B87	
1-486	Me	Me	3-Cl-4-Br	B88	
1-487	Me	Me	3-Br-4-Cl	B89	
1-488	Me	Me	3-F-4-Cl	B90	
1-489	Me	Me	3-Me-4-Br	B91	
1-490	Me	Me	3-Cl-4-Cl	B92	
1-491	Me	Me	3-Me-4-Cl	B93	
1-492	Me	Me	2-Me-4-OMe	B94	
1-493	Me	Me	2-Me-4-OEt	B95	
1-494	Me	Me	2-Me-4-OPr(i)	B96	
1-495	Me	Me	3-Cl-4-Br	B97	
1-496	Me	Me	3-Br-4-Cl	B98	
1-497	Me	Me	3-F-4-Cl	B99	
1-498	Me	Me	3-Me-4-Br	B100	
1-499	Me	Me	3-Cl-4-Cl	B101	
1-500	Me	Me	3-Me-4-Cl	B102	
1-501	Me	Me	2-Me-4-OMe	B103	
1-502	Me	Me	2-Me-4-OEt	B104	
1-503	Me	Me	2-Me-4-OPr(i)	B105	
1-504	Me	Me	3-Cl-4-Br	B106	
1-505	Me	Me	3-Br-4-Cl	B107	
1-506	Me	Me	3-F-4-Cl	B108	
1-507	Me	Me	3-Me-4-Br	B109	
1-508	Me	Me	3-Cl-4-Cl	B110	
1-509	Me	Me	3-Me-4-Cl	B111	
1-510	Me	Me	2-Me-4-OMe	B112	
1-511	Me	Me	2-Me-4-OEt	B113	
1-512	Me	Me	2-Me-4-OPr(i)	B114	
1-513	Me	Me	3-Cl-4-Br	B115	
1-514	Me	Me	3-Br-4-Cl	B116	
1-515	Me	Me	3-F-4-Cl	B117	
1-516	Me	Me	2-Br-5-OMe	B21	Oil
1-517	Me	Me	2-Me-4-OCH(Me)OMe	B16	

TABLE 1 (Continued)

No.	R ¹	R ²	X	B	Physical properties (mp °C)
1-518	Me	Me	4-CH ₂ Br	B25	Viscous
1-519	Me	Me	4-CH ₂ Br	B62	108-111
1-520	Me	Me	4-CH ₂ OMe	B25	97-102
1-521	Me	Me	4-CH ₂ NMe ₂	B25	Viscous
1-522	Me	Me	2-Me-4-OCH ₂ Ph	B14	
1-523	Me	CH ₂ Cl	3-F-4-Cl	B1	
1-524	Me	CH ₂ Cl	3-Me-4-Br	B14	
1-525	Me	CH ₂ Cl	3-Cl-4-Cl	B16	
1-526	Me	CH=CH ₂	3-Me-4-Cl	B21	
1-527	Me	CH=CH ₂	2-Me-4-OMe	B1	
1-528	Me	CH=CH ₂	2-Me-4-OEt	B14	
1-529	Me	C≡CH	2-Me-4-OPr (i)	B16	
1-530	Me	C≡CH	3-Cl-4-Br	B21	
1-531	Me	C≡CH	3-Br-4-Cl	B1	
1-532	Me	CH ₂ OMe	3-F-4-Cl	B14	
1-533	Me	CH ₂ OMe	3-Me-4-Br	B16	
1-534	Me	CH ₂ OMe	3-Cl-4-Cl	B21	
1-535	Me	Me	3-OMe-4-OMe	B21	138-140
1-536	Me	Me	2-Br	B21	Viscous
1-537	Me	Me	4-SPh	B1	
1-538	Me	Me	4-CH ₂ OMe	B62	74-76
1-539	Me	Me	4-CH ₂ NMe ₂	B62	Viscous
1-540	Me	Me	4-CH ₂ OMe	B21	117-119
1-541	Me	Me	4-CH ₂ SMe	B21	
1-542	Me	Me	4-CH ₂ NMe ₂	B21	
1-543	Me	Me	2-Me-4-Ph (4-Cl)	B21	
1-544	Me	Me	2-Me-4-Ph (4-OMe)	B21	
1-545	Me	Me	2-Me-4-CH=CHCMe ₃	B16	
1-546	Me	Me	2-Me-4-Ph (4-CF ₃)	B21	
1-547	Me	Me	2-Me-4-CH=CHPh	B14	
1-548	Me	Me	2-Me-4-CH ₂ SO ₂ Me	B21	
1-549	Me	Me	4-Me	B25	96-98
1-550	Me	Me	4-Me	B62	98-102
1-551	Me	Me	4-SCO ₂ Me	B1	
1-552	Me	Me	2-Me-4-CH ₂ CN	B16	
1-553	Me	Me	4-NHPh	B1	
1-554	Me	Me	2-Me-4-OBu (t)	B21	

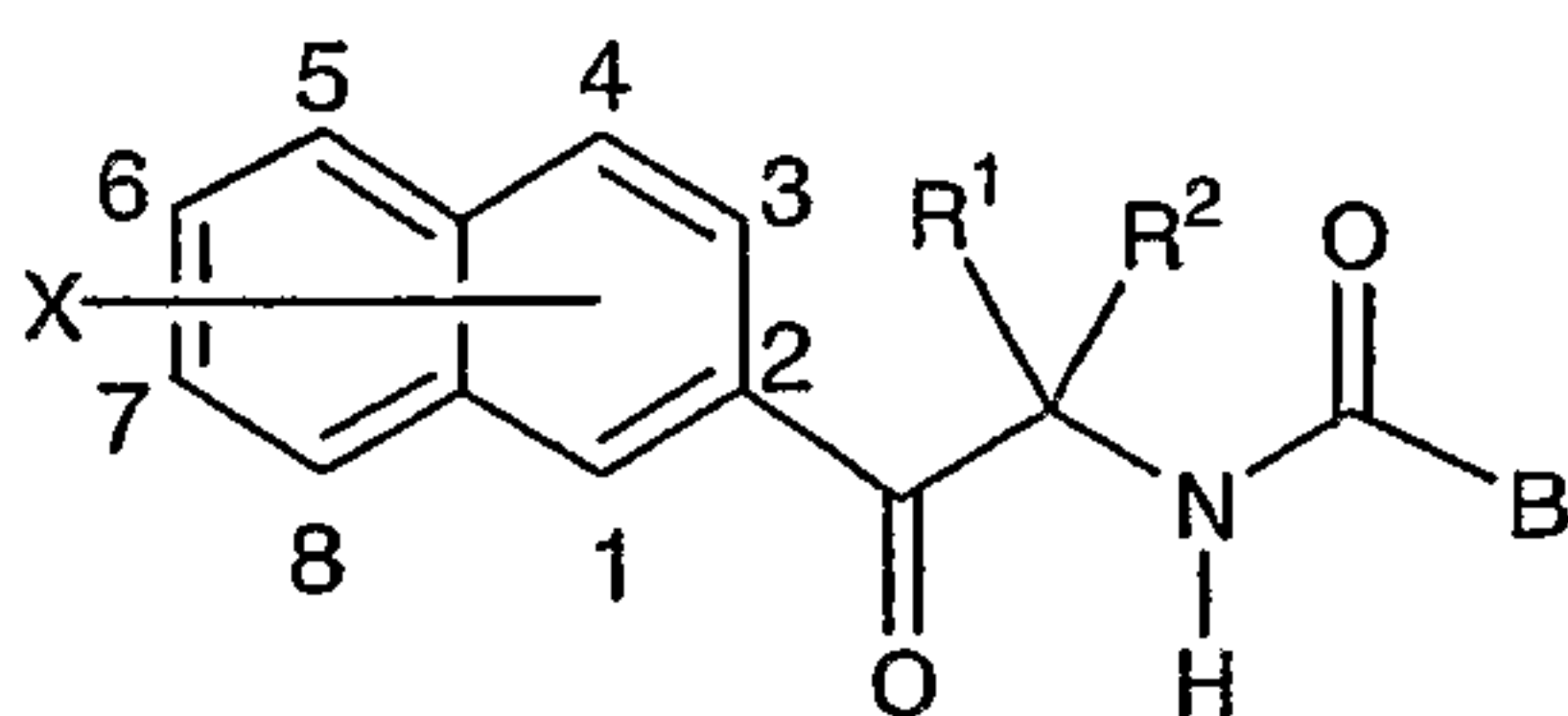


TABLE 2

No.	R ¹	R ²	X	B	Physical properties (mp °C)
2-1	Me	Me	H	B1	145-147
2-2	Me	Me	H	B16	159-161
2-3	Me	Me	H	B7	152-154
2-4	Me	Me	H	B2	Solid
2-5	Me	Et	H	B1	
2-6	Me	Et	H	B16	
2-7	Me	Et	H	B21	
2-8	-(CH ₂) ₅ -		H	B16	
2-9	Me	Me	H	B12	225-226
2-10	Me	Me	H	B5	159-160
2-11	Me	Me	H	B8	196-198
2-12	Me	Me	H	B13	195-197
2-13	Me	Me	H	B15	158-160
2-14	Me	Me	H	B21	163-164
2-15	-(CH ₂) ₅ -		H	B21	
2-16	Me	Et	H	B1	
2-17	Me	Et	H	B5	
2-18	Me	Et	H	B8	
2-19	Me	Et	H	B11	
2-20	Me	Et	H	B14	
2-21	Me	Et	H	B15	
2-22	Me	Et	H	B16	
2-23	Me	Et	H	B21	
2-24	Me	Me	1-Me	B1	
2-25	Me	Me	3-Me	B5	
2-26	Me	Me	4-Me	B8	
2-27	Me	Me	5-Me	B14	
2-28	Me	Me	6-Me	B15	
2-29	Me	Me	7-Me	B16	
2-30	Me	Me	8-Me	B21	

TABLE 2 (Continued)

No.	R ¹	R ²	X	B	Physical properties (mp °C)
2-31	Me	Me	H	B48	
2-32	Me	Me	H	B49	
2-33	Me	Me	H	B19	
2-34	Me	Me	H	B20	
2-35	Me	Me	H	B50	
2-36	Me	Me	1-Me	B21	
2-37	Me	Me	1-Me	B16	

