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(54) Title: CHEMICAL DERIVATIVES AND THEIR USE AS ANTI-TELOMERASE AGENT

(54) Titre : DERIVES CHIMIQUES ET LEUR APPLICATION COMME AGENT ANTITELOMERASE

(57) Abstract: The invention concerns cancer therapy and novel anti-cancer agents having a very particular mechanism of activity. The invention also concerns novel chemical compounds and their therapeutic use in humans.

(57) Abrégé : La présente invention est relative ô la thérapie du cancer et concerne de nouveaux agents anticancéreux ayant un mécanisme d'action bien particulier. Elle concerne aussi de nouveaux composés chimiques ainsi que leur application thérapeutique chez l'homme.

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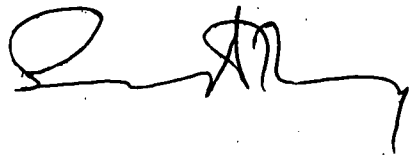


S. ANTHONY

Director

For and on behalf of RWS Group plc

CHEMICAL DERIVATIVES AND THEIR APPLICATION AS ANTITELOMERASE AGENT

The present invention relates to cancer therapy and to novel anticancer agents having a mechanism of action which is quite specific. It also relates to novel chemical compounds as well as their therapeutic application in humans.

The present invention relates to the use of novel non-nucleotide chemical compounds which interact with specific structures of deoxyribonucleic acid (DNA) or ribonucleic acid (RNA). These novel compounds consist of a distribution agent linked to two aminoaromatic groups. These novel compounds are useful in the treatment of cancers and act in particular as telomerase-inhibiting agents. They are particularly useful for stabilizing DNA in G-quadruplex structure (guanine tetrads). The therapeutic application of the inhibition of telomerase via the stabilization of these G-quadruplexes is the termination of cellular mitosis and the death of rapidly dividing cells such as cancer cells and possibly the induction of the senescence of cancer cells.

The compounds of the present invention have the advantage, from the therapeutic point of view, of blocking telomerase. From a biological point of view, telomerase allows the addition of repetitive DNA sequences of the T T A G G G type, termed telomeric sequences, at the end of the telomer, during cell division. Through this action, telomerase renders the cell immortal. Indeed, in the absence of this enzymatic activity, the cell loses, at each division, 100 to 150 bases, which rapidly renders it senescent. During the appearance of rapidly dividing cancer cells, it appeared that these cells possessed telomers which were

maintained at a stable length during cell division. In these cancer cells, it appeared that telomerase was highly activated and that it allowed the addition of repetitive motifs of telomeric sequences at the end of the telomer and therefore allowed conservation of the length of the telomer in the cancer cells. It appeared for some time that more than 85% of cancer cells showed positive tests for the presence of telomerase whereas somatic cells do not show this characteristic.

Thus, telomerase is a highly coveted target for treating cancer cells. The first obvious approach for blocking telomerase was the use of nucleotide structures (Chen et al., Proc. Natl. Acad. Sci. USA 93(7), 2635-2639). Among the non-nucleotide compounds which have been used in the prior art, there may be mentioned the diaminoanthraquinones (Sun et al., J. Med. Chem. 40(14), 2113-6) or the diethyloxadicarbocyanins (Wheelhouse R.T. et al., J. Am. Chem. Soc. 1998(120), 3261-2).

Patent WO 99/40087 describes the use of compounds which interact with the G-quadruplex structures which are perylene compounds and carbocyanins containing at least seven rings including two heterocycles.

It appeared, quite surprisingly, that simple structures made it possible to obtain a result which is at least equivalent with structures which are a lot less complicated from a chemical point of view. The compounds of the present invention which meet the intended objective, that is to say which bind the G-quadruplex structure of DNA or of RNA and in particular the G-quadruplex structure of the telomers and thereby exhibit a telomerase-inhibiting activity, correspond to the following general formula:

nitrogen-containing aromatic ring - NR_3 - distribution agent - NR'_3 - aromatic ring

in which

- the nitrogen-containing aromatic ring represents:
  - ◊ a quinoline or isoquinoline optionally substituted with at least one radical chosen from a group N(Ra)(Rb) in which Ra and Rb, which are identical or different, represent hydrogen or a C1-C4 alkyl radical, and one or more short-chain C1-C4 alkoxy or alkyl groups attached to a carbon or nitrogen atom of the quinoline or isoquinoline ring or
  - ◊ a quinoline or isoquinoline possessing a nitrogen atom in quaternary form or
  - ◊ a benzamidine or
  - ◊ a pyridine
- the aromatic ring represents
  - ◊ a quinoline or isoquinoline optionally substituted with at least one radical chosen from a group N(Ra)(Rb) in which Ra and Rb, which are identical or different, represent hydrogen or a C1-C4 alkyl radical, and one or more short-chain C1-C4 alkoxy or alkyl groups attached to a carbon or nitrogen atom of the quinoline or isoquinoline ring or
  - ◊ a quinoline or isoquinoline possessing a nitrogen atom in quaternary form or
  - ◊ a benzamidine or
  - ◊ a pyridine or
  - ◊ a phenyl ring optionally substituted with a halogen group; C1-C4 alkoxy group; cyano group; carbonylamino group optionally substituted with one or more C1-C4 alkyl groups; guanyl group; C1-C4 alkylthio group; amino group, C1-C4 alkylamino group, C1-C4 dialkylamino group for each alkyl

group and in which the alkyl portions may together form a C3-C8 ring, nitro group; C1-C4 alkyleneamino group; C2-C4 alkenyleneamino group;

◊ a mono- or bi- or tricyclic heterocyclic ring comprising 0 to 2 heteroatoms per ring provided that at least one heteroatom is present in at least one ring optionally substituted with one or more C1-C4 alkyl groups or with C1-C4 alkylene or C2-C4 alkenylene groups

- R3 and R'3, which are identical or different, represent independently of one another hydrogen or a C1-C4 alkyl radical
- the distribution agent represents:

  - a triazine group optionally substituted with an aromatic ring as defined above or with a radical XR1(R2) in which X represents a nitrogen atom N to form NR1R2, a linear or branched C1-C6 alkyl radical to form alkR1R2, an oxygen atom O to form OR1 or a sulphur atom S to form SR1,

  with R1 and R2, which are identical or different, are chosen from a hydrogen atom; a C1-C8 alkyl radical optionally substituted with one or more radicals which are identical or different; an optionally substituted aromatic ring as defined above; a quinuclidine radical; a pyrrolidinyl radical which is itself optionally substituted with an alkyl or phenylalkyl radical with alkyl as C1-C4; a piperazinyl or homopiperazinyl radical which is itself optionally substituted with an alkyl, cycloalkyl or phenylalkyl radical; a morpholinyl radical; a pyridyl or piperidinyl radical or a piperidyl radical which are optionally substituted with

one or more alkyl or phenylalkyl radicals with alkyl C1-C4; an indazolyl radical; a naphthyl radical; a benzotriazole radical; a benzoimidazolyl radical; a pyrimidinyl radical optionally substituted with one or more alkyls with alkyl as C1-C4; an acenaphthene radical; an amino radical which is itself optionally substituted with one or two radicals, which are identical or different, chosen from alkyl, phenylalkyl, alkylaminoalkyl and dialkylaminoalkyl,

it being understood that, when XR1R2 represents NR1R2, then R1 and R2, which are identical, both do not represent hydrogen or unsubstituted C1-C4 alkyl and R1 and R2, which are different, do not represent one hydrogen and the other unsubstituted C1-C4 alkyl

or alternatively, when X represents N or alkyl, R1 and R2 together form with X to which they are attached a saturated or unsaturated 3- to 6-membered monocyclic or 8- to 10-membered bicyclic radical optionally containing one or two heteroatoms, which are identical or different, chosen from N, O or S, this radical being optionally substituted;

- a diazine group optionally substituted with the same groups as the triazine

or one of its salts,

these compounds being in all the possible isomeric forms, racemates, enantiomers and diastereoisomers.

