



(86) Date de dépôt PCT/PCT Filing Date: 2002/12/05  
(87) Date publication PCT/PCT Publication Date: 2003/06/12  
(45) Date de délivrance/Issue Date: 2011/01/25  
(85) Entrée phase nationale/National Entry: 2004/03/09  
(86) N° demande PCT/PCT Application No.: IB 2002/005148  
(87) N° publication PCT/PCT Publication No.: 2003/047347  
(30) Priorité/Priority: 2001/12/07 (GB0129391.9)

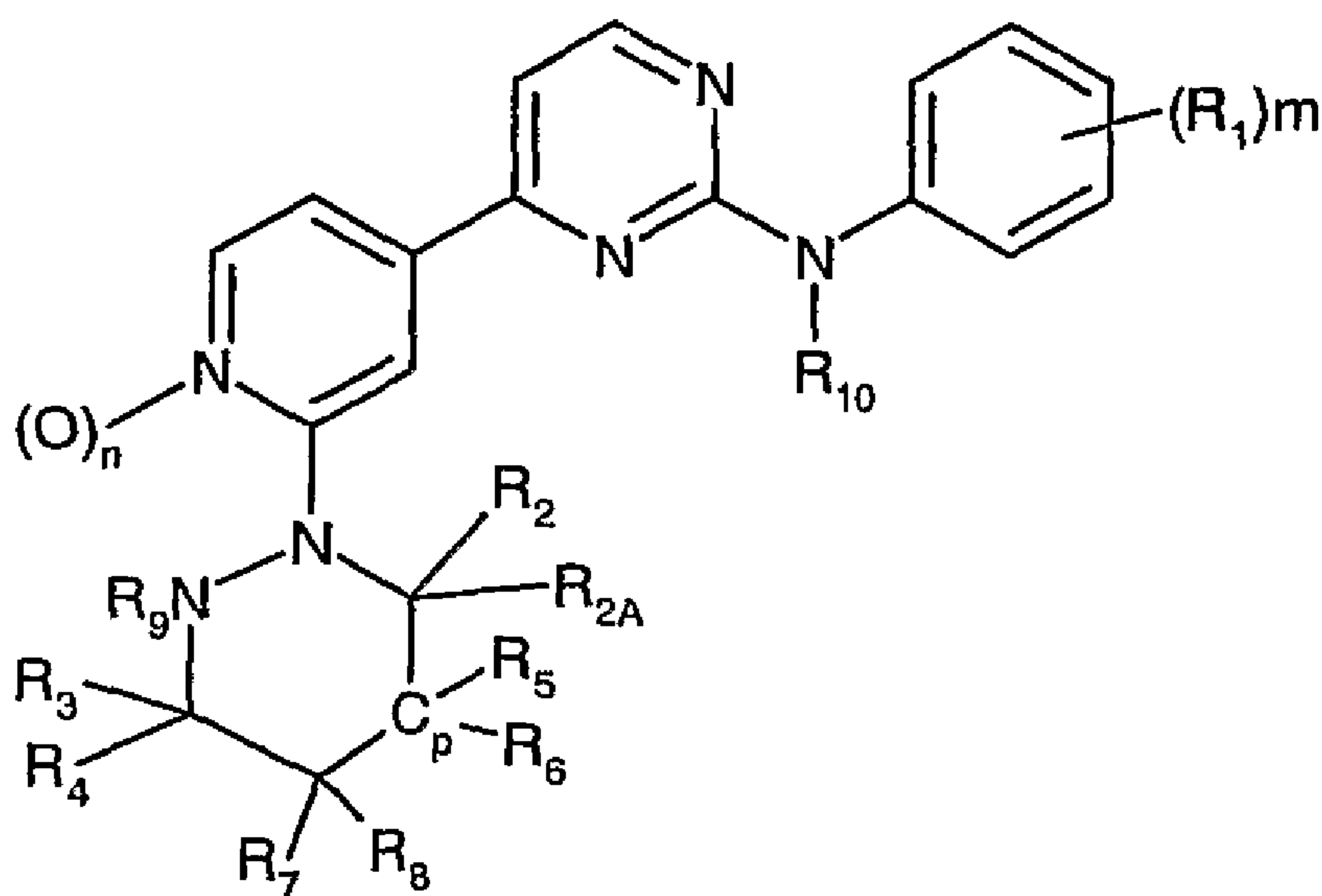
(51) Cl.Int./Int.Cl. *C07D 401/14* (2006.01),  
*A01N 43/56* (2006.01), *A01N 43/58* (2006.01),  
*A01P 3/00* (2006.01), *C07D 405/14* (2006.01)

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(54) Titre : DERIVES DE N-PHENYL-N-[4-(4-PYRIDYL)-2-PYRIMIDIN-2-YL]-AMINE, MICROBICIDES  
(54) Title: MICROBIOCIDAL N-PHENYL-N-[4-(4-PYRIDYL)-2-PYRIMIDIN-2-YL]-AMINE DERIVATIVES



(I)

(57) Abrégé/Abstract:

Fungicidal compounds of Formula (I) wherein m is 0, 1, 2 or 3 ; n and p are independently of each other 0 or 1; R<sub>1</sub> is halogen, optionally substituted alkyl, optionally substituted alkoxy, optionally substituted alkenyloxy, optionally substituted alkynyloxy, optionally substituted thioalkyl optionally substituted aryl, COOR<sub>11</sub>, CONR<sub>12</sub>R<sub>13</sub>, S(O)<sub>q</sub>R<sub>14</sub>, SO<sub>2</sub>NR<sub>15</sub>R<sub>16</sub> or NR<sub>15a</sub>R<sub>16a</sub>; q is 1 or 2; and R<sub>2</sub>, R<sub>2a</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>11</sub>, R<sub>12</sub>, R<sub>13</sub>, R<sub>14</sub>, R<sub>15</sub>, R<sub>16</sub>, R<sub>15a</sub>, R<sub>16a</sub>, are specified organic groups or a salt thereof; their preparation and compositions containing them.

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

(19) World Intellectual Property Organization  
International Bureau(43) International Publication Date  
12 June 2003 (12.06.2003)

PCT

(10) International Publication Number  
**WO 03/047347 A1**(51) International Patent Classification<sup>7</sup>: **A01N 43/56**,  
43/58, C07D 401/14Basel (CH). **WENGER, Jean-Frederic** [CH/CH];  
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(21) International Application Number: PCT/IB02/05148

(22) International Filing Date: 5 December 2002 (05.12.2002)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
0129391.9 7 December 2001 (07.12.2001) GB(71) Applicant (for all designated States except US): **SYNGENTA PARTICIPATIONS AG** [CH/CH]; Intellectual  
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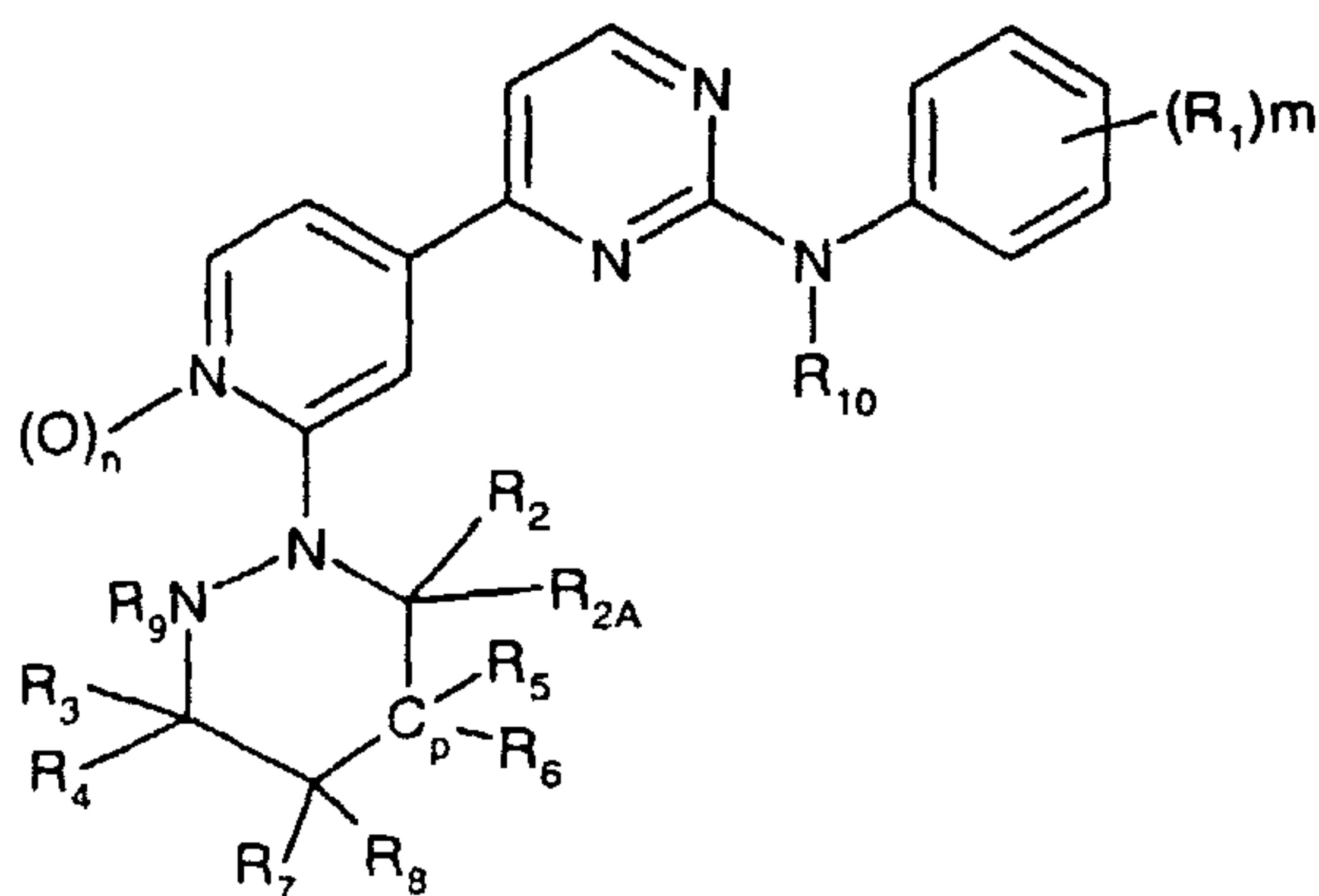
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6YA (GB).(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,  
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,  
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,  
MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG,  
SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN,  
YU, ZA, ZM, ZW.(84) Designated States (*regional*): ARIPO patent (GH, GM,  
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),  
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK,  
TR), OAPI patent (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 "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: MICROBIOCIDAL N-PHENYL-N-[4-(4-PYRIDYL)-2-PYRIMIDIN-2-YL]-AMINE DERIVATIVES



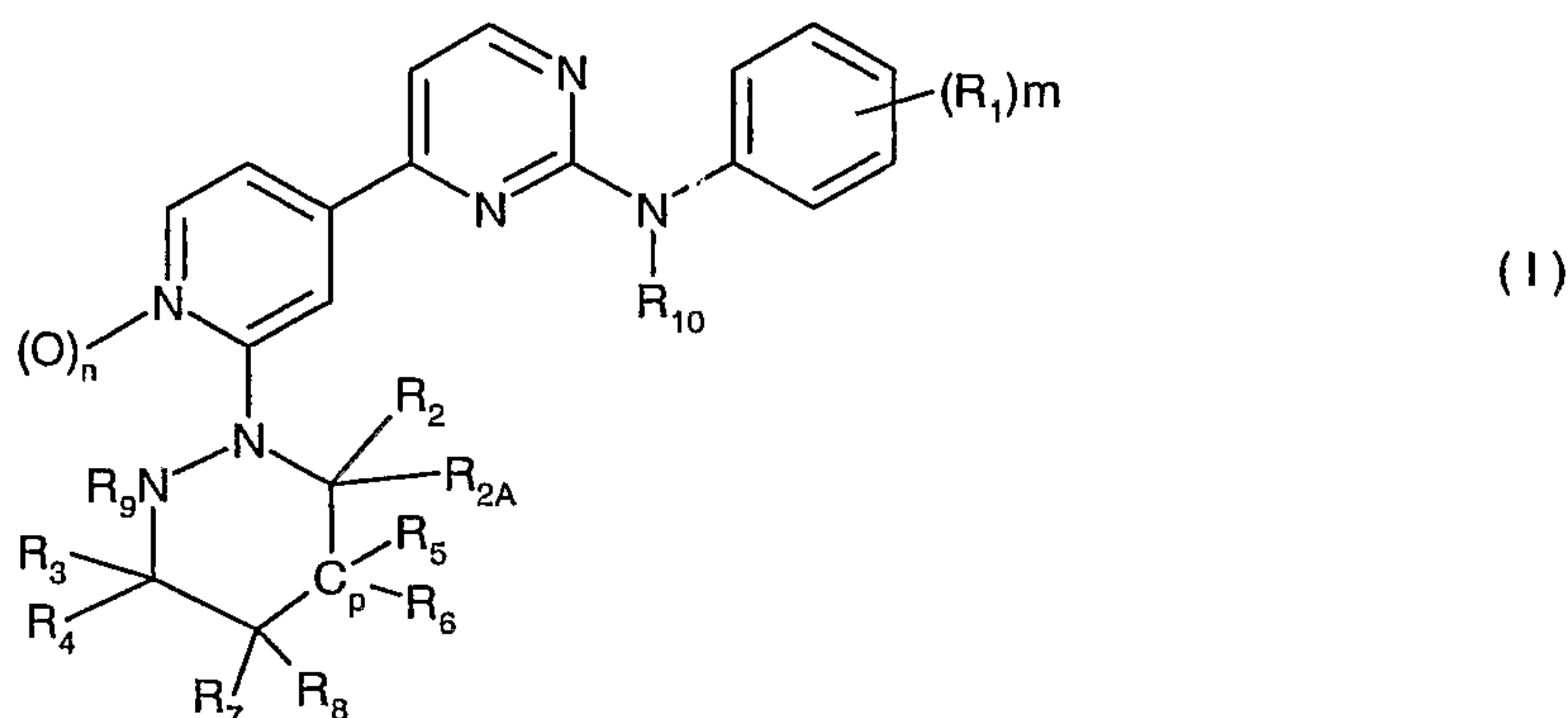
(I)

(57) Abstract: Fungicidal compounds of Formula (I)  
wherein m is 0, 1, 2 or 3 ; n and p are independently of  
each other 0 or 1; R<sub>1</sub> is halogen, optionally substituted  
alkyl, optionally substituted alkoxy, optionally substituted  
alkenyloxy, optionally substituted alkynyloxy, optionally  
substituted thioalkyl optionally substituted aryl, COOR<sub>11</sub>,  
CONR<sub>12</sub>R<sub>13</sub>, S(O)<sub>q</sub>R<sub>14</sub>, SO<sub>2</sub>NR<sub>15</sub>R<sub>16</sub> or NR<sub>15a</sub>R<sub>16a</sub>; q is 1 or  
2; and R<sub>2</sub>, R<sub>2a</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>11</sub>, R<sub>12</sub>, R<sub>13</sub>,  
R<sub>14</sub>, R<sub>15</sub>, R<sub>16</sub>, R<sub>15a</sub>, R<sub>16a</sub>, are specified organic groups or a  
salt thereof; their preparation and compositions containing  
them.

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Certain N-phenyl-4-(4-pyridyl)-2-pyrimidineamine derivatives have been described in the art as having pharmacological properties e.g. in the PCT patent applications WO 95/09851 and WO 95/09853, as tumor-inhibiting anti-cancer substances and in WO 97/19065 and WO98/18782 for the treatment of immune diseases.

20           The novel N-phenyl-[4-(4-pyridyl)-pyrimidin-2-yl]-amine derivatives according to the invention are those of the formula I



R<sub>1</sub> is halogen, optionally substituted alkyl, optionally substituted alkoxy, optionally substituted alkenyloxy, optionally substituted alkynyloxy, optionally substituted thioalkyl

optionally substituted aryl,  $\text{COOR}_{11}$ ,  $\text{CONR}_{12}\text{R}_{13}$ ,  $\text{S(O)}_q\text{R}_{14}$ ,  $\text{SO}_2\text{NR}_{15}\text{R}_{16}$  or  $\text{NR}_{15a}\text{R}_{16a}$ ; when there is more than one  $\text{R}_1$  group, they may be the same or different;

$q$  is 1 or 2;

$\text{R}_2, \text{R}_{2a}, \text{R}_3, \text{R}_4, \text{R}_5, \text{R}_6, \text{R}_7, \text{R}_8$  are each independently hydrogen, optionally substituted alkyl,  $\text{COR}_{17}$ ,  $\text{COOR}_{18}$  or optionally substituted aryl, and in addition  $\text{R}_2$  and  $\text{R}_3$  may also independently be optionally substituted alkoxy, optionally substituted alkenyloxy, optionally substituted alkynyloxy, or optionally substituted alkylthio,  $\text{COOR}_{19}$ ,  $\text{CONR}_{20}\text{R}_{21}$ , OH or SH;

$\text{R}_6$  and  $\text{R}_7$  may also be independently halogen, optionally substituted alkoxy, optionally substituted alkenyloxy, optionally substituted alkynyloxy, optionally substituted alkenylamino, optionally substituted alkynylamino, optionally substituted alkylthio, optionally substituted cycloalkyl, optionally substituted heteroaryl, optionally substituted heterocyclyl, optionally substituted cycloalkyloxy, OH, SH,  $\text{N}_3$ ,  $\text{NR}_{22}\text{R}_{23}$  or  $\text{N(R}_{24})\text{COR}_{25}$ ; or the ring members  $\text{CR}_3\text{R}_4$  or  $\text{CR}_2\text{R}_{2a}$  are independently of each other a carbonyl group (C=O) or a thionyl group (C=S);

or one or two of the adjacent pairs of groups  $\text{R}_9$  and  $\text{R}_4$ ,  $\text{R}_4$  and  $\text{R}_8$ ,  $\text{R}_5$  and  $\text{R}_8$ , or, if  $p$  is zero,  $\text{R}_{2a}$  and  $\text{R}_8$  may form a bond, provided that if there are 2 double bonds in the ring the double bonds are not adjacent each other;

or the pair of groups  $\text{R}_7$  and  $\text{R}_8$  or the pair of groups  $\text{R}_6$  and  $\text{R}_7$  together with the atom to which they are attached form a  $\text{C}_3\text{-C}_7$  saturated ring;

$\text{R}_9$  is hydrogen, optionally substituted alkyl, optionally substituted alkenyl or optionally substituted alkynyl;

$\text{R}_{10}$  is hydrogen,  $\text{C}_1\text{-C}_4\text{-alkyl}$ ,  $\text{C}_3\text{-C}_4\text{-alkenyl}$ ,  $\text{C}_3\text{-C}_4\text{-alkynyl}$ ,  $-\text{CH}_2\text{OR}_{26}$ ,  $\text{CH}_2\text{SR}_{27}$ ,  $-\text{C(O)R}_{28}$ ,  $-\text{C(O)OR}_{29}$ ,  $\text{SO}_2\text{R}_{30}$ ,  $\text{SOR}_{31}$  or  $\text{SR}_{32}$ ;

$\text{R}_{26}, \text{R}_{27}, \text{R}_{28}, \text{R}_{29}, \text{R}_{30}, \text{R}_{31}, \text{R}_{32}$  are independently  $\text{C}_1\text{-C}_8\text{-alkyl}$ ,  $\text{C}_1\text{-C}_8\text{-alkoxyalkyl}$ ,  $\text{C}_1\text{-C}_8\text{-haloalkyl}$  or phenyl $\text{C}_1\text{-C}_2\text{-alkyl}$  wherein the phenyl may be substituted by up to three groups selected from halo or  $\text{C}_1\text{-C}_4\text{-alkyl}$ ,

$\text{R}_{11}, \text{R}_{12}, \text{R}_{13}, \text{R}_{14}, \text{R}_{15}, \text{R}_{16}, \text{R}_{15a}, \text{R}_{16a}, \text{R}_{17}, \text{R}_{18}, \text{R}_{19}, \text{R}_{20}, \text{R}_{21}, \text{R}_{22}, \text{R}_{23}, \text{R}_{24}$ , and  $\text{R}_{25}$  are independently H or optionally substituted alkyl; or a salt thereof.

One group of preferred compounds are of those of formula (I') which are compounds of formula I wherein

$m$  is 0, 1, 2 or 3;

$n$  and  $p$  are independently of each other 0 or 1;

- $R_1$  is halogen, optionally substituted alkyl, optionally substituted alkoxy, optionally substituted thioalkyl optionally substituted aryl,  $\text{COOR}_{11}$ ,  $\text{CONR}_{12}\text{R}_{13}$ ,  $\text{S(O)}_q\text{R}_{14}$ ,  $\text{SO}_2\text{NR}_{15}\text{R}_{16}$  or  $\text{NR}_{15a}\text{R}_{16a}$ ; when there is more than one  $R_1$  group, they may be the same or different;
- 5  $q$  is 1 or 2;
- $R_2, R_{2a}, R_3, R_4, R_5, R_6, R_7, R_8$  are each independently hydrogen, optionally substituted alkyl,  $\text{COR}_{17}$ ,  $\text{COOR}_{18}$  or optionally substituted aryl, and in addition  $R_2$  and  $R_3$  may also independently be optionally substituted alkoxy or optionally substituted alkylthio,  $\text{COOR}_{19}$ ,  $\text{CONR}_{20}\text{R}_{21}$ , OH or SH;
- 10  $R_6$  and  $R_7$  may also be independently halogen, optionally substituted alkoxy, optionally substituted alkylthio, OH, SH,  $\text{N}_3$ ,  $\text{NR}_{22}\text{R}_{23}$  or  $\text{N(R}_{24})\text{COR}_{25}$ ;
- or the ring members  $\text{CR}_3\text{R}_4$  or  $\text{CR}_2\text{R}_{2A}$  are independently of each other a carbonyl group ( $\text{C=O}$ ) or a thiocarbonyl group ( $\text{C=S}$ );
- or one or two of the adjacent pairs of groups  $R_9$  and  $R_4$ ,  $R_4$  and  $R_8$ ,  $R_5$  and  $R_8$ , or, if  $p$  is
- 15 zero,  $R_{2A}$  and  $R_8$  may form a bond, provided that if there are 2 double bonds in the ring the double bonds are not adjacent each other;
- or the pair of groups  $R_7$  and  $R_8$  together with the atom to which they are attached form a  $\text{C}_3\text{-C}_7$  saturated ring;
- $R_9$  is hydrogen or optionally substituted alkyl;
- 20  $R_{10}$  is hydrogen,  $\text{C}_1\text{-C}_4\text{-alkyl}$ ,  $\text{C}_3\text{-C}_4\text{-alkenyl}$ ,  $\text{C}_3\text{-C}_4\text{-alkynyl}$ ,  $-\text{CH}_2\text{OR}_{26}$ ,  $\text{CH}_2\text{SR}_{27}$ ,  $-\text{C(O)R}_{28}$ ,  $-\text{C(O)OR}_{29}$ ,  $\text{SO}_2\text{R}_{30}$ ,  $\text{SOR}_{31}$  or  $\text{SR}_{32}$ ;
- $R_{26}, R_{27}, R_{28}, R_{29}, R_{30}, R_{31}, R_{32}$  are independently  $\text{C}_1\text{-C}_8\text{-alkyl}$ ,  $\text{C}_1\text{-C}_8\text{-alkoxyalkyl}$ ,  $\text{C}_1\text{-C}_8\text{-haloalkyl}$  or phenyl $\text{C}_1\text{-C}_2\text{-alkyl}$  wherein the phenyl may be substituted by up to three groups selected from halo or  $\text{C}_1\text{-C}_4\text{-alkyl}$ ,
- 25  $R_{11}, R_{12}, R_{13}, R_{14}, R_{15}, R_{16}, R_{15a}, R_{16a}, R_{17}, R_{18}, R_{19}, R_{20}, R_{21}, R_{22}, R_{23}, R_{24}$ , and  $R_{25}$  are independently H or optionally substituted alkyl; or a salt thereof.

In the context of the present specification alkyl as a group *per se* and as a structural element of hydroxyalkyl, thioalkyl, alkoxy, alkenyl, alkenyloxy, alkynyl, alkynyloxy or haloalkoxy - is preferably  $\text{C}_1\text{-C}_6\text{-alkyl}$ , more preferably lower alkyl, and is

30 linear i.e. methyl, ethyl, propyl, butyl, pentyl or hexyl, or branched, e.g. isopropyl, isobutyl, sec.-butyl, tert.-butyl, isopentyl, neopentyl or isohexyl. Lower alkyl is preferably methyl or ethyl.

Specific examples of alkenyl and alkynyl include allyl, 2-butenyl, 3-butenyl, propargyl, 2-butenyl and 3 butynyl.

When present, the optional substituents on an alkyl, alkenyl or alkynyl moiety include one or more of halogen, nitro, cyano, oxo (and acetals and ketals formed therefrom), C<sub>3-7</sub> cycloalkyl (itself optionally substituted with C<sub>1-6</sub> alkyl or halogen), C<sub>5-7</sub> cycloalkenyl (itself optionally substituted with C<sub>1-6</sub> alkyl or halogen), hydroxy, C<sub>3-10</sub> alkoxy, C<sub>3-10</sub> alkoxy(C<sub>3-10</sub>)alkoxy, C<sub>1-6</sub> alkoxy-carbonyl(C<sub>3-10</sub>)alkoxy, C<sub>3-10</sub> haloalkoxy, phenyl(C<sub>1-4</sub>)alkoxy (where the phenyl group is optionally substituted by one or more of C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> haloalkyl, CN, nitro or halogen), C<sub>3-7</sub> cycloalkyloxy (where the cycloalkyl group is optionally substituted with C<sub>1-6</sub> alkyl or halogen), C<sub>3-10</sub> alkenyloxy, C<sub>3-10</sub> alkynyloxy, SH, C<sub>3-10</sub> alkylthio, C<sub>3-10</sub> haloalkylthio, phenyl(C<sub>1-4</sub>)alkylthio (where the phenyl group is optionally substituted by one or more of C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> haloalkyl, CN, nitro or halogen), C<sub>3-7</sub> cycloalkylthio (where the cycloalkyl group is optionally substituted with C<sub>1-6</sub> alkyl or halogen), tri(C<sub>1-4</sub>)alkylsilyl(C<sub>1-6</sub>)alkylthio, phenylthio (where the phenyl group is optionally substituted by one or more of C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> haloalkyl, CN, nitro or halogen), C<sub>1-6</sub> alkylsulfonyl, C<sub>1-6</sub> haloalkylsulfonyl, C<sub>1-6</sub> alkylsulfinyl, C<sub>1-6</sub> haloalkylsulfinyl, phenylsulfonyl (where the phenyl group is optionally substituted by one or more of C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> haloalkyl, CN, nitro or halogen), tri(C<sub>1-4</sub>)alkylsilyl, phenyldi(C<sub>1-4</sub>)alkylsilyl, (C<sub>1-4</sub>)alkyldiarylsilyl, triphenylsilyl, C<sub>3-10</sub> alkylcarbonyl, HO<sub>2</sub>C, C<sub>3-10</sub> alkoxycarbonyl, aminocarbonyl, C<sub>1-6</sub> alkylaminocarbonyl, di(C<sub>1-6</sub> alkyl)-aminocarbonyl, N-(C<sub>1-3</sub> alkyl)-N-(C<sub>1-3</sub> alkoxy)aminocarbonyl, C<sub>1-6</sub> alkylcarbonyloxy, phenylcarbonyloxy (where the phenyl group is optionally substituted by one or more of C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> haloalkyl, CN, nitro or halogen), di(C<sub>1-6</sub>)alkylaminocarbonyloxy, phenyl (itself optionally substituted by one or more of C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> haloalkyl, CN, nitro or halogen), naphthyl (itself optionally substituted by C<sub>1-6</sub> alkyl or halogen), heteroaryl (itself optionally substituted by C<sub>1-6</sub> alkyl or halogen), heterocyclyl (itself optionally substituted with C<sub>1-6</sub> alkyl or halogen), phenyloxy (where the phenyl group is optionally substituted by substituted by one or more of C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> haloalkyl, CN, nitro or halogen), naphthyloxy (where the naphthyl group is optionally substituted by C<sub>1-6</sub> alkyl or halogen), heteroaryloxy, (where the heteroaryl group is optionally substituted by C<sub>1-6</sub> alkyl or halogen), heterocyclyloxy (where the heterocyclyl

group is optionally substituted with C<sub>1-6</sub> alkyl or halogen), amino, C<sub>1-6</sub> alkylamino, di(C<sub>1-6</sub>) alkylamino, C<sub>1-6</sub> alkylcarbonylamino and N-(C<sub>1-6</sub>)alkylcarbonyl-N-(C<sub>1-6</sub>)alkylamino.

Preferred substituents on an alkyl, alkenyl or alkynyl moiety include one or more of halogen, nitro, cyano, C<sub>3-7</sub> cycloalkyl (itself optionally substituted with C<sub>1-6</sub> alkyl or halogen), C<sub>5-7</sub> cycloalkenyl (itself optionally substituted with C<sub>1-6</sub> alkyl or halogen), hydroxy, C<sub>3-10</sub> alkoxy, C<sub>3-10</sub> alkoxy(C<sub>3-10</sub>)alkoxy, C<sub>1-6</sub> alkoxy-carbonyl(C<sub>3-10</sub>)alkoxy, C<sub>3-10</sub> haloalkoxy, phenyl(C<sub>1-4</sub>)alkoxy (where the phenyl group is optionally substituted by C<sub>1-6</sub> alkyl or halogen), C<sub>3-7</sub> cycloalkyloxy (where the cycloalkyl group is optionally substituted with C<sub>1-6</sub> alkyl or halogen), C<sub>3-10</sub> alkenyloxy, C<sub>3-10</sub> alkynyloxy, SH, C<sub>3-10</sub> alkylthio, C<sub>3-10</sub> haloalkylthio, phenyl(C<sub>1-4</sub>)alkylthio (where the phenyl group is optionally substituted by C<sub>1-6</sub> alkyl or halogen), C<sub>3-7</sub> cycloalkylthio (where the cycloalkyl group is optionally substituted with C<sub>1-6</sub> alkyl or halogen), tri(C<sub>1-4</sub>)alkylsilyl(C<sub>1-6</sub>)alkylthio, phenylthio (where the phenyl group is optionally substituted by C<sub>1-6</sub> alkyl or halogen), C<sub>1-6</sub> alkylsulfonyl, C<sub>1-6</sub> haloalkylsulfonyl, C<sub>1-6</sub> alkylsulfinyl, C<sub>1-6</sub> haloalkylsulfinyl, phenylsulfonyl (where the phenyl group is optionally substituted by C<sub>1-6</sub> alkyl or halogen), tri(C<sub>1-4</sub>)alkylsilyl, phenyldi(C<sub>1-4</sub>)alkylsilyl, (C<sub>1-4</sub>)alkyldiarylsilyl, triphenylsilyl, C<sub>3-10</sub> alkylcarbonyl, HO<sub>2</sub>C, C<sub>3-10</sub> alkoxycarbonyl, aminocarbonyl, C<sub>1-6</sub> alkylaminocarbonyl, di(C<sub>1-6</sub> alkyl)-aminocarbonyl, N-(C<sub>1-3</sub> alkyl)-N-(C<sub>1-3</sub> alkoxy)aminocarbonyl, C<sub>1-6</sub> alkylcarbonyloxy, phenylcarbonyloxy (where the phenyl group is optionally substituted by C<sub>1-6</sub> alkyl or halogen), di(C<sub>1-6</sub>)alkylaminocarbonyloxy, phenyl (itself optionally substituted by C<sub>1-6</sub> alkyl or halogen), heteroaryl (itself optionally substituted by C<sub>1-6</sub> alkyl or halogen), heterocyclyl (itself optionally substituted with C<sub>1-6</sub> alkyl or halogen), phenyloxy (where the phenyl group is optionally substituted by C<sub>1-6</sub> alkyl or halogen), heteroaryloxy, (where the heteroaryl group is optionally substituted by C<sub>1-6</sub> alkyl or halogen), heterocyclyloxy (where the heterocyclyl group is optionally substituted with C<sub>1-6</sub> alkyl or halogen), amino, C<sub>1-6</sub> alkylamino, di(C<sub>1-6</sub>) alkylamino, C<sub>1-6</sub> alkylcarbonylamino and N-(C<sub>1-6</sub>)alkylcarbonyl-N-(C<sub>1-6</sub>)alkylamino.

More preferred substituents on an alkyl, alkenyl and alkynyl moiety include one or more of halogen, nitro, cyano, C<sub>3-7</sub> cycloalkyl (itself optionally substituted with C<sub>1-6</sub> alkyl or halogen), hydroxy, C<sub>3-10</sub> alkoxy, C<sub>3-10</sub> alkoxy(C<sub>3-10</sub>)alkoxy, C<sub>1-6</sub> alkoxy-carbonyl(C<sub>3-10</sub>)alkoxy, C<sub>3-10</sub> haloalkoxy, SH, C<sub>3-10</sub> alkylthio, C<sub>3-10</sub> haloalkylthio, C<sub>1-6</sub> alkylsulfonyl, C<sub>1-6</sub> haloalkylsulfonyl, C<sub>1-6</sub> alkylsulfinyl, C<sub>1-6</sub> haloalkylsulfinyl, phenylsulfonyl (where

the phenyl group is optionally substituted by C<sub>1-6</sub> alkyl or halogen), HO<sub>2</sub>C, C<sub>3-10</sub> alkoxy, alkoxy, aminocarbonyl, C<sub>1-6</sub> alkylaminocarbonyl, heteroaryl (itself optionally substituted by C<sub>1-6</sub> alkyl or halogen), heterocyclyl (itself optionally substituted with C<sub>1-6</sub> alkyl or halogen), phenoxy (where the phenyl group is optionally substituted by C<sub>1-6</sub> alkyl or halogen), amino, C<sub>1-6</sub> alkylamino and di(C<sub>1-6</sub>) alkylamino.

Aryl includes naphthyl, anthracyl, fluorenyl and indenyl but is preferably phenyl.

The term heteroaryl refers to an aromatic ring containing up to 10 atoms including one or more heteroatoms (preferably one or two heteroatoms) selected from O, S and N. Examples of such rings include pyridine, pyrimidine, furan, quinoline, quinazoline, pyrazole, thiophene, thiazole, oxazole and isoxazole.

The terms heterocycle and heterocyclyl refer to a non-aromatic ring containing up to 10 atoms including one or more (preferably one or two) heteroatoms selected from O, S and N. Examples of such rings include 1,3-dioxolane, tetrahydrofuran and morpholine.

When present, the optional substituents on heterocyclyl include C<sub>1-6</sub> alkyl as well as those optional substituents given above for an alkyl moiety.

Cycloalkyl includes cyclopropyl, cyclopentyl and cyclohexyl.

Cycloalkenyl includes cyclopentenyl and cyclohexenyl.

When present, the optional substituents on heteroaryl and aryl rings are selected, independently, from halogen, nitro, cyano, NCS-, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> alkoxy-(C<sub>1-6</sub>)alkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> haloalkenyl, C<sub>2-6</sub> alkynyl, C<sub>3-7</sub> cycloalkyl (itself optionally substituted with C<sub>1-6</sub> alkyl or halogen), C<sub>5-7</sub> cycloalkenyl (itself optionally substituted with C<sub>1-6</sub> alkyl or halogen), hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkoxy(C<sub>1-10</sub>)alkoxy, tri(C<sub>1-4</sub>)alkyl-silyl(C<sub>1-6</sub>)alkoxy, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkoxy(C<sub>1-10</sub>)alkoxy, C<sub>1-10</sub> haloalkoxy, aryl(C<sub>1-4</sub>)alkoxy (where the aryl group is optionally substituted), C<sub>3-7</sub> cycloalkyloxy (where the cycloalkyl group is optionally substituted with C<sub>1-6</sub> alkyl or halogen), C<sub>1-10</sub> alkenyloxy, C<sub>1-10</sub> alkynyloxy, SH, C<sub>1-10</sub> alkylthio, C<sub>1-10</sub> haloalkylthio, aryl(C<sub>1-4</sub>)alkylthio (where the aryl group may be further optionally substituted), C<sub>3-7</sub> cycloalkylthio (where the cycloalkyl group is optionally substituted with C<sub>1-6</sub> alkyl or halogen), tri(C<sub>1-4</sub>)alkylsilyl(C<sub>1-6</sub>)alkylthio, arylthio (where the aryl group is optionally substituted), C<sub>1-6</sub> alkylsulfonyl, C<sub>1-6</sub> haloalkylsulfonyl, C<sub>1-6</sub> alkylsulfinyl, C<sub>1-6</sub> haloalkylsulfinyl, arylsulfonyl (where the aryl group is optionally substituted), tri(C<sub>1-4</sub>)alkylsilyl, aryldi(C<sub>1-4</sub>)alkylsilyl, (C<sub>1-4</sub>)alkyldiarylsilyl, triarylsilyl, C<sub>1-10</sub> alkylcarbonyl, HO<sub>2</sub>C, C<sub>1-10</sub> alkoxy, alkoxy, aminocarbonyl, C<sub>1-6</sub> alkylaminocarbonyl, di(C<sub>1-6</sub> alkyl)aminocarbonyl,

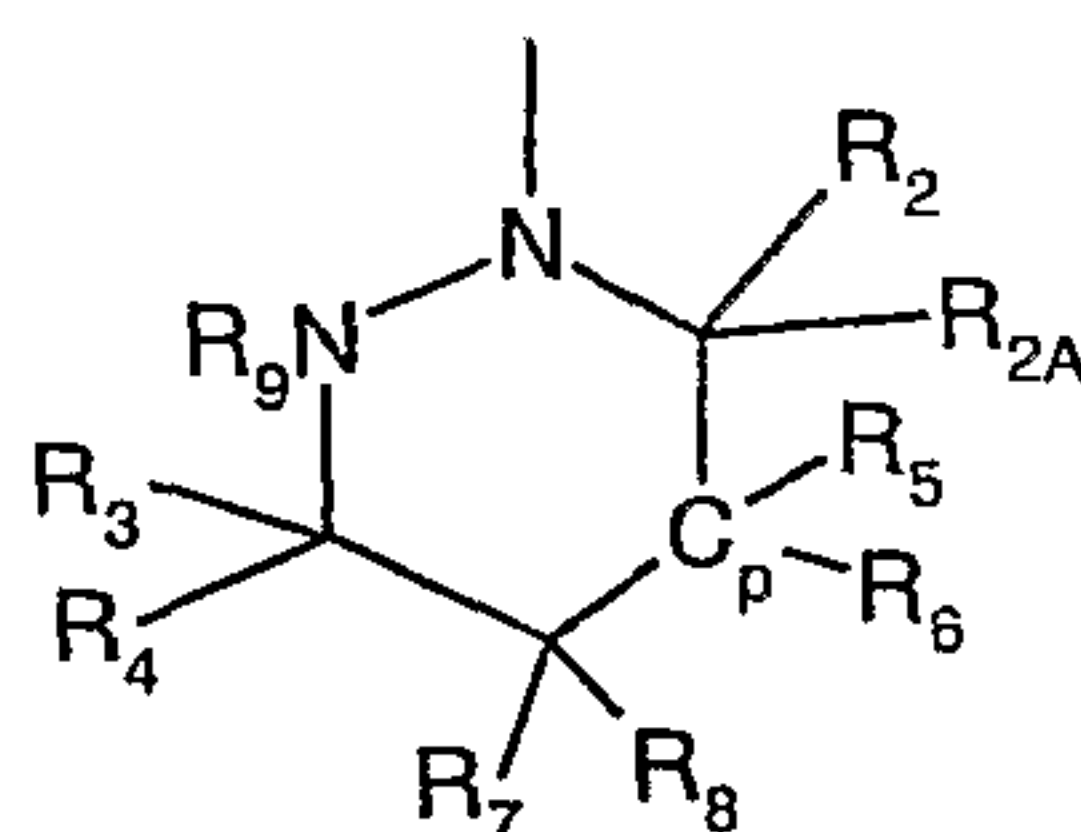
N-(C<sub>1-3</sub> alkyl)-N-(C<sub>1-3</sub> alkoxy)aminocarbonyl, C<sub>1-6</sub> alkylcarbonyloxy, arylcarbonyloxy (where the aryl group is optionally substituted), di(C<sub>1-6</sub>)alkylamino-carbonyloxy, aryl (itself optionally substituted), heteroaryl (which itself may be further optionally substituted), heterocyclyl (itself optionally substituted with C<sub>1-6</sub> alkyl or halogen),  
 5 aryloxy (where the aryl group is optionally substituted), heteroaryloxy (where the heteroaryl group is optionally substituted), heterocyclyloxy (where the heterocyclyl group is optionally substituted with C<sub>1-6</sub> alkyl or halogen), amino, C<sub>1-6</sub> alkylamino, di(C<sub>1-6</sub>)alkylamino, C<sub>1-6</sub> alkylcarbonylamino and N-(C<sub>1-6</sub>)alkylcarbonyl-N-(C<sub>1-6</sub>)alkylamino.

For substituted phenyl and heteroaryl moieties it is preferred that one or more  
 10 substituents are independently selected from halogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> alkoxy(C<sub>1-6</sub>)alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> haloalkoxy, C<sub>1-6</sub> alkylthio, C<sub>1-6</sub> haloalkylthio, C<sub>1-6</sub> alkylsulfinyl, C<sub>1-6</sub> haloalkylsulfinyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>1-6</sub> haloalkylsulfonyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> haloalkenyl, C<sub>2-6</sub> alkynyl, C<sub>3-7</sub> cycloalkyl, nitro, cyano, CO<sub>2</sub>H, C<sub>1-6</sub> alkylcarbonyl, C<sub>1-6</sub> alkoxy carbonyl, R<sub>33</sub>R<sub>34</sub>N or R<sub>35</sub>R<sub>36</sub>NC(O); wherein R<sub>33</sub>, R<sub>34</sub>, R<sub>35</sub> and  
 15 R<sub>36</sub> are, independently, hydrogen or C<sub>1-6</sub> alkyl.

In the context of the specification the term halogen is fluorine, bromine, iodine or preferably chlorine; similarly haloalkyl is preferably C<sub>1</sub>-C<sub>6</sub>-alkyl, more preferably lower alkyl, that is linear or branched and is substituted by one or more, for example in the case  
 20 of halo-ethyl up to five, halogen atoms, especially fluorine (an example is trifluoromethyl).

Haloalkoxy is preferably C<sub>1</sub>-C<sub>6</sub>-alkoxy, more preferably lower alkoxy, that is linear or branched and that is substituted by one or more, for example in the case of halo-ethyl up to five, halogen atoms, especially fluorine; trifluoromethoxy and 1,1,2,2-tetrafluoroethoxy are especially preferred.

25 The moiety attached to the 2-position of the pyridine ring in the compounds of the invention, namely the moiety



includes 5- and 6-membered ring systems, which are common in the art of heterocycles. Thus examples of the moieties include 2,4-dihydro-pyrazol-3-ones, 2,4-dihydro-  
 30 pyrazole-3-thione, 1H-pyrazoles, 2H-pyridazin-3-ones, 4,5-dihydro-2H-pyridazin-3-

ones, 1,2-dihydro-pyrazol-3-ones, 1,2-dihydro-pyrazole-3-thione, pyrazolidin-3-one, pyrazolidine-3-thione, 2H-pyridazin-3-thione and 4,5-dihydro-2H-pyridazin-3-thione.

More preferred ring systems for the moiety positioned at the 2-position of the pyridyl ring are those selected from the group comprising, 1H-pyrazoles, 2,4-dihydro-  
5 pyrazol-3-ones, 1,2-dihydro-pyrazol-3-ones, 4,5-dihydro-2H-pyridazin-3-ones.

The compounds of formula I can form acid addition salts, for example with inorganic acids, such as hydrochloric acid, sulfuric acid or a phosphoric acid, or with suitable organic carboxylic or sulfonic acids, for example aliphatic mono- or di-carboxylic acids, such as trifluoroacetic acid, acetic acid, propionic acid, glycolic acid,  
10 succinic acid, maleic acid, fumaric acid, hydroxymaleic acid, malic acid, tartaric acid, citric acid, oxalic acid or amino acids, such as arginine or lysine, aromatic carboxylic acids, such as benzoic acid, 2-phenoxy-benzoic acid, 2-acetoxy-benzoic acid, salicylic acid, 4-aminosalicylic acid, aromatic-aliphatic carboxylic acids, such as mandelic acid or cinnamic acid, heteroaromatic carboxylic acids, such as nicotinic acid or isonicotinic  
15 acid, aliphatic sulfonic acids, such as methane-, ethane- or 2-hydroxy-ethane-sulfonic acid, or aromatic sulfonic acids, for example benzene-, p-toluene- or naphthalene-2-sulfonic acid.

The pyridine-N-oxides of formula I can form acid addition salts with strong acids, such as hydrochloric acid, nitric acid, phosphoric acid or sulfonic acids, such as  
20 benzenesulfonic acid.

Formula I according to the invention shall include all the possible isomeric forms, as well as mixtures, e.g. racemic mixtures, and any mixtures of rotamers.

In view of the close relationship between the compounds of formula I in free form and in the form of their salts, including also salts that can be used as intermediates, for  
25 example in the purification of the compounds of formula I or in order to identify those compounds, herein-before and hereinafter any reference to the (free) compounds is to be understood as including also the corresponding salts, where appropriate and expedient.

Among the compounds of formula I according to the present invention the following groups of compounds are preferred. These groups are in any combination those  
30 wherein

n is 0;

p is 0 or 1;

m is 1, 2 or 3 or m is 1 and R<sub>1</sub> is preferably at the 3- or 4- position of the phenyl ring,

preferably at the 3- position.

$R_1$  is selected from the group comprising halogen,  $C_{1-3}$  haloalkoxy,  $CH(OH)R$ ,  $COR$ ,  $SO_2NRR'$ ,  $CH(NR'R'')R$ ,  $COORa$  or  $CONRbRc$  where  $Ra$ ,  $Rb$ ,  $Rc$ ,  $R$ ,  $R'$ ,  $R''$  are independently H or lower alkyl or

- 5  $R_1$  is selected from the group comprising chlorine, fluorine, trifluoromethyl, trifluoromethoxy, or 1,1,2,2-tetrafluoroethoxy, or

$R_1$  is 3-chloro;

$R_2$  is selected from the group comprising hydrogen, methyl, ethyl, methoxy, methoxymethyl, ethoxymethyl, or

- 10  $R_2$  is selected from the group comprising hydrogen, methyl or methoxy or

$R_2$  is methyl or

the ring members  $CR_2R_{2A}$  are a carbonyl group ( $C=O$ ) or a thiocarbonyl group ( $C=S$ );

$R_{2A}$  is selected from the group comprising hydrogen, methyl, ethyl, methoxymethyl, ethoxymethyl, or

- 15  $R_{2A}$  is hydrogen, methyl, or

$R_{2A}$  forms a bond together with  $R_8$ ;

$R_3$  and  $R_4$  are independently selected from the group comprising hydrogen, methyl, ethyl, hydroxy, trifluoromethyl, methoxy, methoxymethyl, ethoxymethyl, or

$R_3$  and  $R_4$  are independently selected from the group comprising hydrogen methyl or

- 20 methoxy or

$R_3$  and  $R_4$  are independently hydrogen or methyl or

the ring members  $CR_3R_4$  are a carbonyl group ( $C=O$ ) or a thiocarbonyl group ( $C=S$ ); or

$R_4$  together with either  $R_9$  or  $R_8$  forms a bond;

$R_5$ ,  $R_6$ ,  $R_7$ ,  $R_8$  are each independently hydrogen, methyl, trifluoromethyl,

- 25  $R_6$  and  $R_7$  may also be independently chloro, methoxy, ethoxy, diethylamine

$R_7$  may also be formyl or

the groups  $R_7$  and  $R_8$  together with the carbon atom to which they are attached form a cyclopropyl ring or

$R_5$  together with  $R_8$  form a bond or

- 30  $R_5$ ,  $R_6$ ,  $R_7$ ,  $R_8$  are each independently hydrogen, methyl;

$R_9$  is hydrogen or methyl;

$R_{10}$  is hydrogen, methyl, ethyl, allyl, propargyl, methoxymethyl, thiomethoxymethyl or ethoxymethyl, or

R<sub>10</sub> is hydrogen or methoxymethyl.

In a further group of preferred compounds R<sub>2</sub>, R<sub>2A</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub> and R<sub>9</sub> independently of each other are hydrogen or methyl;

In a further group of preferred compounds R<sub>7</sub> is hydrogen, methyl, ethyl, allyl, propargyl, methoxymethyl, thiomethoxymethyl or ethoxymethyl, more preferably hydrogen or methoxymethyl.

Preferred individual compounds of the formula I are:

- (3-Chloro-phenyl)-{4-[2-(3,4,5-trimethyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine;
- 10 (3-Chloro-phenyl)-{4-[2-(5-methoxy-3-methoxymethyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine;
- (3-Chloro-phenyl)-{4-[2-(5-methoxy-3-methoxymethyl-4-methyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine;
- (3-Chloro-phenyl)-{4-[2-(5-methoxy-4-methyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine;
- 15 (3-Chloro-phenyl)-{4-[2-(5-ethoxy-3,4-dimethyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine;
- 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-methoxymethyl-1,4-dimethyl-1,2-dihydro-pyrazol-3-one;
- 20 2-(4-{2-[(3-Chloro-phenyl)-methoxymethyl-amino]-pyrimidin-4-yl}-pyridin-2-yl)-1,5-dimethyl-1,2-dihydro-pyrazol-3-one;
- 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1-ethyl-4,5-dimethyl-1,2-dihydro-pyrazol-3-one;
- 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1,4-dimethyl-1,2-dihydro-pyrazol-3-one;
- 25 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1,5-dimethyl-1,2-dihydro-pyrazol-3-one;
- 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-methoxymethyl-4,4-dimethyl-2,4-dihydro-pyrazol-3-one;
- 30 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4,4-dimethyl-2,4-dihydro-pyrazol-3-one;
- 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4,4,5-trimethyl-2,4-dihydro-pyrazole-3-thione;

- 5-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-7-methyl-5,6-diaza-spiro[2.4]hept-6-en-4-one;
- 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4-ethyl-4,5-dimethyl-2,4-dihydro-pyrazol-3-one;
- 5 (3-Chloro-phenyl)-{4-[2-(5-methoxy-3-methyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine;
- 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1,4,5-trimethyl-1,2-dihydro-pyrazol-3-one;
- 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4,4,5-trimethyl-2,4-dihydro-pyrazol-3-one;
- 10 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1,5-dimethyl-1,2-dihydro-pyrazol-3-one;
- 4,5-Dichloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one;
- 15 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-6-methyl-2H-pyridazin-3-one;
- 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-6-methyl-4,5-dihydro-2H-pyridazin-3-one;
- 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-6-Phenyl-4,5-dihydro-2H-pyridazin-3-one;
- 20 4-Chloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-ethoxy-2H-pyridazin-3-one;
- 4-Chloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-ethylsulfanyl-2H-pyridazin-3-one;
- 25 5-Azido-4-chloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one;
- 1-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2-methyl-pyrazolidin-3-one;
- (3-Chloro-phenyl)-{4-[2-(5-methoxy-3,4-dimethyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine;
- 30 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-methoxymethyl-1-methyl-1,2-dihydro-pyrazol-3-one;

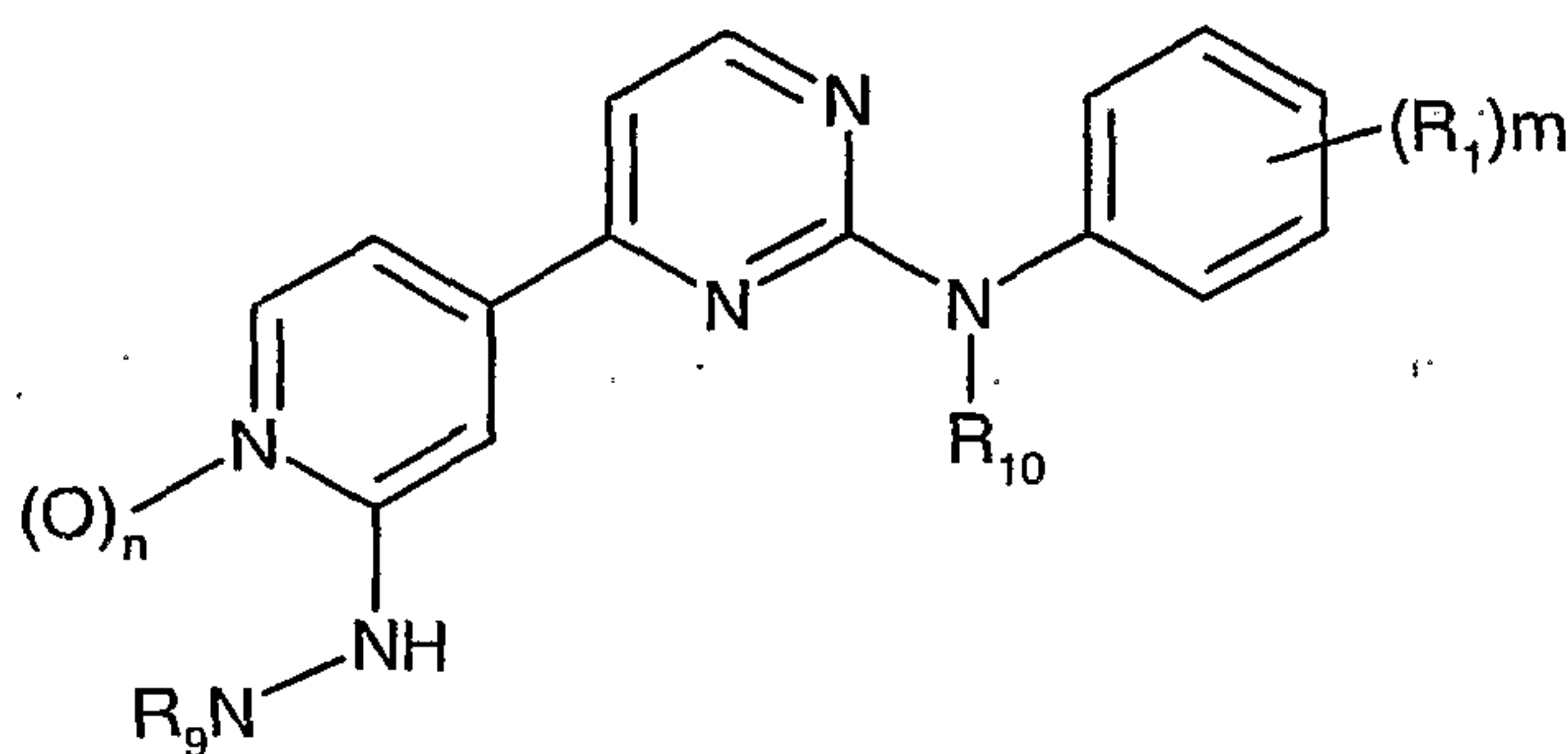
2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1,5-dimethyl-3-oxo-2,3-dihydro-1H-pyrazole-4-carbaldehyde;

- 5 5-Chloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4-(oxetan-3-yloxy)-2H-pyridazin-3-one; and

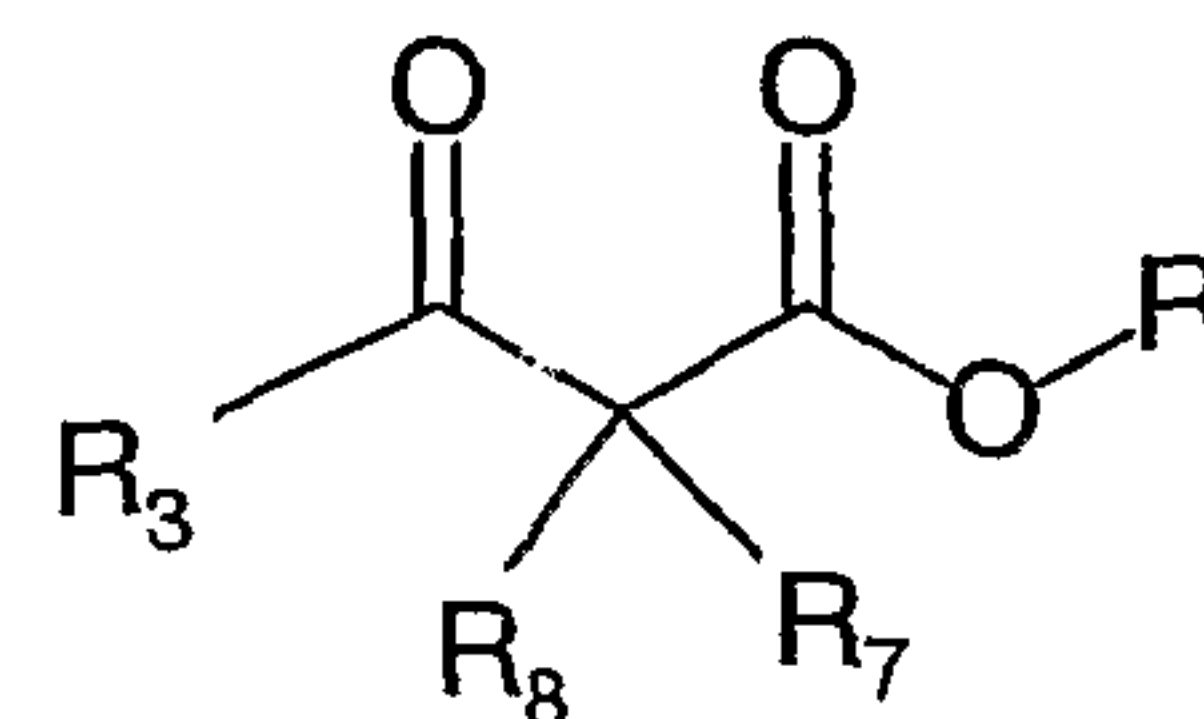
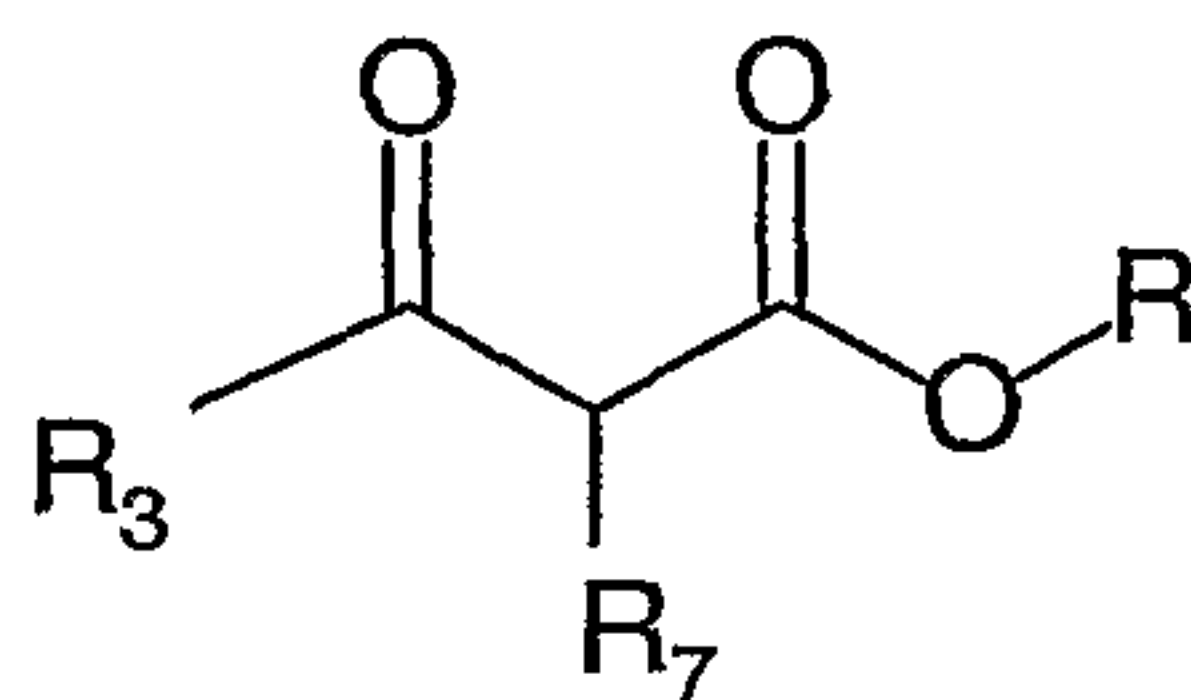
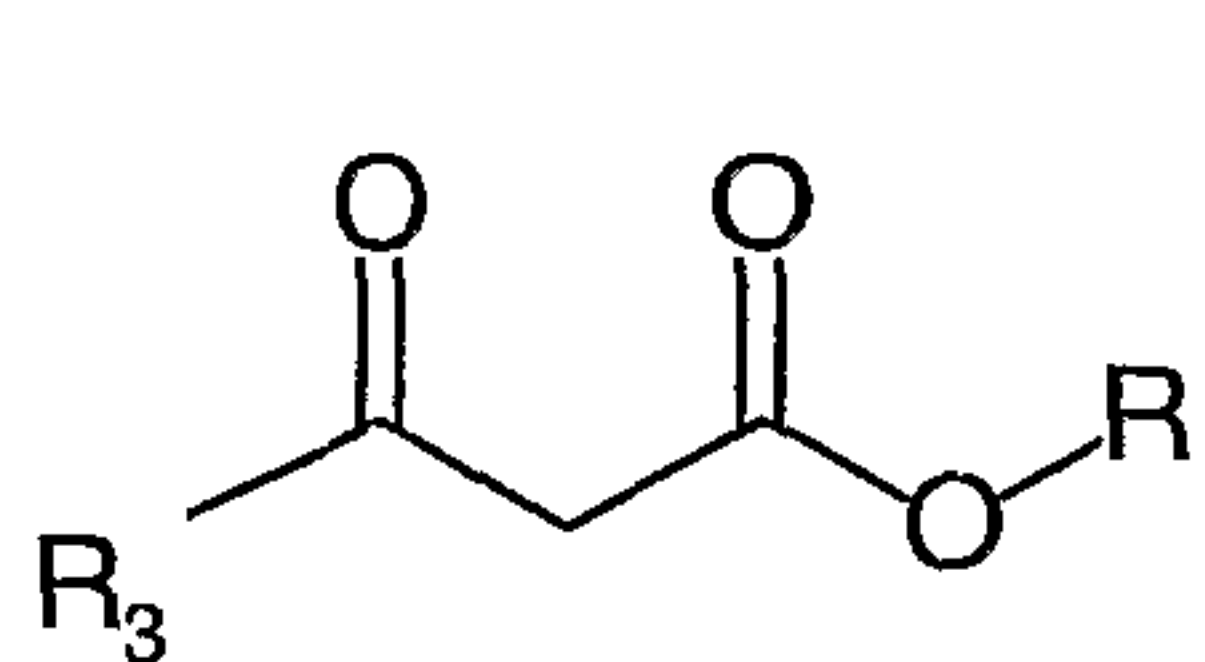
4-Chloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-(tetrahydro-furan-2-ylmethoxy)-2H-pyridazin-3-one.

- 10 The compounds according to the invention may be prepared according to methods per se known in the art (this does mean, however, that, where novel compounds are produced, the respective process of manufacture is also novel). The procedures for the preparation of compounds of formula I may be outlined as follows:

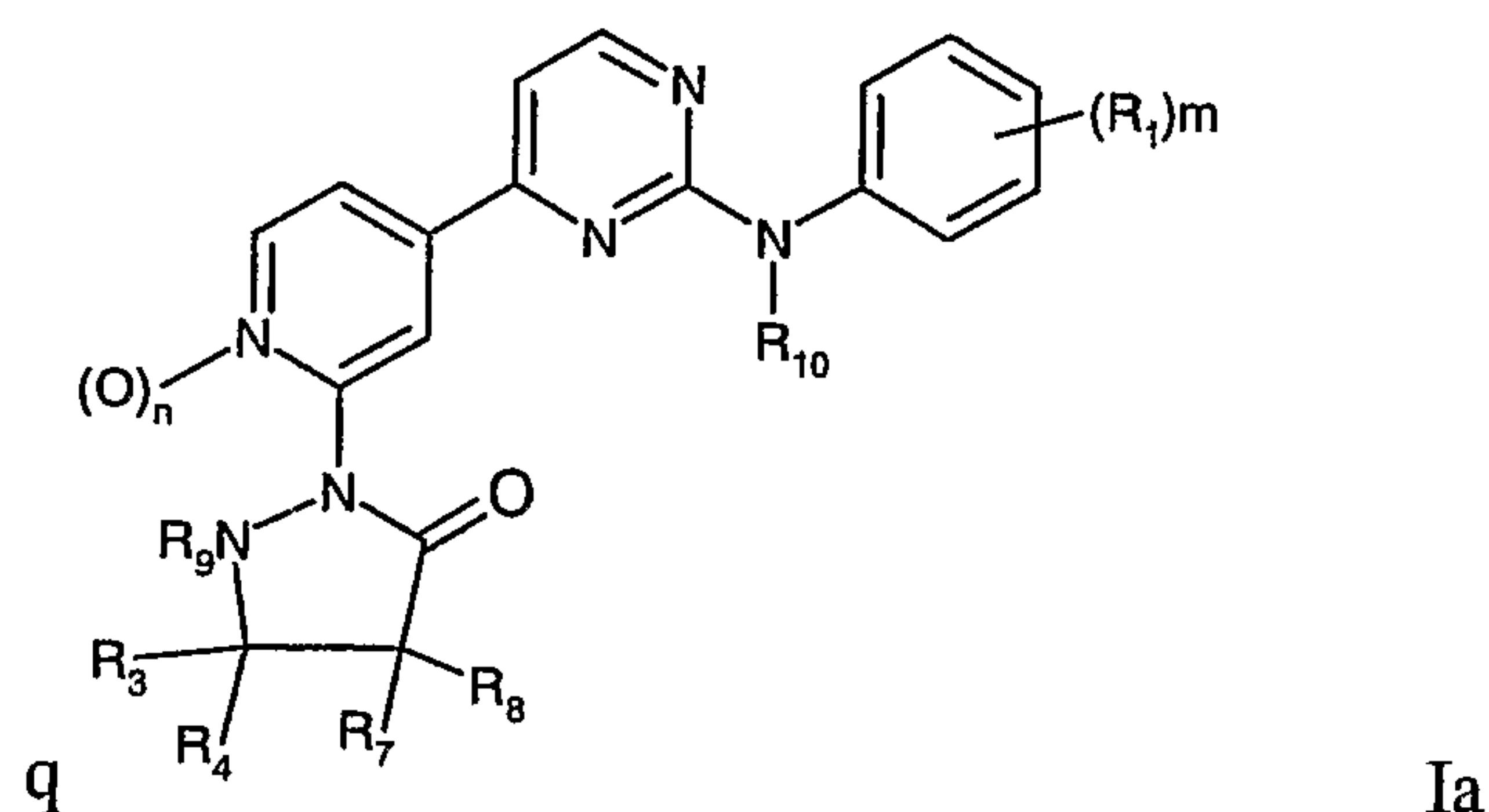
A) reacting a compound of the formula (II)



- 15 (or a salt thereof) with  $\beta$ -ketoester of the formula III to V under acid catalysed conditions

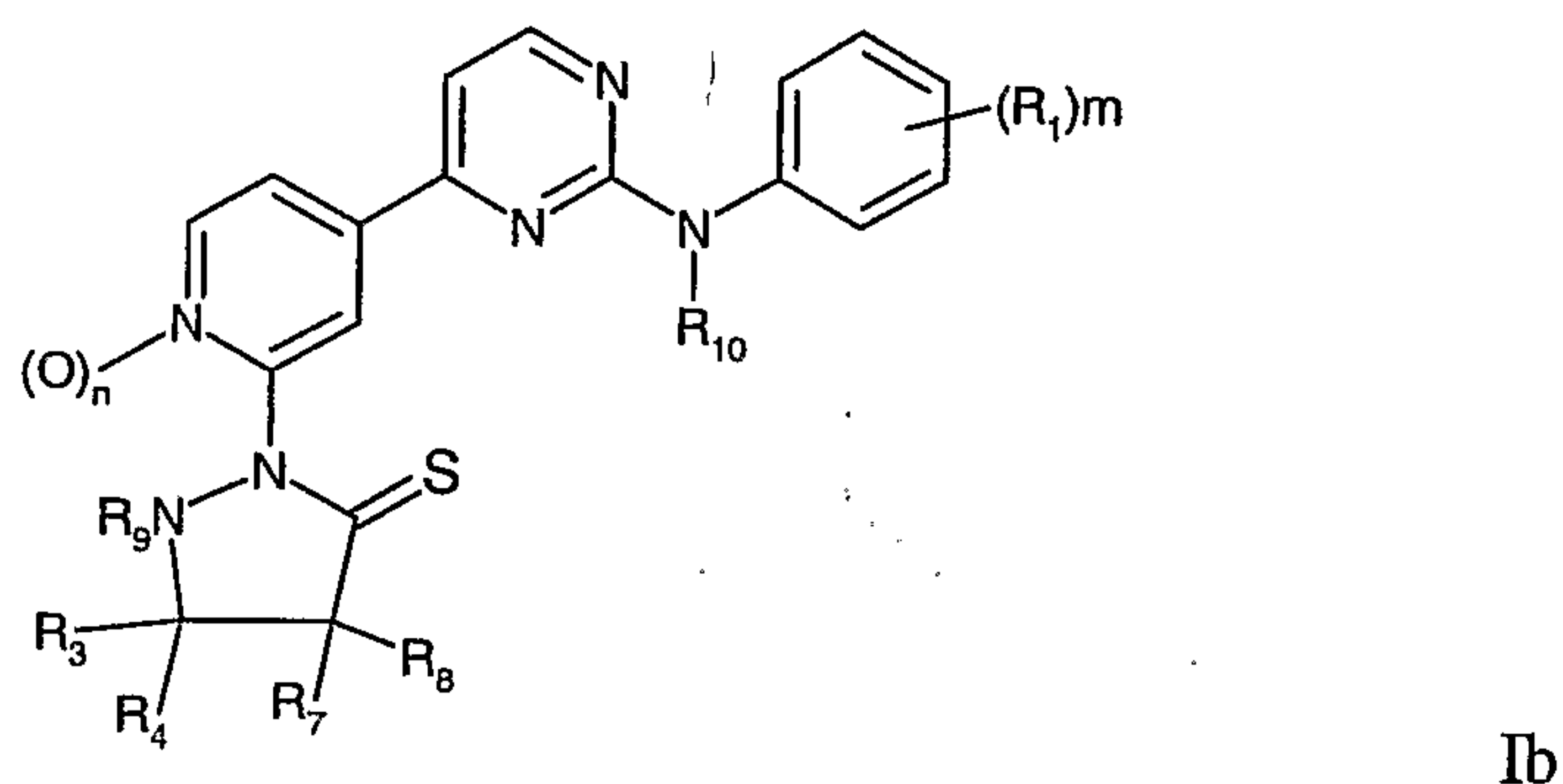


- 20 wherein R is H or optionally substituted alkyl and the other moieties in II to V have the meanings given for a compound of formula I thus obtaining a compound of the sub-formula Ia

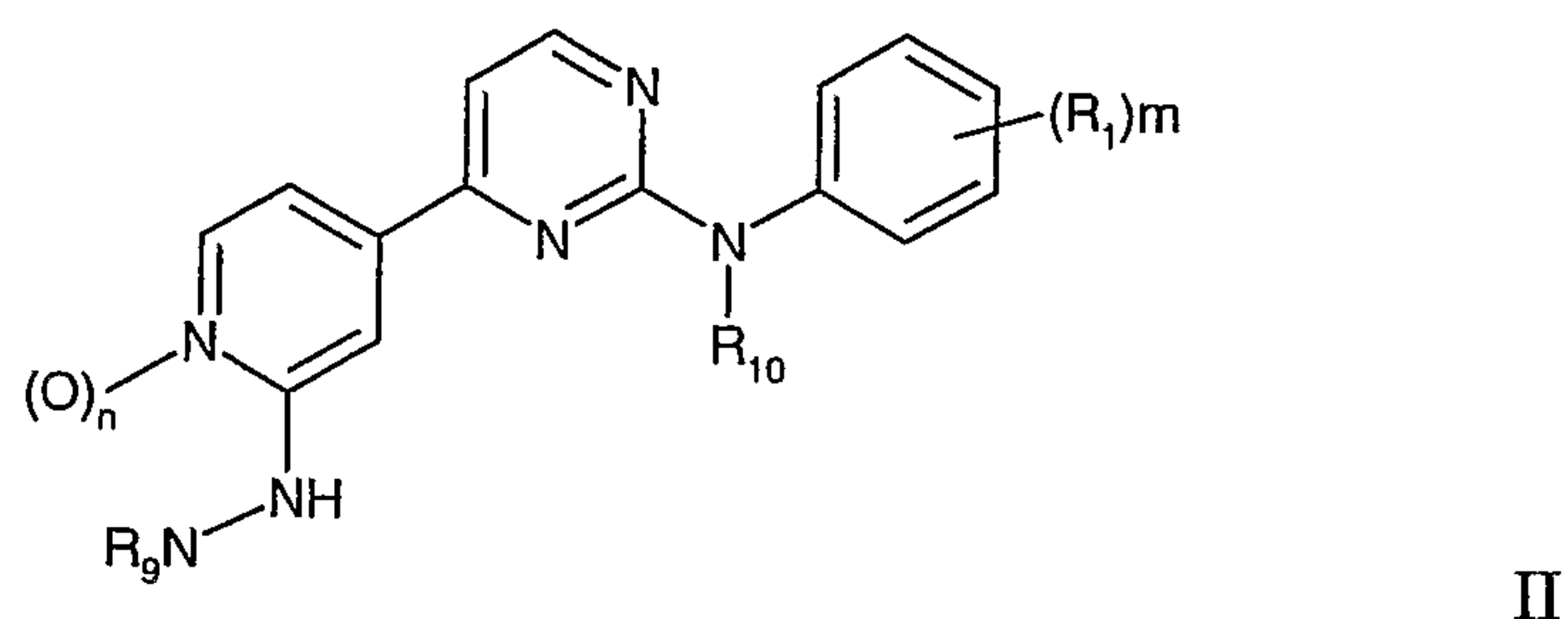


Compounds of formula II may be prepared by the methods described in WO 01/93682 and illustrated in Synthesis Example 1.