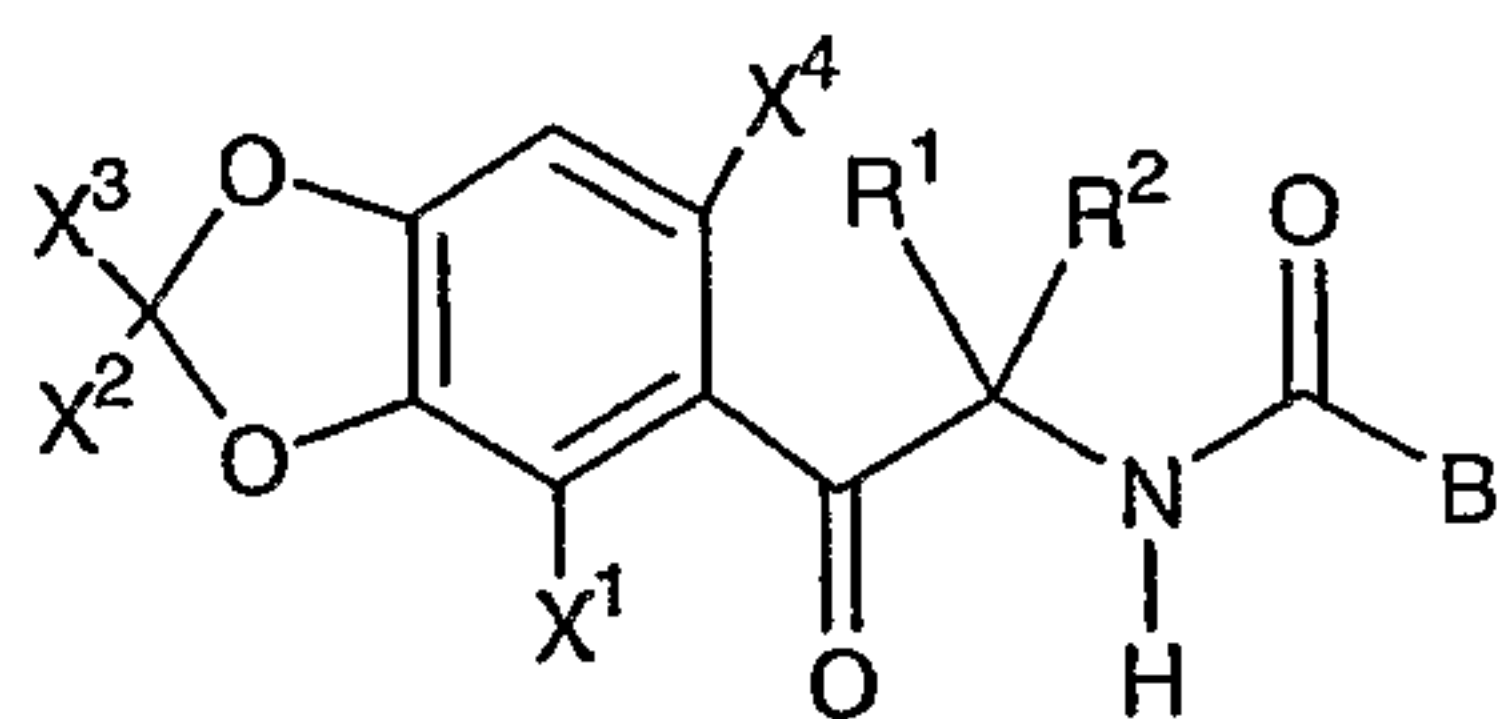


TABLE 3

No.	R ¹	R ²	X ¹	X ²	X ³	X ⁴	B	Physical properties (mp °C)
3-1	Me	Me	H	H	H	H	B1	127-128
3-2	Me	Me	H	H	H	H	B16	130-132
3-3	Me	Me	H	H	H	H	B21	155-158
3-4	Me	Me	H	F	F	H	B1	124-126
3-5	Me	Me	H	F	F	H	B16	
3-6	Me	Me	H	F	F	H	B21	116-118
3-7	Me	Me	Me	H	H	H	B1	
3-8	Me	Me	Me	H	H	H	B16	
3-9	Me	Me	Me	H	H	H	B21	98-102
3-10	Me	Me	Me	F	F	H	B1	99-107
3-11	Me	Me	Me	F	F	H	B16	
3-12	Me	Me	Me	F	F	H	B21	145-148
3-13	Me	Me	Cl	H	H	H	B1	
3-14	Me	Me	Cl	H	H	H	B16	
3-15	Me	Me	Cl	H	H	H	B21	
3-16	Me	Me	Cl	F	F	H	B1	
3-17	Me	Me	Cl	F	F	H	B16	
3-18	Me	Me	Cl	F	F	H	B21	
3-19	Me	Me	Me	F	F	H	B8	142-145
3-20	Me	Me	Me	F	F	H	B5	104-108
3-21	-(CH ₂) ₅ -		H	F	F	H	B21	
3-22	-(CH ₂) ₅ -		Me	F	F	H	B1	
3-23	Me	Me	H	F	F	H	B5	158-160
3-24	Me	Me	Me	F	F	H	B47	97-99
3-25	Me	Me	H	F	F	Me	B21	85-90
3-26	Me	Me	H	H	H	Me	B21	111-114
3-27	Me	Me	Me	Me	Me	H	B21	
3-28	Me	Me	Me	Me	H	H	B21	
3-29	Me	Me	Me	Et	H	H	B21	
3-30	Me	Me	Me	D3	H	H	B21	

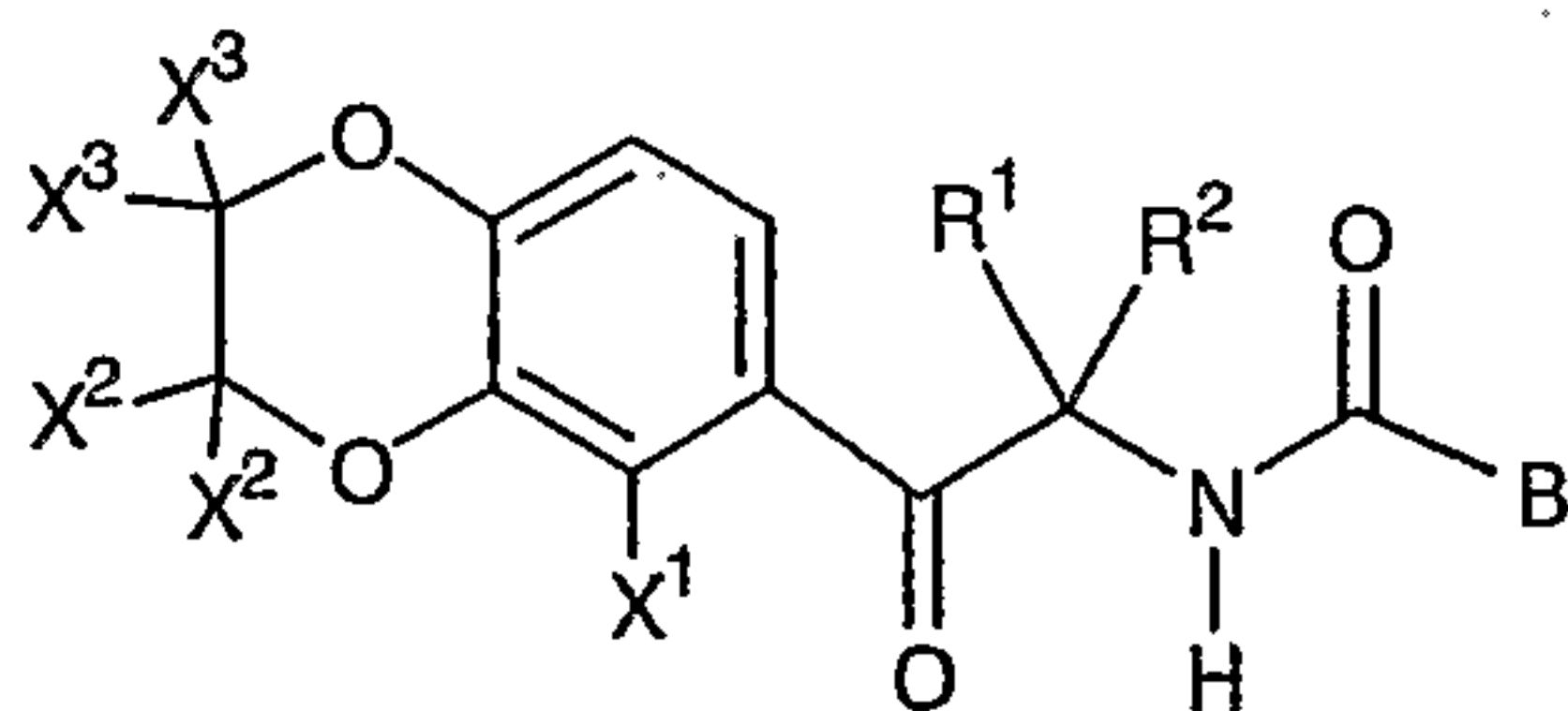


TABLE 4

No.	R ¹	R ²	X ¹	X ²	X ³	B	Physical properties (mp °C)
4-1	Me	Me	H	H	H	B1	
4-2	Me	Me	H	H	H	B5	
4-3	Me	Me	H	H	H	B8	
4-4	Me	Me	H	H	H	B16	
4-5	Me	Me	H	H	H	B21	
4-6	Me	Me	H	F	F	B1	
4-7	Me	Me	H	F	F	B5	
4-8	Me	Me	H	F	F	B8	
4-9	Me	Me	H	F	F	B11	
4-10	Me	Me	H	F	F	B21	120-122
4-11	Me	Me	Me	H	H	B1	
4-12	Me	Me	Me	H	H	B4	
4-13	Me	Me	Me	H	H	B8	
4-14	Me	Me	Me	H	H	B16	
4-15	Me	Me	Me	H	H	B21	
4-16	Me	Me	Me	F	F	B1	
4-17	Me	Me	Me	F	F	B15	
4-18	Me	Me	Me	F	F	B10	
4-19	Me	Me	Me	F	F	B21	74-78
4-20	Me	Me	Me	F	F	B25	
4-21	Me	Me	Me	H	Me	B21	
4-22	Me	Me	Me	H	Me	B16	
4-23	Me	Me	Me	H	Me	B14	
4-24	Me	Me	Me	Me	H	B1	
4-25	Me	Me	Me	Me	H	B5	
4-26	Me	Me	Me	Me	H	B8	
4-27	Me	Me	Me	H	Me	B1	
4-28	Me	Me	Me	H	Me	B5	
4-29	Me	Me	Me	H	Me	B8	
4-30	Me	Me	Me	H	Me	B71	