The subject of the present invention is the compounds as defined above, characterized in that they correspond to the following general formula:

nitrogen-containing aromatic ring - NR_3 - distribution agent - NR'_3 - aromatic ring

in which

- the nitrogen-containing aromatic ring represents:
  - ◊ a quinoline or isoquinoline optionally substituted with at least one radical chosen from a group N(Ra)(Rb) in which Ra and Rb, which are identical or different, represent hydrogen or a C1-C4 alkyl radical, and a short-chain C1-C4 alkoxy or alkyl group or
  - ◊ a quinoline or isoquinoline possessing a nitrogen atom in quaternary form or
  - ◊ a benzamidine or
  - ◊ a pyridine
- the aromatic ring represents
  - ◊ a quinoline optionally substituted with at least one radical chosen from a group N(Ra)(Rb) in which Ra and Rb, which are identical or different, represent hydrogen or a C1-C4 alkyl radical, and a short-chain C1-C4 alkoxy or alkyl group or
  - ◊ a quinoline possessing a nitrogen atom in quaternary form or
  - ◊ a benzamidine or
  - ◊ a pyridine or
  - ◊ a phenyl ring optionally substituted with a halogen group; C1-C4 alkoxy group; cyano group; carbonylamino group optionally substituted with one or more C1-C4 alkyl groups; guanyl group; C1-C4 alkylthio group; amino group, C1-C4 alkylamino group, C1-C4 dialkylamino group for each alkyl group and in which the alkyl portions may together form a C3-C8 ring, nitro group; C1-C4 alkyleneamino group; C2-C4 alkenyleneamino group;

◊ a mono- or bi- or tricyclic heterocyclic ring comprising 0 to 2 heteroatoms per ring provided that at least one heteroatom is present in at least one ring optionally substituted with one or more C1-C4 alkyl groups or with C1-C4 alkylene or C2-C4 alkenylene groups

- R3 and R'3, which are identical or different, represent independently of one another hydrogen or a C1-C4 alkyl radical
- the distribution agent represents:

  - a triazine group optionally substituted with an aromatic ring as defined above or with a radical XR1(R2) in which X represents a nitrogen atom N to form NR1R2, a linear or branched C1-C6 alkyl radical to form alkR1R2, an oxygen atom O to form OR1 or a sulphur atom S to form SR1,

  with R1 and R2, which are identical or different, are chosen from a hydrogen atom; a C1-C8 alkyl radical optionally substituted with one or more radicals which are identical or different; an aromatic ring as defined above; a quinuclidine radical; a pyrrolidinyl radical which is itself optionally substituted with an alkyl or phenylalkyl radical with alkyl as C1-C4; a piperazinyl radical which is itself optionally substituted with an alkyl, cycloalkyl or phenylalkyl radical; a morpholinyl radical; a pyridyl radical or a piperidyl radical which are optionally substituted with one or more alkyl or phenylalkyl radicals with alkyl C1-C4; an indazolyl radical; a naphthyl radical; a benzotriazole radical; a pyrimidinyl radical optionally substituted with one or

more alkyls with alkyl as C1-C4; an acenaphthene radical,

it being understood that, when XR1R2 represents NR1R2, then R1 and R2, which are identical, both do not represent hydrogen or unsubstituted C1-C4 alkyl and R1 and R2, which are different, do not represent one hydrogen and the other unsubstituted C1-C4 alkyl

or alternatively, when X represents N or alkyl, R1 and R2 together form with X to which they are attached a saturated or unsaturated 3- to 6-membered monocyclic or 8- to 10-membered bicyclic radical optionally containing one or two heteroatoms, which are identical or different, chosen from N, O or S,

- a diazine group optionally substituted with the same groups as the triazine

or one of its salts,

these compounds being in all the possible isomeric forms, racemates, enantiomers and diastereoisomers.

Among the compounds of the present invention, there may be mentioned the compounds as defined above characterized in that, when one or both of R1 and R2 represents (represent) a C1-C8 alkyl radical optionally substituted with one or more radicals which are identical or different, these radicals are chosen from the following radicals: the amino radical which is itself optionally substituted with one or two radicals which are identical or different, chosen from alkyl, hydroxyalkyl, alkoxyalkyl, alkylphenylalkyl, phenylalkyl, carboxyalkyl, hydroxycarboxyalkyl, acyl, naphthyl, phenyl and alkylphenyl radicals; trialkylammonio radical; hydroxyl radical; C1-C4 alkoxy radical; thioalkoxy radical; trifluoromethyl radical;

acyl radical; free, salified, esterified or amidated carboxyl radical; imidazolyl radical; pyrrolidinyl radical optionally substituted with C1-C4 alkyl; piperidyl and piperazinyl radicals optionally substituted with alkyl or phenylalkyl with alkyl as C1-C4; morpholinyl radical; pyridyl radical; naphthyl radical or phenyl radical itself optionally substituted with one or more radicals chosen from C1-C4 alkoxy radicals, halogen or amino radical optionally substituted as defined above.

Among the compounds of the present invention, there may be mentioned the compounds as defined above characterized in that the distribution agent represents:

- a triazine group optionally substituted with an aromatic ring as defined above or with a radical XR1(R2) in which X represents a nitrogen atom N to form NR1R2, a linear or branched C1-C6 alkyl radical to form alkR1R2, an oxygen atom O to form OR1 or a sulphur atom S to form SR1,
with R1 and R2, which are identical or different, are chosen from a hydrogen atom; C1-C8 alkyl optionally substituted with one or more radicals chosen from the radicals amino, alkylamino, dialkylamino, alkoxyalkylamino, dialkoxyalkylamino, hydroxyalkylamino, dihydroxyalkylamino, hydroxycarboxyalkylamino, trialkylammonium, naphthylamino, phenylamino, acylamino, (alkyl)(phenylalkyl)amino, (phenyl)(alkyl)amino, (alkylphenyl)(alkyl)-amino, hydroxyl, C1-C4 alkoxy, C1-C4 thioalkoxy, trifluoromethyl, acyl, free, salified, esterified or amidated carboxyl, imidazolyl, pyrrolidinyl optionally

substituted with C1-C4 alkyl, piperidyl, piperazinyl and homopiperazinyl optionally substituted with alkyl or phenylalkyl with alkyl as C1-C4, morpholinyl, pyridyl, naphthyl or phenyl optionally substituted with one or more radicals chosen from the radicals C1-C4 alkoxy, halogen, amino, alkylamino and dialkylamino; an aromatic ring as defined above; a quinuclidine radical; a pyrrolidinyl radical which is itself optionally substituted with an alkyl or phenylalkyl radical with alkyl as C1-C4; a piperazinyl radical which is itself optionally substituted with an alkyl, cycloalkyl or phenylalkyl radical; a morpholinyl radical; a pyridyl radical or a piperidyl radical which are optionally substituted with one or more alkyl or phenylalkyl radicals with alkyl C1-C4; an indazolyl radical; a naphthyl radical, a benzotriazole radical; a pyrimidinyl radical optionally substituted with one or more alkyls with alkyl as C1-C4; an acenaphthene radical,

it being understood that, when XR1R2 represents NR1R2, then R1 and R2, which are identical, both do not represent hydrogen or unsubstituted C1-C4 alkyl and R1 and R2, which are different, do not represent one hydrogen and the other unsubstituted C1-C4 alkyl

or alternatively, when X represents N or alkyl, R1 and R2 together form with X to which they are attached a radical chosen from the following radicals: piperazinyl or homopiperazinyl optionally substituted with one or more radicals

which are identical or different; pyrrolidinyl optionally substituted with C1-C4 alkyl or alkoxy, hydroxyl, acylamino, pyrrolidinylalkyl, pyridinyl and pyridyl; 1,2,3,4-tetrahydroquinolinyl and 1,2,3,4-tetrahydroisoquinolinyl; diazepine optionally substituted with alkyl or pyrrolidinylalkyl; piperidyl or piperidinyl optionally substituted with one or more radicals chosen from alkyl, alkoxy, alkoxyalkyl, pyrrolylalkyl, piperidinyl, piperidyl, hydroxyl and cycloalkylalkyl; morpholinyl; thiomorpholinyl; imidazolinyl optionally substituted with alkyl,

- or a diazine group optionally substituted with the same groups as the triazine

or one of its salts,

these compounds being in all the possible isomeric forms, racemates, enantiomers and diastereoisomers.

Among the compounds of the present invention, there may be mentioned the compounds as defined above characterized in that XR1(R2) is such that, when X represents N, either one of R1 and R2 represents a hydrogen atom or a C1-C4 alkyl radical optionally substituted with amino, alkylamino, dialkylamino or phenyl and the other of R1 and R2 is chosen from the values defined for R1 and R2 in any one of Claims 1 to 5 or R1 and R2 together form with the nitrogen atom to which they are attached a cyclic radical chosen from the following radicals: a piperazinyl or homopiperazinyl radical optionally substituted with one or more radicals chosen from alkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, phenylalkyl,

alkoxyalkyl, hydroxyalkyl, dihydroxyalkyl, hydroxyalkoxyalkyl with alkoxy, imidazolylaminoalkyl, imidazolylalkylaminoalkyl, imidazolylhydroxyalkylaminoalkyl, pyridylalkyl, pyridinylalkyl, imidazopyridinylalkyl, pyrrolidinylalkyl, imidazolylalkyl optionally substituted with one or more alkyl or phenyl radicals, morpholinylalkyl, benzoimidazolalkyl optionally substituted with alkyl or hydroxyalkyl, C3-C8 cycloalkyl, pyrazinyl, pyrimidinyl, pyridyl, piperidyl, furylcarbonyl, furfurylcarbonyl, quinolyl or isoquinolyl; a pyrrolidinyl radical optionally substituted with C1-C4 alkyl or alkoxy, hydroxyl, acylamino, pyrrolidinylalkyl, pyridinyl and pyridyl; a radical 1,2,3,4-tetrahydroquinolinyl or 1,2,3,4-tetrahydroisoquinolinyl radical; a diazepine radical optionally substituted with alkyl or pyrrolidinylalkyl; a piperidyl radical optionally substituted with one or more radicals chosen from alkyl, alkoxy, alkoxyalkyl, pyrrolylalkyl, piperidinyl, hydroxyl, cycloalkylalkyl and piperidyl radicals; a piperidinyl radical optionally substituted with piperidinyl; a morpholinyl or thiomorpholinyl radical; an imidazolinyl radical optionally substituted with alkyl.