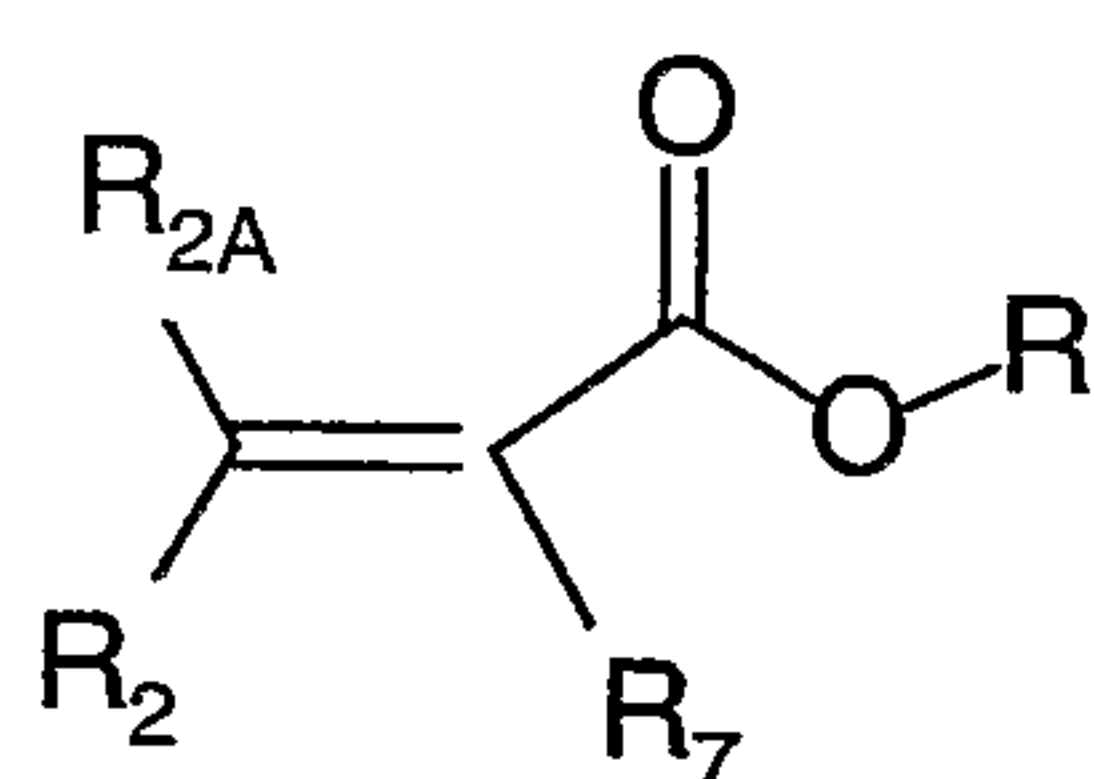
- 5 B) reacting a compound of subformula Ia with a thionating reagent such as for example Lawesson reagent to obtain a compound of subformula Ib



- 10 C) compounds of sub-formula Ia and Ib can be mono- or bis-alkylated to form compounds of structure I wherein p is 0 and all the other moieties have the meanings given for a compound of formula I
- D) reacting a compound of the formula II (or a salt thereof)

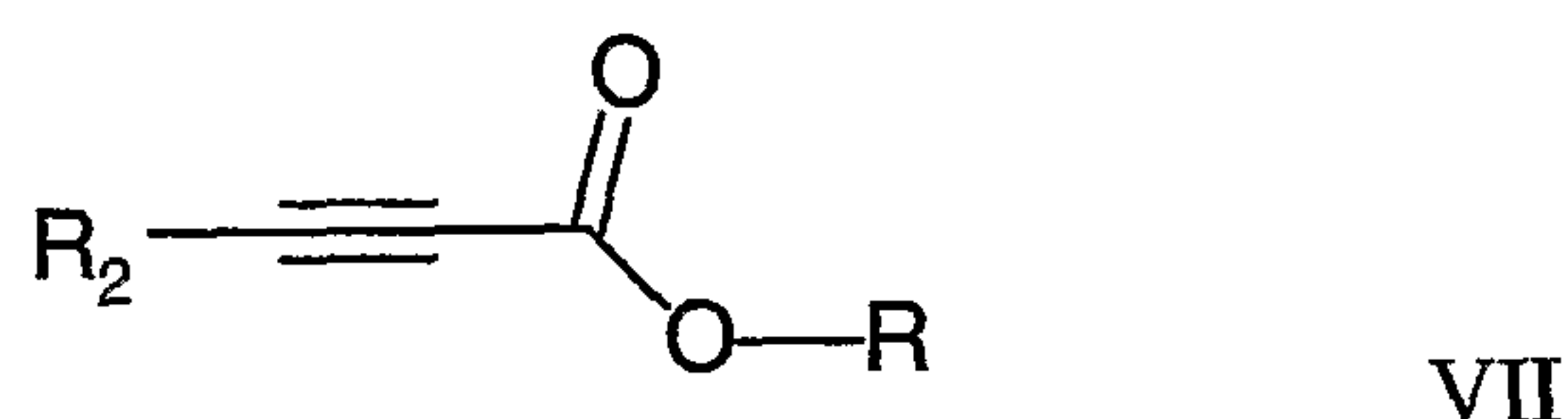


with a substituted acrylate of formula VI

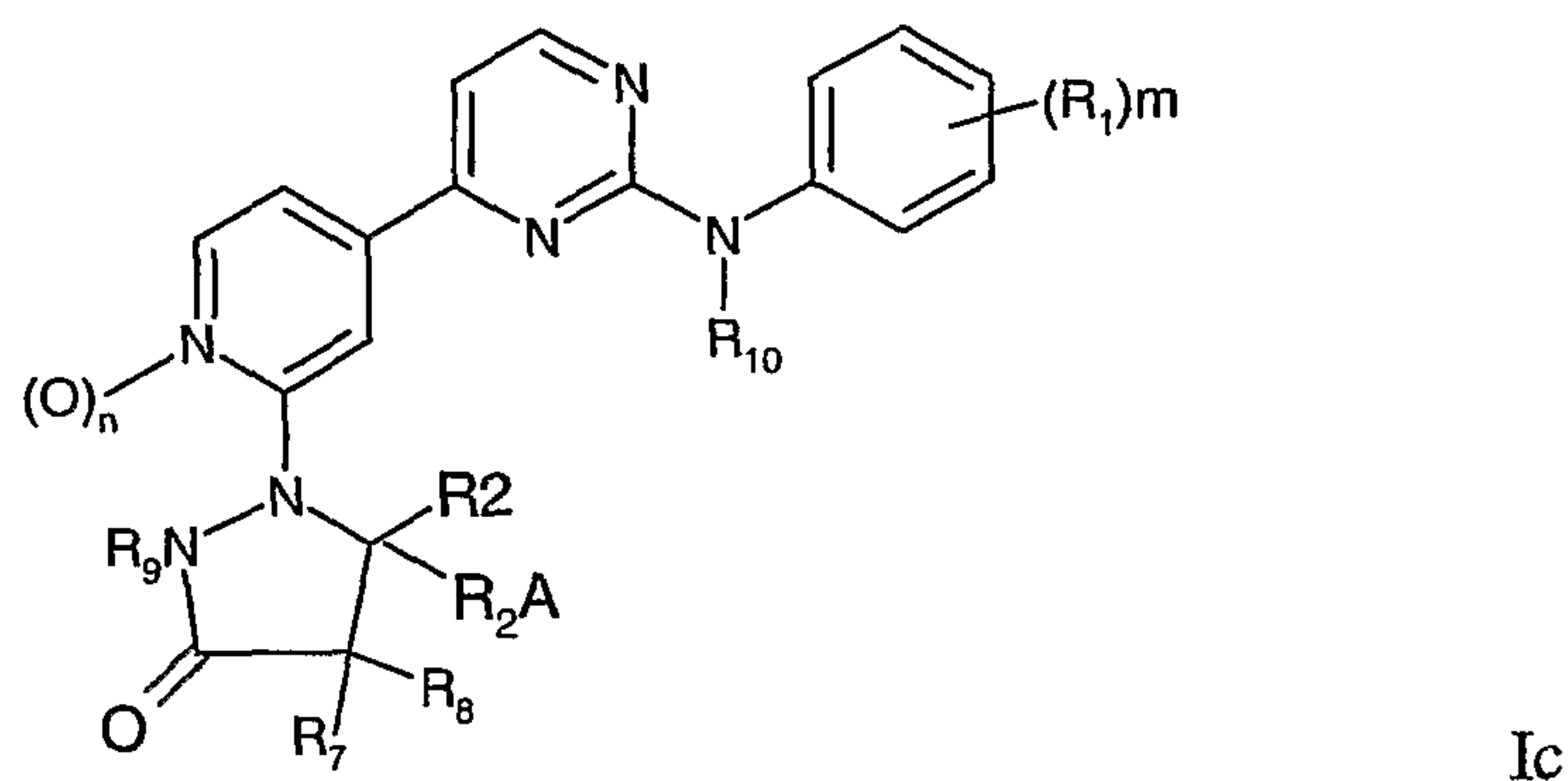


VI

or with an alkyl propiolate of formula VII

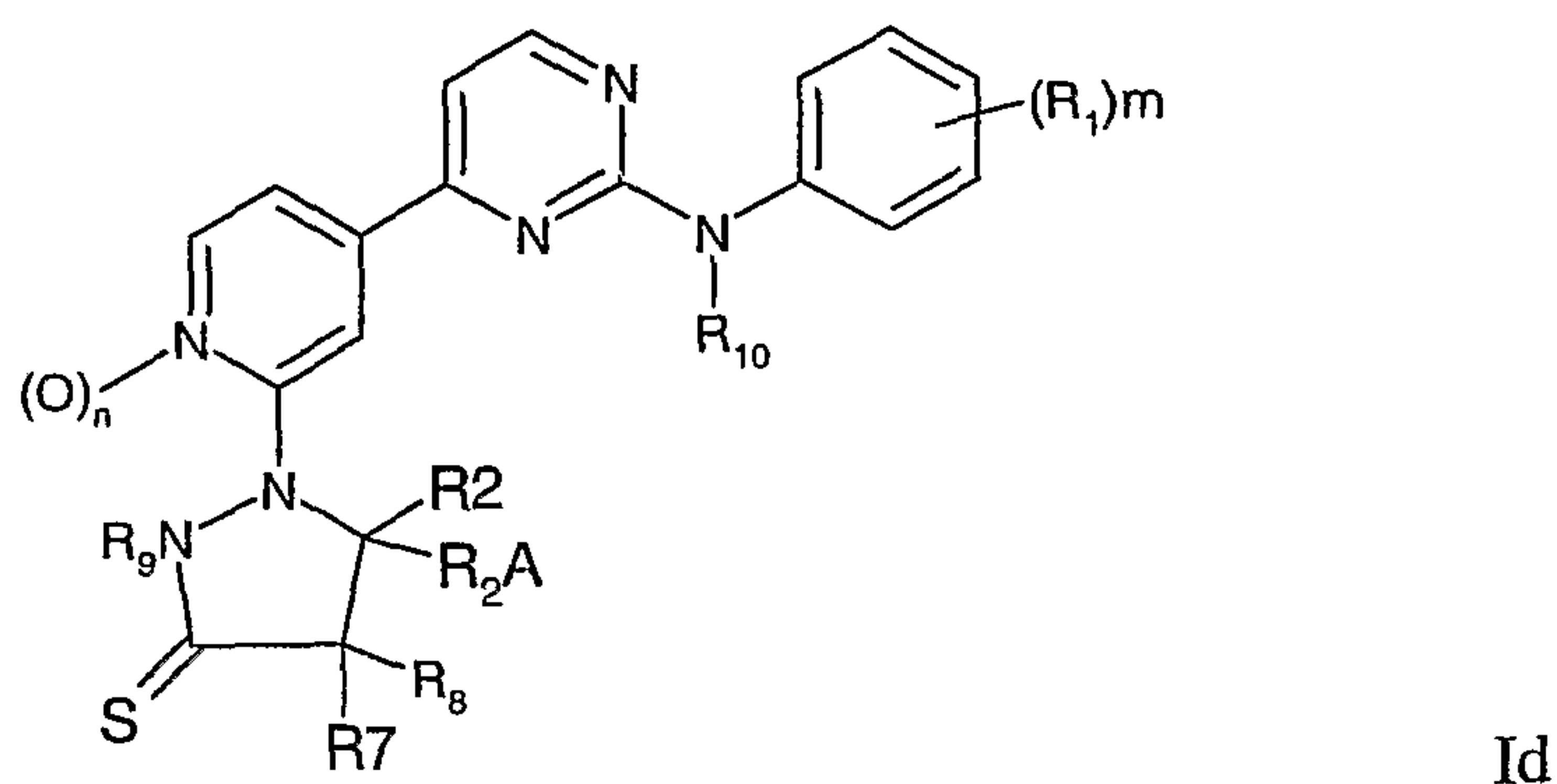


thus obtaining a compound of subformula Ic wherein the moieties have the meanings given for a compound of formula I



5

E) Conversion of the C=O group into the corresponding C=S group in subformula Ic can be achieved by reacting Ic with a thionating reagent such as e.g. Lawesson reagent thus producing compounds of subformula Id

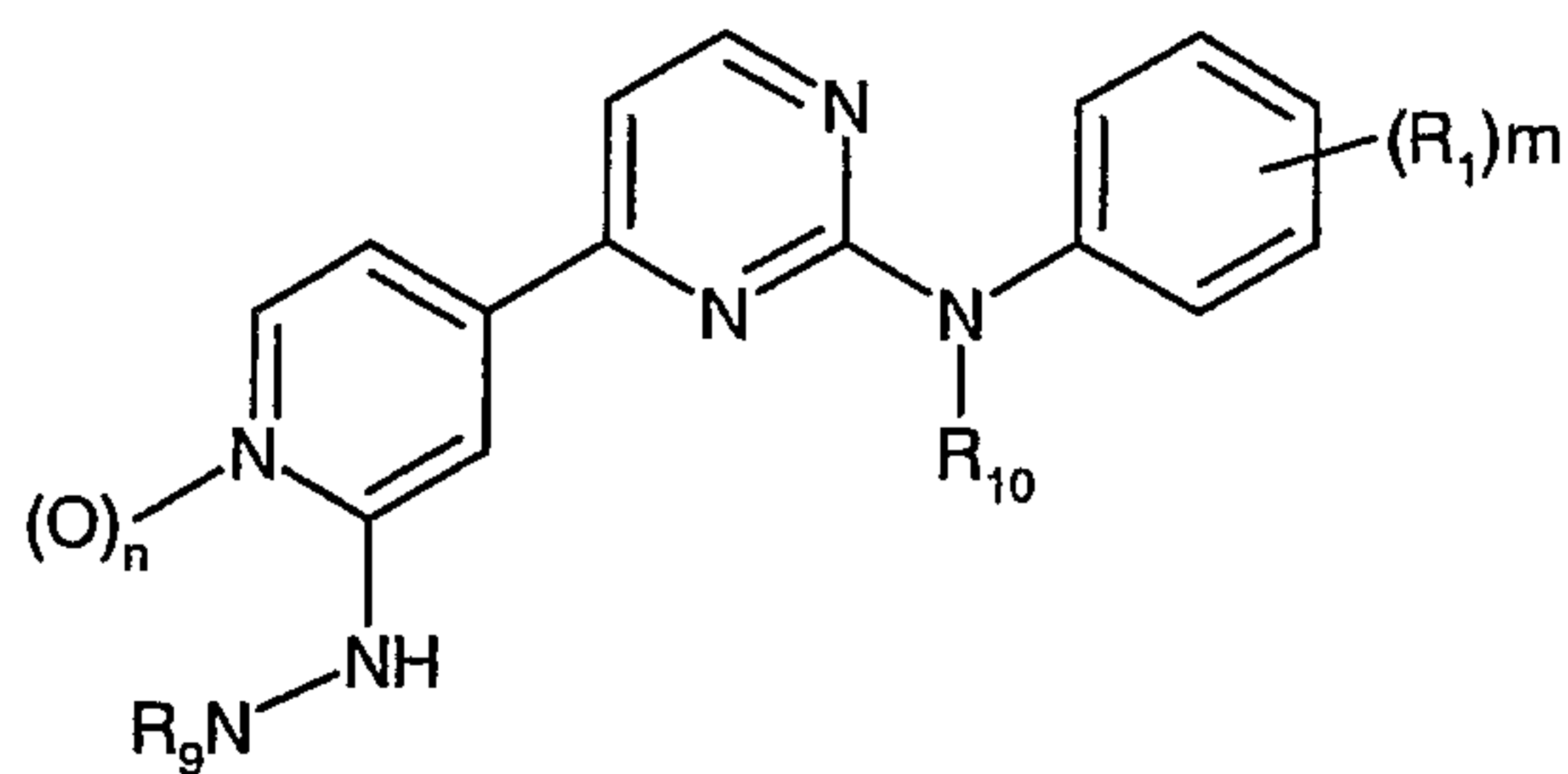


10

F) compounds of subformula Ic and Id can be alkylated to form compounds of structure I wherein p is 0, R3 is optionally substituted alkoxy or optionally substituted alkylthio and all the other moieties have the meanings given for a compound of formula I

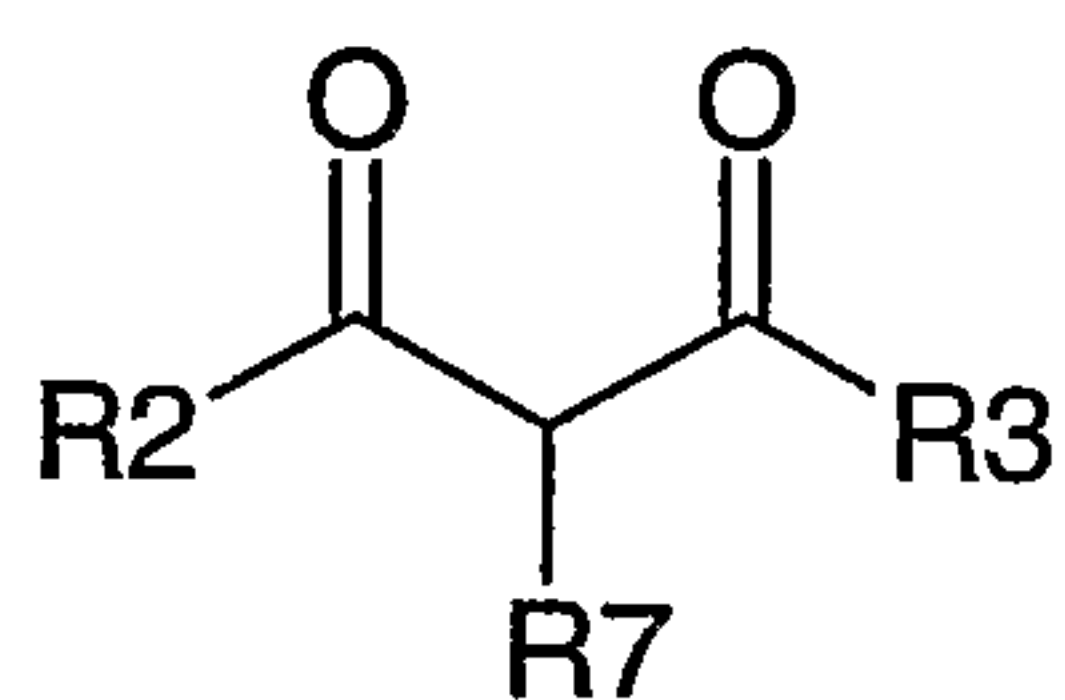
15 G) reacting a compound of the formula II (or a salt thereof)

- 15 -



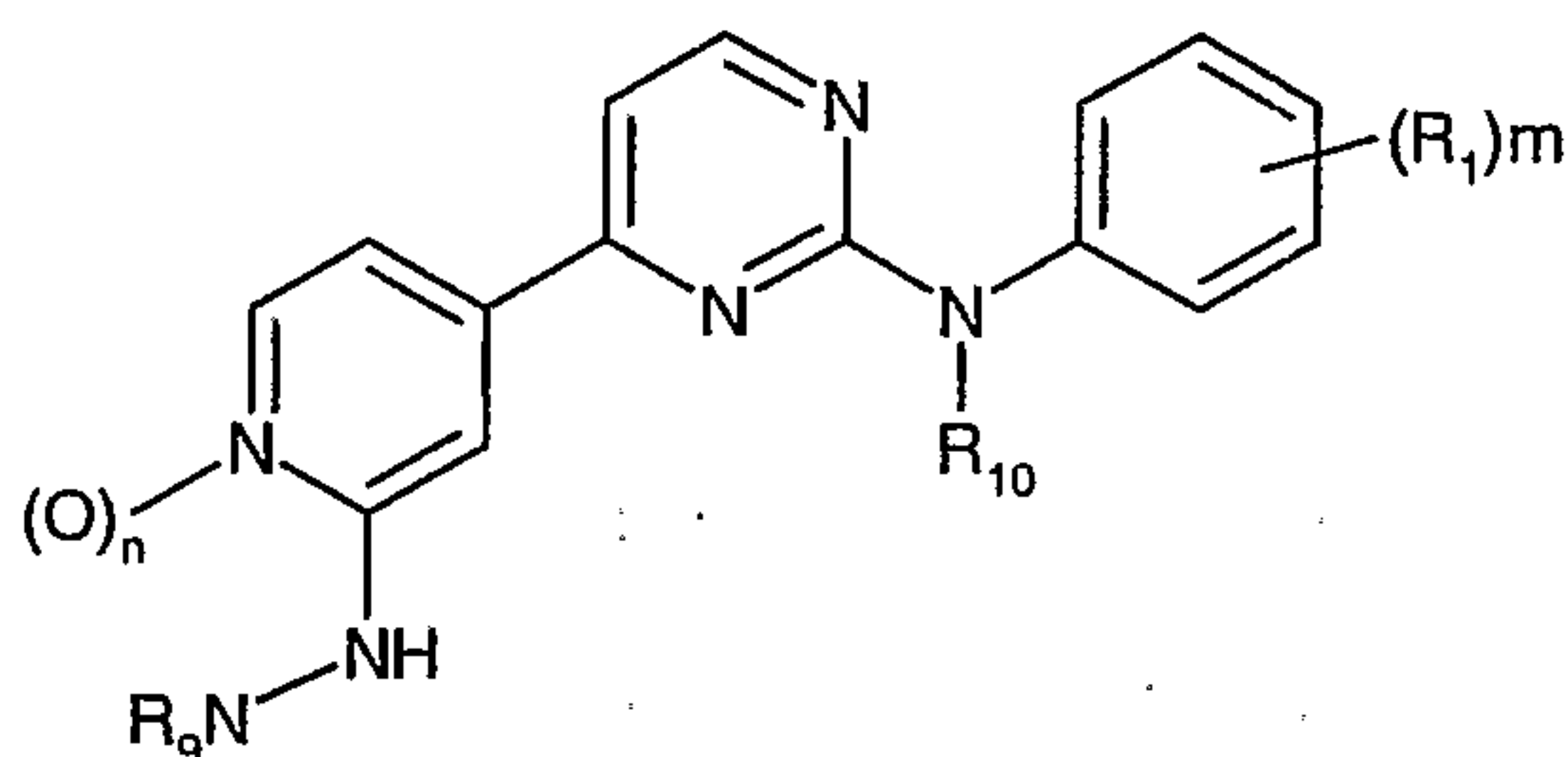
II

with a substituted 1,3 dicarbonyl compound of formula VIII



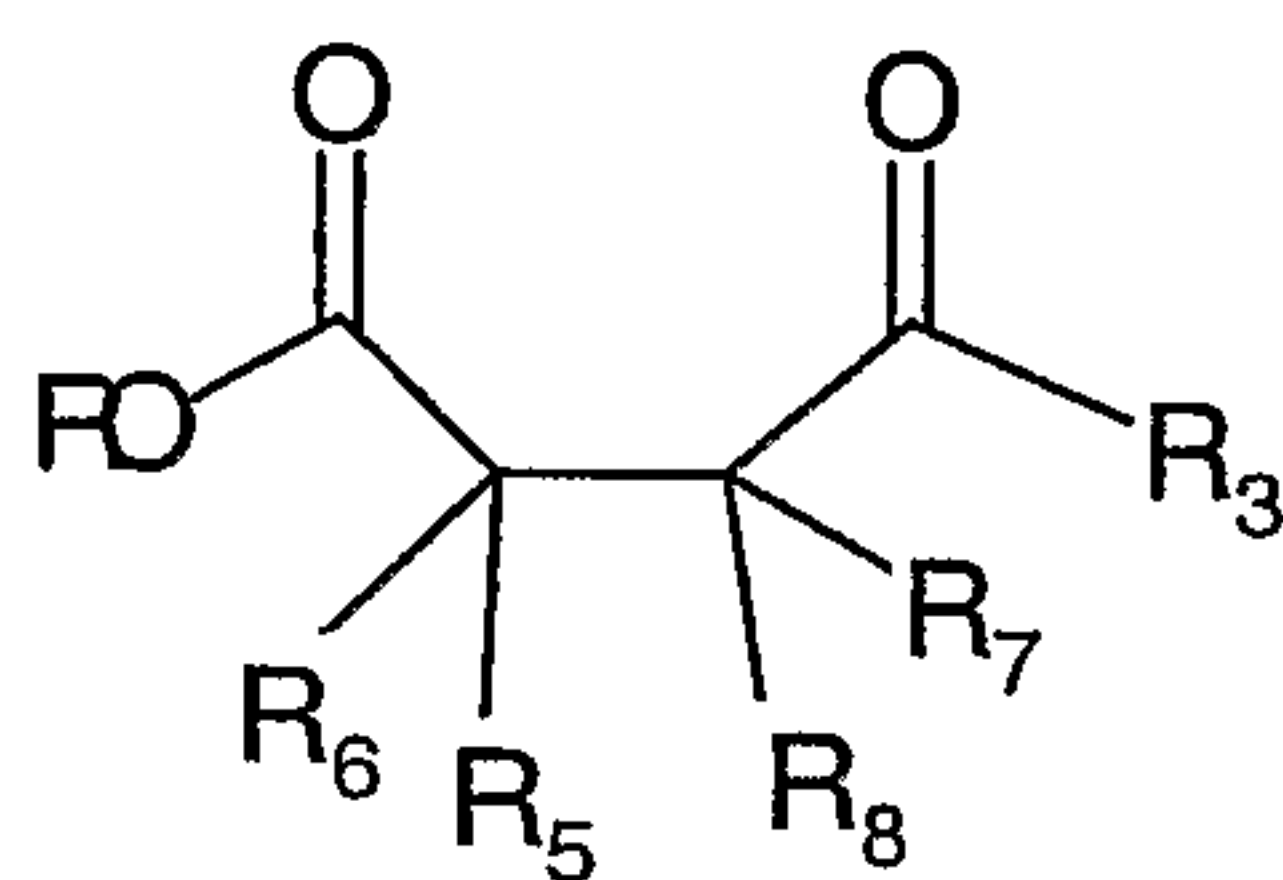
VIII

H) reacting a compound of the formula II (or a salt thereof)

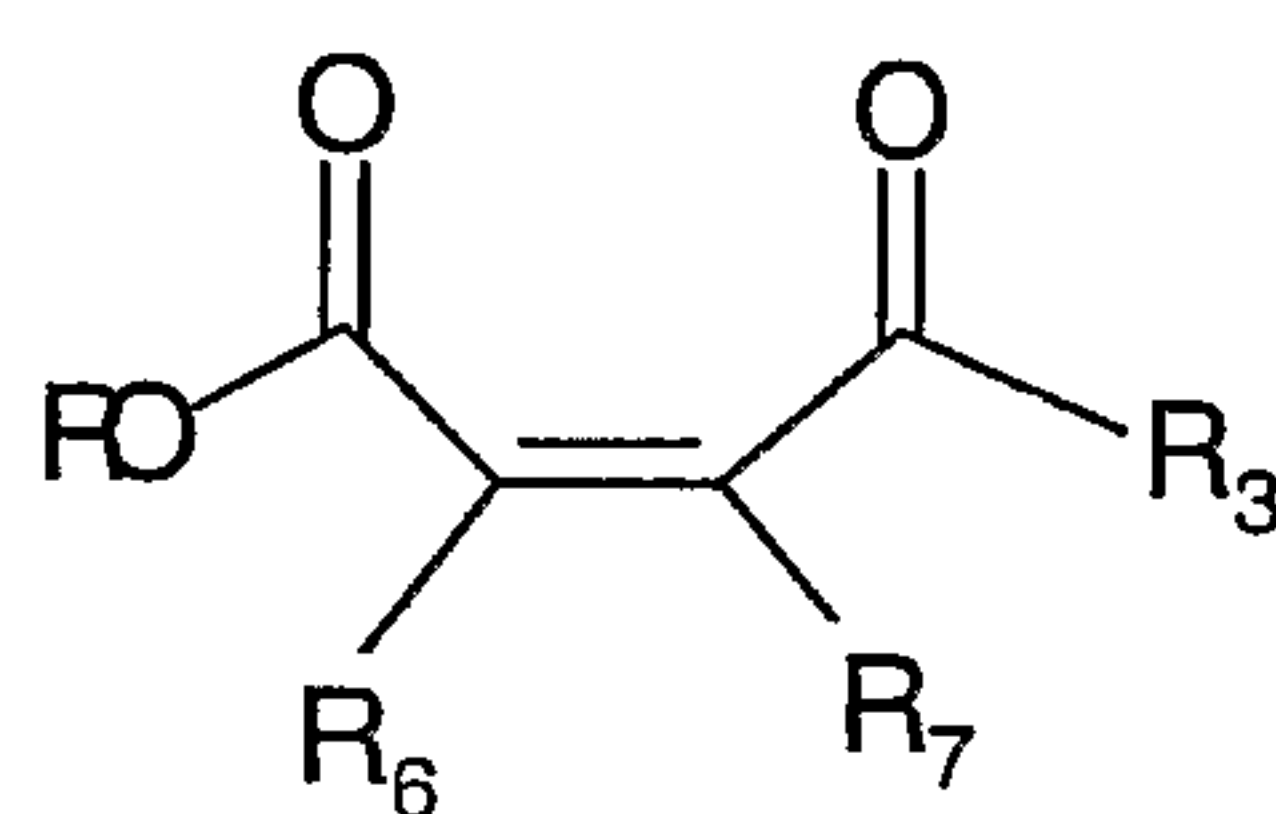


II

with a 1,4 dicarbonyl compounds of formula IX or X wherein R is H or optionally substituted alkyl

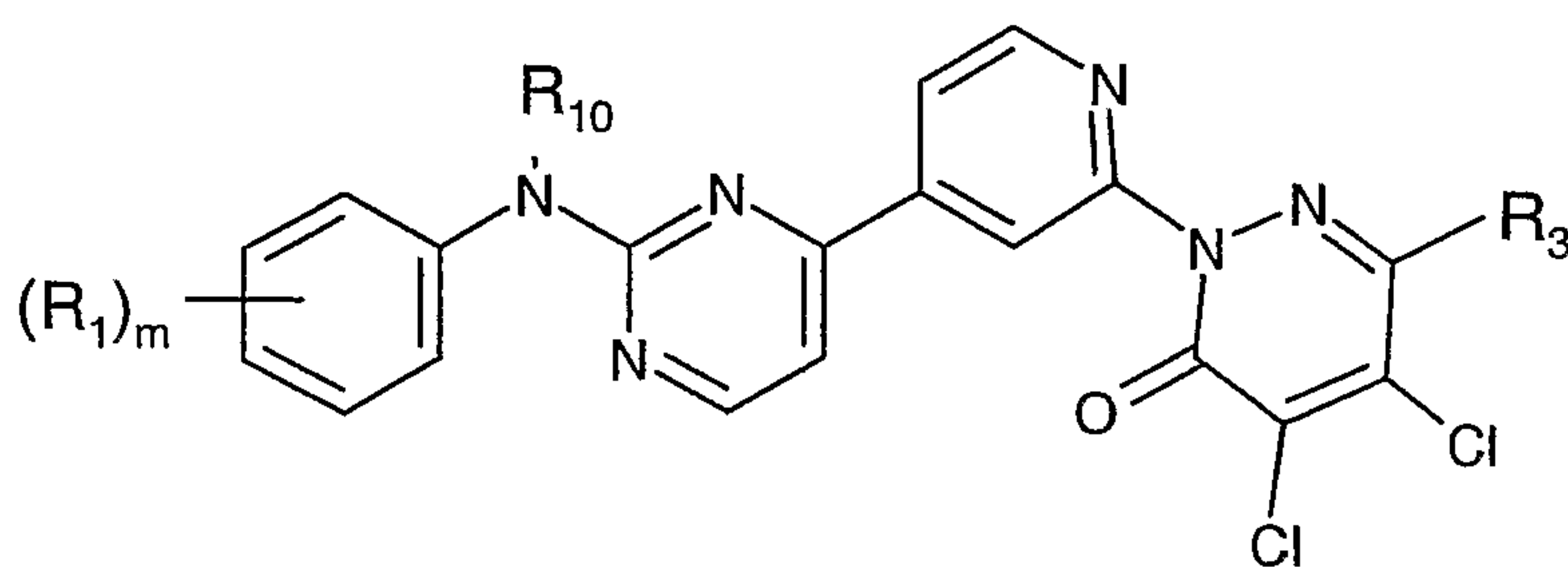


IX



X

10 I) reacting a compound of the formula I.6 (or a salt thereof)



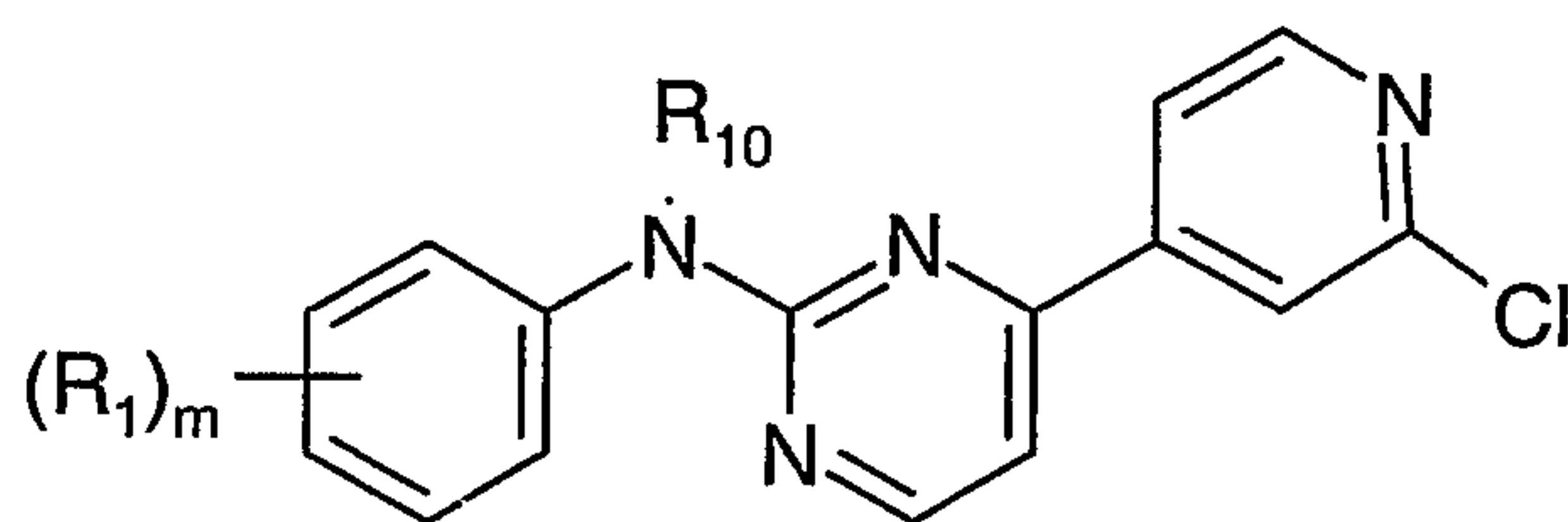
I.6

With a nucleophile to form compounds of formula I

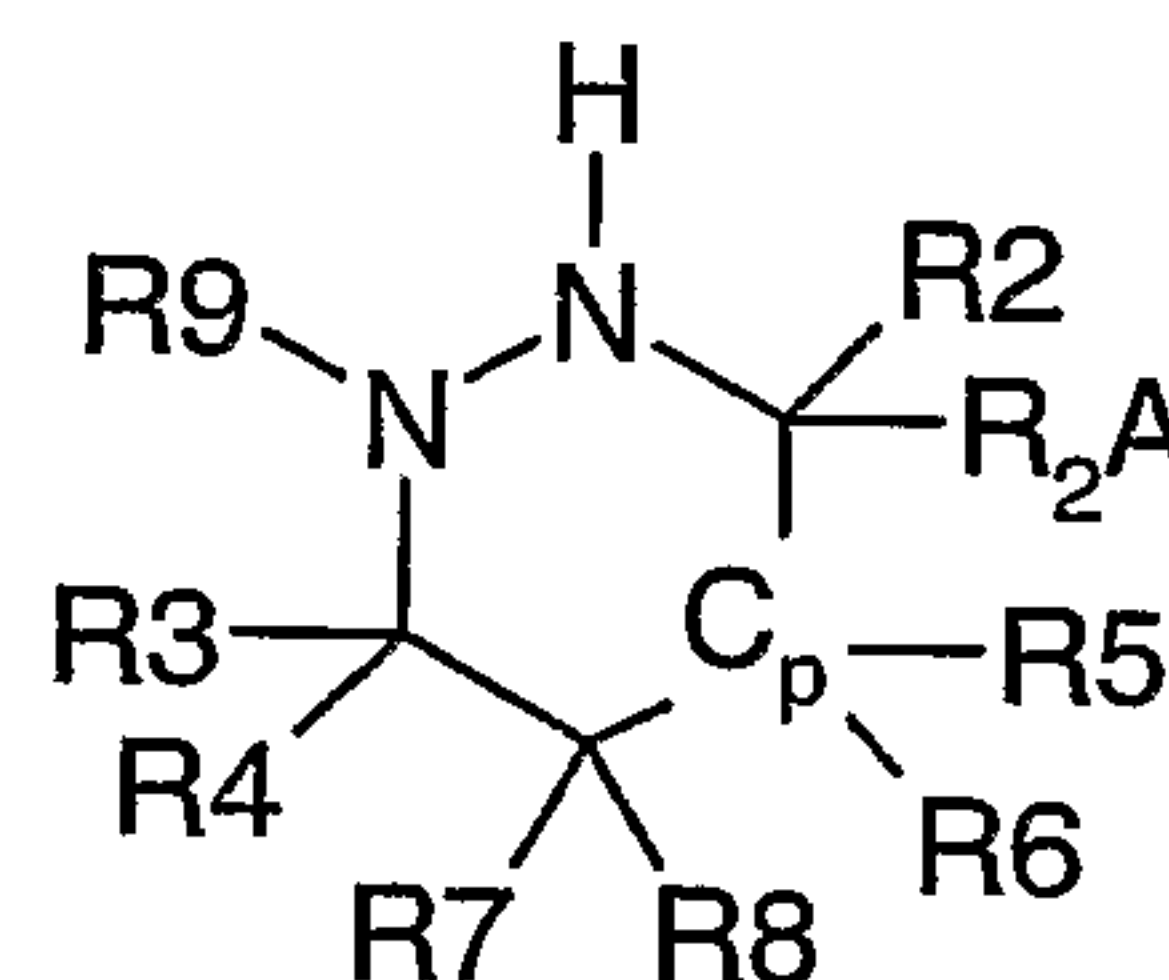
Compounds of formula I.6 are prepared by the methods of W Davey and D J Tivey, J

Chem Soc 1958, p1230 and illustrated in Example 7.

J) reacting a compound of the formula XI (or a salt thereof) with a cyclic hydrazine system of formula XII in the presence of a base and a metal catalyst, such as palladium(II) or palladium(0) complexes commonly used for Buchwald –Hartwig aminations



XI



XII

The R group moieties in compounds VIII, IX, X, XI and XII are as for those defined for compounds of formula I.

Compounds of formula III to XII inclusive are known compounds or may be prepared by compounds known processes.

The reaction types A to J and additional methods which can be applied per se or as analogous procedures for the synthesis of compounds of formula I are described for example in:

For 5-membered heterocycles:

J. Bernstein; et al.; J. Am. Chem. Soc. **1947**, 69, 1157;

H. Priewe, A. Poljak; Chem. Ber. **1955**, 88, 1932;

Patent Application CH 77-10606 19770831 (1982) ;

EP 0 680 954 A2 ;

For 6 membered heterocycles

Francis, John E.; Doebel, Karl. J.; Schutte, Paula M. Bachmann, Ernst F. Can. J. Chem. 1982, 60, 1214-1232. Sauter, Fritz; Stanetty, Peter; Blaschke, Alfred; Vypel, Hermann J. Chem Miniprint, 4, 1981, 1087-1096. Mikhailovskii, A. Chem. Heterocycl. Compd. (Engl. Trans.), 1998, 34, 2, 163-166. J. Med. Chem. 1999, 42, 6, 1088-1099.

Krutosikova, Alzbeta; Dandarova, Miloslava; Konecny, Vaclav;

Collect.Czech.Chem.Comm.; EN; 55; 11; 1990; 2707-2714.

Benjamin, Louis E. Earley James V. Gilman Norman W. J. Heterocyclic. Chem. 1986, 23, 119-124. . Patent, Chem. Fabr. Schering, DE 406214. Gregory; Wiggins;

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- 17 -

J.Chem.Soc.; 1949; 2546, 2549. Lancelot, Jean-Charles; Robba, Max; Chem.Pharm.Bull. 36; 7; 1988; 2381-2385.

Example on Phenylhydrazine : Bourel, Line; Tartar, Andre; Melnyk, Patricia; TELEAY; Tetrahedron Lett.; 37; 24; 1996; 4145-4148. Sawhney, S. N., Bhutani Sanjay, Vir, Indian  
 5 J.Chem.Sect.B; 26, 5; 1987, 348-350. P. Coudert, J. Couquelet, P. Tronche *J. of Heterocyclic. Chem.* 1988, 25, 799.

The chloro atoms of formula I.6 can be substituted by aryl groups under palladium catalysed conditions according to procedures described in: Bert U. W. Maes, Omar kyek, Janez Komrlj, Guy L. F. Lemièrre, Eddy Esmans, Jef Rozenski, Roger A. Dommissse and  
 10 Achiel Haemers Tetrahedron, 2001, 57(7), 1323-1330.

$\beta$ -Ketoesters of formula III – V are known or can be prepared according to procedures described in:

Hyoung R.K. Synlett 1998, 789-791; Freskos J.N. Tetrahedron letters, Vol. 35, No. 6, pp. 835-838 (1994);  
 15 J. Chem. Soc. , Perkin Trans. 1, (4), 839-61 (1988); Bull. Soc. Chim. Belg., 94(7), 449-56 (1985);  
 Collins D.J. Aust. J. Chem., 43, 617-22 (1990);

Procedures for the alkylation of compounds of the subformula Ia to Id are described in the experimental section using Williamson conditions.

20 Conversion of C=O groups (in Ia and Ic) into C=S groups (subformulas Ib and Id) is described in the experimental section using Lawesson reagent under standard conditions or according to procedures given in

Ley, Steven V.; Leach, Andrew G.; Storer, R. Ian. J. Chem. Soc., Perkin Trans. 1 (2001), (4), 358-361.

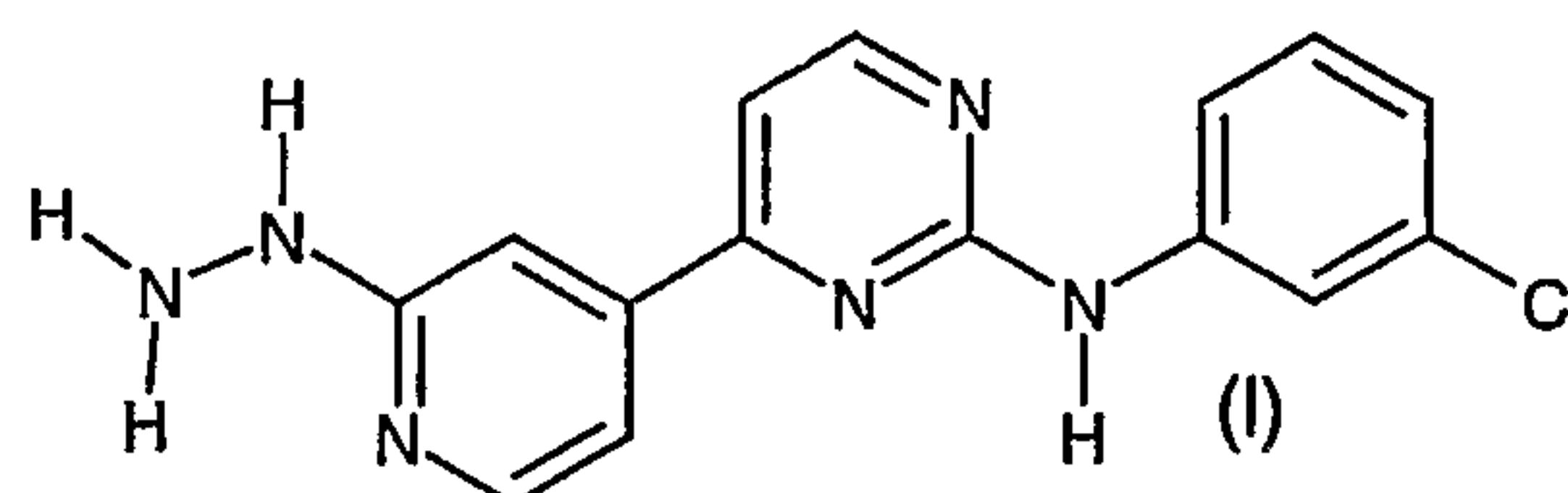
25 Procedures for the palladium catalysed C-N linkage reaction (Burchwald-Hartwig amination) of compounds of formula XI with cyclic hydrazine ring systems of formula XII are given in the experimental part and are described in WO 2002/053560.

#### Examples:

The subsequent examples are intended to illustrated the invention, without however  
 30 limiting the scope thereof.

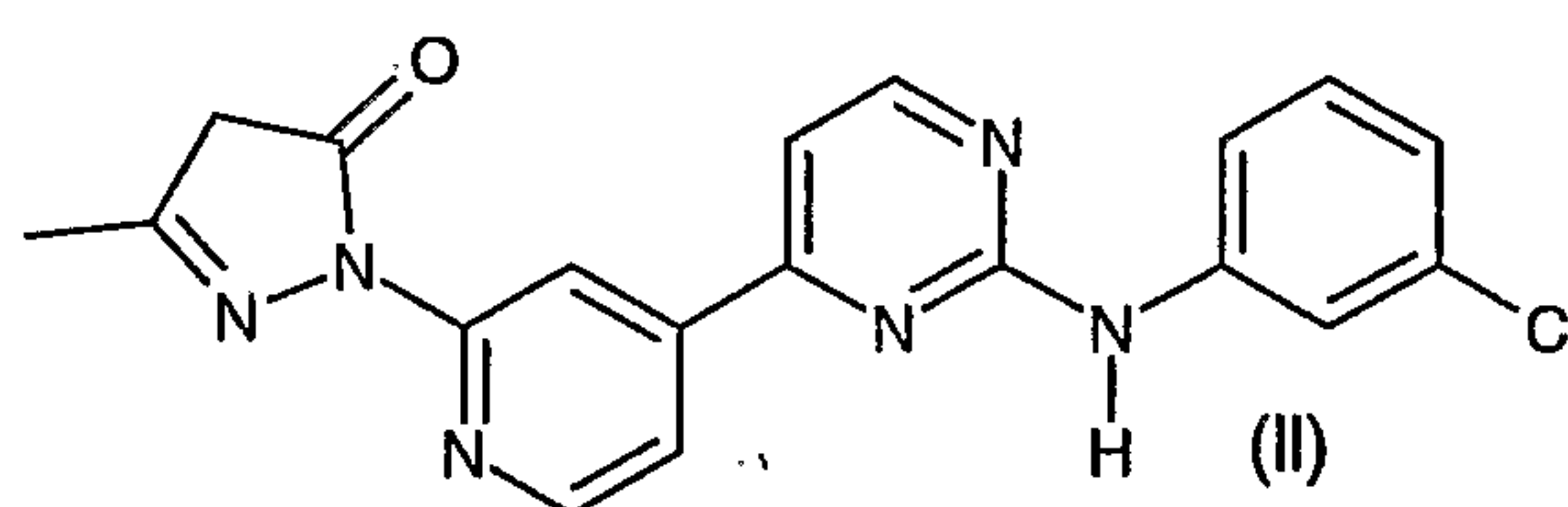
Synthesis Example 1: (3-Chloro-phenyl)-[4-(2-hydrazino-pyridin-4-yl)-pyrimidin-2-yl]-amine

- 18 -



A mixture of (3-chloro-phenyl)-[4-(2-chloro-pyridin-4-yl)-pyrimidin-2-yl]-amine (4.8g, 0.015mol) in hydrazine (20ml, 0.41mol) is refluxed for 90 minutes. The reaction is poured into ethanol (300ml) with efficient stirring. The resulting precipitate is filtered with suction to yield the title compound, m.p. 201-203°C.

Synthesis Example 2: 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-methyl-2,4-dihydro-pyrazol-3-one



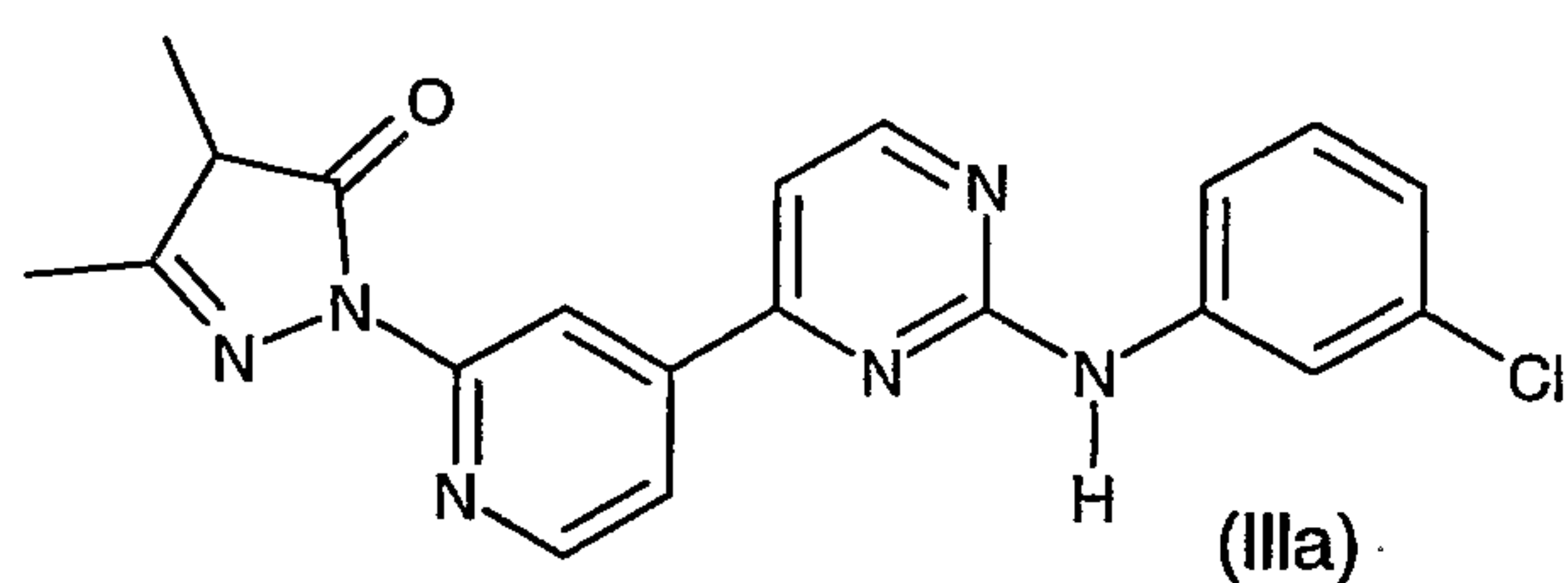
A mixture of (3-Chloro-phenyl)-[4-(2-hydrazino-pyridin-4-yl)-pyrimidin-2-yl]-amine (3.14g, 0.010mol) and Methyl acetoacetate (1.28g, 0.011mol) in EtOH (30ml) and Acetic acid (30ml) is stirred at reflux for one hour. At room temperature the resulting precipitate is filtered with suction to yield the title compound, (3.50g, 92%) m.p. 149-150°C.

Synthesis Example 3:

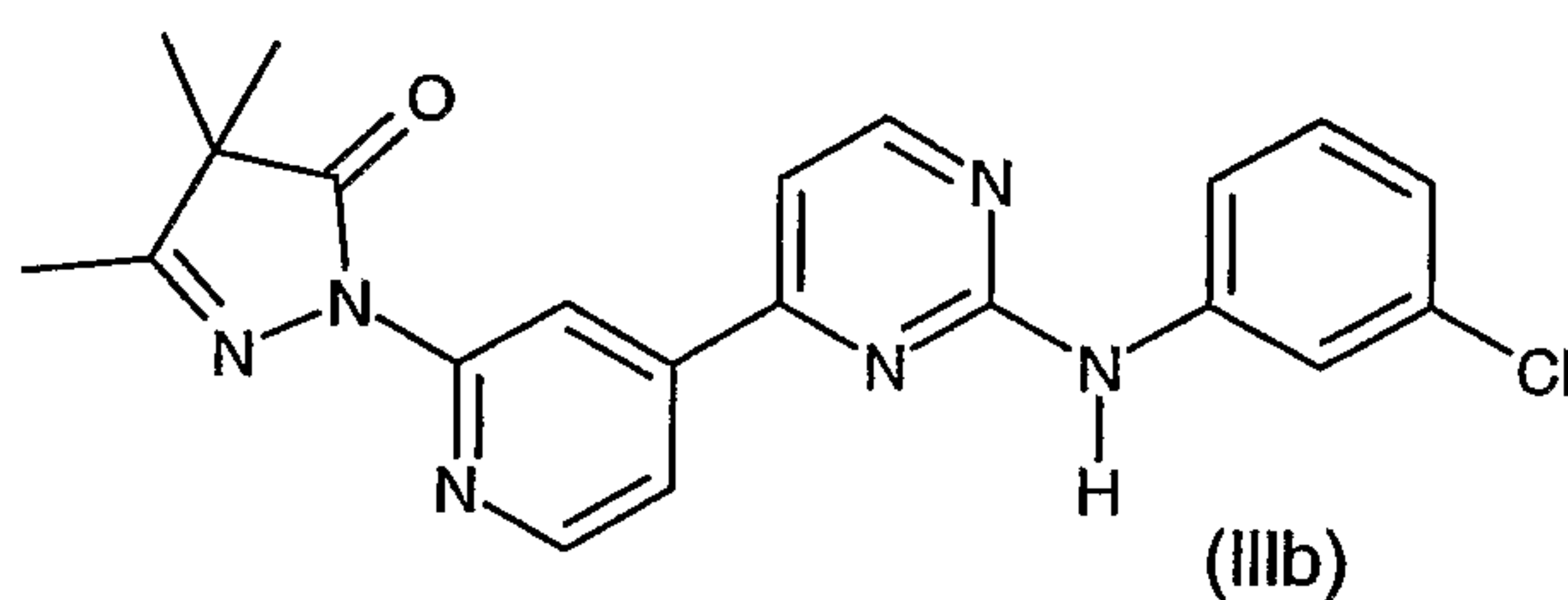
A mixture of 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-methyl-2,4-dihydro-pyrazol-3-one (3.42g, 0.009mol), iodomethane (2.52g, 0.018mol) and potassium carbonate anhydrous (3.78g, 0.027mol) in DMF (30ml) is stirred at room temperature for three hours. After stirring the resulting is partitioned between ethyl acetate and water. The organic phase is separated, dried over magnesium sulfate, filtered and evaporated under reduced pressure. The residue is purified twice by silicagel chromatography to give all possible Isomers of the title compounds IIIa to IIIf. IIIa (0.10g, 2.8%) m.p. 185-188°C, IIIb (0.29g, 8.1%) m.p. 163-166°C, IIIc (0.52g, 14.1%) m.p. 192-194°C, IIId (0.53g, 14.4%) m.p. 89-94°C, IIIe (0.29g, 8.0%) m.p. 149-150°C, IIIf (0.11g, 3.0%) m.p. 149-150°C,

Synthesis Example IIIa: 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4,5-dimethyl-2,4-dihydro-pyrazol-3-one

- 19 -

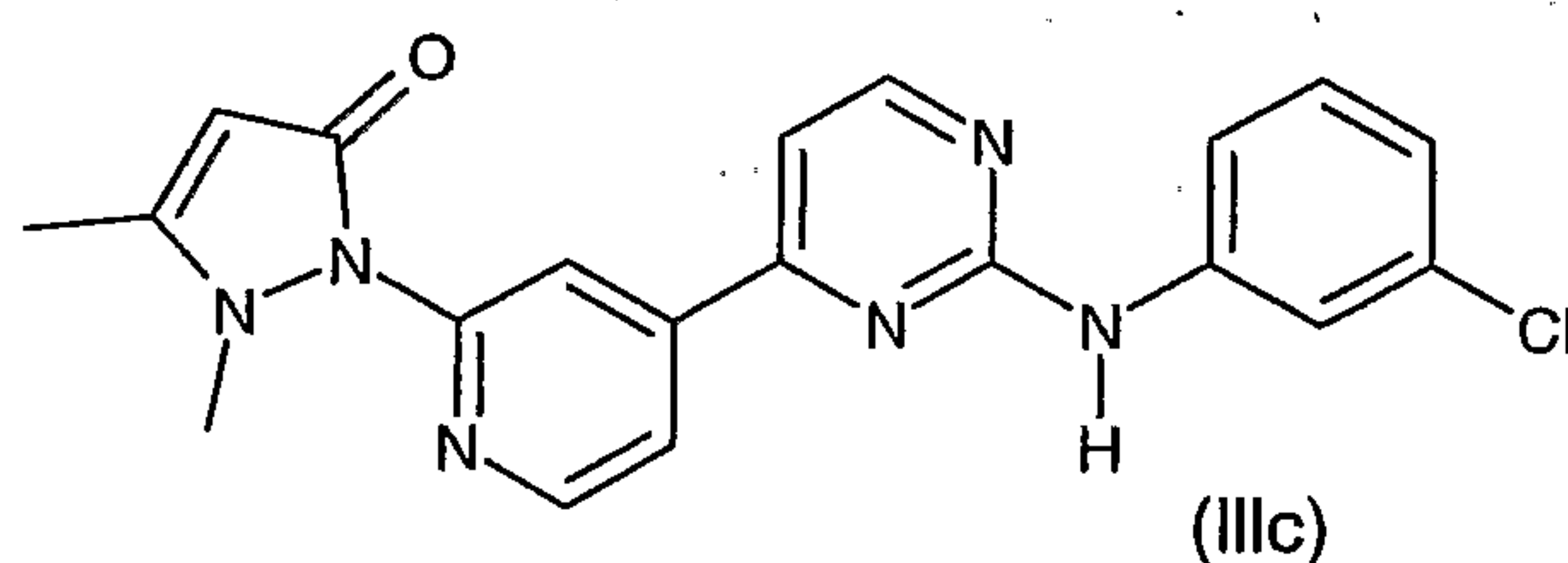


Synthesis Example IIIb: 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4,4,5-trimethyl-2,4-dihydro-pyrazol-3-one



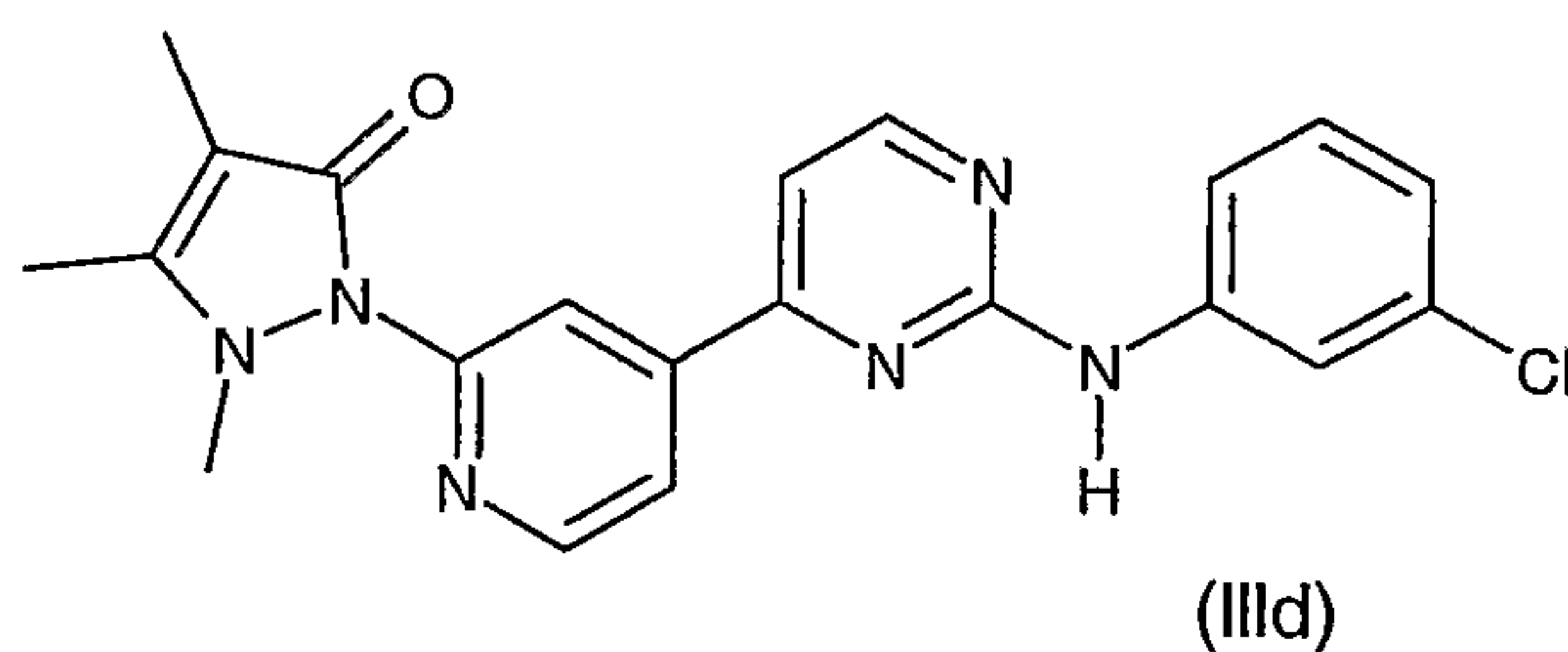
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Synthesis Example IIIc: 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1,5-dimethyl-1,2-dihydro-pyrazol-3-one



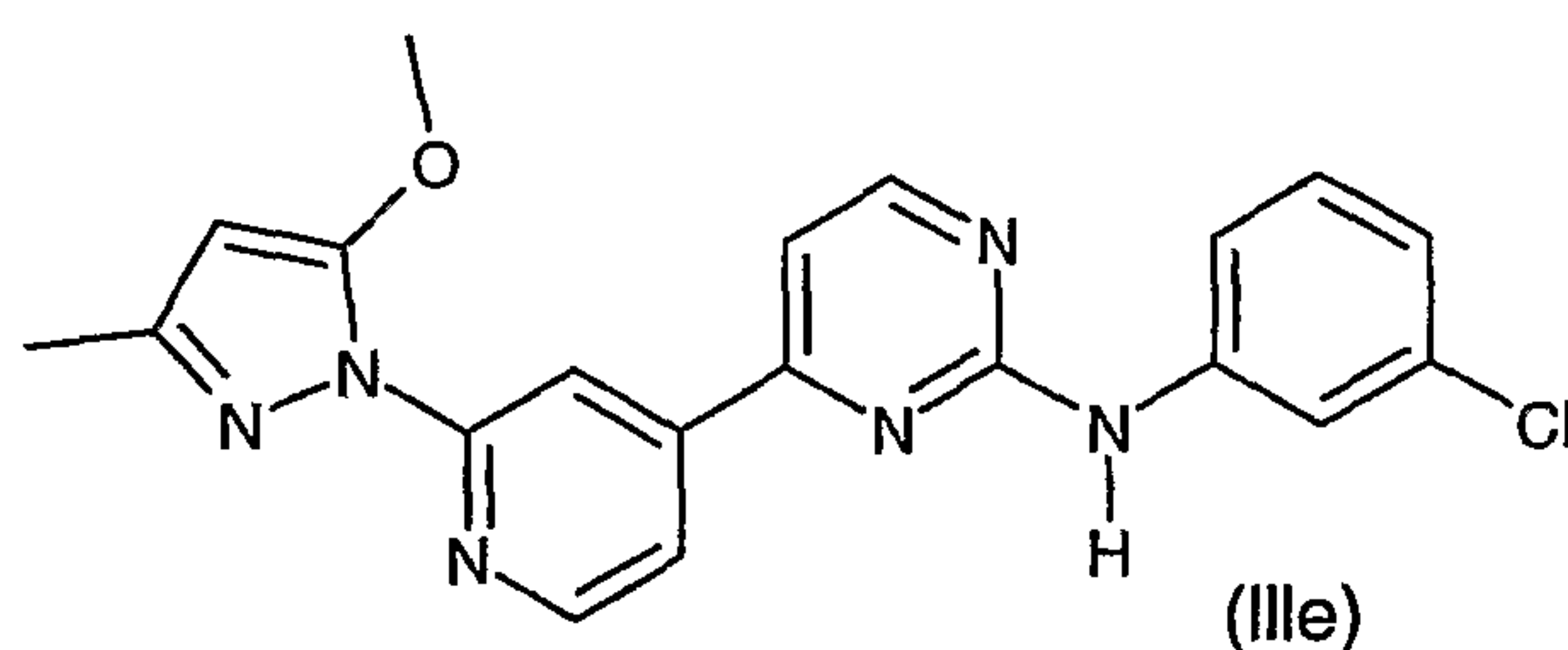
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Synthesis Example IIId: 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1,4,5-trimethyl-1,2-dihydro-pyrazol-3-one

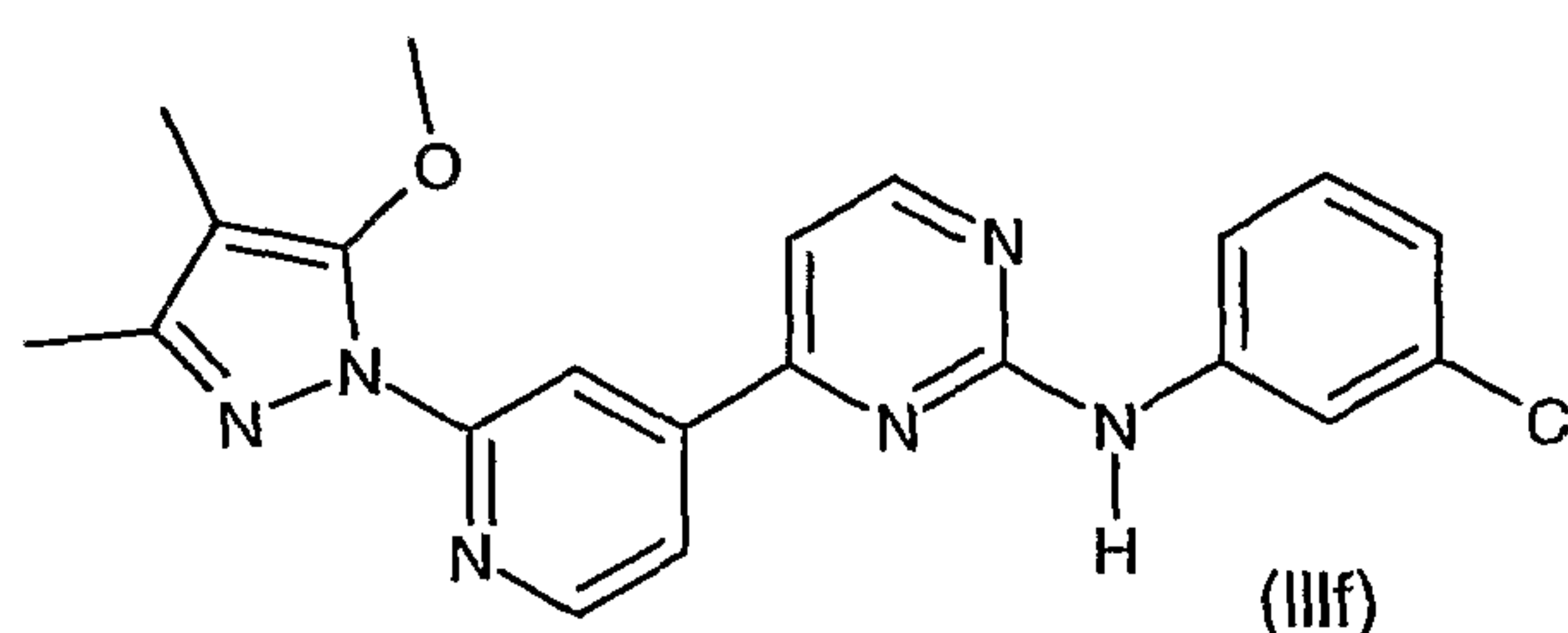


15 Synthesis Example IIle: (3-Chloro-phenyl)-{4-[2-(5-methoxy-3-methyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine

- 20 -



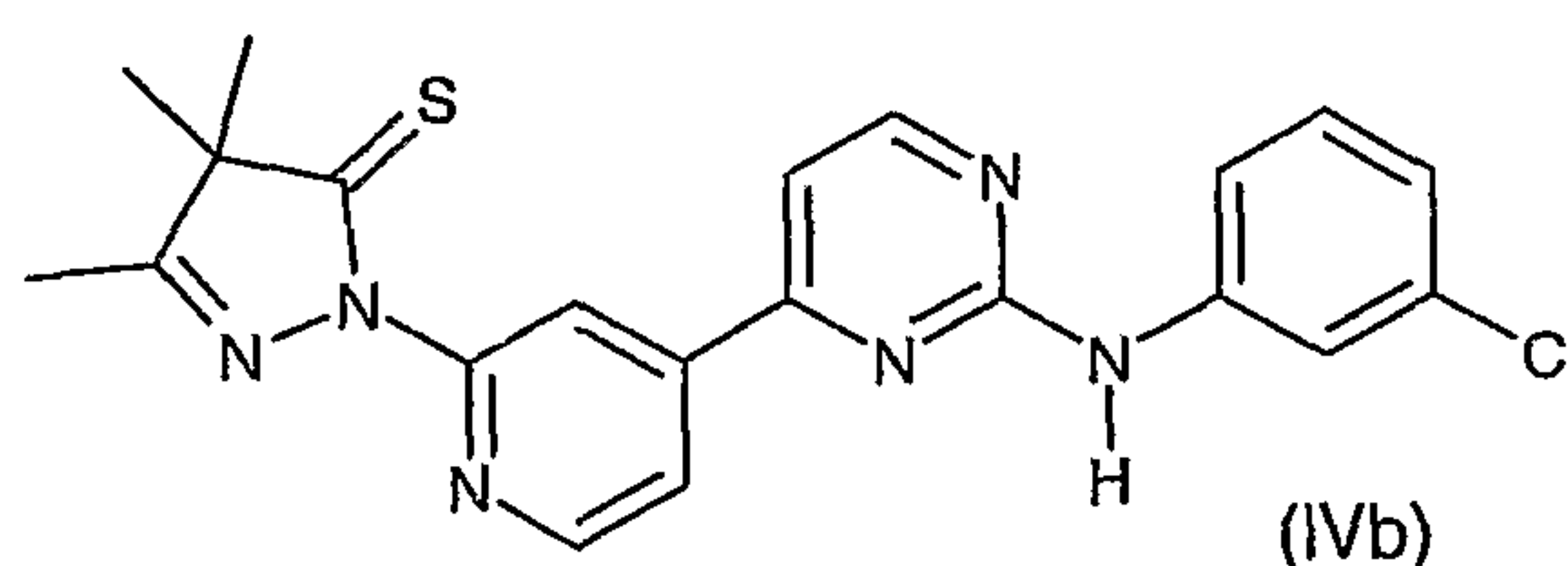
Synthesis Example IIIf: (3-Chloro-phenyl)-{4-[2-(5-methoxy-3,4-dimethyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine



5

Synthesis Example 4:

Synthesis Example IVb: 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4,4,5-trimethyl-2,4-dihydro-pyrazole-3-thione



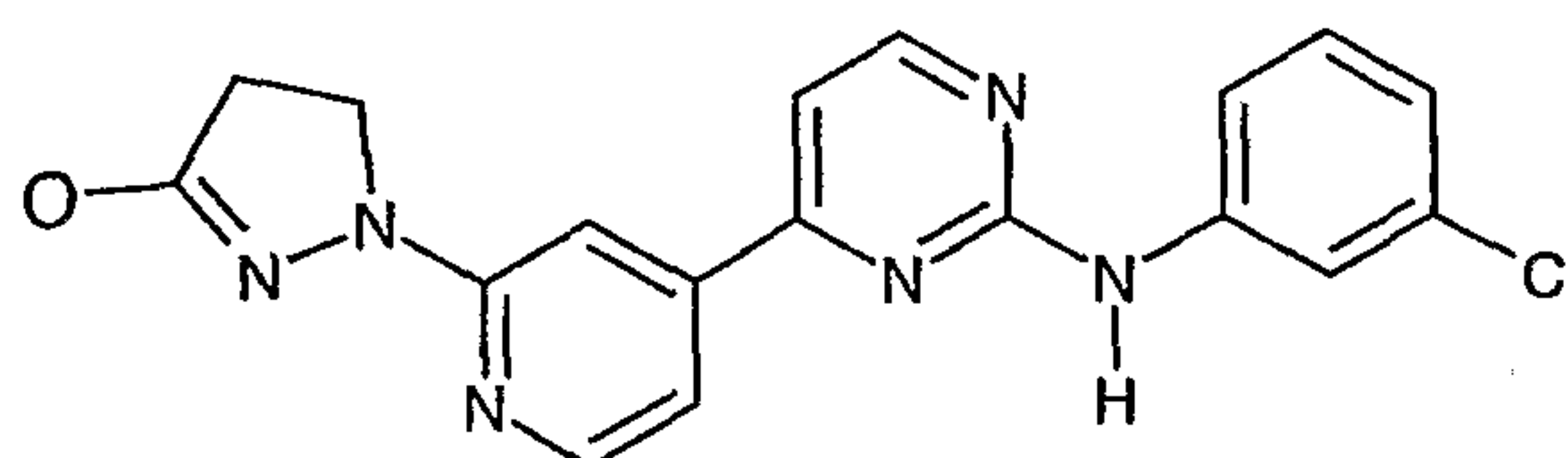
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A mixture of 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4,4,5-trimethyl-2,4-dihydro-pyrazole-3-one (0.21g, 0.0005mol) and Lawesson reagent (0.22g 0.0005mol) in toluene (3ml) is stirred at 100°C for one hour. After cooling the resulting solution is directly purified by silicagel column chromatography to the title compounds (IVb) (0.19g, 88.1%) m.p. 167-168°C,

15

Synthesis Example 5:

Synthesis Example V: 1-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4,5-dihydro-1H-pyrazol-3-ol



20

To a mixture of (3-Chloro-phenyl)-[4-(2-hydrazino-pyridin-4-yl)-pyrimidin-2-yl]-amine (7.82g, 0.025mol) and Methyl acrylate (2.58g, 0.030mol) in tert BuOH (80ml) is added Potassium tert-butoxyde (5.6g, 0.05mol) in portions at 25°C. After stirring for two hours  
 5 the resulting brown solution is poured in water (500ml), acidified with acetic acid and partitioned between ethyl acetate and water. The organic phase is separated, dried over magnesium sulfate, filtered and evaporated under reduced pressure. The residue is purified by crystallizing from acetone. The resulting precipitate is filtered with suction to yield the title compound. (1.55g, 16.9%) m.p. 222-226°C.