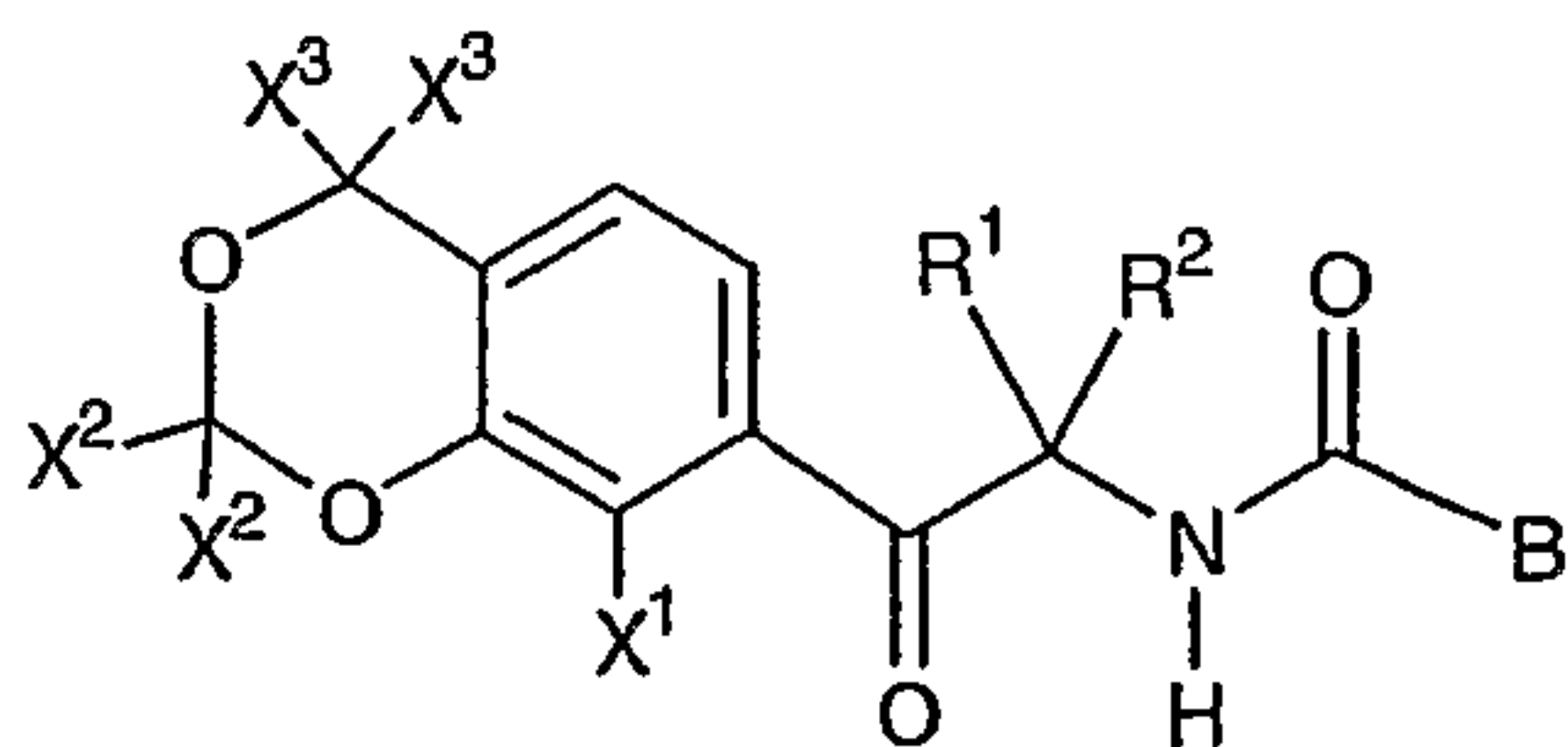


TABLE 5

No.	R ¹	R ²	X ¹	X ²	X ³	B	Physical properties (mp °C)
5-1	Me	Me	H	H	H	B1	
5-2	Me	Me	H	H	H	B5	
5-3	Me	Me	H	H	H	B7	
5-4	Me	Me	H	H	H	B14	
5-5	Me	Me	H	H	H	B15	
5-6	Me	Me	Me	H	H	B1	
5-7	Me	Me	Me	H	H	B5	
5-8	Me	Me	Me	H	H	B8	
5-9	Me	Me	Me	H	H	B11	
5-10	Me	Me	Me	H	H	B21	
5-11	Me	Me	H	F	F	B1	
5-12	Me	Me	H	F	F	B4	
5-13	Me	Me	H	F	F	B8	
5-14	Me	Me	H	F	F	B16	
5-15	Me	Me	H	F	F	B21	
5-16	Me	Me	Me	F	F	B1	
5-17	Me	Me	Me	F	F	B15	
5-18	Me	Me	Me	F	F	B10	
5-19	Me	Me	Me	F	F	B21	
5-20	Me	Me	Me	F	F	B25	
5-21	Me	Me	Me	H	Me	B21	
5-22	Me	Me	Me	H	Me	B16	
5-23	Me	Me	Me	H	Me	B14	
5-24	Me	Me	Me	F	H	B1	
5-25	Me	Me	Me	F	H	B5	
5-26	Me	Me	Me	F	H	B8	
5-27	Me	Me	Me	Me	H	B14	
5-28	Me	Me	Me	Me	H	B16	
5-29	Me	Me	Me	Me	H	B21	
5-30	Me	Me	Me	Me	Me	B21	

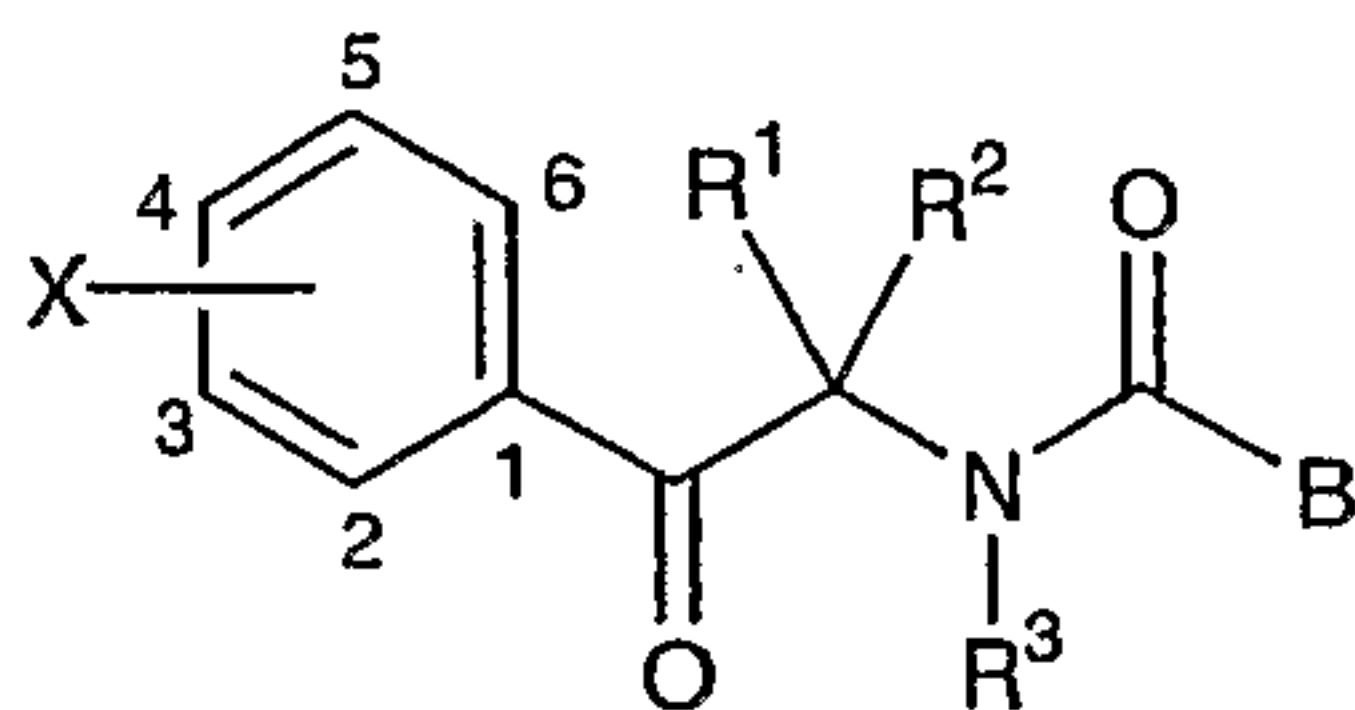


TABLE 6

No.	R ¹	R ²	R ³	X	B	Physical properties (mp °C)
6-1	Me	Me	CO ₂ Bu(t)	4-Br	B21	Oil
6-2	Me	Me	COMe	4-Br	B21	Oil
6-3	Me	Me	COMe	3-Cl-4-Cl	B21	Oil
6-4	Me	Me	Me	3-Cl-4-Cl	B1	147-150
6-5	Me	Me	CO ₂ Bu(t)	3-Cl-4-Cl	B21	66-68
6-6	Me	Me	SCCl ₃	3-Br-4-Cl	B1	
6-7	Me	Me	SPh	3-Cl-4-Br	B5	
6-8	Me	Me	SOPh	3-Me-4-Br	B8	
6-9	Me	Me	SO ₂ Ph	3-Me-4-Cl	B14	
6-10	Me	Me	SO ₂ Me	3-Cl-4-Cl	B16	
6-11	Me	Me	SO ₂ CF ₃	3-F-4-Cl	B21	
6-12	Me	Me	SO ₂ NMe ₂	2-Me-4-OMe	B71	
6-13	Me	Me	CH ₂ OMe	2-Me-4-OEt	B1	
6-14	Me	Me	CH ₂ SMe	2-Me-4-OPr(i)	B5	
6-15	Me	Me	CN	3-Br-4-Br	B8	
6-16	Me	Me	CHO	2-Me-4-Cl	B14	
6-17	Me	Me	CH ₂ CF ₃	2-Me-4-Br	B16	
6-18	Me	Me	OCH ₂ CF ₃	3-Br-4-Cl	B21	
6-19	Me	Me	D3	3-Cl-4-Br	B71	
6-20	Me	Me	OH	3-Me-4-Br	B1	
6-21	Me	Me	CO ₂ CH ₂ Ph	3-Me-4-Cl	B5	
6-22	Me	Me	CO ₂ CH ₂ OMe	3-Cl-4-Cl	B8	
6-23	Me	Me	COPh	3-Cl-4-Cl	B14	
6-24	Me	Me	COCF ₃	3-Cl-4-Cl	B16	
6-25	Me	Me	CH ₂ C≡CH	3-Cl-4-Cl	B21	
6-26	Me	Me	CH ₂ CH=CH ₂	3-F-4-Cl	B71	
6-27	Me	Me	CH ₂ CN	2-Me-4-OMe	B1	
6-28	Me	Me	Cyclopentyloxy	2-Me-4-OEt	B5	
6-29	Me	Me	CH ₂ CH ₂ OCHF ₂	2-Me-4-OPr(i)	B8	
6-30	Me	Me	CH ₂ CH ₂ NH ₂	3-Br-4-Br	B14	
6-31	Me	Me	CH ₂ CH ₂ NHMe	2-Me-4-Cl	B16	
6-32	Me	Me	CH ₂ CH ₂ NMe ₂	2-Me-4-Br	B21	