Among the compounds defined above, there may be mentioned the compounds characterized in that, when one or both of R1 and R2 represents (represent) a C1-C8 alkyl radical optionally substituted with one or more radicals which are identical or different, these radicals are chosen from the amino radical which is itself optionally substituted with one or two radicals which are identical or different, chosen from alkyl, hydroxyalkyl, alkoxyalkyl, phenylalkyl, carboxyalkyl, hydroxycarboxyalkyl, acyl, naphthyl, phenyl and alkylphenyl radicals; trialkylammonio radical; hydroxyl radical; C1-C4 alkoxy radical; thioalkoxy radical; trifluoromethyl radical; free, salified, esterified or

amidated carboxyl radical; pyrrolidinyl radical optionally substituted with C1-C4 alkyl; piperidyl radical; piperazinyl radical optionally substituted with alkyl or phenylalkyl with alkyl as C1-C4; morpholinyl radical; pyridyl radical; naphthyl radical or phenyl radical itself optionally substituted with one or more radicals chosen from C1-C4 alkoxy radicals, halogen or amino radical optionally substituted as defined above.

Among the compounds defined above, there may be mentioned in particular the compounds characterized in that the distribution agent represents:

- a triazine group optionally substituted with an aromatic ring as defined above or with a radical XR1(R2) in which X represents a nitrogen atom N to form NR1R2, a linear or branched C1-C6 alkyl radical to form alkR1R2, an oxygen atom O to form OR1 or a sulphur atom S to form SR1,

with R1 and R2, which are identical or different, are chosen from a hydrogen atom; C1-C8 alkyl optionally substituted with one or more radicals chosen from the radicals amino, alkylamino, dialkylamino, dialkoxyalkylamino, dihydroxyalkylamino, alkoxyalkylamino, hydroxyalkylamino, hydroxycarboxyalkylamino, trialkylammonium, naphthylamino, phenylamino, acylamino, (alkyl)(phenylalkyl)amino, (phenyl)(alkyl)-amino, (alkylphenyl)(alkyl)amino, hydroxyl, C1-C4 alkoxy, C1-C4 thioalkoxy, trifluoromethyl, free, salified, esterified or amidated carboxyl, pyrrolidinyl optionally substituted with C1-C4 alkyl, piperidyl, piperazinyl optionally substituted with alkyl or phenylalkyl with alkyl as C1-C4,

morpholinyl, pyridyl, naphthyl or phenyl optionally substituted with one or more radicals chosen from the radicals C1-C4 alkoxy, halogen, amino, alkylamino and dialkylamino; an aromatic ring as defined above; a quinuclidine radical; a pyrrolidinyl radical which is itself optionally substituted with an alkyl or phenylalkyl radical with alkyl as C1-C4; a piperazinyl radical which is itself optionally substituted with an alkyl, cycloalkyl or phenylalkyl radical; a morpholinyl radical; a pyridyl radical or a piperidyl radical which are optionally substituted with one or more alkyl or phenylalkyl radicals with alkyl C1-C4; an indazolyl radical; a naphthyl radical, a benzotriazole radical; a pyrimidinyl radical optionally substituted with one or more alkyls with alkyl as C1-C4; an acenaphthene radical,

it being understood that, when XR1R2 represents NR1R2, then R1 and R2, which are identical, both do not represent hydrogen or unsubstituted C1-C4 alkyl and R1 and R2, which are different, do not represent one hydrogen and the other unsubstituted C1-C4 alkyl

or alternatively, when X represents N or alkyl, R1 and R2 together form with X to which they are attached a radical chosen from the following radicals: piperazinyl optionally substituted with one or more radicals which are identical or different; pyrrolidinyl optionally substituted with C1-C4 alkyl or alkoxy, hydroxyl, acylamino, pyrrolidinylalkyl and pyridyl; 1,2,3,4-tetrahydroisoquinolinyl; diazepine optionally

substituted with alkyl or pyrrolidinylalkyl; piperidyl optionally substituted with alkyl, alkoxy or alkoxyalkyl, hydroxyl and cycloalkylalkyl; morpholinyl; imidazolinyl optionally substituted with alkyl,

- or a diazine group optionally substituted with the same groups as the triazine

or one of its salts,

these compounds being in all the possible isomeric forms, racemates, enantiomers and diastereoisomers.

Among the compounds defined above, there may be mentioned the compounds characterized in that XR1(R2) is such that, when X represents N, either one of R1 and R2 represents a hydrogen atom or a C1-C4 alkyl radical optionally substituted with an amino, alkylamino, dialkylamino or phenyl radical and the other of R1 and R2 is chosen from the values defined for R1 and R2 in any one of Claims 1 to 8 or R1 and R2 together form with the nitrogen atom to which they are attached a piperazinyl radical optionally substituted with one or more radicals chosen from alkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, phenylalkyl, alkoxyalkyl, hydroxyalkyl, hydroxyalkoxyalkyl with alkoxy, pyrrolidinylalkyl, C3-C8 cycloalkyl, pyrazinyl, pyrimidinyl, pyridyl, furylcarbonyl, furfurycarbonyl, quinolyl; pyrrolidinyl optionally substituted with C1-C4 alkyl or alkoxy, hydroxyl, acylamino, pyrrolidinylalkyl and pyridyl; 1,2,3,4-tetrahydro-isoquinolinyl; diazepine optionally substituted with alkyl or pyrrolidinylalkyl; piperidyl optionally substituted with alkyl, alkoxy or alkoxyalkyl, hydroxyl and cycloalkylalkyl; morpholinyl; imidazolinyl optionally substituted with alkyl.

The subject of the present invention is the compounds which bind the G-quadruplex structure of the telomers characterized in that they correspond to the general formula as defined above.

The present invention thus relates to compounds as defined above characterized in that they correspond to the following general formula:

nitrogen-containing aromatic ring - NR_3 - distribution agent - NR^1_3 - aromatic ring

in which

- the nitrogen-containing aromatic ring represents:
  - ◊ a quinoline optionally substituted with at least one group N(Ra)(Rb) in which Ra and Rb, which are identical or different, represent hydrogen or a C1-C4 alkyl radical, (or) a short-chain C1-C4 alkoxy or alkyl group or
  - ◊ a quinoline possessing a nitrogen atom in quaternary form or
  - ◊ a benzamidine or
  - ◊ a pyridine
- the aromatic ring represents
  - ◊ a quinoline optionally substituted with at least one group N(Ra)(Rb) in which Ra and Rb, which are identical or different, represent hydrogen or a C1-C4 alkyl radical, (or) a short-chain C1-C4 alkoxy or alkyl group or
  - ◊ a quinoline possessing a nitrogen atom in quaternary form or
  - ◊ a benzamidine or
  - ◊ a pyridine or
  - ◊ a phenyl ring optionally substituted with a halogen group; C1-C4 alkoxy group; cyano group; carbonylamino group optionally substituted with one or more C1-C4 alkyl groups; guanyl group; C1-C4 alkylthio

group; amino group, C1-C4 alkylamino group, C1-C4 dialkylamino group for each alkyl group and in which the alkyl portions may together form a C3-C8 ring, nitro group; C1-C4 alkyleneamino group; C2-C4 alkenyleneamino group,

◊ a mono- or bi- or tricyclic heterocyclic ring comprising 0 to 2 heteroatoms per ring provided that at least one heteroatom is present in at least one ring optionally substituted with one or more C1-C4 alkyl groups or with C1-C4 alkylene or C2-C4 alkenylene groups

- R3 and R'3, which are identical or different, represent independently of one another hydrogen or a C1-C4 alkyl radical
- the distribution agent represents:

  - a triazine group optionally substituted with a radical XR1(R2) in which X represents a nitrogen atom N to form NR1R2, a linear or branched C1-C6 alkyl radical to form alkR1R2, an oxygen atom O to form OR1 or a sulphur atom S to form SR1,

  with R1 and R2, which are identical or different, are chosen from a hydrogen atom; C1-C8 alkyl optionally substituted with a radical amino, alkylamino, dialkylamino, (phenyl)(alkyl)amino, (alkylphenyl)(alkyl)-amino, C1-C4 alkoxy, C1-C4 thioalkoxy, trifluoromethyl, pyrrolidinyl, piperidyl, piperazinyl, morpholinyl, pyridyl or phenyl; an aromatic ring as defined above; a quinuclidine radical, a radical pyrrolidinyl, piperazinyl, morpholinyl, pyridyl or a piperidyl radical optionally substituted with C1-C4 alkyl

it being understood that R1 and R2, which are identical, both do not represent hydrogen or unsubstituted C1-C4 alkyl and R1 and R2, which are different, do not represent one hydrogen and the other unsubstituted C1-C4 alkyl

or alternatively, when X represents N or alkyl, R1 and R2 together form with X to which they are attached a saturated or unsaturated 3- to 6-membered monocyclic or 8- to 10-membered bicyclic radical optionally containing one or two heteroatoms, which are identical or different, chosen from N, O or S,

- a diazine group optionally substituted with the same groups as the triazine

or one of its salts,

these compounds being in all the possible isomeric forms, racemates, enantiomers and diastereoisomers.