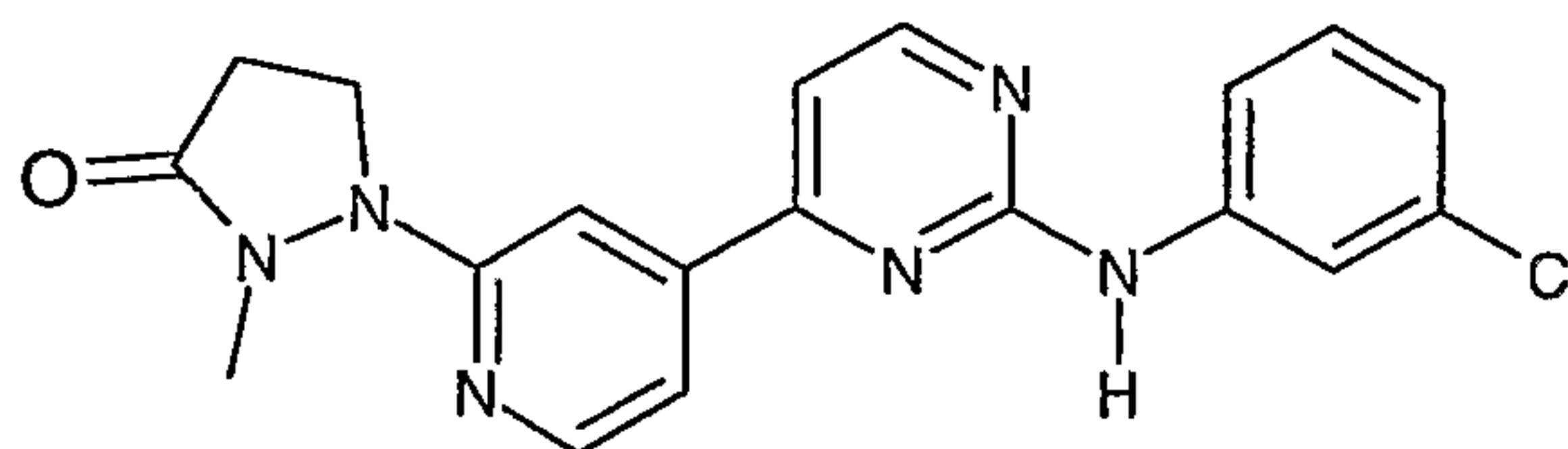
10 Synthesis Example 6:

A mixture of 1-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4,5-dihydro-1H-pyrazol-3-ol (0.734g, 0.0020mol), iodomethane (175µl, 0.0028mol) and potassium carbonate anhydrous (0.497g, 0.0036mol) in acetonitrile (4ml) and DMF (2ml) is stirred at 45°C for seven hours. After stirring the resulting is partitioned between ethyl  
 15 acetate and water. The organic phase is separated, dried over magnesium sulfate, filtered and evaporated under reduced pressure. The residue is purified by silicagel chromatography to give both possible Isomers of the title compounds.

Vla (0.192g, 25.2%) mp. 143-144°C

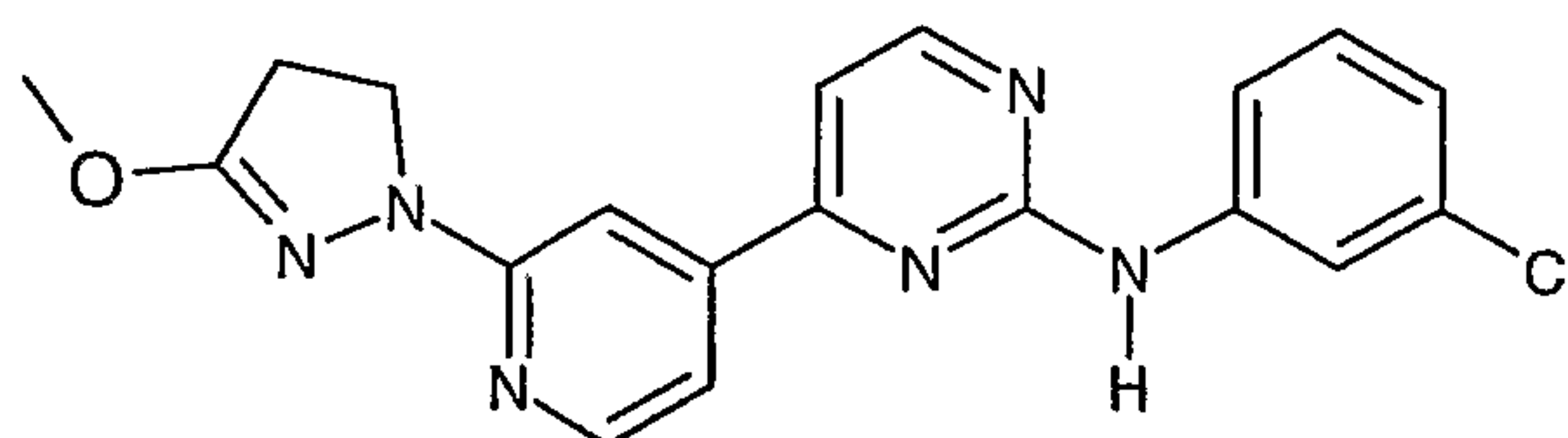
Vlb (0.036g, 4.7%) mp. 202-205°C

20 Synthesis Example Vla: 1-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2-methyl-pyrazolidin-3-on

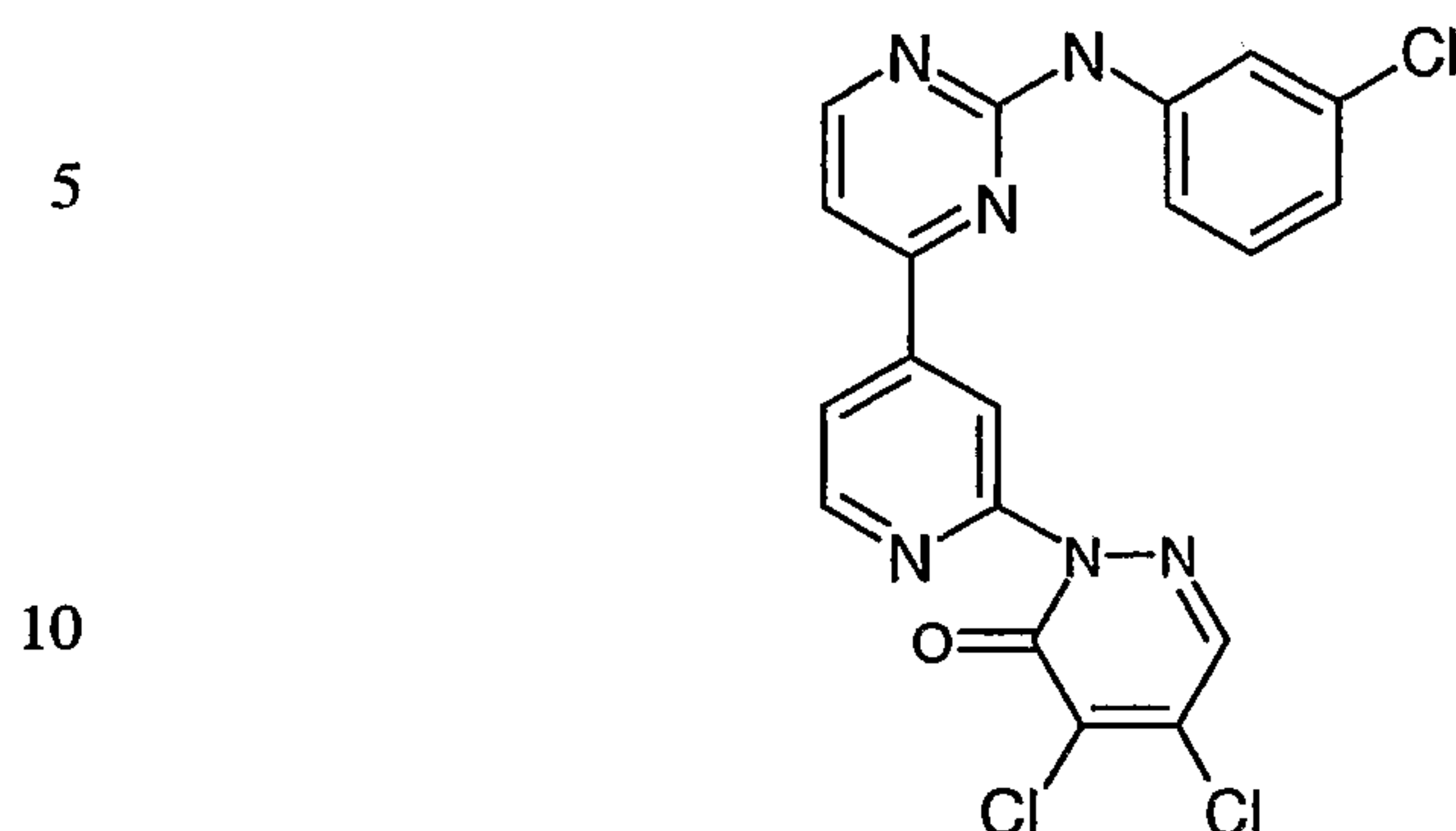


Synthesis Example Vlb: (3-Chloro-phenyl)-{4-[2-(3-methoxy-4,5-dihydro-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine

25



Synthesis Example 7 : 4,5-Dichloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one

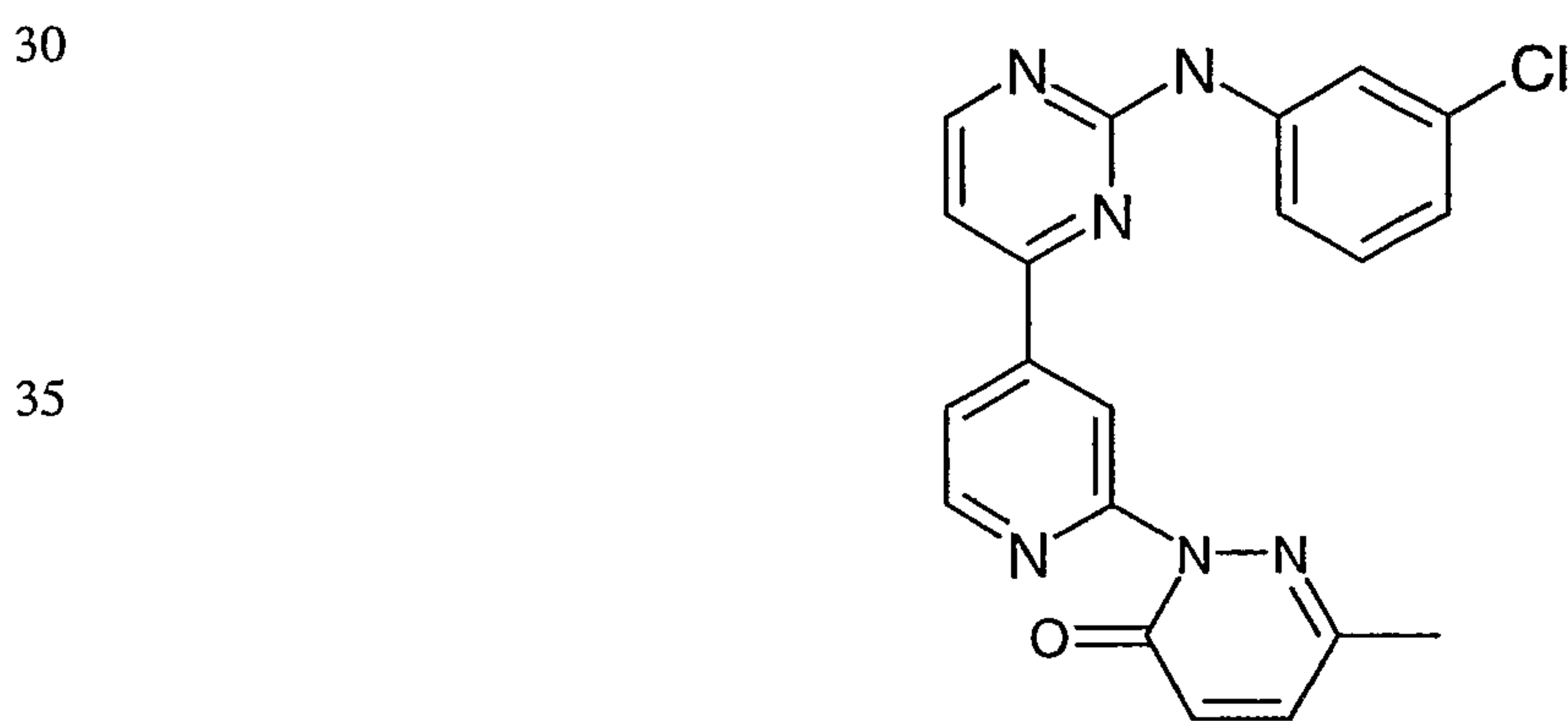


15 To a suspension of (3-Chloro-phenyl)-[4-(2-hydrazino-pyridin-4-yl)-pyrimidin-2-yl]-amine (5g) in acetic acid (80 mL) was added 2.8g of Mucochloric acid. The mixture was heated at 125°C for 4h. The solvent was concentrated and the crude was poured into water (500mL). The suspension was neutralised by addition of solid potassium carbonate until pH 7. The aqueous phase was extracted with ethyl acetate (3x 200 mL). The organic

20 phases were combined, dried over MgSO<sub>4</sub>, and concentrated. Flash silica chromatography, eluting with ethyl acetate-tetrahydrofuran (1-0 to 1 – 1), afforded the title compound as a solid (3.11g, 44%). Mp 238-240°C, <sup>1</sup>H NMR (DMSO-d<sub>6</sub>) 10.3 (1H, s, NH), 8.84 (1H, d, 5Hz), 8.76 (1H, d, 5Hz), 8.42 (1H, s), 8.38(1H, s), 8.28 (1H, dd, 2Hz, 5Hz), 8.04(1H, t, 2Hz), 7.74(1H, dd), 7.62(1H, d, 5Hz), 7.32(1H, t, 8Hz), 7.02(1H, dd, 2Hz, 8Hz). <sup>13</sup>CNMR (DMSO-d<sub>6</sub>) 160.3, 160.2, 159.9, 155.5, 153.5, 150.2, 146.6, 141.7, 136.7, 136.6, 134.0, 132.9, 130.1, 121.9, 121.1, 118.7, 118.2, 117.3, 109.3.

25

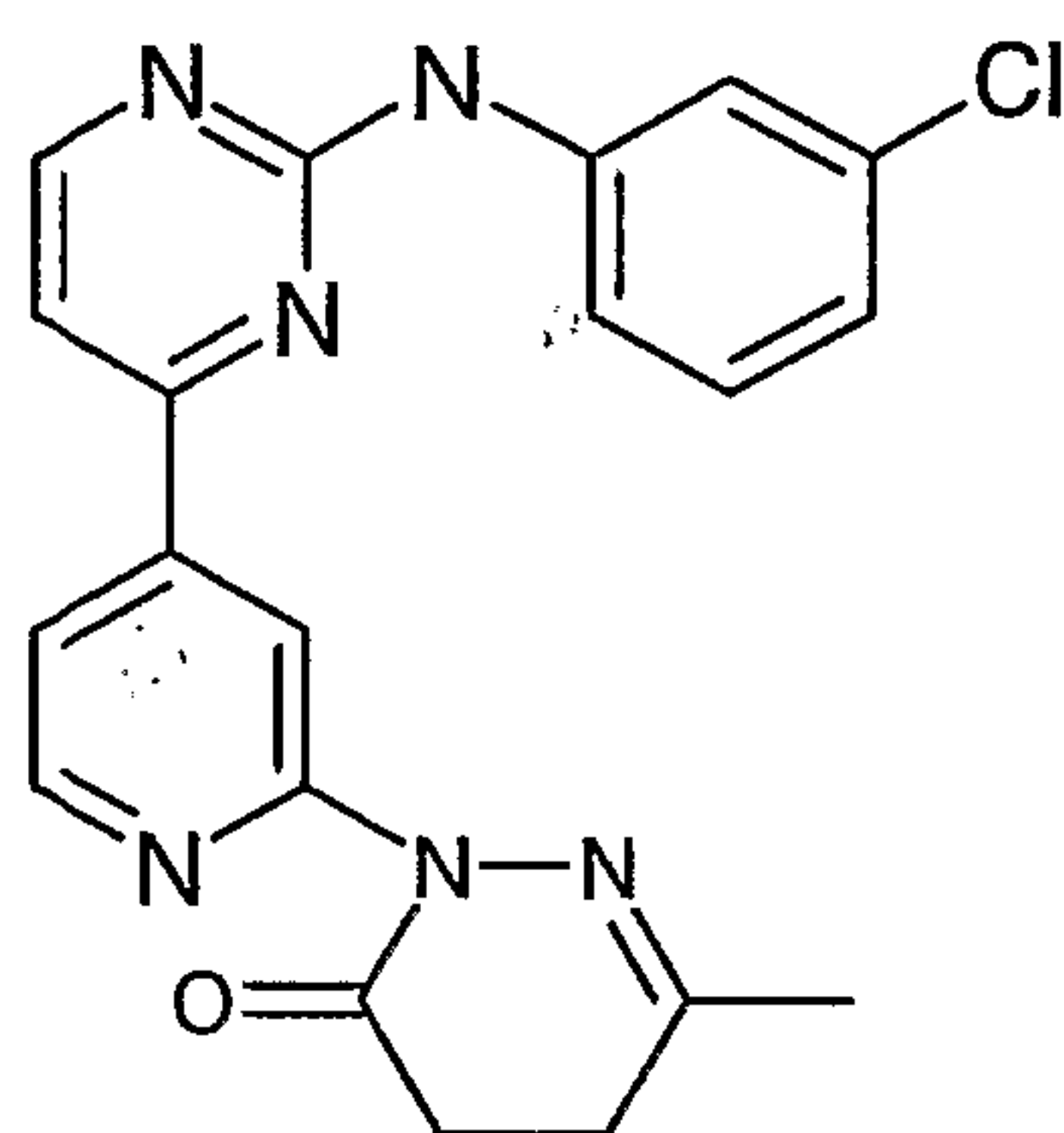
Synthesis Example 8 : 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-6-methyl-2H-pyridazin-3-one



To a suspension of (3-Chloro-phenyl)-[4-(2-hydrazino-pyridin-4-yl)-pyrimidin-2-yl]-amine (2g) in acetic acid (40 mL) was acetate (3x 200 mL). The organic phase were combined, dried over MgSO<sub>4</sub>, and concentrated. Flash silica chromatography, eluting with ethyl acetate-tetrahydrofuran (1-0 to 1 – 1), afforded the title compound as a solid  
 5 (1.39g, 55%). Mp 187-189°C, <sup>1</sup>H NMR (DMSO-d<sub>6</sub>) 9.8 (1H, s, NH), 8.86 (1H, d, 5Hz), 8.80 (1H, d, 5Hz), 8.35 (1H, s), 8.28(1H, dd, 2Hz, 5Hz), 8.14 (1H, t, 2Hz), 7.73 (1H, m), 7.748(1H, d, 10Hz), 7.36(1H, t, 8Hz), 7.14(1H, d, 10Hz), 7.08(1H, dd, 1Hz, 7Hz), 2.39 (3H,s), <sup>13</sup>CNMR (DMSO-d<sub>6</sub>) 160.8, 160.5, 160.3, 159.0, 154.8, 150.5, 146.7, 145.4, 142.2, 135.4, 133.3, 130.8, 130.5, 121.5, 119.2, 118.6, 117.7, 109.6, 20.58.

10

Synthesis Example 9 :2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-6-methyl-4,5-dihydro-2H-pyridazin-3-one

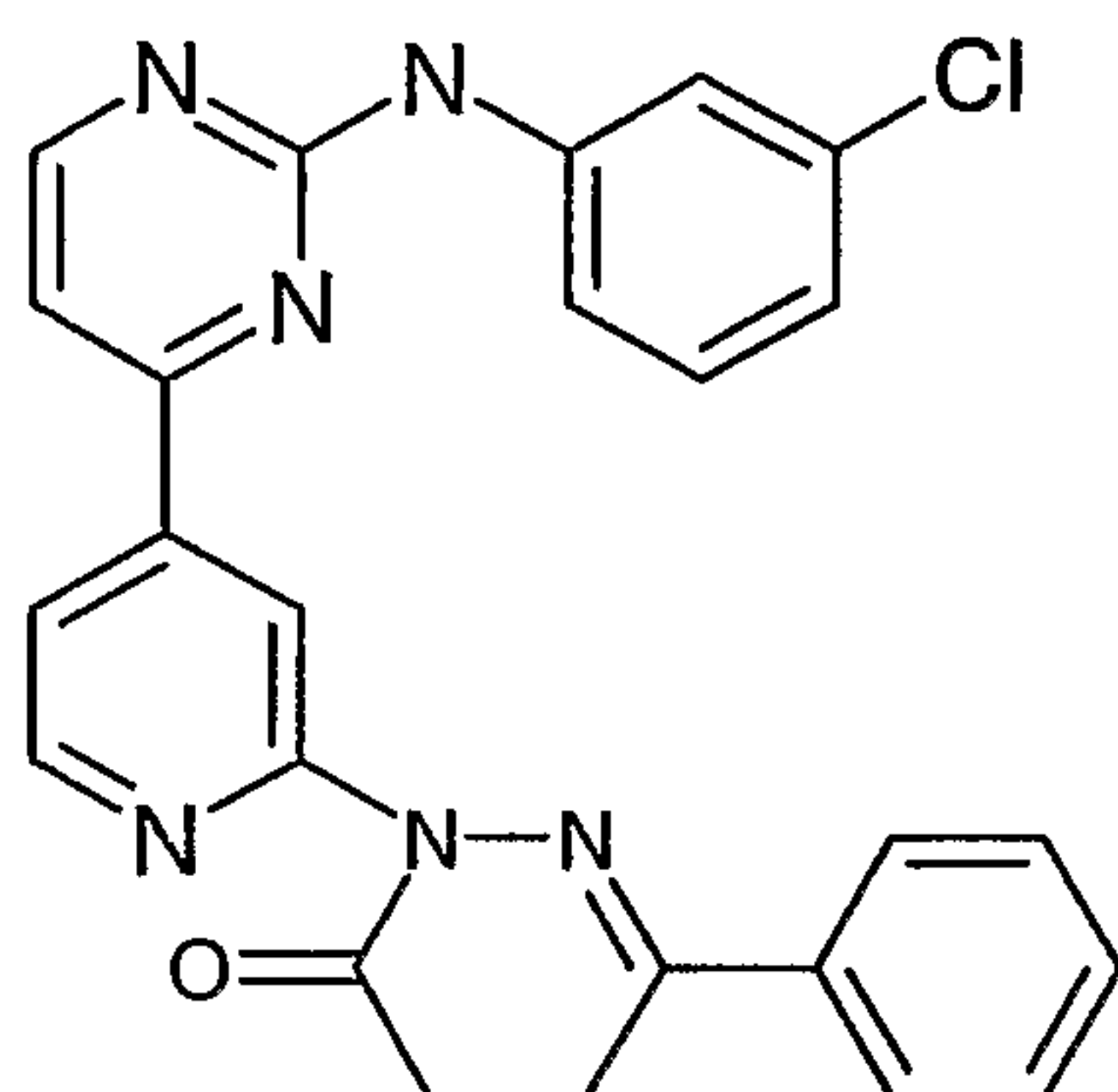


15

To a suspension of (3-Chloro-phenyl)-[4-(2-hydrazino-pyridin-4-yl)-pyrimidin-2-yl]-amine (2g) in n-Butanol (40 mL) was added of 0.744g of levulinic acid. The mixture was heated at reflux. After 3h, the mixture was cooled at 0°C and the 4-({4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-hydrazono)-4-methyl-butyric acid (1.92g,  
 20 73%) was recovered by filtration. Mp 218-220°C, <sup>1</sup>H NMR (DMSO-d<sub>6</sub>) 12.1 (1H, OHAcid), 9.93 (1H, s, NH), 9.48 (1H, s, NH), 8.64 (1H, d, 5Hz), 8.24 (1H, d, 5Hz), 8.0 (1H, s), 7.76 (2H, m), 7.46 (1H, d, 5Hz), 7.38 (1H, dd, 2Hz, 5Hz), 7.30 (1H, t, 8Hz), 6.98 (1H, dd, 1Hz, 8Hz), 2.51 (4H, s), 1.92 (3H, s), <sup>13</sup>C NMR (DMSO-d<sub>6</sub>) 176.2, 164.6, 161.6, 161.2, 150.5, 150.1, 147.5, 144.0, 134.9, 132.1, 122.97, 120.13, 119.2, 113.7,  
 25 111.1, 105.9, 35.33 (CH<sub>2</sub>), 32.56(CH<sub>2</sub>), 18.09 (CH<sub>3</sub>), MS (ES-) 409 (M-1, 100), 819 (2M-1, 30). The 4-({4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-hydrazono)-4-methyl-butyric acid (1.5g) was dissolved in acetic acid (40 mL). The solution was stirred at 110°C for 3h then the solution was poured in a mixture of water

and ice (250 mL) and neutralised with a solution saturated of sodium hydrogenocarbonate until pH 7. The mixture was extracted with ethyl acetate (3x100 mL). The organic phase were combined, dried over MgSO<sub>4</sub>, and concentrated. Flash silica chromatography, eluting with ethyl acetate-tetrahydrofuran (3-1), afforded the title compound as a solid (0.7263g, 51%). Mp 189-192°C, <sup>1</sup>H NMR (DMSO-d<sub>6</sub>) 10.07(1H, NH), 8.73 (1H, d, 5Hz), 8.69 (1H, d, 5Hz), 8.16 (1H, s), 8.06 (2H, m), 7.73 (1H, dd, 3Hz, 10Hz), 7.60(1H, d, 5Hz), 7.31 (1H, t, 8Hz), 7.03(1H, dd, 3hz, 8Hz), 2.63 (4H, m), 2.07 (3H, s). <sup>13</sup>C NMR (DMSO-d<sub>6</sub>) 165.3, 160.3, 159.6, 159.3, 154.9, 153.9, 149.0, 141.1, 132.5, 129.7, 120.7, 119.2, 117.8, 117.6, 116.7, 108.66, 26.4, 25.3, 22.0. MS (ES+) 393 (MH<sup>+</sup>, 100), 785 (2MH<sup>+</sup>, 60).

Synthesis Example 10 : 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-6-Phenyl-4,5-dihydro-2H-pyridazin-3-one



15

To a suspension of (3-Chloro-phenyl)-[4-(2-hydrazino-pyridin-4-yl)-pyrimidin-2-yl]-amine (2g) in n-Butanol (40 mL) was added of 1.14g of 3-benzoylpropionic acid. The mixture was heated at reflux. After 3h, the mixture was cooled at 0°C and the 4-({4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-hydrazono)-4-phenyl-butyric acid (2.19g, 72%) was recovered by filtration. Mp 144-146°C, <sup>1</sup>H NMR (DMSO-d<sub>6</sub>) 12.0 (1H, OH), 10.4 (1H, s, NH), 10.1 (1H, s, NH), 8.77 (1H, d, 5Hz), 8.42 (1H, d, 5Hz) 8.12 (1H, s), 8.06(1H, s), 7.80(3H, m), 7.6 (1H, d, 5Hz) 7.53 (1H, d, 5Hz), 7.45 (3H, m), 7.34 (1H, t, 8Hz), 7.08 (1H, m) 3.4 (2H, m), 2.95 (2H, m), MS (ES+) 473 (MH<sup>+</sup>, 100), . MS (ES-) 471 (M-1, 100). To a solution of 4-({4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-hydrazono)-4-phenyl-butyric acid (0.48g) in tetrahydrofuranne (40 mL) was additionned N, N'-dicyclohexylcarbodiimide (0.23g) and 1-Hydroxybenzotriazole (0.1401g). The solution was stirred at reflux for 2h then the solvent was evaporated. The

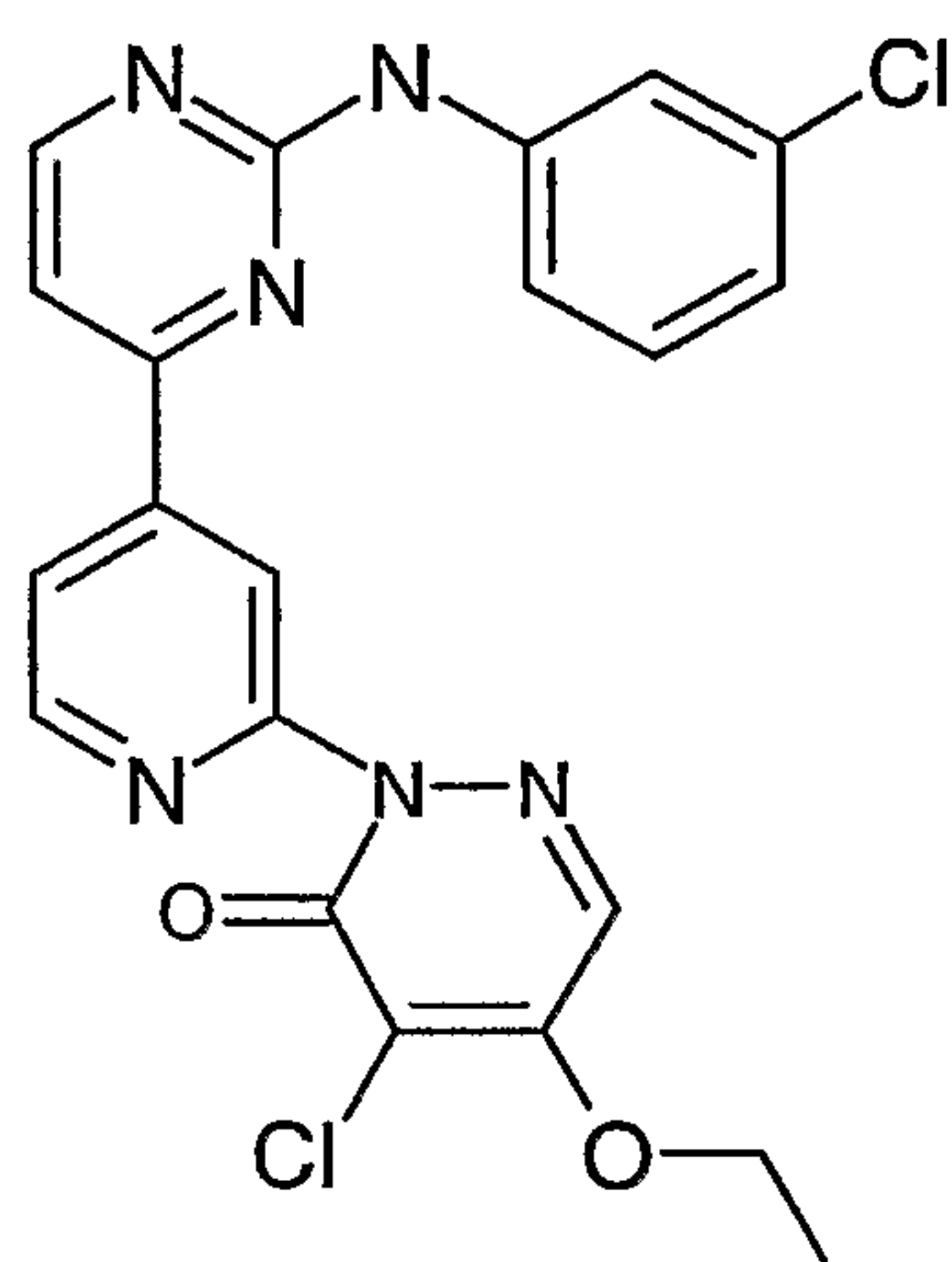
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crude was chromatographed, eluting with ethyl acetate to gave the title compound as a solid (0.3366g, 78%). Mp 165-167°C, <sup>1</sup>H NMR (CDCl<sub>3</sub>) 9.6 (1H, s, NH), 8.76 (1H, d, 5Hz), 8.59 (1H, d, 5Hz), 8.21 (1H, s), 7.86 (4H, m), 7.44 (4H, m), 7.26 (2H, m), 7.01 (1H, m), 3.18 (2H, t, 8Hz), 2.87 (2H, t, 8Hz). <sup>13</sup>C NMR (CDCl<sub>3</sub>) 166.3, 162.4, 160.4, 159.8, 154.8, 152.9, 150.1, 146.7, 140.9, 135.7, 134.9, 130.5, 130.3, 129.0, 126.7, 122.9, 120.1, 119.6, 118.8, 117.55, 109.6, 28.4, 23.6. MS (ES<sup>+</sup>) 455 (MH<sup>+</sup>, 100), 909 (2MH<sup>+</sup>, 10).

Synthesis Example 11 : 4-Chloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-ethoxy-2H-pyridazin-3-one

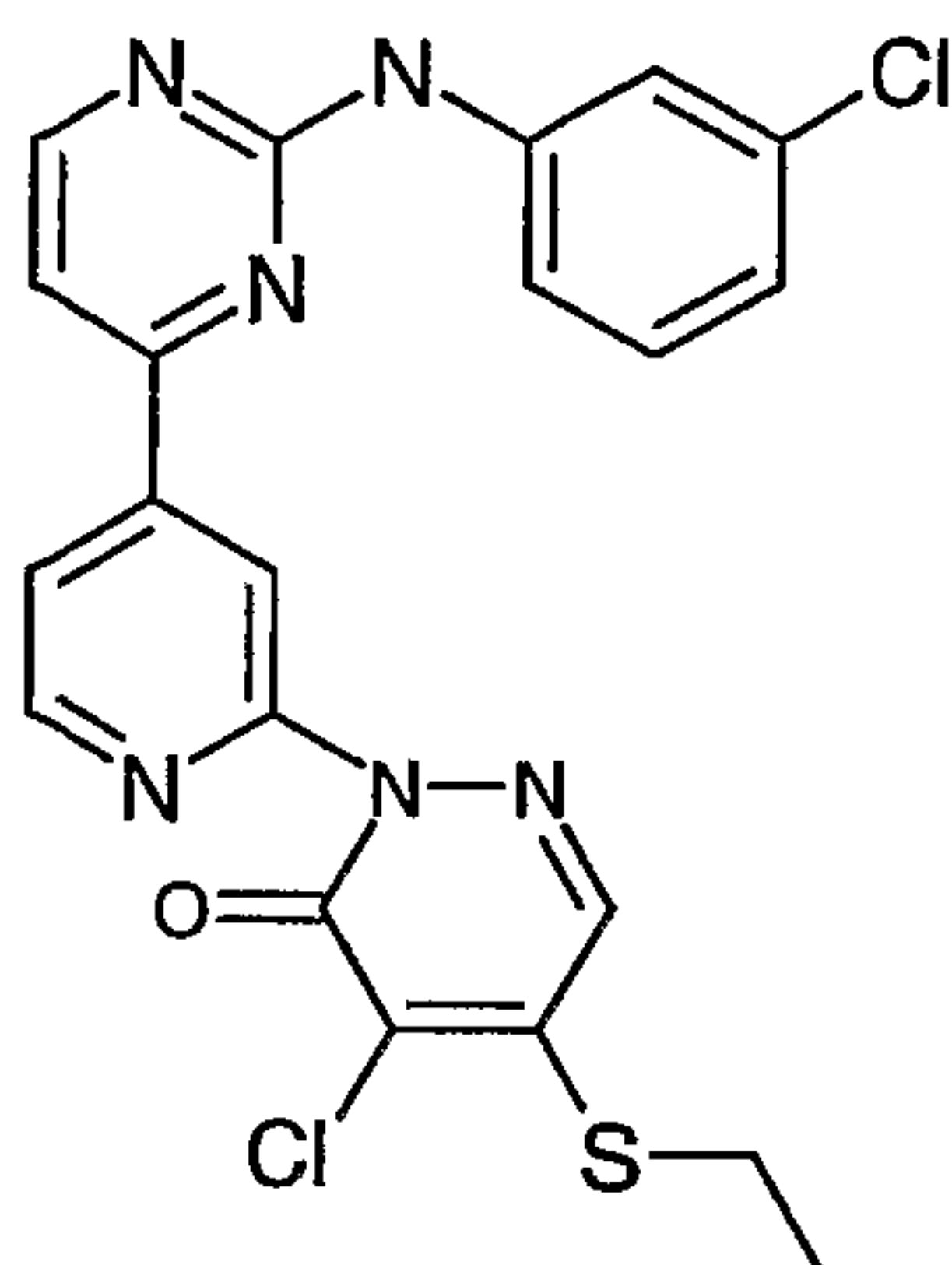
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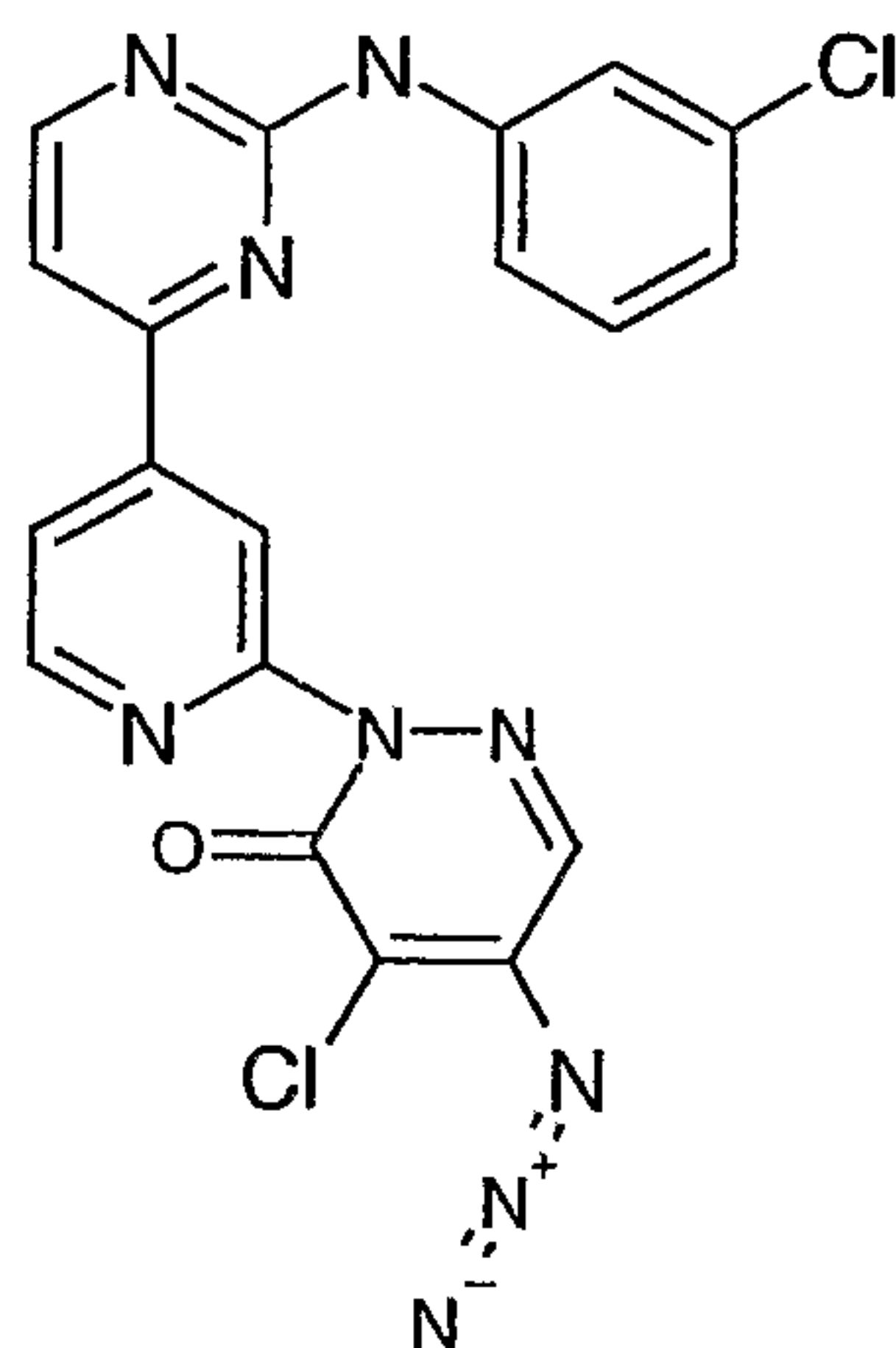
To a suspension of 4,5-Dichloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one (0.3g) in ethanol (10 mL) was added of 0.220 mg of potassium carbonate. The mixture was heated to reflux for 4h. The suspension was poured into water (50 mL) and extracted with ethyl acetate (2x100mL). The organic phase were combined, dried over MgSO<sub>4</sub>, and concentrated. Flash silica chromatography, eluting with ethyl acetate-hexane (9 : 1), afforded the title compound as a solid (0.130g, 35%). Mp 196-198°C, <sup>1</sup>H NMR (CDCl<sub>3</sub>) 8.80 (1H, d, 3Hz), 8.60 (1H, d, 6Hz), 8.42 (1H, s), 8.02 (2H, d, m), 7.93 (1H, s), 7.46 (1H, dd, 6Hz, 3Hz), 7.31 (1H, m), 7.29 (1H, d, 3Hz), 7.28 (1H, s), 4.43 (2H, q, 6Hz), 1.56 (3H, t, 6Hz).

Synthesis Example 12 : 4-Chloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-ethylsulfanyl-2H-pyridazin-3-one.

25



- To a suspension of 4,5-Dichloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one (0.3g) in acetonitrile (10 mL) was added of 0.15 mL of ethylmercaptan and 0.280 mg of potassium carbonate. The mixture was heated to reflux for 2h. The suspension was filtered and the solid was washed with ethyl acetate to gave the title compound (0.220g, 46%). Mp 80-100°C, <sup>1</sup>H NMR (DMSO-d<sub>6</sub>) 8.8 (1H, d, 3Hz), 8.59 (1H, d, 6Hz), 8.37 (1H, s, NH), 7.98 (1H, d, 6Hz, 3Hz), 7.92 (1H, t, 3Hz), 7.86 (1H, s), 7.46 (1H, d, 9Hz, 3Hz), 7.40 (1H, s), 7.27 (2H, m), 7.04 (1H, d, 9Hz), 3.12 (2H, q, 6Hz), 1.47 (3H, t, 6Hz).
- 10 Synthesis Example 13 : 5-Azido-4-chloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one.

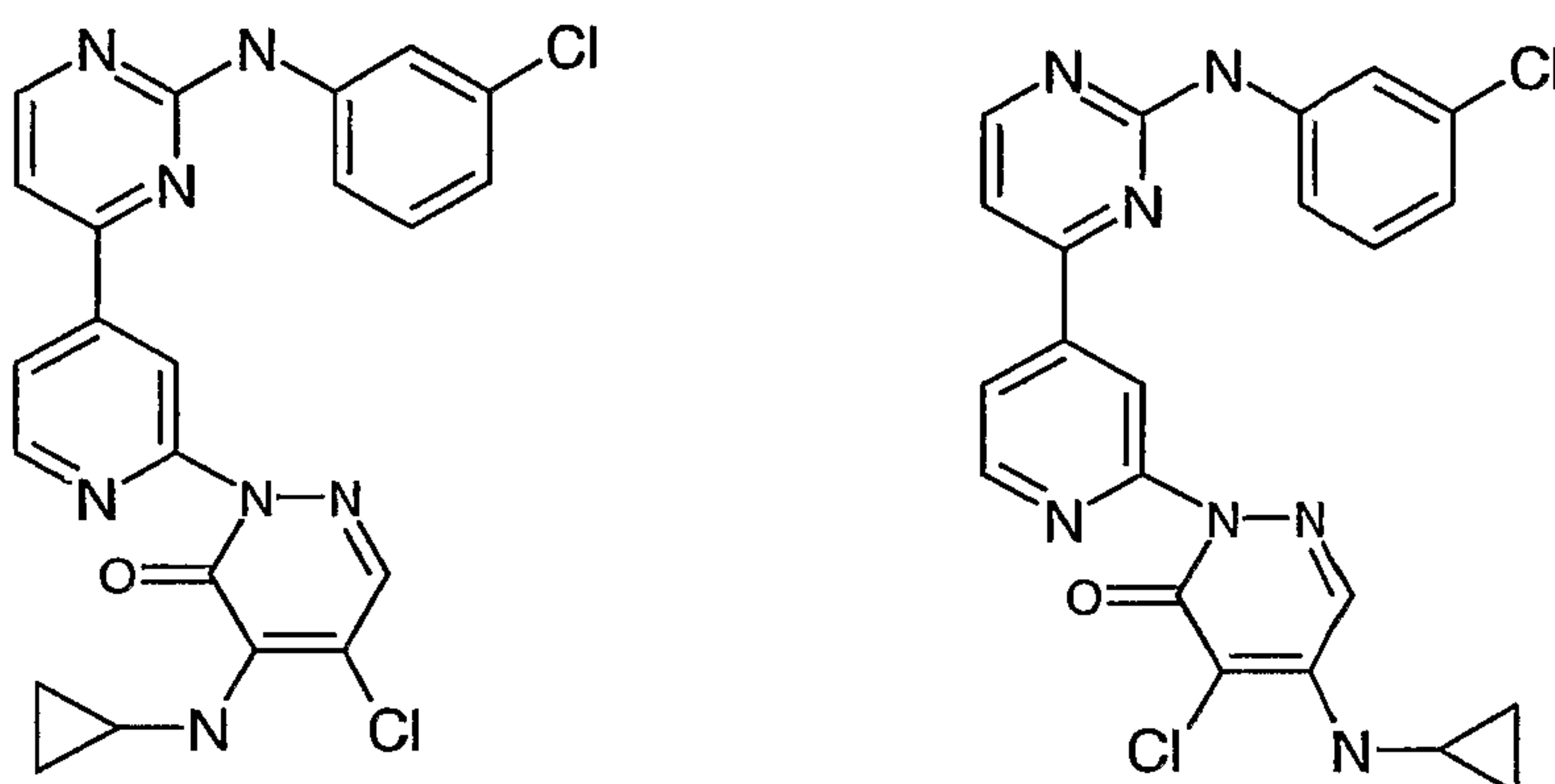


- 15 To a suspension of 4,5-Dichloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one (0.3g) in acetonitrile (10 mL) was added of 0.09g of sodium azide. The mixture was heated to reflux for 4h. The suspension was filtered to give the title compound as a solid (0.280g, 95%). Mp 184-186°C, <sup>1</sup>H NMR (DMSO-d<sub>6</sub>) 10.1 (1H, s, NH), 8.75 (1H, d, 6Hz), 8.67 (1H, d, 3Hz), 8.28 (1H, s), 8.27 (1H, s),

- 27 -

8.18(1H, dd, 1Hz, 3Hz), 7.97 (1H, m), 7.65 (1H, dd), 7.57(1H, d, 6Hz), 7.25(1H, t, 9Hz), 6.94 (1H, dd).

Synthesis Example 14 : 5-Chloro-4-cyclopropylamin-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one and 5- Cyclopropylamin -4- chloro -2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one.

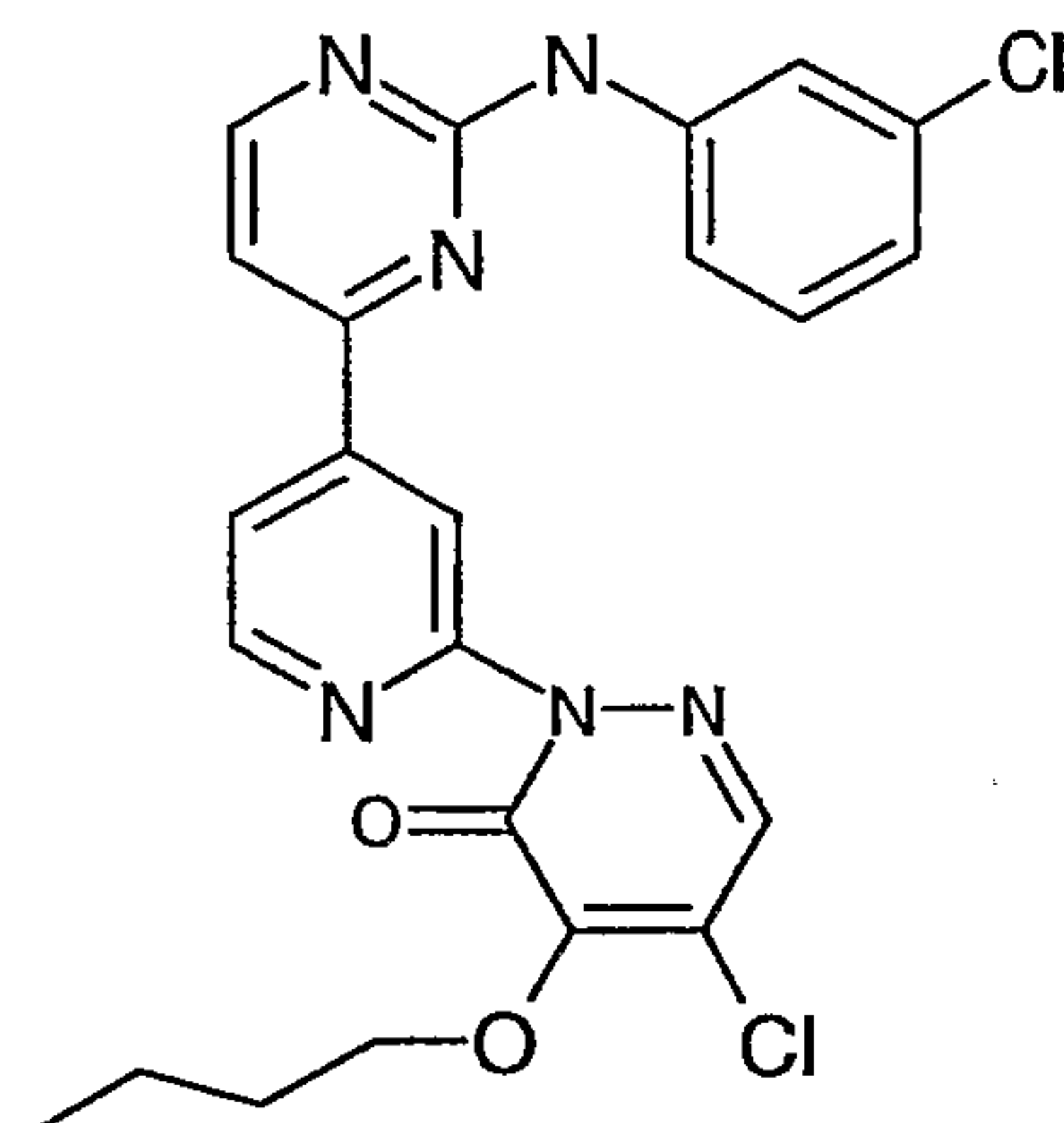


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A suspension of 4,5-Dichloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one (0.3g) in cyclopropylamine (10 mL) was heated at reflux for 2h. The solvent was evaporated under vacuum. Flash silica chromatography, eluting with ethyl acetate-hexane (1 : 1), afforded the 5-Chloro-4-cyclopropylamin-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one as a solid (Mp 117-121°C, 0.082g, 26%) and the 5- cyclopropylamin -4- chloro -2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one (Mp 90-100°C, 0.180g, 58%) as a solid.

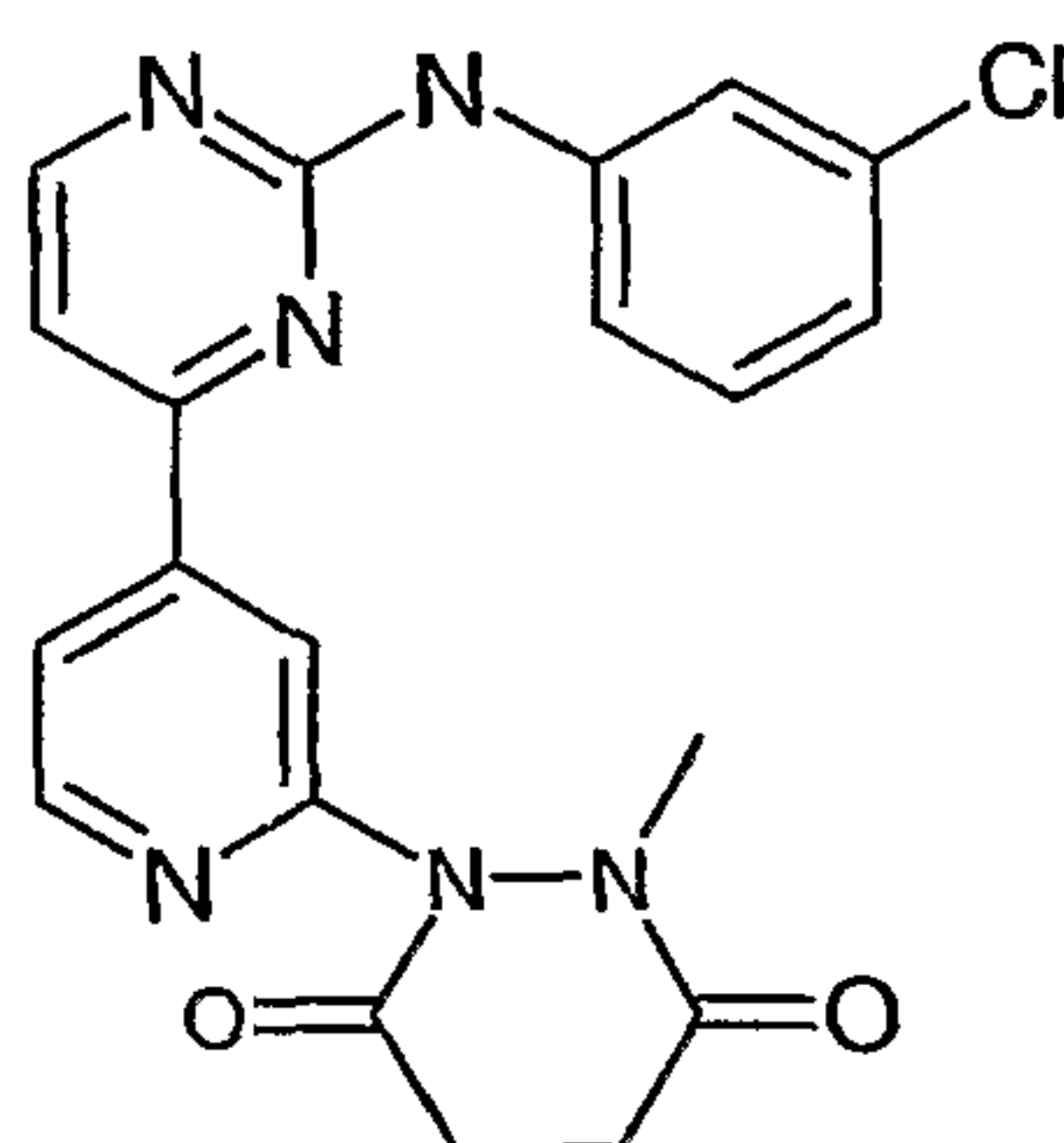
Synthesis Example 15 : 5-Chloro-4-butanol-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one.

20



To a solution of butanol (0.18 mL) in tetrahydrofuran (40 mL) was added a solution of Lithium diisopropylamide (1.5 M, 1.3 mL) at room temperature. The solution was stirred for 15 minutes followed by the addition of 4,5-Dichloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one (0.7g). The mixture was heated at 85°C for 1h. The suspension was poured into brine (200 mL) and extracted with ethyl acetate (3x100mL). The organic phase were combined, dried over MgSO<sub>4</sub>, and concentrated under vacuum. Flash silica chromatography, eluting with ethyl acetate-cyclohexane (1:1), afforded the title compound as a solid (0.4128g, 55%). Mp 118-127°C.

Synthesis Example 16 : 1-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2-methyl-tetrahydro-pyridazine-3,6-dione.



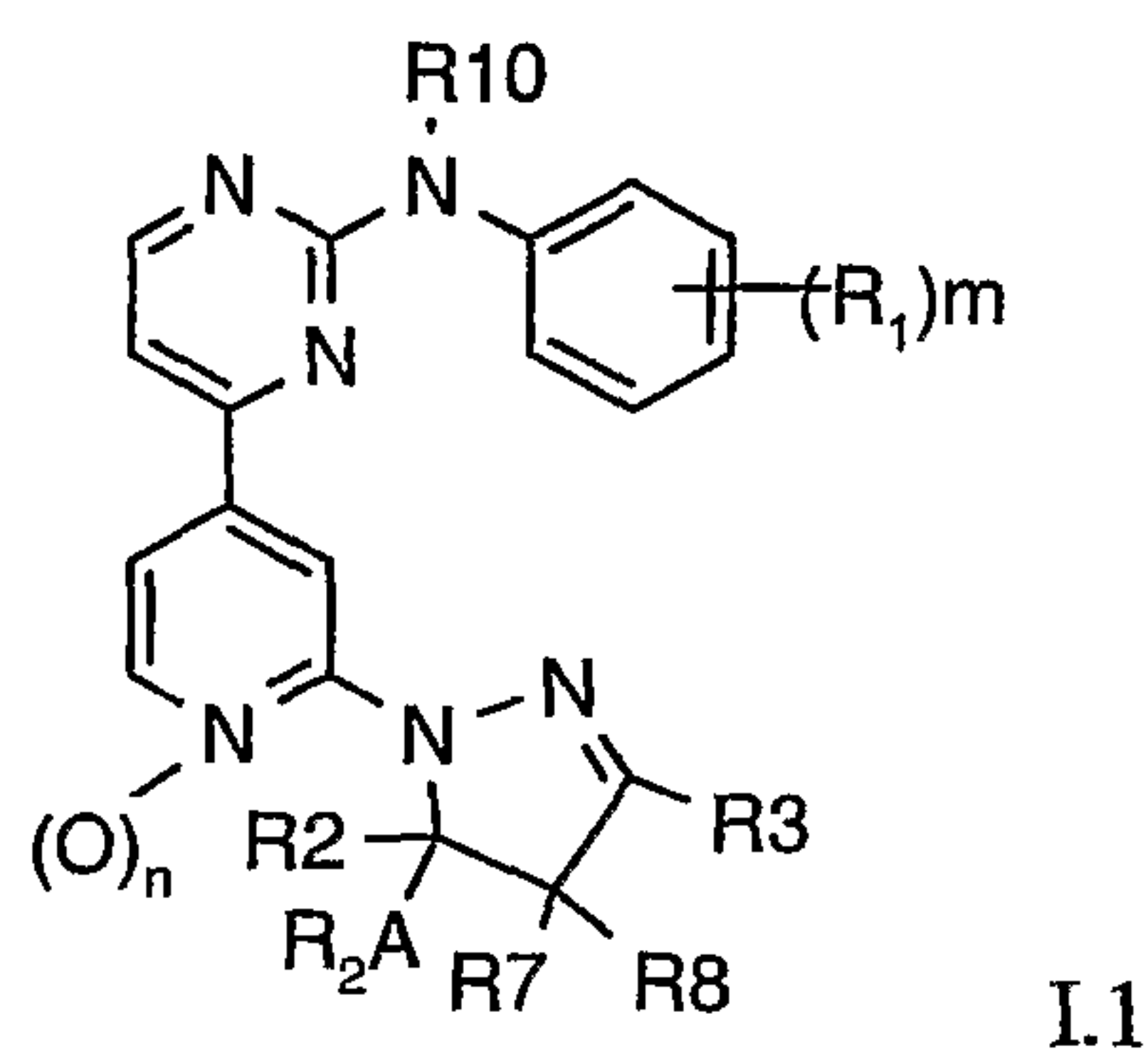
To a solution of succinic anhydride (2.16g) in chloroform (60 mL) 1.16 mL of methyl hydrazine were added at room temperature. The solution was stirred 2h at room temperature then heated at reflux for 1h. The solvent was evaporated. 1g of the obtained solid was dissolved in tetrahydrofuran (10 mL) followed by the addition of 1.55g of N,N'-dicyclohexylcarbodiimide and 1.01g of 1-hydroxybenzotriazole. The mixture was heated at reflux for 1 h. The mixture was cooled to 0°C and a solution of oxalic acid (0.617g) in methanol was added. The suspension was filtered and the solvent was evaporated. Flash silica chromatography, eluting with ethyl acetate-methanol (5%), afforded the 1-Methyl-tetrahydro-pyridazine-3,6-dione as a solid (0.4128g, 24%). 0.014g of Pd(dba)<sub>3</sub> and xantphos (0.018g) were dissolved in toluene (2 mL). The mixture was stirred at room temperature for 20 minutes. Then the 1-Methyl-tetrahydro-pyridazine-3,6-dione, the (3-Chloro-phenyl)-[4-(2-chloro-pyridin-4-yl)-pyrimidin-2-yl]-amine (0.2g) and sodium terbutanolate (0.085g) were added. The mixture was heated at reflux for 2h. The suspension was poured into water (50 mL) and extracted with ethyl acetate (3x100mL). The organic phase was separated, dried over MgSO<sub>4</sub>, filtered and

concentrated. Flash silica chromatography, eluting with ethyl acetate, afforded the title compound as a solid (0.169g, 65%). Mp 201-204°C.