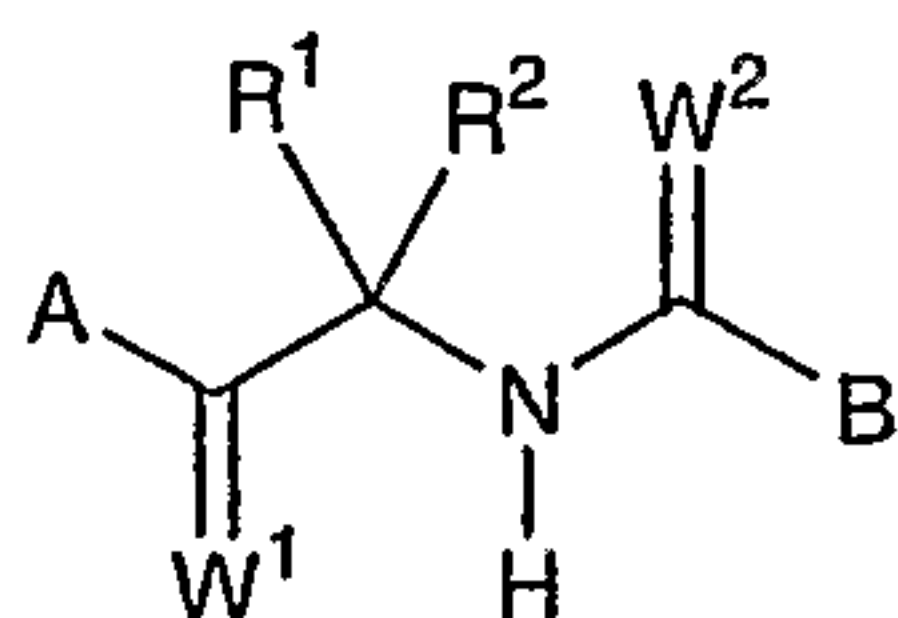


TABLE 7

No.	A	W ¹	R ¹	R ²	W ²	B	Physical properties (mp °C)
7-1	2-thienyl	0	Me	Me	0	B1	101-105
7-2	2-thienyl	0	Me	Me	0	B21	118-121
7-3	3-thienyl	0	Me	Me	0	B1	121-125
7-4	5-Cl-3-thienyl	0	Me	Me	0	B21	138-142
7-5	2-Cl-5-Cl-3-thienyl	0	Me	Me	0	B21	119-121
7-6	5-Cl-2-thienyl	0	Me	Me	0	B21	127-132
7-7	5-Cl-2-thienyl	0	Me	Me	0	B1	115-120
7-8	4-Cl-2-thienyl	0	Me	Me	0	B21	119-121
7-9	4-Cl-2-thienyl	0	Me	Me	0	B1	Oil
7-10	1-naphthyl	0	Me	Me	0	B48	
7-11	1-naphthyl	0	Me	Me	0	B49	
7-12	1-naphthyl	0	Me	Me	0	B19	
7-13	1-naphthyl	0	Me	Me	0	B20	
7-14	1-naphthyl	0	Me	Me	0	B50	
7-15	1-naphthyl	0	Me	Me	0	B12	
7-16	1-naphthyl	0	Me	Me	0	B13	
7-17	2-thienyl	0	Me	Me	0	B48	
7-18	2-thienyl	0	Me	Me	0	B49	
7-19	2-thienyl	0	Me	Me	0	B19	
7-20	2-thienyl	0	Me	Me	0	B20	
7-21	2-thienyl	0	Me	Me	0	B50	
7-22	2-thienyl	0	Me	Me	0	B12	
7-23	2-thienyl	0	Me	Me	0	B13	
7-24	3-thienyl	0	Me	Me	0	B48	
7-25	3-thienyl	0	Me	Me	0	B49	
7-26	3-thienyl	0	Me	Me	0	B19	
7-27	3-thienyl	0	Me	Me	0	B20	
7-28	3-thienyl	0	Me	Me	0	B50	
7-29	3-thienyl	0	Me	Me	0	B12	
7-30	3-thienyl	0	Me	Me	0	B13	

TABLE 7 (Continued)

No.	A	W ¹	R ¹	R ²	W ²	B	Physical properties (mp °C)
7-31	2-pyridyl	0	Me	Me	0	B48	
7-32	2-pyridyl	0	Me	Me	0	B49	
7-33	2-pyridyl	0	Me	Me	0	B19	
7-34	2-pyridyl	0	Me	Me	0	B20	
7-35	2-pyridyl	0	Me	Me	0	B50	
7-36	2-pyridyl	0	Me	Me	0	B12	
7-37	2-pyridyl	0	Me	Me	0	B13	
7-38	3-pyridyl	0	Me	Me	0	B48	
7-39	3-pyridyl	0	Me	Me	0	B49	
7-40	3-pyridyl	0	Me	Me	0	B19	
7-41	3-pyridyl	0	Me	Me	0	B20	
7-42	3-pyridyl	0	Me	Me	0	B50	
7-43	3-pyridyl	0	Me	Me	0	B12	
7-44	4-pyridyl	0	Me	Me	0	B13	
7-45	4-pyridyl	0	Me	Me	0	B48	
7-46	4-pyridyl	0	Me	Me	0	B49	
7-47	4-pyridyl	0	Me	Me	0	B19	
7-48	4-pyridyl	0	Me	Me	0	B20	
7-49	4-pyridyl	0	Me	Me	0	B50	
7-50	4-pyridyl	0	Me	Me	0	B12	
7-51	4-pyridyl	0	Me	Me	0	B13	
7-52	Indol-3-yl	0	Me	Me	0	B48	
7-53	Indol-3-yl	0	Me	Me	0	B49	
7-54	Indol-3-yl	0	Me	Me	0	B19	
7-55	Indol-3-yl	0	Me	Me	0	B20	
7-56	Indol-3-yl	0	Me	Me	0	B50	
7-57	Indol-3-yl	0	Me	Me	0	B12	
7-58	Indol-3-yl	0	Me	Me	0	B13	
7-59	N-Me-indol-3-yl	0	Me	Me	0	B48	
7-60	N-Me-indol-3-yl	0	Me	Me	0	B49	

TABLE 7 (Continued)

No.	A	W ¹	R ¹	R ²	W ²	B	Physical properties (mp °C)
7-61	N-Me-indol-3-yl	0	Me	Me	0	B19	
7-62	N-Me-indol-3-yl	0	Me	Me	0	B20	
7-63	N-Me-indol-3-yl	0	Me	Me	0	B50	
7-64	N-Me-indol-3-yl	0	Me	Me	0	B12	
7-65	N-Me-indol-3-yl	0	Me	Me	0	B13	
7-66	3-thienyl	0	Me	Me	0	B21	131-133
7-67	Ph(3,4-Cl ₂)	S	Me	Me	0	B21	
7-68	Ph(3,4-Cl ₂)	0	Me	Me	S	B21	
7-69	2-Cl-5-pyridyl	0	Me	Me	0	B21	
7-70	3-pyridyl	0	Me	Me	0	B21	
7-71	2-OMe-5-pyridyl	0	Me	Me	0	B21	
7-72	2-Cl-5-pyridyl	0	Me	Me	0	B16	
7-73	2-OMe-5-pyridyl	0	Me	Me	0	B16	
7-74	5-OMe-2-pyridyl	0	Me	Me	0	B21	
7-75	5-OMe-2-pyridyl	0	Me	Me	0	B16	
7-76	5-Cl-2-pyridyl	0	Me	Me	0	B21	
7-77	5-Cl-2-pyridyl	0	Me	Me	0	B16	

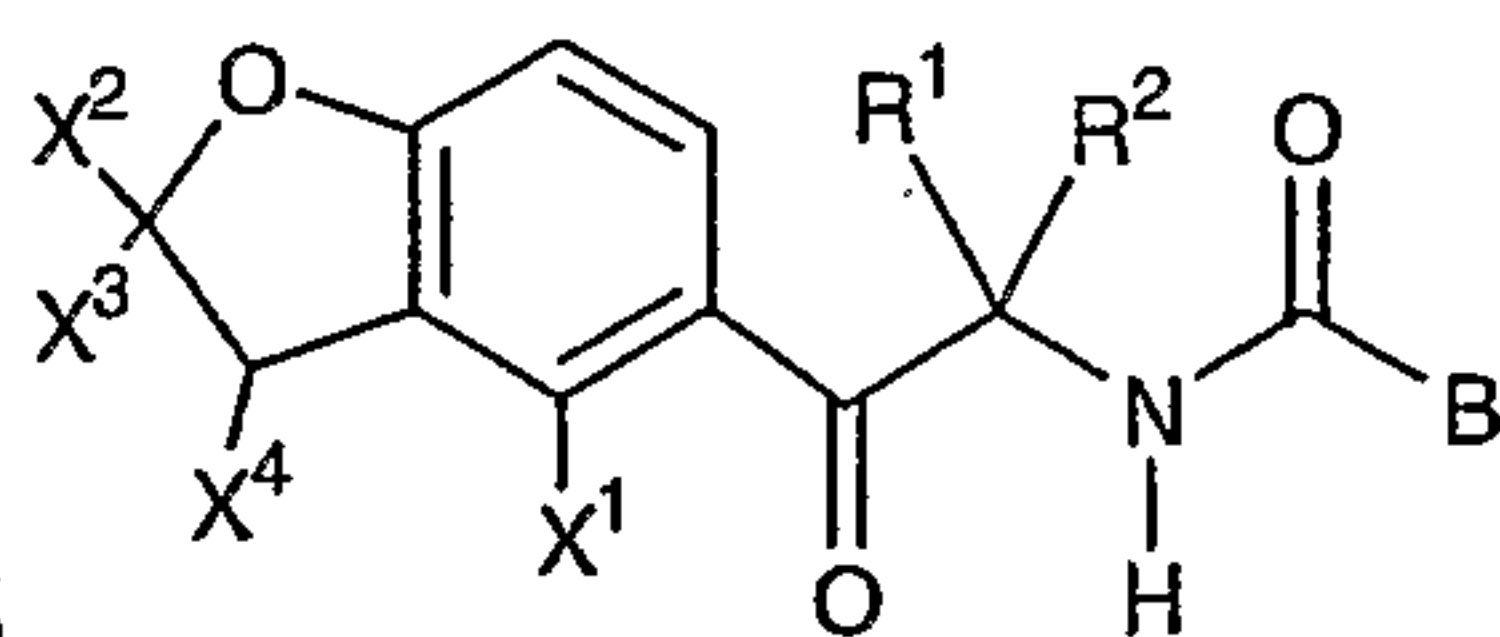


TABLE 8

No.	R ¹	R ²	X ¹	X ²	X ³	X ⁴	B	Physical properties (mp °C)
8-1	Me	Me	Me	F	F	H	B1	
8-2	Me	Me	Me	F	F	H	B5	
8-3	Me	Me	Me	F	F	H	B8	
8-4	Me	Me	Me	F	F	H	B14	
8-5	Me	Me	Me	F	F	H	B16	
8-6	Me	Me	Me	F	F	H	B21	
8-7	Me	Me	Me	F	F	H	B71	
8-8	Me	Me	Me	H	H	H	B1	
8-9	Me	Me	Me	H	H	H	B14	
8-10	Me	Me	Me	H	H	H	B16	
8-11	Me	Me	Me	Me	H	H	B1	
8-12	Me	Me	Me	Me	H	H	B5	
8-13	Me	Me	Me	Me	H	H	B8	
8-14	Me	Me	Me	Me	H	H	B14	
8-15	Me	Me	Me	Me	H	H	B16	
8-16	Me	Me	Me	Me	H	H	B21	
8-17	Me	Me	Me	Me	H	H	B71	
8-18	Me	Me	Me	Me	Me	H	B1	
8-19	Me	Me	Me	Me	Me	H	B5	
8-20	Me	Me	Me	Me	Me	H	B8	
8-21	Me	Me	Me	Me	Me	H	B14	
8-22	Me	Me	Me	Me	Me	H	B16	
8-23	Me	Me	Me	Me	Me	H	B21	
8-24	Me	Me	Me	Me	Me	H	B71	
8-25	Me	Me	H	F	F	H	B5	
8-26	Me	Me	H	F	F	H	B8	
8-27	Me	Me	Me	F	F	Me	B14	
8-28	Me	Me	Me	F	F	Me	B16	
8-29	Me	Me	Me	Me	H	Me	B21	
8-30	Me	Me	Me	Me	Me	Me	B71	