For the purposes of the above formula, nitrogen-containing aromatic ring is understood to mean a heterocycle comprising at least one nitrogen atom or an aromatic group containing no heteroatom in the ring but containing at least one nitrogen atom in a hydrocarbon chain attached to the ring, such as for example a guanidino or guanyl chain.

The aromatic ring represents in particular a quinaldine, quinoline, benzamidine, pyridine and phenyl radical as defined above and optionally substituted as indicated above.

As C3-C8 ring which the alkyl portions of the dialkylamino radicals defined above can form, there may be mentioned for example aziriridine, azetidine, pyrrolidine, oxazolidine, thiazolidine, piperidine,

piperazine, morpholine, thiomorpholine or azepine rings.

In the products above and below, the chemical radicals have their customary meanings which are found in the documents used by persons skilled in the art and correspond in particular to the following definitions:

- the term alkyl radical denotes linear or branched radicals, in particular methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, tert-butyl, pentyl, isopentyl, hexyl, isohexyl and also heptyl, octyl, nonyl and decyl radicals and their linear or branched position isomers,

- the term alkoxy radical denotes linear or branched radicals, in particular methoxy, ethoxy, propoxy, isopropoxy, linear, secondary or tertiary butoxy, pentoxy or hexoxy radicals and their linear or branched position isomers,

- the term halogen atom denotes chlorine, fluorine, bromine or iodine, and in particular chlorine and fluorine, atoms

the term cycloalkyl radical denotes cyclohexyl, cyclopropyl, cyclobutyl and also cycloheptyl and cyclooctyl radicals

- the term alkylphenyl denotes a phenyl radical substituted with one or more linear or branched alkyl radicals as defined above, preferably containing at most 4 carbon atoms

the terms NH(alk) and N(alk)(alk) denote an amino radical substituted with one or two alkyl radicals, respectively, such alkyl radicals being linear or

branched and preferably containing at most 4 carbon atoms

the term acylamino denotes -C(O)-NH2, -C(O)-NH(alk) and -C(O)-N(alk)(alk) radicals in which the NH(alk) and N(alk)(alk) radicals have the meaning indicated above

- the term acyl denotes an R-C(O)- radical in which R represents a radical chosen from a hydrogen atom, linear or branched alkyl radicals containing at most 8 carbon atoms or a saturated or unsaturated carbocyclic or heterocyclic radical, chosen for example from the aromatic or nonaromatic rings defined above: the term acyl thus denotes for example formyl, acetyl, propionyl, butanoyl, pentanoyl, hexanoyl, benzoyl, pyrrolidinylcarbonyl, pyrazinylcarbonyl, piperazinyl-carbonyl, furylcarbonyl or furfurylcarbonyl radicals.

The carboxyl radical(s) of the products of formula (I) may be salified or esterified with various groups known to persons skilled in the art among which there may be mentioned, for example:

- among the salifying compounds, inorganic bases such as, for example, a sodium, potassium, lithium, calcium, magnesium or ammonium equivalent or organic bases such as, for example, methylamine, propylamine, trimethylamine, diethylamine, triethylamine, N,N-dimethylethanolamine, tris(hydroxymethyl)aminomethane, ethanolamine, pyridine, picoline, dicyclohexylamine, morpholine, benzylamine, procaine, lysine, arginine, histidine, N-methylglucamine,

- among the esterifying compounds, alkyl radicals in order to form alkoxycarbonyl groups such as, for example, methoxycarbonyl, ethoxycarbonyl, tert-

butoxycarbonyl or benzyloxycarbonyl, it being possible for these alkyl radicals to be substituted with radicals chosen for example from halogen atoms, hydroxyl, alkoxy, acyl, acyloxy, alkylthio, amino or aryl radicals as, for example, in chloromethyl, hydroxypropyl, methoxymethyl, propionyloxymethyl, methylthiomethyl, dimethylaminoethyl, benzyl or phenethyl groups.

The addition salts with inorganic or organic acids of the products of formula (I) may be, for example, the salts formed with hydrochloric, hydrobromic, hydriodic, nitric, sulphuric, phosphoric, propionic, acetic, trifluoroacetic, formic, benzoic, maleic, fumaric, succinic, tartaric, citric, oxalic, glyoxylic, aspartic and ascorbic acids, alkylmonosulphonic acids such as for example methanesulphonic acid, ethanesulphonic acid, propanesulphonic acid, alkyldisulphonic acids such as, for example, methanedisulphonic acid, alpha, beta-ethanedisulphonic acid, arylmonosulphonic acids such as benzenesulphonic acid and aryldisulphonic acids.

The pharmaceutically acceptable salts of the products of formula (I) are in particular utilizable nontoxic salts: such salts of the products of formula (I) as defined above may be obtained by ordinary methods known to persons skilled in the art, for example by combining a compound of formula (I) with an organic or inorganic acid or a base in a solvent or a dispersant or from another salt by exchange of cation or anion.

It may be restated that the stereoisomerism may be defined within its broad term as the isomerism of compounds having the same structural formula, but in which the various groups are arranged differently in space, as in particular in monosubstituted cyclohexanes

in which the substituent may be in the axial or equatorial position, and the various possible rotational conformations of the ethane derivatives. Nevertheless, there is another type of stereoisomerism, due to the different spatial arrangements of substituents attached, either to double bonds, or to rings, which is often called geometric isomerism or cis-trans isomerism. The term stereoisomers is used in the present application in its broadest sense and therefore covers all the compounds indicated above.

The subject of the present invention is in particular the compounds as defined above, characterized in that the distribution agent represents:

- a triazine group optionally substituted with a radical XR1(R2) in which X represents a nitrogen atom N in order to form NR1R2, an oxygen atom O in order to form OR1 or a sulphur atom S in order to form SR1,

  with R1 and R2, which are identical or different, are chosen from a hydrogen atom; C1-C8 alkyl optionally substituted with a radical amino, alkylamino, dialkylamino, (phenyl)(alkyl)amino, (alkylphenyl)(alkyl)amino, C1-C4 alkoxy, with a radical pyrrolidinyl, pyridyl or with a phenyl radical; an aromatic ring as defined above; a quinuclidine radical, a pyrrolidinyl radical or a piperidyl radical optionally substituted with C1-C4 alkyl

  it being understood that R1 and R2, which are identical, both do not represent hydrogen or unsubstituted C1-C4 alkyl and R1 and R2, which are different, do not represent one hydrogen and the other unsubstituted C1-C4 alkyl,

  or alternatively, when X represents N, R1 and R2 together form with X to which they are attached a

piperazinyl, piperidyl, pyrrolidinyl, morpholinyl or thiomorpholinyl radical,

- a diazine group optionally substituted with the same groups as the triazine

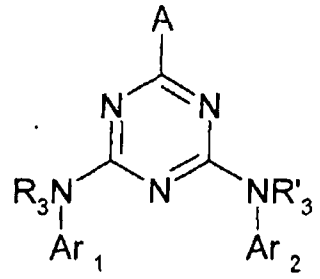
or one of its salts,

these compounds being in all the possible isomeric forms, racemates, enantiomers and diastereoisomers.

The subject of the present invention is particularly the compounds as defined above, characterized in that the diazine groups are pyrimidines or quinazolines.

The subject of the present invention is more particularly the compounds as defined above, characterized in that XR1(R2) is such that, when X represents N, either one of R1 and R2 represents a hydrogen atom and the other of R1 and R2 is chosen from the values defined for R1 and R2 or R1 and R2 together form with the nitrogen atom to which they are attached a piperazinyl, pyrrolidinyl, piperidyl or morpholino radical .