The compounds in the following Tables further illustrate the invention

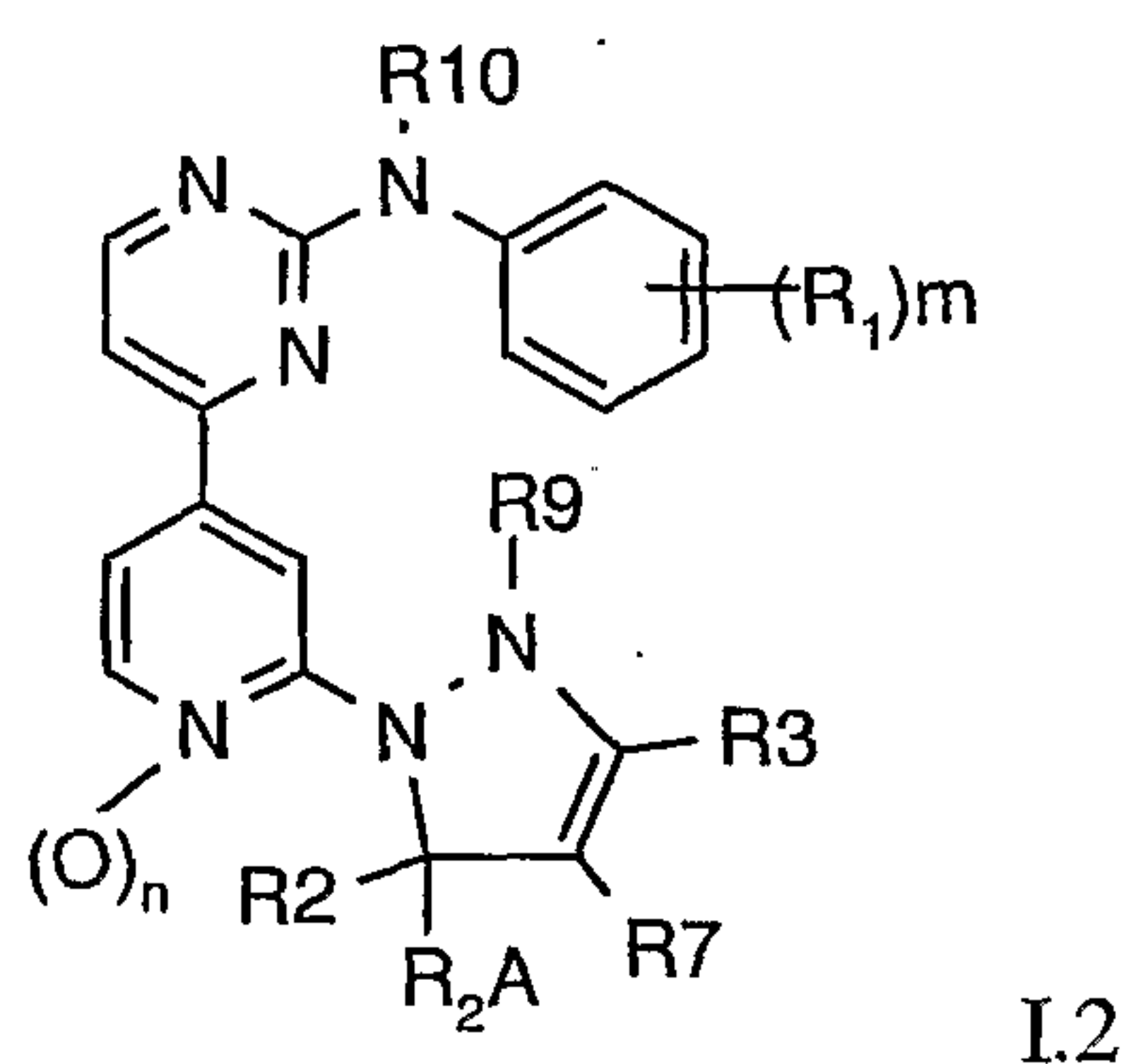
5 Table 1

Compounds of the general structure I.1 wherein  $R_1$  to  $R_{10}$ ,  $m$ ,  $n$ , and  $p$  correspond with a line of table A and B1



10 Table 2

Compounds of the general structure I.2 wherein  $R_1$  to  $R_{10}$ ,  $m$ ,  $n$ , and  $p$  correspond with a line of table A and B2



15 Table 3

Compounds of the general structure I.3 wherein  $R_1$  to  $R_{10}$ ,  $m$ ,  $n$ , and  $p$  correspond with a line of table A and B3

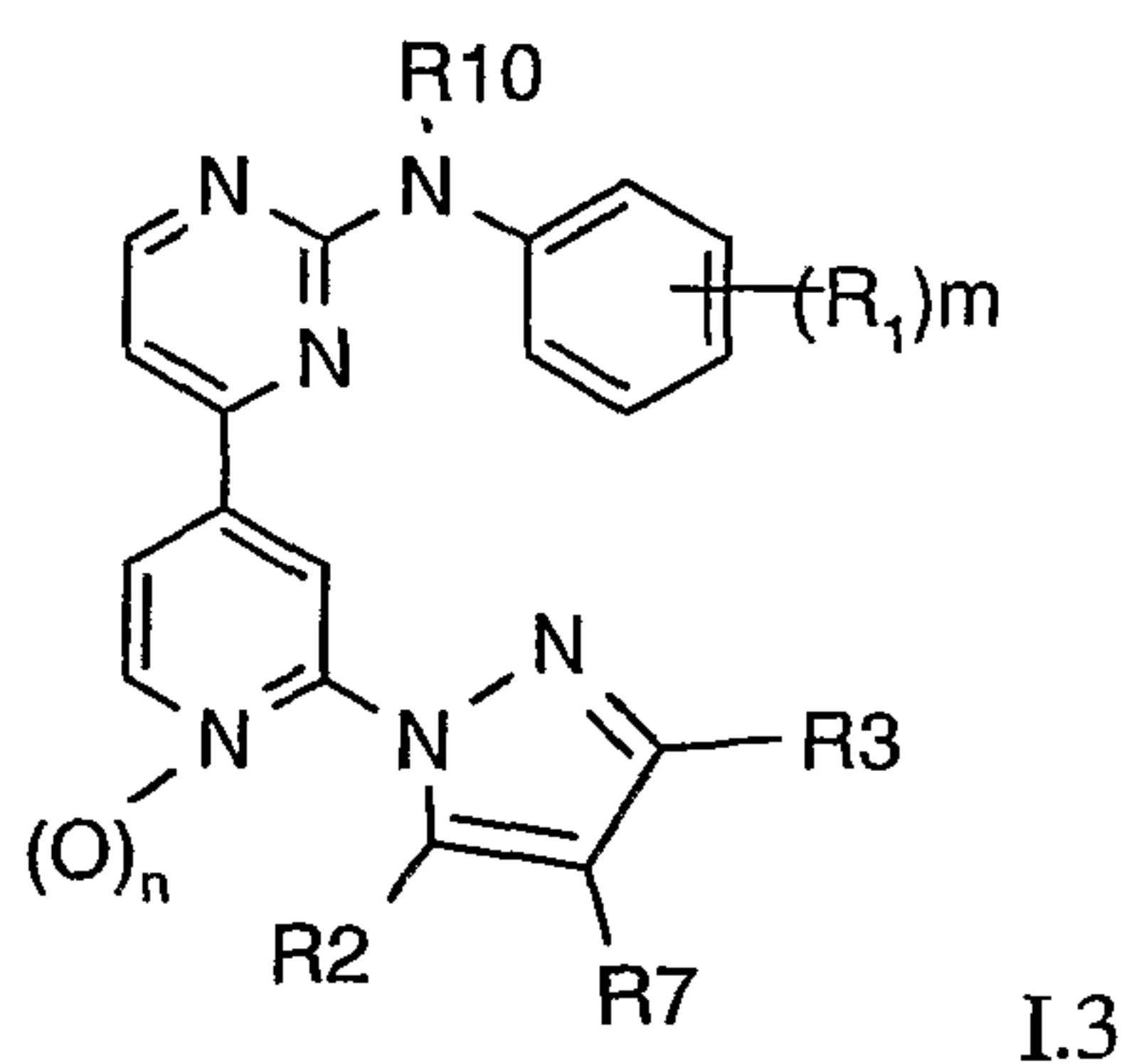
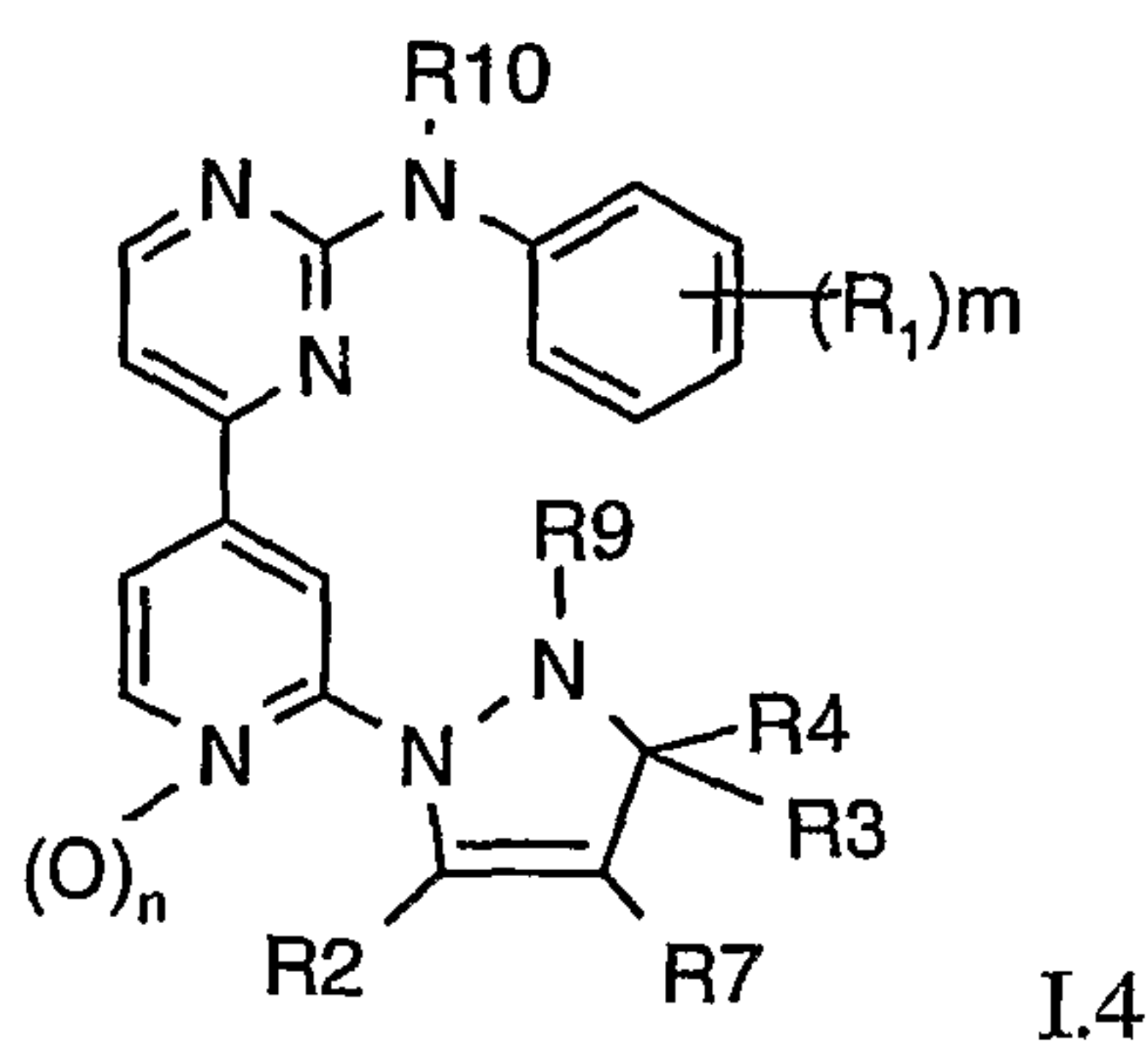


Table 4

Compounds of the general structure I.4 wherein  $R_1$  to  $R_{10}$ ,  $m$ ,  $n$ , and  $p$  correspond with a line of table A and B4



5 Table 5

Compounds of the general structure I.5 wherein  $R_1$  to  $R_{10}$ ,  $m$ ,  $n$ , and  $p$  correspond with a line of table A and B5

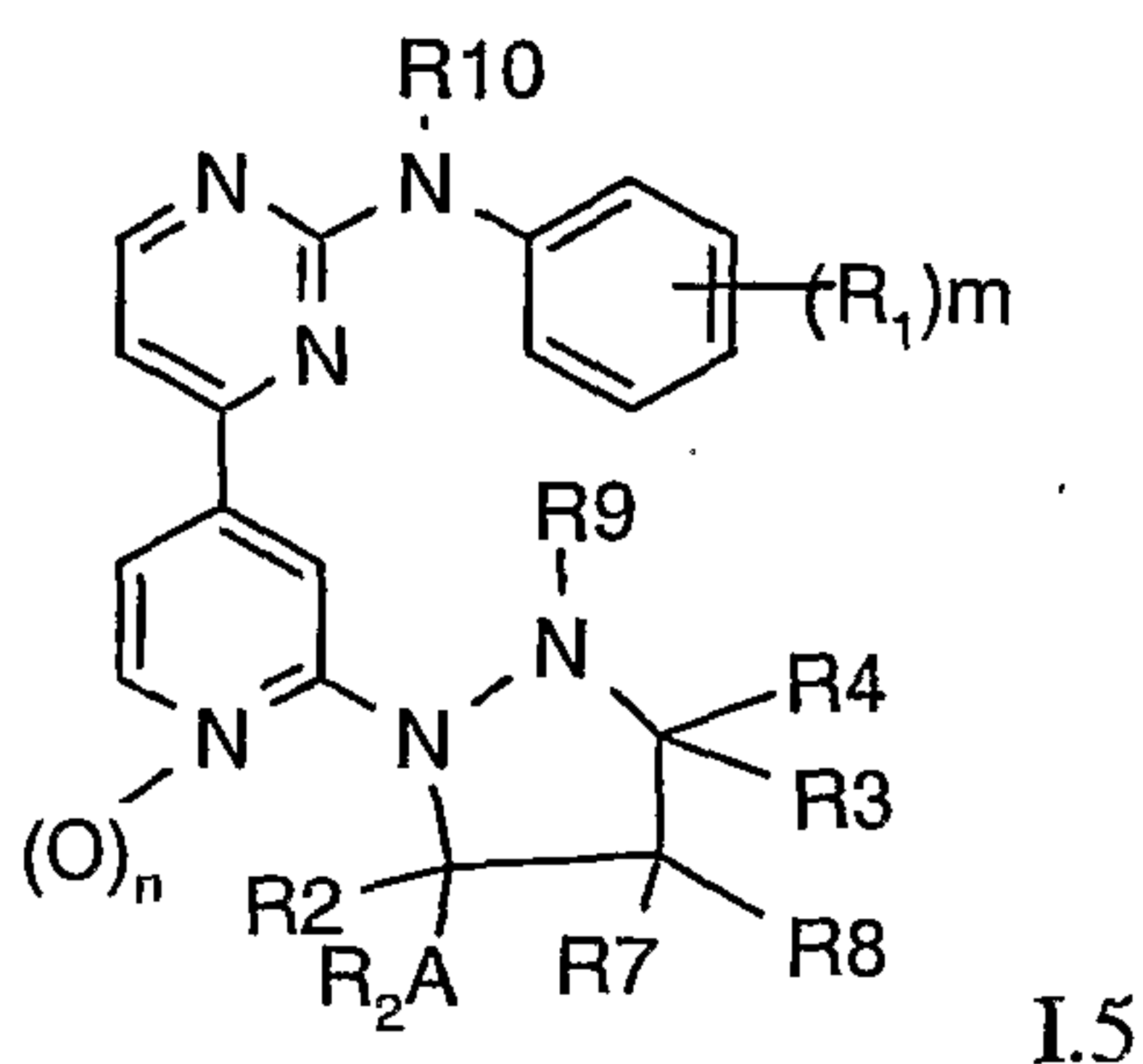


Table 6

10 Compounds of the general structure I.6 wherein  $R_1$  to  $R_{10}$ ,  $m$ ,  $n$ , and  $p$  correspond with a line of table A and B6

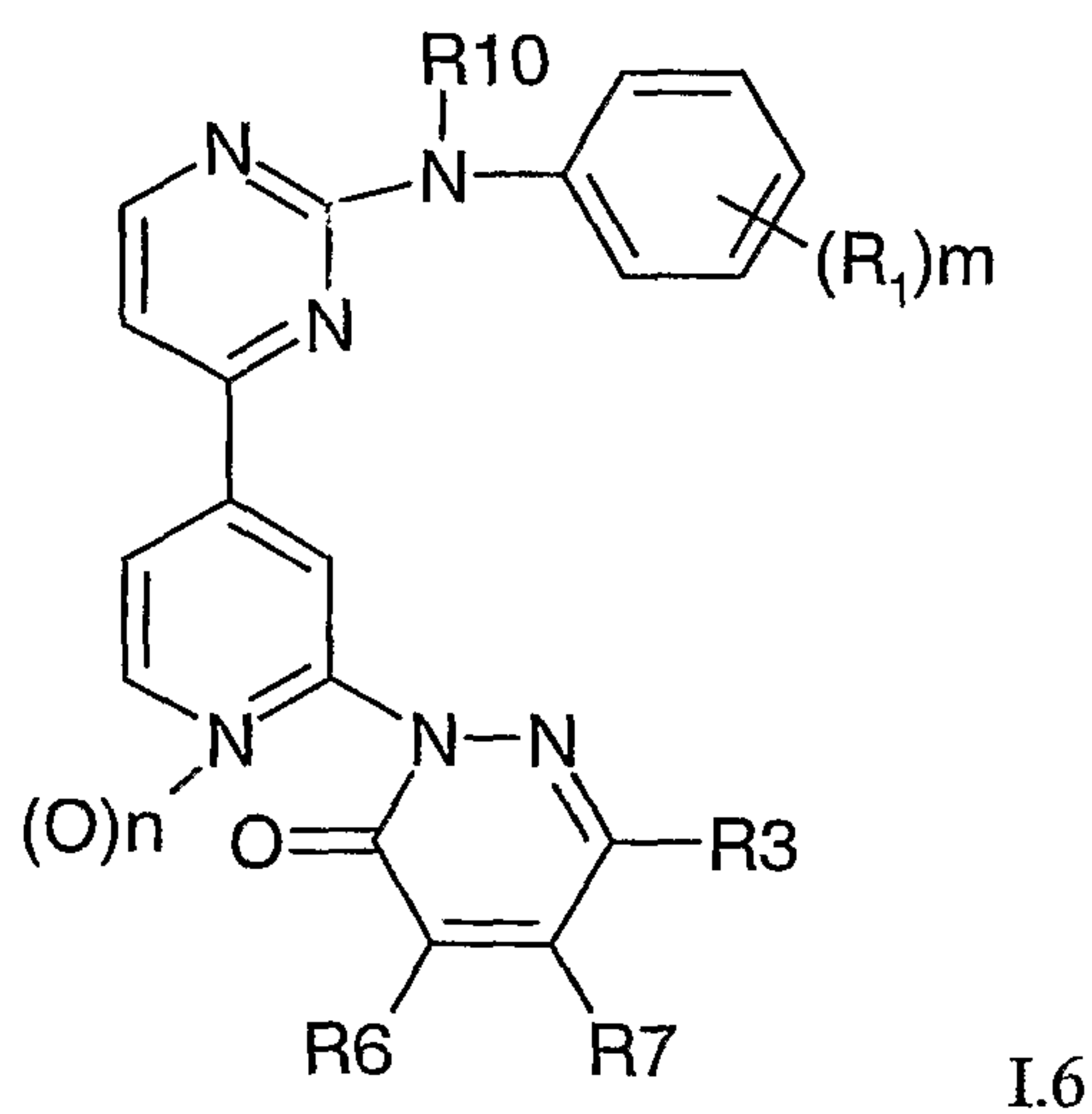


Table 7

15 Compounds of the general structure I.7 wherein  $R_1$  to  $R_{10}$ ,  $m$ ,  $n$ , and  $p$  correspond with a line of table A and B7

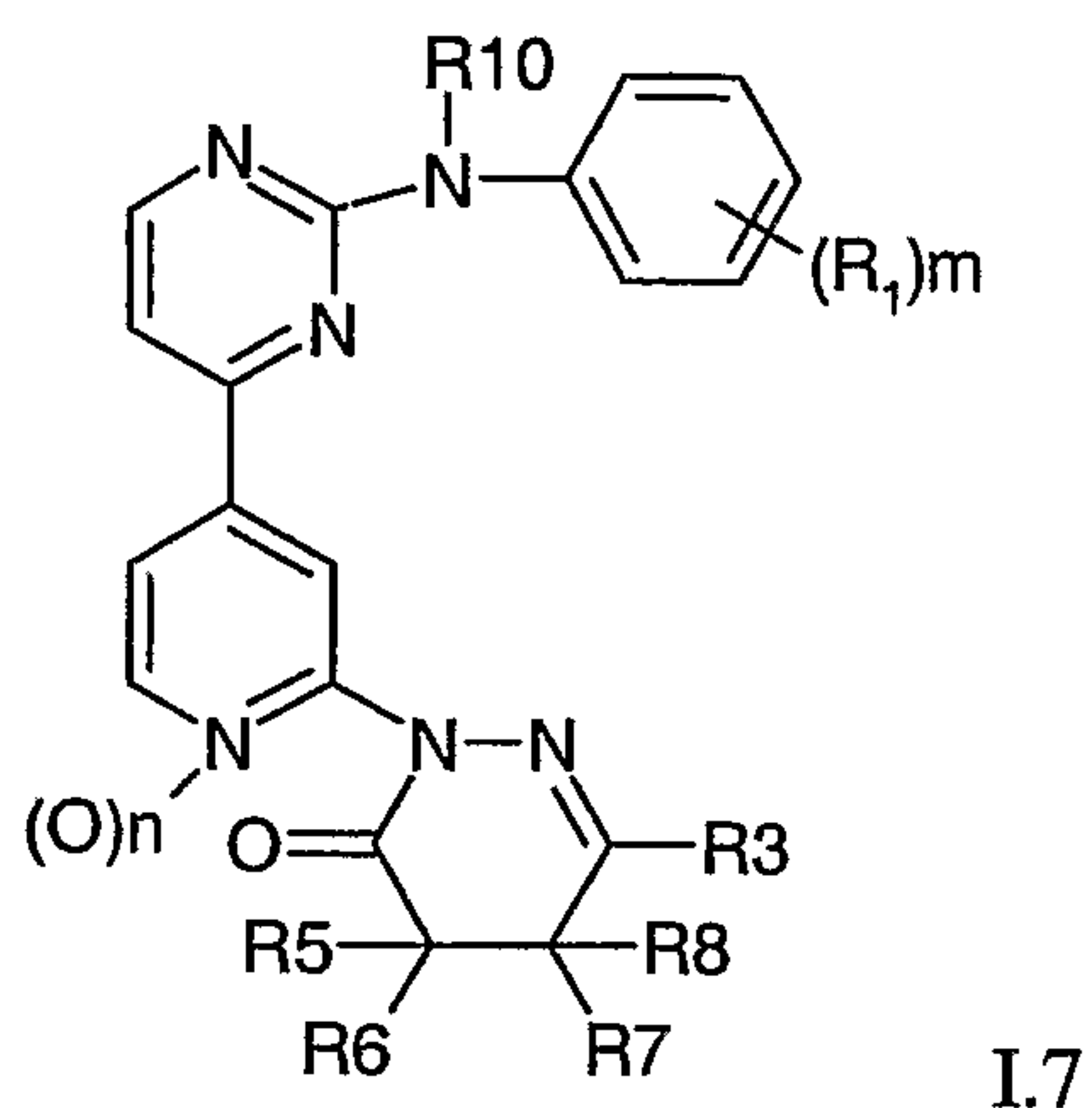
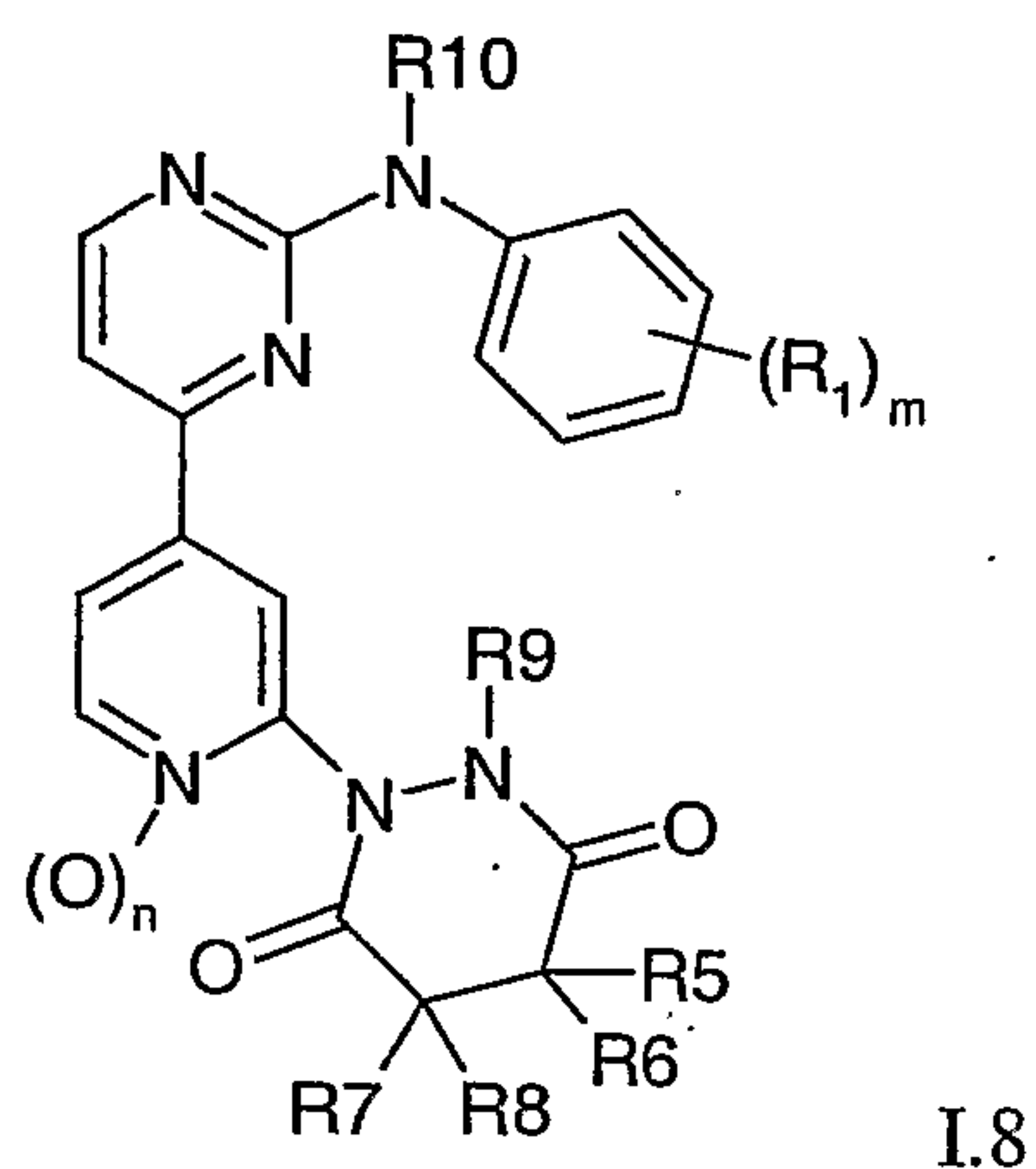


Table 8

Compounds of the general structure I.8 wherein R1 to R10, m, n, and p correspond with a line of table A and B8



Compounds of general structure I are any combination of the definitions given in Table A and the appropriate Table B, wherein n, R<sub>10</sub>, m and R<sub>1</sub> correspond with a line of Table A and wherein R<sub>2</sub> – R<sub>9</sub> and p correspond with a line of the appropriate Table B.

10

Table A:

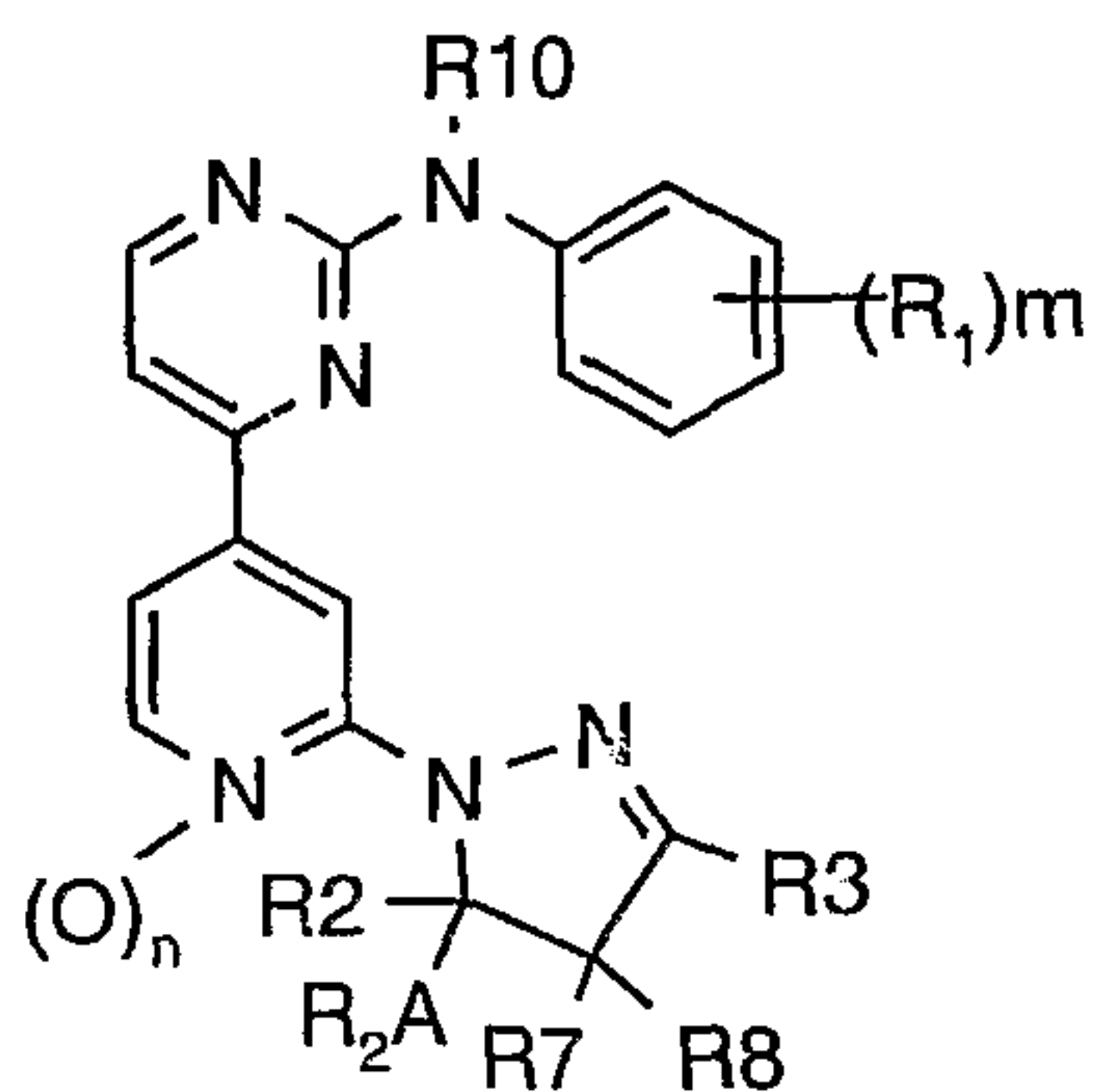
| No. | n | R <sub>10</sub> | 2-R <sub>1</sub> | 3-R <sub>1</sub>   | 4-R <sub>1</sub> | 5-R <sub>1</sub> | 6-R <sub>1</sub> |
|-----|---|-----------------|------------------|--------------------|------------------|------------------|------------------|
| 001 | 0 | CH <sub>3</sub> | H                | OH                 | H                | H                | F                |
| 002 | 0 | CH <sub>3</sub> | H                | OH                 | H                | F                | H                |
| 003 | 0 | CH <sub>3</sub> | CH <sub>3</sub>  | H                  | H                | H                | H                |
| 004 | 0 | CH <sub>3</sub> | H                | Cl                 | H                | H                | F                |
| 005 | 0 | CH <sub>3</sub> | H                | Cl                 | H                | H                | CH <sub>3</sub>  |
| 006 | 0 | CH <sub>3</sub> | H                | CH <sub>3</sub>    | Cl               | H                | H                |
| 007 | 0 | CH <sub>3</sub> | F                | H                  | Cl               | H                | H                |
| 008 | 0 | CH <sub>3</sub> | H                | Cl                 | H                | H                | H                |
| 009 | 0 | CH <sub>3</sub> | H                | C(O)H              | H                | H                | H                |
| 010 | 0 | CH <sub>3</sub> | H                | CH <sub>2</sub> OH | H                | H                | H                |

|     |   |                                  |                 |                                                  |    |                       |                 |
|-----|---|----------------------------------|-----------------|--------------------------------------------------|----|-----------------------|-----------------|
| 011 | 0 | CH <sub>3</sub>                  | H               | CH(OH)CH <sub>3</sub>                            | H  | H                     | H               |
| 012 | 0 | CH <sub>3</sub>                  | H               | F                                                | H  | H                     | H               |
| 013 | 0 | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                                  | H  | H                     | H               |
| 014 | 0 | CH <sub>3</sub>                  | H               | H                                                | H  | CF <sub>3</sub>       | H               |
| 015 | 0 | CH <sub>3</sub>                  | H               | H                                                | H  | OCF <sub>3</sub>      | H               |
| 016 | 0 | CH <sub>3</sub>                  | H               | N(CH <sub>3</sub> ) <sub>2</sub>                 | H  | H                     | F               |
| 017 | 0 | CH <sub>3</sub>                  | H               | SO <sub>2</sub> N(CH <sub>3</sub> ) <sub>2</sub> | H  | H                     | H               |
| 018 | 0 | CH <sub>3</sub>                  | H               | H                                                | H  | CONH <sub>2</sub>     | H               |
| 019 | 0 | CH <sub>3</sub>                  | H               | H                                                | H  | OCH <sub>2</sub> CECH | H               |
| 020 | 0 | CH <sub>3</sub>                  | H               | SC <sub>4</sub> H <sub>9</sub>                   | H  | H                     | H               |
| 021 | 0 | H                                | H               | OH                                               | H  | F                     | H               |
| 022 | 0 | H                                | H               | OH                                               | H  | H                     | F               |
| 023 | 0 | H                                | CH <sub>3</sub> | H                                                | H  | H                     | H               |
| 024 | 0 | H                                | H               | Cl                                               | H  | H                     | F               |
| 025 | 0 | H                                | H               | Cl                                               | H  | H                     | CH <sub>3</sub> |
| 026 | 0 | H                                | H               | CH <sub>3</sub>                                  | Cl | H                     | H               |
| 027 | 0 | H                                | F               | H                                                | Cl | H                     | H               |
| 028 | 0 | H                                | H               | Cl                                               | H  | H                     | H               |
| 029 | 0 | H                                | H               | C(O)H                                            | H  | H                     | H               |
| 030 | 0 | H                                | H               | CH <sub>2</sub> OH                               | H  | H                     | H               |
| 031 | 0 | H                                | H               | CH(OH)CH <sub>3</sub>                            | H  | H                     | H               |
| 032 | 0 | H                                | H               | F                                                | H  | H                     | H               |
| 033 | 0 | H                                | H               | CH <sub>3</sub>                                  | H  | H                     | H               |
| 034 | 0 | H                                | H               | H                                                | H  | CF <sub>3</sub>       | H               |
| 035 | 0 | H                                | H               | H                                                | H  | OCF <sub>3</sub>      | H               |
| 036 | 0 | H                                | H               | N(CH <sub>3</sub> ) <sub>2</sub>                 | H  | H                     | F               |
| 037 | 0 | H                                | H               | SO <sub>2</sub> N(CH <sub>3</sub> ) <sub>2</sub> | H  | H                     | H               |
| 038 | 0 | H                                | H               | H                                                | H  | CONH <sub>2</sub>     | H               |
| 039 | 0 | H                                | H               | H                                                | H  | OCH <sub>2</sub> CECH | H               |
| 040 | 0 | H                                | H               | SC <sub>4</sub> H <sub>9</sub>                   | H  | H                     | H               |
| 041 | 0 | CH <sub>2</sub> OCH <sub>3</sub> | H               | OH                                               | H  | H                     | F               |
| 042 | 0 | CH <sub>2</sub> OCH <sub>3</sub> | H               | OH                                               | H  | F                     | H               |
| 043 | 0 | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | H                                                | H  | H                     | H               |
| 044 | 0 | CH <sub>2</sub> OCH <sub>3</sub> | H               | Cl                                               | H  | H                     | F               |
| 045 | 0 | CH <sub>2</sub> OCH <sub>3</sub> | H               | Cl                                               | H  | H                     | CH <sub>3</sub> |
| 046 | 0 | CH <sub>2</sub> OCH <sub>3</sub> | H               | CH <sub>3</sub>                                  | Cl | H                     | H               |
| 047 | 0 | CH <sub>2</sub> OCH <sub>3</sub> | F               | H                                                | Cl | H                     | H               |
| 048 | 0 | CH <sub>2</sub> OCH <sub>3</sub> | H               | Cl                                               | H  | H                     | H               |
| 049 | 0 | CH <sub>2</sub> OCH <sub>3</sub> | H               | C(O)H                                            | H  | H                     | H               |
| 050 | 0 | CH <sub>2</sub> OCH <sub>3</sub> | H               | CH <sub>2</sub> OH                               | H  | H                     | H               |
| 051 | 0 | CH <sub>2</sub> OCH <sub>3</sub> | H               | CH(OH)CH <sub>3</sub>                            | H  | H                     | H               |
| 052 | 0 | CH <sub>2</sub> OCH <sub>3</sub> | H               | F                                                | H  | H                     | H               |
| 053 | 0 | CH <sub>2</sub> OCH <sub>3</sub> | H               | CH <sub>3</sub>                                  | H  | H                     | H               |
| 054 | 0 | CH <sub>2</sub> OCH <sub>3</sub> | H               | H                                                | H  | CF <sub>3</sub>       | H               |
| 055 | 0 | CH <sub>2</sub> OCH <sub>3</sub> | H               | H                                                | H  | OCF <sub>3</sub>      | H               |
| 056 | 0 | CH <sub>2</sub> OCH <sub>3</sub> | H               | N(CH <sub>3</sub> ) <sub>2</sub>                 | H  | H                     | F               |
| 057 | 0 | CH <sub>2</sub> OCH <sub>3</sub> | H               | SO <sub>2</sub> N(CH <sub>3</sub> ) <sub>2</sub> | H  | H                     | H               |

|     |   |                                    |   |                                                  |   |                       |   |
|-----|---|------------------------------------|---|--------------------------------------------------|---|-----------------------|---|
| 058 | 0 | CH <sub>2</sub> OCH <sub>3</sub>   | H | H                                                | H | CONH <sub>2</sub>     | H |
| 059 | 0 | CH <sub>2</sub> OCH <sub>3</sub>   | H | H                                                | H | OCH <sub>2</sub> CECH | H |
| 060 | 0 | CH <sub>2</sub> OCH <sub>3</sub>   | H | SC <sub>4</sub> H <sub>9</sub>                   | H | H                     | H |
| 061 | 0 | CH <sub>2</sub> OCH <sub>3</sub>   | H | OH                                               | H | H                     | F |
| 062 | 0 | CH <sub>2</sub> OCH <sub>3</sub>   | H | Cl                                               | H | H                     | H |
| 063 | 0 | CH <sub>2</sub> OCH <sub>3</sub>   | H | C(O)H                                            | H | H                     | H |
| 064 | 0 | CH <sub>2</sub> OCH <sub>3</sub>   | H | CH <sub>2</sub> OH                               | H | H                     | H |
| 065 | 0 | CH <sub>2</sub> OCH <sub>3</sub>   | H | CH(OH)CH <sub>3</sub>                            | H | H                     | H |
| 066 | 0 | CH <sub>2</sub> OCH <sub>3</sub>   | H | F                                                | H | H                     | H |
| 067 | 0 | CH <sub>2</sub> OCH <sub>3</sub>   | H | CH <sub>3</sub>                                  | H | H                     | H |
| 068 | 0 | CH <sub>2</sub> OCH <sub>3</sub>   | H | H                                                | H | CF <sub>3</sub>       | H |
| 069 | 0 | CH <sub>2</sub> OCH <sub>3</sub>   | H | H                                                | H | OCF <sub>3</sub>      | H |
| 070 | 0 | CH <sub>2</sub> OCH <sub>3</sub>   | H | N(CH <sub>3</sub> ) <sub>2</sub>                 | H | H                     | F |
| 071 | 0 | CH <sub>2</sub> OCH <sub>3</sub>   | H | SO <sub>2</sub> N(CH <sub>3</sub> ) <sub>2</sub> | H | H                     | H |
| 072 | 0 | CH <sub>2</sub> OCH <sub>3</sub>   | H | H                                                | H | CONH <sub>2</sub>     | H |
| 073 | 0 | CH <sub>2</sub> SCH <sub>3</sub>   | H | OH                                               | H | H                     | F |
| 074 | 0 | CH <sub>2</sub> SCH <sub>3</sub>   | H | Cl                                               | H | H                     | H |
| 075 | 0 | CH <sub>2</sub> SCH <sub>3</sub>   | H | C(O)H                                            | H | H                     | H |
| 076 | 0 | CH <sub>2</sub> SCH <sub>3</sub>   | H | CH <sub>2</sub> OH                               | H | H                     | H |
| 077 | 0 | CH <sub>2</sub> SCH <sub>3</sub>   | H | CH(OH)CH <sub>3</sub>                            | H | H                     | H |
| 078 | 0 | CH <sub>2</sub> SCH <sub>3</sub>   | H | F                                                | H | H                     | H |
| 079 | 0 | CH <sub>2</sub> SCH <sub>3</sub>   | H | CH <sub>3</sub>                                  | H | H                     | H |
| 080 | 0 | CH <sub>2</sub> SCH <sub>3</sub>   | H | H                                                | H | CF <sub>3</sub>       | H |
| 081 | 0 | CH <sub>2</sub> SCH <sub>3</sub>   | H | H                                                | H | OCF <sub>3</sub>      | H |
| 082 | 0 | CH <sub>2</sub> SCH <sub>3</sub>   | H | N(CH <sub>3</sub> ) <sub>2</sub>                 | H | H                     | F |
| 083 | 0 | CH <sub>2</sub> SCH <sub>3</sub>   | H | SO <sub>2</sub> N(CH <sub>3</sub> ) <sub>2</sub> | H | H                     | H |
| 084 | 0 | CH <sub>2</sub> SCH <sub>3</sub>   | H | H                                                | H | CONH <sub>2</sub>     | H |
| 085 | 0 | CH <sub>2</sub> CH=CH <sub>2</sub> | H | OH                                               | H | H                     | F |
| 086 | 0 | CH <sub>2</sub> CH=CH <sub>2</sub> | H | Cl                                               | H | H                     | H |
| 087 | 0 | CH <sub>2</sub> CH=CH <sub>2</sub> | H | C(O)H                                            | H | H                     | H |
| 088 | 0 | CH <sub>2</sub> CH=CH <sub>2</sub> | H | CH <sub>2</sub> OH                               | H | H                     | H |
| 089 | 0 | CH <sub>2</sub> CH=CH <sub>2</sub> | H | CH(OH)CH <sub>3</sub>                            | H | H                     | H |
| 090 | 0 | CH <sub>2</sub> CH=CH <sub>2</sub> | H | F                                                | H | H                     | H |
| 091 | 0 | CH <sub>2</sub> CH=CH <sub>2</sub> | H | CH <sub>3</sub>                                  | H | H                     | H |
| 092 | 0 | CH <sub>2</sub> CH=CH <sub>2</sub> | H | H                                                | H | CF <sub>3</sub>       | H |
| 093 | 0 | CH <sub>2</sub> CH=CH <sub>2</sub> | H | H                                                | H | OCF <sub>3</sub>      | H |
| 094 | 0 | CH <sub>2</sub> CH=CH <sub>2</sub> | H | N(CH <sub>3</sub> ) <sub>2</sub>                 | H | H                     | F |
| 095 | 0 | CH <sub>2</sub> CH=CH <sub>2</sub> | H | SO <sub>2</sub> N(CH <sub>3</sub> ) <sub>2</sub> | H | H                     | H |
| 096 | 0 | CH <sub>2</sub> CH=CH <sub>2</sub> | H | H                                                | H | CONH <sub>2</sub>     | H |
| 097 | 0 | CH <sub>2</sub> CECH               | H | OH                                               | H | H                     | F |
| 098 | 0 | CH <sub>2</sub> CECH               | H | Cl                                               | H | H                     | H |
| 099 | 0 | CH <sub>2</sub> CECH               | H | C(O)H                                            | H | H                     | H |
| 100 | 0 | CH <sub>2</sub> CECH               | H | CH <sub>2</sub> OH                               | H | H                     | H |
| 101 | 0 | CH <sub>2</sub> CECH               | H | CH(OH)CH <sub>3</sub>                            | H | H                     | H |
| 102 | 0 | CH <sub>2</sub> CECH               | H | F                                                | H | H                     | H |
| 103 | 0 | CH <sub>2</sub> CECH               | H | CH <sub>3</sub>                                  | H | H                     | H |
| 104 | 0 | CH <sub>2</sub> CECH               | H | H                                                | H | CF <sub>3</sub>       | H |

|     |   |                      |   |                                                  |                  |                   |   |
|-----|---|----------------------|---|--------------------------------------------------|------------------|-------------------|---|
| 105 | 0 | CH <sub>2</sub> CECH | H | H                                                | H                | OCF <sub>3</sub>  | H |
| 106 | 0 | CH <sub>2</sub> CECH | H | N(CH <sub>3</sub> ) <sub>2</sub>                 | H                | H                 | F |
| 107 | 0 | CH <sub>2</sub> CECH | H | SO <sub>2</sub> N(CH <sub>3</sub> ) <sub>2</sub> | H                | H                 | H |
| 108 | 0 | CH <sub>2</sub> CECH | H | H                                                | H                | CONH <sub>2</sub> | H |
| 109 | 0 | CH <sub>2</sub> CECH | H | OH                                               | H                | H                 | F |
| 110 | 0 | CH <sub>2</sub> Ph   | H | Cl                                               | H                | H                 | H |
| 111 | 0 | CH <sub>2</sub> Ph   | H | C(O)H                                            | H                | H                 | H |
| 112 | 0 | CH <sub>2</sub> Ph   | H | CH <sub>2</sub> OH                               | H                | H                 | H |
| 113 | 0 | CH <sub>2</sub> Ph   | H | CH(OH)CH <sub>3</sub>                            | H                | H                 | H |
| 114 | 0 | CH <sub>2</sub> Ph   | H | F                                                | H                | H                 | H |
| 115 | 0 | CH <sub>2</sub> Ph   | H | CH <sub>3</sub>                                  | H                | H                 | H |
| 116 | 0 | CH <sub>2</sub> Ph   | H | H                                                | H                | CF <sub>3</sub>   | H |
| 117 | 0 | CH <sub>2</sub> Ph   | H | H                                                | H                | OCF <sub>3</sub>  | H |
| 118 | 0 | CH <sub>2</sub> Ph   | H | N(CH <sub>3</sub> ) <sub>2</sub>                 | H                | H                 | F |
| 119 | 0 | CH <sub>2</sub> Ph   | H | SO <sub>2</sub> N(CH <sub>3</sub> ) <sub>2</sub> | H                | H                 | H |
| 120 | 0 | H                    | H | Cl                                               | CH <sub>3</sub>  | H                 | H |
| 121 | 0 | H                    | H | Cl                                               | CH <sub>3</sub>  | H                 | H |
| 122 | 0 | H                    | H | Cl                                               | OCH <sub>3</sub> | H                 | H |
| 123 | 0 | H                    | H | F                                                | H                | F                 | H |
| 124 | 0 | H                    | H | Cl                                               | H                | Cl                | H |
| 125 | 0 | H                    | H | Br                                               | H                | H                 | H |

Table B-1



I.1

5

| No. | R <sub>2</sub> | R <sub>2A</sub>                  | R <sub>3</sub>  | R <sub>7</sub>                                       | R <sub>8</sub>                  | R <sub>9</sub> |
|-----|----------------|----------------------------------|-----------------|------------------------------------------------------|---------------------------------|----------------|
| 01  | C=O            | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                                      | CH <sub>3</sub>                 |                |
| 02  | C=S            | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                                      | H                               |                |
| 03  | C=O            | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                                      | CH <sub>2</sub> CH <sub>3</sub> |                |
| 04  | C=O            | CH <sub>3</sub>                  |                 | CH <sub>2</sub> -CH <sub>2</sub>                     |                                 |                |
| 05  | C=O            | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                                      | Ph                              |                |
| 06  | C=S            | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                                      | CH <sub>3</sub>                 |                |
| 07  | C=O            | H                                | CH <sub>3</sub> | CH <sub>3</sub>                                      | CH <sub>3</sub>                 |                |
| 08  | C=O            | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub>                                      | CH <sub>3</sub>                 |                |
| 09  | C=O            | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                                      | CH <sub>2</sub> Ph              |                |
| 010 | C=O            | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub> | H                               |                |
| 011 | C=O            | CH <sub>3</sub>                  | CH <sub>3</sub> | CO <sub>2</sub> Et                                   | H                               |                |

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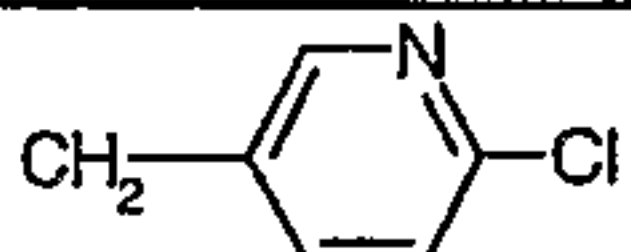
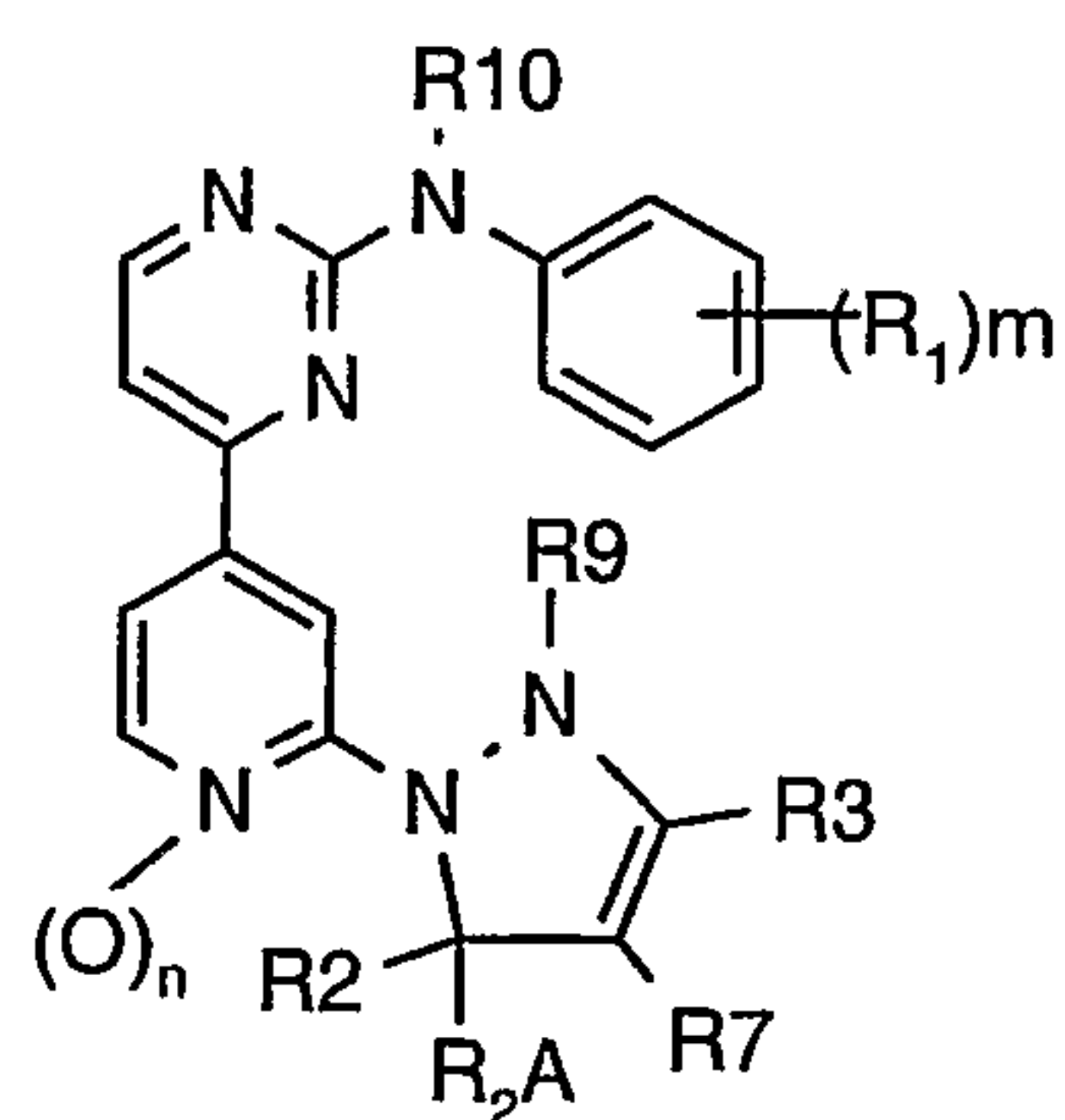
|     |     |                                  |                                                                                     |                                 |  |
|-----|-----|----------------------------------|-------------------------------------------------------------------------------------|---------------------------------|--|
| 012 | C=O | CH <sub>3</sub>                  | CHO                                                                                 | H                               |  |
| 013 | C=O | CH <sub>3</sub>                  | CF <sub>3</sub>                                                                     | H                               |  |
| 014 | C=O | CF <sub>3</sub>                  | CF <sub>3</sub>                                                                     | H                               |  |
| 015 | C=O | CF <sub>3</sub>                  | H                                                                                   | H                               |  |
| 016 | C=O | CH <sub>2</sub> CH <sub>3</sub>  | H                                                                                   | H                               |  |
| 017 | C=O | CH <sub>2</sub> CH <sub>3</sub>  | CH <sub>3</sub>                                                                     | H                               |  |
| 018 | C=O | n-C <sub>4</sub> H <sub>9</sub>  | CH <sub>3</sub>                                                                     | H                               |  |
| 019 | C=O | Ph                               | CH <sub>3</sub>                                                                     | H                               |  |
| 020 | C=S | n-C <sub>4</sub> H <sub>9</sub>  | CH <sub>3</sub>                                                                     | H                               |  |
| 021 | C=S | CH <sub>3</sub>                  | CH <sub>3</sub>                                                                     | CH <sub>2</sub> CH <sub>3</sub> |  |
| 022 | C=S | CH <sub>3</sub>                  | CH <sub>2</sub> -CH <sub>2</sub>                                                    |                                 |  |
| 023 | C=S | CH <sub>3</sub>                  | CH <sub>3</sub>                                                                     | Ph                              |  |
| 024 | C=S | H                                | CH <sub>3</sub>                                                                     | CH <sub>3</sub>                 |  |
| 025 | C=S | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub>                                                                     | CH <sub>3</sub>                 |  |
| 026 | C=S | CH <sub>3</sub>                  | CH <sub>3</sub>                                                                     | CH <sub>2</sub> Ph              |  |
| 027 | C=S | CH <sub>3</sub>                  | CH <sub>2</sub> CH <sub>2</sub> OC(O)CH <sub>3</sub>                                | H                               |  |
| 028 | C=S | CH <sub>3</sub>                  | CO <sub>2</sub> Et                                                                  | H                               |  |
| 029 | C=S | CH <sub>3</sub>                  | CHO                                                                                 | H                               |  |
| 030 | C=S | CH <sub>3</sub>                  | CF <sub>3</sub>                                                                     | H                               |  |
| 031 | C=S | CF <sub>3</sub>                  | CF <sub>3</sub>                                                                     | H                               |  |
| 032 | C=S | CF <sub>3</sub>                  | H                                                                                   | H                               |  |
| 033 | C=S | CH <sub>2</sub> CH <sub>3</sub>  | H                                                                                   | H                               |  |
| 034 | C=S | CH <sub>2</sub> CH <sub>3</sub>  | CH <sub>3</sub>                                                                     | H                               |  |
| 035 | C=S | Ph                               | CH <sub>3</sub>                                                                     | H                               |  |
| 036 | C=O | CH <sub>3</sub>                  | CO <sub>2</sub> Et                                                                  | CH <sub>3</sub>                 |  |
| 037 | C=O | CH <sub>3</sub>                  | CHO                                                                                 | CH <sub>3</sub>                 |  |
| 038 | C=O | CH <sub>3</sub>                  | CF <sub>3</sub>                                                                     | CH <sub>3</sub>                 |  |
| 039 | C=O | CF <sub>3</sub>                  | CF <sub>3</sub>                                                                     | CH <sub>3</sub>                 |  |
| 040 | C=O | CF <sub>3</sub>                  | CH <sub>3</sub>                                                                     | H                               |  |
| 041 | C=O | CH <sub>2</sub> CH <sub>3</sub>  | CF <sub>3</sub>                                                                     | H                               |  |
| 042 | C=O | CH <sub>2</sub> CH <sub>3</sub>  | CH <sub>3</sub>                                                                     | H                               |  |
| 043 | C=S | CH <sub>3</sub>                  | CO <sub>2</sub> Et                                                                  | CH <sub>3</sub>                 |  |
| 044 | C=S | CH <sub>3</sub>                  | CHO                                                                                 | CH <sub>3</sub>                 |  |
| 045 | C=S | CH <sub>3</sub>                  | CF <sub>3</sub>                                                                     | CH <sub>3</sub>                 |  |
| 046 | C=S | CF <sub>3</sub>                  | CF <sub>3</sub>                                                                     | CH <sub>3</sub>                 |  |
| 047 | C=S | CF <sub>3</sub>                  | CH <sub>3</sub>                                                                     | H                               |  |
| 048 | C=S | CH <sub>2</sub> CH <sub>3</sub>  | CF <sub>3</sub>                                                                     | H                               |  |
| 049 | C=S | CH <sub>2</sub> CH <sub>3</sub>  | CH <sub>3</sub>                                                                     | H                               |  |
| 050 | H   | H                                | OCH <sub>3</sub>                                                                    | H                               |  |
| 051 | H   | H                                | OCH <sub>2</sub> Ph                                                                 | H                               |  |
| 052 | H   | H                                | OCH <sub>2</sub> CCH                                                                | H                               |  |
| 053 | H   | H                                |  | H                               |  |

Table B-2

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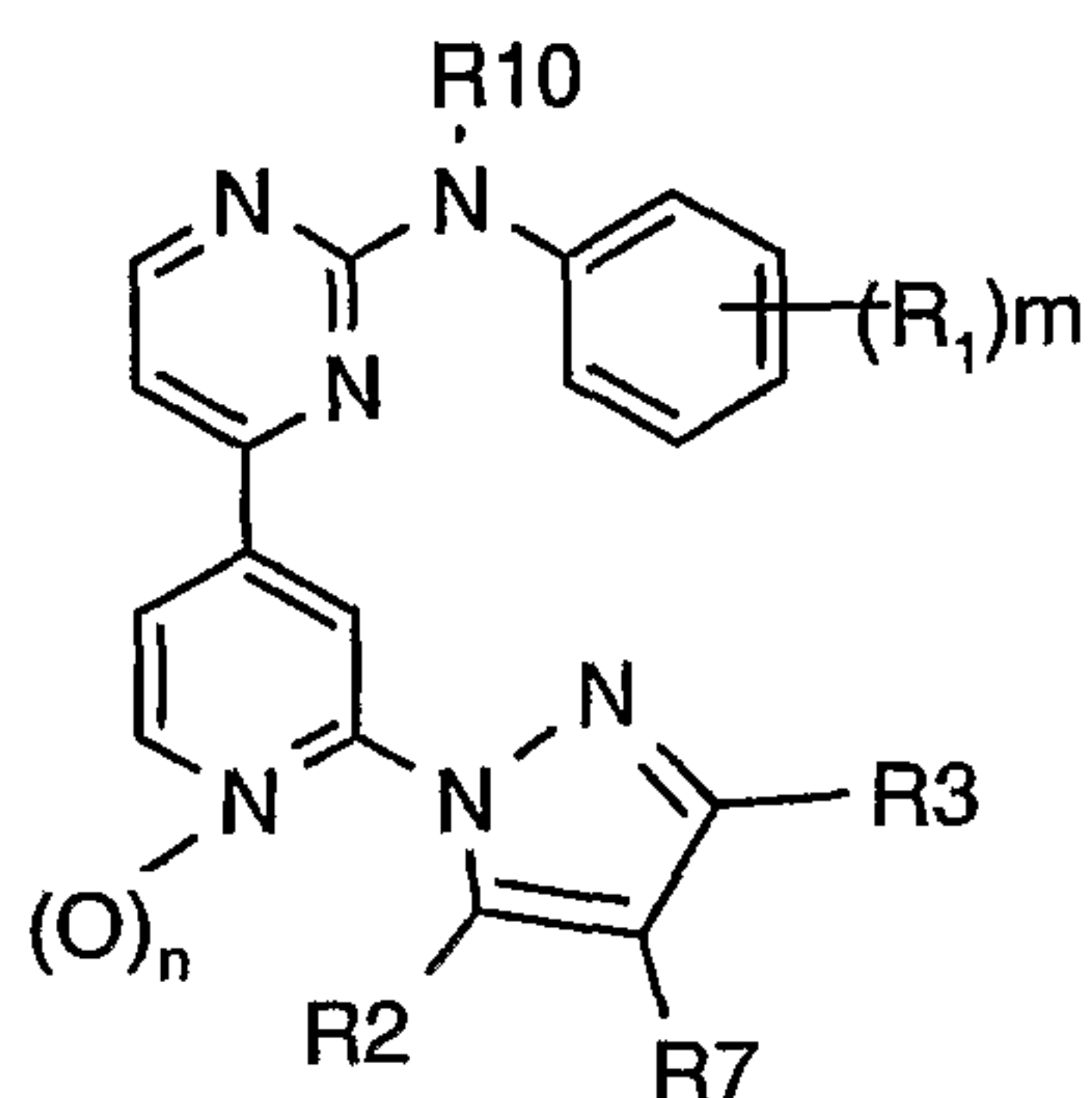
I.2

| No. | R <sub>2</sub> | R <sub>2A</sub>                  | R <sub>3</sub>                  | R <sub>7</sub>                  | R <sub>9</sub>                   |
|-----|----------------|----------------------------------|---------------------------------|---------------------------------|----------------------------------|
| 01  | C=O            | CH <sub>3</sub>                  | CH <sub>3</sub>                 | C(O) <sub>2</sub> Me            | CH <sub>3</sub>                  |
| 02  | C=O            | CH <sub>3</sub>                  | CH <sub>3</sub>                 | CH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 03  | C=O            | CH <sub>3</sub>                  | CH <sub>3</sub>                 | H                               | CH <sub>3</sub>                  |
| 04  | C=O            | CH <sub>3</sub>                  | CH <sub>3</sub>                 | CHO                             | CH <sub>3</sub>                  |
| 05  | C=O            | H                                | CH <sub>3</sub>                 | CH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 06  | C=O            | CH <sub>3</sub>                  | CH <sub>3</sub>                 | CH <sub>3</sub>                 | CH <sub>2</sub> -CH <sub>3</sub> |
| 07  | C=O            | CH <sub>3</sub>                  | CH <sub>3</sub>                 | CH <sub>3</sub>                 | CH <sub>2</sub> PH               |
| 08  | C=O            | CH <sub>3</sub>                  | CH <sub>3</sub>                 | H                               | CH <sub>3</sub>                  |
| 09  | C=O            | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub>                 | CH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 010 | C=O            | CH <sub>3</sub>                  | CH <sub>3</sub>                 | CH <sub>3</sub>                 | Ac                               |
| 011 | C=O            | CH <sub>2</sub> OCH <sub>3</sub> | H                               | CH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 012 | C=S            | CH <sub>3</sub>                  | H                               | CH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 013 | C=S            | CH <sub>3</sub>                  | C(O) <sub>2</sub> Me            | CH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 014 | C=S            | CH <sub>3</sub>                  | CH <sub>3</sub>                 | CH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 015 | C=S            | CH <sub>3</sub>                  | CHO                             | CH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 016 | C=S            | H                                | CH <sub>3</sub>                 | CH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 017 | C=S            | CH <sub>3</sub>                  | CH <sub>3</sub>                 | CH <sub>3</sub>                 | CH <sub>2</sub> -CH <sub>3</sub> |
| 018 | C=S            | CH <sub>3</sub>                  | CH <sub>3</sub>                 | CH <sub>3</sub>                 | CH <sub>2</sub> PH               |
| 019 | C=S            | CH <sub>3</sub>                  | H                               | CH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 020 | C=S            | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub>                 | CH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 021 | C=S            | CH <sub>3</sub>                  | CH <sub>3</sub>                 | CH <sub>3</sub>                 | Ac                               |
| 022 | C=S            | CH <sub>2</sub> OCH <sub>3</sub> | H                               | CH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 023 | C=O            | CH <sub>2</sub> Ph               | CH <sub>3</sub>                 | CH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 024 | C=O            | n-C <sub>4</sub> H <sub>9</sub>  | CH <sub>3</sub>                 | CH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 025 | C=O            | CH <sub>2</sub> CH <sub>3</sub>  | CH <sub>3</sub>                 | CH <sub>3</sub>                 | CH <sub>2</sub> CH <sub>3</sub>  |
| 026 | C=O            | CH <sub>2</sub> CH <sub>3</sub>  | CH <sub>3</sub>                 | CH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 027 | C=O            | CF <sub>3</sub>                  | n-C <sub>4</sub> H <sub>9</sub> | CH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 028 | C=O            | CH <sub>2</sub> Ph               | H                               | CH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 029 | C=O            | n-C <sub>4</sub> H <sub>9</sub>  | H                               | CH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 030 | C=O            | CH <sub>2</sub> CH <sub>3</sub>  | CH <sub>2</sub> Ph              | CH <sub>2</sub> CH <sub>3</sub> | CH <sub>2</sub> CH <sub>3</sub>  |
| 031 | C=O            | CH <sub>2</sub> CH <sub>3</sub>  | H                               | CH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 032 | C=O            | CF <sub>3</sub>                  | CH <sub>3</sub>                 | CH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 033 | C=S            | CH <sub>2</sub> Ph               | CH <sub>3</sub>                 | CH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 034 | C=S            | n-C <sub>4</sub> H <sub>9</sub>  | CH <sub>3</sub>                 | CH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 035 | C=S            | CH <sub>2</sub> CH <sub>3</sub>  | CH <sub>3</sub>                 | CH <sub>3</sub>                 | CH <sub>2</sub> CH <sub>3</sub>  |

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|     |     |                                 |                                 |                                 |
|-----|-----|---------------------------------|---------------------------------|---------------------------------|
| 036 | C=S | CH <sub>2</sub> CH <sub>3</sub> | CH <sub>3</sub>                 | CH <sub>3</sub>                 |
| 037 | C=S | CF <sub>3</sub>                 | n-C <sub>4</sub> H <sub>9</sub> | CH <sub>3</sub>                 |
| 038 | C=S | CH <sub>2</sub> Ph              | H                               | CH <sub>3</sub>                 |
| 039 | C=S | n-C <sub>4</sub> H <sub>9</sub> | H                               | CH <sub>3</sub>                 |
| 040 | C=S | CH <sub>2</sub> CH <sub>3</sub> | CH <sub>2</sub> Ph              | CH <sub>2</sub> CH <sub>3</sub> |
| 041 | C=S | CH <sub>2</sub> CH <sub>3</sub> | H                               | CH <sub>3</sub>                 |
| 042 | C=S | CF <sub>3</sub>                 | CH <sub>3</sub>                 | CH <sub>3</sub>                 |

Table B-3



I.3

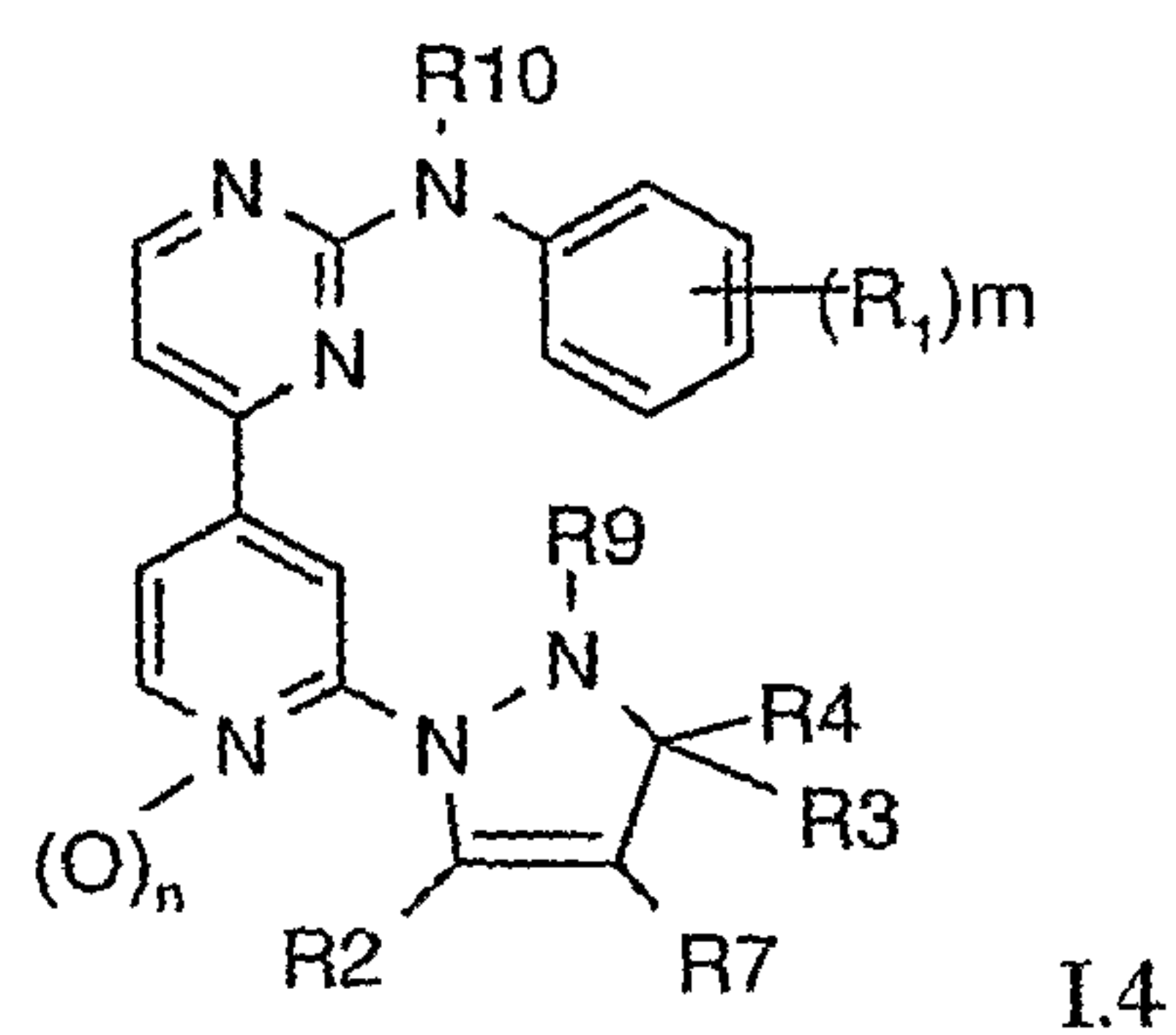
5

| No. | R <sub>2</sub>                 | R <sub>3</sub>                   | R <sub>7</sub>                  |
|-----|--------------------------------|----------------------------------|---------------------------------|
| 01  | OCH <sub>3</sub>               | CH <sub>3</sub>                  | H                               |
| 02  | Oac                            | CH <sub>3</sub>                  | CH <sub>3</sub>                 |
| 03  | OC <sub>2</sub> H <sub>5</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                 |
| 04  | OC <sub>2</sub> H <sub>5</sub> | H                                | CH <sub>3</sub>                 |
| 05  | OC <sub>2</sub> H <sub>5</sub> | CH <sub>3</sub>                  | H                               |
| 06  | OC <sub>2</sub> H <sub>5</sub> | H                                | Ph                              |
| 07  | OC <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub>                 |
| 08  | OC <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>2</sub> CH <sub>3</sub> |
| 09  | OH                             | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub>                 |
| 010 | OH                             | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>2</sub> CH <sub>3</sub> |
| 011 | OH                             | CH <sub>2</sub> OCH <sub>3</sub> | H                               |
| 012 | OCH <sub>3</sub>               | H                                | CH <sub>3</sub>                 |
| 013 | OCH <sub>3</sub>               | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub>                 |
| 014 | OCH <sub>3</sub>               | CH <sub>2</sub> OCH <sub>3</sub> | H                               |
| 015 | OH                             | CH <sub>3</sub>                  | CH <sub>2</sub> CH <sub>3</sub> |
| 016 | OH                             | H                                | CH <sub>3</sub>                 |
| 017 | CH <sub>3</sub>                | CH <sub>3</sub>                  | CH <sub>3</sub>                 |
| 018 | OAc                            | CH <sub>3</sub>                  | H                               |
| 019 | OH                             | CH <sub>3</sub>                  | H                               |
| 020 | OCH <sub>2</sub> Ph            | CH <sub>3</sub>                  | CH <sub>3</sub>                 |
| 021 | SCH <sub>3</sub>               | CH <sub>3</sub>                  | CH <sub>3</sub>                 |
| 022 | SCH <sub>3</sub>               | CH <sub>3</sub>                  | CH <sub>2</sub> CH <sub>3</sub> |
| 023 | SCH <sub>3</sub>               | CH <sub>3</sub>                  | H                               |
| 024 | SCH <sub>3</sub>               | CH <sub>3</sub>                  | CH <sub>2</sub> CH <sub>3</sub> |
| 025 | SCH <sub>3</sub>               | H                                | H                               |
| 026 | SCH <sub>3</sub>               | H                                | CH <sub>3</sub>                 |

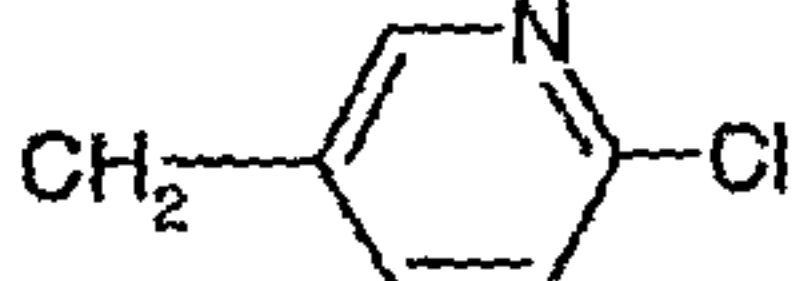
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|     |                                   |                                   |                                 |
|-----|-----------------------------------|-----------------------------------|---------------------------------|
| 027 | CH <sub>3</sub>                   | CH <sub>3</sub>                   |                                 |
| 028 | CH <sub>3</sub>                   | CH <sub>3</sub>                   | CH <sub>3</sub>                 |
| 029 | CH <sub>3</sub>                   | CH <sub>3</sub>                   | C(O) <sub>2</sub> Et            |
| 030 | CH(CH <sub>3</sub> ) <sub>2</sub> | CH(CH <sub>3</sub> ) <sub>2</sub> | H                               |
| 031 | CH <sub>3</sub>                   | CH <sub>3</sub>                   | Cl                              |
| 032 | H                                 | OCH <sub>3</sub>                  | H                               |
| 033 | CH <sub>2</sub> OCH <sub>3</sub>  | H                                 | C(O) <sub>2</sub> Me            |
| 034 | CH <sub>2</sub> OCH <sub>3</sub>  | H                                 | CONHMe                          |
| 035 | c-C <sub>3</sub> H <sub>5</sub>   | CH <sub>3</sub>                   | H                               |
| 036 | i-C <sub>3</sub> H <sub>7</sub>   | CH <sub>3</sub>                   | C(O) <sub>2</sub> Et            |
| 037 | CH <sub>3</sub>                   | CH <sub>3</sub>                   | Ph                              |
| 038 | CH <sub>3</sub>                   | CF <sub>3</sub>                   | H                               |
| 039 | H                                 | OH                                | H                               |
| 040 | 2,4-F <sub>2</sub> -Ph            | C(O) <sub>2</sub> Me              | H                               |
| 041 | 2,4-F <sub>2</sub> -Ph            | CONHMe                            | H                               |
| 042 | SCH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub>  | CH <sub>3</sub>                 |
| 043 | SCH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub>  | H                               |
| 044 | SH                                | CH <sub>3</sub>                   | CH <sub>2</sub> CH <sub>3</sub> |
| 045 | SH                                | H                                 | CH <sub>3</sub>                 |
| 046 | SCH <sub>3</sub>                  | CH <sub>3</sub>                   | H                               |
| 047 | SCH <sub>2</sub> Ph               | CH <sub>3</sub>                   | CH <sub>3</sub>                 |
| 048 | SC <sub>2</sub> H <sub>5</sub>    | CH <sub>3</sub>                   | CH <sub>3</sub>                 |
| 049 | SC <sub>2</sub> H <sub>5</sub>    | H                                 | CH <sub>3</sub>                 |
| 050 | SC <sub>2</sub> H <sub>5</sub>    | CH <sub>3</sub>                   | H                               |
| 051 | SC <sub>2</sub> H <sub>5</sub>    | H                                 | Ph                              |
| 052 | SC <sub>2</sub> H <sub>5</sub>    | CH <sub>2</sub> OCH <sub>3</sub>  | CH <sub>3</sub>                 |
| 053 | SC <sub>2</sub> H <sub>5</sub>    | CH <sub>2</sub> OCH <sub>3</sub>  | CH <sub>2</sub> CH <sub>3</sub> |

Table B-4



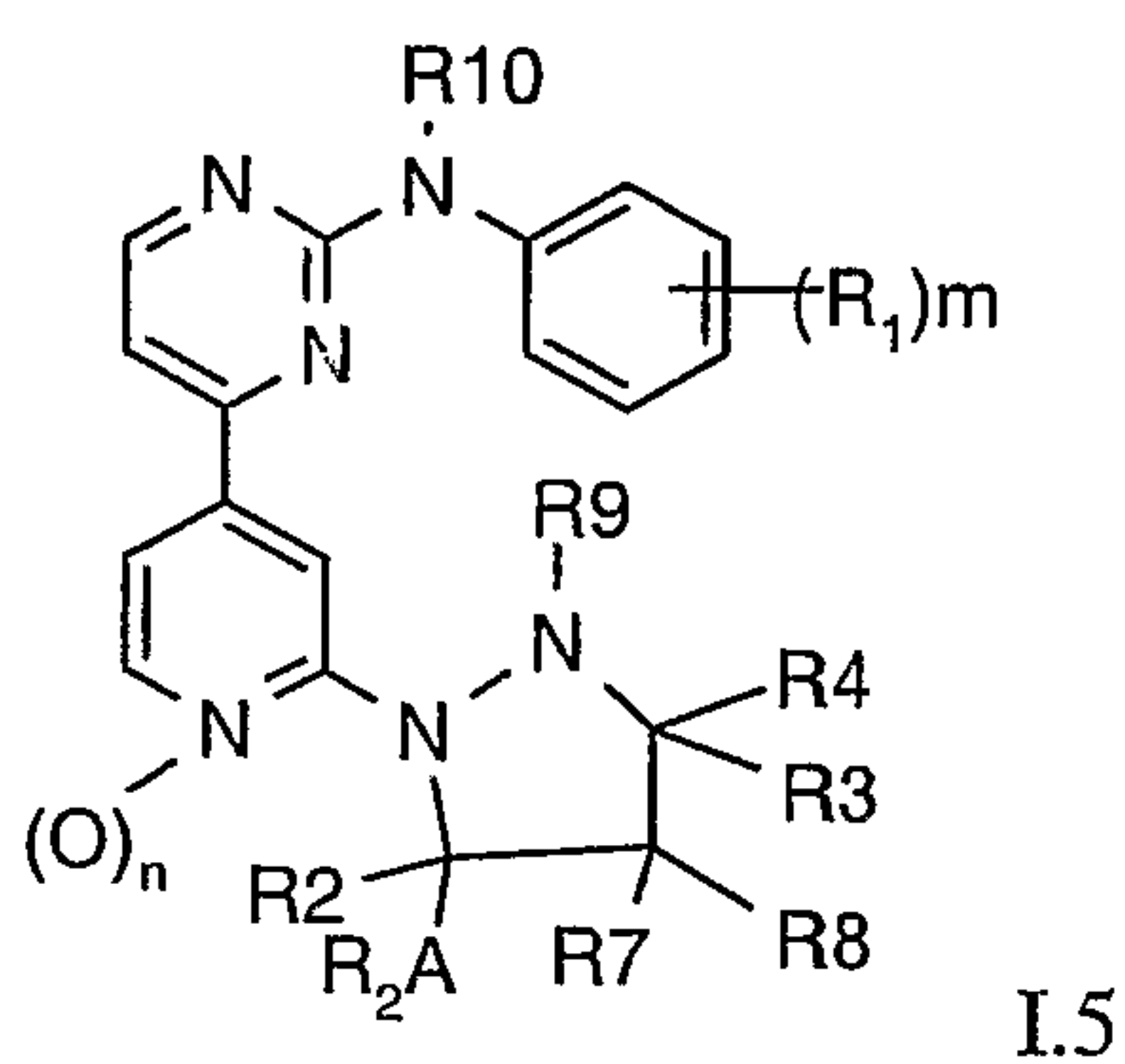
5

| No. | R <sub>2</sub> | R <sub>3</sub> | R <sub>4</sub> | R <sub>7</sub> | R <sub>9</sub>                                                                                          |
|-----|----------------|----------------|----------------|----------------|---------------------------------------------------------------------------------------------------------|
| 01  | H              | C=O            |                | H              | CH <sub>3</sub>                                                                                         |
| 02  | H              | C=O            |                | H              | CH <sub>2</sub> -  |
| 03  | H              | C=O            |                | H              | Benzyl                                                                                                  |