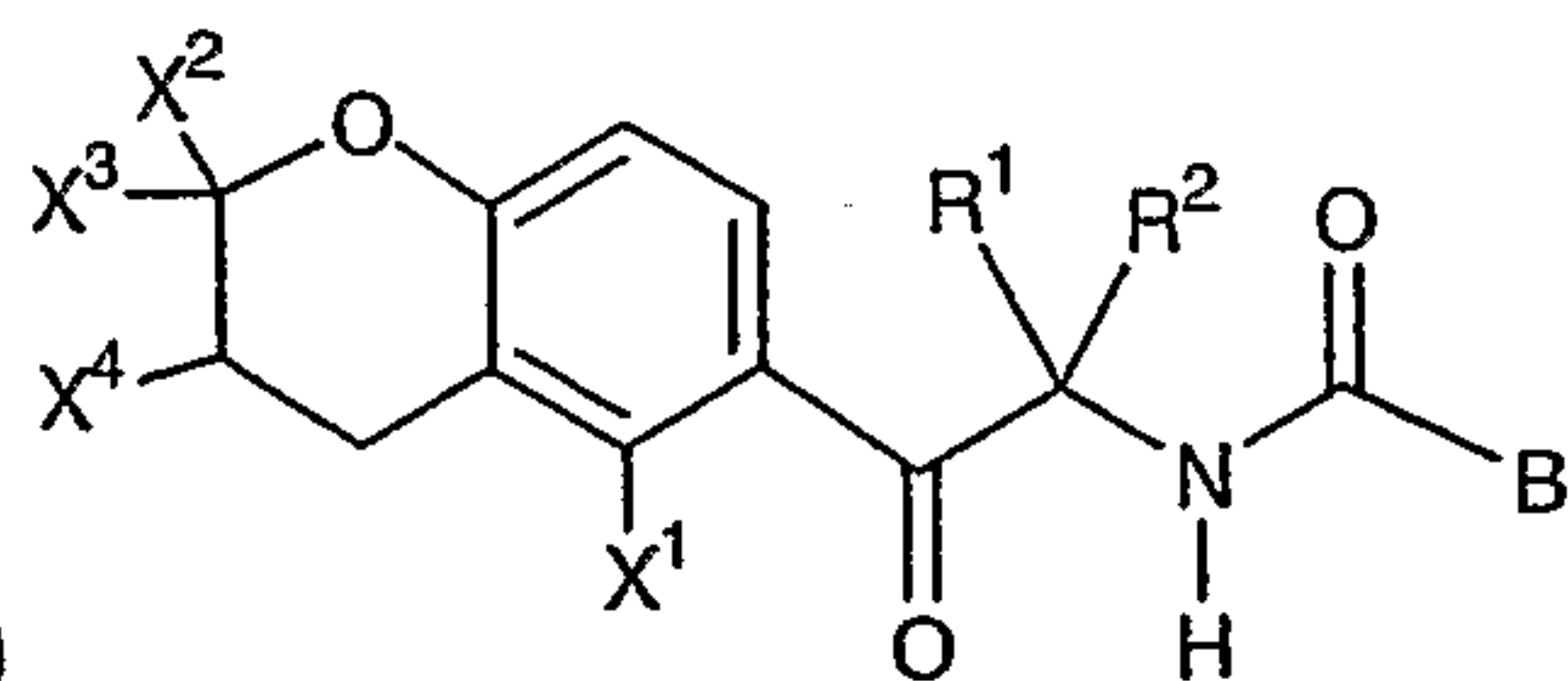


TABLE 9

No.	R ¹	R ²	X ¹	X ²	X ³	X ⁴	B	Physical properties (mp °C)
9-1	Me	Me	Me	F	F	H	B1	
9-2	Me	Me	Me	F	F	H	B5	
9-3	Me	Me	Me	F	F	H	B8	
9-4	Me	Me	Me	F	F	H	B14	
9-5	Me	Me	Me	F	F	H	B16	
9-6	Me	Me	Me	F	F	H	B21	
9-7	Me	Me	Me	F	F	H	B71	
9-8	Me	Me	Me	H	H	H	B1	
9-9	Me	Me	Me	H	H	H	B14	
9-10	Me	Me	Me	H	H	H	B16	
9-11	Me	Me	Me	Me	H	H	B1	
9-12	Me	Me	Me	Me	H	H	B5	
9-13	Me	Me	Me	Me	H	H	B8	
9-14	Me	Me	Me	Me	H	H	B14	
9-15	Me	Me	Me	Me	H	H	B16	
9-16	Me	Me	Me	Me	H	H	B21	
9-17	Me	Me	Me	Me	H	H	B71	
9-18	Me	Me	Me	Me	Me	H	B1	
9-19	Me	Me	Me	Me	Me	H	B5	
9-20	Me	Me	Me	Me	Me	H	B8	
9-21	Me	Me	Me	Me	Me	H	B14	
9-22	Me	Me	Me	Me	Me	H	B16	
9-23	Me	Me	Me	Me	Me	H	B21	
9-24	Me	Me	Me	Me	Me	H	B71	
9-25	Me	Me	H	F	F	H	B5	
9-26	Me	Me	H	F	F	H	B8	
9-27	Me	Me	Me	F	F	Me	B14	
9-28	Me	Me	Me	F	F	Me	B16	
9-29	Me	Me	Me	Me	H	Me	B21	
9-30	Me	Me	Me	Me	Me	Me	B71	

TABLE 10

No.	¹ H-NMR δ ppm (Solvent : CDCl ₃ / 400MHz)
1-21	1.73 (s, 6H), 6.97 (d, 1H), 7.42 (m, 2H), 7.59 (s, 1H), 7.84 (dd, 1H), 8.10 (d, 1H)
1-24	1.56 (s, 6H), 1.71 (s, 3H), 6.44 (s, 1H), 6.71 (dd, 1H), 7.30 (d, 1H), 7.41 (d, 1H), 7.82 (dd, 1H), 8.08 (d, 1H)
1-28	1.76 (s, 6H), 2.34 (s, 3H), 2.40 (s, 3H), 6.83 (m, 2H), 7.23 (m, 3H), 7.74 (m, 3H)
1-29	1.74 (s, 6H), 2.33 (s, 3H), 6.51 (s, 1H), 6.83 (d, 1H), 7.22 (d, 1H), 7.50 (t, 1H), 7.70 (d, 1H), 8.18 (d, 1H), 8.26 (s, 1H)
1-46	1.73 (s, 6H), 3.88 (s, 3H), 6.75 (s, 1H), 7.45 (d, 1H), 7.83 (m, 2H), 8.10 (d, 1H)
1-76	1.71 (s, 6H), 6.70 (t, 1H), 6.90 (d, 1H), 7.42 (m, 3H), 7.81 (dd, 1H), 8.06 (d, 1H)
1-120	1.72 (s, 6H), 2.24 (s, 3H), 2.35 (s, 3H), 2.47 (s, 3H), 6.80 (s, 1H), 6.86 (d, 1H), 7.20 (d, 2H), 7.25 (d, 1H)
1-121	1.76 (s, 6H), 2.34 (s, 3H), 2.53 (s, 3H), 6.88 (d, 1H), 6.94 (s, 1H), 7.09 (d, 1H), 7.24 (d, 1H), 7.28 (d, 1H)
1-123	1.50 (d, 3H), 2.26 (s, 3H), 2.56 (s, 3H), 5.66 (m, 1H), 6.70 (bd, 1H), 7.48 (bd, 1H), 7.96 (d, 2H)
1-136	1.81 (s, 6H), 2.24 (s, 3H), 2.39 (s, 3H), 6.78 (d, 1H), 6.83 (d, 1H), 7.20 (d, 1H), 7.22 (m, 2H), 7.40 (d, 2H), 8.03 (d, 2H)
1-140	1.73 (s, 6H), 2.24 (s, 3H), 2.47 (s, 3H), 6.58 (s, 1H), 6.75 (d, 1H), 7.15 (d, 1H), 7.22 (d, 1H), 7.33 (d, 1H), 7.54 (d, 1H), 7.63 (dd, 1H), 7.91 (dd, 1H), 8.21 (d, 1H)
1-143	1.76 (s, 3H), 2.17 (s, 3H), 2.36 (s, 3H), 6.71 (s, 1H), 6.83 (d, 1H), 7.00 (d, 1H), 7.10 (d, 1H), 7.20 (d, 1H), 7.41 (d, 1H), 7.47 (d, 1H), 7.93 (dd, 1H), 7.96 (d, 1H)
1-144	1.77 (s, 6H), 2.38 (s, 3H), 6.45 (d, 1H), 6.67 (d, 1H), 6.83 (d, 1H), 7.22 (d, 1H), 7.40 (d, 1H), 7.47 (d, 1H), 7.75 (dd, 1H), 7.83 (dd, 1H), 8.26 (d, 1H)
1-148	1.72 (s, 6H), 2.53 (s, 3H), 2.65 (s, 3H), 6.38 (s, 1H), 7.44 (d, 1H), 7.89 (dd, 1H), 8.05 (d, 1H)
1-149	1.74 (s, 6H), 7.45 (d, 1H), 7.74 (s, 1H), 7.82 (dd, 1H), 8.07 (d, 1H)
1-157	1.76 (s, 6H), 7.38 (d, 1H), 7.55 (d, 1H), 7.59 (d, 1H), 7.83 (dd, 1H), 8.09 (d, 1H), 9.98 (s, 1H)
1-179	1.72 (s, 6H), 2.21 (s, 3H), 2.22 (s, 3H), 2.45 (s, 3H), 6.50 (t, 1H), 6.78 (s, 1H), 6.85 (d, 1H), 6.91 (d, 1H), 7.25 (m, 2H)
1-181	1.32 (d, 6H), 1.72 (s, 6H), 2.36 (s, 3H), 2.46 (s, 3H), 2.80 (m, 1H), 6.74 (s, 1H), 6.84-6.92 (m, 2H), 6.98 (s, 1H), 7.25 (d, 1H), 7.52 (d, 1H)

TABLE 10 (Continued)

No.	¹ H-NMR δ ppm (Solvent : CDCl ₃ / 400MHz)
1-184	1.73(s, 6H), 2.46(s, 3H), 3.82(s, 3H), 6.84(d, 1H), 6.85-6.91(m, 3H), 7.00(t, 1H), 7.26(d, 1H), 7.27-7.39(m, 2H)
1-187	1.75(s, 6H), 2.38(s, 3H), 2.43(s, 3H), 4.35(q, 2H), 6.69(s, H), 6.71(dd, 1H), 6.82(d, 1H), 6.86(d, 1H), 7.25(d, 1H), 7.52(d, 1H)
1-189	1.52(d, 3H), 2.57(s, 3H), 5.67(m, 1H), 6.90(d, 1H), 7.0(bd, 1H), 7.31(d, 1H), 7.50(d, 2H), 7.97(d, 2H)
1-231	1.77(s, 6H), 2.25(s, 3H), 2.48(s, 3H), 3.77(s, 3H), 6.85(dd, 1H), 6.87(d, 1H), 6.89(s, 1H), 6.97(d, 1H), 7.15(d, 1H), 7.26(d, 1H)
1-270	1.75(s, 6H), 2.29(s, 3H), 2.36(s, 3H), 2.44(s, 3H), 6.73(s, 1H), 6.86(d, 1H), 6.91(dd, 1H), 6.99(d, 1H), 7.25(d, 1H), 7.51(d, 1H)
1-271	1.31(d, 9H), 1.73(s, 6H), 2.31(s, 3H), 2.44(s, 3H), 6.75(s, 1H), 6.85(d, 2H), 7.17(dd, 1H), 7.25(d, 1H), 7.28(bs, 1H), 7.39(d, 1H)
1-273	0.96(t, 3H), 1.26-1.31(m, 3H), 1.61-1.75(m, 2H), 2.35(s, 3H), 2.44(s, 3H), 4.32(m, 1H), 6.64(dd, 1H), 6.75(d, 1H), 6.85(d, 1H), 6.88(s, 1H), 7.24(d, 1H), 7.47(d, 1H)
1-276	1.75(s, 6H), 2.38(s, 3H), 2.43(s, 3H), 6.71(s, 1H), 6.85(d, 1H), 7.24(d, 1H), 7.32(dd, 1H), 7.34-7.36(m, 3H), 7.43(bs, 1H), 7.51-7.54(m, 2H)
1-282	0.24(s, 9H), 1.73(s, 6H), 2.33(s, 3H), 2.44(s, 3H), 6.68(s, 1H), 6.86(d, 1H), 7.24(dd, 1H), 7.25(d, 1H), 7.36(bs, 1H), 7.42(d, 1H)
1-516	1.78(s, 6H), 2.53(s, 3H), 3.79(s, 3H), 6.76(s, 1H), 6.83(dd, 1H), 6.89(d, 1H), 7.14(d, 1H), 7.29(d, 1H), 7.47(d, 1H)
1-518	1.78(s, 6H), 4.43(s, 2H), 6.96(d, 1H), 7.38(d, 2H), 7.41(d, 1H), 7.80(s, 1H), 7.96(d, 2H)
1-521	1.74(s, 6H), 2.13(s, 6H), 3.34(s, 2H), 6.87(d, 1H), 7.25(d, 2H), 7.32(d, 1H), 7.86(s, 1H), 7.88(d, 2H)
1-536	1.73(s, 6H), 2.48(s, 3H), 6.75(s, 1H), 6.85(d, 1H), 7.22-7.26(m, 2H), 7.32(dt, 1H), 7.55-7.58(m, 2H)
1-539	1.80(s, 6H), 2.30(s, 6H), 3.56(s, 2H), 7.01(d, 1H), 7.39(m, 3H), 7.93(s, 1H), 7.98(d, 2H)
2-4	1.87(s, 6H), 2.45(s, 3H), 3.80(s, 3H), 7.54(m, 2H), 7.69(s, 1H), 7.90(m, 3H), 8.00(d, 1H), 8.50(s, 1H)
6-1	0.75(s, 3H), 1.34(s, 9H), 1.59(s, 3H), 2.57(s, 3H), 6.93(d, 1H), 7.38(d, 1H), 7.39(d, 2H), 7.54(d, 2H),
6-2	0.74(s, 3H), 1.62(s, 3H), 2.04(s, 3H), 2.56(s, 3H), 6.92(d, 1H), 7.35(d, 1H), 7.37(d, 2H), 7.53(d, 2H),
6-3	1.60(s, 6H), 2.04(s, 3H), 2.54(s, 3H), 6.91(d, 1H), 7.29(m, 1H), 7.36(m, 1H), 7.45(d, 1H), 7.54(s, 1H)
7-9	1.60(s, 6H), 2.30(s, 3H), 3.76(s, 3H), 6.67(s, 1H), 6.91(d, 1H), 7.25(d, 1H)