The present invention relates particularly to compounds as defined above, characterized in that they correspond to formula (I) below:



in which:

A represents a radical XR1(R2) in which X represents a nitrogen, oxygen, or sulphur

atom or a C1-C6 alkyl radical in order to form one of the following radicals:

. NR1R2 with R1 and R2, which are identical or different, are chosen from a hydrogen atom; a C1-C8 alkyl radical optionally substituted with one or more radicals which are identical or different; an aromatic ring as defined above; a quinuclidine radical; a pyrrolidinyl radical which is itself optionally substituted with an alkyl or phenylalkyl radical with alkyl as C1-C4; a piperazinyl or homopiperazinyl radical which is itself optionally substituted with an alkyl, cycloalkyl or phenylalkyl radical; a morpholinyl radical; a pyridyl or piperidinyl radical or a piperidyl radical optionally substituted with one or more alkyl or phenylalkyl radicals with alkyl C1-C4; an indazolyl radical; a naphthyl radical; a benzotriazole radical; a benzimidazolyl radical; a pyrimidinyl radical optionally substituted with one or more alkyls with alkyl as C1-C4; an acenaphthene radical; an amino radical which is itself optionally substituted with one or two radicals, which are identical or different, chosen from alkyl, phenylalkyl, alkylaminoalkyl and dialkylaminoalkyl,

it being understood that, when XR1R2 represents NR1R2, then R1 and R2, which are identical, both do not represent hydrogen or unsubstituted C1-C4 alkyl and R1 and R2, which are different, do not represent one hydrogen and the other unsubstituted C1-C4 alkyl

or alternatively, when X represents N or alkyl, R1 and R2 together form with X to

which they are attached a saturated or unsaturated 3- to 6-membered monocyclic or 8- to 10-membered bicyclic radical optionally containing one or two heteroatoms, which are identical or different, chosen from N, O or S,

• a group OR1 or SR1 in which R1 has the same meaning as above, it being understood that R1 does not represent hydrogen or unsubstituted C1-C4 alkyl, or

• an alkyl group containing from 1 to 6 carbon atoms, substituted with R1 R2 as defined above

- R3 and R'3, which are identical or different, represent independently of one another hydrogen or a C1-C4 alkyl group

- Ar_1 and Ar_2, which are identical or different, represent

* when Ar_1 and Ar_2 are identical:

• a quinoline or isoquinoline motif optionally substituted with at least one radical chosen from a group N(Ra)(Rb) in which Ra and Rb, which are identical or different, represent hydrogen or a C1-C4 alkyl radical, and one or more short-chain C1-C4 alkoxy or alkyl groups attached to a carbon or nitrogen atom of the quinoline or isoquinoline ring or

• a quinoline or isoquinoline possessing a nitrogen atom in quaternary form or

• a benzamidine or

• a pyridine attached at the 4-position or fused with an aryl or heteroaryl group optionally substituted with a C1-C4 alkyl group

* when Ar_1 and Ar_2 are different

- Ar_1 and Ar_2 both represent one of the possibilities mentioned above for Ar_1 and Ar_2 or
- Ar_1 represents one of the above possibilities and Ar_2 represents
  * a phenyl ring optionally substituted with a halogen group, C1-C4 alkoxy group, cyano group, carbonylamino group optionally substituted with one or more C1-C4 alkyl groups, guanyl group, C1-C4 alkylthio group, amino group, C1-C4 alkylamino group, C1-C4 dialkylamino group for each alkyl group, nitro group, C1-C4 alkyleneamino group, (or) C2-C4 alkenyleneamino group, or a piperazinyl radical optionally substituted with a C1-C4 alkyl radical,
  * a mono- or bi- or tricyclic heterocyclic ring comprising 0 to 2 heteroatoms per ring provided that at least one heteroatom is present in at least one ring optionally substituted with one or more C1-C4 alkyl groups or with C1-C4 alkylene or C2-C4 alkenylene groups

or one of its salts, these compounds of formula (I) being in all the possible isomeric forms, racemates, enantiomers and diastereoisomers.

Among the compounds of formula (I) as defined above, there may be mentioned the compounds characterized in that, when one or both of R1 and R2 represents (represent) a C1-C8 alkyl radical optionally substituted with one or more radicals which are identical or different, these radicals are chosen from

the amino radical which is itself optionally substituted with one or two radicals which are identical or different, chosen from alkyl, hydroxyalkyl, alkoxyalkyl, phenylalkyl, carboxyalkyl, hydroxycarboxyalkyl, acyl, naphthyl, phenyl and alkylphenyl radicals; trialkylammonio radical; hydroxyl radical; alkoxy radical; thioalkoxy radical; trifluoromethyl radical; acyl radical; free, salified, esterified or amidated carboxyl radical; imidazolyl radical; pyrrolidinyl radical optionally substituted with C1-C4 alkyl; piperidyl and piperazinyl radicals optionally substituted with alkyl or phenylalkyl with alkyl as C1-C4; morpholinyl radical; pyridyl radical; naphthyl radical or phenyl radical itself optionally substituted with one or more radicals chosen from C1-C4 alkoxy radicals, halogen or amino radical optionally substituted as defined above.

Among the compounds of formula (I) as defined above, there may be mentioned in particular the compounds characterized in that XR1(R2) is such that, when X represents N, either one of R1 and R2 represents a hydrogen atom and the other of R1 and R2 is chosen from the values defined above for R1 and R2, or R1 and R2 together form with the nitrogen atom to which they are attached a piperazinyl, homopiperazinyl, pyrrolidinyl, piperidyl, pyridinyl, morpholinyl, thiomorpholinyl, imidazolinyl, diazepine, 1,2,3,4-tetrahydroquinoline or 1,2,3,4-tetrahydroisoquinoline radical, all these radicals being optionally substituted with one or more radicals as defined above.

Among the compounds of formula (I) as defined above, there may be mentioned in particular the compounds characterized in that A represents an aromatic ring as defined above or a radical XR1(R2) in which X represents a nitrogen atom N to form NR1R2, a linear or

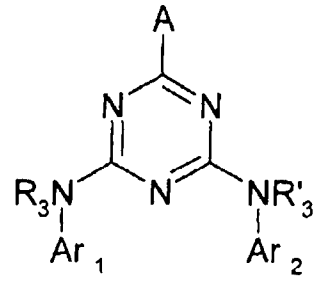
branched C1-C6 alkyl radical to form alkR1R2, an oxygen atom O to form OR1 or a sulphur atom S to form SR1,
with R1 and R2, which are identical or different, are chosen from a hydrogen atom; C1-C8 alkyl optionally substituted with one or more radicals chosen from the radicals amino, alkylamino, dialkylamino, dialkoxyalkylamino, dihydroxyalkylamino, alkoxyalkylamino, hydroxyalkylamino, hydroxycarboxyalkylamino, trialkylammonio, naphthylamino, phenylamino, acylamino, (alkyl)(phenylalkyl)amino, (phenyl)(alkyl)amino, (alkylphenyl)(alkyl)amino, hydroxyl, C1-C4 alkoxy, C1-C4 thioalkoxy, trifluoromethyl, acyl, free, salified, esterified or amidated carboxyl, imidazolyl, pyrrolidinyl optionally substituted with C1-C4 alkyl, piperidyl and piperazinyl optionally substituted with alkyl or phenylalkyl with alkyl as C1-C4, morpholinyl, pyridyl, naphthyl or phenyl optionally substituted with one or more radicals chosen from the radicals C1-C4 alkoxy, halogen, amino, alkylamino and dialkylamino; an aromatic ring as defined above; a quinuclidine radical; a pyrrolidinyl radical which is itself optionally substituted with an alkyl or phenylalkyl radical with alkyl as C1-C4; a piperazinyl radical which is itself optionally substituted with an alkyl, cycloalkyl or phenylalkyl radical; a morpholinyl radical; a pyridyl radical or a piperidyl radical which are optionally substituted with one or more alkyl or phenylalkyl radicals with alkyl C1-C4; an indazolyl radical; a naphthyl radical, a benzotriazole radical; a pyrimidinyl radical optionally substituted with one or more alkyls with alkyl as C1-C4; an acenaphthene radical,
it being understood that, when XR1R2 represents NR1R2, then R1 and R2, which are identical, both do not represent hydrogen or unsubstituted C1-C4 alkyl and R1 and R2, which are different, do not represent one hydrogen and the other unsubstituted C1-C4 alkyl

or alternatively, when X represents N or alkyl, R1 and R2 together form with X to which they are attached a radical chosen from the following radicals: piperazinyl or homopiperazinyl optionally substituted with one or more radicals which are identical or different; pyrrolidinyl optionally substituted with C1-C4 alkyl or alkoxy, hydroxyl, acylamino, pyrrolidinylalkyl, pyridinyl and pyridyl; 1,2,3,4-tetrahydroquinolinyl and 1,2,3,4-tetrahydroisoquinolinyl; diazepine optionally substituted with alkyl or pyrrolidinylalkyl; piperidyl optionally substituted with one or more radicals, chosen from alkyl, alkoxy, alkoxyalkyl, pyrrolylalkyl, piperidinyl, piperidyl, hydroxyl and cycloalkylalkyl; morpholinyl; thiomorpholinyl; imidazolinyl optionally substituted with alkyl.