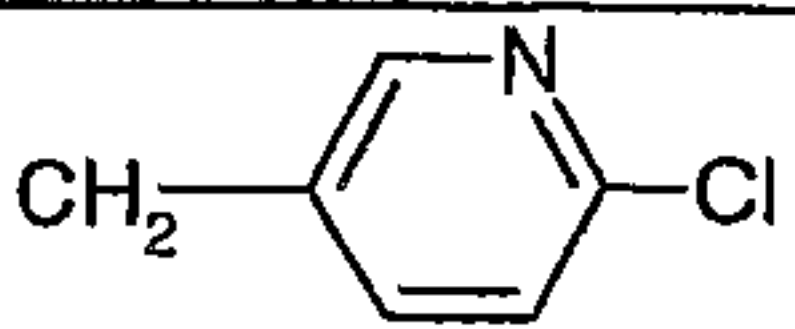
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|     |                                 |     |   |                                 |
|-----|---------------------------------|-----|---|---------------------------------|
| 04  | H                               | C=O | H | CH <sub>2</sub> CECH            |
| 05  | CH <sub>3</sub>                 | C=O | H | CH <sub>3</sub>                 |
| 06  | CH <sub>3</sub>                 | C=O | H | CH <sub>2</sub> CH <sub>3</sub> |
| 07  | CH <sub>3</sub>                 | C=O | H | n-C <sub>4</sub> H <sub>9</sub> |
| 08  | CH <sub>3</sub>                 | C=O | H | CH <sub>2</sub> Ph              |
| 09  | CH <sub>2</sub> CH <sub>3</sub> | C=O | H | CH <sub>3</sub>                 |
| 010 | CH <sub>2</sub> CH <sub>3</sub> | C=O | H | CH <sub>3</sub>                 |
| 011 | Ph                              | C=O | H | CH <sub>3</sub>                 |
| 012 | Ph                              | C=O | H | CH <sub>2</sub> CH <sub>3</sub> |
| 013 | Ph                              | C=O | H | Ph                              |
| 014 | Ph                              | C=O | H | n-C <sub>4</sub> H <sub>9</sub> |
| 015 | H                               | C=S | H | CH <sub>3</sub>                 |
| 016 | H                               | C=S | H | Benzyl                          |
| 017 | H                               | C=S | H | CH <sub>2</sub> CECH            |
| 018 | CH <sub>3</sub>                 | C=S | H | CH <sub>3</sub>                 |
| 019 | CH <sub>3</sub>                 | C=S | H | CH <sub>2</sub> CH <sub>3</sub> |
| 020 | CH <sub>3</sub>                 | C=S | H | n-C <sub>4</sub> H <sub>9</sub> |
| 021 | CH <sub>3</sub>                 | C=S | H | CH <sub>2</sub> Ph              |
| 022 | CH <sub>2</sub> CH <sub>3</sub> | C=S | H | CH <sub>3</sub>                 |
| 023 | CH <sub>2</sub> CH <sub>3</sub> | C=S | H | CH <sub>3</sub>                 |
| 024 | Ph                              | C=S | H | CH <sub>3</sub>                 |
| 025 | Ph                              | C=S | H | CH <sub>2</sub> CH <sub>3</sub> |
| 026 | Ph                              | C=S | H | Ph                              |
| 027 | Ph                              | C=S | H | n-C <sub>4</sub> H <sub>9</sub> |

Table B-5



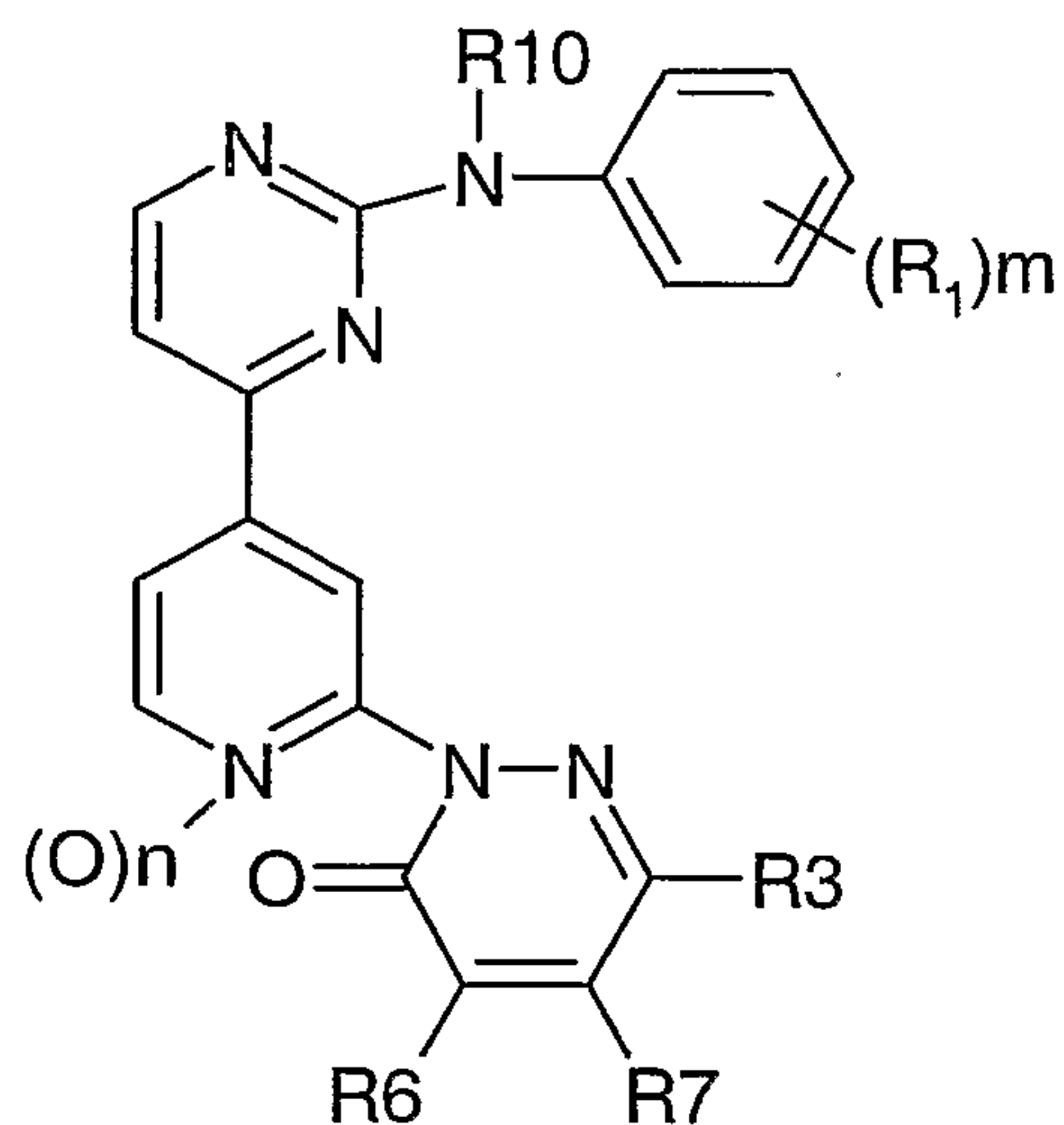
5

| No. | R <sub>2</sub>  | R <sub>2A</sub> | R <sub>3</sub> | R <sub>4</sub> | R <sub>7</sub>  | R <sub>8</sub> | R <sub>9</sub>                                                                                          |
|-----|-----------------|-----------------|----------------|----------------|-----------------|----------------|---------------------------------------------------------------------------------------------------------|
| 01  | H               | H               | C=O            |                | H               | H              | CH <sub>3</sub>                                                                                         |
| 02  | H               | H               | C=O            |                | H               | H              | CH <sub>2</sub> -  |
| 03  | H               | H               | C=O            |                | H               | H              | Benzyl                                                                                                  |
| 04  | H               | H               | C=O            |                | H               | H              | CH <sub>2</sub> CECH                                                                                    |
| 05  | CH <sub>3</sub> | H               | C=O            |                | CH <sub>3</sub> | H              | CH <sub>2</sub> Ph                                                                                      |

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|     |                 |                 |     |                 |   |                                    |
|-----|-----------------|-----------------|-----|-----------------|---|------------------------------------|
| 06  | CH <sub>3</sub> | H               | C=O | CH <sub>3</sub> | H | CH <sub>2</sub> CECH               |
| 07  | CH <sub>3</sub> | H               | C=O | CH <sub>3</sub> | H | CH <sub>2</sub> CH=CH <sub>2</sub> |
| 08  | CH <sub>3</sub> | H               | C=O | CH <sub>3</sub> | H | CH <sub>3</sub>                    |
| 09  | CH <sub>3</sub> | H               | C=O | CH <sub>3</sub> | H | CH <sub>2</sub> CH <sub>3</sub>    |
| 010 | CH <sub>3</sub> | H               | C=O | H               | H | CH <sub>2</sub> Ph                 |
| 011 | CH <sub>3</sub> | H               | C=O | H               | H | CH <sub>2</sub> CECH               |
| 012 | CH <sub>3</sub> | H               | C=O | H               | H | CH <sub>2</sub> CH=CH <sub>2</sub> |
| 013 | CH <sub>3</sub> | H               | C=O | H               | H | CH <sub>3</sub>                    |
| 014 | CH <sub>3</sub> | H               | C=O | H               | H | CH <sub>2</sub> CH <sub>3</sub>    |
| 015 | CH <sub>3</sub> | CH <sub>3</sub> | C=O | H               | H | CH <sub>2</sub> Ph                 |
| 016 | CH <sub>3</sub> | CH <sub>3</sub> | C=O | H               | H | CH <sub>2</sub> CECH               |
| 017 | CH <sub>3</sub> | CH <sub>3</sub> | C=O | H               | H | CH <sub>2</sub> CH=CH <sub>2</sub> |
| 018 | CH <sub>3</sub> | CH <sub>3</sub> | C=O | H               | H | CH <sub>3</sub>                    |
| 019 | CH <sub>3</sub> | CH <sub>3</sub> | C=O | H               | H | CH <sub>2</sub> CH <sub>3</sub>    |
| 020 | CH <sub>3</sub> | H               | C=S | H               | H | CH <sub>2</sub> Ph                 |
| 021 | CH <sub>3</sub> | H               | C=S | H               | H | CH <sub>2</sub> CECH               |
| 022 | CH <sub>3</sub> | H               | C=S | H               | H | CH <sub>2</sub> CH=CH <sub>2</sub> |
| 023 | CH <sub>3</sub> | H               | C=S | H               | H | CH <sub>3</sub>                    |
| 024 | CH <sub>3</sub> | H               | C=S | H               | H | CH <sub>2</sub> CH <sub>3</sub>    |
| 025 | CH <sub>3</sub> | CH <sub>3</sub> | C=S | H               | H | CH <sub>2</sub> Ph                 |
| 026 | CH <sub>3</sub> | CH <sub>3</sub> | C=S | H               | H | CH <sub>2</sub> CECH               |
| 027 | CH <sub>3</sub> | CH <sub>3</sub> | C=S | H               | H | CH <sub>2</sub> CH=CH <sub>2</sub> |
| 028 | CH <sub>3</sub> | CH <sub>3</sub> | C=S | H               | H | CH <sub>3</sub>                    |
| 029 | CH <sub>3</sub> | CH <sub>3</sub> | C=S | H               | H | CH <sub>2</sub> CH <sub>3</sub>    |
| 030 | C=O             |                 | H   | H               | H | CH <sub>3</sub>                    |

Table B-6



5

|    | R <sub>3</sub> | R <sub>6</sub> | R <sub>7</sub> |
|----|----------------|----------------|----------------|
| 1. | H              | H              | H              |
| 2. | H              | Cl             | Cl             |

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|     |   |                 |                                  |
|-----|---|-----------------|----------------------------------|
| 3.  | H | Cl              | NHCH <sub>3</sub>                |
| 4.  | H | Cl              | NHBu                             |
| 5.  | H | Cl              | N(CH <sub>3</sub> ) <sub>2</sub> |
| 6.  | H | Cl              | NBu <sub>2</sub>                 |
| 7.  | H | Cl              | NCH <sub>3</sub> Bu              |
| 8.  | H | Cl              | NEt <sub>2</sub>                 |
| 9.  | H | Cl              | NEtBu                            |
| 10. | H | Cl              | SCH <sub>3</sub>                 |
| 11. | H | Cl              | SBu                              |
| 12. | H | Cl              | OCH <sub>3</sub>                 |
| 13. | H | Cl              | OBu                              |
| 14. | H | Cl              | CF <sub>3</sub>                  |
| 15. | H | Cl              | OPh                              |
| 16. | H | Cl              | CH <sub>2</sub> OCH <sub>3</sub> |
| 17. | H | Cl              | OCF <sub>3</sub>                 |
| 18. | H | Cl              | OCF <sub>2</sub> CF <sub>3</sub> |
| 19. | H | Cl              | Ph                               |
| 20. | H | Cl              | N <sub>3</sub>                   |
| 21. | H | H               | I                                |
| 22. | H | H               | CH <sub>3</sub>                  |
| 23. | H | H               | Bu                               |
| 24. | H | H               | OCH <sub>3</sub>                 |
| 25. | H | H               | OBu                              |
| 26. | H | H               | SCH <sub>3</sub>                 |
| 27. | H | H               | SBu                              |
| 28. | H | H               | NHCH <sub>3</sub>                |
| 29. | H | H               | NHBu                             |
| 30. | H | H               | N(CH <sub>3</sub> ) <sub>2</sub> |
| 31. | H | H               | NBu <sub>2</sub>                 |
| 32. | H | H               | NCH <sub>3</sub> Bu              |
| 33. | H | H               | NEt <sub>2</sub>                 |
| 34. | H | H               | NEtBu                            |
| 35. | H | H               | CF <sub>3</sub>                  |
| 36. | H | H               | OPh                              |
| 37. | H | H               | CH <sub>2</sub> OCH <sub>3</sub> |
| 38. | H | H               | OCF <sub>3</sub>                 |
| 39. | H | H               | OCF <sub>2</sub> CF <sub>3</sub> |
| 40. | H | H               | Ph                               |
| 41. | H | H               | N <sub>3</sub>                   |
| 42. | H | CH <sub>3</sub> | CH <sub>3</sub>                  |
| 43. | H | CH <sub>3</sub> | Bu                               |
| 44. | H | CH <sub>3</sub> | OCH <sub>3</sub>                 |
| 45. | H | CH <sub>3</sub> | OBu                              |
| 46. | H | CH <sub>3</sub> | SCH <sub>3</sub>                 |
| 47. | H | CH <sub>3</sub> | SBu                              |
| 48. | H | CH <sub>3</sub> | NHCH <sub>3</sub>                |
| 49. | H | CH <sub>3</sub> | NHBu                             |

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|     |   |                                  |                                  |
|-----|---|----------------------------------|----------------------------------|
| 50. | H | CH <sub>3</sub>                  | N(CH <sub>3</sub> ) <sub>2</sub> |
| 51. | H | CH <sub>3</sub>                  | NBu <sub>2</sub>                 |
| 52. | H | CH <sub>3</sub>                  | NCH <sub>3</sub> Bu              |
| 53. | H | CH <sub>3</sub>                  | NEt <sub>2</sub>                 |
| 54. | H | CH <sub>3</sub>                  | NEtBu                            |
| 55. | H | CH <sub>3</sub>                  | CF <sub>3</sub>                  |
| 56. | H | CH <sub>3</sub>                  | OPh                              |
| 57. | H | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> |
| 58. | H | CH <sub>3</sub>                  | OCF <sub>3</sub>                 |
| 59. | H | CH <sub>3</sub>                  | OCF <sub>2</sub> CF <sub>3</sub> |
| 60. | H | CH <sub>3</sub>                  | Ph                               |
| 61. | H | CH <sub>3</sub>                  | N <sub>3</sub>                   |
| 62. | H | nBu                              | CH <sub>3</sub>                  |
| 63. | H | nBu                              | Bu                               |
| 64. | H | nBu                              | OCH <sub>3</sub>                 |
| 65. | H | nBu                              | OBu                              |
| 66. | H | nBu                              | SCH <sub>3</sub>                 |
| 67. | H | nBu                              | SBu                              |
| 68. | H | nBu                              | NHCH <sub>3</sub>                |
| 69. | H | nBu                              | NHBu                             |
| 70. | H | nBu                              | N(CH <sub>3</sub> ) <sub>2</sub> |
| 71. | H | nBu                              | NBu <sub>2</sub>                 |
| 72. | H | nBu                              | NCH <sub>3</sub> Bu              |
| 73. | H | nBu                              | NEt <sub>2</sub>                 |
| 74. | H | nBu                              | NEtBu                            |
| 75. | H | nBu                              | CF <sub>3</sub>                  |
| 76. | H | nBu                              | OPh                              |
| 77. | H | nBu                              | CH <sub>2</sub> OCH <sub>3</sub> |
| 78. | H | nBu                              | OCF <sub>3</sub>                 |
| 79. | H | nBu                              | OCF <sub>2</sub> CF <sub>3</sub> |
| 80. | H | nBu                              | Ph                               |
| 81. | H | nBu                              | N <sub>3</sub>                   |
| 82. | H | I                                | H                                |
| 83. | H | CH <sub>3</sub>                  | H                                |
| 84. | H | Bu                               | H                                |
| 85. | H | OCH <sub>3</sub>                 | H                                |
| 86. | H | OBu                              | H                                |
| 87. | H | SCH <sub>3</sub>                 | H                                |
| 88. | H | SBu                              | H                                |
| 89. | H | NHCH <sub>3</sub>                | H                                |
| 90. | H | NHBu                             | H                                |
| 91. | H | N(CH <sub>3</sub> ) <sub>2</sub> | H                                |
| 92. | H | NBu <sub>2</sub>                 | H                                |
| 93. | H | NCH <sub>3</sub> Bu              | H                                |
| 94. | H | NEt <sub>2</sub>                 | H                                |
| 95. | H | NEtBu                            | H                                |
| 96. | H | CF <sub>3</sub>                  | H                                |

|      |   |                                  |                 |
|------|---|----------------------------------|-----------------|
| 97.  | H | OPh                              | H               |
| 98.  | H | CH <sub>2</sub> OCH <sub>3</sub> | H               |
| 99.  | H | OCF <sub>3</sub>                 | H               |
| 100. | H | OCF <sub>2</sub> CF <sub>3</sub> | H               |
| 101. | H | Ph                               | H               |
| 102. | H | N <sub>3</sub>                   | H               |
| 103. | H | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 104. | H | Bu                               | CH <sub>3</sub> |
| 105. | H | OCH <sub>3</sub>                 | CH <sub>3</sub> |
| 106. | H | OBu                              | CH <sub>3</sub> |
| 107. | H | SCH <sub>3</sub>                 | CH <sub>3</sub> |
| 108. | H | SBu                              | CH <sub>3</sub> |
| 109. | H | NHCH <sub>3</sub>                | CH <sub>3</sub> |
| 110. | H | NHBu                             | CH <sub>3</sub> |
| 111. | H | N(CH <sub>3</sub> ) <sub>2</sub> | CH <sub>3</sub> |
| 112. | H | NBu <sub>2</sub>                 | CH <sub>3</sub> |
| 113. | H | NCH <sub>3</sub> Bu              | CH <sub>3</sub> |
| 114. | H | NEt <sub>2</sub>                 | CH <sub>3</sub> |
| 115. | H | NEtBu                            | CH <sub>3</sub> |
| 116. | H | CF <sub>3</sub>                  | CH <sub>3</sub> |
| 117. | H | OPh                              | CH <sub>3</sub> |
| 118. | H | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> |
| 119. | H | OCF <sub>3</sub>                 | CH <sub>3</sub> |
| 120. | H | OCF <sub>2</sub> CF <sub>3</sub> | CH <sub>3</sub> |
| 121. | H | Ph                               | CH <sub>3</sub> |
| 122. | H | N <sub>3</sub>                   | CH <sub>3</sub> |
| 123. | H | CH <sub>3</sub>                  | nBu             |
| 124. | H | nBu                              | nBu             |
| 125. | H | OCH <sub>3</sub>                 | nBu             |
| 126. | H | OBu                              | nBu             |
| 127. | H | SCH <sub>3</sub>                 | nBu             |
| 128. | H | SBu                              | nBu             |
| 129. | H | NHCH <sub>3</sub>                | nBu             |
| 130. | H | NHBu                             | nBu             |
| 131. | H | N(CH <sub>3</sub> ) <sub>2</sub> | nBu             |
| 132. | H | NBu <sub>2</sub>                 | nBu             |
| 133. | H | NCH <sub>3</sub> Bu              | nBu             |
| 134. | H | NEt <sub>2</sub>                 | nBu             |
| 135. | H | NEtBu                            | nBu             |
| 136. | H | CF <sub>3</sub>                  | nBu             |
| 137. | H | OPh                              | nBu             |
| 138. | H | CH <sub>2</sub> OCH <sub>3</sub> | nBu             |
| 139. | H | OCF <sub>3</sub>                 | nBu             |
| 140. | H | OCF <sub>2</sub> CF <sub>3</sub> | nBu             |
| 141. | H | Ph                               | nBu             |
| 142. | H | N <sub>3</sub>                   | nBu             |
| 143. | H | NHCH <sub>3</sub>                | Cl              |

|      |                 |                                  |                                  |
|------|-----------------|----------------------------------|----------------------------------|
| 144. | H               | NHBu                             | Cl                               |
| 145. | H               | N(CH <sub>3</sub> ) <sub>2</sub> | Cl                               |
| 146. | H               | NBu <sub>2</sub>                 | Cl                               |
| 147. | H               | NCH <sub>3</sub> Bu              | Cl                               |
| 148. | H               | NEt <sub>2</sub>                 | Cl                               |
| 149. | H               | NEtBu                            | Cl                               |
| 150. | H               | SCH <sub>3</sub>                 | Cl                               |
| 151. | H               | SBu                              | Cl                               |
| 152. | H               | OCH <sub>3</sub>                 | Cl                               |
| 153. | H               | OBu                              | Cl                               |
| 154. | H               | CF <sub>3</sub>                  | Cl                               |
| 155. | H               | OPh                              | Cl                               |
| 156. | H               | CH <sub>2</sub> OCH <sub>3</sub> | Cl                               |
| 157. | H               | OCF <sub>3</sub>                 | Cl                               |
| 158. | H               | OCF <sub>2</sub> CF <sub>3</sub> | Cl                               |
| 159. | H               | Ph                               | Cl                               |
| 160. | H               | N <sub>3</sub>                   | Cl                               |
| 161. | H               | NHCH <sub>3</sub>                | NHCH <sub>3</sub>                |
| 162. | H               | NHBu                             | NHBu                             |
| 163. | H               | N(CH <sub>3</sub> ) <sub>2</sub> | N(CH <sub>3</sub> ) <sub>2</sub> |
| 164. | H               | NBu <sub>2</sub>                 | NBu <sub>2</sub>                 |
| 165. | H               | NCH <sub>3</sub> Bu              | NCH <sub>3</sub> Bu              |
| 166. | H               | NEt <sub>2</sub>                 | NEt <sub>2</sub>                 |
| 167. | H               | NEtBu                            | NEtBu                            |
| 168. | H               | SCH <sub>3</sub>                 | SCH <sub>3</sub>                 |
| 169. | H               | SBu                              | SBu                              |
| 170. | H               | OCH <sub>3</sub>                 | OCH <sub>3</sub>                 |
| 171. | H               | OBu                              | OBu                              |
| 172. | H               | CF <sub>3</sub>                  | CF <sub>3</sub>                  |
| 173. | H               | OPh                              | OPh                              |
| 174. | H               | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> |
| 175. | H               | OCF <sub>3</sub>                 | OCF <sub>3</sub>                 |
| 176. | H               | OCF <sub>2</sub> CF <sub>3</sub> | OCF <sub>2</sub> CF <sub>3</sub> |
| 177. | H               | Ph                               | Ph                               |
| 178. | H               | N <sub>3</sub>                   | N <sub>3</sub>                   |
| 179. | CH <sub>3</sub> | H                                | H                                |
| 180. | CH <sub>3</sub> | Cl                               | Cl                               |
| 181. | CH <sub>3</sub> | Cl                               | NHCH <sub>3</sub>                |
| 182. | CH <sub>3</sub> | Cl                               | NHBu                             |
| 183. | CH <sub>3</sub> | Cl                               | N(CH <sub>3</sub> ) <sub>2</sub> |
| 184. | CH <sub>3</sub> | Cl                               | NBu <sub>2</sub>                 |
| 185. | CH <sub>3</sub> | Cl                               | NCH <sub>3</sub> Bu              |
| 186. | CH <sub>3</sub> | Cl                               | NEt <sub>2</sub>                 |
| 187. | CH <sub>3</sub> | Cl                               | NEtBu                            |
| 188. | CH <sub>3</sub> | Cl                               | SCH <sub>3</sub>                 |
| 189. | CH <sub>3</sub> | Cl                               | SBu                              |
| 190. | CH <sub>3</sub> | Cl                               | OCH <sub>3</sub>                 |

|      |                 |                 |                                  |
|------|-----------------|-----------------|----------------------------------|
| 191. | CH <sub>3</sub> | Cl              | OBu                              |
| 192. | CH <sub>3</sub> | Cl              | CF <sub>3</sub>                  |
| 193. | CH <sub>3</sub> | Cl              | OPh                              |
| 194. | CH <sub>3</sub> | Cl              | CH <sub>2</sub> OCH <sub>3</sub> |
| 195. | CH <sub>3</sub> | Cl              | OCF <sub>3</sub>                 |
| 196. | CH <sub>3</sub> | Cl              | OCF <sub>2</sub> CF <sub>3</sub> |
| 197. | CH <sub>3</sub> | Cl              | Ph                               |
| 198. | CH <sub>3</sub> | Cl              | N <sub>3</sub>                   |
| 199. | CH <sub>3</sub> | H               | I                                |
| 200. | CH <sub>3</sub> | H               | CH <sub>3</sub>                  |
| 201. | CH <sub>3</sub> | H               | Bu                               |
| 202. | CH <sub>3</sub> | H               | OCH <sub>3</sub>                 |
| 203. | CH <sub>3</sub> | H               | OBu                              |
| 204. | CH <sub>3</sub> | H               | SCH <sub>3</sub>                 |
| 205. | CH <sub>3</sub> | H               | SBu                              |
| 206. | CH <sub>3</sub> | H               | NHCH <sub>3</sub>                |
| 207. | CH <sub>3</sub> | H               | NHBu                             |
| 208. | CH <sub>3</sub> | H               | N(CH <sub>3</sub> ) <sub>2</sub> |
| 209. | CH <sub>3</sub> | H               | NBu <sub>2</sub>                 |
| 210. | CH <sub>3</sub> | H               | NCH <sub>3</sub> Bu              |
| 211. | CH <sub>3</sub> | H               | NEt <sub>2</sub>                 |
| 212. | CH <sub>3</sub> | H               | NEtBu                            |
| 213. | CH <sub>3</sub> | H               | CF <sub>3</sub>                  |
| 214. | CH <sub>3</sub> | H               | OPh                              |
| 215. | CH <sub>3</sub> | H               | CH <sub>2</sub> OCH <sub>3</sub> |
| 216. | CH <sub>3</sub> | H               | OCF <sub>3</sub>                 |
| 217. | CH <sub>3</sub> | H               | OCF <sub>2</sub> CF <sub>3</sub> |
| 218. | CH <sub>3</sub> | H               | Ph                               |
| 219. | CH <sub>3</sub> | H               | N <sub>3</sub>                   |
| 220. | CH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub>                  |
| 221. | CH <sub>3</sub> | CH <sub>3</sub> | Bu                               |
| 222. | CH <sub>3</sub> | CH <sub>3</sub> | OCH <sub>3</sub>                 |
| 223. | CH <sub>3</sub> | CH <sub>3</sub> | OBu                              |
| 224. | CH <sub>3</sub> | CH <sub>3</sub> | SCH <sub>3</sub>                 |
| 225. | CH <sub>3</sub> | CH <sub>3</sub> | SBu                              |
| 226. | CH <sub>3</sub> | CH <sub>3</sub> | NHCH <sub>3</sub>                |
| 227. | CH <sub>3</sub> | CH <sub>3</sub> | NHBu                             |
| 228. | CH <sub>3</sub> | CH <sub>3</sub> | N(CH <sub>3</sub> ) <sub>2</sub> |
| 229. | CH <sub>3</sub> | CH <sub>3</sub> | NBu <sub>2</sub>                 |
| 230. | CH <sub>3</sub> | CH <sub>3</sub> | NCH <sub>3</sub> Bu              |
| 231. | CH <sub>3</sub> | CH <sub>3</sub> | NEt <sub>2</sub>                 |
| 232. | CH <sub>3</sub> | CH <sub>3</sub> | NEtBu                            |
| 233. | CH <sub>3</sub> | CH <sub>3</sub> | CF <sub>3</sub>                  |
| 234. | CH <sub>3</sub> | CH <sub>3</sub> | OPh                              |
| 235. | CH <sub>3</sub> | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> |
| 236. | CH <sub>3</sub> | CH <sub>3</sub> | OCF <sub>3</sub>                 |
| 237. | CH <sub>3</sub> | CH <sub>3</sub> | OCF <sub>2</sub> CF <sub>3</sub> |

|      |                 |                                  |                                  |
|------|-----------------|----------------------------------|----------------------------------|
| 238. | CH <sub>3</sub> | CH <sub>3</sub>                  | Ph                               |
| 239. | CH <sub>3</sub> | CH <sub>3</sub>                  | N <sub>3</sub>                   |
| 240. | CH <sub>3</sub> | nBu                              | CH <sub>3</sub>                  |
| 241. | CH <sub>3</sub> | nBu                              | Bu                               |
| 242. | CH <sub>3</sub> | nBu                              | OCH <sub>3</sub>                 |
| 243. | CH <sub>3</sub> | nBu                              | OBu                              |
| 244. | CH <sub>3</sub> | nBu                              | SCH <sub>3</sub>                 |
| 245. | CH <sub>3</sub> | nBu                              | SBu                              |
| 246. | CH <sub>3</sub> | nBu                              | NHCH <sub>3</sub>                |
| 247. | CH <sub>3</sub> | nBu                              | NHBu                             |
| 248. | CH <sub>3</sub> | nBu                              | N(CH <sub>3</sub> ) <sub>2</sub> |
| 249. | CH <sub>3</sub> | nBu                              | NBu <sub>2</sub>                 |
| 250. | CH <sub>3</sub> | nBu                              | NCH <sub>3</sub> Bu              |
| 251. | CH <sub>3</sub> | nBu                              | NEt <sub>2</sub>                 |
| 252. | CH <sub>3</sub> | nBu                              | NEtBu                            |
| 253. | CH <sub>3</sub> | nBu                              | CF <sub>3</sub>                  |
| 254. | CH <sub>3</sub> | nBu                              | OPh                              |
| 255. | CH <sub>3</sub> | nBu                              | CH <sub>2</sub> OCH <sub>3</sub> |
| 256. | CH <sub>3</sub> | nBu                              | OCF <sub>3</sub>                 |
| 257. | CH <sub>3</sub> | nBu                              | OCF <sub>2</sub> CF <sub>3</sub> |
| 258. | CH <sub>3</sub> | nBu                              | Ph                               |
| 259. | CH <sub>3</sub> | nBu                              | N <sub>3</sub>                   |
| 260. | CH <sub>3</sub> | I                                | H                                |
| 261. | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                |
| 262. | CH <sub>3</sub> | Bu                               | H                                |
| 263. | CH <sub>3</sub> | OCH <sub>3</sub>                 | H                                |
| 264. | CH <sub>3</sub> | OBu                              | H                                |
| 265. | CH <sub>3</sub> | SCH <sub>3</sub>                 | H                                |
| 266. | CH <sub>3</sub> | SBu                              | H                                |
| 267. | CH <sub>3</sub> | NHCH <sub>3</sub>                | H                                |
| 268. | CH <sub>3</sub> | NHBu                             | H                                |
| 269. | CH <sub>3</sub> | N(CH <sub>3</sub> ) <sub>2</sub> | H                                |
| 270. | CH <sub>3</sub> | NBu <sub>2</sub>                 | H                                |
| 271. | CH <sub>3</sub> | NCH <sub>3</sub> Bu              | H                                |
| 272. | CH <sub>3</sub> | NEt <sub>2</sub>                 | H                                |
| 273. | CH <sub>3</sub> | NEtBu                            | H                                |
| 274. | CH <sub>3</sub> | CF <sub>3</sub>                  | H                                |
| 275. | CH <sub>3</sub> | OPh                              | H                                |
| 276. | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | H                                |
| 277. | CH <sub>3</sub> | OCF <sub>3</sub>                 | H                                |
| 278. | CH <sub>3</sub> | OCF <sub>2</sub> CF <sub>3</sub> | H                                |
| 279. | CH <sub>3</sub> | Ph                               | H                                |
| 280. | CH <sub>3</sub> | N <sub>3</sub>                   | H                                |
| 281. | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 282. | CH <sub>3</sub> | Bu                               | CH <sub>3</sub>                  |
| 283. | CH <sub>3</sub> | OCH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 284. | CH <sub>3</sub> | OBu                              | CH <sub>3</sub>                  |

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|      |                 |                                  |                 |
|------|-----------------|----------------------------------|-----------------|
| 285. | CH <sub>3</sub> | SCH <sub>3</sub>                 | CH <sub>3</sub> |
| 286. | CH <sub>3</sub> | SBu                              | CH <sub>3</sub> |
| 287. | CH <sub>3</sub> | NHCH <sub>3</sub>                | CH <sub>3</sub> |
| 288. | CH <sub>3</sub> | NHBu                             | CH <sub>3</sub> |
| 289. | CH <sub>3</sub> | N(CH <sub>3</sub> ) <sub>2</sub> | CH <sub>3</sub> |
| 290. | CH <sub>3</sub> | NBu <sub>2</sub>                 | CH <sub>3</sub> |
| 291. | CH <sub>3</sub> | NCH <sub>3</sub> Bu              | CH <sub>3</sub> |
| 292. | CH <sub>3</sub> | NEt <sub>2</sub>                 | CH <sub>3</sub> |
| 293. | CH <sub>3</sub> | NEtBu                            | CH <sub>3</sub> |
| 294. | CH <sub>3</sub> | CF <sub>3</sub>                  | CH <sub>3</sub> |
| 295. | CH <sub>3</sub> | OPh                              | CH <sub>3</sub> |
| 296. | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> |
| 297. | CH <sub>3</sub> | OCF <sub>3</sub>                 | CH <sub>3</sub> |
| 298. | CH <sub>3</sub> | OCF <sub>2</sub> CF <sub>3</sub> | CH <sub>3</sub> |
| 299. | CH <sub>3</sub> | Ph                               | CH <sub>3</sub> |
| 300. | CH <sub>3</sub> | N <sub>3</sub>                   | CH <sub>3</sub> |
| 301. | CH <sub>3</sub> | CH <sub>3</sub>                  | nBu             |
| 302. | CH <sub>3</sub> | Bu                               | nBu             |
| 303. | CH <sub>3</sub> | OCH <sub>3</sub>                 | nBu             |
| 304. | CH <sub>3</sub> | OBu                              | nBu             |
| 305. | CH <sub>3</sub> | SCH <sub>3</sub>                 | nBu             |
| 306. | CH <sub>3</sub> | SBu                              | nBu             |
| 307. | CH <sub>3</sub> | NHCH <sub>3</sub>                | nBu             |
| 308. | CH <sub>3</sub> | NHBu                             | nBu             |
| 309. | CH <sub>3</sub> | N(CH <sub>3</sub> ) <sub>2</sub> | nBu             |
| 310. | CH <sub>3</sub> | NBu <sub>2</sub>                 | nBu             |
| 311. | CH <sub>3</sub> | NCH <sub>3</sub> Bu              | nBu             |
| 312. | CH <sub>3</sub> | NEt <sub>2</sub>                 | nBu             |
| 313. | CH <sub>3</sub> | NEtBu                            | nBu             |
| 314. | CH <sub>3</sub> | CF <sub>3</sub>                  | nBu             |
| 315. | CH <sub>3</sub> | OPh                              | nBu             |
| 316. | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | nBu             |
| 317. | CH <sub>3</sub> | OCF <sub>3</sub>                 | nBu             |
| 318. | CH <sub>3</sub> | OCF <sub>2</sub> CF <sub>3</sub> | nBu             |
| 319. | CH <sub>3</sub> | Ph                               | nBu             |
| 320. | CH <sub>3</sub> | N <sub>3</sub>                   | nBu             |
| 321. | CH <sub>3</sub> | NHCH <sub>3</sub>                | Cl              |
| 322. | CH <sub>3</sub> | NHBu                             | Cl              |
| 323. | CH <sub>3</sub> | N(CH <sub>3</sub> ) <sub>2</sub> | Cl              |
| 324. | CH <sub>3</sub> | NBu <sub>2</sub>                 | Cl              |
| 325. | CH <sub>3</sub> | NCH <sub>3</sub> Bu              | Cl              |
| 326. | CH <sub>3</sub> | NEt <sub>2</sub>                 | Cl              |
| 327. | CH <sub>3</sub> | NEtBu                            | Cl              |
| 328. | CH <sub>3</sub> | SCH <sub>3</sub>                 | Cl              |
| 329. | CH <sub>3</sub> | SBu                              | Cl              |
| 330. | CH <sub>3</sub> | OCH <sub>3</sub>                 | Cl              |
| 331. | CH <sub>3</sub> | OBu                              | Cl              |

|      |                 |                                  |                                  |
|------|-----------------|----------------------------------|----------------------------------|
| 332. | CH <sub>3</sub> | CF <sub>3</sub>                  | Cl                               |
| 333. | CH <sub>3</sub> | OPh                              | Cl                               |
| 334. | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | Cl                               |
| 335. | CH <sub>3</sub> | OCF <sub>3</sub>                 | Cl                               |
| 336. | CH <sub>3</sub> | OCF <sub>2</sub> CF <sub>3</sub> | Cl                               |
| 337. | CH <sub>3</sub> | Ph                               | Cl                               |
| 338. | CH <sub>3</sub> | N <sub>3</sub>                   | Cl                               |
| 339. | CH <sub>3</sub> | NHCH <sub>3</sub>                | NHCH <sub>3</sub>                |
| 340. | CH <sub>3</sub> | NHBu                             | NHBu                             |
| 341. | CH <sub>3</sub> | N(CH <sub>3</sub> ) <sub>2</sub> | N(CH <sub>3</sub> ) <sub>2</sub> |
| 342. | CH <sub>3</sub> | NBu <sub>2</sub>                 | NBu <sub>2</sub>                 |
| 343. | CH <sub>3</sub> | NCH <sub>3</sub> Bu              | NCH <sub>3</sub> Bu              |
| 344. | CH <sub>3</sub> | NEt <sub>2</sub>                 | NEt <sub>2</sub>                 |
| 345. | CH <sub>3</sub> | NEtBu                            | NEtBu                            |
| 346. | CH <sub>3</sub> | SCH <sub>3</sub>                 | SCH <sub>3</sub>                 |
| 347. | CH <sub>3</sub> | SBu                              | SBu                              |
| 348. | CH <sub>3</sub> | OCH <sub>3</sub>                 | OCH <sub>3</sub>                 |
| 349. | CH <sub>3</sub> | OBu                              | OBu                              |
| 350. | CH <sub>3</sub> | CF <sub>3</sub>                  | CF <sub>3</sub>                  |
| 351. | CH <sub>3</sub> | OPh                              | OPh                              |
| 352. | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> |
| 353. | CH <sub>3</sub> | OCF <sub>3</sub>                 | OCF <sub>3</sub>                 |
| 354. | CH <sub>3</sub> | OCF <sub>2</sub> CF <sub>3</sub> | OCF <sub>2</sub> CF <sub>3</sub> |
| 355. | CH <sub>3</sub> | Ph                               | Ph                               |
| 356. | CH <sub>3</sub> | N <sub>3</sub>                   | N <sub>3</sub>                   |
| 357. | nBu             | H                                | H                                |
| 358. | nBu             | Cl                               | Cl                               |
| 359. | nBu             | Cl                               | NHCH <sub>3</sub>                |
| 360. | nBu             | Cl                               | NHBu                             |
| 361. | nBu             | Cl                               | N(CH <sub>3</sub> ) <sub>2</sub> |
| 362. | nBu             | Cl                               | NBu <sub>2</sub>                 |
| 363. | nBu             | Cl                               | NCH <sub>3</sub> Bu              |
| 364. | nBu             | Cl                               | NEt <sub>2</sub>                 |
| 365. | nBu             | Cl                               | NEtBu                            |
| 366. | nBu             | Cl                               | SCH <sub>3</sub>                 |
| 367. | nBu             | Cl                               | SBu                              |
| 368. | nBu             | Cl                               | OCH <sub>3</sub>                 |
| 369. | nBu             | Cl                               | OBu                              |
| 370. | nBu             | Cl                               | CF <sub>3</sub>                  |
| 371. | nBu             | Cl                               | OPh                              |
| 372. | nBu             | Cl                               | CH <sub>2</sub> OCH <sub>3</sub> |
| 373. | nBu             | Cl                               | OCF <sub>3</sub>                 |
| 374. | nBu             | Cl                               | OCF <sub>2</sub> CF <sub>3</sub> |
| 375. | nBu             | Cl                               | Ph                               |
| 376. | nBu             | Cl                               | N <sub>3</sub>                   |
| 377. | nBu             | H                                | I                                |
| 378. | nBu             | H                                | CH <sub>3</sub>                  |

|      |     |                 |                                  |
|------|-----|-----------------|----------------------------------|
| 379. | nBu | H               | Bu                               |
| 380. | nBu | H               | OCH <sub>3</sub>                 |
| 381. | nBu | H               | OBu                              |
| 382. | nBu | H               | SCH <sub>3</sub>                 |
| 383. | nBu | H               | SBu                              |
| 384. | nBu | H               | NHCH <sub>3</sub>                |
| 385. | nBu | H               | NHBu                             |
| 386. | nBu | H               | N(CH <sub>3</sub> ) <sub>2</sub> |
| 387. | nBu | H               | NBu <sub>2</sub>                 |
| 388. | nBu | H               | NCH <sub>3</sub> Bu              |
| 389. | nBu | H               | NEt <sub>2</sub>                 |
| 390. | nBu | H               | NEtBu                            |
| 391. | nBu | H               | CF <sub>3</sub>                  |
| 392. | nBu | H               | OPh                              |
| 393. | nBu | H               | CH <sub>2</sub> OCH <sub>3</sub> |
| 394. | nBu | H               | OCF <sub>3</sub>                 |
| 395. | nBu | H               | OCF <sub>2</sub> CF <sub>3</sub> |
| 396. | nBu | H               | Ph                               |
| 397. | nBu | H               | N <sub>3</sub>                   |
| 398. | nBu | CH <sub>3</sub> | CH <sub>3</sub>                  |
| 399. | nBu | CH <sub>3</sub> | Bu                               |
| 400. | nBu | CH <sub>3</sub> | OCH <sub>3</sub>                 |
| 401. | nBu | CH <sub>3</sub> | OBu                              |
| 402. | nBu | CH <sub>3</sub> | SCH <sub>3</sub>                 |
| 403. | nBu | CH <sub>3</sub> | SBu                              |
| 404. | nBu | CH <sub>3</sub> | NHCH <sub>3</sub>                |
| 405. | nBu | CH <sub>3</sub> | NHBu                             |
| 406. | nBu | CH <sub>3</sub> | N(CH <sub>3</sub> ) <sub>2</sub> |
| 407. | nBu | CH <sub>3</sub> | NBu <sub>2</sub>                 |
| 408. | nBu | CH <sub>3</sub> | NCH <sub>3</sub> Bu              |
| 409. | nBu | CH <sub>3</sub> | NEt <sub>2</sub>                 |
| 410. | nBu | CH <sub>3</sub> | NEtBu                            |
| 411. | nBu | CH <sub>3</sub> | CF <sub>3</sub>                  |
| 412. | nBu | CH <sub>3</sub> | OPh                              |
| 413. | nBu | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> |
| 414. | nBu | CH <sub>3</sub> | OCF <sub>3</sub>                 |
| 415. | nBu | CH <sub>3</sub> | OCF <sub>2</sub> CF <sub>3</sub> |
| 416. | nBu | CH <sub>3</sub> | Ph                               |
| 417. | nBu | CH <sub>3</sub> | N <sub>3</sub>                   |
| 418. | nBu | nBu             | CH <sub>3</sub>                  |
| 419. | nBu | nBu             | Bu                               |
| 420. | nBu | nBu             | OCH <sub>3</sub>                 |
| 421. | nBu | nBu             | OBu                              |
| 422. | nBu | nBu             | SCH <sub>3</sub>                 |
| 423. | nBu | nBu             | SBu                              |
| 424. | nBu | nBu             | NHCH <sub>3</sub>                |
| 425. | nBu | nBu             | NHBu                             |

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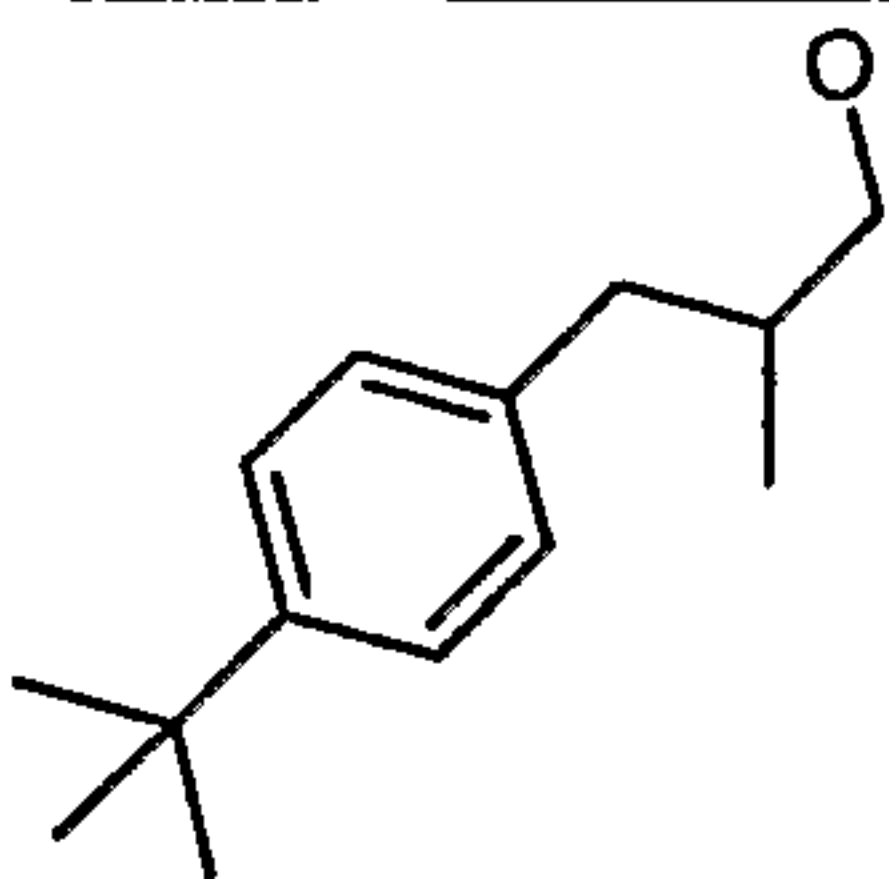
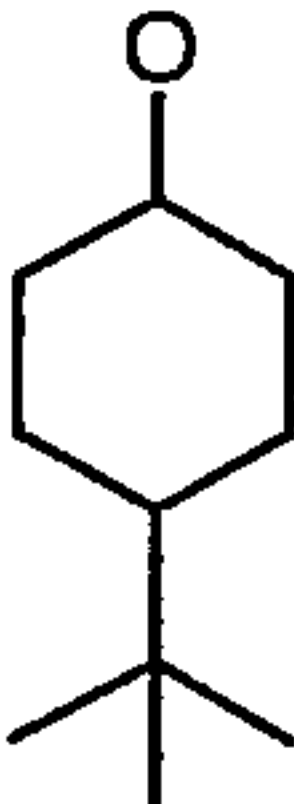
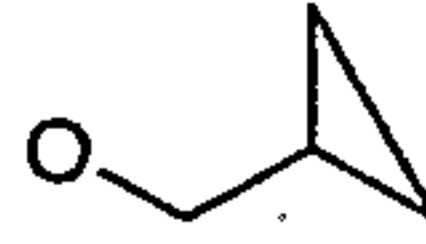
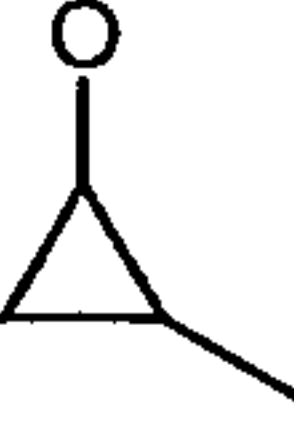
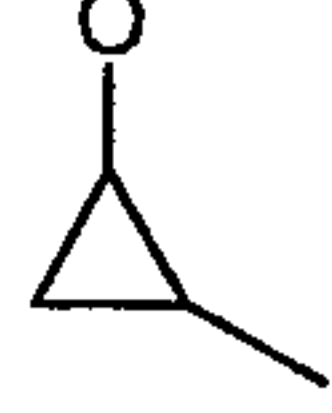
|      |     |                                  |                                  |
|------|-----|----------------------------------|----------------------------------|
| 426. | nBu | nBu                              | N(CH <sub>3</sub> ) <sub>2</sub> |
| 427. | nBu | nBu                              | NBu <sub>2</sub>                 |
| 428. | nBu | nBu                              | NCH <sub>3</sub> Bu              |
| 429. | nBu | nBu                              | NEt <sub>2</sub>                 |
| 430. | nBu | nBu                              | NEtBu                            |
| 431. | nBu | nBu                              | CF <sub>3</sub>                  |
| 432. | nBu | nBu                              | OPh                              |
| 433. | nBu | nBu                              | CH <sub>2</sub> OCH <sub>3</sub> |
| 434. | nBu | nBu                              | OCF <sub>3</sub>                 |
| 435. | nBu | nBu                              | OCF <sub>2</sub> CF <sub>3</sub> |
| 436. | nBu | nBu                              | Ph                               |
| 437. | nBu | nBu                              | N <sub>3</sub>                   |
| 438. | nBu | I                                | H                                |
| 439. | nBu | CH <sub>3</sub>                  | H                                |
| 440. | nBu | Bu                               | H                                |
| 441. | nBu | OCH <sub>3</sub>                 | H                                |
| 442. | nBu | OBu                              | H                                |
| 443. | nBu | SCH <sub>3</sub>                 | H                                |
| 444. | nBu | SBu                              | H                                |
| 445. | nBu | NHCH <sub>3</sub>                | H                                |
| 446. | nBu | NHBu                             | H                                |
| 447. | nBu | N(CH <sub>3</sub> ) <sub>2</sub> | H                                |
| 448. | nBu | NBu <sub>2</sub>                 | H                                |
| 449. | nBu | NCH <sub>3</sub> Bu              | H                                |
| 450. | nBu | NEt <sub>2</sub>                 | H                                |
| 451. | nBu | NEtBu                            | H                                |
| 452. | nBu | CF <sub>3</sub>                  | H                                |
| 453. | nBu | OPh                              | H                                |
| 454. | nBu | CH <sub>2</sub> OCH <sub>3</sub> | H                                |
| 455. | nBu | OCF <sub>3</sub>                 | H                                |
| 456. | nBu | OCF <sub>2</sub> CF <sub>3</sub> | H                                |
| 457. | nBu | Ph                               | H                                |
| 458. | nBu | N <sub>3</sub>                   | H                                |
| 459. | nBu | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 460. | nBu | Bu                               | CH <sub>3</sub>                  |
| 461. | nBu | OCH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 462. | nBu | OBu                              | CH <sub>3</sub>                  |
| 463. | nBu | SCH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 464. | nBu | SBu                              | CH <sub>3</sub>                  |
| 465. | nBu | NHCH <sub>3</sub>                | CH <sub>3</sub>                  |
| 466. | nBu | NHBu                             | CH <sub>3</sub>                  |
| 467. | nBu | N(CH <sub>3</sub> ) <sub>2</sub> | CH <sub>3</sub>                  |
| 468. | nBu | NBu <sub>2</sub>                 | CH <sub>3</sub>                  |
| 469. | nBu | NCH <sub>3</sub> Bu              | CH <sub>3</sub>                  |
| 470. | nBu | NEt <sub>2</sub>                 | CH <sub>3</sub>                  |
| 471. | nBu | NEtBu                            | CH <sub>3</sub>                  |
| 472. | nBu | CF <sub>3</sub>                  | CH <sub>3</sub>                  |

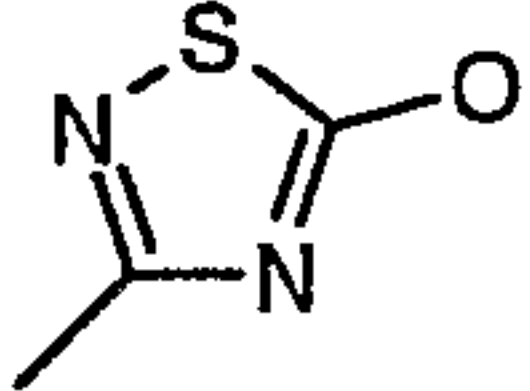
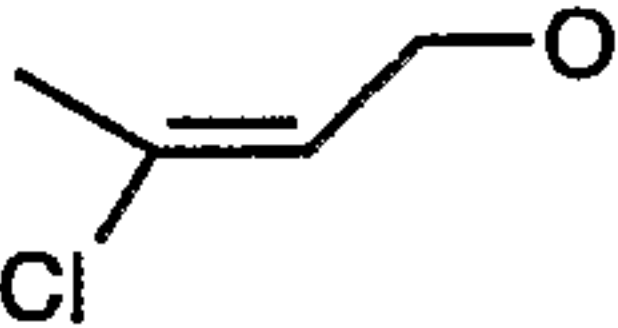
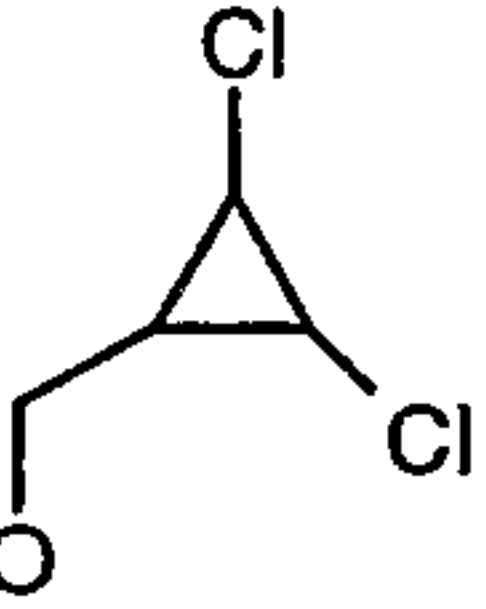
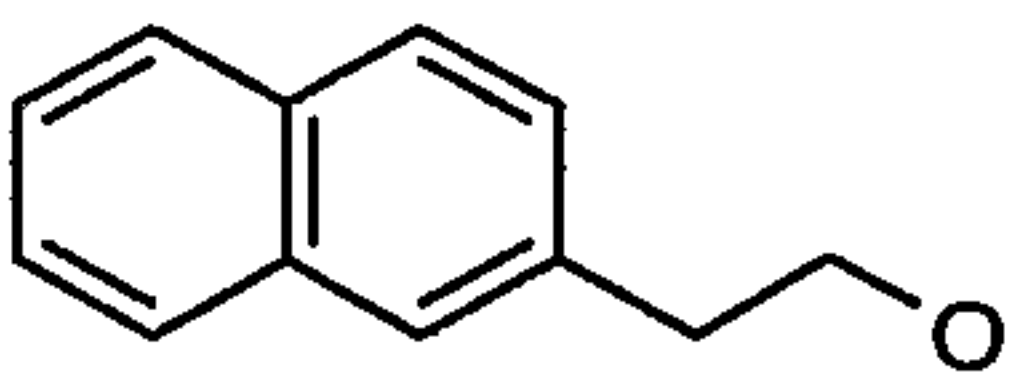
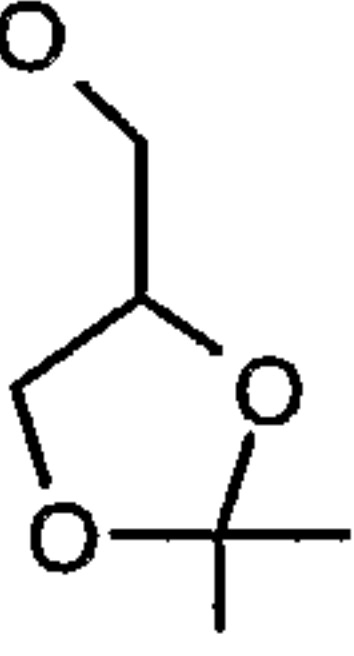
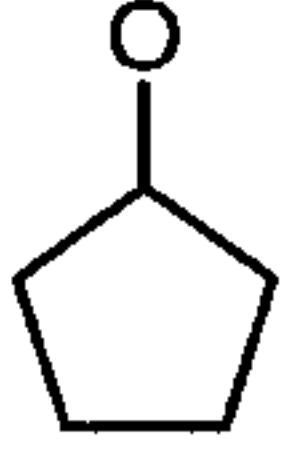
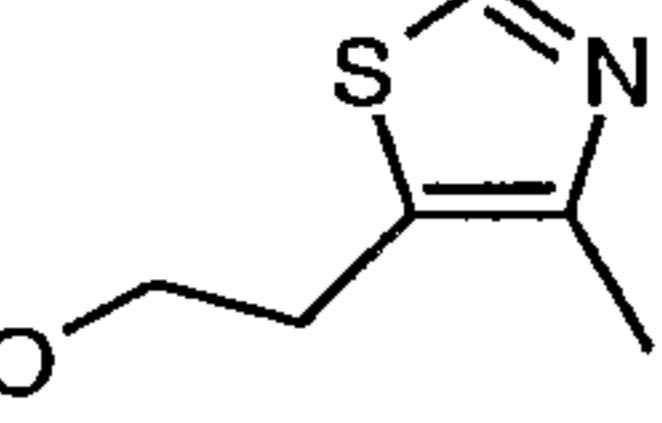
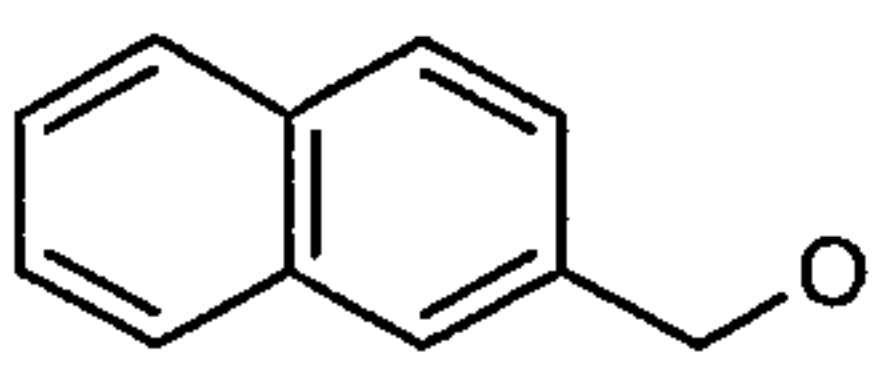
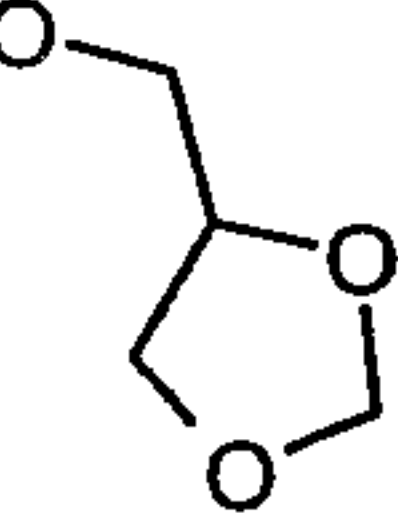
|      |     |                                  |                                  |
|------|-----|----------------------------------|----------------------------------|
| 473. | nBu | OPh                              | CH <sub>3</sub>                  |
| 474. | nBu | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub>                  |
| 475. | nBu | OCF <sub>3</sub>                 | CH <sub>3</sub>                  |
| 476. | nBu | OCF <sub>2</sub> CF <sub>3</sub> | CH <sub>3</sub>                  |
| 477. | nBu | Ph                               | CH <sub>3</sub>                  |
| 478. | nBu | N <sub>3</sub>                   | CH <sub>3</sub>                  |
| 479. | nBu | CH <sub>3</sub>                  | nBu                              |
| 480. | nBu | nBu                              | nBu                              |
| 481. | nBu | OCH <sub>3</sub>                 | nBu                              |
| 482. | nBu | OBu                              | nBu                              |
| 483. | nBu | SCH <sub>3</sub>                 | nBu                              |
| 484. | nBu | SBu                              | nBu                              |
| 485. | nBu | NHCH <sub>3</sub>                | nBu                              |
| 486. | nBu | NHBu                             | nBu                              |
| 487. | nBu | N(CH <sub>3</sub> ) <sub>2</sub> | nBu                              |
| 488. | nBu | NBu <sub>2</sub>                 | nBu                              |
| 489. | nBu | NCH <sub>3</sub> Bu              | nBu                              |
| 490. | nBu | NEt <sub>2</sub>                 | nBu                              |
| 491. | nBu | NEtBu                            | nBu                              |
| 492. | nBu | CF <sub>3</sub>                  | nBu                              |
| 493. | nBu | OPh                              | nBu                              |
| 494. | nBu | CH <sub>2</sub> OCH <sub>3</sub> | nBu                              |
| 495. | nBu | OCF <sub>3</sub>                 | nBu                              |
| 496. | nBu | OCF <sub>2</sub> CF <sub>3</sub> | nBu                              |
| 497. | nBu | Ph                               | nBu                              |
| 498. | nBu | N <sub>3</sub>                   | nBu                              |
| 499. | nBu | NHCH <sub>3</sub>                | Cl                               |
| 500. | nBu | NHBu                             | Cl                               |
| 501. | nBu | N(CH <sub>3</sub> ) <sub>2</sub> | Cl                               |
| 502. | nBu | NBu <sub>2</sub>                 | Cl                               |
| 503. | nBu | NCH <sub>3</sub> Bu              | Cl                               |
| 504. | nBu | NEt <sub>2</sub>                 | Cl                               |
| 505. | nBu | NEtBu                            | Cl                               |
| 506. | nBu | SCH <sub>3</sub>                 | Cl                               |
| 507. | nBu | SBu                              | Cl                               |
| 508. | nBu | OCH <sub>3</sub>                 | Cl                               |
| 509. | nBu | OBu                              | Cl                               |
| 510. | nBu | CF <sub>3</sub>                  | Cl                               |
| 511. | nBu | OPh                              | Cl                               |
| 512. | nBu | CH <sub>2</sub> OCH <sub>3</sub> | Cl                               |
| 513. | nBu | OCF <sub>3</sub>                 | Cl                               |
| 514. | nBu | OCF <sub>2</sub> CF <sub>3</sub> | Cl                               |
| 515. | nBu | Ph                               | Cl                               |
| 516. | nBu | N <sub>3</sub>                   | Cl                               |
| 517. | nBu | NHCH <sub>3</sub>                | NHCH <sub>3</sub>                |
| 518. | nBu | NHBu                             | NHBu                             |
| 519. | nBu | N(CH <sub>3</sub> ) <sub>2</sub> | N(CH <sub>3</sub> ) <sub>2</sub> |

|      |                                  |                                  |                                  |
|------|----------------------------------|----------------------------------|----------------------------------|
| 520. | nBu                              | NBu <sub>2</sub>                 | NBu <sub>2</sub>                 |
| 521. | nBu                              | NCH <sub>3</sub> Bu              | NCH <sub>3</sub> Bu              |
| 522. | nBu                              | NEt <sub>2</sub>                 | NEt <sub>2</sub>                 |
| 523. | nBu                              | NEtBu                            | NEtBu                            |
| 524. | nBu                              | SCH <sub>3</sub>                 | SCH <sub>3</sub>                 |
| 525. | nBu                              | SBu                              | SBu                              |
| 526. | nBu                              | OCH <sub>3</sub>                 | OCH <sub>3</sub>                 |
| 527. | nBu                              | OBu                              | OBu                              |
| 528. | nBu                              | CF <sub>3</sub>                  | CF <sub>3</sub>                  |
| 529. | nBu                              | OPh                              | OPh                              |
| 530. | nBu                              | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> |
| 531. | nBu                              | OCF <sub>3</sub>                 | OCF <sub>3</sub>                 |
| 532. | nBu                              | OCF <sub>2</sub> CF <sub>3</sub> | OCF <sub>2</sub> CF <sub>3</sub> |
| 533. | nBu                              | Ph                               | Ph                               |
| 534. | nBu                              | N <sub>3</sub>                   | N <sub>3</sub>                   |
| 535. | Ph                               | H                                | H                                |
| 536. | Ph                               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 537. | Ph                               | CH <sub>3</sub>                  | H                                |
| 538. | Ph                               | H                                | CH <sub>3</sub>                  |
| 539. | CH <sub>2</sub> OCH <sub>3</sub> | H                                | H                                |
| 540. | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 541. | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub>                  | H                                |
| 542. | CH <sub>2</sub> OCH <sub>3</sub> | H                                | CH <sub>3</sub>                  |
| 543. | CF <sub>3</sub>                  | H                                | H                                |
| 544. | CF <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 545. | CF <sub>3</sub>                  | CH <sub>3</sub>                  | H                                |
| 546. | CF <sub>3</sub>                  | H                                | CH <sub>3</sub>                  |
| 547. | OH                               | H                                | H                                |
| 548. | OH                               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 549. | OH                               | CH <sub>3</sub>                  | H                                |
| 550. | OH                               | H                                | CH <sub>3</sub>                  |
| 551. | OH                               | CHO                              | H                                |
| 552. | OH                               | CHO                              | CH <sub>3</sub>                  |
| 553. | OH                               | H                                | CF <sub>3</sub>                  |
| 554. | OH                               | CF <sub>3</sub>                  | H                                |
| 555. | OH                               | CF <sub>3</sub>                  | CF <sub>3</sub>                  |
| 556. | OH                               | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub>                  |
| 557. | OH                               | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> |
| 558. | OH                               | CH <sub>2</sub> OCH <sub>3</sub> | H                                |
| 559. | OH                               | H                                | CH <sub>2</sub> OCH <sub>3</sub> |
| 560. | H                                | CHO                              | H                                |
| 561. | CH <sub>3</sub>                  | CHO                              | H                                |
| 562. | CF <sub>3</sub>                  | CHO                              | H                                |
| 563. | CH <sub>2</sub> OCH <sub>3</sub> | CHO                              | H                                |
| 564. | nBu                              | CHO                              | H                                |
| 565. | H                                | CHO                              | CH <sub>3</sub>                  |
| 566. | CH <sub>3</sub>                  | CHO                              | CH <sub>3</sub>                  |