Now, Test Examples for the composition of the present invention will be described. In each test, the controlling index was determined on the basis of the following standards:

5 [Controlling index]:[Degree of disease outbreak:Visual observation]

5 : No lesions nor sporulation recognizable

4 : Area of lesions, length of lesions, number of lesions or area of sporulation is less than 10% of non-
10 treated plot

3 : Area of lesions, length of lesions, number of lesions or area of sporulation is less than 40% of non-treated plot

2 : Area of lesions, length of lesions, number of
15 lesions or area of sporulation is less than 70% of non-treated plot

1 : Area of lesions, length of lesions, number of lesions or area of sporulation is at least 70% of non-treated plot

20 TEST EXAMPLE 1: Test on Preventive Effect Against Wheat Powdery Mildew

Wheat (cultivar: Norin-61-go) was cultivated in a plastic pot having a diameter of 7.5 cm, and when it reached 1.5-leaf stage, 10 ml of a chemical solution
25 having the acid amide derivative of the formula (I) or a salt thereof adjusted to a prescribed concentration, was applied by a spray gun. After the chemical solution

dried (the same day as the application), conidia of Erysiphe graminis were dusted and inoculated and maintained in a constant temperature chamber at 20°C. From 6 to 7 days after the inoculation, the area of sporulation was investigated, and the controlling index was determined in accordance with the above evaluation standards. The test was carried out with respect to the above compounds No. 1-13, 1-29, 1-39, 1-54, 1-90, 1-96, 1-100, 1-101, 1-106, 1-107, 1-109, 1-124, 1-125, 1-127, 1-148, 1-152, 1-156, 1-174, 1-175, 1-190, 1-205, 1-516, 3-4, 3-9, 3-10, 3-12, 3-19, 3-20, 4-19, 6-1 and 7-2, and all compounds showed effects with a controlling index of 4 or 5 at a concentration of 500 ppm.

TEST EXAMPLE 2: Test on Preventive Effect Against
Cucumber Powdery Mildew

Cucumber (cultivar: Sagamihanpaku) was cultivated in a plastic pot having a diameter of 7.5 cm, and when it reached 1.5-leaf stage, 10 ml of a chemical solution having the acid amide derivative of the formula (I) or a salt thereof adjusted to a prescribed concentration, was applied by a spray gun. After the chemical solution dried (the same day as the application or the next day), a suspension of conidia of Sphaerotheca fuliginea was sprayed and inoculated and maintained in a constant temperature chamber at 20°C. From 6 to 7 days after the inoculation, the area of sporulation was investigated, and the controlling index was determined in accordance

140

with the above evaluation standards. The test was carried out with respect to the above compounds No. 1-6, 1-9, 1-11, 1-14, 1-15, 1-17 to 1-22, 1-27 to 1-29, 1-32, 1-33, 1-36, 1-39, 1-41 to 1-43, 1-47, 1-53 to 1-56, 1-62
5 to 1-64, 1-66, 1-73, 1-77, 1-79, 1-90, 1-93, 1-97 to 1-104, 1-106 to 1-108, 1-111, 1-115, 1-119, 1-120, 1-124, 1-127, 1-129, 1-131, 1-148, 1-150, 1-152, 1-156, 1-160, 1-161, 1-164, 1-165, 1-167, 1-170, 1-172, 1-174, 1-175, 1-190, 1-205, 1-516, 2-1, 2-14, 3-2, 3-4, 3-6, 3-9, 3-10,
10 3-12, 3-19, 3-20, 3-23, 4-10 and 6-1 to 6-3, and all compounds showed effects with a controlling index of 4 or 5 at a concentration of 500 ppm. The test was carried out with respect to the above compound No. 1-34, it showed an effect with a controlling index of 4 at a
15 concentration of 200 ppm.

TEST EXAMPLE 3: Test on Preventive Effect Against Rice Blast

Rice (cultivar: Nihonbare) was cultivated in a plastic pot having a diameter of 7.5 cm, and when it
20 reached 1.5-leaf stage, 10 ml of a chemical solution having the acid amide derivative of the formula (I) or a salt thereof adjusted to a prescribed concentration, was applied by a spray gun. After the chemical solution dried (the same day as the application or the next day),
25 a suspension of conidia of Pyricularia oryzae was sprayed and inoculated and maintained in an inoculation box at 20°C for 24 hours and thereafter maintained in a constant

141

temperature chamber at 20°C. From 5 to 7 days after the inoculation, the number of lesions were investigated, and the controlling index was determined in accordance with the above evaluation standards. The test was carried out with respect to the above compounds No. 1-6, 1-9, 1-13, 1-14, 1-28, 1-45, 1-47, 1-52, 1-53, 1-55, 1-56, 1-62, 1-63, 1-66, 1-75, 1-77, 1-79, 1-109, 1-119, 1-164, 2-1, 2-2, 3-25 and 4-19, and all compounds showed effects with a controlling index of 4 or 5 at a concentration of 500 ppm.

TEST EXAMPLE 4: Test on Preventive Effect Against Kidney Bean Gray Mold

Kidney bean (cultivar: Taisyou Kintoki) was cultivated in a plastic pot having a diameter of 15 cm, and when the main leaf developed sufficiently, 10 ml of a chemical solution having the acid amide derivative of the formula (I) or a salt thereof adjusted to a prescribed concentration, was applied by a spray gun. After the chemical solution dried (the same day as the application or the next day), a suspension of spores of Botrytis cinerea (potato-glucose extract diluted to 50% with water) was inoculated and maintained in a constant temperature chamber at 20°C. Three days after the inoculation, the length of lesions (mm) was investigated, and the controlling index was determined in accordance with the above evaluation standards. The test was carried out with respect to the above compounds No. 1-11,

142

1-15, 1-17, 1-20, 1-22, 1-27, 1-41, 1-43, 1-52, 1-80, 1-99, 1-102, 1-112 to 1-115, 1-117, 1-118, 1-120, 1-125, 1-131, 1-136, 1-160, 1-162, 1-169, 1-172, 1-176, 1-180, 1-182, 1-186 to 1-189, 1-273, 2-2, 2-9, 2-13, 2-14 and 7-6, and all compounds showed effects with a controlling index of 4 or 5 at a concentration of 500 ppm.

TEST EXAMPLE 5: Test on Preventive Effect Against Kidney Bean Stem Rot

Kidney bean (cultivar: Taisyou Kintoki) was cultivated in a plastic pot having a diameter of 15 cm, and when the main leaf developed sufficiently, 10 ml of a chemical solution having the acid amide derivative of the formula (I) or a salt thereof adjusted to a prescribed concentration, was applied by a spray gun. After the chemical solution dried (the same day as the application or the next day), mycelial disc of Sclerotinia sclerotiorum was inoculated and maintained in a constant temperature chamber at 20°C. Three days after the inoculation, the length of lesions (mm) was investigated, and the controlling index was determined in accordance with the above evaluation standards. The test was carried out with respect to the above compounds 1-1, 1-4, 1-7, 1-10, 1-16, 1-18, 1-19, 1-21, 1-26, 1-30 to 1-33, 1-36, 1-38, 1-42, 1-44, 1-46, 1-57, 1-60, 1-64, 1-69, 1-71, 1-73, 1-75, 1-80, 1-86, 1-93, 1-96 to 1-98, 1-103 to 1-105, 1-108, 1-111 to 1-114, 1-117, 1-118, 1-123, 1-126, 1-128, 1-129, 1-133 to 1-136, 1-141 to 1-144, 1-146, 1-

143

149, 1-150, 1-159, 1-161 to 1-163, 1-165 to 1-171, 1-176,
1-180, 1-181, 1-186, 1-188, 1-208, 1-209, 1-271, 1-273,
1-276, 1-535, 2-1, 2-3, 2-4, 2-10, 2-11, 2-13, 3-2, 3-3,
3-6, 3-23, 3-26, 4-10, 6-2, 6-3, 7-2, 7-4, 7-6 to 7-8,
5 and 7-66, and all compounds showed effects with a
controlling index of 4 or 5 at a concentration of 500
ppm.

TEST EXAMPLE 6: Test on Preventive Effect Against Wheat
Glume Blotch

10 Wheat (cultivar: Norin-61-go) was cultivated in a
plastic pot having a diameter of 7.5 cm, and when it
reached 1.5-leaf stage, 10 ml of a chemical solution
having the acid amide derivative of the formula (I) or a
salt thereof adjusted to a prescribed concentration, was
15 applied by a spray gun. After the chemical solution
dried (the same day as the application), a suspension of
conidia of Septoria nodorum was sprayed and inoculated
and maintained in an inoculation box at 20°C for 72 hours
and thereafter maintained in a constant temperature
20 chamber at 20°C. From 5 to 10 days after the
inoculation, the number of lesions was investigated, and
the controlling index was determined in accordance with
the above evaluation standards. The test was carried out
with respect to the above compounds No. 1-179 and 1-189,
25 and all compounds showed effects with a controlling index
of 4 or 5 at a concentration of 500 ppm.

TEST EXAMPLE 7: Test on Preventive Effect Against Rice

144

Sheath Blight

Rice (cultivar: Nihonbare) was cultivated in a plastic pot having a diameter of 7.5 cm, and when it reached 5-leaf stage, 10 ml of a chemical solution having the acid amide derivative of the formula (I) or a salt thereof adjusted to a prescribed concentration, was applied by a spray gun. After the chemical solution dried (the same day as the application or next day), mycelial disc of Rhizoctonia solani preliminarily cultured, was inserted in a leaf sheath and fixed by a string, and maintained in an inoculation box at 25°C. From 5 to 7 days after the inoculation, the length of lesions was investigated, and the controlling index was determined in accordance with the above evaluation standards. The test was carried out with respect to the above compounds No. 1-130, 1-137 and 3-3, and all compounds showed effects with a controlling index of 4 or 5 at a concentration of 500 ppm.