Among the compounds of formula (I) as defined above, there may be mentioned in particular the compounds characterized in that XR1(R2) is such that, when X represents N, either one of R1 and R2 represents the hydrogen atom or a C1-C4 alkyl radical optionally substituted with an amino, alkylamino, dialkylamino or phenyl radical and the other of R1 and R2 is chosen from the values defined for R1 and R2 in any one of Claims 1 to 8 or R1 and R2 together form with the nitrogen atom to which they are attached a piperazinyl or homopiperazinyl radical optionally substituted with one or more radicals chosen from alkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, phenylalkyl, alkoxyalkyl, hydroxyalkyl, dihydroxyalkyl, hydroxyalkoxyalkyl with C1-C6 alkoxy, imidazolylaminoalkyl, imidazolylalkylaminoalkyl, imidazolylhydroxyalkylaminoalkyl, pyridylalkyl,

pyridinylalkyl, imidazopyridinylalkyl, pyrrolidinylalkyl, imidazolylalkyl optionally substituted with one or more alkyl or phenyl radicals, morpholinylalkyl, benzoimidazolalkyl optionally substituted with alkyl or hydroxyalkyl, C3-C8 cycloalkyl, pyrazinyl, pyrimidinyl, pyridyl, piperidyl furylcarbonyl, furfurylcarbonyl, quinolyl or isoquinolyl; a pyrrolidinyl radical optionally substituted with C1-C4 alkyl or alkoxy, hydroxyl, acylamino, pyrrolidinylalkyl, pyridinyl and pyridyl; a 1,2,3,4-tetrahydroquinolinyl or 1,2,3,4-tetrahydroisoquinolinyl radical; a diazepine radical optionally substituted with alkyl or pyrrolidinylalkyl; a piperidyl radical optionally substituted with one or more radicals chosen from alkyl, alkoxy or alkoxyalkyl, pyrrolylalkyl, piperidinyl, hydroxyl, cycloalkylalkyl and piperidyl radicals; a piperidinyl radical optionally substituted with piperidinyl; a morpholinyl or thiomorpholinyl radical; an imidazolinyl radical optionally substituted with alkyl.

The present invention relates particularly to compounds of formula (I) as defined above, characterized in that they correspond to the following formula:



in which:

A represents a radical XR1(R2) in which X represents a nitrogen, oxygen, or sulphur atom or a C1-C6 alkyl radical in order to form one of the following radicals:

NR1R2 with R1 and R2, which are identical or different, are chosen from a hydrogen atom; a C1-C8 alkyl optionally substituted with one or more radicals which are identical or different; an aromatic ring as defined above; a quinuclidine radical; a pyrrolidinyl radical which is itself optionally substituted with an alkyl or phenylalkyl radical with alkyl as C1-C4; a piperazinyl radical which is itself optionally substituted with an alkyl, cycloalkyl or phenylalkyl radical; a morpholinyl radical; a pyridyl radical or a piperidyl radical optionally substituted with one or more alkyl or phenylalkyl radicals with alkyl C1-C4; an indazolyl radical; a naphthyl radical; a benzotriazole radical; a pyrimidinyl radical optionally substituted with one or more alkyls with alkyl as C1-C4; an acenaphthene radical,

it being understood that, when XR1R2 represents NR1R2, then R1 and R2, which are identical, both do not represent hydrogen or unsubstituted C1-C4 alkyl and R1 and R2, which are different, do not represent one hydrogen and the other unsubstituted C1-C4 alkyl

or alternatively, when X represents N or alkyl, R1 and R2 together form with X to which they are attached a saturated or unsaturated 3- to 6-membered monocyclic or 8- to 10-membered bicyclic radical optionally containing one or two heteroatoms, which are identical or different, chosen from N, O or S,

- a group OR1 or SR1 in which R1 has the same meaning as above, it being understood that R1 does

not represent hydrogen or unsubstituted C1-C4 alkyl, or

• an alkyl group containing from 1 to 6 carbon atoms, substituted with R1 R2 as defined above

- R3 and R'3, which are identical or different, represent independently of one another hydrogen or a C1-C4 alkyl group

- Ar_1 and Ar_2, which are identical or different, represent

* when Ar_1 and Ar_2 are identical:
  • a quinoline motif optionally substituted with at least one group N(Ra)(Rb) in which Ra and Rb, which are identical or different, represent hydrogen or a C1-C4 alkyl radical, (or) a short-chain alkoxy or alkyl group containing 1 to 4 carbon atoms or
  • a quinoline possessing a nitrogen atom in quaternary form or
  • a benzamidine or
  • a pyridine attached at the 4-position or fused with an aryl or heteroaryl group optionally substituted with a C1-C4 alkyl group
* when Ar_1 and Ar_2 are different
  • Ar_1 and Ar_2 both represent one of the possibilities mentioned above for Ar_1 and Ar_2 or
  • Ar_1 represents one of the above possibilities and Ar_2 represents
    * a phenyl ring optionally substituted with a halogen group, C1-C4 alkoxy group, cyano group, carbonylamino group optionally substituted with one or more C1-C4 alkyl groups, guanyl group, C1-C4 alkylthio group, amino group, C1-C4 alkylamino group, C1-C4

dialkylamino group for each alkyl group, nitro group, C1-C4 alkyleneamino group, (or) C2-C4 alkenyleneamino group, or a piperazinyl radical optionally substituted with a C1-C4 alkyl radical,

* a mono- or bi- or tricyclic heterocyclic ring comprising 0 to 2 heteroatoms per ring provided that at least one heteroatom is present in at least one ring optionally substituted with one or more C1-C4 alkyl groups or with C1-C4 alkylene or C2-C4 alkenylene groups

or one of its salts, these compounds of formula (I) being in all the possible isomeric forms, racemates, enantiomers and diastereoisomers.

The present invention relates in particular to the compounds as defined above, characterized in that when one or both of R1 and R2 represents (represent) a C1-C8 alkyl radical optionally substituted with one or more radicals which are identical or different, these radicals are chosen from the amino radical which is itself optionally substituted with one or two radicals which are identical or different, chosen from alkyl, hydroxyalkyl, alkoxyalkyl, phenylalkyl, carboxyalkyl, hydroxycarboxyalkyl, acyl, naphthyl, phenyl and alkylphenyl radicals; trialkylammonio radical; hydroxyl radical; alkoxy radical; thioalkoxy radical; trifluoromethyl radical; free, salified, esterified or amidated carboxyl radical; pyrrolidinyl radical optionally substituted with C1-C4 alkyl; piperidyl radical; piperazinyl radical optionally substituted with alkyl or phenylalkyl with alkyl as C1-C4; morpholinyl radical; pyridyl radical; naphthyl radical

or phenyl radical itself optionally substituted with one or more radicals chosen from C1-C4 alkoxy radicals, halogen or amino radical optionally substituted as defined above.

The present invention thus relates to the compounds as defined above, characterized in that XR1(R2) is such that, when X represents N, either one of R1 and R2 represents a hydrogen atom and the other of R1 and R2 is chosen from the values defined above for R1 and R2, or R1 and R2 together form with the nitrogen atom to which they are attached a piperazinyl, pyrrolidinyl, piperidyl, morpholinyl, thiomorpholinyl, imidazolinyl, diazepine or 1,2,3,4-tetrahydroisoquinoline radical, all these radicals being optionally substituted with one or more radicals.