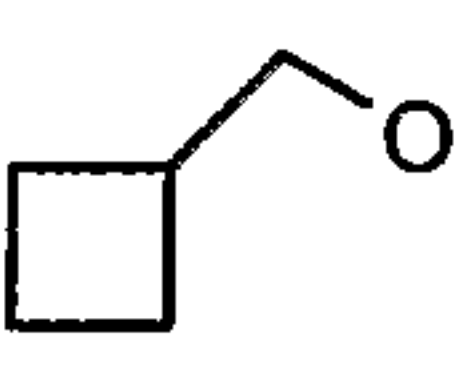
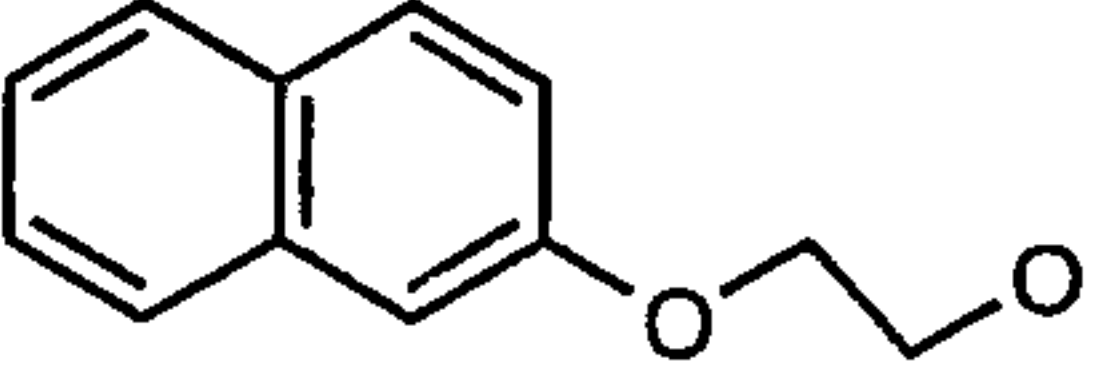
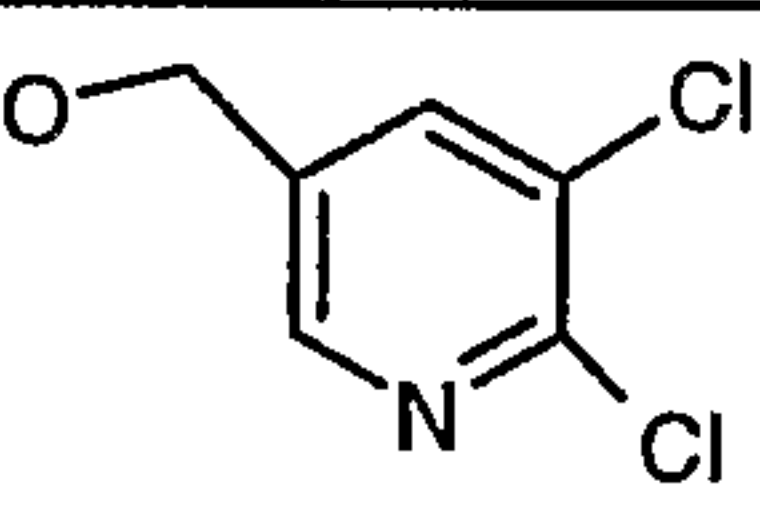
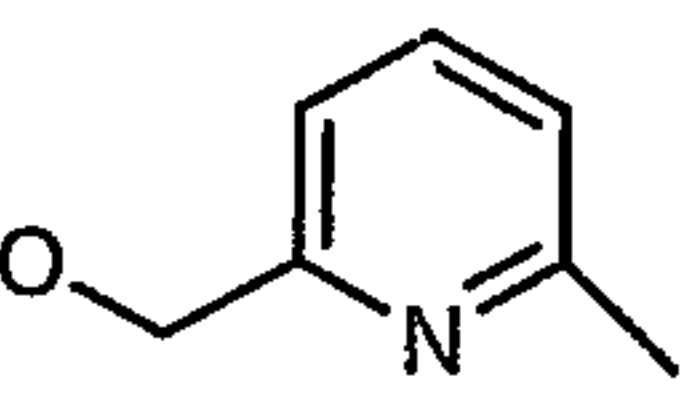
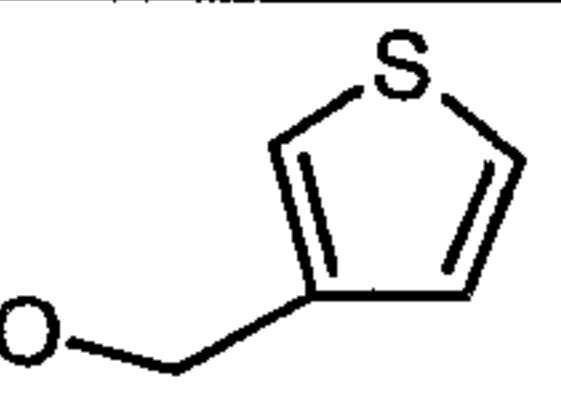
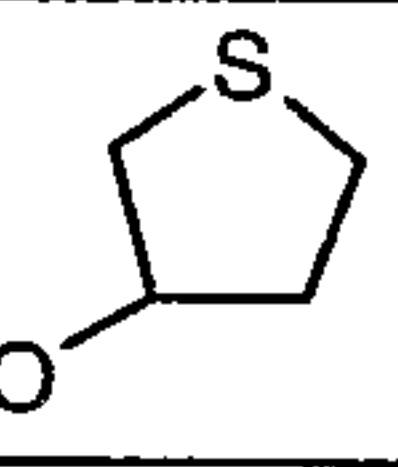
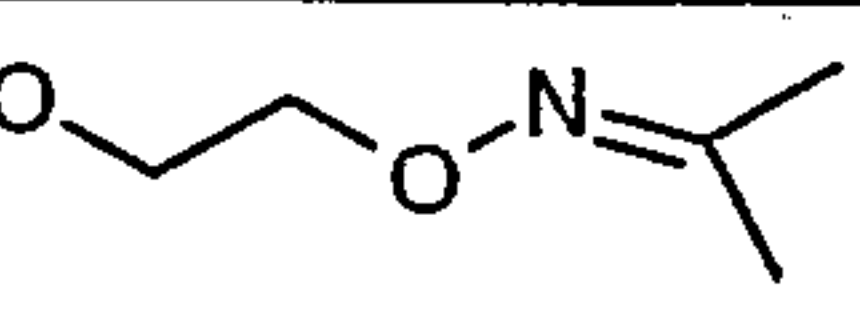
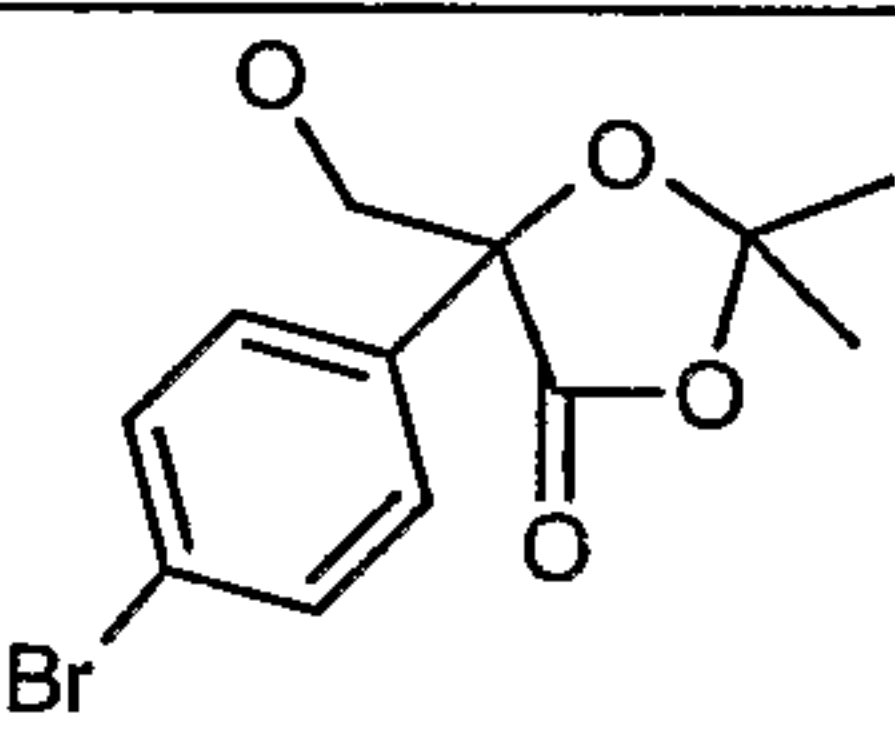
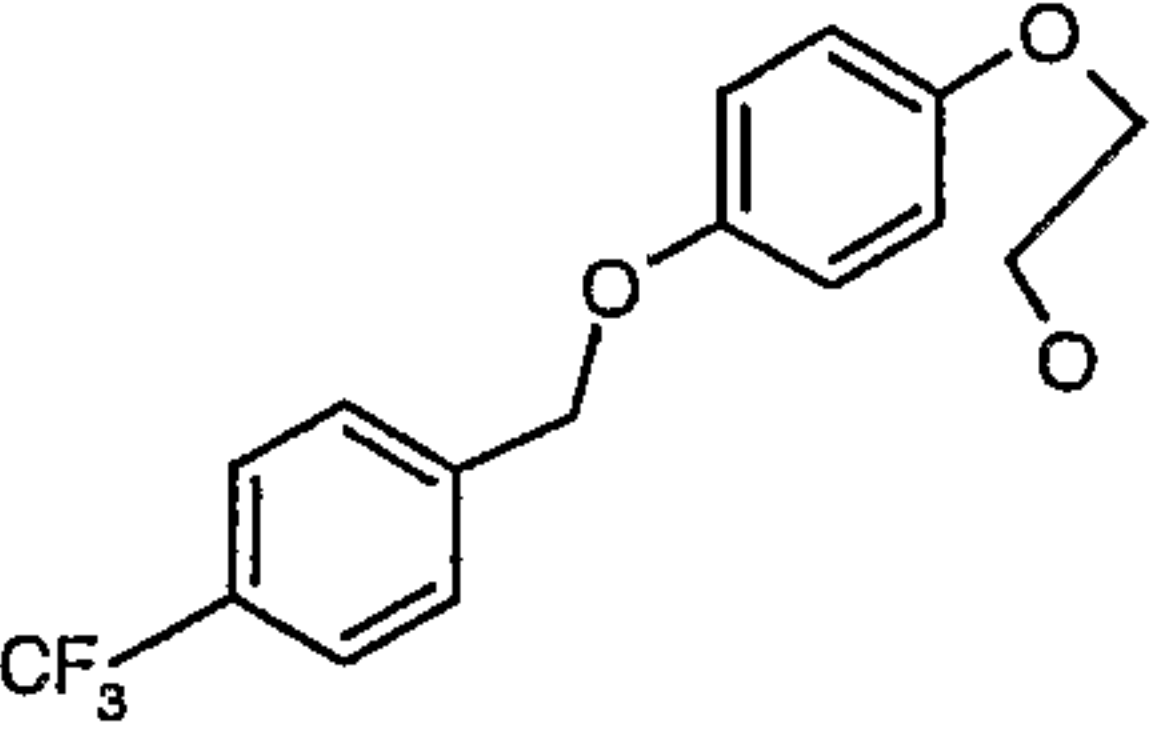
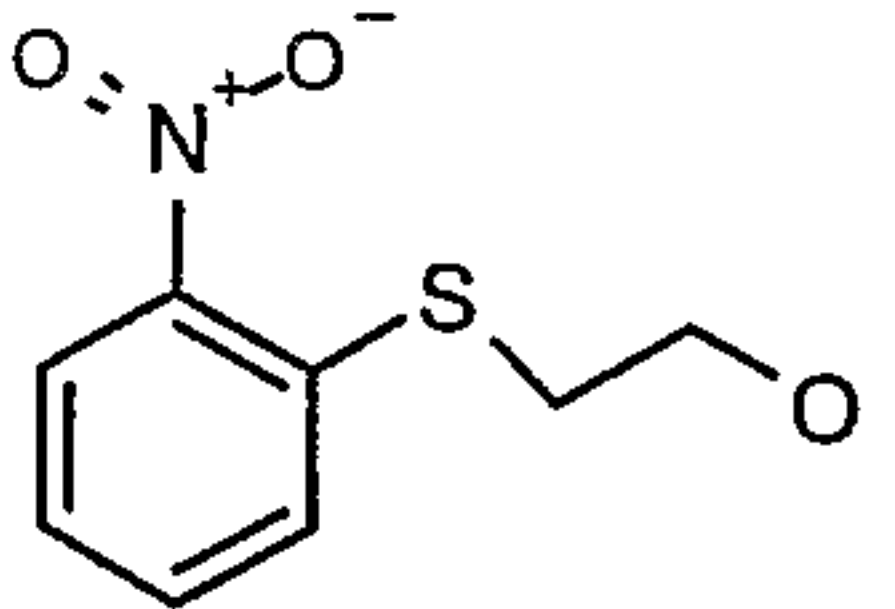
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|------|----------------------------------|----------------------------------|----------------------------------|
| 567. | CF <sub>3</sub>                  | CHO                              | CH <sub>3</sub>                  |
| 568. | CH <sub>2</sub> OCH <sub>3</sub> | CHO                              | CH <sub>3</sub>                  |
| 569. | nBu                              | CHO                              | CH <sub>3</sub>                  |
| 570. | H                                | H                                | CHO                              |
| 571. | CH <sub>3</sub>                  | H                                | CHO                              |
| 572. | CF <sub>3</sub>                  | H                                | CHO                              |
| 573. | CH <sub>2</sub> OCH <sub>3</sub> | H                                | CHO                              |
| 574. | nBu                              | H                                | CHO                              |
| 575. | H                                | CH <sub>3</sub>                  | CHO                              |
| 576. | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CHO                              |
| 577. | CF <sub>3</sub>                  | CH <sub>3</sub>                  | CHO                              |
| 578. | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub>                  | CHO                              |
| 579. | nBu                              | CH <sub>3</sub>                  | CHO                              |
| 580. | H                                | Cl                               | CH <sub>3</sub>                  |
| 581. | H                                | CH <sub>3</sub>                  | Cl                               |
| 582. | H                                | CF <sub>3</sub>                  | Cl                               |
| 583. | H                                | Cl                               | CF <sub>3</sub>                  |
| 584. | CH <sub>3</sub>                  | Cl                               | CH <sub>3</sub>                  |
| 585. | CH <sub>3</sub>                  | CH <sub>3</sub>                  | Cl                               |
| 586. | CH <sub>3</sub>                  | CF <sub>3</sub>                  | Cl                               |
| 587. | CH <sub>3</sub>                  | Cl                               | CF <sub>3</sub>                  |
| 588. | CF <sub>3</sub>                  | Cl                               | CH <sub>3</sub>                  |
| 589. | CF <sub>3</sub>                  | CH <sub>3</sub>                  | Cl                               |
| 590. | CF <sub>3</sub>                  | CF <sub>3</sub>                  | Cl                               |
| 591. | CF <sub>3</sub>                  | Cl                               | CF <sub>3</sub>                  |
| 592. | OCH <sub>3</sub>                 | H                                | H                                |
| 593. | OCH <sub>3</sub>                 | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 594. | OCH <sub>3</sub>                 | CH <sub>3</sub>                  | H                                |
| 595. | OCH <sub>3</sub>                 | H                                | CH <sub>3</sub>                  |
| 596. | OCH <sub>3</sub>                 | CHO                              | H                                |
| 597. | OCH <sub>3</sub>                 | CHO                              | CH <sub>3</sub>                  |
| 598. | OCH <sub>3</sub>                 | H                                | CF <sub>3</sub>                  |
| 599. | OCH <sub>3</sub>                 | CF <sub>3</sub>                  | H                                |
| 600. | OCH <sub>3</sub>                 | CF <sub>3</sub>                  | CF <sub>3</sub>                  |
| 601. | OCH <sub>3</sub>                 | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub>                  |
| 602. | OCH <sub>3</sub>                 | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> |
| 603. | OCH <sub>3</sub>                 | CH <sub>2</sub> OCH <sub>3</sub> | H                                |
| 604. | OCH <sub>3</sub>                 | H                                | CH <sub>2</sub> OCH <sub>3</sub> |
| 605. | H                                | Cl                               | OCH <sub>2</sub> CH <sub>3</sub> |
| 606. | H                                | Cl                               | SCH <sub>2</sub> CH <sub>3</sub> |
| 607. | H                                | Cl                               | Morpholin                        |
| 608. | H                                | Cl                               | Pyperidin                        |
| 609. | H                                | Pyperidin                        | Pyperidin                        |

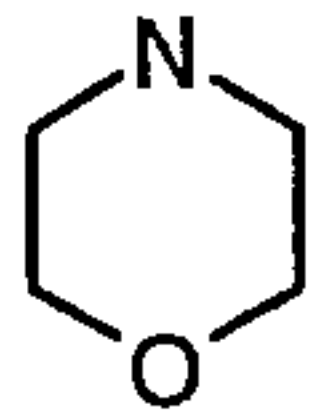
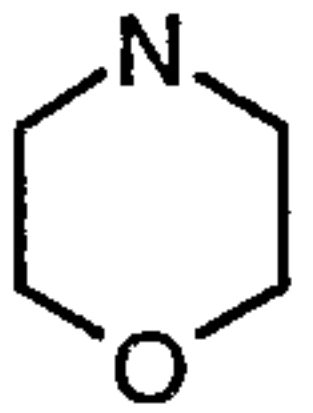
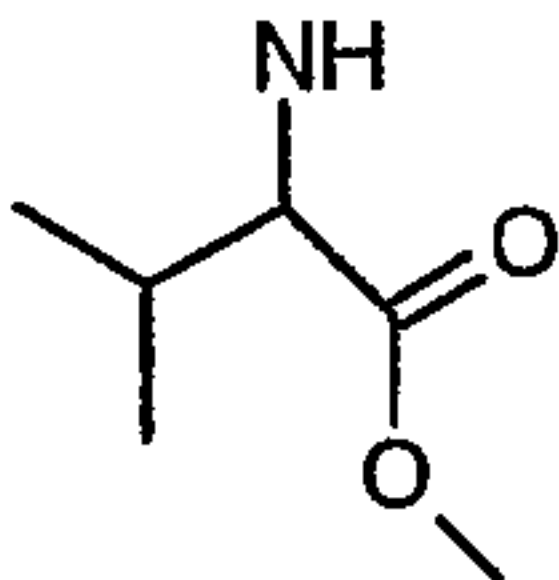
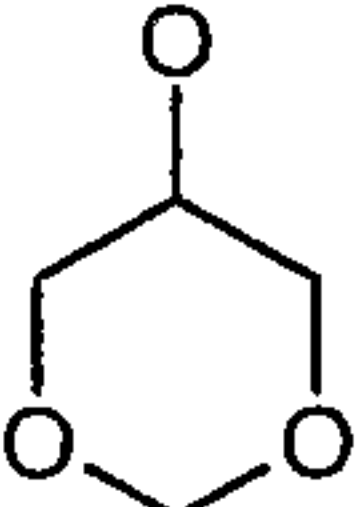
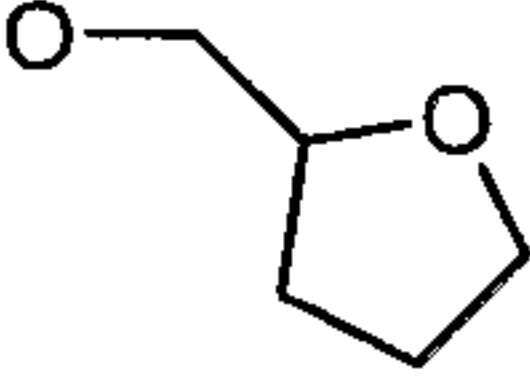
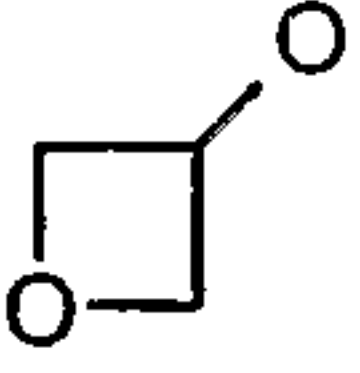
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|      |   |                                                                                                           |                                                                                       |
|------|---|-----------------------------------------------------------------------------------------------------------|---------------------------------------------------------------------------------------|
| 610. | H |                         | Cl                                                                                    |
| 611. | H |                          | Cl                                                                                    |
| 612. | H | $\text{CH}_3(\text{CH}_2)_{13}\text{O}$                                                                   | Cl                                                                                    |
| 613. | H | $\text{OCH}_2\text{Ph-3-Cl}$                                                                              | Cl                                                                                    |
| 614. | H | Cl                                                                                                        | $\text{OCH}_2\text{Ph-3-Cl}$                                                          |
| 615. | H | $\text{O}(\text{CH}_2)_2 \text{CECH}$                                                                     | Cl                                                                                    |
| 616. | H | $\text{OCH}(\text{CH}_3)=\text{CH}_2$                                                                     | Cl                                                                                    |
| 617. | H | $\text{O}(\text{CH}_2)_2 \text{CH}=\text{CH}_2$                                                           | Cl                                                                                    |
| 618. | H | Cl                                                                                                        | $\text{O}(\text{CH}_2)_2 \text{CH}=\text{CH}_2$                                       |
| 619. | H |                        | Cl                                                                                    |
| 620. | H | $\text{O}(\text{CH}_2)_2\text{Ph-4-CN}$                                                                   | Cl                                                                                    |
| 621. | H | Cl                                                                                                        | $\text{O}(\text{CH}_2)_2\text{Ph-4-CN}$                                               |
| 622. | H | $\text{OCH}_2\text{CH}_2\text{CH}_3$                                                                      | Cl                                                                                    |
| 623. | H | $\text{O}(\text{CH}_2)_2\text{O}(\text{CH}_2)_2\text{OCH}_3$                                              | Cl                                                                                    |
| 624. | H | $\text{CH}_3\text{CH}_2\text{CH}(\text{CH}_3)\text{O}$<br>$\text{OCH}(\text{CH}_3)\text{CH}_2\text{CH}_3$ | Cl                                                                                    |
| 625. | H | $\text{OCH}_2 \text{CH}=\text{CH}_2$                                                                      | Cl                                                                                    |
| 626. | H | Cl                                                                                                        | $\text{OCH}_2 \text{CH}=\text{CH}_2$                                                  |
| 627. | H | Cl                                                                                                        | $\text{OCH}_2 \text{CECH}$                                                            |
| 628. | H | $\text{O}(\text{CH}_2)_2 \text{CECCH}_3$                                                                  | Cl                                                                                    |
| 629. | H | $\text{OCH}_2 \text{CECCH}_3$                                                                             | Cl                                                                                    |
| 630. | H | Cl                                                                                                        | $\text{OCH}_2 \text{CECCH}_3$                                                         |
| 631. | H | $\text{OCH}(\text{CH}_3)\text{-cycloprop.}$                                                               | Cl                                                                                    |
| 632. | H | $\text{OCH}_2\text{C}(\text{CH}_3)=\text{CH}_2$                                                           | Cl                                                                                    |
| 633. | H | Cl                                                                                                        | $\text{OCH}_2\text{C}(\text{CH}_3)=\text{CH}_2$                                       |
| 634. | H |                        | Cl                                                                                    |
| 635. | H | Cl                                                                                                        |  |
| 636. | H | $\text{O}(\text{CH}_2)_2\text{OPh-2-Cl}$                                                                  | Cl                                                                                    |
| 637. | H | $\text{O-Cl, m-ClPhCH}(\text{CH}_3)\text{O}$                                                              | Cl                                                                                    |
| 638. | H | $\text{O}(\text{CH}_2)_2\text{SCH}_2\text{Ph-4-Cl}$                                                       | Cl                                                                                    |
| 639. | H | $\text{O}(\text{CH}_2)_2\text{Ph-2-Cl}$                                                                   | Cl                                                                                    |
| 640. | H | $\text{O}(\text{CH}_2)_2\text{Ph-3-CF}_3$                                                                 | Cl                                                                                    |

|      |   |                                                                                                           |    |
|------|---|-----------------------------------------------------------------------------------------------------------|----|
| 641. | H | $\text{O}(\text{CH}_2)_2\text{Ph-4-CH}_3$                                                                 | Cl |
| 642. | H |                          | Cl |
| 643. | H |                          | Cl |
| 644. | H | $\text{O}(\text{CH}_2)_2\text{CF}_3$                                                                      | Cl |
| 645. | H |                          | Cl |
| 646. | H | $\text{O}(\text{CH}_2)_{11}\text{C}(\text{O})\text{OCH}_3$                                                | Cl |
| 647. | H |                       | Cl |
| 648. | H | $\text{O}(\text{CH}_2)_2\text{SCH}_3$                                                                     | Cl |
| 649. | H | $\text{O}(\text{CH}_2)_7\text{CH}_3$                                                                      | Cl |
| 650. | H | $\text{OCH}_2\text{Ph-3-OCH}_3$                                                                           | Cl |
| 651. | H |                        | Cl |
| 652. | H | $\text{OC}_{12}\text{H}_{24}$                                                                             | Cl |
| 653. | H | $\text{O}(\text{CH}_2)_2\text{O}(\text{CH}_2)_5\text{CH}_3$                                               | Cl |
| 654. | H | $\text{O C}_{10}\text{H}_{18}$                                                                            | Cl |
| 655. | H |                        | Cl |
| 656. | H | $\text{O}(\text{CH}_2)_2\text{SCH}_2\text{CH}_3$                                                          | Cl |
| 657. | H |                        | Cl |
| 658. | H | $\text{OCH}_2\text{CH}=\text{CH}(\text{CH}_2)_2\text{CH}_3$                                               | Cl |
| 659. | H | $\text{O}(\text{CH}_2)_2\text{Ph-3,4-(OCH}_3)_2$                                                          | Cl |
| 660. | H | $\text{O}(\text{CH}_2)_2\text{Ph-4-Cl}$                                                                   | Cl |
| 661. | H | $\text{CF}_3(\text{CF}_2)_5\text{CH}_2\text{O}$                                                           | Cl |
| 662. | H |                       | Cl |
| 663. | H | $\text{OCH}_2\text{Ph-2-I}$                                                                               | Cl |
| 664. | H | $\text{CH}_3(\text{CH}_2)_2\text{O}(\text{CH}_2)_2\text{O}(\text{CH}_2)_2\text{O}(\text{CH}_2)_2\text{O}$ | Cl |
| 665. | H |                        | Cl |

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|      |   |                                                                                      |                                    |
|------|---|--------------------------------------------------------------------------------------|------------------------------------|
| 666. | H | $\text{O}(\text{CH}_2)_3\text{-4-(C}_5\text{H}_4\text{N)}$                           | Cl                                 |
| 667. | H |     | Cl                                 |
| 668. | H |    | Cl                                 |
| 669. | H | $\text{O}(\text{CH}_2)_{11}\text{Br}$                                                | Cl                                 |
| 670. | H | $\text{O}(\text{CH}_2)_2\text{S Ph}$                                                 | Cl                                 |
| 671. | H |    | Cl                                 |
| 672. | H |    | Cl                                 |
| 673. | H | $\text{O}(\text{CH}_2)_6\text{Ph}$                                                   | Cl                                 |
| 674. | H |   | Cl                                 |
| 675. | H | $\text{O}(\text{CH}_2)_9\text{CH=CH}_2$                                              | Cl                                 |
| 676. | H |   | Cl                                 |
| 677. | H |  | Cl                                 |
| 678. | H | $\text{OCH}_2\text{Ph-3-CF}_3$                                                       | Cl                                 |
| 679. | H | $\text{OCH}_2\text{-3-(C}_5\text{H}_4\text{N)}$                                      | Cl                                 |
| 680. | H | $\text{OCH}_2\text{Si}(\text{CH}_3)_3$                                               | Cl                                 |
| 681. | H | $\text{O}(\text{CH}_2)_4\text{Cl}$                                                   | Cl                                 |
| 682. | H |  | Cl                                 |
| 683. | H |  | Cl                                 |
| 684. | H |  | Cl                                 |
| 685. | H | SEt                                                                                  | SEt                                |
| 686. | H | Cl                                                                                   | OiPr                               |
| 687. | H | Cl                                                                                   | NH <sub>2</sub>                    |
| 688. | H | Cl                                                                                   | N(CH <sub>3</sub> )NH <sub>2</sub> |

|      |   |                                                                                     |                                                                                      |
|------|---|-------------------------------------------------------------------------------------|--------------------------------------------------------------------------------------|
| 689. | H |    |   |
| 690. | H | Cl                                                                                  | NHPr                                                                                 |
| 691. | H | Cl                                                                                  | NHPh                                                                                 |
| 692. | H | Cl                                                                                  | NHCH(CH <sub>2</sub> ) <sub>2</sub>                                                  |
| 693. | H | NHPr                                                                                | Cl                                                                                   |
| 694. | H | NHCH(CH <sub>2</sub> ) <sub>2</sub>                                                 | Cl                                                                                   |
| 695. | H | Cl                                                                                  | NH C <sub>5</sub> H <sub>9</sub>                                                     |
| 696. | H | NH C <sub>5</sub> H <sub>9</sub>                                                    | Cl                                                                                   |
| 697. | H | Cl                                                                                  |  |
| 698. | H | Cl                                                                                  | N(CH <sub>3</sub> )(OCH <sub>3</sub> )                                               |
| 699. | H | Cl                                                                                  | NHCH <sub>2</sub> CECH                                                               |
| 700. | H | Cl                                                                                  | NHCH(CH <sub>3</sub> )CH <sub>2</sub> OCH <sub>3</sub>                               |
| 701. | H | Cl                                                                                  | NHEt                                                                                 |
| 702. | H | NHCH <sub>2</sub> CECH                                                              | Cl                                                                                   |
| 703. | H | NHEt                                                                                | Cl                                                                                   |
| 704. | H | Br                                                                                  | Br                                                                                   |
| 705. | H | iPr                                                                                 | Br                                                                                   |
| 706. | H | OBu                                                                                 | Ph                                                                                   |
| 707. | H | Ph-3,5-(CF <sub>3</sub> ) <sub>2</sub>                                              | Ph-3,5-(CF <sub>3</sub> ) <sub>2</sub>                                               |
| 708. | H | Ph-4-CH <sub>3</sub>                                                                | Ph-4-CH <sub>3</sub>                                                                 |
| 709. | H | OiPr                                                                                | Ph                                                                                   |
| 710. | H | OiPr                                                                                | Ph-4-CH <sub>3</sub>                                                                 |
| 711. | H | OiPr                                                                                | Ph-3,5-(CF <sub>3</sub> ) <sub>2</sub>                                               |
| 712. | H | OiPr                                                                                | Ph-4- Si (CH <sub>3</sub> ) <sub>3</sub>                                             |
| 713. | H | Ph-4- Si (CH <sub>3</sub> ) <sub>3</sub>                                            | Ph-4- Si (CH <sub>3</sub> ) <sub>3</sub>                                             |
| 714. | H | OCH <sub>2</sub> CECH                                                               | Cl                                                                                   |
| 715. | H | NHCH <sub>2</sub> Ph                                                                | Cl                                                                                   |
| 716. | H | Cl                                                                                  | NHCH <sub>2</sub> Ph                                                                 |
| 717. | H | NH <sub>2</sub>                                                                     | Cl                                                                                   |
| 718. | H |  | Cl                                                                                   |
| 719. | H |  | Cl                                                                                   |
| 720. | H |  | Cl                                                                                   |

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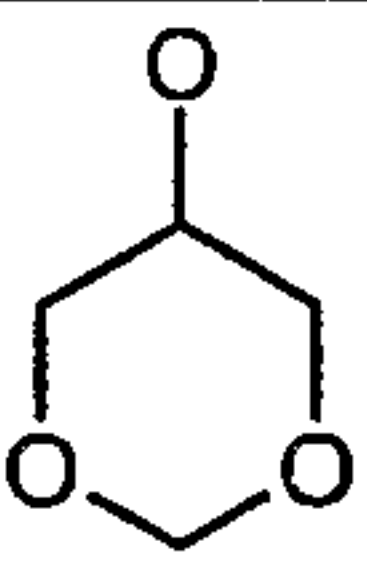
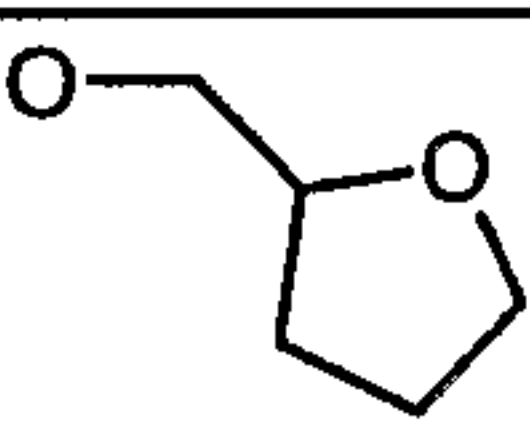
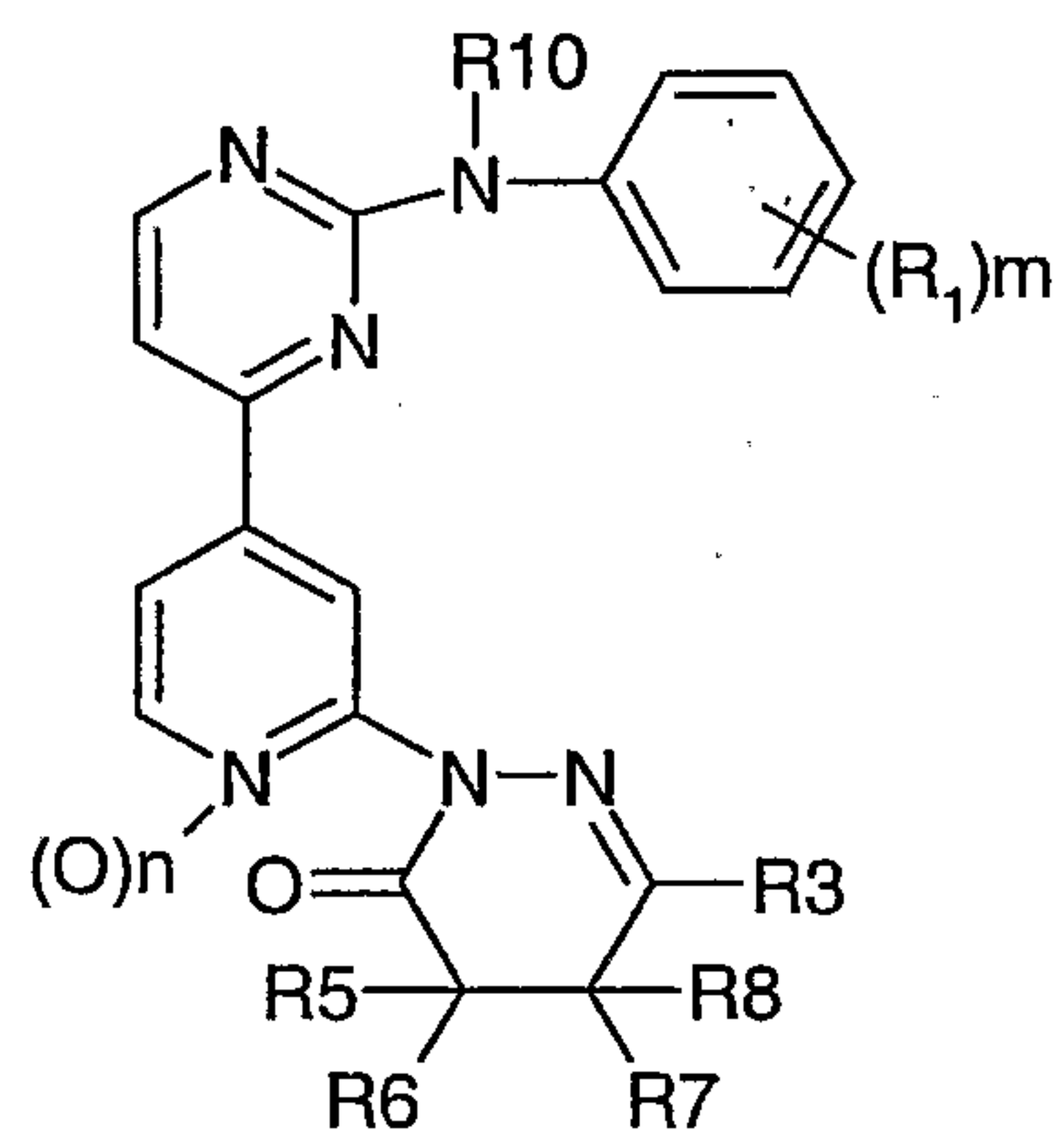
|      |   |                                                        |                                                                                     |
|------|---|--------------------------------------------------------|-------------------------------------------------------------------------------------|
| 721. | H | Cl                                                     |  |
| 722. | H | Cl                                                     |  |
| 723. | H | OiPr                                                   | Cl                                                                                  |
| 724. | H | OEt                                                    | Cl                                                                                  |
| 725. | H | NHCH(CH <sub>3</sub> )CH <sub>2</sub> OCH <sub>3</sub> | Cl                                                                                  |
| 726. | H | SCH <sub>2</sub> CH <sub>3</sub>                       | Cl                                                                                  |

Table B-7



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I.7

| N°  | R <sub>3</sub> | R <sub>5</sub>                   | R <sub>6</sub> | R <sub>7</sub>                   | R <sub>8</sub> |
|-----|----------------|----------------------------------|----------------|----------------------------------|----------------|
| 1.  | H              | H                                | H              | H                                | H              |
| 2.  | H              | CH <sub>3</sub>                  | H              | H                                | H              |
| 3.  | H              | nBu                              | H              | H                                | H              |
| 4.  | H              | CF <sub>3</sub>                  | H              | H                                | H              |
| 5.  | H              | CF <sub>2</sub> CF <sub>3</sub>  | H              | H                                | H              |
| 6.  | H              | CH <sub>2</sub> OCH <sub>3</sub> | H              | H                                | H              |
| 7.  | H              | OCH <sub>3</sub>                 | H              | H                                | H              |
| 8.  | H              | Ph                               | H              | H                                | H              |
| 9.  | H              | -CH <sub>2</sub> Ph              | H              | H                                | H              |
| 10. | H              | H                                | H              | CH <sub>3</sub>                  | H              |
| 11. | H              | H                                | H              | nBu                              | H              |
| 12. | H              | H                                | H              | CF <sub>3</sub>                  | H              |
| 13. | H              | H                                | H              | CF <sub>2</sub> CF <sub>3</sub>  | H              |
| 14. | H              | H                                | H              | CH <sub>2</sub> OCH <sub>3</sub> | H              |
| 15. | H              | H                                | H              | OCH <sub>3</sub>                 | H              |
| 16. | H              | H                                | H              | Ph                               | H              |

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|     |   |                                  |                 |                                  |                                  |
|-----|---|----------------------------------|-----------------|----------------------------------|----------------------------------|
| 17. | H | H                                | H               | -CH <sub>2</sub> Ph              | H                                |
| 18. | H | CH <sub>3</sub>                  | CH <sub>3</sub> | H                                | H                                |
| 19. | H | nBu                              | CH <sub>3</sub> | H                                | H                                |
| 20. | H | CF <sub>3</sub>                  | CH <sub>3</sub> | H                                | H                                |
| 21. | H | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | H                                | H                                |
| 22. | H | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | H                                | H                                |
| 23. | H | OCH <sub>3</sub>                 | CH <sub>3</sub> | H                                | H                                |
| 24. | H | Ph                               | CH <sub>3</sub> | H                                | H                                |
| 25. | H | -CH <sub>2</sub> Ph              | CH <sub>3</sub> | H                                | H                                |
| 26. | H | H                                | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 27. | H | H                                | H               | CH <sub>3</sub>                  | nBu                              |
| 28. | H | H                                | H               | CH <sub>3</sub>                  | CF <sub>3</sub>                  |
| 29. | H | H                                | H               | CH <sub>3</sub>                  | CF <sub>2</sub> CF <sub>3</sub>  |
| 30. | H | H                                | H               | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> |
| 31. | H | H                                | H               | CH <sub>3</sub>                  | OCH <sub>3</sub>                 |
| 32. | H | H                                | H               | CH <sub>3</sub>                  | Ph                               |
| 33. | H | H                                | H               | CH <sub>3</sub>                  | -CH <sub>2</sub> Ph              |
| 34. | H | CH <sub>3</sub>                  | H               | H                                | CH <sub>3</sub>                  |
| 35. | H | nBu                              | H               | H                                | CH <sub>3</sub>                  |
| 36. | H | CF <sub>3</sub>                  | H               | H                                | CH <sub>3</sub>                  |
| 37. | H | CF <sub>2</sub> CF <sub>3</sub>  | H               | H                                | CH <sub>3</sub>                  |
| 38. | H | CH <sub>2</sub> OCH <sub>3</sub> | H               | H                                | CH <sub>3</sub>                  |
| 39. | H | OCH <sub>3</sub>                 | H               | H                                | CH <sub>3</sub>                  |
| 40. | H | Ph                               | H               | H                                | CH <sub>3</sub>                  |
| 41. | H | -CH <sub>2</sub> Ph              | H               | H                                | CH <sub>3</sub>                  |
| 42. | H | H                                | CH <sub>3</sub> | nBu                              | H                                |
| 43. | H | H                                | CH <sub>3</sub> | CF <sub>3</sub>                  | H                                |
| 44. | H | H                                | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | H                                |
| 45. | H | H                                | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | H                                |
| 46. | H | H                                | CH <sub>3</sub> | OCH <sub>3</sub>                 | H                                |
| 47. | H | H                                | CH <sub>3</sub> | Ph                               | H                                |
| 48. | H | H                                | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | H                                |
| 49. | H | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 50. | H | nBu                              | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 51. | H | CF <sub>3</sub>                  | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 52. | H | CF <sub>2</sub> CF <sub>3</sub>  | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 53. | H | CH <sub>2</sub> OCH <sub>3</sub> | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 54. | H | OCH <sub>3</sub>                 | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 55. | H | Ph                               | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 56. | H | -CH <sub>2</sub> Ph              | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 57. | H | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                |
| 58. | H | CH <sub>3</sub>                  | CH <sub>3</sub> | nBu                              | H                                |
| 59. | H | CH <sub>3</sub>                  | CH <sub>3</sub> | CF <sub>3</sub>                  | H                                |
| 60. | H | CH <sub>3</sub>                  | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | H                                |
| 61. | H | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | H                                |
| 62. | H | CH <sub>3</sub>                  | CH <sub>3</sub> | OCH <sub>3</sub>                 | H                                |
| 63. | H | CH <sub>3</sub>                  | CH <sub>3</sub> | Ph                               | H                                |

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|      |   |                                  |                 |                                  |                                  |
|------|---|----------------------------------|-----------------|----------------------------------|----------------------------------|
| 64.  | H | CH <sub>3</sub>                  | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | H                                |
| 65.  | H | nBu                              | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                |
| 66.  | H | CF <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                |
| 67.  | H | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                |
| 68.  | H | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                |
| 69.  | H | OCH <sub>3</sub>                 | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                |
| 70.  | H | Ph                               | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                |
| 71.  | H | -CH <sub>2</sub> Ph              | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                |
| 72.  | H | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | nBu                              |
| 73.  | H | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | CF <sub>3</sub>                  |
| 74.  | H | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | CF <sub>2</sub> CF <sub>3</sub>  |
| 75.  | H | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> |
| 76.  | H | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | OCH <sub>3</sub>                 |
| 77.  | H | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | Ph                               |
| 78.  | H | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | -CH <sub>2</sub> Ph              |
| 79.  | H | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 80.  | H | nBu                              | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 81.  | H | CF <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 82.  | H | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 83.  | H | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 84.  | H | OCH <sub>3</sub>                 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 85.  | H | Ph                               | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 86.  | H | -CH <sub>2</sub> Ph              | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 87.  | H | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | nBu                              |
| 88.  | H | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | CF <sub>3</sub>                  |
| 89.  | H | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | CF <sub>2</sub> CF <sub>3</sub>  |
| 90.  | H | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> |
| 91.  | H | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | OCH <sub>3</sub>                 |
| 92.  | H | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | Ph                               |
| 93.  | H | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | -CH <sub>2</sub> Ph              |
| 94.  | H | nBu                              | nBu             | H                                | H                                |
| 95.  | H | CF <sub>3</sub>                  | nBu             | H                                | H                                |
| 96.  | H | CF <sub>2</sub> CF <sub>3</sub>  | nBu             | H                                | H                                |
| 97.  | H | CH <sub>2</sub> OCH <sub>3</sub> | nBu             | H                                | H                                |
| 98.  | H | OCH <sub>3</sub>                 | nBu             | H                                | H                                |
| 99.  | H | Ph                               | nBu             | H                                | H                                |
| 100. | H | -CH <sub>2</sub> Ph              | nBu             | H                                | H                                |
| 101. | H | H                                | H               | Bu                               | nBu                              |
| 102. | H | H                                | H               | CF <sub>3</sub>                  | nBu                              |
| 103. | H | H                                | H               | CF <sub>2</sub> CF <sub>3</sub>  | nBu                              |
| 104. | H | H                                | H               | CH <sub>2</sub> OCH <sub>3</sub> | nBu                              |
| 105. | H | H                                | H               | OCH <sub>3</sub>                 | nBu                              |
| 106. | H | H                                | H               | Ph                               | nBu                              |
| 107. | H | H                                | H               | -CH <sub>2</sub> Ph              | nBu                              |
| 108. | H | nBu                              | H               | H                                | H                                |
| 109. | H | nBu                              | H               | H                                | CH <sub>3</sub>                  |
| 110. | H | nBu                              | H               | H                                | nBu                              |

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|     |   |                                  |                                  |                                  |                                  |
|-----|---|----------------------------------|----------------------------------|----------------------------------|----------------------------------|
| 111 | H | nBu                              | H                                | H                                | CF <sub>3</sub>                  |
| 112 | H | nBu                              | H                                | H                                | CF <sub>2</sub> CF <sub>3</sub>  |
| 113 | H | nBu                              | H                                | H                                | CH <sub>2</sub> OCH <sub>3</sub> |
| 114 | H | nBu                              | H                                | H                                | OCH <sub>3</sub>                 |
| 115 | H | nBu                              | H                                | H                                | Ph                               |
| 116 | H | nBu                              | H                                | H                                | -CH <sub>2</sub> Ph              |
| 117 | H | H                                | H                                | nBu                              | H                                |
| 118 | H | H                                | CH <sub>3</sub>                  | nBu                              | H                                |
| 119 | H | H                                | nBu                              | nBu                              | H                                |
| 120 | H | H                                | CF <sub>3</sub>                  | nBu                              | H                                |
| 121 | H | H                                | CF <sub>2</sub> CF <sub>3</sub>  | nBu                              | H                                |
| 122 | H | H                                | CH <sub>2</sub> OCH <sub>3</sub> | nBu                              | H                                |
| 123 | H | H                                | OCH <sub>3</sub>                 | nBu                              | H                                |
| 124 | H | H                                | Ph                               | nBu                              | H                                |
| 125 | H | H                                | -CH <sub>2</sub> Ph              | nBu                              | H                                |
| 126 | H | CH <sub>3</sub>                  | nBu                              | nBu                              | H                                |
| 127 | H | nBu                              | nBu                              | nBu                              | H                                |
| 128 | H | CF <sub>3</sub>                  | nBu                              | nBu                              | H                                |
| 129 | H | CF <sub>2</sub> CF <sub>3</sub>  | nBu                              | nBu                              | H                                |
| 130 | H | CH <sub>2</sub> OCH <sub>3</sub> | nBu                              | nBu                              | H                                |
| 131 | H | OCH <sub>3</sub>                 | nBu                              | nBu                              | H                                |
| 132 | H | Ph                               | nBu                              | Bu                               | H                                |
| 133 | H | -CH <sub>2</sub> Ph              | nBu                              | nBu                              | H                                |
| 134 | H | CH <sub>3</sub>                  | H                                | nBu                              | nBu                              |
| 135 | H | nBu                              | H                                | nBu                              | nBu                              |
| 136 | H | CF <sub>3</sub>                  | H                                | nBu                              | nBu                              |
| 137 | H | CF <sub>2</sub> CF <sub>3</sub>  | H                                | nBu                              | nBu                              |
| 138 | H | CH <sub>2</sub> OCH <sub>3</sub> | H                                | nBu                              | nBu                              |
| 139 | H | OCH <sub>3</sub>                 | H                                | nBu                              | nBu                              |
| 140 | H | Ph                               | H                                | nBu                              | nBu                              |
| 141 | H | -CH <sub>2</sub> Ph              | H                                | nBu                              | nBu                              |
| 142 | H | nBu                              | nBu                              | CH <sub>3</sub>                  | H                                |
| 143 | H | nBu                              | nBu                              | CF <sub>3</sub>                  | H                                |
| 144 | H | nBu                              | nBu                              | CF <sub>2</sub> CF <sub>3</sub>  | H                                |
| 145 | H | nBu                              | nBu                              | CH <sub>2</sub> OCH <sub>3</sub> | H                                |
| 146 | H | nBu                              | nBu                              | OCH <sub>3</sub>                 | H                                |
| 147 | H | nBu                              | nBu                              | Ph                               | H                                |
| 148 | H | nBu                              | nBu                              | -CH <sub>2</sub> Ph              | H                                |
| 149 | H | nBu                              | H                                | CH <sub>3</sub>                  | nBu                              |
| 150 | H | nBu                              | H                                | CF <sub>3</sub>                  | nBu                              |
| 151 | H | nBu                              | H                                | CF <sub>2</sub> CF <sub>3</sub>  | nBu                              |
| 152 | H | nBu                              | H                                | CH <sub>2</sub> OCH <sub>3</sub> | nBu                              |
| 153 | H | nBu                              | H                                | OCH <sub>3</sub>                 | nBu                              |
| 154 | H | nBu                              | H                                | Ph                               | nBu                              |
| 155 | H | nBu                              | H                                | -CH <sub>2</sub> Ph              | nBu                              |
| 156 | H | CH <sub>3</sub>                  | nBu                              | nBu                              | nBu                              |
| 157 | H | Bu                               | nBu                              | nBu                              | nBu                              |

|     |   |                                  |                 |                                  |                                  |
|-----|---|----------------------------------|-----------------|----------------------------------|----------------------------------|
| 158 | H | CF <sub>3</sub>                  | nBu             | nBu                              | nBu                              |
| 159 | H | CF <sub>2</sub> CF <sub>3</sub>  | nBu             | nBu                              | nBu                              |
| 160 | H | CH <sub>2</sub> OCH <sub>3</sub> | nBu             | nBu                              | nBu                              |
| 161 | H | OCH <sub>3</sub>                 | nBu             | nBu                              | nBu                              |
| 162 | H | Ph                               | nBu             | nBu                              | nBu                              |
| 163 | H | -CH <sub>2</sub> Ph              | nBu             | nBu                              | nBu                              |
| 164 | H | nBu                              | nBu             | nBu                              | CH <sub>3</sub>                  |
| 165 | H | nBu                              | nBu             | nBu                              | CF <sub>3</sub>                  |
| 166 | H | nBu                              | nBu             | nBu                              | CF <sub>2</sub> CF <sub>3</sub>  |
| 167 | H | nBu                              | nBu             | nBu                              | CH <sub>2</sub> OCH <sub>3</sub> |
| 168 | H | nBu                              | nBu             | nBu                              | OCH <sub>3</sub>                 |
| 169 | H | nBu                              | nBu             | nBu                              | Ph                               |
| 170 | H | nBu                              | Bu              | nBu                              | -CH <sub>2</sub> Ph              |
| 171 | H | nBu                              | CH <sub>3</sub> | nBu                              | CH <sub>3</sub>                  |
| 172 | H | nBu                              | CH <sub>3</sub> | nBu                              | CF <sub>3</sub>                  |
| 173 | H | nBu                              | CH <sub>3</sub> | nBu                              | CF <sub>2</sub> CF <sub>3</sub>  |
| 174 | H | nBu                              | CH <sub>3</sub> | nBu                              | CH <sub>2</sub> OCH <sub>3</sub> |
| 175 | H | nBu                              | CH <sub>3</sub> | nBu                              | OCH <sub>3</sub>                 |
| 176 | H | nBu                              | CH <sub>3</sub> | nBu                              | Ph                               |
| 177 | H | nBu                              | CH <sub>3</sub> | nBu                              | -CH <sub>2</sub> Ph              |
| 178 | H | CF <sub>3</sub>                  | nBu             | nBu                              | CH <sub>3</sub>                  |
| 179 | H | CF <sub>2</sub> CF <sub>3</sub>  | nBu             | nBu                              | CH <sub>3</sub>                  |
| 180 | H | CH <sub>2</sub> OCH <sub>3</sub> | nBu             | nBu                              | CH <sub>3</sub>                  |
| 181 | H | OCH <sub>3</sub>                 | nBu             | nBu                              | CH <sub>3</sub>                  |
| 182 | H | Ph                               | nBu             | nBu                              | CH <sub>3</sub>                  |
| 183 | H | -CH <sub>2</sub> Ph              | nBu             | nBu                              | CH <sub>3</sub>                  |
| 184 | H | CH <sub>3</sub>                  | CH <sub>3</sub> | nBu                              | nBu                              |
| 185 | H | CF <sub>3</sub>                  | CH <sub>3</sub> | nBu                              | nBu                              |
| 186 | H | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | nBu                              | nBu                              |
| 187 | H | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | nBu                              | nBu                              |
| 188 | H | OCH <sub>3</sub>                 | CH <sub>3</sub> | nBu                              | nBu                              |
| 189 | H | Ph                               | CH <sub>3</sub> | nBu                              | nBu                              |
| 190 | H | -CH <sub>2</sub> Ph              | CH <sub>3</sub> | nBu                              | nBu                              |
| 191 | H | nBu                              | nBu             | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 192 | H | nBu                              | nBu             | CF <sub>3</sub>                  | CH <sub>3</sub>                  |
| 193 | H | nBu                              | nBu             | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub>                  |
| 194 | H | nBu                              | nBu             | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub>                  |
| 195 | H | nBu                              | nBu             | OCH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 196 | H | nBu                              | nBu             | Ph                               | CH <sub>3</sub>                  |
| 197 | H | nBu                              | nBu             | -CH <sub>2</sub> Ph              | CH <sub>3</sub>                  |
| 198 | H | nBu                              | CH <sub>3</sub> | CH <sub>3</sub>                  | CF <sub>3</sub>                  |
| 199 | H | nBu                              | CH <sub>3</sub> | CH <sub>3</sub>                  | CF <sub>2</sub> CF <sub>3</sub>  |
| 200 | H | nBu                              | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> |
| 201 | H | nBu                              | CH <sub>3</sub> | CH <sub>3</sub>                  | OCH <sub>3</sub>                 |
| 202 | H | nBu                              | CH <sub>3</sub> | CH <sub>3</sub>                  | Ph                               |
| 203 | H | nBu                              | CH <sub>3</sub> | CH <sub>3</sub>                  | -CH <sub>2</sub> Ph              |
| 204 | H | CF <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | nBu                              |

|     |   |                                  |                 |                                  |                                  |
|-----|---|----------------------------------|-----------------|----------------------------------|----------------------------------|
| 205 | H | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | CH <sub>3</sub>                  | nBu                              |
| 206 | H | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub>                  | nBu                              |
| 207 | H | OCH <sub>3</sub>                 | CH <sub>3</sub> | CH <sub>3</sub>                  | nBu                              |
| 208 | H | Ph                               | CH <sub>3</sub> | CH <sub>3</sub>                  | nBu                              |
| 209 | H | -CH <sub>2</sub> Ph              | CH <sub>3</sub> | CH <sub>3</sub>                  | nBu                              |
| 210 | H | CF <sub>3</sub>                  | nBu             | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 211 | H | CF <sub>2</sub> CF <sub>3</sub>  | nBu             | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 212 | H | CH <sub>2</sub> OCH <sub>3</sub> | nBu             | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 213 | H | OCH <sub>3</sub>                 | nBu             | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 214 | H | Ph                               | nBu             | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 215 | H | -CH <sub>2</sub> Ph              | nBu             | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 216 | H | CH <sub>3</sub>                  | CH <sub>3</sub> | CF <sub>3</sub>                  | nBu                              |
| 217 | H | CH <sub>3</sub>                  | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | nBu                              |
| 218 | H | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | nBu                              |
| 219 | H | CH <sub>3</sub>                  | CH <sub>3</sub> | OCH <sub>3</sub>                 | nBu                              |
| 220 | H | CH <sub>3</sub>                  | CH <sub>3</sub> | Ph                               | nBu                              |
| 221 | H | CH <sub>3</sub>                  | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | nBu                              |
| 222 | H | CF <sub>3</sub>                  | nBu             | H                                | CH <sub>3</sub>                  |
| 223 | H | CF <sub>2</sub> CF <sub>3</sub>  | nBu             | H                                | CH <sub>3</sub>                  |
| 224 | H | CH <sub>2</sub> OCH <sub>3</sub> | nBu             | H                                | CH <sub>3</sub>                  |
| 225 | H | OCH <sub>3</sub>                 | nBu             | H                                | CH <sub>3</sub>                  |
| 226 | H | Ph                               | nBu             | H                                | CH <sub>3</sub>                  |
| 227 | H | -CH <sub>2</sub> Ph              | nBu             | H                                | CH <sub>3</sub>                  |
| 228 | H | H                                | CH <sub>3</sub> | CF <sub>3</sub>                  | nBu                              |
| 229 | H | H                                | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | nBu                              |
| 230 | H | H                                | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | nBu                              |
| 231 | H | H                                | CH <sub>3</sub> | OCH <sub>3</sub>                 | nBu                              |
| 232 | H | H                                | CH <sub>3</sub> | Ph                               | nBu                              |
| 233 | H | H                                | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | nBu                              |
| 234 | H | nBu                              | H               | CH <sub>3</sub>                  | CF <sub>3</sub>                  |
| 235 | H | nBu                              | H               | CH <sub>3</sub>                  | CF <sub>2</sub> CF <sub>3</sub>  |
| 236 | H | nBu                              | H               | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> |
| 237 | H | nBu                              | H               | CH <sub>3</sub>                  | OCH <sub>3</sub>                 |
| 238 | H | nBu                              | H               | CH <sub>3</sub>                  | Ph                               |
| 239 | H | nBu                              | H               | CH <sub>3</sub>                  | -CH <sub>2</sub> Ph              |
| 240 | H | CF <sub>3</sub>                  | CH <sub>3</sub> | nBu                              | H                                |
| 241 | H | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | nBu                              | H                                |
| 242 | H | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | nBu                              | H                                |
| 243 | H | OCH <sub>3</sub>                 | CH <sub>3</sub> | nBu                              | H                                |
| 244 | H | Ph                               | CH <sub>3</sub> | nBu                              | H                                |
| 245 | H | -CH <sub>2</sub> Ph              | CH <sub>3</sub> | nBu                              | H                                |
| 246 | H | CF <sub>3</sub>                  | Bu              | CH <sub>3</sub>                  | H                                |
| 247 | H | CF <sub>2</sub> CF <sub>3</sub>  | Bu              | CH <sub>3</sub>                  | H                                |
| 248 | H | CH <sub>2</sub> OCH <sub>3</sub> | Bu              | CH <sub>3</sub>                  | H                                |
| 249 | H | OCH <sub>3</sub>                 | Bu              | CH <sub>3</sub>                  | H                                |
| 250 | H | Ph                               | Bu              | CH <sub>3</sub>                  | H                                |
| 251 | H | -CH <sub>2</sub> Ph              | Bu              | CH <sub>3</sub>                  | H                                |

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|     |                 |                                  |                 |                                  |                                  |
|-----|-----------------|----------------------------------|-----------------|----------------------------------|----------------------------------|
| 252 | H               | CH <sub>3</sub>                  | H               | CF <sub>3</sub>                  | nBu                              |
| 253 | H               | CH <sub>3</sub>                  | H               | CF <sub>2</sub> CF <sub>3</sub>  | nBu                              |
| 254 | H               | CH <sub>3</sub>                  | H               | CH <sub>2</sub> OCH <sub>3</sub> | nBu                              |
| 255 | H               | CH <sub>3</sub>                  | H               | OCH <sub>3</sub>                 | nBu                              |
| 256 | H               | CH <sub>3</sub>                  | H               | Ph                               | nBu                              |
| 257 | H               | CH <sub>3</sub>                  | H               | -CH <sub>2</sub> Ph              | nBu                              |
| 258 | H               | nBu                              | CH <sub>3</sub> | H                                | CF <sub>3</sub>                  |
| 259 | H               | nBu                              | CH <sub>3</sub> | H                                | CF <sub>2</sub> CF <sub>3</sub>  |
| 260 | H               | nBu                              | CH <sub>3</sub> | H                                | CH <sub>2</sub> OCH <sub>3</sub> |
| 261 | H               | nBu                              | CH <sub>3</sub> | H                                | OCH <sub>3</sub>                 |
| 262 | H               | nBu                              | CH <sub>3</sub> | H                                | Ph                               |
| 263 | H               | nBu                              | CH <sub>3</sub> | H                                | -CH <sub>2</sub> Ph              |
| 264 | H               | CF <sub>3</sub>                  | H               | CH <sub>3</sub>                  | nBu                              |
| 265 | H               | CF <sub>2</sub> CF <sub>3</sub>  | H               | CH <sub>3</sub>                  | nBu                              |
| 266 | H               | CH <sub>2</sub> OCH <sub>3</sub> | H               | CH <sub>3</sub>                  | nBu                              |
| 267 | H               | OCH <sub>3</sub>                 | H               | CH <sub>3</sub>                  | nBu                              |
| 268 | H               | Ph                               | H               | CH <sub>3</sub>                  | nBu                              |
| 269 | H               | -CH <sub>2</sub> Ph              | H               | CH <sub>3</sub>                  | nBu                              |
| 270 | CH <sub>3</sub> | H                                | H               | H                                | H                                |
| 271 | CH <sub>3</sub> | CH <sub>3</sub>                  | H               | H                                | H                                |
| 272 | CH <sub>3</sub> | nBu                              | H               | H                                | H                                |
| 273 | CH <sub>3</sub> | CF <sub>3</sub>                  | H               | H                                | H                                |
| 274 | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | H               | H                                | H                                |
| 275 | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | H               | H                                | H                                |
| 276 | CH <sub>3</sub> | OCH <sub>3</sub>                 | H               | H                                | H                                |
| 277 | CH <sub>3</sub> | Ph                               | H               | H                                | H                                |
| 278 | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | H               | H                                | H                                |
| 279 | CH <sub>3</sub> | H                                | H               | CH <sub>3</sub>                  | H                                |
| 280 | CH <sub>3</sub> | H                                | H               | nBu                              | H                                |
| 281 | CH <sub>3</sub> | H                                | H               | CF <sub>3</sub>                  | H                                |
| 282 | CH <sub>3</sub> | H                                | H               | CF <sub>2</sub> CF <sub>3</sub>  | H                                |
| 283 | CH <sub>3</sub> | H                                | H               | CH <sub>2</sub> OCH <sub>3</sub> | H                                |
| 284 | CH <sub>3</sub> | H                                | H               | OCH <sub>3</sub>                 | H                                |
| 285 | CH <sub>3</sub> | H                                | H               | Ph                               | H                                |
| 286 | CH <sub>3</sub> | H                                | H               | -CH <sub>2</sub> Ph              | H                                |
| 287 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | H                                | H                                |
| 288 | CH <sub>3</sub> | nBu                              | CH <sub>3</sub> | H                                | H                                |
| 289 | CH <sub>3</sub> | CF <sub>3</sub>                  | CH <sub>3</sub> | H                                | H                                |
| 290 | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | H                                | H                                |
| 291 | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | H                                | H                                |
| 292 | CH <sub>3</sub> | OCH <sub>3</sub>                 | CH <sub>3</sub> | H                                | H                                |
| 293 | CH <sub>3</sub> | Ph                               | CH <sub>3</sub> | H                                | H                                |
| 294 | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | CH <sub>3</sub> | H                                | H                                |
| 295 | CH <sub>3</sub> | H                                | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 296 | CH <sub>3</sub> | H                                | H               | CH <sub>3</sub>                  | nBu                              |
| 297 | CH <sub>3</sub> | H                                | H               | CH <sub>3</sub>                  | CF <sub>3</sub>                  |
| 298 | CH <sub>3</sub> | H                                | H               | CH <sub>3</sub>                  | CF <sub>2</sub> CF <sub>3</sub>  |

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|     |                 |                                  |                 |                                  |                                  |
|-----|-----------------|----------------------------------|-----------------|----------------------------------|----------------------------------|
| 299 | CH <sub>3</sub> | H                                | H               | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> |
| 300 | CH <sub>3</sub> | H                                | H               | CH <sub>3</sub>                  | OCH <sub>3</sub>                 |
| 301 | CH <sub>3</sub> | H                                | H               | CH <sub>3</sub>                  | Ph                               |
| 302 | CH <sub>3</sub> | H                                | H               | CH <sub>3</sub>                  | -CH <sub>2</sub> Ph              |
| 303 | CH <sub>3</sub> | CH <sub>3</sub>                  | H               | H                                | CH <sub>3</sub>                  |
| 304 | CH <sub>3</sub> | Bu                               | H               | H                                | CH <sub>3</sub>                  |
| 305 | CH <sub>3</sub> | CF <sub>3</sub>                  | H               | H                                | CH <sub>3</sub>                  |
| 306 | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | H               | H                                | CH <sub>3</sub>                  |
| 307 | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | H               | H                                | CH <sub>3</sub>                  |
| 308 | CH <sub>3</sub> | OCH <sub>3</sub>                 | H               | H                                | CH <sub>3</sub>                  |
| 309 | CH <sub>3</sub> | Ph                               | H               | H                                | CH <sub>3</sub>                  |
| 310 | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | H               | H                                | CH <sub>3</sub>                  |
| 311 | CH <sub>3</sub> | H                                | CH <sub>3</sub> | nBu                              | H                                |
| 312 | CH <sub>3</sub> | H                                | CH <sub>3</sub> | CF <sub>3</sub>                  | H                                |
| 313 | CH <sub>3</sub> | H                                | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | H                                |
| 314 | CH <sub>3</sub> | H                                | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | H                                |
| 315 | CH <sub>3</sub> | H                                | CH <sub>3</sub> | OCH <sub>3</sub>                 | H                                |
| 316 | CH <sub>3</sub> | H                                | CH <sub>3</sub> | Ph                               | H                                |
| 317 | CH <sub>3</sub> | H                                | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | H                                |
| 318 | CH <sub>3</sub> | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 319 | CH <sub>3</sub> | nBu                              | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 320 | CH <sub>3</sub> | CF <sub>3</sub>                  | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 321 | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 322 | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 323 | CH <sub>3</sub> | OCH <sub>3</sub>                 | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 324 | CH <sub>3</sub> | Ph                               | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 325 | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 326 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                |
| 327 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | nBu                              | H                                |
| 328 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | CF <sub>3</sub>                  | H                                |
| 329 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | H                                |
| 330 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | H                                |
| 331 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | OCH <sub>3</sub>                 | H                                |
| 332 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | Ph                               | H                                |
| 333 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | H                                |
| 334 | CH <sub>3</sub> | nBu                              | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                |
| 335 | CH <sub>3</sub> | CF <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                |
| 336 | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                |
| 337 | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                |
| 338 | CH <sub>3</sub> | OCH <sub>3</sub>                 | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                |
| 339 | CH <sub>3</sub> | Ph                               | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                |
| 340 | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                |
| 341 | CH <sub>3</sub> | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | nBu                              |
| 342 | CH <sub>3</sub> | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | CF <sub>3</sub>                  |
| 343 | CH <sub>3</sub> | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | CF <sub>2</sub> CF <sub>3</sub>  |
| 344 | CH <sub>3</sub> | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> |
| 345 | CH <sub>3</sub> | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | OCH <sub>3</sub>                 |

|     |                 |                                  |                                  |                                  |                                  |
|-----|-----------------|----------------------------------|----------------------------------|----------------------------------|----------------------------------|
| 346 | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                | CH <sub>3</sub>                  | Ph                               |
| 347 | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                | CH <sub>3</sub>                  | -CH <sub>2</sub> Ph              |
| 348 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 349 | CH <sub>3</sub> | nBu                              | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 350 | CH <sub>3</sub> | CF <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 351 | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 352 | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 353 | CH <sub>3</sub> | OCH <sub>3</sub>                 | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 354 | CH <sub>3</sub> | Ph                               | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 355 | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 356 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub>                  | nBu                              |
| 357 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CF <sub>3</sub>                  |
| 358 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CF <sub>2</sub> CF <sub>3</sub>  |
| 359 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> |
| 360 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub>                  | OCH <sub>3</sub>                 |
| 361 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub>                  | Ph                               |
| 362 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub>                  | -CH <sub>2</sub> Ph              |
| 363 | CH <sub>3</sub> | nBu                              | nBu                              | H                                | H                                |
| 364 | CH <sub>3</sub> | CF <sub>3</sub>                  | nBu                              | H                                | H                                |
| 365 | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | nBu                              | H                                | H                                |
| 366 | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | nBu                              | H                                | H                                |
| 367 | CH <sub>3</sub> | OCH <sub>3</sub>                 | nBu                              | H                                | H                                |
| 368 | CH <sub>3</sub> | Ph                               | nBu                              | H                                | H                                |
| 369 | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | nBu                              | H                                | H                                |
| 370 | CH <sub>3</sub> | H                                | H                                | nBu                              | nBu                              |
| 371 | CH <sub>3</sub> | H                                | H                                | CF <sub>3</sub>                  | nBu                              |
| 372 | CH <sub>3</sub> | H                                | H                                | CF <sub>2</sub> CF <sub>3</sub>  | nBu                              |
| 373 | CH <sub>3</sub> | H                                | H                                | CH <sub>2</sub> OCH <sub>3</sub> | nBu                              |
| 374 | CH <sub>3</sub> | H                                | H                                | OCH <sub>3</sub>                 | nBu                              |
| 375 | CH <sub>3</sub> | H                                | H                                | Ph                               | nBu                              |
| 376 | CH <sub>3</sub> | H                                | H                                | -CH <sub>2</sub> Ph              | nBu                              |
| 377 | CH <sub>3</sub> | nBu                              | H                                | H                                | H                                |
| 378 | CH <sub>3</sub> | nBu                              | H                                | H                                | CH <sub>3</sub>                  |
| 379 | CH <sub>3</sub> | nBu                              | H                                | H                                | nBu                              |
| 380 | CH <sub>3</sub> | nBu                              | H                                | H                                | CF <sub>3</sub>                  |
| 381 | CH <sub>3</sub> | nBu                              | H                                | H                                | CF <sub>2</sub> CF <sub>3</sub>  |
| 382 | CH <sub>3</sub> | nBu                              | H                                | H                                | CH <sub>2</sub> OCH <sub>3</sub> |
| 383 | CH <sub>3</sub> | nBu                              | H                                | H                                | OCH <sub>3</sub>                 |
| 384 | CH <sub>3</sub> | nBu                              | H                                | H                                | Ph                               |
| 385 | CH <sub>3</sub> | nBu                              | H                                | H                                | -CH <sub>2</sub> Ph              |
| 386 | CH <sub>3</sub> | H                                | H                                | nBu                              | H                                |
| 387 | CH <sub>3</sub> | H                                | CH <sub>3</sub>                  | nBu                              | H                                |
| 388 | CH <sub>3</sub> | H                                | Bu                               | nBu                              | H                                |
| 389 | CH <sub>3</sub> | H                                | CF <sub>3</sub>                  | nBu                              | H                                |
| 390 | CH <sub>3</sub> | H                                | CF <sub>2</sub> CF <sub>3</sub>  | nBu                              | H                                |
| 391 | CH <sub>3</sub> | H                                | CH <sub>2</sub> OCH <sub>3</sub> | nBu                              | H                                |
| 392 | CH <sub>3</sub> | H                                | OCH <sub>3</sub>                 | nBu                              | H                                |

|     |                 |                                  |                     |                                  |                                  |
|-----|-----------------|----------------------------------|---------------------|----------------------------------|----------------------------------|
| 393 | CH <sub>3</sub> | H                                | Ph                  | nBu                              | H                                |
| 394 | CH <sub>3</sub> | H                                | -CH <sub>2</sub> Ph | nBu                              | H                                |
| 395 | CH <sub>3</sub> | CH <sub>3</sub>                  | nBu                 | nBu                              | H                                |
| 396 | CH <sub>3</sub> | nBu                              | nBu                 | nBu                              | H                                |
| 397 | CH <sub>3</sub> | CF <sub>3</sub>                  | nBu                 | nBu                              | H                                |
| 398 | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | nBu                 | nBu                              | H                                |
| 399 | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | nBu                 | nBu                              | H                                |
| 400 | CH <sub>3</sub> | OCH <sub>3</sub>                 | nBu                 | nBu                              | H                                |
| 401 | CH <sub>3</sub> | Ph                               | nBu                 | nBu                              | H                                |
| 402 | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | nBu                 | nBu                              | H                                |
| 403 | CH <sub>3</sub> | CH <sub>3</sub>                  | H                   | nBu                              | nBu                              |
| 404 | CH <sub>3</sub> | nBu                              | H                   | nBu                              | nBu                              |
| 405 | CH <sub>3</sub> | CF <sub>3</sub>                  | H                   | nBu                              | nBu                              |
| 406 | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | H                   | nBu                              | nBu                              |
| 407 | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | H                   | nBu                              | nBu                              |
| 408 | CH <sub>3</sub> | OCH <sub>3</sub>                 | H                   | nBu                              | nBu                              |
| 409 | CH <sub>3</sub> | Ph                               | H                   | nBu                              | nBu                              |
| 410 | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | H                   | nBu                              | nBu                              |
| 411 | CH <sub>3</sub> | nBu                              | nBu                 | CH <sub>3</sub>                  | H                                |
| 412 | CH <sub>3</sub> | nBu                              | nBu                 | CF <sub>3</sub>                  | H                                |
| 413 | CH <sub>3</sub> | nBu                              | nBu                 | CF <sub>2</sub> CF <sub>3</sub>  | H                                |
| 414 | CH <sub>3</sub> | nBu                              | nBu                 | CH <sub>2</sub> OCH <sub>3</sub> | H                                |
| 415 | CH <sub>3</sub> | nBu                              | nBu                 | OCH <sub>3</sub>                 | H                                |
| 416 | CH <sub>3</sub> | nBu                              | nBu                 | Ph                               | H                                |
| 417 | CH <sub>3</sub> | nBu                              | nBu                 | -CH <sub>2</sub> Ph              | H                                |
| 418 | CH <sub>3</sub> | nBu                              | H                   | CH <sub>3</sub>                  | nBu                              |
| 419 | CH <sub>3</sub> | nBu                              | H                   | CF <sub>3</sub>                  | nBu                              |
| 420 | CH <sub>3</sub> | nBu                              | H                   | CF <sub>2</sub> CF <sub>3</sub>  | nBu                              |
| 421 | CH <sub>3</sub> | nBu                              | H                   | CH <sub>2</sub> OCH <sub>3</sub> | nBu                              |
| 422 | CH <sub>3</sub> | nBu                              | H                   | OCH <sub>3</sub>                 | nBu                              |
| 423 | CH <sub>3</sub> | nBu                              | H                   | Ph                               | nBu                              |
| 424 | CH <sub>3</sub> | nBu                              | H                   | -CH <sub>2</sub> Ph              | nBu                              |
| 425 | CH <sub>3</sub> | CH <sub>3</sub>                  | nBu                 | nBu                              | nBu                              |
| 426 | CH <sub>3</sub> | Bu                               | nBu                 | nBu                              | nBu                              |
| 427 | CH <sub>3</sub> | CF <sub>3</sub>                  | nBu                 | nBu                              | nBu                              |
| 428 | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | nBu                 | nBu                              | nBu                              |
| 429 | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | nBu                 | nBu                              | nBu                              |
| 430 | CH <sub>3</sub> | OCH <sub>3</sub>                 | nBu                 | nBu                              | nBu                              |
| 431 | CH <sub>3</sub> | Ph                               | nBu                 | nBu                              | nBu                              |
| 432 | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | nBu                 | nBu                              | nBu                              |
| 433 | CH <sub>3</sub> | nBu                              | nBu                 | nBu                              | CH <sub>3</sub>                  |
| 434 | CH <sub>3</sub> | nBu                              | nBu                 | nBu                              | CF <sub>3</sub>                  |
| 435 | CH <sub>3</sub> | nBu                              | nBu                 | nBu                              | CF <sub>2</sub> CF <sub>3</sub>  |
| 436 | CH <sub>3</sub> | nBu                              | nBu                 | nBu                              | CH <sub>2</sub> OCH <sub>3</sub> |
| 437 | CH <sub>3</sub> | nBu                              | nBu                 | nBu                              | OCH <sub>3</sub>                 |
| 438 | CH <sub>3</sub> | nBu                              | nBu                 | nBu                              | Ph                               |
| 439 | CH <sub>3</sub> | nBu                              | nBu                 | nBu                              | -CH <sub>2</sub> Ph              |

|     |                 |                                  |                 |                                  |                                  |
|-----|-----------------|----------------------------------|-----------------|----------------------------------|----------------------------------|
| 440 | CH <sub>3</sub> | nBu                              | CH <sub>3</sub> | nBu                              | CH <sub>3</sub>                  |
| 441 | CH <sub>3</sub> | nBu                              | CH <sub>3</sub> | nBu                              | CF <sub>3</sub>                  |
| 442 | CH <sub>3</sub> | nBu                              | CH <sub>3</sub> | nBu                              | CF <sub>2</sub> CF <sub>3</sub>  |
| 443 | CH <sub>3</sub> | nBu                              | CH <sub>3</sub> | nBu                              | CH <sub>2</sub> OCH <sub>3</sub> |
| 444 | CH <sub>3</sub> | nBu                              | CH <sub>3</sub> | nBu                              | OCH <sub>3</sub>                 |
| 445 | CH <sub>3</sub> | nBu                              | CH <sub>3</sub> | nBu                              | Ph                               |
| 446 | CH <sub>3</sub> | nBu                              | CH <sub>3</sub> | nBu                              | -CH <sub>2</sub> Ph              |
| 447 | CH <sub>3</sub> | CF <sub>3</sub>                  | nBu             | nBu                              | CH <sub>3</sub>                  |
| 448 | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | nBu             | nBu                              | CH <sub>3</sub>                  |
| 449 | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | nBu             | nBu                              | CH <sub>3</sub>                  |
| 450 | CH <sub>3</sub> | OCH <sub>3</sub>                 | nBu             | nBu                              | CH <sub>3</sub>                  |
| 451 | CH <sub>3</sub> | Ph                               | nBu             | nBu                              | CH <sub>3</sub>                  |
| 452 | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | nBu             | nBu                              | CH <sub>3</sub>                  |
| 453 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | nBu                              | nBu                              |
| 454 | CH <sub>3</sub> | CF <sub>3</sub>                  | CH <sub>3</sub> | nBu                              | nBu                              |
| 455 | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | nBu                              | nBu                              |
| 456 | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | nBu                              | nBu                              |
| 457 | CH <sub>3</sub> | OCH <sub>3</sub>                 | CH <sub>3</sub> | nBu                              | nBu                              |
| 458 | CH <sub>3</sub> | Ph                               | CH <sub>3</sub> | nBu                              | nBu                              |
| 459 | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | CH <sub>3</sub> | nBu                              | nBu                              |
| 460 | CH <sub>3</sub> | nBu                              | nBu             | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 461 | CH <sub>3</sub> | nBu                              | nBu             | CF <sub>3</sub>                  | CH <sub>3</sub>                  |
| 462 | CH <sub>3</sub> | nBu                              | nBu             | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub>                  |
| 463 | CH <sub>3</sub> | nBu                              | nBu             | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub>                  |
| 464 | CH <sub>3</sub> | nBu                              | nBu             | OCH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 465 | CH <sub>3</sub> | nBu                              | nBu             | Ph                               | CH <sub>3</sub>                  |
| 466 | CH <sub>3</sub> | nBu                              | nBu             | -CH <sub>2</sub> Ph              | CH <sub>3</sub>                  |
| 467 | CH <sub>3</sub> | nBu                              | CH <sub>3</sub> | CH <sub>3</sub>                  | CF <sub>3</sub>                  |
| 468 | CH <sub>3</sub> | nBu                              | CH <sub>3</sub> | CH <sub>3</sub>                  | CF <sub>2</sub> CF <sub>3</sub>  |
| 469 | CH <sub>3</sub> | nBu                              | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> |
| 470 | CH <sub>3</sub> | nBu                              | CH <sub>3</sub> | CH <sub>3</sub>                  | OCH <sub>3</sub>                 |
| 471 | CH <sub>3</sub> | nBu                              | CH <sub>3</sub> | CH <sub>3</sub>                  | Ph                               |
| 472 | CH <sub>3</sub> | nBu                              | CH <sub>3</sub> | CH <sub>3</sub>                  | -CH <sub>2</sub> Ph              |
| 473 | CH <sub>3</sub> | CF <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | nBu                              |
| 474 | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | CH <sub>3</sub>                  | nBu                              |
| 475 | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub>                  | nBu                              |
| 476 | CH <sub>3</sub> | OCH <sub>3</sub>                 | CH <sub>3</sub> | CH <sub>3</sub>                  | nBu                              |
| 477 | CH <sub>3</sub> | Ph                               | CH <sub>3</sub> | CH <sub>3</sub>                  | nBu                              |
| 478 | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | CH <sub>3</sub> | CH <sub>3</sub>                  | nBu                              |
| 479 | CH <sub>3</sub> | CF <sub>3</sub>                  | nBu             | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 480 | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | nBu             | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 481 | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | nBu             | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 482 | CH <sub>3</sub> | OCH <sub>3</sub>                 | nBu             | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 483 | CH <sub>3</sub> | Ph                               | nBu             | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 484 | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | nBu             | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 485 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | CF <sub>3</sub>                  | nBu                              |
| 486 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | nBu                              |