Now, Formulation Examples of the composition of the present invention will be described below. However, the weight ratio, type of formulation or the like is by no means restricted to the following Examples.

FORMULATION EXAMPLE 1

(1) Compound of the formula (I)	20 parts by weight
(2) Clay	72 parts by weight
(3) Sodium lignin sulfonate	8 parts by weight

145

The above components are uniformly mixed to obtain a wettable powder.

FORMULATION EXAMPLE 2

- | | | |
|---|---------------------------------|--------------------|
| | (1) Compound of the formula (I) | 5 parts by weight |
| 5 | | |
| | (2) Talc | 95 parts by weight |

The above components are uniformly mixed to obtain a dust.

FORMULATION EXAMPLE 3

- | | | |
|----|--|--------------------|
| 10 | (1) Compound of the formula (I) | 20 parts by weight |
| | | |
| | (2) N,N'-dimethylacetamide | 20 parts by weight |
| | (3) Polyoxyethylene alkyl phenyl ether | 10 parts by weight |
| | | |
| 15 | (4) Xylene | 50 parts by weight |

The above components are uniformly mixed and dissolved to obtain an emulsifiable concentrate.

FORMULATION EXAMPLE 4

- | | | |
|----|--|--------------------|
| | (1) Clay | 68 parts by weight |
| 20 | (2) Sodium lignin sulfonate | 2 parts by weight |
| | (3) Polyoxyethylene alkyl aryl sulfate | 5 parts by weight |
| | | |
| | (4) Fine silica | 25 parts by weight |

A mixture of the above components and the compound of the formula (I) are mixed in a weight ratio of 4:1 to obtain a wettable powder.

146

FORMULATION EXAMPLE 5

(1) Compound of the formula (I)

50 parts by weight

(2) Oxylated polyalkylphenyl phosphate-

5 triethanolamine 2 parts by weight

(3) Silicone 0.2 part by weight

(4) Water 47.8 parts by weight

The above components are uniformly mixed and
pulverized to obtain a stock solution, and

10 (5) Sodium polycarboxylate 5 parts by weight

(6) Anhydrous sodium sulfate 42.8 parts by weight

are further added thereto, followed by uniform mixing,
granulation and drying to obtain a water-dispersible
granules.

15 FORMULATION EXAMPLE 6

(1) Compound of the formula (I)

5 parts by weight

(2) Polyoxyethylene octylphenyl ether

1 part by weight

20 (3) Phosphate of polyoxyethylene

0.1 part by weight

(4) Particulate calcium carbonate

93.9 parts by weight

The above components (1) to (3) are preliminarily
25 mixed uniformly and diluted with a proper amount of
acetone, the diluted mixture is sprayed on the component
(4), and acetone is removed to obtain granules.

FORMULATION EXAMPLE 7

(1) Compound of the formula (I)

2.5 parts by weight

(2) *N*-methyl-2-pyrrolidone

2.5 parts by weight

5 (3) Soybean oil

95.0 parts by weight

The above components are uniformly mixed and dissolved to obtain an ultra low volume formulation.

FORMULATION EXAMPLE 8

(1) Compound of the formula (I)

10 20 parts by weight

(2) Oxylated polyalkylphenol phosphate

triethanolamine

2 parts by weight

(3) Silicone

0.2 part by weight

(4) Xanthan gum

0.1 part by weight

15 (5) Ethylene glycol

5 parts by weight

(6) Water

72.7 parts by weight

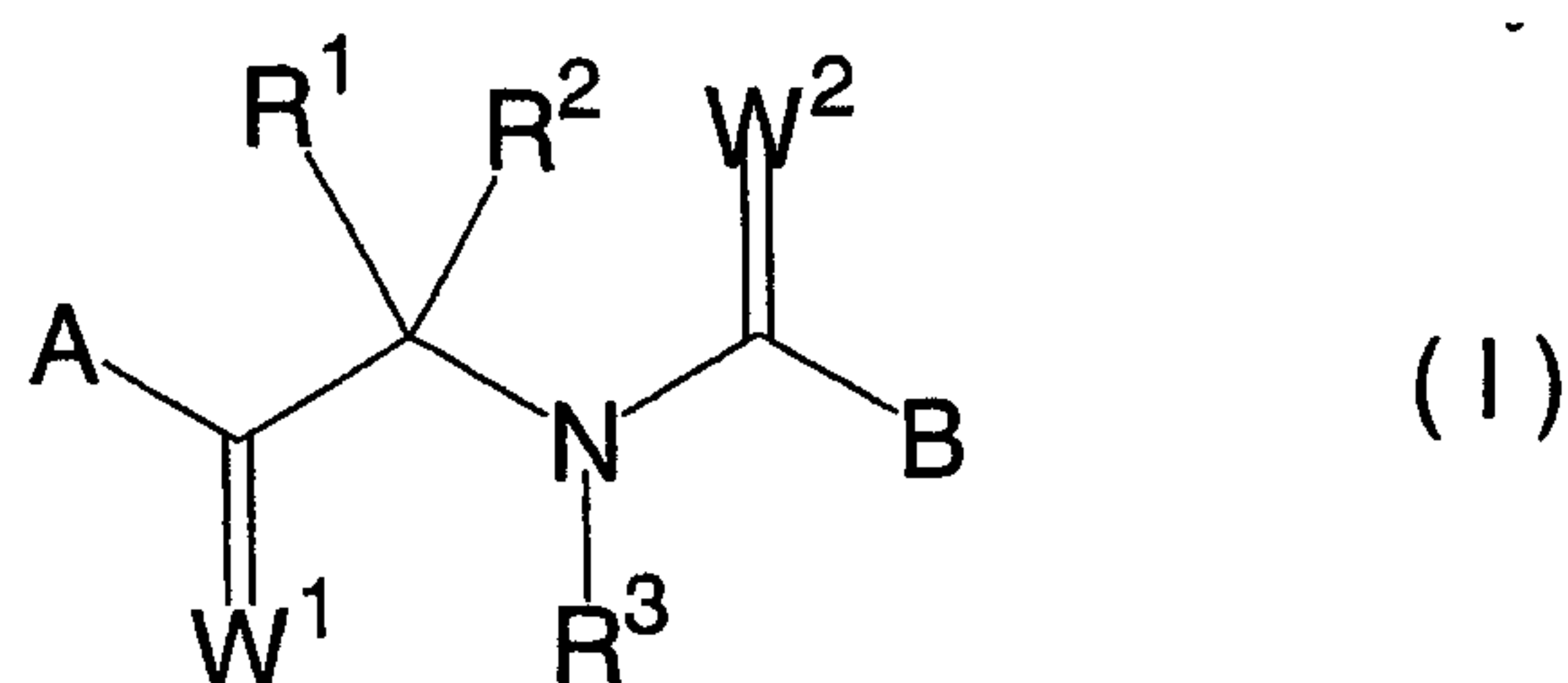
The above components are uniformly mixed and pulverized to obtain a water-based suspension concentrate.

71416-357

148

CLAIMS:

1. A fungicidal composition containing
an acid amide derivative of the formula (I):



- 5 or a salt thereof,

- wherein A is phenyl which may be substituted by X, benzodioxolanyl which may be substituted by X, or benzodioxanyl which may be substituted by X; B is furyl which may be substituted by Y, or thienyl which may be substituted by Y;
- 10 each of R^1 and R^2 is alkyl or R^1 and R^2 together form a 3- to 6-membered saturated carbocyclic ring; X is fluorine, chlorine, iodine, alkyl, haloalkyl, alkoxy or haloalkoxy; Y is halogen, alkyl, haloalkyl, alkoxy or haloalkoxy; R^3 is hydrogen; and each of W^1 and W^2 is oxygen,
- 15 and a fungicidal adjuvant.

2. The fungicidal composition according to claim 1, wherein B is furyl substituted by Y defined as in claim 1.
3. The fungicidal composition according to claim 1, wherein B is thienyl substituted by Y defined as in claim 1.
- 20 4. A mixed fungicidal composition comprising an acid amide derivative of formula (I) as defined in claim 1, or a

71416-357

149

salt thereof, and an additional fungicidally active ingredient compound.

5. The mixed fungicidal composition according to claim 4, wherein said additional fungicidally active ingredient
5 compound is at least one member selected from the group consisting of an anilinopyrimidine compound, a pyridinamine compound, an azole compound, a quinoxaline compound, a dithiocarbamate compound, an organic chlorine compound, an imidazole compound, a cyano acetamide compound, a phenylamide
10 compound, a sulfenic acid compound, a copper compound, an isoxazole compound, an organic phosphorus compound, an N-halogenothioalkyl compound, a dicarboxyimide compound, a benzanilide compound, an anilide compound, a piperazine compound, a pyridine compound, a carbinol compound, a
15 piperidine compound, a morpholine compound, an organic tin compound, an urea compound, a cinnamic acid compound, a phenylcarbamate compound, a cyanopyrrole compound, a strobilurin compound, an oxazolidinone compound, a thiazolecarboxamide compound, a silylamide compound, an amino
20 acid amide carbamate compound, an imidazolidine compound, a hydroxyanilide compound, a benzenesulfonamide compound, an oxime ether compound, a phenoxyamide compound, an antibiotic, a guanidine compound, isoprothiolane, pyroquilon, diclomezine, quinoxifen, propamocarb hydrochloride, spiroxamine,
25 chloropicrin, dazomet, metam-sodium, nicobifen, metrafenone, MTF-753, UBF-307, diclocymet, proquinazid, NC-224, KIF-7767 and mandipropamid.

6. A method for controlling noxious fungi, which comprises applying an effective amount of an acid amide

71416-357

150

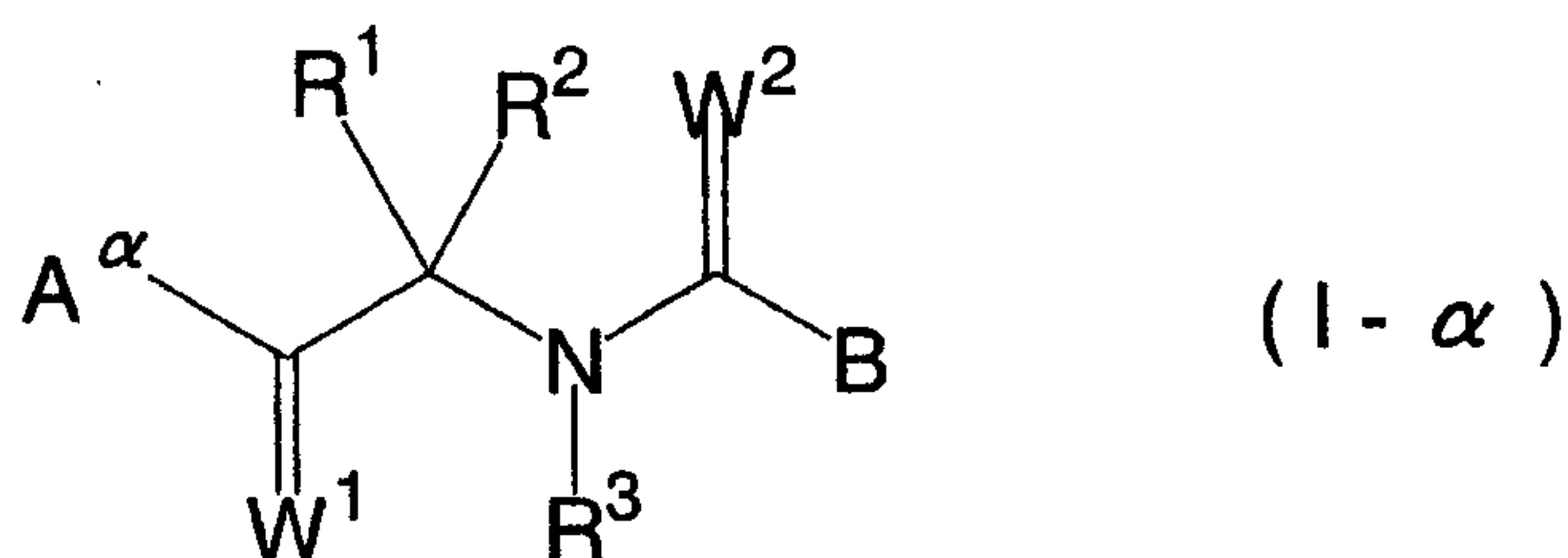
derivative of formula (I) as defined in claim 1, or a salt thereof, to a locus in need thereof.