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|     |                 |                                  |                 |                                  |                                  |
|-----|-----------------|----------------------------------|-----------------|----------------------------------|----------------------------------|
| 487 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | nBu                              |
| 488 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | OCH <sub>3</sub>                 | nBu                              |
| 489 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | Ph                               | nBu                              |
| 490 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | nBu                              |
| 491 | CH <sub>3</sub> | CF <sub>3</sub>                  | nBu             | H                                | CH <sub>3</sub>                  |
| 492 | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | nBu             | H                                | CH <sub>3</sub>                  |
| 493 | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | nBu             | H                                | CH <sub>3</sub>                  |
| 494 | CH <sub>3</sub> | OCH <sub>3</sub>                 | nBu             | H                                | CH <sub>3</sub>                  |
| 495 | CH <sub>3</sub> | Ph                               | nBu             | H                                | CH <sub>3</sub>                  |
| 496 | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | nBu             | H                                | CH <sub>3</sub>                  |
| 497 | CH <sub>3</sub> | H                                | CH <sub>3</sub> | CF <sub>3</sub>                  | nBu                              |
| 498 | CH <sub>3</sub> | H                                | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | nBu                              |
| 499 | CH <sub>3</sub> | H                                | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | nBu                              |
| 500 | CH <sub>3</sub> | H                                | CH <sub>3</sub> | OCH <sub>3</sub>                 | nBu                              |
| 501 | CH <sub>3</sub> | H                                | CH <sub>3</sub> | Ph                               | nBu                              |
| 502 | CH <sub>3</sub> | H                                | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | nBu                              |
| 503 | CH <sub>3</sub> | nBu                              | H               | CH <sub>3</sub>                  | CF <sub>3</sub>                  |
| 504 | CH <sub>3</sub> | nBu                              | H               | CH <sub>3</sub>                  | CF <sub>2</sub> CF <sub>3</sub>  |
| 505 | CH <sub>3</sub> | nBu                              | H               | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> |
| 506 | CH <sub>3</sub> | nBu                              | H               | CH <sub>3</sub>                  | OCH <sub>3</sub>                 |
| 507 | CH <sub>3</sub> | nBu                              | H               | CH <sub>3</sub>                  | Ph                               |
| 508 | CH <sub>3</sub> | nBu                              | H               | CH <sub>3</sub>                  | -CH <sub>2</sub> Ph              |
| 509 | CH <sub>3</sub> | CF <sub>3</sub>                  | CH <sub>3</sub> | nBu                              | H                                |
| 510 | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | nBu                              | H                                |
| 511 | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | nBu                              | H                                |
| 512 | CH <sub>3</sub> | OCH <sub>3</sub>                 | CH <sub>3</sub> | nBu                              | H                                |
| 513 | CH <sub>3</sub> | Ph                               | CH <sub>3</sub> | nBu                              | H                                |
| 514 | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | CH <sub>3</sub> | nBu                              | H                                |
| 515 | CH <sub>3</sub> | CF <sub>3</sub>                  | nBu             | CH <sub>3</sub>                  | H                                |
| 516 | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | nBu             | CH <sub>3</sub>                  | H                                |
| 517 | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | nBu             | CH <sub>3</sub>                  | H                                |
| 518 | CH <sub>3</sub> | OCH <sub>3</sub>                 | nBu             | CH <sub>3</sub>                  | H                                |
| 519 | CH <sub>3</sub> | Ph                               | nBu             | CH <sub>3</sub>                  | H                                |
| 520 | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | nBu             | CH <sub>3</sub>                  | H                                |
| 521 | CH <sub>3</sub> | CH <sub>3</sub>                  | H               | CF <sub>3</sub>                  | nBu                              |
| 522 | CH <sub>3</sub> | CH <sub>3</sub>                  | H               | CF <sub>2</sub> CF <sub>3</sub>  | nBu                              |
| 523 | CH <sub>3</sub> | CH <sub>3</sub>                  | H               | CH <sub>2</sub> OCH <sub>3</sub> | nBu                              |
| 524 | CH <sub>3</sub> | CH <sub>3</sub>                  | H               | OCH <sub>3</sub>                 | nBu                              |
| 525 | CH <sub>3</sub> | CH <sub>3</sub>                  | H               | Ph                               | nBu                              |
| 526 | CH <sub>3</sub> | CH <sub>3</sub>                  | H               | -CH <sub>2</sub> Ph              | nBu                              |
| 527 | CH <sub>3</sub> | nBu                              | CH <sub>3</sub> | H                                | CF <sub>3</sub>                  |
| 528 | CH <sub>3</sub> | nBu                              | CH <sub>3</sub> | H                                | CF <sub>2</sub> CF <sub>3</sub>  |
| 529 | CH <sub>3</sub> | nBu                              | CH <sub>3</sub> | H                                | CH <sub>2</sub> OCH <sub>3</sub> |
| 530 | CH <sub>3</sub> | nBu                              | CH <sub>3</sub> | H                                | OCH <sub>3</sub>                 |
| 531 | CH <sub>3</sub> | nBu                              | CH <sub>3</sub> | H                                | Ph                               |
| 532 | CH <sub>3</sub> | nBu                              | CH <sub>3</sub> | H                                | -CH <sub>2</sub> Ph              |
| 533 | CH <sub>3</sub> | CF <sub>3</sub>                  | H               | CH <sub>3</sub>                  | nBu                              |

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|     |                 |                                  |                 |                                  |                                  |
|-----|-----------------|----------------------------------|-----------------|----------------------------------|----------------------------------|
| 534 | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | H               | CH <sub>3</sub>                  | nBu                              |
| 535 | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | H               | CH <sub>3</sub>                  | nBu                              |
| 536 | CH <sub>3</sub> | OCH <sub>3</sub>                 | H               | CH <sub>3</sub>                  | nBu                              |
| 537 | CH <sub>3</sub> | Ph                               | H               | CH <sub>3</sub>                  | nBu                              |
| 538 | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | H               | CH <sub>3</sub>                  | nBu                              |
| 539 | CF <sub>3</sub> | H                                | H               | H                                | H                                |
| 540 | CF <sub>3</sub> | CH <sub>3</sub>                  | H               | H                                | H                                |
| 541 | CF <sub>3</sub> | nBu                              | H               | H                                | H                                |
| 542 | CF <sub>3</sub> | CF <sub>3</sub>                  | H               | H                                | H                                |
| 543 | CF <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | H               | H                                | H                                |
| 544 | CF <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | H               | H                                | H                                |
| 545 | CF <sub>3</sub> | OCH <sub>3</sub>                 | H               | H                                | H                                |
| 546 | CF <sub>3</sub> | Ph                               | H               | H                                | H                                |
| 547 | CF <sub>3</sub> | -CH <sub>2</sub> Ph              | H               | H                                | H                                |
| 548 | CF <sub>3</sub> | H                                | H               | CH <sub>3</sub>                  | H                                |
| 549 | CF <sub>3</sub> | H                                | H               | nBu                              | H                                |
| 550 | CF <sub>3</sub> | H                                | H               | CF <sub>3</sub>                  | H                                |
| 551 | CF <sub>3</sub> | H                                | H               | CF <sub>2</sub> CF <sub>3</sub>  | H                                |
| 552 | CF <sub>3</sub> | H                                | H               | CH <sub>2</sub> OCH <sub>3</sub> | H                                |
| 553 | CF <sub>3</sub> | H                                | H               | OCH <sub>3</sub>                 | H                                |
| 554 | CF <sub>3</sub> | H                                | H               | Ph                               | H                                |
| 555 | CF <sub>3</sub> | H                                | H               | -CH <sub>2</sub> Ph              | H                                |
| 556 | CF <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | H                                | H                                |
| 557 | CF <sub>3</sub> | nBu                              | CH <sub>3</sub> | H                                | H                                |
| 558 | CF <sub>3</sub> | CF <sub>3</sub>                  | CH <sub>3</sub> | H                                | H                                |
| 559 | CF <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | H                                | H                                |
| 560 | CF <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | H                                | H                                |
| 561 | CF <sub>3</sub> | OCH <sub>3</sub>                 | CH <sub>3</sub> | H                                | H                                |
| 562 | CF <sub>3</sub> | Ph                               | CH <sub>3</sub> | H                                | H                                |
| 563 | CF <sub>3</sub> | -CH <sub>2</sub> Ph              | CH <sub>3</sub> | H                                | H                                |
| 564 | CF <sub>3</sub> | H                                | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 565 | CF <sub>3</sub> | H                                | H               | CH <sub>3</sub>                  | nBu                              |
| 566 | CF <sub>3</sub> | H                                | H               | CH <sub>3</sub>                  | CF <sub>3</sub>                  |
| 567 | CF <sub>3</sub> | H                                | H               | CH <sub>3</sub>                  | CF <sub>2</sub> CF <sub>3</sub>  |
| 568 | CF <sub>3</sub> | H                                | H               | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> |
| 569 | CF <sub>3</sub> | H                                | H               | CH <sub>3</sub>                  | OCH <sub>3</sub>                 |
| 570 | CF <sub>3</sub> | H                                | H               | CH <sub>3</sub>                  | Ph                               |
| 571 | CF <sub>3</sub> | H                                | H               | CH <sub>3</sub>                  | -CH <sub>2</sub> Ph              |
| 572 | CF <sub>3</sub> | CH <sub>3</sub>                  | H               | H                                | CH <sub>3</sub>                  |
| 573 | CF <sub>3</sub> | nBu                              | H               | H                                | CH <sub>3</sub>                  |
| 574 | CF <sub>3</sub> | CF <sub>3</sub>                  | H               | H                                | CH <sub>3</sub>                  |
| 575 | CF <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | H               | H                                | CH <sub>3</sub>                  |
| 576 | CF <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | H               | H                                | CH <sub>3</sub>                  |
| 577 | CF <sub>3</sub> | OCH <sub>3</sub>                 | H               | H                                | CH <sub>3</sub>                  |
| 578 | CF <sub>3</sub> | Ph                               | H               | H                                | CH <sub>3</sub>                  |
| 579 | CF <sub>3</sub> | -CH <sub>2</sub> Ph              | H               | H                                | CH <sub>3</sub>                  |
| 580 | CF <sub>3</sub> | H                                | CH <sub>3</sub> | nBu                              | H                                |

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|     |                 |                                  |                 |                                  |                                  |
|-----|-----------------|----------------------------------|-----------------|----------------------------------|----------------------------------|
| 581 | CF <sub>3</sub> | H                                | CH <sub>3</sub> | CF <sub>3</sub>                  | H                                |
| 582 | CF <sub>3</sub> | H                                | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | H                                |
| 583 | CF <sub>3</sub> | H                                | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | H                                |
| 584 | CF <sub>3</sub> | H                                | CH <sub>3</sub> | OCH <sub>3</sub>                 | H                                |
| 585 | CF <sub>3</sub> | H                                | CH <sub>3</sub> | Ph                               | H                                |
| 586 | CF <sub>3</sub> | H                                | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | H                                |
| 587 | CF <sub>3</sub> | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 588 | CF <sub>3</sub> | nBu                              | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 589 | CF <sub>3</sub> | CF <sub>3</sub>                  | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 590 | CF <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 591 | CF <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 592 | CF <sub>3</sub> | OCH <sub>3</sub>                 | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 593 | CF <sub>3</sub> | Ph                               | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 594 | CF <sub>3</sub> | -CH <sub>2</sub> Ph              | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 595 | CF <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                |
| 596 | CF <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | nBu                              | H                                |
| 597 | CF <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | CF <sub>3</sub>                  | H                                |
| 598 | CF <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | H                                |
| 599 | CF <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | H                                |
| 600 | CF <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | OCH <sub>3</sub>                 | H                                |
| 601 | CF <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | Ph                               | H                                |
| 602 | CF <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | H                                |
| 603 | CF <sub>3</sub> | nBu                              | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                |
| 604 | CF <sub>3</sub> | CF <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                |
| 605 | CF <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                |
| 606 | CF <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                |
| 607 | CF <sub>3</sub> | OCH <sub>3</sub>                 | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                |
| 608 | CF <sub>3</sub> | Ph                               | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                |
| 609 | CF <sub>3</sub> | -CH <sub>2</sub> Ph              | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                |
| 610 | CF <sub>3</sub> | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | nBu                              |
| 611 | CF <sub>3</sub> | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | CF <sub>3</sub>                  |
| 612 | CF <sub>3</sub> | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | CF <sub>2</sub> CF <sub>3</sub>  |
| 613 | CF <sub>3</sub> | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> |
| 614 | CF <sub>3</sub> | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | OCH <sub>3</sub>                 |
| 615 | CF <sub>3</sub> | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | Ph                               |
| 616 | CF <sub>3</sub> | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | -CH <sub>2</sub> Ph              |
| 617 | CF <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 618 | CF <sub>3</sub> | nBu                              | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 619 | CF <sub>3</sub> | CF <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 620 | CF <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 621 | CF <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 622 | CF <sub>3</sub> | OCH <sub>3</sub>                 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 623 | CF <sub>3</sub> | Ph                               | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 624 | CF <sub>3</sub> | -CH <sub>2</sub> Ph              | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 625 | CF <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | nBu                              |
| 626 | CF <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | CF <sub>3</sub>                  |
| 627 | CF <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | CF <sub>2</sub> CF <sub>3</sub>  |

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|     |                 |                                  |                                  |                                  |                                  |
|-----|-----------------|----------------------------------|----------------------------------|----------------------------------|----------------------------------|
| 628 | CF <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> |
| 629 | CF <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub>                  | OCH <sub>3</sub>                 |
| 630 | CF <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub>                  | Ph                               |
| 631 | CF <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub>                  | -CH <sub>2</sub> Ph              |
| 632 | CF <sub>3</sub> | Bu                               | nBu                              | H                                | H                                |
| 633 | CF <sub>3</sub> | CF <sub>3</sub>                  | nBu                              | H                                | H                                |
| 634 | CF <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | nBu                              | H                                | H                                |
| 635 | CF <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | nBu                              | H                                | H                                |
| 636 | CF <sub>3</sub> | OCH <sub>3</sub>                 | nBu                              | H                                | H                                |
| 637 | CF <sub>3</sub> | Ph                               | nBu                              | H                                | H                                |
| 638 | CF <sub>3</sub> | -CH <sub>2</sub> Ph              | nBu                              | H                                | H                                |
| 639 | CF <sub>3</sub> | H                                | H                                | nBu                              | nBu                              |
| 640 | CF <sub>3</sub> | H                                | H                                | CF <sub>3</sub>                  | nBu                              |
| 641 | CF <sub>3</sub> | H                                | H                                | CF <sub>2</sub> CF <sub>3</sub>  | nBu                              |
| 642 | CF <sub>3</sub> | H                                | H                                | CH <sub>2</sub> OCH <sub>3</sub> | nBu                              |
| 643 | CF <sub>3</sub> | H                                | H                                | OCH <sub>3</sub>                 | nBu                              |
| 644 | CF <sub>3</sub> | H                                | H                                | Ph                               | nBu                              |
| 645 | CF <sub>3</sub> | H                                | H                                | -CH <sub>2</sub> Ph              | nBu                              |
| 646 | CF <sub>3</sub> | nBu                              | H                                | H                                | H                                |
| 647 | CF <sub>3</sub> | nBu                              | H                                | H                                | CH <sub>3</sub>                  |
| 648 | CF <sub>3</sub> | nBu                              | H                                | H                                | Bu                               |
| 649 | CF <sub>3</sub> | nBu                              | H                                | H                                | CF <sub>3</sub>                  |
| 650 | CF <sub>3</sub> | nBu                              | H                                | H                                | CF <sub>2</sub> CF <sub>3</sub>  |
| 651 | CF <sub>3</sub> | nBu                              | H                                | H                                | CH <sub>2</sub> OCH <sub>3</sub> |
| 652 | CF <sub>3</sub> | nBu                              | H                                | H                                | OCH <sub>3</sub>                 |
| 653 | CF <sub>3</sub> | nBu                              | H                                | H                                | Ph                               |
| 654 | CF <sub>3</sub> | nBu                              | H                                | H                                | -CH <sub>2</sub> Ph              |
| 655 | CF <sub>3</sub> | H                                | H                                | nBu                              | H                                |
| 656 | CF <sub>3</sub> | H                                | CH <sub>3</sub>                  | nBu                              | H                                |
| 657 | CF <sub>3</sub> | H                                | Bu                               | nBu                              | H                                |
| 658 | CF <sub>3</sub> | H                                | CF <sub>3</sub>                  | nBu                              | H                                |
| 659 | CF <sub>3</sub> | H                                | CF <sub>2</sub> CF <sub>3</sub>  | nBu                              | H                                |
| 660 | CF <sub>3</sub> | H                                | CH <sub>2</sub> OCH <sub>3</sub> | nBu                              | H                                |
| 661 | CF <sub>3</sub> | H                                | OCH <sub>3</sub>                 | nBu                              | H                                |
| 662 | CF <sub>3</sub> | H                                | Ph                               | nBu                              | H                                |
| 663 | CF <sub>3</sub> | H                                | -CH <sub>2</sub> Ph              | nBu                              | H                                |
| 664 | CF <sub>3</sub> | CH <sub>3</sub>                  | nBu                              | nBu                              | H                                |
| 665 | CF <sub>3</sub> | Bu                               | nBu                              | nBu                              | H                                |
| 666 | CF <sub>3</sub> | CF <sub>3</sub>                  | nBu                              | nBu                              | H                                |
| 667 | CF <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | nBu                              | nBu                              | H                                |
| 668 | CF <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | nBu                              | nBu                              | H                                |
| 669 | CF <sub>3</sub> | OCH <sub>3</sub>                 | nBu                              | nBu                              | H                                |
| 670 | CF <sub>3</sub> | Ph                               | nBu                              | nBu                              | H                                |
| 671 | CF <sub>3</sub> | -CH <sub>2</sub> Ph              | nBu                              | nBu                              | H                                |
| 672 | CF <sub>3</sub> | CH <sub>3</sub>                  | H                                | nBu                              | nBu                              |
| 673 | CF <sub>3</sub> | nBu                              | H                                | nBu                              | nBu                              |
| 674 | CF <sub>3</sub> | CF <sub>3</sub>                  | H                                | nBu                              | nBu                              |

|     |                 |                                  |                 |                                  |                                  |
|-----|-----------------|----------------------------------|-----------------|----------------------------------|----------------------------------|
| 675 | CF <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | H               | nBu                              | nBu                              |
| 676 | CF <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | H               | nBu                              | nBu                              |
| 677 | CF <sub>3</sub> | OCH <sub>3</sub>                 | H               | nBu                              | nBu                              |
| 678 | CF <sub>3</sub> | Ph                               | H               | nBu                              | nBu                              |
| 679 | CF <sub>3</sub> | -CH <sub>2</sub> Ph              | H               | nBu                              | nBu                              |
| 680 | CF <sub>3</sub> | nBu                              | nBu             | CH <sub>3</sub>                  | H                                |
| 681 | CF <sub>3</sub> | nBu                              | nBu             | CF <sub>3</sub>                  | H                                |
| 682 | CF <sub>3</sub> | nBu                              | nBu             | CF <sub>2</sub> CF <sub>3</sub>  | H                                |
| 683 | CF <sub>3</sub> | nBu                              | nBu             | CH <sub>2</sub> OCH <sub>3</sub> | H                                |
| 684 | CF <sub>3</sub> | nBu                              | nBu             | OCH <sub>3</sub>                 | H                                |
| 685 | CF <sub>3</sub> | nBu                              | nBu             | Ph                               | H                                |
| 686 | CF <sub>3</sub> | nBu                              | nBu             | -CH <sub>2</sub> Ph              | H                                |
| 687 | CF <sub>3</sub> | nBu                              | H               | CH <sub>3</sub>                  | nBu                              |
| 688 | CF <sub>3</sub> | nBu                              | H               | CF <sub>3</sub>                  | nBu                              |
| 689 | CF <sub>3</sub> | nBu                              | H               | CF <sub>2</sub> CF <sub>3</sub>  | nBu                              |
| 690 | CF <sub>3</sub> | nBu                              | H               | CH <sub>2</sub> OCH <sub>3</sub> | nBu                              |
| 691 | CF <sub>3</sub> | nBu                              | H               | OCH <sub>3</sub>                 | nBu                              |
| 692 | CF <sub>3</sub> | nBu                              | H               | Ph                               | nBu                              |
| 693 | CF <sub>3</sub> | nBu                              | H               | -CH <sub>2</sub> Ph              | nBu                              |
| 694 | CF <sub>3</sub> | CH <sub>3</sub>                  | nBu             | nBu                              | nBu                              |
| 695 | CF <sub>3</sub> | nBu                              | nBu             | nBu                              | nBu                              |
| 696 | CF <sub>3</sub> | CF <sub>3</sub>                  | nBu             | nBu                              | nBu                              |
| 697 | CF <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | nBu             | nBu                              | nBu                              |
| 698 | CF <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | nBu             | nBu                              | nBu                              |
| 699 | CF <sub>3</sub> | OCH <sub>3</sub>                 | nBu             | nBu                              | nBu                              |
| 700 | CF <sub>3</sub> | Ph                               | nBu             | nBu                              | nBu                              |
| 701 | CF <sub>3</sub> | -CH <sub>2</sub> Ph              | nBu             | nBu                              | nBu                              |
| 702 | CF <sub>3</sub> | nBu                              | nBu             | nBu                              | CH <sub>3</sub>                  |
| 703 | CF <sub>3</sub> | nBu                              | nBu             | nBu                              | CF <sub>3</sub>                  |
| 704 | CF <sub>3</sub> | nBu                              | nBu             | nBu                              | CF <sub>2</sub> CF <sub>3</sub>  |
| 705 | CF <sub>3</sub> | nBu                              | nBu             | nBu                              | CH <sub>2</sub> OCH <sub>3</sub> |
| 706 | CF <sub>3</sub> | nBu                              | nBu             | nBu                              | OCH <sub>3</sub>                 |
| 707 | CF <sub>3</sub> | nBu                              | nBu             | nBu                              | Ph                               |
| 708 | CF <sub>3</sub> | nBu                              | nBu             | nBu                              | -CH <sub>2</sub> Ph              |
| 709 | CF <sub>3</sub> | nBu                              | CH <sub>3</sub> | nBu                              | CH <sub>3</sub>                  |
| 710 | CF <sub>3</sub> | nBu                              | CH <sub>3</sub> | nBu                              | CF <sub>3</sub>                  |
| 711 | CF <sub>3</sub> | nBu                              | CH <sub>3</sub> | nBu                              | CF <sub>2</sub> CF <sub>3</sub>  |
| 712 | CF <sub>3</sub> | nBu                              | CH <sub>3</sub> | nBu                              | CH <sub>2</sub> OCH <sub>3</sub> |
| 713 | CF <sub>3</sub> | nBu                              | CH <sub>3</sub> | nBu                              | OCH <sub>3</sub>                 |
| 714 | CF <sub>3</sub> | nBu                              | CH <sub>3</sub> | nBu                              | Ph                               |
| 715 | CF <sub>3</sub> | nBu                              | CH <sub>3</sub> | nBu                              | -CH <sub>2</sub> Ph              |
| 716 | CF <sub>3</sub> | CF <sub>3</sub>                  | nBu             | nBu                              | CH <sub>3</sub>                  |
| 717 | CF <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | nBu             | nBu                              | CH <sub>3</sub>                  |
| 718 | CF <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | nBu             | nBu                              | CH <sub>3</sub>                  |
| 719 | CF <sub>3</sub> | OCH <sub>3</sub>                 | nBu             | nBu                              | CH <sub>3</sub>                  |
| 720 | CF <sub>3</sub> | Ph                               | nBu             | nBu                              | CH <sub>3</sub>                  |
| 721 | CF <sub>3</sub> | -CH <sub>2</sub> Ph              | nBu             | nBu                              | CH <sub>3</sub>                  |

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|     |                 |                                  |                 |                                  |                                  |
|-----|-----------------|----------------------------------|-----------------|----------------------------------|----------------------------------|
| 722 | CF <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | nBu                              | nBu                              |
| 723 | CF <sub>3</sub> | CF <sub>3</sub>                  | CH <sub>3</sub> | nBu                              | nBu                              |
| 724 | CF <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | nBu                              | nBu                              |
| 725 | CF <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | nBu                              | nBu                              |
| 726 | CF <sub>3</sub> | OCH <sub>3</sub>                 | CH <sub>3</sub> | nBu                              | nBu                              |
| 727 | CF <sub>3</sub> | Ph                               | CH <sub>3</sub> | nBu                              | nBu                              |
| 728 | CF <sub>3</sub> | -CH <sub>2</sub> Ph              | CH <sub>3</sub> | nBu                              | nBu                              |
| 729 | CF <sub>3</sub> | nBu                              | nBu             | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 730 | CF <sub>3</sub> | nBu                              | nBu             | CF <sub>3</sub>                  | CH <sub>3</sub>                  |
| 731 | CF <sub>3</sub> | nBu                              | nBu             | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub>                  |
| 732 | CF <sub>3</sub> | nBu                              | nBu             | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub>                  |
| 733 | CF <sub>3</sub> | nBu                              | nBu             | OCH <sub>3</sub>                 | CH <sub>3</sub>                  |
| 734 | CF <sub>3</sub> | nBu                              | nBu             | Ph                               | CH <sub>3</sub>                  |
| 735 | CF <sub>3</sub> | nBu                              | nBu             | -CH <sub>2</sub> Ph              | CH <sub>3</sub>                  |
| 736 | CF <sub>3</sub> | nBu                              | CH <sub>3</sub> | CH <sub>3</sub>                  | CF <sub>3</sub>                  |
| 737 | CF <sub>3</sub> | nBu                              | CH <sub>3</sub> | CH <sub>3</sub>                  | CF <sub>2</sub> CF <sub>3</sub>  |
| 738 | CF <sub>3</sub> | nBu                              | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> |
| 739 | CF <sub>3</sub> | nBu                              | CH <sub>3</sub> | CH <sub>3</sub>                  | OCH <sub>3</sub>                 |
| 740 | CF <sub>3</sub> | nBu                              | CH <sub>3</sub> | CH <sub>3</sub>                  | Ph                               |
| 741 | CF <sub>3</sub> | nBu                              | CH <sub>3</sub> | CH <sub>3</sub>                  | -CH <sub>2</sub> Ph              |
| 742 | CF <sub>3</sub> | CF <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | nBu                              |
| 743 | CF <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | CH <sub>3</sub>                  | nBu                              |
| 744 | CF <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub>                  | nBu                              |
| 745 | CF <sub>3</sub> | OCH <sub>3</sub>                 | CH <sub>3</sub> | CH <sub>3</sub>                  | nBu                              |
| 746 | CF <sub>3</sub> | Ph                               | CH <sub>3</sub> | CH <sub>3</sub>                  | nBu                              |
| 747 | CF <sub>3</sub> | -CH <sub>2</sub> Ph              | CH <sub>3</sub> | CH <sub>3</sub>                  | nBu                              |
| 748 | CF <sub>3</sub> | CF <sub>3</sub>                  | nBu             | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 749 | CF <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | nBu             | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 750 | CF <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | nBu             | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 751 | CF <sub>3</sub> | OCH <sub>3</sub>                 | nBu             | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 752 | CF <sub>3</sub> | Ph                               | nBu             | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 753 | CF <sub>3</sub> | -CH <sub>2</sub> Ph              | nBu             | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 754 | CF <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | CF <sub>3</sub>                  | nBu                              |
| 755 | CF <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | nBu                              |
| 756 | CF <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | nBu                              |
| 757 | CF <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | OCH <sub>3</sub>                 | nBu                              |
| 758 | CF <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | Ph                               | nBu                              |
| 759 | CF <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | nBu                              |
| 760 | CF <sub>3</sub> | CF <sub>3</sub>                  | nBu             | H                                | CH <sub>3</sub>                  |
| 761 | CF <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | nBu             | H                                | CH <sub>3</sub>                  |
| 762 | CF <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | nBu             | H                                | CH <sub>3</sub>                  |
| 763 | CF <sub>3</sub> | OCH <sub>3</sub>                 | nBu             | H                                | CH <sub>3</sub>                  |
| 764 | CF <sub>3</sub> | Ph                               | nBu             | H                                | CH <sub>3</sub>                  |
| 765 | CF <sub>3</sub> | -CH <sub>2</sub> Ph              | nBu             | H                                | CH <sub>3</sub>                  |
| 766 | CF <sub>3</sub> | H                                | CH <sub>3</sub> | CF <sub>3</sub>                  | nBu                              |
| 767 | CF <sub>3</sub> | H                                | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | nBu                              |
| 768 | CF <sub>3</sub> | H                                | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | nBu                              |

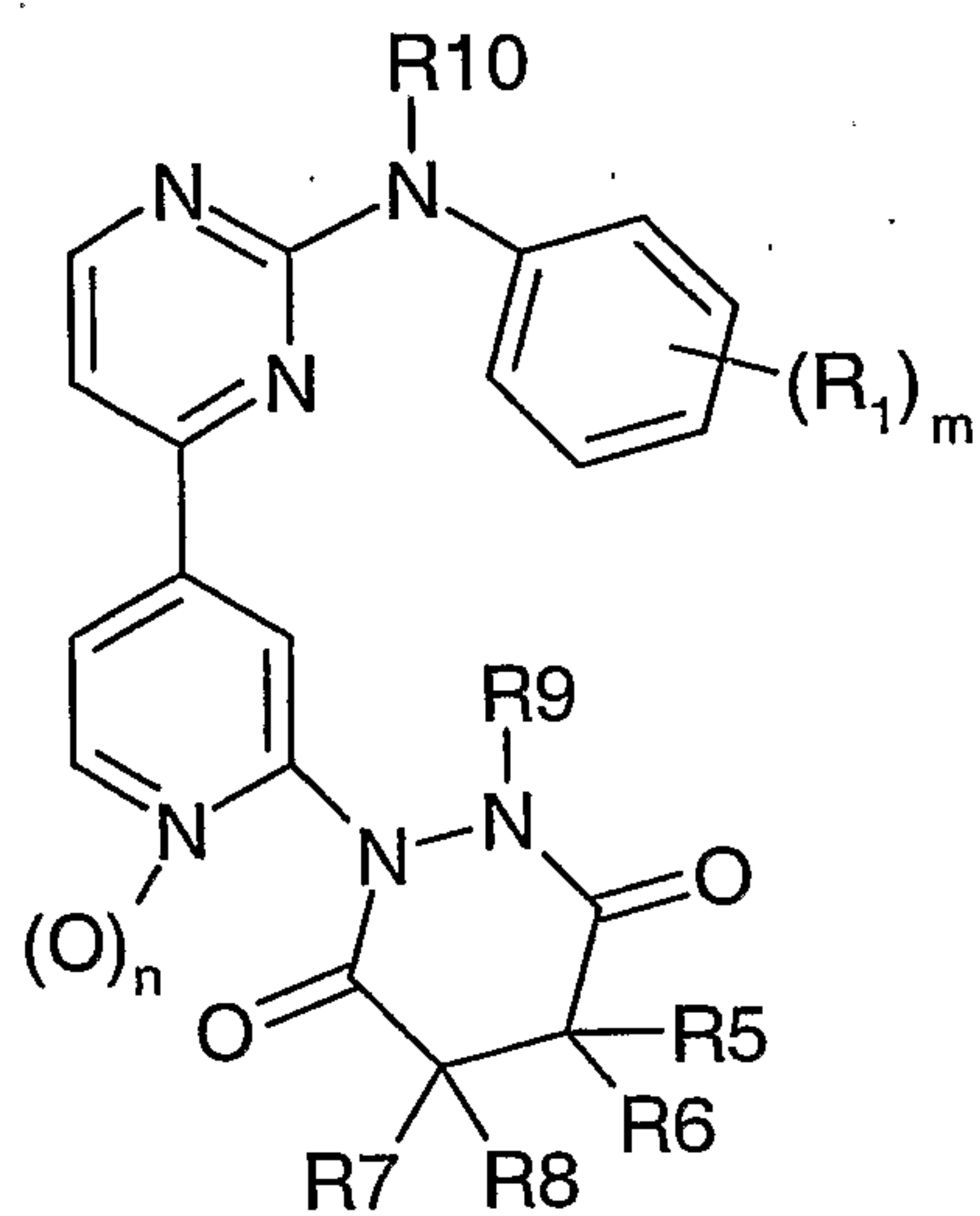
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|     |                 |                                  |                 |                                  |                                  |
|-----|-----------------|----------------------------------|-----------------|----------------------------------|----------------------------------|
| 769 | CF <sub>3</sub> | H                                | CH <sub>3</sub> | OCH <sub>3</sub>                 | nBu                              |
| 770 | CF <sub>3</sub> | H                                | CH <sub>3</sub> | Ph                               | nBu                              |
| 771 | CF <sub>3</sub> | H                                | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | nBu                              |
| 772 | CF <sub>3</sub> | nBu                              | H               | CH <sub>3</sub>                  | CF <sub>3</sub>                  |
| 773 | CF <sub>3</sub> | nBu                              | H               | CH <sub>3</sub>                  | CF <sub>2</sub> CF <sub>3</sub>  |
| 774 | CF <sub>3</sub> | nBu                              | H               | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> |
| 775 | CF <sub>3</sub> | nBu                              | H               | CH <sub>3</sub>                  | OCH <sub>3</sub>                 |
| 776 | CF <sub>3</sub> | nBu                              | H               | CH <sub>3</sub>                  | Ph                               |
| 777 | CF <sub>3</sub> | nBu                              | H               | CH <sub>3</sub>                  | -CH <sub>2</sub> Ph              |
| 778 | CF <sub>3</sub> | CF <sub>3</sub>                  | CH <sub>3</sub> | nBu                              | H                                |
| 779 | CF <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | nBu                              | H                                |
| 780 | CF <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | nBu                              | H                                |
| 781 | CF <sub>3</sub> | OCH <sub>3</sub>                 | CH <sub>3</sub> | nBu                              | H                                |
| 782 | CF <sub>3</sub> | Ph                               | CH <sub>3</sub> | nBu                              | H                                |
| 783 | CF <sub>3</sub> | -CH <sub>2</sub> Ph              | CH <sub>3</sub> | nBu                              | H                                |
| 784 | CF <sub>3</sub> | CF <sub>3</sub>                  | nBu             | CH <sub>3</sub>                  | H                                |
| 785 | CF <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | nBu             | CH <sub>3</sub>                  | H                                |
| 786 | CF <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | nBu             | CH <sub>3</sub>                  | H                                |
| 787 | CF <sub>3</sub> | OCH <sub>3</sub>                 | nBu             | CH <sub>3</sub>                  | H                                |
| 788 | CF <sub>3</sub> | Ph                               | nBu             | CH <sub>3</sub>                  | H                                |
| 789 | CF <sub>3</sub> | -CH <sub>2</sub> Ph              | nBu             | CH <sub>3</sub>                  | H                                |
| 790 | CF <sub>3</sub> | CH <sub>3</sub>                  | H               | CF <sub>3</sub>                  | nBu                              |
| 791 | CF <sub>3</sub> | CH <sub>3</sub>                  | H               | CF <sub>2</sub> CF <sub>3</sub>  | nBu                              |
| 792 | CF <sub>3</sub> | CH <sub>3</sub>                  | H               | CH <sub>2</sub> OCH <sub>3</sub> | nBu                              |
| 793 | CF <sub>3</sub> | CH <sub>3</sub>                  | H               | OCH <sub>3</sub>                 | nBu                              |
| 794 | CF <sub>3</sub> | CH <sub>3</sub>                  | H               | Ph                               | nBu                              |
| 795 | CF <sub>3</sub> | CH <sub>3</sub>                  | H               | -CH <sub>2</sub> Ph              | nBu                              |
| 796 | CF <sub>3</sub> | nBu                              | CH <sub>3</sub> | H                                | CF <sub>3</sub>                  |
| 797 | CF <sub>3</sub> | nBu                              | CH <sub>3</sub> | H                                | CF <sub>2</sub> CF <sub>3</sub>  |
| 798 | CF <sub>3</sub> | nBu                              | CH <sub>3</sub> | H                                | CH <sub>2</sub> OCH <sub>3</sub> |
| 799 | CF <sub>3</sub> | nBu                              | CH <sub>3</sub> | H                                | OCH <sub>3</sub>                 |
| 800 | CF <sub>3</sub> | nBu                              | CH <sub>3</sub> | H                                | Ph                               |
| 801 | CF <sub>3</sub> | nBu                              | CH <sub>3</sub> | H                                | -CH <sub>2</sub> Ph              |
| 802 | CF <sub>3</sub> | CF <sub>3</sub>                  | H               | CH <sub>3</sub>                  | nBu                              |
| 803 | CF <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | H               | CH <sub>3</sub>                  | nBu                              |
| 804 | CF <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | H               | CH <sub>3</sub>                  | nBu                              |
| 805 | CF <sub>3</sub> | OCH <sub>3</sub>                 | H               | CH <sub>3</sub>                  | nBu                              |
| 806 | CF <sub>3</sub> | Ph                               | H               | CH <sub>3</sub>                  | nBu                              |
| 807 | CF <sub>3</sub> | -CH <sub>2</sub> Ph              | H               | CH <sub>3</sub>                  | nBu                              |
| 808 | Ph              | H                                | H               | H                                | H                                |
| 809 | Ph              | CH <sub>3</sub>                  | H               | H                                | H                                |
| 810 | Ph              | H                                | H               | CH <sub>3</sub>                  | H                                |
| 811 | Ph              | CH <sub>3</sub>                  | CH <sub>3</sub> | H                                | H                                |
| 812 | Ph              | H                                | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  |
| 813 | Ph              | CF <sub>3</sub>                  | H               | H                                | H                                |
| 814 | Ph              | H                                | H               | CF <sub>3</sub>                  | H                                |
| 815 | OH              | H                                | H               | H                                | H                                |

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|     |                 |                                  |                                 |                                  |                 |
|-----|-----------------|----------------------------------|---------------------------------|----------------------------------|-----------------|
| 816 | OH              | CH <sub>3</sub>                  | H                               | H                                | H               |
| 817 | OH              | H                                | H                               | CH <sub>3</sub>                  | H               |
| 818 | OH              | CH <sub>3</sub>                  | CH <sub>3</sub>                 | H                                | H               |
| 819 | OH              | H                                | H                               | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 820 | OH              | H                                | H                               | CF <sub>3</sub>                  | H               |
| 821 | OH              | CF <sub>3</sub>                  | H                               | H                                | CH <sub>3</sub> |
| 822 | OH              | CF <sub>3</sub>                  | H                               | H                                | H               |
| 823 | OH              | CH <sub>3</sub>                  | H                               | CF <sub>3</sub>                  | H               |
| 824 | OH              | H                                | H                               | CH <sub>2</sub> OCH <sub>3</sub> | H               |
| 825 | OH              | CH <sub>2</sub> OCH <sub>3</sub> | H                               | H                                | H               |
| 826 | OH              | CH <sub>2</sub> OCH <sub>3</sub> | H                               | CH <sub>3</sub>                  | H               |
| 827 | OH              | H                                | CH <sub>3</sub>                 | CH <sub>2</sub> OCH <sub>3</sub> | H               |
| 828 | OH              | CH <sub>2</sub> OCH <sub>3</sub> | H                               | CH <sub>3</sub>                  | H               |
| 829 | OH              | H                                | CH <sub>3</sub>                 | CH <sub>2</sub> OCH <sub>3</sub> | H               |
| 830 | CH <sub>3</sub> | H                                | (CH <sub>2</sub> ) <sub>4</sub> |                                  | H               |

Table B-8



I.8

5

|     | R <sub>5</sub>                   | R <sub>6</sub> | R <sub>7</sub>  | R <sub>8</sub> | R <sub>9</sub> |
|-----|----------------------------------|----------------|-----------------|----------------|----------------|
| 1.  | H                                | H              | H               | H              | H              |
| 2.  | CH <sub>3</sub>                  | H              | H               | H              | H              |
| 3.  | n-Bu                             | H              | H               | H              | H              |
| 4.  | CF <sub>3</sub>                  | H              | H               | H              | H              |
| 5.  | CF <sub>2</sub> CF <sub>3</sub>  | H              | H               | H              | H              |
| 6.  | CH <sub>2</sub> OCH <sub>3</sub> | H              | H               | H              | H              |
| 7.  | OCH <sub>3</sub>                 | H              | H               | H              | H              |
| 8.  | Ph                               | H              | H               | H              | H              |
| 9.  | -CH <sub>2</sub> Ph              | H              | H               | H              | H              |
| 10. | H                                | H              | CH <sub>3</sub> | H              | H              |

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|     |                                  |                 |                                  |                                  |   |
|-----|----------------------------------|-----------------|----------------------------------|----------------------------------|---|
| 11. | H                                | H               | n-Bu                             | H                                | H |
| 12. | H                                | H               | CF <sub>3</sub>                  | H                                | H |
| 13. | H                                | H               | CF <sub>2</sub> CF <sub>3</sub>  | H                                | H |
| 14. | H                                | H               | CH <sub>2</sub> OCH <sub>3</sub> | H                                | H |
| 15. | H                                | H               | OCH <sub>3</sub>                 | H                                | H |
| 16. | H                                | H               | Ph                               | H                                | H |
| 17. | H                                | H               | -CH <sub>2</sub> Ph              | H                                | H |
| 18. | CH <sub>3</sub>                  | CH <sub>3</sub> | H                                | H                                | H |
| 19. | n-Bu                             | CH <sub>3</sub> | H                                | H                                | H |
| 20. | CF <sub>3</sub>                  | CH <sub>3</sub> | H                                | H                                | H |
| 21. | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | H                                | H                                | H |
| 22. | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | H                                | H                                | H |
| 23. | OCH <sub>3</sub>                 | CH <sub>3</sub> | H                                | H                                | H |
| 24. | Ph                               | CH <sub>3</sub> | H                                | H                                | H |
| 25. | -CH <sub>2</sub> Ph              | CH <sub>3</sub> | H                                | H                                | H |
| 26. | H                                | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  | H |
| 27. | H                                | H               | CH <sub>3</sub>                  | n-Bu                             | H |
| 28. | H                                | H               | CH <sub>3</sub>                  | CF <sub>3</sub>                  | H |
| 29. | H                                | H               | CH <sub>3</sub>                  | CF <sub>2</sub> CF <sub>3</sub>  | H |
| 30. | H                                | H               | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> | H |
| 31. | H                                | H               | CH <sub>3</sub>                  | OCH <sub>3</sub>                 | H |
| 32. | H                                | H               | CH <sub>3</sub>                  | Ph                               | H |
| 33. | H                                | H               | CH <sub>3</sub>                  | CH <sub>2</sub> Ph               | H |
| 34. | CH <sub>3</sub>                  | H               | H                                | CH <sub>3</sub>                  | H |
| 35. | n-Bu                             | H               | H                                | CH <sub>3</sub>                  | H |
| 36. | CF <sub>3</sub>                  | H               | H                                | CH <sub>3</sub>                  | H |
| 37. | CF <sub>2</sub> CF <sub>3</sub>  | H               | H                                | CH <sub>3</sub>                  | H |
| 38. | CH <sub>2</sub> OCH <sub>3</sub> | H               | H                                | CH <sub>3</sub>                  | H |
| 39. | OCH <sub>3</sub>                 | H               | H                                | CH <sub>3</sub>                  | H |
| 40. | Ph                               | H               | H                                | CH <sub>3</sub>                  | H |
| 41. | -CH <sub>2</sub> Ph              | H               | H                                | CH <sub>3</sub>                  | H |
| 42. | H                                | CH <sub>3</sub> | n-Bu                             | H                                | H |
| 43. | H                                | CH <sub>3</sub> | CF <sub>3</sub>                  | H                                | H |
| 44. | H                                | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | H                                | H |
| 45. | H                                | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | H                                | H |
| 46. | H                                | CH <sub>3</sub> | OCH <sub>3</sub>                 | H                                | H |
| 47. | H                                | CH <sub>3</sub> | Ph                               | H                                | H |
| 48. | H                                | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | H                                | H |
| 49. | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  | H |
| 50. | n-Bu                             | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  | H |
| 51. | CF <sub>3</sub>                  | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  | H |
| 52. | CF <sub>2</sub> CF <sub>3</sub>  | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  | H |
| 53. | CH <sub>2</sub> OCH <sub>3</sub> | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  | H |
| 54. | OCH <sub>3</sub>                 | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  | H |
| 55. | Ph                               | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  | H |
| 56. | CH <sub>2</sub> Ph               | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  | H |
| 57. | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                | H |

|      |                                  |                 |                                  |                                  |   |
|------|----------------------------------|-----------------|----------------------------------|----------------------------------|---|
| 58.  | CH <sub>3</sub>                  | CH <sub>3</sub> | n-Bu                             | H                                | H |
| 59.  | CH <sub>3</sub>                  | CH <sub>3</sub> | CF <sub>3</sub>                  | H                                | H |
| 60.  | CH <sub>3</sub>                  | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | H                                | H |
| 61.  | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | H                                | H |
| 62.  | CH <sub>3</sub>                  | CH <sub>3</sub> | OCH <sub>3</sub>                 | H                                | H |
| 63.  | CH <sub>3</sub>                  | CH <sub>3</sub> | Ph                               | H                                | H |
| 64.  | CH <sub>3</sub>                  | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | H                                | H |
| 65.  | n-Bu                             | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                | H |
| 66.  | CF <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                | H |
| 67.  | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                | H |
| 68.  | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                | H |
| 69.  | OCH <sub>3</sub>                 | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                | H |
| 70.  | Ph                               | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                | H |
| 71.  | CH <sub>2</sub> Ph               | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                | H |
| 72.  | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | n-Bu                             | H |
| 73.  | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | CF <sub>3</sub>                  | H |
| 74.  | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | CF <sub>2</sub> CF <sub>3</sub>  | H |
| 75.  | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> | H |
| 76.  | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | OCH <sub>3</sub>                 | H |
| 77.  | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | Ph                               | H |
| 78.  | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | CH <sub>2</sub> Ph               | H |
| 79.  | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | H |
| 80.  | n-Bu                             | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | H |
| 81.  | CF <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | H |
| 82.  | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | H |
| 83.  | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | H |
| 84.  | OCH <sub>3</sub>                 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | H |
| 85.  | Ph                               | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | H |
| 86.  | CH <sub>2</sub> Ph               | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | H |
| 87.  | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | n-Bu                             | H |
| 88.  | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | CF <sub>3</sub>                  | H |
| 89.  | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | CF <sub>2</sub> CF <sub>3</sub>  | H |
| 90.  | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> | H |
| 91.  | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | OCH <sub>3</sub>                 | H |
| 92.  | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | Ph                               | H |
| 93.  | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>2</sub> Ph               | H |
| 94.  | n-Bu                             | n-Bu            | H                                | H                                | H |
| 95.  | CF <sub>3</sub>                  | n-Bu            | H                                | H                                | H |
| 96.  | CF <sub>2</sub> CF <sub>3</sub>  | n-Bu            | H                                | H                                | H |
| 97.  | CH <sub>2</sub> OCH <sub>3</sub> | n-Bu            | H                                | H                                | H |
| 98.  | OCH <sub>3</sub>                 | n-Bu            | H                                | H                                | H |
| 99.  | Ph                               | n-Bu            | H                                | H                                | H |
| 100. | CH <sub>2</sub> Ph               | n-Bu            | H                                | H                                | H |
| 101. | H                                | H               | n-Bu                             | n-Bu                             | H |
| 102. | H                                | H               | CF <sub>3</sub>                  | n-Bu                             | H |
| 103. | H                                | H               | CF <sub>2</sub> CF <sub>3</sub>  | n-Bu                             | H |
| 104. | H                                | H               | CH <sub>2</sub> OCH <sub>3</sub> | n-Bu                             | H |

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|      |                                  |                                  |                                  |                                  |   |
|------|----------------------------------|----------------------------------|----------------------------------|----------------------------------|---|
| 105. | H                                | H                                | OCH <sub>3</sub>                 | n-Bu                             | H |
| 106. | H                                | H                                | Ph                               | n-Bu                             | H |
| 107. | H                                | H                                | -CH <sub>2</sub> Ph              | n-Bu                             | H |
| 108. | n-Bu                             | H                                | H                                | H                                | H |
| 109. | n-Bu                             | H                                | H                                | CH <sub>3</sub>                  | H |
| 110. | n-Bu                             | H                                | H                                | v                                | H |
| 111. | n-Bu                             | H                                | H                                | CF <sub>3</sub>                  | H |
| 112. | n-Bu                             | H                                | H                                | CF <sub>2</sub> CF <sub>3</sub>  | H |
| 113. | n-Bu                             | H                                | H                                | CH <sub>2</sub> OCH <sub>3</sub> | H |
| 114. | n-Bu                             | H                                | H                                | OCH <sub>3</sub>                 | H |
| 115. | n-Bu                             | H                                | H                                | Ph                               | H |
| 116. | n-Bu                             | H                                | H                                | -CH <sub>2</sub> Ph              | H |
| 117. | H                                | H                                | n-Bu                             | H                                | H |
| 118. | H                                | CH <sub>3</sub>                  | n-Bu                             | H                                | H |
| 119. | H                                | n-Bu                             | n-Bu                             | H                                | H |
| 120. | H                                | CF <sub>3</sub>                  | n-Bu                             | H                                | H |
| 121. | H                                | CF <sub>2</sub> CF <sub>3</sub>  | n-Bu                             | H                                | H |
| 122. | H                                | CH <sub>2</sub> OCH <sub>3</sub> | n-Bu                             | H                                | H |
| 123. | H                                | OCH <sub>3</sub>                 | n-Bu                             | H                                | H |
| 124. | H                                | Ph                               | n-Bu                             | H                                | H |
| 125. | H                                | -CH <sub>2</sub> Ph              | n-Bu                             | H                                | H |
| 126. | CH <sub>3</sub>                  | n-Bu                             | n-Bu                             | H                                | H |
| 127. | n-Bu                             | n-Bu                             | n-Bu                             | H                                | H |
| 128. | CF <sub>3</sub>                  | n-Bu                             | n-Bu                             | H                                | H |
| 129. | CF <sub>2</sub> CF <sub>3</sub>  | n-Bu                             | n-Bu                             | H                                | H |
| 130. | CH <sub>2</sub> OCH <sub>3</sub> | n-Bu                             | n-Bu                             | H                                | H |
| 131. | OCH <sub>3</sub>                 | n-Bu                             | n-Bu                             | H                                | H |
| 132. | Ph                               | n-Bu                             | n-Bu                             | H                                | H |
| 133. | -CH <sub>2</sub> Ph              | n-Bu                             | n-Bu                             | H                                | H |
| 134. | CH <sub>3</sub>                  | H                                | n-Bu                             | n-Bu                             | H |
| 135. | n-Bu                             | H                                | n-Bu                             | n-Bu                             | H |
| 136. | CF <sub>3</sub>                  | H                                | n-Bu                             | n-Bu                             | H |
| 137. | CF <sub>2</sub> CF <sub>3</sub>  | H                                | n-Bu                             | n-Bu                             | H |
| 138. | CH <sub>2</sub> OCH <sub>3</sub> | H                                | n-Bu                             | n-Bu                             | H |
| 139. | OCH <sub>3</sub>                 | H                                | n-Bu                             | n-Bu                             | H |
| 140. | Ph                               | H                                | n-Bu                             | n-Bu                             | H |
| 141. | -CH <sub>2</sub> Ph              | H                                | n-Bu                             | n-Bu                             | H |
| 142. | n-Bu                             | n-Bu                             | CH <sub>3</sub>                  | H                                | H |
| 143. | n-Bu                             | n-Bu                             | CF <sub>3</sub>                  | H                                | H |
| 144. | n-Bu                             | n-Bu                             | CF <sub>2</sub> CF <sub>3</sub>  | H                                | H |
| 145. | n-Bu                             | n-Bu                             | CH <sub>2</sub> OCH <sub>3</sub> | H                                | H |
| 146. | n-Bu                             | n-Bu                             | OCH <sub>3</sub>                 | H                                | H |
| 147. | n-Bu                             | n-Bu                             | Ph                               | H                                | H |
| 148. | n-Bu                             | n-Bu                             | -CH <sub>2</sub> Ph              | H                                | H |
| 149. | n-Bu                             | H                                | CH <sub>3</sub>                  | n-Bu                             | H |
| 150. | n-Bu                             | H                                | CF <sub>3</sub>                  | n-Bu                             | H |
| 151. | n-Bu                             | H                                | CF <sub>2</sub> CF <sub>3</sub>  | n-Bu                             | H |

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|      |                                  |                 |                                  |                                  |   |
|------|----------------------------------|-----------------|----------------------------------|----------------------------------|---|
| 152. | n-Bu                             | H               | CH <sub>2</sub> OCH <sub>3</sub> | n-Bu                             | H |
| 153. | n-Bu                             | H               | OCH <sub>3</sub>                 | n-Bu                             | H |
| 154. | n-Bu                             | H               | Ph                               | n-Bu                             | H |
| 155. | n-Bu                             | H               | -CH <sub>2</sub> Ph              | n-Bu                             | H |
| 156. | CH <sub>3</sub>                  | n-Bu            | n-Bu                             | n-Bu                             | H |
| 157. | n-Bu                             | n-Bu            | n-Bu                             | n-Bu                             | H |
| 158. | CF <sub>3</sub>                  | n-Bu            | n-Bu                             | n-Bu                             | H |
| 159. | CF <sub>2</sub> CF <sub>3</sub>  | n-Bu            | n-Bu                             | n-Bu                             | H |
| 160. | CH <sub>2</sub> OCH <sub>3</sub> | n-Bu            | n-Bu                             | n-Bu                             | H |
| 161. | OCH <sub>3</sub>                 | n-Bu            | n-Bu                             | n-Bu                             | H |
| 162. | Ph                               | n-Bu            | n-Bu                             | n-Bu                             | H |
| 163. | -CH <sub>2</sub> Ph              | n-Bu            | n-Bu                             | n-Bu                             | H |
| 164. | n-Bu                             | n-Bu            | n-Bu                             | CH <sub>3</sub>                  | H |
| 165. | n-Bu                             | n-Bu            | n-Bu                             | CF <sub>3</sub>                  | H |
| 166. | n-Bu                             | n-Bu            | n-Bu                             | CF <sub>2</sub> CF <sub>3</sub>  | H |
| 167. | n-Bu                             | n-Bu            | n-Bu                             | CH <sub>2</sub> OCH <sub>3</sub> | H |
| 168. | n-Bu                             | n-Bu            | n-Bu                             | OCH <sub>3</sub>                 | H |
| 169. | n-Bu                             | n-Bu            | n-Bu                             | Ph                               | H |
| 170. | n-Bu                             | n-Bu            | n-Bu                             | -CH <sub>2</sub> Ph              | H |
| 171. | n-Bu                             | CH <sub>3</sub> | n-Bu                             | CH <sub>3</sub>                  | H |
| 172. | n-Bu                             | CH <sub>3</sub> | n-Bu                             | CF <sub>3</sub>                  | H |
| 173. | n-Bu                             | CH <sub>3</sub> | n-Bu                             | CF <sub>2</sub> CF <sub>3</sub>  | H |
| 174. | n-Bu                             | CH <sub>3</sub> | n-Bu                             | CH <sub>2</sub> OCH <sub>3</sub> | H |
| 175. | n-Bu                             | CH <sub>3</sub> | n-Bu                             | OCH <sub>3</sub>                 | H |
| 176. | n-Bu                             | CH <sub>3</sub> | n-Bu                             | Ph                               | H |
| 177. | n-Bu                             | CH <sub>3</sub> | n-Bu                             | -CH <sub>2</sub> Ph              | H |
| 178. | CF <sub>3</sub>                  | n-Bu            | n-Bu                             | CH <sub>3</sub>                  | H |
| 179. | CF <sub>2</sub> CF <sub>3</sub>  | n-Bu            | n-Bu                             | CH <sub>3</sub>                  | H |
| 180. | CH <sub>2</sub> OCH <sub>3</sub> | n-Bu            | n-Bu                             | CH <sub>3</sub>                  | H |
| 181. | OCH <sub>3</sub>                 | n-Bu            | n-Bu                             | CH <sub>3</sub>                  | H |
| 182. | Ph                               | n-Bu            | n-Bu                             | CH <sub>3</sub>                  | H |
| 183. | -CH <sub>2</sub> Ph              | n-Bu            | n-Bu                             | CH <sub>3</sub>                  | H |
| 184. | CH <sub>3</sub>                  | CH <sub>3</sub> | n-Bu                             | n-Bu                             | H |
| 185. | CF <sub>3</sub>                  | CH <sub>3</sub> | n-Bu                             | n                                | H |
| 186. | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | n-Bu                             | n                                | H |
| 187. | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | n-Bu                             | n                                | H |
| 188. | OCH <sub>3</sub>                 | CH <sub>3</sub> | n-Bu                             | n                                | H |
| 189. | Ph                               | CH <sub>3</sub> | n-Bu                             | n                                | H |
| 190. | -CH <sub>2</sub> Ph              | CH <sub>3</sub> | n-Bu                             | n                                | H |
| 191. | n-Bu                             | n-Bu            | CH <sub>3</sub>                  | CH <sub>3</sub>                  | H |
| 192. | n-Bu                             | n-Bu            | CF <sub>3</sub>                  | CH <sub>3</sub>                  | H |
| 193. | n-Bu                             | n-Bu            | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub>                  | H |
| 194. | n-Bu                             | n-Bu            | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub>                  | H |
| 195. | n-Bu                             | n-Bu            | OCH <sub>3</sub>                 | CH <sub>3</sub>                  | H |
| 196. | n-Bu                             | n-Bu            | Ph                               | CH <sub>3</sub>                  | H |
| 197. | n-Bu                             | n-Bu            | -CH <sub>2</sub> Ph              | CH <sub>3</sub>                  | H |
| 198. | n-Bu                             | CH <sub>3</sub> | CH <sub>3</sub>                  | CF <sub>3</sub>                  | H |

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|      |                                  |                 |                                  |                                  |   |
|------|----------------------------------|-----------------|----------------------------------|----------------------------------|---|
| 199. | n-Bu                             | CH <sub>3</sub> | CH <sub>3</sub>                  | CF <sub>2</sub> CF <sub>3</sub>  | H |
| 200. | n-Bu                             | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> | H |
| 201. | n-Bu                             | CH <sub>3</sub> | CH <sub>3</sub>                  | OCH <sub>3</sub>                 | H |
| 202. | n-Bu                             | CH <sub>3</sub> | CH <sub>3</sub>                  | Ph                               | H |
| 203. | n-Bu                             | CH <sub>3</sub> | CH <sub>3</sub>                  | -CH <sub>2</sub> Ph              | H |
| 204. | CF <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | n-Bu                             | H |
| 205. | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | CH <sub>3</sub>                  | n-Bu                             | H |
| 206. | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub>                  | n-Bu                             | H |
| 207. | OCH <sub>3</sub>                 | CH <sub>3</sub> | CH <sub>3</sub>                  | n-Bu                             | H |
| 208. | Ph                               | CH <sub>3</sub> | CH <sub>3</sub>                  | n-Bu                             | H |
| 209. | -CH <sub>2</sub> Ph              | CH <sub>3</sub> | CH <sub>3</sub>                  | n-Bu                             | H |
| 210. | CF <sub>3</sub>                  | n-Bu            | CH <sub>3</sub>                  | CH <sub>3</sub>                  | H |
| 211. | CF <sub>2</sub> CF <sub>3</sub>  | n-Bu            | CH <sub>3</sub>                  | CH <sub>3</sub>                  | H |
| 212. | CH <sub>2</sub> OCH <sub>3</sub> | n-Bu            | CH <sub>3</sub>                  | CH <sub>3</sub>                  | H |
| 213. | OCH <sub>3</sub>                 | n-Bu            | CH <sub>3</sub>                  | CH <sub>3</sub>                  | H |
| 214. | Ph                               | n-Bu            | CH <sub>3</sub>                  | CH <sub>3</sub>                  | H |
| 215. | -CH <sub>2</sub> Ph              | n-Bu            | CH <sub>3</sub>                  | CH <sub>3</sub>                  | H |
| 216. | CH <sub>3</sub>                  | CH <sub>3</sub> | CF <sub>3</sub>                  | n-Bu                             | H |
| 217. | CH <sub>3</sub>                  | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | n-Bu                             | H |
| 218. | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | n-Bu                             | H |
| 219. | CH <sub>3</sub>                  | CH <sub>3</sub> | OCH <sub>3</sub>                 | n-Bu                             | H |
| 220. | CH <sub>3</sub>                  | CH <sub>3</sub> | Ph                               | n-Bu                             | H |
| 221. | CH <sub>3</sub>                  | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | n-Bu                             | H |
| 222. | CF <sub>3</sub>                  | n-Bu            | H                                | CH <sub>3</sub>                  | H |
| 223. | CF <sub>2</sub> CF <sub>3</sub>  | n-Bu            | H                                | CH <sub>3</sub>                  | H |
| 224. | CH <sub>2</sub> OCH <sub>3</sub> | n-Bu            | H                                | CH <sub>3</sub>                  | H |
| 225. | OCH <sub>3</sub>                 | n-Bu            | H                                | CH <sub>3</sub>                  | H |
| 226. | Ph                               | n-Bu            | H                                | CH <sub>3</sub>                  | H |
| 227. | -CH <sub>2</sub> Ph              | n-Bu            | H                                | CH <sub>3</sub>                  | H |
| 228. | H                                | CH <sub>3</sub> | CF <sub>3</sub>                  | n-Bu                             | H |
| 229. | H                                | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | n-Bu                             | H |
| 230. | H                                | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | n-Bu                             | H |
| 231. | H                                | CH <sub>3</sub> | OCH <sub>3</sub>                 | n-Bu                             | H |
| 232. | H                                | CH <sub>3</sub> | Ph                               | n-Bu                             | H |
| 233. | H                                | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | n-Bu                             | H |
| 234. | n-Bu                             | H               | CH <sub>3</sub>                  | CF <sub>3</sub>                  | H |
| 235. | n-Bu                             | H               | CH <sub>3</sub>                  | CF <sub>2</sub> CF <sub>3</sub>  | H |
| 236. | n-Bu                             | H               | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> | H |
| 237. | n-Bu                             | H               | CH <sub>3</sub>                  | OCH <sub>3</sub>                 | H |
| 238. | n-Bu                             | H               | CH <sub>3</sub>                  | Ph                               | H |
| 239. | n-Bu                             | H               | CH <sub>3</sub>                  | -CH <sub>2</sub> Ph              | H |
| 240. | CF <sub>3</sub>                  | CH <sub>3</sub> | n-Bu                             | H                                | H |
| 241. | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | n-Bu                             | H                                | H |
| 242. | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | n-Bu                             | H                                | H |
| 243. | OCH <sub>3</sub>                 | CH <sub>3</sub> | n-Bu                             | H                                | H |
| 244. | Ph                               | CH <sub>3</sub> | n-Bu                             | H                                | H |
| 245. | -CH <sub>2</sub> Ph              | CH <sub>3</sub> | n-Bu                             | H                                | H |

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|      |                                  |                 |                                  |                                  |                 |
|------|----------------------------------|-----------------|----------------------------------|----------------------------------|-----------------|
| 246. | CF <sub>3</sub>                  | n-Bu            | CH <sub>3</sub>                  | H                                | H               |
| 247. | CF <sub>2</sub> CF <sub>3</sub>  | n-Bu            | CH <sub>3</sub>                  | H                                | H               |
| 248. | CH <sub>2</sub> OCH <sub>3</sub> | n-Bu            | CH <sub>3</sub>                  | H                                | H               |
| 249. | OCH <sub>3</sub>                 | n-Bu            | CH <sub>3</sub>                  | H                                | H               |
| 250. | Ph                               | n-Bu            | CH <sub>3</sub>                  | H                                | H               |
| 251. | -CH <sub>2</sub> Ph              | n-Bu            | CH <sub>3</sub>                  | H                                | H               |
| 252. | CH <sub>3</sub>                  | H               | CF <sub>3</sub>                  | n-Bu                             | H               |
| 253. | CH <sub>3</sub>                  | H               | CF <sub>2</sub> CF <sub>3</sub>  | n-Bu                             | H               |
| 254. | CH <sub>3</sub>                  | H               | CH <sub>2</sub> OCH <sub>3</sub> | n-Bu                             | H               |
| 255. | CH <sub>3</sub>                  | H               | OCH <sub>3</sub>                 | n-Bu                             | H               |
| 256. | CH <sub>3</sub>                  | H               | Ph                               | n-Bu                             | H               |
| 257. | CH <sub>3</sub>                  | H               | -CH <sub>2</sub> Ph              | n-Bu                             | H               |
| 258. | n-Bu                             | CH <sub>3</sub> | H                                | CF <sub>3</sub>                  | H               |
| 259. | n-Bu                             | CH <sub>3</sub> | H                                | CF <sub>2</sub> CF <sub>3</sub>  | H               |
| 260. | n-Bu                             | CH <sub>3</sub> | H                                | CH <sub>2</sub> OCH <sub>3</sub> | H               |
| 261. | n-Bu                             | CH <sub>3</sub> | H                                | OCH <sub>3</sub>                 | H               |
| 262. | n-Bu                             | CH <sub>3</sub> | H                                | Ph                               | H               |
| 263. | n-Bu                             | CH <sub>3</sub> | H                                | -CH <sub>2</sub> Ph              | H               |
| 264. | CF <sub>3</sub>                  | H               | CH <sub>3</sub>                  | n-Bu                             | H               |
| 265. | CF <sub>2</sub> CF <sub>3</sub>  | H               | CH <sub>3</sub>                  | n-Bu                             | H               |
| 266. | CH <sub>2</sub> OCH <sub>3</sub> | H               | CH <sub>3</sub>                  | n-Bu                             | H               |
| 267. | OCH <sub>3</sub>                 | H               | CH <sub>3</sub>                  | n-Bu                             | H               |
| 268. | Ph                               | H               | CH <sub>3</sub>                  | n-Bu                             | H               |
| 269. | -CH <sub>2</sub> Ph              | H               | CH <sub>3</sub>                  | n-Bu                             | H               |
| 270. | H                                | H               | H                                | H                                | CH <sub>3</sub> |
| 271. | CH <sub>3</sub>                  | H               | H                                | H                                | CH <sub>3</sub> |
| 272. | n-Bu                             | H               | H                                | H                                | CH <sub>3</sub> |
| 273. | CF <sub>3</sub>                  | H               | H                                | H                                | CH <sub>3</sub> |
| 274. | CF <sub>2</sub> CF <sub>3</sub>  | H               | H                                | H                                | CH <sub>3</sub> |
| 275. | CH <sub>2</sub> OCH <sub>3</sub> | H               | H                                | H                                | CH <sub>3</sub> |
| 276. | OCH <sub>3</sub>                 | H               | H                                | H                                | CH <sub>3</sub> |
| 277. | Ph                               | H               | H                                | H                                | CH <sub>3</sub> |
| 278. | -CH <sub>2</sub> Ph              | H               | H                                | H                                | CH <sub>3</sub> |
| 279. | H                                | H               | CH <sub>3</sub>                  | H                                | CH <sub>3</sub> |
| 280. | H                                | H               | n-Bu                             | H                                | CH <sub>3</sub> |
| 281. | H                                | H               | CF <sub>3</sub>                  | H                                | CH <sub>3</sub> |
| 282. | H                                | H               | CF <sub>2</sub> CF <sub>3</sub>  | H                                | CH <sub>3</sub> |
| 283. | H                                | H               | CH <sub>2</sub> OCH <sub>3</sub> | H                                | CH <sub>3</sub> |
| 284. | H                                | H               | OCH <sub>3</sub>                 | H                                | CH <sub>3</sub> |
| 285. | H                                | H               | Ph                               | H                                | CH <sub>3</sub> |
| 286. | H                                | H               | -CH <sub>2</sub> Ph              | H                                | CH <sub>3</sub> |
| 287. | CH <sub>3</sub>                  | CH <sub>3</sub> | H                                | H                                | CH <sub>3</sub> |
| 288. | n-Bu                             | CH <sub>3</sub> | H                                | H                                | CH <sub>3</sub> |
| 289. | CF <sub>3</sub>                  | CH <sub>3</sub> | H                                | H                                | CH <sub>3</sub> |
| 290. | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | H                                | H                                | CH <sub>3</sub> |
| 291. | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | H                                | H                                | CH <sub>3</sub> |
| 292. | OCH <sub>3</sub>                 | CH <sub>3</sub> | H                                | H                                | CH <sub>3</sub> |

|      |                                  |                 |                                  |                                  |                 |
|------|----------------------------------|-----------------|----------------------------------|----------------------------------|-----------------|
| 293. | Ph                               | CH <sub>3</sub> | H                                | H                                | CH <sub>3</sub> |
| 294. | -CH <sub>2</sub> Ph              | CH <sub>3</sub> | H                                | H                                | CH <sub>3</sub> |
| 295. | H                                | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 296. | H                                | H               | CH <sub>3</sub>                  | n-Bu                             | CH <sub>3</sub> |
| 297. | H                                | H               | CH <sub>3</sub>                  | CF <sub>3</sub>                  | CH <sub>3</sub> |
| 298. | H                                | H               | CH <sub>3</sub>                  | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> |
| 299. | H                                | H               | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> |
| 300. | H                                | H               | CH <sub>3</sub>                  | OCH <sub>3</sub>                 | CH <sub>3</sub> |
| 301. | H                                | H               | CH <sub>3</sub>                  | Ph                               | CH <sub>3</sub> |
| 302. | H                                | H               | CH <sub>3</sub>                  | -CH <sub>2</sub> Ph              | CH <sub>3</sub> |
| 303. | CH <sub>3</sub>                  | H               | H                                | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 304. | n-Bu                             | H               | H                                | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 305. | CF <sub>3</sub>                  | H               | H                                | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 306. | CF <sub>2</sub> CF <sub>3</sub>  | H               | H                                | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 307. | CH <sub>2</sub> OCH <sub>3</sub> | H               | H                                | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 308. | OCH <sub>3</sub>                 | H               | H                                | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 309. | Ph                               | H               | H                                | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 310. | -CH <sub>2</sub> Ph              | H               | H                                | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 311. | H                                | CH <sub>3</sub> | n-Bu                             | H                                | CH <sub>3</sub> |
| 312. | H                                | CH <sub>3</sub> | CF <sub>3</sub>                  | H                                | CH <sub>3</sub> |
| 313. | H                                | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | H                                | CH <sub>3</sub> |
| 314. | H                                | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | H                                | CH <sub>3</sub> |
| 315. | H                                | CH <sub>3</sub> | OCH <sub>3</sub>                 | H                                | CH <sub>3</sub> |
| 316. | H                                | CH <sub>3</sub> | Ph                               | H                                | CH <sub>3</sub> |
| 317. | H                                | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | H                                | CH <sub>3</sub> |
| 318. | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 319. | n-Bu                             | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 320. | CF <sub>3</sub>                  | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 321. | CF <sub>2</sub> CF <sub>3</sub>  | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 322. | CH <sub>2</sub> OCH <sub>3</sub> | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 323. | OCH <sub>3</sub>                 | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 324. | Ph                               | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 325. | -CH <sub>2</sub> Ph              | H               | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 326. | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                | CH <sub>3</sub> |
| 327. | CH <sub>3</sub>                  | CH <sub>3</sub> | n-Bu                             | H                                | CH <sub>3</sub> |
| 328. | CH <sub>3</sub>                  | CH <sub>3</sub> | CF <sub>3</sub>                  | H                                | CH <sub>3</sub> |
| 329. | CH <sub>3</sub>                  | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | H                                | CH <sub>3</sub> |
| 330. | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | H                                | CH <sub>3</sub> |
| 331. | CH <sub>3</sub>                  | CH <sub>3</sub> | OCH <sub>3</sub>                 | H                                | CH <sub>3</sub> |
| 332. | CH <sub>3</sub>                  | CH <sub>3</sub> | Ph                               | H                                | CH <sub>3</sub> |
| 333. | CH <sub>3</sub>                  | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | H                                | CH <sub>3</sub> |
| 334. | n-Bu                             | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                | CH <sub>3</sub> |
| 335. | CF <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                | CH <sub>3</sub> |
| 336. | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                | CH <sub>3</sub> |
| 337. | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                | CH <sub>3</sub> |
| 338. | OCH <sub>3</sub>                 | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                | CH <sub>3</sub> |
| 339. | Ph                               | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                | CH <sub>3</sub> |

|      |                                  |                 |                                  |                                  |                 |
|------|----------------------------------|-----------------|----------------------------------|----------------------------------|-----------------|
| 340. | -CH <sub>2</sub> Ph              | CH <sub>3</sub> | CH <sub>3</sub>                  | H                                | CH <sub>3</sub> |
| 341. | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | n-Bu                             | CH <sub>3</sub> |
| 342. | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | CF <sub>3</sub>                  | CH <sub>3</sub> |
| 343. | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> |
| 344. | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> |
| 345. | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | OCH <sub>3</sub>                 | CH <sub>3</sub> |
| 346. | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | Ph                               | CH <sub>3</sub> |
| 347. | CH <sub>3</sub>                  | H               | CH <sub>3</sub>                  | -CH <sub>2</sub> Ph              | CH <sub>3</sub> |
| 348. | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 349. | n-Bu                             | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 350. | CF <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 351. | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 352. | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 353. | OCH <sub>3</sub>                 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 354. | Ph                               | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 355. | -CH <sub>2</sub> Ph              | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 356. | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | n-Bu                             | CH <sub>3</sub> |
| 357. | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | CF <sub>3</sub>                  | CH <sub>3</sub> |
| 358. | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> |
| 359. | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> |
| 360. | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | OCH <sub>3</sub>                 | CH <sub>3</sub> |
| 361. | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | Ph                               | CH <sub>3</sub> |
| 362. | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | -CH <sub>2</sub> Ph              | CH <sub>3</sub> |
| 363. | n-Bu                             | n-Bu            | H                                | H                                | CH <sub>3</sub> |
| 364. | CF <sub>3</sub>                  | n-Bu            | H                                | H                                | CH <sub>3</sub> |
| 365. | CF <sub>2</sub> CF <sub>3</sub>  | n-Bu            | H                                | H                                | CH <sub>3</sub> |
| 366. | CH <sub>2</sub> OCH <sub>3</sub> | n-Bu            | H                                | H                                | CH <sub>3</sub> |
| 367. | OCH <sub>3</sub>                 | n-Bu            | H                                | H                                | CH <sub>3</sub> |
| 368. | Ph                               | n-Bu            | H                                | H                                | CH <sub>3</sub> |
| 369. | -CH <sub>2</sub> Ph              | n-Bu            | H                                | H                                | CH <sub>3</sub> |
| 370. | H                                | H               | n-Bu                             | n-Bu                             | CH <sub>3</sub> |
| 371. | H                                | H               | CF <sub>3</sub>                  | n-Bu                             | CH <sub>3</sub> |
| 372. | H                                | H               | CF <sub>2</sub> CF <sub>3</sub>  | n-Bu                             | CH <sub>3</sub> |
| 373. | H                                | H               | CH <sub>2</sub> OCH <sub>3</sub> | n-Bu                             | CH <sub>3</sub> |
| 374. | H                                | H               | OCH <sub>3</sub>                 | n-Bu                             | CH <sub>3</sub> |
| 375. | H                                | H               | Ph                               | n-Bu                             | CH <sub>3</sub> |
| 376. | H                                | H               | -CH <sub>2</sub> Ph              | n-Bu                             | CH <sub>3</sub> |
| 377. | n-Bu                             | H               | H                                | H                                | CH <sub>3</sub> |
| 378. | n-Bu                             | H               | H                                | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 379. | n-Bu                             | H               | H                                | n-Bu                             | CH <sub>3</sub> |
| 380. | n-Bu                             | H               | H                                | CF <sub>3</sub>                  | CH <sub>3</sub> |
| 381. | n-Bu                             | H               | H                                | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> |
| 382. | n-Bu                             | H               | H                                | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> |
| 383. | n-Bu                             | H               | H                                | OCH <sub>3</sub>                 | CH <sub>3</sub> |
| 384. | n-Bu                             | H               | H                                | Ph                               | CH <sub>3</sub> |
| 385. | n-Bu                             | H               | H                                | -CH <sub>2</sub> Ph              | CH <sub>3</sub> |
| 386. | H                                | H               | n-Bu                             | H                                | CH <sub>3</sub> |

|      |                                  |                                  |                                  |                 |                 |
|------|----------------------------------|----------------------------------|----------------------------------|-----------------|-----------------|
| 387. | H                                | CH <sub>3</sub>                  | n-Bu                             | H               | CH <sub>3</sub> |
| 388. | H                                | n-Bu                             | n-Bu                             | H               | CH <sub>3</sub> |
| 389. | H                                | CF <sub>3</sub>                  | n-Bu                             | H               | CH <sub>3</sub> |
| 390. | H                                | CF <sub>2</sub> CF <sub>3</sub>  | n-Bu                             | H               | CH <sub>3</sub> |
| 391. | H                                | CH <sub>2</sub> OCH <sub>3</sub> | n-Bu                             | H               | CH <sub>3</sub> |
| 392. | H                                | OCH <sub>3</sub>                 | n-Bu                             | H               | CH <sub>3</sub> |
| 393. | H                                | Ph                               | n-Bu                             | H               | CH <sub>3</sub> |
| 394. | H                                | -CH <sub>2</sub> Ph              | n-Bu                             | H               | CH <sub>3</sub> |
| 395. | CH <sub>3</sub>                  | n-Bu                             | n-Bu                             | H               | CH <sub>3</sub> |
| 396. | n-Bu                             | n-Bu                             | n-Bu                             | H               | CH <sub>3</sub> |
| 397. | CF <sub>3</sub>                  | n-Bu                             | n-Bu                             | H               | CH <sub>3</sub> |
| 398. | CF <sub>2</sub> CF <sub>3</sub>  | n-Bu                             | n-Bu                             | H               | CH <sub>3</sub> |
| 399. | CH <sub>2</sub> OCH <sub>3</sub> | n-Bu                             | n-Bu                             | H               | CH <sub>3</sub> |
| 400. | OCH <sub>3</sub>                 | n-Bu                             | n-Bu                             | H               | CH <sub>3</sub> |
| 401. | Ph                               | n-Bu                             | n-Bu                             | H               | CH <sub>3</sub> |
| 402. | -CH <sub>2</sub> Ph              | n-Bu                             | n-Bu                             | H               | CH <sub>3</sub> |
| 403. | CH <sub>3</sub>                  | H                                | n-Bu                             | n-Bu            | CH <sub>3</sub> |
| 404. | n-Bu                             | H                                | n-Bu                             | n-Bu            | CH <sub>3</sub> |
| 405. | CF <sub>3</sub>                  | H                                | n-Bu                             | n-Bu            | CH <sub>3</sub> |
| 406. | CF <sub>2</sub> CF <sub>3</sub>  | H                                | n-Bu                             | n-Bu            | CH <sub>3</sub> |
| 407. | CH <sub>2</sub> OCH <sub>3</sub> | H                                | n-Bu                             | n-Bu            | CH <sub>3</sub> |
| 408. | OCH <sub>3</sub>                 | H                                | n-Bu                             | n-Bu            | CH <sub>3</sub> |
| 409. | Ph                               | H                                | n-Bu                             | n-Bu            | CH <sub>3</sub> |
| 410. | -CH <sub>2</sub> Ph              | H                                | n-Bu                             | n-Bu            | CH <sub>3</sub> |
| 411. | n-Bu                             | n-Bu                             | CH <sub>3</sub>                  | H               | CH <sub>3</sub> |
| 412. | n-Bu                             | n-Bu                             | CF <sub>3</sub>                  | H               | CH <sub>3</sub> |
| 413. | n-Bu                             | n-Bu                             | CF <sub>2</sub> CF <sub>3</sub>  | H               | CH <sub>3</sub> |
| 414. | n-Bu                             | n-Bu                             | CH <sub>2</sub> OCH <sub>3</sub> | H               | CH <sub>3</sub> |
| 415. | n-Bu                             | n-Bu                             | OCH <sub>3</sub>                 | H               | CH <sub>3</sub> |
| 416. | n-Bu                             | n-Bu                             | Ph                               | H               | CH <sub>3</sub> |
| 417. | n-Bu                             | n-Bu                             | -CH <sub>2</sub> Ph              | H               | CH <sub>3</sub> |
| 418. | n-Bu                             | H                                | CH <sub>3</sub>                  | n-Bu            | CH <sub>3</sub> |
| 419. | n-Bu                             | H                                | CF <sub>3</sub>                  | n-Bu            | CH <sub>3</sub> |
| 420. | n-Bu                             | H                                | CF <sub>2</sub> CF <sub>3</sub>  | n-Bu            | CH <sub>3</sub> |
| 421. | n-Bu                             | H                                | CH <sub>2</sub> OCH <sub>3</sub> | n-Bu            | CH <sub>3</sub> |
| 422. | n-Bu                             | H                                | OCH <sub>3</sub>                 | n-Bu            | CH <sub>3</sub> |
| 423. | n-Bu                             | H                                | Ph                               | n-Bu            | CH <sub>3</sub> |
| 424. | n-Bu                             | H                                | -CH <sub>2</sub> Ph              | n-Bu            | CH <sub>3</sub> |
| 425. | CH <sub>3</sub>                  | n-Bu                             | n-Bu                             | n-Bu            | CH <sub>3</sub> |
| 426. | n-Bu                             | n-Bu                             | n-Bu                             | n-Bu            | CH <sub>3</sub> |
| 427. | CF <sub>3</sub>                  | n-Bu                             | n-Bu                             | n-Bu            | CH <sub>3</sub> |
| 428. | CF <sub>2</sub> CF <sub>3</sub>  | n-Bu                             | n-Bu                             | n-Bu            | CH <sub>3</sub> |
| 429. | CH <sub>2</sub> OCH <sub>3</sub> | n-Bu                             | n-Bu                             | n-Bu            | CH <sub>3</sub> |
| 430. | OCH <sub>3</sub>                 | n-Bu                             | n-Bu                             | n-Bu            | CH <sub>3</sub> |
| 431. | Ph                               | n-Bu                             | n-Bu                             | n-Bu            | CH <sub>3</sub> |
| 432. | -CH <sub>2</sub> Ph              | n-Bu                             | n-Bu                             | n-Bu            | CH <sub>3</sub> |
| 433. | n-Bu                             | n-Bu                             | n-Bu                             | CH <sub>3</sub> | CH <sub>3</sub> |

|      |                                  |                 |                                  |                                  |                 |
|------|----------------------------------|-----------------|----------------------------------|----------------------------------|-----------------|
| 434. | n-Bu                             | n-Bu            | n-Bu                             | CF <sub>3</sub>                  | CH <sub>3</sub> |
| 435. | n-Bu                             | n-Bu            | n-Bu                             | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> |
| 436. | n-Bu                             | n-Bu            | n-Bu                             | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> |
| 437. | n-Bu                             | n-Bu            | n-Bu                             | OCH <sub>3</sub>                 | CH <sub>3</sub> |
| 438. | n-Bu                             | n-Bu            | n-Bu                             | Ph                               | CH <sub>3</sub> |
| 439. | n-Bu                             | n-Bu            | n-Bu                             | -CH <sub>2</sub> Ph              | CH <sub>3</sub> |
| 440. | n-Bu                             | CH <sub>3</sub> | n-Bu                             | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 441. | n-Bu                             | CH <sub>3</sub> | n-Bu                             | CF <sub>3</sub>                  | CH <sub>3</sub> |
| 442. | n-Bu                             | CH <sub>3</sub> | n-Bu                             | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> |
| 443. | n-Bu                             | CH <sub>3</sub> | n-Bu                             | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> |
| 444. | n-Bu                             | CH <sub>3</sub> | n-Bu                             | OCH <sub>3</sub>                 | CH <sub>3</sub> |
| 445. | n-Bu                             | CH <sub>3</sub> | n-Bu                             | Ph                               | CH <sub>3</sub> |
| 446. | n-Bu                             | CH <sub>3</sub> | n-Bu                             | -CH <sub>2</sub> Ph              | CH <sub>3</sub> |
| 447. | CF <sub>3</sub>                  | n-Bu            | n-Bu                             | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 448. | CF <sub>2</sub> CF <sub>3</sub>  | n-Bu            | n-Bu                             | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 449. | CH <sub>2</sub> OCH <sub>3</sub> | n-Bu            | n-Bu                             | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 450. | OCH <sub>3</sub>                 | n-Bu            | n-Bu                             | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 451. | Ph                               | n-Bu            | n-Bu                             | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 452. | -CH <sub>2</sub> Ph              | n-Bu            | n-Bu                             | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 453. | CH <sub>3</sub>                  | CH <sub>3</sub> | n-Bu                             | n-Bu                             | CH <sub>3</sub> |
| 454. | CF <sub>3</sub>                  | CH <sub>3</sub> | n-Bu                             | n-Bu                             | CH <sub>3</sub> |
| 455. | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | n-Bu                             | n-Bu                             | CH <sub>3</sub> |
| 456. | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | n-Bu                             | n-Bu                             | CH <sub>3</sub> |
| 457. | OCH <sub>3</sub>                 | CH <sub>3</sub> | n-Bu                             | n-Bu                             | CH <sub>3</sub> |
| 458. | Ph                               | CH <sub>3</sub> | n-Bu                             | n-Bu                             | CH <sub>3</sub> |
| 459. | -CH <sub>2</sub> Ph              | CH <sub>3</sub> | n-Bu                             | n-Bu                             | CH <sub>3</sub> |
| 460. | n-Bu                             | n-Bu            | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 461. | n-Bu                             | n-Bu            | CF <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 462. | n-Bu                             | n-Bu            | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 463. | n-Bu                             | n-Bu            | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 464. | n-Bu                             | n-Bu            | OCH <sub>3</sub>                 | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 465. | n-Bu                             | n-Bu            | Ph                               | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 466. | n-Bu                             | n-Bu            | -CH <sub>2</sub> Ph              | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 467. | n-Bu                             | CH <sub>3</sub> | CH <sub>3</sub>                  | CF <sub>3</sub>                  | CH <sub>3</sub> |
| 468. | n-Bu                             | CH <sub>3</sub> | CH <sub>3</sub>                  | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> |
| 469. | n-Bu                             | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> |
| 470. | n-Bu                             | CH <sub>3</sub> | CH <sub>3</sub>                  | OCH <sub>3</sub>                 | CH <sub>3</sub> |
| 471. | n-Bu                             | CH <sub>3</sub> | CH <sub>3</sub>                  | Ph                               | CH <sub>3</sub> |
| 472. | n-Bu                             | CH <sub>3</sub> | CH <sub>3</sub>                  | -CH <sub>2</sub> Ph              | CH <sub>3</sub> |
| 473. | CF <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>3</sub>                  | n-Bu                             | CH <sub>3</sub> |
| 474. | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | CH <sub>3</sub>                  | n-Bu                             | CH <sub>3</sub> |
| 475. | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub>                  | n-Bu                             | CH <sub>3</sub> |
| 476. | OCH <sub>3</sub>                 | CH <sub>3</sub> | CH <sub>3</sub>                  | n-Bu                             | CH <sub>3</sub> |
| 477. | Ph                               | CH <sub>3</sub> | CH <sub>3</sub>                  | n-Bu                             | CH <sub>3</sub> |
| 478. | -CH <sub>2</sub> Ph              | CH <sub>3</sub> | CH <sub>3</sub>                  | n-Bu                             | CH <sub>3</sub> |
| 479. | CF <sub>3</sub>                  | n-Bu            | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 480. | CF <sub>2</sub> CF <sub>3</sub>  | n-Bu            | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub> |

|      |                                  |                 |                                  |                                  |                 |
|------|----------------------------------|-----------------|----------------------------------|----------------------------------|-----------------|
| 481. | CH <sub>2</sub> OCH <sub>3</sub> | n-Bu            | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 482. | OCH <sub>3</sub>                 | n-Bu            | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 483. | Ph                               | n-Bu            | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 484. | -CH <sub>2</sub> Ph              | n-Bu            | CH <sub>3</sub>                  | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 485. | CH <sub>3</sub>                  | CH <sub>3</sub> | CF <sub>3</sub>                  | n-Bu                             | CH <sub>3</sub> |
| 486. | CH <sub>3</sub>                  | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | n-Bu                             | CH <sub>3</sub> |
| 487. | CH <sub>3</sub>                  | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | n-Bu                             | CH <sub>3</sub> |
| 488. | CH <sub>3</sub>                  | CH <sub>3</sub> | OCH <sub>3</sub>                 | n-Bu                             | CH <sub>3</sub> |
| 489. | CH <sub>3</sub>                  | CH <sub>3</sub> | Ph                               | n-Bu                             | CH <sub>3</sub> |
| 490. | CH <sub>3</sub>                  | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | n-Bu                             | CH <sub>3</sub> |
| 491. | CF <sub>3</sub>                  | n-Bu            | H                                | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 492. | CF <sub>2</sub> CF <sub>3</sub>  | n-Bu            | H                                | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 493. | CH <sub>2</sub> OCH <sub>3</sub> | n-Bu            | H                                | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 494. | OCH <sub>3</sub>                 | n-Bu            | H                                | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 495. | Ph                               | n-Bu            | H                                | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 496. | -CH <sub>2</sub> Ph              | n-Bu            | H                                | CH <sub>3</sub>                  | CH <sub>3</sub> |
| 497. | H                                | CH <sub>3</sub> | CF <sub>3</sub>                  | n-Bu                             | CH <sub>3</sub> |
| 498. | H                                | CH <sub>3</sub> | CF <sub>2</sub> CF <sub>3</sub>  | n-Bu                             | CH <sub>3</sub> |
| 499. | H                                | CH <sub>3</sub> | CH <sub>2</sub> OCH <sub>3</sub> | n-Bu                             | CH <sub>3</sub> |
| 500. | H                                | CH <sub>3</sub> | OCH <sub>3</sub>                 | n-Bu                             | CH <sub>3</sub> |
| 501. | H                                | CH <sub>3</sub> | Ph                               | n-Bu                             | CH <sub>3</sub> |
| 502. | H                                | CH <sub>3</sub> | -CH <sub>2</sub> Ph              | n-Bu                             | CH <sub>3</sub> |
| 503. | n-Bu                             | H               | CH <sub>3</sub>                  | CF <sub>3</sub>                  | CH <sub>3</sub> |
| 504. | n-Bu                             | H               | CH <sub>3</sub>                  | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> |
| 505. | n-Bu                             | H               | CH <sub>3</sub>                  | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> |
| 506. | n-Bu                             | H               | CH <sub>3</sub>                  | OCH <sub>3</sub>                 | CH <sub>3</sub> |
| 507. | n-Bu                             | H               | CH <sub>3</sub>                  | Ph                               | CH <sub>3</sub> |
| 508. | n-Bu                             | H               | CH <sub>3</sub>                  | -CH <sub>2</sub> Ph              | CH <sub>3</sub> |
| 509. | CF <sub>3</sub>                  | CH <sub>3</sub> | n-Bu                             | H                                | CH <sub>3</sub> |
| 510. | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | n-Bu                             | H                                | CH <sub>3</sub> |
| 511. | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> | n-Bu                             | H                                | CH <sub>3</sub> |
| 512. | OCH <sub>3</sub>                 | CH <sub>3</sub> | n-Bu                             | H                                | CH <sub>3</sub> |
| 513. | Ph                               | CH <sub>3</sub> | n-Bu                             | H                                | CH <sub>3</sub> |
| 514. | -CH <sub>2</sub> Ph              | CH <sub>3</sub> | n-Bu                             | H                                | CH <sub>3</sub> |
| 515. | CF <sub>3</sub>                  | n-Bu            | CH <sub>3</sub>                  | H                                | CH <sub>3</sub> |
| 516. | CF <sub>2</sub> CF <sub>3</sub>  | n-Bu            | CH <sub>3</sub>                  | H                                | CH <sub>3</sub> |
| 517. | CH <sub>2</sub> OCH <sub>3</sub> | n-Bu            | CH <sub>3</sub>                  | H                                | CH <sub>3</sub> |
| 518. | OCH <sub>3</sub>                 | n-Bu            | CH <sub>3</sub>                  | H                                | CH <sub>3</sub> |
| 519. | Ph                               | n-Bu            | CH <sub>3</sub>                  | H                                | CH <sub>3</sub> |
| 520. | -CH <sub>2</sub> Ph              | n-Bu            | CH <sub>3</sub>                  | H                                | CH <sub>3</sub> |
| 521. | CH <sub>3</sub>                  | H               | CF <sub>3</sub>                  | n-Bu                             | CH <sub>3</sub> |
| 522. | CH <sub>3</sub>                  | H               | CF <sub>2</sub> CF <sub>3</sub>  | n-Bu                             | CH <sub>3</sub> |
| 523. | CH <sub>3</sub>                  | H               | CH <sub>2</sub> OCH <sub>3</sub> | n-Bu                             | CH <sub>3</sub> |
| 524. | CH <sub>3</sub>                  | H               | OCH <sub>3</sub>                 | n-Bu                             | CH <sub>3</sub> |
| 525. | CH <sub>3</sub>                  | H               | Ph                               | n-Bu                             | CH <sub>3</sub> |
| 526. | CH <sub>3</sub>                  | H               | -CH <sub>2</sub> Ph              | n-Bu                             | CH <sub>3</sub> |
| 527. | n-Bu                             | CH <sub>3</sub> | H                                | CF <sub>3</sub>                  | CH <sub>3</sub> |

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|      |                                  |                                    |                 |                                  |                 |
|------|----------------------------------|------------------------------------|-----------------|----------------------------------|-----------------|
| 528. | n-Bu                             | CH <sub>3</sub>                    | H               | CF <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> |
| 529. | n-Bu                             | CH <sub>3</sub>                    | H               | CH <sub>2</sub> OCH <sub>3</sub> | CH <sub>3</sub> |
| 530. | n-Bu                             | CH <sub>3</sub>                    | H               | OCH <sub>3</sub>                 | CH <sub>3</sub> |
| 531. | n-Bu                             | CH <sub>3</sub>                    | H               | Ph                               | CH <sub>3</sub> |
| 532. | n-Bu                             | CH <sub>3</sub>                    | H               | -CH <sub>2</sub> Ph              | CH <sub>3</sub> |
| 533. | CF <sub>3</sub>                  | H                                  | CH <sub>3</sub> | n-Bu                             | CH <sub>3</sub> |
| 534. | CF <sub>2</sub> CF <sub>3</sub>  | H                                  | CH <sub>3</sub> | n-Bu                             | CH <sub>3</sub> |
| 535. | CH <sub>2</sub> OCH <sub>3</sub> | H                                  | CH <sub>3</sub> | n-Bu                             | CH <sub>3</sub> |
| 536. | OCH <sub>3</sub>                 | H                                  | CH <sub>3</sub> | n-Bu                             | CH <sub>3</sub> |
| 537. | Ph                               | H                                  | CH <sub>3</sub> | n-Bu                             | CH <sub>3</sub> |
| 538. | -CH <sub>2</sub> Ph              | H                                  | CH <sub>3</sub> | n-Bu                             | CH <sub>3</sub> |
| 539. | H                                | -CH <sub>2</sub> -                 |                 | H                                | CH <sub>3</sub> |
| 540. | H                                | -(CH <sub>2</sub> ) <sub>4</sub> - |                 | H                                | CH <sub>3</sub> |

- 5 For the following example compounds physico-chemical data have been obtained and are displayed in order to illustrate the working of the present invention, including the outlined methods of synthesis. The number of given data may not be interpreted as a limitation of the invention. Analysis of compounds 6.610 to 6.684 : Reversed-phase was performed on a Waters Alliance 2790 LC equipped with a Waters996 UV detector using a
- 10 YMC CombiScreen ODS-AQ cartridge (30x4.6 mm, S-5 □m, 12 um) Mobile phase: A: H<sub>2</sub>O/CH<sub>3</sub>CN 10/TFA, B: CH<sub>3</sub>CN/TFA 0.1, C : MeOH.Gradient : 89% A 11% B, 0-3.5 min; 90% B 10% C 0.5 min.

Table C

15

| Comp. No. from<br>Table B    Table A |     | Melting point [°C] or<br><sup>1</sup> H-NMR [δ in ppm]                                                                                                                               |
|--------------------------------------|-----|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| 1.001                                | 028 | 122-131                                                                                                                                                                              |
| 1.002                                | 028 | 199-201                                                                                                                                                                              |
| 1.003                                | 028 | (DMSO); 0.60(t,3H), 1.19(s,3H), 1.67(q,2H), 2.02(s,3H), 6.93(dd,1H), 7.26(t,1H), 7.47(d,1H), 7.76(dd,1H), 7.83(dd,1H), 7.93(dd,1H), 8.48(d,1H), 8.55(d,1H), 8.63(d,1H), 10.00(s,NH); |
| 1.004                                | 028 | 187-192                                                                                                                                                                              |
| 1.005                                | 028 | (CDCl <sub>3</sub> ); 1.80(s,3H), 2.14(s,3H), 7.00(dd,1H), 7.22-7.29(m,7H), 7.39(dd,1H), 7.72(s,1H), 7.84(s,1H), 8.52(d,1H), 8.70(dd,1H), 8.77(s,NH);                                |

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|       |      |                                                                                                                                                                                    |
|-------|------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| 1.006 | 028  | 167-168                                                                                                                                                                            |
| 1.007 | 028  | 90-92                                                                                                                                                                              |
| 1.008 | 028  | 95-99                                                                                                                                                                              |
| 1.009 | 028  | (DMSO); 1.41(s,3H), 2.18(s,3H), 3.10(s,2H), 7.04(d,1H), 7.14(s,5H), 7.38(t,1H), 7.50(d,1H), 7.85(d,1H), 7.92(d,1H), 7.98(s,1H), 8.42(s,1H), 8.55(d,1H), 8.71(d,1H), 10.09(s,NH);   |
| 1.010 | 028  | 165-168                                                                                                                                                                            |
| 1.011 | 028  | 215-219                                                                                                                                                                            |
| 1.012 | 028  | 210                                                                                                                                                                                |
| 1.050 | 028  | 202-205                                                                                                                                                                            |
| 1.051 | 028  | 164-167                                                                                                                                                                            |
| 1.052 | 028  | 167-170                                                                                                                                                                            |
| 1.053 | 028  | 189-192                                                                                                                                                                            |
| 2.002 | 028  | 181-185                                                                                                                                                                            |
| 2.003 | 028  | 204-208                                                                                                                                                                            |
| 2.004 | 028  | 210                                                                                                                                                                                |
| 2.005 | 028  | 190-192                                                                                                                                                                            |
| 2.006 | 028  | 199-203                                                                                                                                                                            |
| 2.007 | 028  | 180-182                                                                                                                                                                            |
| 2.008 | 048  | 127-135                                                                                                                                                                            |
| 2.009 | 028  | 87-83                                                                                                                                                                              |
| 2.010 | 028  | 195-197                                                                                                                                                                            |
| 2.011 | 028  | 187-189                                                                                                                                                                            |
| 2.012 | 0.28 | 218-220                                                                                                                                                                            |
| 3.001 | 028  | 163-166                                                                                                                                                                            |
| 3.002 | 028  | 189-191                                                                                                                                                                            |
| 3.003 | 028  | 158                                                                                                                                                                                |
| 3.011 | 028  | (DMSO); 3.32(s,3H), 4.35(s,2H), 5.66(s,1H), 7.03(dd,1H), 7.35(t,1H), 7.62(m,1H), 7.77(m,1H), 8.00(m,1H), 8.20(m,1H), 8.48(m,1H), 8.62(d,1H), 8.74(d,1H), 10.12(s,NH), 12.25(s,1H); |
| 3.012 | 028  | 158-159                                                                                                                                                                            |
| 3.013 | 028  | 167                                                                                                                                                                                |

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|       |     |                                                                                                                                                                |
|-------|-----|----------------------------------------------------------------------------------------------------------------------------------------------------------------|
| 3.014 | 028 | 141-150                                                                                                                                                        |
| 3.015 | 028 | (DMSO); 1.74(s,3H), 2.15(s,3H), 7.01(dd,1H), 7.37(t,1H), 7.46(s,1H), 7.82(s,1H), 7.93(d,2H), 8.55(d,1H), 8.63(d,1H), 9.21(s,1H), 10.07(s,NH), 11.5/12.0(s,1H); |
| 3.016 | 028 | (DMSO); 1.85(s,3H), 7.02(dd,1H), 7.35-7.79(m,7H), 8.61(d,1H), 8.74(d,1H), 10.12(s,NH), 11.7/11.9(s,1H);                                                        |
| 3.017 | 028 | 185-188                                                                                                                                                        |
| 3.018 | 028 | 171-174                                                                                                                                                        |
| 3.019 | 028 | 149-150                                                                                                                                                        |
| 3.020 | 028 | 155-157                                                                                                                                                        |
| 3.027 | 028 | 178-180                                                                                                                                                        |
| 3.028 | 028 | 181-184                                                                                                                                                        |
| 3.029 | 028 | 199-201                                                                                                                                                        |
| 3.030 | 028 | 120-125                                                                                                                                                        |
| 3.031 | 028 | 169-170                                                                                                                                                        |
| 3.032 | 028 | 184                                                                                                                                                            |
| 3.033 | 028 | 171-175                                                                                                                                                        |
| 3.034 | 028 | 163-167                                                                                                                                                        |
| 3.035 | 028 | 152-161                                                                                                                                                        |
| 3.036 | 028 | 115-119                                                                                                                                                        |
| 3.037 | 028 | 182-185                                                                                                                                                        |
| 3.038 | 028 | 160-163                                                                                                                                                        |
| 3.039 | 028 | 210                                                                                                                                                            |
| 3.040 | 028 | 184                                                                                                                                                            |
| 3.041 | 028 | 210                                                                                                                                                            |
| 5.001 | 028 | 143-144                                                                                                                                                        |
| 5.002 | 028 | 151-153                                                                                                                                                        |
| 5.003 | 028 | 166-168                                                                                                                                                        |
| 5.004 | 028 | 200-202                                                                                                                                                        |
| 1.001 | 048 | (DMSO); 1.31(s,6H), 2.14(s,3H), 3.42(s,3H), 5.49(s,2H), 7.37-7.60(m,5H), 7.88(dd,1H), 8.54(s,1H), 8.61(d,1H), 8.64(d,1H);                                      |
| 6.002 | 028 | 238-240                                                                                                                                                        |
| 6.003 | 028 | 120-125                                                                                                                                                        |
| 6.012 | 028 | 229-231                                                                                                                                                        |

|       |     |                                                 |
|-------|-----|-------------------------------------------------|
| 6.015 | 028 | 173-175                                         |
| 6.020 | 028 | 184-186                                         |
| 6.152 | 028 | 213-215                                         |
| 6.153 | 028 | 118-127                                         |
| 6.177 | 028 | 184-186                                         |
| 6.179 | 028 | 187-189                                         |
| 6.605 | 028 | 196-198                                         |
| 6.606 | 028 | 79-84                                           |
| 6.607 | 028 | 153-156                                         |
| 6.608 | 028 | 110-120                                         |
| 6.609 | 028 | 213-216                                         |
| 6.610 | 028 | RT 3.3<br>MS 614.2(Area MS 100%, AreaUV 100%)   |
| 6.611 | 028 | RT 3.23<br>MS 564.2 (Area MS100 %, AreaUV 100%) |
| 6.612 | 028 | RT 3.9<br>MS 622.3(Area MS 100%, AreaUV 100%)   |
| 6.613 | 028 | RT 2.37<br>MS 550(Area MS 100%, AreaUV 100%)    |
| 6.614 | 028 | RT 2.37<br>MS 550(Area MS 100%, AreaUV 100%)    |
| 6.615 | 048 | RT 2.15<br>MS 478.1(Area MS 100%, AreaUV 100%)  |
| 6.616 | 028 | RT 2.4<br>MS 480.1(Area MS 100%, AreaUV 84%)    |
| 6.617 | 028 | RT 2.37<br>MS 480.1(Area MS 100%, AreaUV 100%)  |
| 6.618 | 028 | RT 2.1<br>MS 480.1(Area MS 100 %, AreaUV 88%)   |
| 6.619 | 028 | RT 2.32<br>MS 480.1(Area MS 100%, AreaUV 100%)  |
| 6.620 | 028 | RT 2.1<br>MS 555.1 (Area MS 100%, AreaUV 90%)   |
| 6.621 | 028 | RT 2.1<br>MS 555.1 (Area MS 100%, AreaUV 90%)   |
| 6.622 | 028 | RT 2.1<br>MS 468.1(Area MS 100%, AreaUV 85%)    |
| 6.623 | 028 | RT 1.54<br>MS 572.1(Area MS 100%, AreaUV 89%)   |
| 6.624 | 028 | RT 2.5<br>MS 482.1(Area MS 100%, AreaUV 100%)   |
| 6.625 | 028 | RT 2.24<br>MS 466.1(Area MS 100%, AreaUV 100%)  |
| 6.626 | 028 | RT 1.95<br>MS 466.1(Area MS 100%, AreaUV 100%)  |
| 6.627 | 028 | RT 1.85<br>MS 464.1(Area MS 100%, AreaUV 100%)  |

|       |     |                                                   |
|-------|-----|---------------------------------------------------|
| 6.628 | 028 | RT 2.1<br>MS 492.1(Area MS 100%, AreaUV 92%)      |
| 6.629 | 028 | RT 2<br>MS 478.1(Area MS 100%, AreaUV 100%)       |
| 6.630 | 028 | RT 2<br>MS 478.1(Area MS 100%, AreaUV 100%)       |
| 6.631 | 028 | RT 2.5<br>MS 494.1(Area MS 100%, AreaUV 93%)      |
| 6.632 | 028 | RT 2.1<br>MS 480.1(Area MS 100%, AreaUV 74%)      |
| 6.633 | 028 | RT 2.1<br>MS 480.1(Area MS 100%, AreaUV 74%)      |
| 6.634 | 028 | RT 2.24<br>MS 494.1(Area MS 100%, AreaUV 100%)    |
| 6.635 | 028 | RT 2.24<br>MS 494.1(Area MS 100%, AreaUV 100%)    |
| 6.636 | 028 | RT 3.1;3.2<br>MS 580.1(Area MS 70%, AreaUV 62%)   |
| 6.637 | 028 | RT 4<br>MS 598(Area MS 77%, AreaUV 100%)          |
| 6.638 | 028 | RT 3.47<br>MS 610.1(Area MS 58%, AreaUV 100%)     |
| 6.639 | 028 | RT 3.5<br>MS 564.1(Area MS 67%, AreaUV 100%)      |
| 6.640 | 028 | RT 3.4<br>MS 598.1(Area MS 79%, AreaUV 80%)       |
| 6.641 | 028 | RT 3.22<br>MS 560.1(Area MS 69%, AreaUV 100%)     |
| 6.642 | 028 | RT 3.07<br>MS 660.1(Area MS 100%, AreaUV 100%)    |
| 6.643 | 028 | RT 3.1<br>MS 514(Area MS 59%, AreaUV 100%)        |
| 6.644 | 028 | RT 2.8<br>MS 522.1(Area MS 72%, AreaUV 100%)      |
| 6.645 | 028 | RT 3.07<br>MS 548(Area MS 80%, AreaUV 100%)       |
| 6.646 | 028 | RT 4.4<br>MS 638.2(Area MS 90%, AreaUV 62%)       |
| 6.647 | 028 | RT 3.5<br>MS 580.1(Area MS 57%, AreaUV 100%)      |
| 6.648 | 028 | RT 2.81;2.8<br>MS 500.1(Area MS 63%, AreaUV 100%) |
| 6.649 | 028 | RT 4<br>MS 538.2(Area MS 84%, AreaUV 100%)        |
| 6.650 | 028 | RT 3.11<br>MS 546.1(Area MS 53%, AreaUV 80%)      |
| 6.651 | 028 | RT 2.7;2.8<br>MS 540.1(Area MS 56%, AreaUV 74%)   |

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|       |     |                                                   |
|-------|-----|---------------------------------------------------|
| 6.652 | 028 | RT 4.5<br>MS 592.2(Area MS 62%, AreaUV 100%)      |
| 6.653 | 028 | RT 3.5<br>MS 554.2(Area MS 96%, AreaUV 100%)      |
| 6.654 | 028 | RT 4.3<br>MS 562.2(Area MS 71%, AreaUV 100%)      |
| 6.655 | 028 | RT 3.47<br>MS 494.1(Area MS 100%, AreaUV 100%)    |
| 6.656 | 028 | RT 3<br>MS 514.1(Area MS 86%, AreaUV 100%)        |
| 6.657 | 028 | RT 2.2<br>MS 551.1(Area MS 74%, AreaUV 100%)      |
| 6.658 | 028 | RT 3.36<br>MS 508.1(Area MS 100%, AreaUV 100%)    |
| 6.659 | 028 | RT 3.22<br>MS 590.1(Area MS 84%, AreaUV 100%)     |
| 6.660 | 028 | RT 3.3<br>MS 564.1(Area MS 69%, AreaUV 74%)       |
| 6.661 | 028 | RT 3.8<br>MS 758(Area MS 42%, AreaUV 100%)        |
| 6.662 | 028 | RT 3.4<br>MS 566.1(Area MS 78%, AreaUV 100%)      |
| 6.663 | 028 | RT 3.4<br>MS 642(Area MS 80%, AreaUV 100%)        |
| 6.664 | 028 | RT 3<br>MS 614.2(Area MS 82%, AreaUV 100%)        |
| 6.665 | 028 | RT 2.4<br>MS 512.1(Area MS 92%, AreaUV 82%)       |
| 6.666 | 028 | RT 2.0;2.3<br>MS 545.1(Area MS 82%, AreaUV 100%)  |
| 6.667 | 028 | RT 3.2<br>MS 494.1(Area MS 74%, AreaUV 100%)      |
| 6.668 | 028 | RT 3.4<br>MS 596.1(Area MS 75%, AreaUV 100%)      |
| 6.669 | 028 | RT 4.4<br>MS 658.1(Area MS 66%, AreaUV 100%)      |
| 6.670 | 028 | RT 3.3<br>MS 562.1(Area MS 81%, AreaUV 100%)      |
| 6.671 | 028 | RT 3.1<br>MS 585(Area MS 70%, AreaUV 100%)        |
| 6.672 | 028 | RT 2.04;2.1<br>MS 531.1(Area MS 84%, AreaUV 100%) |
| 6.673 | 028 | RT 3.9<br>MS 586.2(Area MS 88%, AreaUV 100%)      |
| 6.674 | 028 | RT 3<br>MS 522(Area MS 91%, AreaUV 100%)          |
| 6.675 | 028 | RT 4.3<br>MS 578.2(Area MS 88%, AreaUV 100%)      |

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|       |     |                                                    |
|-------|-----|----------------------------------------------------|
| 6.676 | 028 | RT 2.78;2.8<br>MS 512.1(Area MS 100%, AreaUV 100%) |
| 6.677 | 028 | RT 2.7<br>MS 525.1(Area MS 95%, AreaUV 100%)       |
| 6.678 | 028 | RT 3.3<br>MS 584.1(Area MS 91%, AreaUV 100%)       |
| 6.679 | 028 | RT 1.8;2.1<br>MS 517.1(Area MS 72%, AreaUV 100%)   |
| 6.680 | 028 | RT 3.7<br>MS 512.1(Area MS 96%, AreaUV 100%)       |
| 6.681 | 028 | RT 3<br>MS 516.1(Area MS 54%, AreaUV 38%)          |
| 6.682 | 028 | RT 3.5<br>MS 708(Area MS 71%, AreaUV 100%)         |
| 6.683 | 028 | RT 3.7<br>MS 720.1(Area MS 81%, AreaUV 100%)       |
| 6.684 | 028 | RT 3.1<br>MS 607.1(Area MS 88%, AreaUV 100%)       |
| 6.685 | 028 | 80-100                                             |
| 6.686 | 028 | 183-186                                            |
| 6.687 | 028 | 212-215                                            |
| 6.688 | 028 | 176-178                                            |
| 6.689 | 028 | 183-185                                            |
| 6.690 | 028 | 110-115                                            |
| 6.691 | 028 | 119-123                                            |
| 6.692 | 028 | 117-120                                            |
| 6.693 | 028 | 83-89                                              |
| 6.694 | 028 | 90-100                                             |
| 6.695 | 028 | 73-76                                              |
| 6.696 | 028 | 110-120                                            |
| 6.697 | 028 | 145-160                                            |
| 6.698 | 028 | 84-90                                              |
| 6.699 | 028 | 239-242                                            |
| 6.700 | 028 | 90-105                                             |
| 6.701 | 028 | 232-235                                            |
| 6.702 | 028 | 178-182                                            |
| 6.703 | 028 | 142-148                                            |
| 6.704 | 028 | 222-225                                            |
| 6.705 | 028 | 75-85                                              |
| 6.706 | 028 | 142-144                                            |
| 6.707 | 028 | 235-240                                            |
| 6.708 | 028 | 141-144                                            |
| 6.709 | 028 | 80-82                                              |
| 6.710 | 028 | 82-84                                              |
| 6.711 | 028 | 174-176                                            |
| 6.712 | 028 | 201-203                                            |
| 6.713 | 028 | 120-125                                            |

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|       |     |                                                           |
|-------|-----|-----------------------------------------------------------|
| 6.714 | 028 | 198-200<br>RT 2.06<br>MS 464.1(Area MS 100%, AreaUV 100%) |
| 6.715 | 028 | 85-90                                                     |
| 6.716 | 028 | 87-97                                                     |
| 6.717 | 028 | 251-253                                                   |
| 6.718 | 028 | RT 2.41<br>MS 512.1 (Area MS 100%, AreaUV 0%)             |
| 6.719 | 028 | RT 2.63<br>MS 510.1(Area MS 88%, AreaUV 100%)             |
| 6.720 | 028 | RT 2.4<br>MS 482.1(Area MS 90%, AreaUV 82%)               |
| 6.721 | 028 | 92-96                                                     |
| 6.722 | 028 | 90-100                                                    |
| 6.723 | 028 | 110-115                                                   |
| 6.724 | 028 | 188-190                                                   |
| 6.725 | 028 | 70-80                                                     |
| 6.726 | 028 | 182-184                                                   |
| 7.001 | 028 | 110-130                                                   |
| 7.270 | 028 | 189-192                                                   |
| 7.271 | 028 | 207-209                                                   |
| 7.277 | 028 | 89-93                                                     |
| 7.303 | 028 | 177-179                                                   |
| 7.808 | 028 | 165-167                                                   |
| 7.830 | 028 | 90-95                                                     |
| 8.270 | 028 | 201-204                                                   |
| 8.271 | 028 | 193-195                                                   |
| 8.277 | 028 | 105-115                                                   |
| 8.279 | 028 | 95-100                                                    |
| 8.285 | 028 | 98-105                                                    |
| 8.303 | 028 | 105-110                                                   |
| 8.539 | 028 | 80-85                                                     |
| 8.540 | 028 | 95-100                                                    |

In the following, examples of test systems in plant protection are provided which can demonstrate the efficiency of the compounds of the formula I (designated as “active ingredient“ or “test compounds“):

#### 5 Biological Examples

Example B-1: Effect against *Puccinia graminis* on wheat (brownrust on wheat)

##### a) Residual protective activity

1 week old wheat plants cv. Arina are treated with the formulated test-compound (0.02 % active substance) in a spray chamber. Two days after application wheat plants are  
 10 inoculated by spraying a spore suspension ( $1 \times 10^5$  ureidospores/ml) on the test plants.

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After an incubation period of 1 day at +20°C and 95% relative atmospheric humidity (r. h.) plants are kept for 9 days at +20°C and 60% r.h. in a greenhouse. The disease incidence is assessed 10 days after inoculation.

At the indicated concentration compounds 1.01/028; 2.02/028; 1.03/028; 1.07/028;  
5 2.03/028; 2.05/028; 2.06/028 exhibited over 70% control of the fungal infection in this test.

Example B-2: Effect against *Phytophthora infestans* on tomatoes (late blight on potato)

a) Residual protective activity

3 week old tomato plants cv. Roter Gnom are treated with the formulated test compound  
10 (0.02 % active substance) in a spray chamber. Two day after application the plants are inoculated by spraying a sporangia suspension ( $2 \times 10^4$  sporangia/ml) on the test plants. After an incubation period of 4 days at +18°C and 95% r. h. in a growth chamber the disease incidence is assessed.

At the indicated concentration compounds 1.01/028; 1.03/028; 1.04/028; 1.07/028  
15 exhibited over 70% control of the fungal infection in this test.

Example B-3: Effect against *Phytophthora infestans* / potato (late blight on potato)

5 week old potato plants cv. Bintje are treated with the formulated test compound (0.02  
20 % active substance) in a spray chamber. Two days after application the plants are inoculated by spraying a sporangia suspension ( $1.4 \times 10^5$  sporangia/ml) on the test plants. After an incubation period of 4 days at +18°C and 95% r. h. in a growth chamber the disease incidence is assessed.

Example B-4: Effect against *Plasmopara viticola* on grapevine (grape downy mildew)

25 5 week old grape seedlings cv. Gutedel are treated with the formulated test compound (0.02 % active substance) in a spray chamber. One day after application grape plants are inoculated by spraying a sporangia suspension ( $4 \times 10^4$  sporangia/ml) on the lower leaf side of the test plants. After an incubation period of 6 days at +22°C and 95% r. h. in a greenhouse the disease incidence is assessed.

30 At the indicated concentration compounds 1.01/028; 3.01/028; 1.04/028 exhibited over 70% control of the fungal infection in this test.

Example B-5: Residual protective activity against *Venturia inaequalis* on apples (scab on apple)

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4 week old apple seedlings cv. McIntosh are treated with the formulated test compound (0.02 % active substance) in a spray chamber. One day after application apple plants are inoculated by spraying a spore suspension ( $4 \times 10^5$  conidia/ml) on the test plants. After an incubation period of 4 days at +20°C and 95% r. h. the plants are transferred to  
5 standard greenhouse conditions at 20 and 60% r.h. where they stayed for 2 days. After another 4 day incubation period at +20°C and 95% r. h. the disease incidence is assessed. At the indicated concentration compounds 2.03/028; 1.001/028 exhibited over 70% control of the fungal infection in this test.

Example B-6: Effect against *Erysiphe graminis* on barley (powdery mildew on barley)

10 a) Residual protective activity

Barley plants, cv. Regina of approximately 8 cm height were treated with the formulated test compound (0.02% active substance) in a spray chamber and dusted 2 days after inoculation with conidia of the fungus. The infected plants are placed in a greenhouse at +20°C. 6 days after infection, the fungal attack was evaluated.

15 At the indicated concentration compounds 1.01/028; 1.03/028; 1.04/028, 2.05/028; 2.09/028; 3.014/028; 3.030/028 exhibited over 70% control of the fungal infection in this test.

Example B-7: Botrytis cinerea / grape (botrytis on grapes)

5 week old grape seedlings cv. Gutedel are treated with the formulated test compound  
20 (0.02% active substance) in a spray chamber. Two days after application grape plants are inoculated by spraying a spore suspension ( $1.5 \times 10^5$  conidia/ml) on the test plants. After an incubation period of 3 days at +21°C and 95% r. h. in a greenhouse the disease incidence is assessed.

At the indicated concentration compounds 1.01/028; 1.03/028; 1.04/028, 1.05/028;  
25 1.06/028, 1.07/028; 2.03/028; 2.05/028; 2.08/048; 2.09/028; 3.012/028; 3.013/028; 3.014/028; 2.012/028 exhibited over 70% control of the fungal infection in this test.

Example B-8: Effect against *Botrytis cinerea* / tomato (botrytis on tomatoes)

4 week old tomato plants cv. Roter Gnom are treated with the formulated test compound  
30 0.02 % active substance) in a spray chamber. Two days after application tomato plants are inoculated by spraying a spore suspension ( $1 \times 10^5$  conidia/ml) on the test plants. After an incubation period of 4 days at +20°C and 95% r. h. in a greenhouse the disease incidence is assessed.

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At the indicated concentration compounds 1.01/028; 2.02/028; 3.01/028; 1.04/028; 1.06/028; 2.06/028; 2.05/028; 2.08/048; 4.02/028; 7.270/028 exhibited over 70% control of the fungal infection in this test.

Example B-9: Effect against *Pyricularia oryzae* / rice (rice blast)

- 5 3 week old rice plants cv. Sasanishiki are treated with the formulated test compound (0.02 % active substance) in a spray chamber. Two days after application rice plants are inoculated by spraying a spore suspension ( $1 \times 10^5$  conidia/ml) on the test plants. After an incubation period of 6 days at +25°C and 95% r. h. the disease incidence is assessed. At the indicated concentration compounds 1.02/028; 1.04/028; 2.03/028; 2.06/028; 10 2.07/028 exhibited over 70% control of the fungal infection in this test.

Example B-10: Effect against *Pyrenophora teres (Helminthosporium)* / barley (net blotch on barley)

- 1 week old barley plants cv. Regina are treated with a formulated test compound (0.02 % active substance) in a spray chamber. Two days after application barley plants are 15 inoculated by spraying a spore suspension ( $3 \times 10^4$  conidia/ml) on the test plants. After an incubation period of 2 days at +20°C and 95% r.h. the disease incidence is assessed. At the indicated concentration compounds 1.01/028; 2.02/028; 3.01/028; 5.01/028; 1.03/028; 1.04/028, 1.01/048; 1.06/028, 1.07/028; 1.08/028; 2.03/028; 2.05/028; 2.07/028; 2.08/048; 2.09/028; 3.012/028; 3.013/028; 3.014/028; 2.012/028; 2.011/028; 3.016/028; 20 3.017/028; 3.027/028; 3.028/028; 7.270/028 exhibited over 70% control of the fungal infection in this test.

Example B-11: Effect against *Fusarium culmorum* / wheat (fusarium head blight on wheat)

- 25 A conidia suspension of *F. culmorum* ( $7 \times 10^5$  conidia/ml) is mixed with the formulated test compound (0.002 % active substance).. The mixture is applied into a pouch which has been equipped before with a filter paper. After the application wheat seeds (cv. Orestis) are sown into the upper fault of the filter paper. The prepared pouches are then incubated for 11 days at approx. +10°C to +18°C and a relative humidity of 100% with a 30 light period of 14 hours. The evaluation is made by assessing the degree of disease occurrence in the form of brown lesions on the roots.

Example B-12: Effect against *Septoria nodorum* / wheat (septoria leaf spot on wheat)

1 week old wheat plants cv. Arina are treated with a formulated test compound (0.02 %

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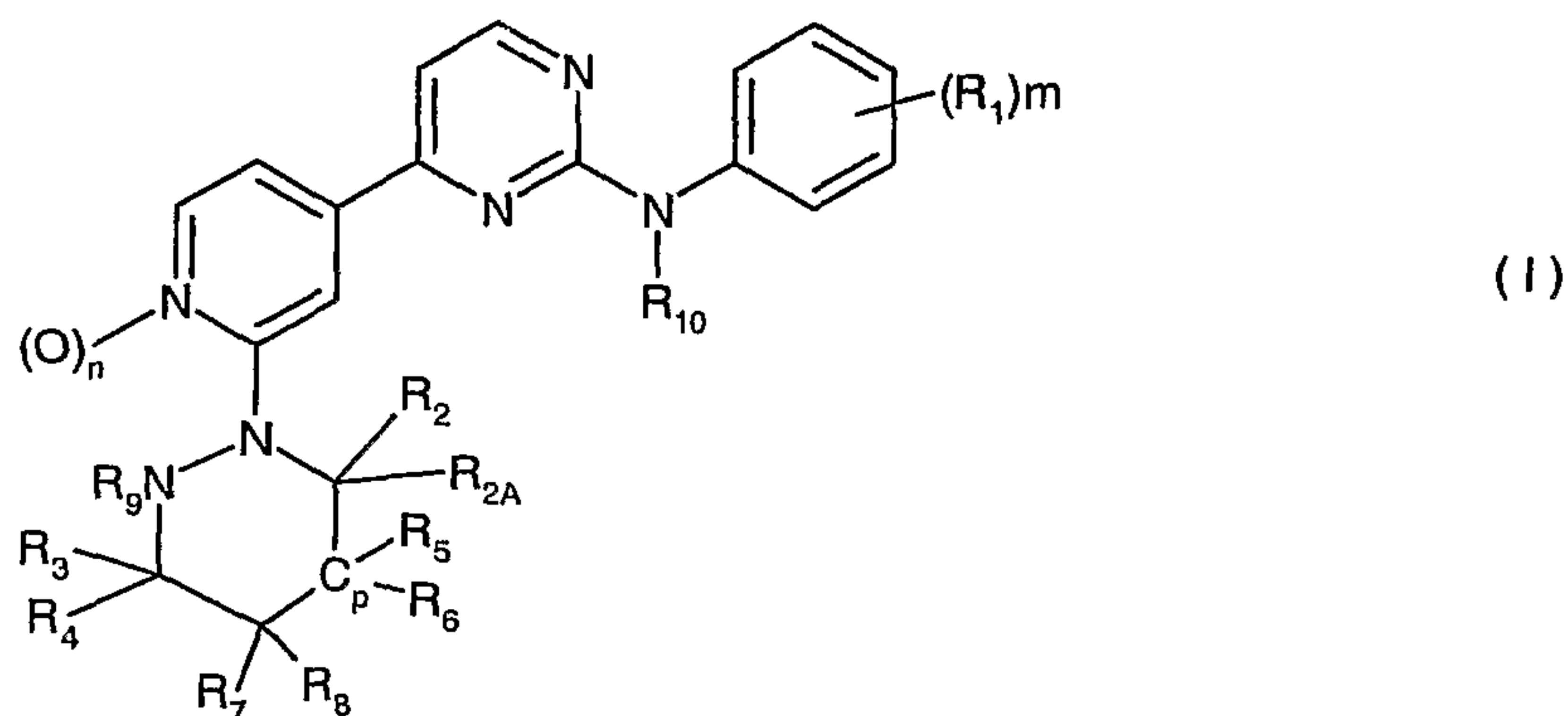
active substance) in a spray chamber. One day after application wheat plants are inoculated by spraying a spore suspension ( $6 \times 10^5$  conidia/ml) on the test plants. After an incubation period of 1 day at +22°C and 95% r.h. plants are kept for 7 days at +22°C and 60% r.h. in a greenhouse. The disease incidence is assessed 8 days after inoculation.

- 5 At the indicated concentration compounds 1.01/028; 2.02/028; 3.01/028; 5.01/028; 1.03/028; 1.06/028, 1.07/028; 2.03/028; 2.04/028; 2.05/028; 2.06/028; 2.09/028; 3.012/028; 2.012/028; 3.028/028 exhibited over 70% control of the fungal infection in this test.

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## CLAIMS

1. A compound of formula I



5

wherein

m is 0, 1, 2 or 3;

n and p are independently of each other 0 or 1;

10  $R_1$  is halogen, optionally substituted alkyl, optionally substituted alkoxy, optionally substituted alkenyloxy, optionally substituted alkynyloxy, optionally substituted thioalkyl optionally substituted aryl,  $\text{COOR}_{11}$ ,  $\text{CONR}_{12}\text{R}_{13}$ ,  $\text{S(O)}_q\text{R}_{14}$ ,  $\text{SO}_2\text{NR}_{15}\text{R}_{16}$  or  $\text{NR}_{15a}\text{R}_{16a}$ ; when there is more than one  $R_1$  group, they may be the same or different;

q is 1 or 2;

15  $R_2, R_{2a}, R_3, R_4, R_5, R_6, R_7, R_8$  are each independently hydrogen, optionally substituted alkyl,  $\text{COR}_{17}$ ,  $\text{COOR}_{18}$  or optionally substituted aryl, and in addition  $R_2$  and  $R_3$  may also independently be optionally substituted alkoxy, optionally substituted alkenyloxy, optionally substituted alkynyloxy, or optionally substituted alkylthio,  $\text{COOR}_{19}$ ,  $\text{CONR}_{20}\text{R}_{21}$ , OH or SH;

20  $R_6$  and  $R_7$  may also be independently halogen, optionally substituted alkoxy, optionally substituted alkenyloxy, optionally substituted alkynyloxy, optionally substituted alkenylamino, optionally substituted alkynylamino, optionally substituted alkylthio, optionally substituted cycloalkyl, optionally substituted heteroaryl, optionally substituted heterocyclyl, optionally substituted cycloalkyloxy, OH, SH,  $\text{N}_3$ ,  
25  $\text{NR}_{22}\text{R}_{23}$  or  $\text{N(R}_{24}\text{)COR}_{25}$ ;

or the ring members  $\text{CR}_3\text{R}_4$  or  $\text{CR}_2\text{R}_{2A}$  are independently of each other a carbonyl group ( $\text{C=O}$ ) or a thionyl group ( $\text{C=S}$ );

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or one or two of the adjacent pairs of groups  $R_9$  and  $R_4$ ,  $R_4$  and  $R_8$ ,  $R_5$  and  $R_8$ , or, if  $p$  is zero,  $R_{2A}$  and  $R_8$  may form a bond, provided that if there are 2 double bonds in the ring the double bonds are not adjacent each other;

or the pair of groups  $R_7$  and  $R_8$  or the pair of groups  $R_6$  and  $R_7$  together with the atom to which they are attached form a  $C_3$ - $C_7$  saturated ring;

$R_9$  is hydrogen, optionally substituted alkyl, optionally substituted alkenyl or optionally substituted alkynyl;

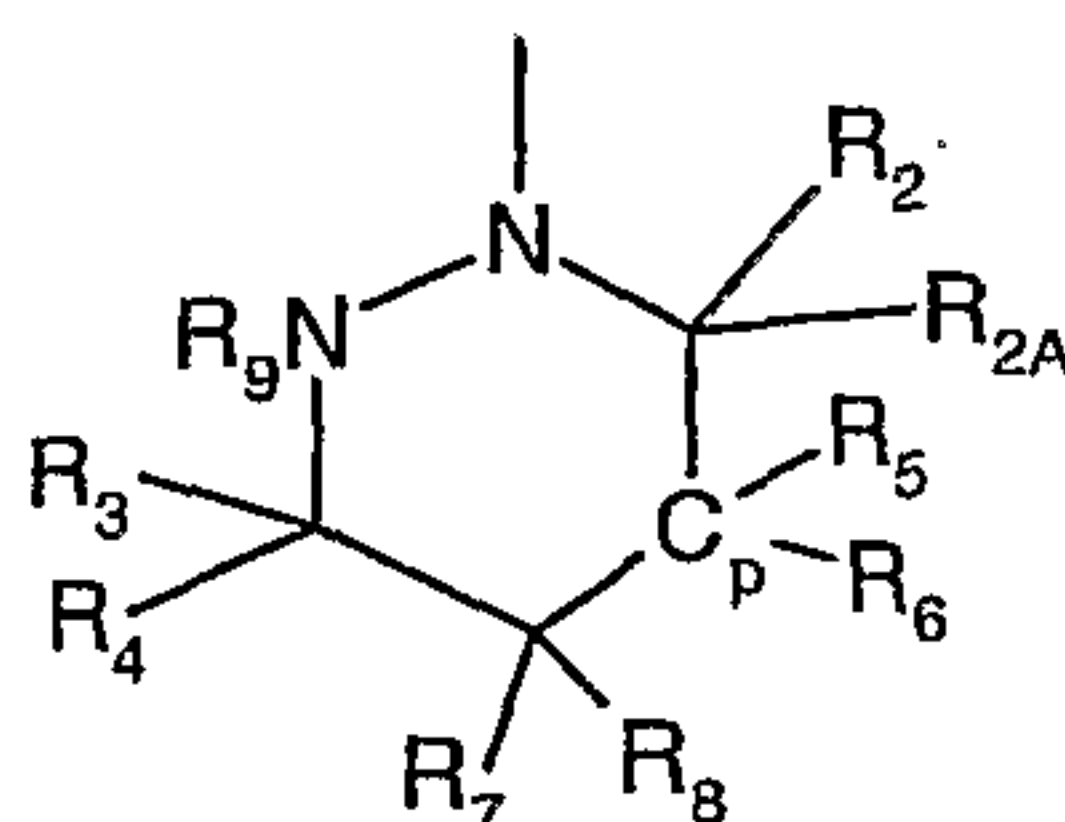
$R_{10}$  is hydrogen,  $C_1$ - $C_4$ -alkyl,  $C_3$ - $C_4$ -alkenyl,  $C_3$ - $C_4$ -alkynyl,  $-CH_2OR_{26}$ ,  $CH_2SR_{27}$ ,  $-C(O)R_{28}$ ,  $-C(O)OR_{29}$ ,  $SO_2R_{30}$ ,  $SOR_{31}$  or  $SR_{32}$ ;

$R_{26}$ ,  $R_{27}$ ,  $R_{28}$ ,  $R_{29}$ ,  $R_{30}$ ,  $R_{31}$ ,  $R_{32}$  are independently  $C_1$ - $C_8$ -alkyl  $C_1$ - $C_8$ -alkoxyalkyl,  $C_1$ - $C_8$  haloalkyl or phenyl $C_1$ - $C_2$ -alkyl wherein the phenyl may be substituted by up to three groups selected from halo or  $C_1$ - $C_4$ -alkyl,

$R_{11}$ ,  $R_{12}$ ,  $R_{13}$ ,  $R_{14}$ ,  $R_{15}$ ,  $R_{16}$ ,  $R_{15a}$ ,  $R_{16a}$ ,  $R_{17}$ ,  $R_{18}$ ,  $R_{19}$ ,  $R_{20}$ ,  $R_{21}$ ,  $R_{22}$ ,  $R_{23}$ ,  $R_{24}$ , and  $R_{25}$  are independently H or optionally substituted alkyl; or a salt thereof.

15

2. A compound according to claim 1, wherein the moiety



20

is a 5- and 6-membered ring selected from 2,4-dihydro-pyrazol-3-ones, 2,4-dihydro-pyrazole-3-thione, 1H-pyrazoles, 2H-pyridazin-3-ones, 4,5-dihydro-2H-pyridazin-3-ones, 1,2-dihydro-pyrazol-3-ones, 1,2-dihydro-pyrazole-3-thione, pyrazolidin-3-one, pyrazolidine-3-thione, 2H-pyridazin-3-thione and 4,5-dihydro-2H-pyridazin-3-thione.

25

3. A compound according to claims 1 or 2, wherein  $R_1$  is halogen,  $C_{1-3}$  haloalkoxy,  $CH(OH)R$ ,  $COR$ ,  $SO_2NRR'$ ,  $CH(NR'R'')R$ ,  $COORa$  or  $CONRbRc$  where  $Ra$ ,  $Rb$ ,  $Rc$ ,  $R$ ,  $R'$ ,  $R''$  are independently H or lower alkyl.

4. A compound according to any one of claims 1 to 3, wherein  $R_2$ ,  $R_{2A}$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$ ,  $R_7$ ,  $R_8$  and  $R_9$  independently of each other are hydrogen or methyl.

30

5. A compound according to any one of claims 1 to 4, wherein  $n$  is zero.

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6. A compound according to any one of claims 1 to 5, wherein  $m$  is 1 and the  $R_1$  group is at the 3- or 4- position of the phenyl ring.

7. A compound according to any one of claims 1 to 6, wherein  $R_7$  is hydrogen,  
5 methyl, ethyl, allyl, propargyl, methoxymethyl, thiomethoxymethyl or ethoxymethyl.

8. A compound according to any one of claims 1 to 6, wherein  $R_7$  is hydrogen or methoxymethyl.

10 9. A compound according to any one of claims 1 to 8, wherein  $R_{10}$  is hydrogen, methyl, ethyl, allyl, propargyl, methoxymethyl, thiomethoxymethyl or ethoxymethyl.

10. A compound according to any one of claims 1 to 8, wherein  $R_{10}$  is hydrogen or methoxymethyl.

15

11. A compound according to any one of claims 1 to 10, wherein the compound is selected from (3-Chloro-phenyl)-{4-[2-(3,4,5-trimethyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine;

(3-Chloro-phenyl)-{4-[2-(5-methoxy-3-methoxymethyl-pyrazol-1-yl)-pyridin-4-yl]-  
20 pyrimidin-2-yl}-amine;

(3-Chloro-phenyl)-{4-[2-(5-methoxy-3-methoxymethyl-4-methyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine;

(3-Chloro-phenyl)-{4-[2-(5-methoxy-4-methyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine;

25 (3-Chloro-phenyl)-{4-[2-(5-ethoxy-3,4-dimethyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine;

2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-methoxymethyl-1,4-dimethyl-1,2-dihydro-pyrazol-3-one;

2-(4-{2-[(3-Chloro-phenyl)-methoxymethyl-amino]-pyrimidin-4-yl}-pyridin-2-yl)-  
30 1,5-dimethyl-1,2-dihydro-pyrazol-3-one;

2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1-ethyl-4,5-dimethyl-1,2-dihydro-pyrazol-3-one;

2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1,4-dimethyl-1,2-dihydro-pyrazol-3-one;

35 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1,5-dimethyl-1,2-dihydro-pyrazol-3-one;

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2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-methoxymethyl-4,4-dimethyl-2,4-dihydro-pyrazol-3-one;

2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4,4-dimethyl-2,4-dihydro-pyrazol-3-one;

5 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4,4,5-trimethyl-2,4-dihydro-pyrazole-3-thione;

5-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-7-methyl-5,6-diazaspiro[2.4]hept-6-en-4-one;

2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4-ethyl-4,5-dimethyl-2,4-dihydro-pyrazol-3-one;

10 (3-Chloro-phenyl)-{4-[2-(5-methoxy-3-methyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine;

2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1,4,5-trimethyl-1,2-dihydro-pyrazol-3-one;

15 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4,4,5-trimethyl-2,4-dihydro-pyrazol-3-one;

2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1,5-dimethyl-1,2-dihydro-pyrazol-3-one;

4,5-Dichloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one;

20 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-6-methyl-2H-pyridazin-3-one;

2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-6-methyl-4,5-dihydro-2H-pyridazin-3-one;

25 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-6-Phenyl-4,5-dihydro-2H-pyridazin-3-one;

4-Chloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-ethoxy-2H-pyridazin-3-one;

4-Chloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-ethylsulfanyl-2H-pyridazin-3-one;

30 5-Azido-4-chloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one;

1-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2-methyl-pyrazolidin-3-one;

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(3-Chloro-phenyl)-{4-[2-(5-methoxy-3,4-dimethyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine;

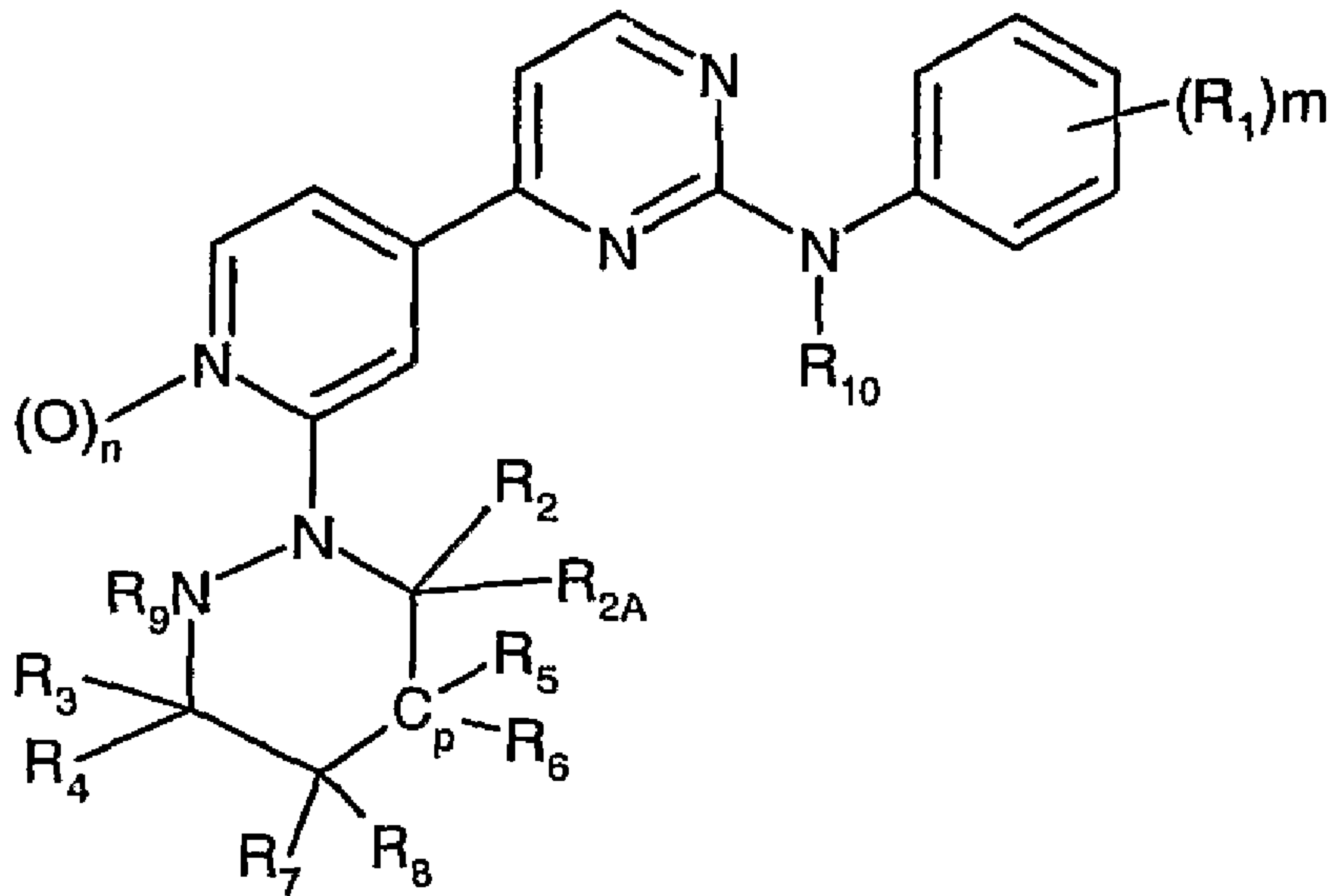
2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-methoxymethyl-1-methyl-1,2-dihydro-pyrazol-3-one;

2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1,5-dimethyl-3-oxo-2,3-dihydro-1H-pyrazole-4-carbaldehyde;

5-Chloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4-(oxetan-3-yloxy)-2H-pyridazin-3-one; and

4-Chloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-(tetrahydro-furan-2-ylmethoxy)-2H-pyridazin-3-one.

12. A composition for controlling and protecting against phytopathogenic microorganisms, comprising a compound as defined in any one of claims 1 to 11 as active ingredient together with a suitable carrier.
13. Use of a compound as defined in any one of claims 1 to 11 in protecting plants against infestation by phytopathogenic microorganisms.
14. A method of controlling and preventing an infestation of crop plants by phytopathogenic microorganisms, which comprises the application of a compound as defined in any one of claims 1 to 11 as active ingredient to the plant, to parts of plants or to the locus thereof.
15. A method according to claim 14, wherein the phytopathogenic microorganisms are fungal organisms.



(I)