7. A method for controlling plant diseases, which comprises applying an effective amount of an acid amide derivative of formula (I) as defined in claim 1, or a salt thereof, to a locus in need thereof.

8. A method for protecting crop plants, which comprises applying an effective amount of an acid amide derivative of formula (I) as defined in claim 1, or a salt thereof, to a locus in need thereof.

9. A method for improving crop yields, which comprises applying an effective amount of an acid amide derivative of formula (I) as defined in claim 1, or a salt thereof, to a locus in need thereof.

10. An acid amide derivative of the formula (I- α):



or a salt thereof,

wherein A^α is phenyl which may be substituted by X^α , benzodioxolanyl which may be substituted X^α , or benzodioxanyl which may be substituted X^α ; B is furyl which may be substituted by Y, or thienyl which may be substituted by Y; each of R^1 and R^2 is alkyl or R^1 and R^2 may together form a 3- to 6-membered saturated carbocyclic ring; X^α is fluorine,

71416-357

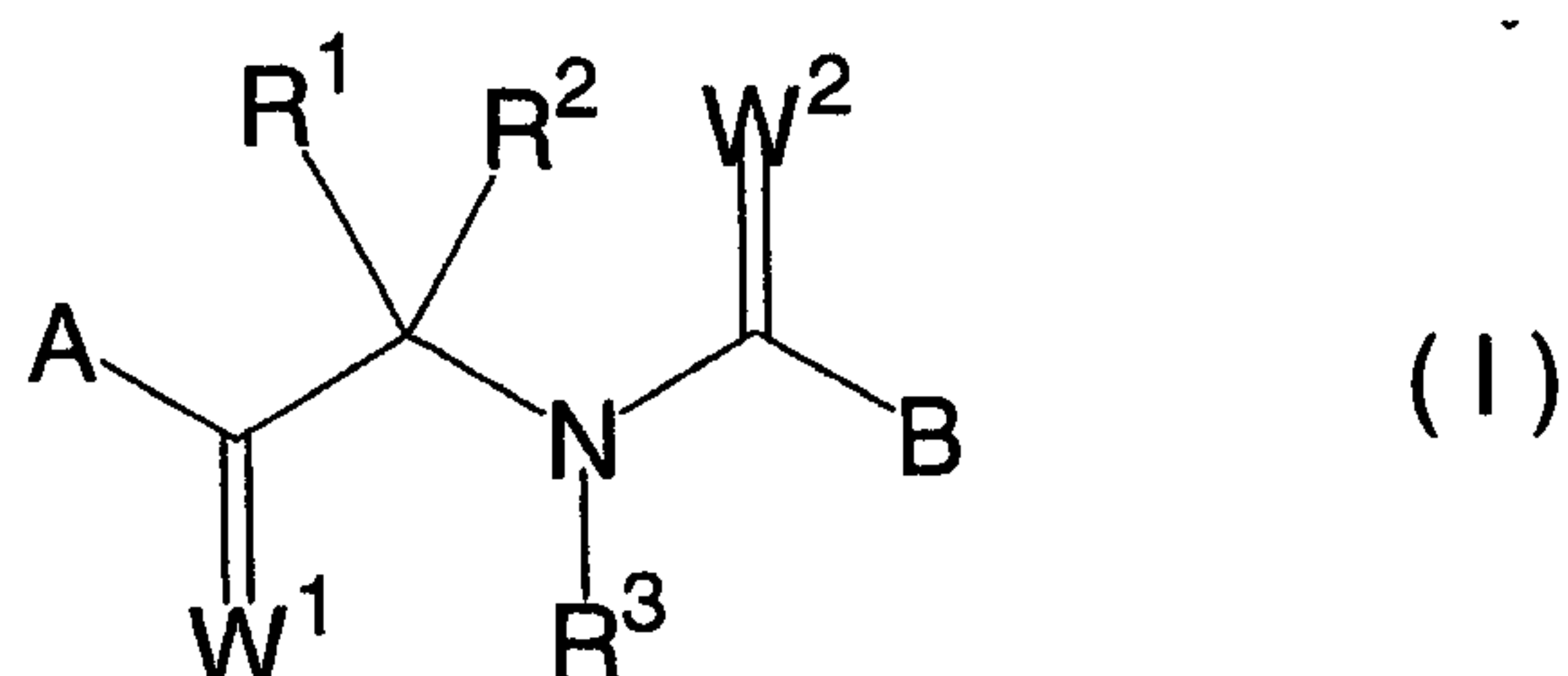
151

chlorine, iodine, alkyl, haloalkyl, alkoxy, or haloalkoxy; Y is halogen, alkyl, haloalkyl, alkoxy or haloalkoxy; R³ is hydrogen; and each of W¹ and W² is oxygen.

11. The acid amide derivative as defined in claim 10, or
5 a salt thereof, wherein B is furyl substituted by Y defined as in claim 10.

12. The acid amide derivative as defined in claim 10, or a salt thereof, wherein B is thienyl substituted by Y defined as in claim 10.

10 13. Use of an acid amide derivative of formula (I):



or a salt thereof, as a agricultural or horticultural fungicide for controlling noxious fungi selected from Oomycetes, Ascomycetes, Basidiomycetes or Deuteromycetes,

15 wherein

A is phenyl which may be substituted by X, naphthyl which may be substituted by X, heterocyclic ring which may be substituted by X, or fused heterocyclic ring which may be substituted by X;

20 B is a 5-membered heterocyclic ring, which is substituted by Y;

71416-357

152

X is halogen, alkyl, haloalkyl, alkoxyalkyl, dialkylaminoalkyl, alkynyl, trialkylsilylalkynyl, hydroxy, alkoxy, haloalkoxy, alkoxyalkoxy, cycloalkyl, nitro, phenyl, phenylalkynyl, pyridyloxy which may be substituted by

5 haloalkyl, alkylcarbonyloxy, alkylsulfonyloxy, or heterocyclic ring which may be substituted by halogen, alkyl, or alkylcarbonyl;

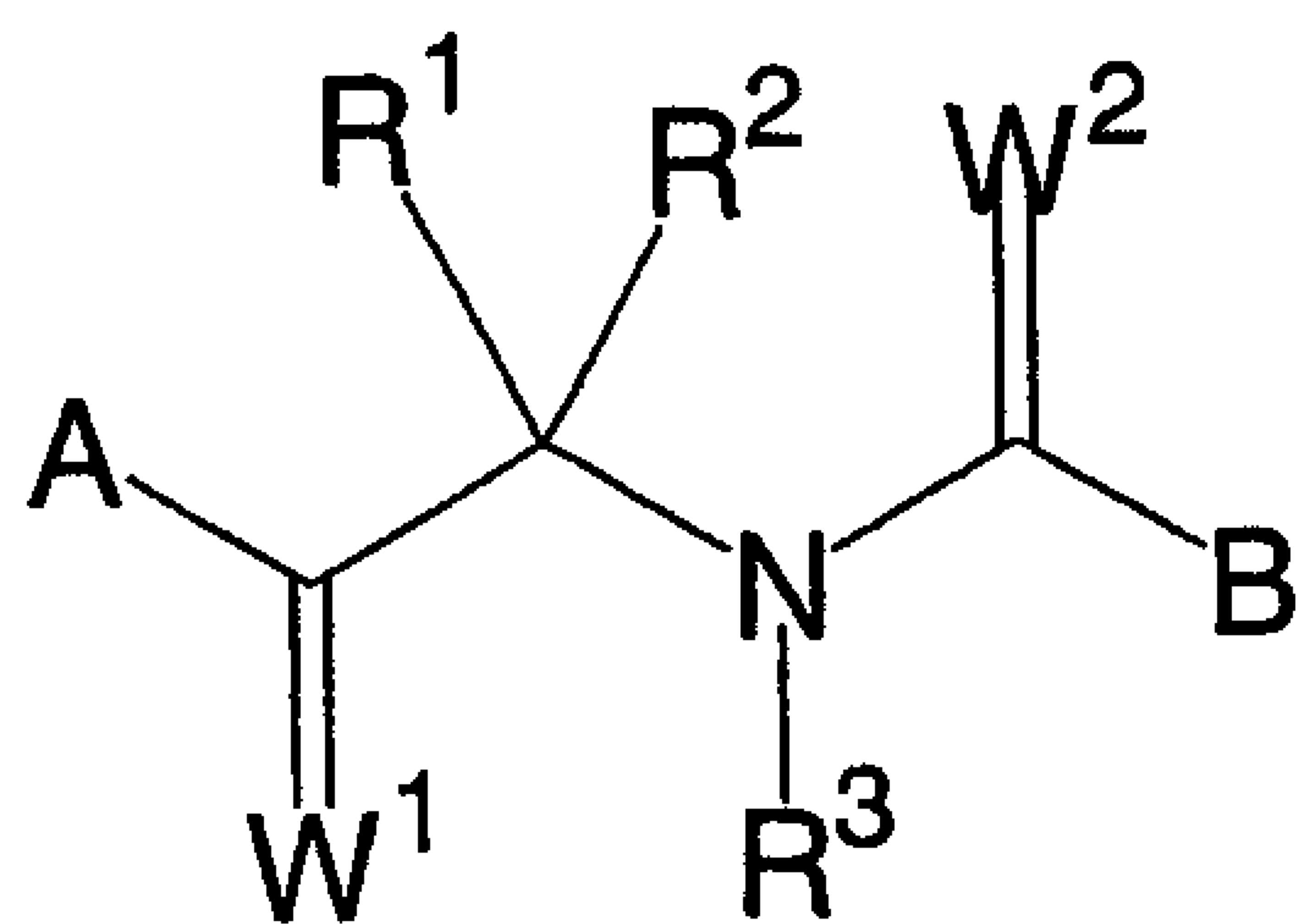
Y is halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, cycloalkyl, or formyl;

10 each of R^1 and R^2 , which are independent of each other, is hydrogen or alkyl;

R^3 is hydrogen, alkyl, alkylcarbonyl, or alkoxy carbonyl;

15 and each of W^1 and W^2 , which are independent of each other, is oxygen or sulfur.

14. The use of an acid amide derivative according to claim 13, wherein the noxious fungi is Ascomycetes or Deuteromycetes.



(1)