The present invention relates in particular to the compounds as defined above, characterized in that A represents an aromatic ring as defined above or a radical XR1(R2) in which X represents a nitrogen atom N to form NR1R2, a linear or branched C1-C6 alkyl radical to form alkR1R2, an oxygen atom O to form OR1 or a sulphur atom S to form SR1,
with R1 and R2, which are identical or different, are chosen from a hydrogen atom; C1-C8 alkyl optionally substituted with one or more radicals chosen from the radicals amino, alkylamino, dialkylamino, dialkoxyalkylamino, dihydroxyalkylamino, alkoxyalkylamino, hydroxyalkylamino, hydroxycarboxyalkylamino, trialkylammonio, naphthylamino, phenylamino, acylamino, (alkyl)(phenylalkyl)amino, (phenyl)(alkyl)amino, (alkylphenyl)(alkyl)amino, hydroxyl, C1-C4 alkoxy, C1-C4 thioalkoxy, trifluoromethyl, free, salified, esterified or amidated carboxyl, pyrrolidinyl optionally substituted with C1-C4 alkyl, piperidyl and piperazinyl optionally substituted with alkyl or

phenylalkyl with alkyl as C1-C4, morpholinyl, pyridyl, naphthyl or phenyl optionally substituted with one or more radicals chosen from the radicals C1-C4 alkoxy, halogen, amino, alkylamino and dialkylamino; an aromatic ring as defined above; a quinuclidine radical; a pyrrolidinyl radical which is itself optionally substituted with an alkyl or phenylalkyl radical with alkyl as C1-C4; a piperazinyl radical which is itself optionally substituted with an alkyl, cycloalkyl or phenylalkyl radical; a morpholinyl radical; a pyridyl radical or a piperidyl radical which are optionally substituted with one or more alkyl or phenylalkyl radicals with alkyl C1-C4; an indazolyl radical; a naphthyl radical, a benzotriazole radical; a pyrimidinyl radical optionally substituted with one or more alkyls with alkyl as C1-C4; an acenaphthene radical,

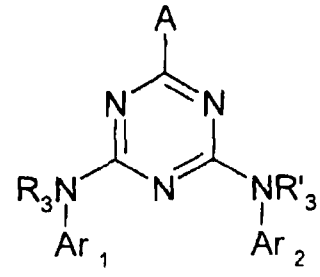
it being understood that, when XR1R2 represents NR1R2, then R1 and R2, which are identical, both do not represent hydrogen or unsubstituted C1-C4 alkyl and R1 and R2, which are different, do not represent one hydrogen and the other unsubstituted C1-C4 alkyl

or alternatively, when X represents N or alkyl, R1 and R2 together form with X to which they are attached a radical chosen from the following radicals: piperazinyl optionally substituted with one or more radicals which are identical or different; pyrrolidinyl optionally substituted with C1-C4 alkyl or alkoxy, hydroxyl, acylamino, pyrrolidinylalkyl and pyridyl; 1,2,3,4-tetrahydroisoquinolinyl; diazepine optionally substituted with alkyl or pyrrolidinylalkyl; piperidyl optionally substituted with alkyl, alkoxy or alkoxyalkyl, hydroxyl and cycloalkylalkyl; morpholinyl; imidazolinyl optionally substituted with alkyl.

The present invention thus relates to the compounds as defined above, characterized in that XR1(R2) is such

that, when X represents N, either one of R1 and R2 represents the hydrogen atom or a C1-C4 alkyl radical optionally substituted with an amino,. alkylamino, dialkylamino or phenyl radical and the other of R1 and R2 is chosen from the values defined for R1 and R2 in any one of Claims 1 to 8 or R1 and R2 together form with the nitrogen atom to which they are attached a piperazinyl radical optionally substituted with one or more radicals chosen from alkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, phenylalkyl, alkoxyalkyl, hydroxyalkyl, hydroxyalkoxyalkyl with alkoxy, pyrrolidinylalkyl, C3-C8 cycloalkyl, pyrazinyl, pyrimidinyl, pyridyl, furylcarbonyl, furfurycarbonyl and quinolyl; pyrrolidinyl optionally substituted with C1-C4 alkyl or alkoxy, hydroxyl, acylamino, pyrrolidinylalkyl and pyridyl; 1,2,3,4-tetrahydroisoquinolinyl; diazepine optionally substituted with alkyl or pyrrolidinylalkyl; piperidyl optionally substituted with alkyl, alkoxy or alkoxyalkyl, hydroxyl and cycloalkylalkyl; morpholinyl; imidazolinyl optionally substituted with alkyl.

The present invention thus relates to the compounds defined above which bind the G-quadruplex structure of the telomers, characterized in that they correspond to formula (I) below:



in which:

A represents a radical XR1(R2) in which X represents a nitrogen, oxygen or

sulphur atom or a C1-C6 alkyl radical in order to form one of the following radicals:

NR1R2 with R1 and R2, which are identical or different, are chosen from a hydrogen atom; C1-C8 alkyl optionally substituted with a radical amino, alkylamino, dialkylamino, (phenyl)-(alkyl)amino, (alkylphenyl)(alkyl)amino, C1-C4 alkoxy, C1-C4 thioalkoxy, trifluoromethyl, pyrrolidinyl, piperidyl, piperazinyl, morpholinyl, pyridyl or phenyl; an aromatic ring as defined in Claim 1; a quinuclidine radical, a radical pyrrolidinyl, piperazinyl, morpholinyl, pyridyl or a piperidyl radical optionally substituted with C1-C4 alkyl

it being understood that R1 and R2, which are identical, both do not represent hydrogen or unsubstituted C1-C4 alkyl and R1 and R2, which are different, do not represent one hydrogen and the other unsubstituted C1-C4 alkyl

or alternatively, when X represents N or alkyl, R1 and R2 together form with X to which they are attached a saturated or unsaturated 3- to 6-membered monocyclic or 8- to 10-membered bicyclic radical optionally containing one or two heteroatoms, which are identical or different, chosen from N, O or S,

- a group OR1 or SR1 in which R1 has the same meaning as above, it being understood that R1 does not represent hydrogen or unsubstituted C1-C4 alkyl, or
- an alkyl group containing 1 to 6 carbon atoms, substituted with R1 R2 as defined above

- R3 and R'3, which are identical or different, represent independently of one another hydrogen or a C1-C4 alkyl group

- Ar_1 and Ar_2, which are identical or different, represent

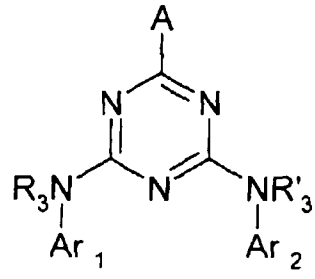
1. when Ar_1 and Ar_2 are identical:
   - a quinoline motif optionally substituted with at least one group N(Ra)(Rb) in which Ra and Rb, which are identical or different, represent hydrogen or a C1-C4 alkyl radical, (or) a short-chain alkoxy or alkyl group containing 1 to 4 carbon atoms or
   - a quinoline possessing a nitrogen atom in quaternary form or
   - a benzamidine or
   - a pyridine attached at the 4-position or fused with an aryl or heteroaryl group optionally substituted with a C1-C4 alkyl group
2. when Ar_1 and Ar_2 are different
   - Ar_1 and Ar_2 both represent one of the possibilities mentioned above for Ar_1 and Ar_2 or
   - Ar_1 represents one of the above possibilities and Ar_2 represents
     * a phenyl ring optionally substituted with a halogen group, C1-C4 alkoxy group, cyano group, carbonylamino group optionally substituted with one or more C1-C4 alkyl groups, guanyl group, C1-C4 alkylthio group, amino group, C1-C4 alkylamino group, C1-C4 dialkylamino group for each alkyl group, nitro group, C1-C4 alkyleneamino group, (or) C2-C4 alkenyleneamino group, or a

piperazinyl radical optionally substituted with a C1-C4 alkyl radical,

* a mono- or bi- or tricyclic heterocyclic ring comprising 0 to 2 heteroatoms per ring provided that at least one heteroatom is present in at least one ring optionally substituted with one or more C1-C4 alkyl groups or with C1-C4 alkylene or C2-C4 alkenylene groups

or one of its salts, these compounds of formula (I) being in all the possible isomeric forms, racemates, enantiomers and diastereoisomers.

The present invention also relates to the novel compounds characterized in that they correspond to formula (I) below:



in which:

A represents a radical XR1(R2) in which X represents a nitrogen, oxygen or sulphur atom or a C1-C6 alkyl radical in order to form one of the following radicals:

- NR1R2 with R1 and R2, which are identical or different, are chosen from a hydrogen atom; C1-C8 alkyl optionally substituted with a radical amino, alkylamino, dialkylamino, (phenyl)(alkyl)amino, (alkylphenyl)(alkyl)amino, C1-C4 alkoxy, C1-C4 thioalkoxy, trifluoromethyl, pyrrolidinyl, piperidyl, piperazinyl, morpholinyl,

pyridyl or phenyl; an aromatic ring as defined in Claim 1; a quinuclidine radical, a radical pyrrolidinyl, piperazinyl, morpholinyl, pyridyl or a piperidyl radical optionally substituted with C1-C4 alkyl

it being understood that R1 and R2, which are identical, both do not represent hydrogen or unsubstituted C1-C4 alkyl and R1 and R2, which are different, do not represent one hydrogen and the other unsubstituted C1-C4 alkyl

or alternatively, when X represents N or alkyl, R1 and R2 together form with X to which they are attached a saturated or unsaturated 3- to 6-membered monocyclic or 8- to 10-membered bicyclic radical optionally containing one or two heteroatoms, which are identical or different, chosen from N, O or S,

• a group OR1 or SR1 in which R1 has the same meaning as above, it being understood that R1 does not represent hydrogen or unsubstituted C1-C4 alkyl, or

• an alkyl group containing 1 to 6 carbon atoms, substituted with R1 R2 as defined above

- R3 and R'3, which are identical or different, represent independently of one another hydrogen or a C1-C4 alkyl group

- Ar_1 and Ar_2, which are identical or different, represent

* when Ar_1 and Ar_2 are identical:

• a quinoline motif optionally substituted with at least one group N(Ra)(Rb) in which Ra and Rb, which are identical or different, represent hydrogen or a C1-C4 alkyl radical, (or) a short-chain alkoxy or alkyl group containing 1 to 4 carbon atoms or

- a quinoline possessing a nitrogen atom in quaternary form or
- a benzamidine or
- a pyridine attached at the 4-position or fused with an aryl or heteroaryl group optionally substituted with a C1-C4 alkyl group

* when Ar_1 and Ar_2 are different
  - Ar_1 and Ar_2 both represent one of the possibilities mentioned above for Ar_1 and Ar_2 or
  - Ar_1 represents one of the above possibilities and Ar_2 represents
    * a phenyl ring optionally substituted with a halogen group, C1-C4 alkoxy group, cyano group, carbonylamino group optionally substituted with one or more C1-C4 alkyl groups, guanyl group, C1-C4 alkylthio group, amino group, C1-C4 alkylamino group, C1-C4 dialkylamino group for each alkyl group, nitro group, C1-C4 alkyleneamino group, (or) C2-C4 alkenyleneamino group, or a piperazinyl radical optionally substituted with a C1-C4 alkyl radical,
    * a mono- or bi- or tricyclic heterocyclic ring comprising 0 to 2 heteroatoms per ring provided that at least one heteroatom is present in at least one ring optionally substituted with one or more C1-C4 alkyl groups or with C1-C4 alkylene or C2-C4 alkenylene groups

or one of its salts, these compounds of formula (I) being in all the possible isomeric forms, racemates, enantiomers and diastereoisomers.

The present invention relates in particular to the compounds of formula (I) as defined above in which A represents a radical XR1(R2) in which X represents a nitrogen atom N in order to form NR1R2, an oxygen atom O in order to form OR1 or a sulphur atom S in order to form SR1 as follows:

- NR1R2 with R1 and R2, which are identical or different, are chosen from a hydrogen atom; C1-C8 alkyl optionally substituted with a radical amino, alkylamino, dialkylamino, (phenyl)(alkyl)amino, (alkylphenyl)(alkyl)amino, C1-C4 alkoxy, with a radical pyrrolidinyl, pyridyl or with a phenyl radical; an aromatic ring as defined in Claim 1; a quinuclidine radical, a pyrrolidinyl radical or a piperidyl radical optionally substituted with C1-C4 alkyl
it being understood that R1 and R2, which are identical, both do not represent hydrogen or unsubstituted C1-C4 alkyl and R1 and R2, which are different, do not represent one hydrogen and the other unsubstituted C1-C4 alkyl,
or alternatively, when X represents N, R1 and R2 together form with X to which they are attached a piperazinyl, piperidyl, pyrrolidinyl, morpholinyl or thiomorpholinyl radical,
- or a group OR1 or SR1 in which R1 has the same meaning as above it being understood that R1 does not represent hydrogen or unsubstituted C1-C4 alkyl.

As indicated above, R1 or R2 may also represent an alkyl radical substituted with an imidazolyl radical.

As indicated above, R1 and R2 may together form with the nitrogen atom to which they are attached a piperazinyl, homopiperazinyl, pyrrolidinyl, piperidyl or morpholinyl radical which are optionally substituted, for example, with alkyl or piperidyl.

The present invention relates more specifically to the compounds of formula (I) as defined above in which, when A represents NR1R2, either one of R1 and R2 represents a hydrogen atom and the other of R1 and R2 is chosen from the values defined for R1 and R2, or R1 and R2 together form with the nitrogen atom to which they are attached a piperazinyl, pyrrolidinyl, piperidyl or morpholinyl radical.

The subject of the present invention is also the compounds defined above, characterized in that Ar_1 and Ar_2 represent a group chosen from the following groups: 4-amino- or 4-methylamino- or 4-dimethylaminoquinolyl, or -isoquinolyl, -quinolinium or -isoquinolinium in which the quinolyl, isoquinolyl, quinolinium or isoquinolinium ring is optionally substituted with one or more methyl groups linked to a carbon or nitrogen atom of the ring; or phenyl optionally substituted with one or more halogen atoms.

The subject of the present invention is thus the compounds defined above, characterized in that the group A represents:
either an amino radical substituted with a radical chosen from the following groups: 4-amino- or 4-methylamino- or 4-dimethylaminoquinolyl, -isoquinolyl, -quinolinium or -isoquinolinium in which the quinolyl, isoquinolyl, quinolinium or isoquinolinium ring is optionally substituted with one or more methyl groups linked to a carbon or nitrogen atom of the ring; pyridyl; phenyl optionally

substituted with one or more halogen atoms or with a radical piperazinyl or alkylpiperazinyl; C1-C4 alkyl substituted with a radical amino, alkylamino or dialkylamino, (phenyl)(alkyl)amino, (alkylphenyl)-(alkyl)amino, C2-C4 alkoxy, with an alkylpiperazinylcarbonyl, imidazolyl, pyrrolidinyl radical or with a phenyl radical, in which radicals the alkyl groups possess 1 to 4 carbon atoms; a pyrrolidinyl radical; a piperidyl radical optionally substituted with a C1-C4 alkyl radical; or a quinuclidine radical
or a pyrrolidinyl radical, a morpholino radical or a piperazinyl radical optionally substituted with a C1-C4 alkyl or piperidyl radical
or a radical O-phenyl, O-pyridyl or O-alkyl substituted with an amino, alkylamino or dialkylamino radical.

The subject of the present invention is thus the compounds defined above, characterized in that when Ar_1 and Ar_2 are identical, Ar_1 and Ar_2 represent a group chosen from the following groups: 4-amino- or 4-methylamino- or 4-dimethylaminoquinolyl, -isoquinolyl, -quinolinium or -isoquinolinium in which the quinolyl, isoquinolyl, quinolinium or isoquinolinium ring is optionally substituted with one or more methyl groups linked to a carbon or nitrogen atom of the ring.

The present invention also relates more specifically to the compounds of formula (I) as defined above in which the group A represents:
either an amino radical substituted with a radical chosen from the following groups: 4-amino- or 4-methylamino- or 4-dimethylaminoquinolyl or -quinolinium in which the quinolinium ring is optionally substituted with a group methyl; pyridyl; phenyl optionally substituted with one or more halogen

atoms or with a radical piperazinyl or alkylpiperazinyl; C1-C4 alkyl substituted with a radical amino, alkylamino or dialkylamino, (phenyl)(alkyl)amino, (alkylphenyl)(alkyl)amino, C2-C4 alkoxy, with a pyrrolidinyl radical or with a phenyl radical, in which radicals the alkyl groups possess 1 to 4 carbon atoms; a pyrrolidinyl radical; a piperidyl radical optionally substituted with a C1-C4 alkyl radical; or a quinuclidine radical
or a pyrrolidinyl radical, a morpholino radical or a piperazinyl radical optionally substituted with a C1-C4 alkyl radical
or a radical O-phenyl, O-pyridyl or O-alkyl substituted with an amino, alkylamino or dialkylamino radical

Among the compounds defined above, there are mentioned particularly the compounds characterized in that Ar_1 and Ar_2 represent a group chosen from the following groups: 4-amino- or 4-methylamino- or 4-dimethylaminoquinolyl or -quinolinium in which the quinolinium ring is optionally substituted with a group methyl; or phenyl optionally substituted with one or more halogen atoms.

Among the compounds defined above, there are also mentioned particularly the compounds characterized in that the group A represents:
either an amino radical substituted with a radical chosen from the following groups: 4-amino- or 4-methylamino- or 4-dimethylaminoquinolyl or -quinolinium in which the quinolinium ring is optionally substituted with a group methyl; pyridyl; phenyl optionally substituted with one or more halogen atoms or with a radical piperazinyl or alkylpiperazinyl; C1-C4 alkyl substituted with a radical amino, alkylamino or dialkylamino, (phenyl)(alkyl)amino, (alkylphenyl)(alkyl)amino, C2-C4 alkoxy, with a pyrrolidinyl radical or with a phenyl

radical, in which radicals the alkyl groups possess 1 to 4 carbon atoms; a pyrrolidinyl radical; a piperidyl radical optionally substituted with a C1-C4 alkyl radical; or a quinuclidine radical

or a pyrrolidinyl radical, a morpholino radical or a piperazinyl radical optionally substituted with a C1-C4 alkyl radical

or a radical O-phenyl, O-pyridyl or O-alkyl substituted with an amino, alkylamino or dialkylamino radical.

Among the compounds defined above, there are further particularly mentioned the compounds characterized in that, when Ar_1 and Ar_2 are identical, Ar_1 and Ar_2 represent a group chosen from the groups 4-amino- or 4-methylamino- or 4-dimethylaminoquinolyl or -quinolinium in which the quinolinium ring is optionally substituted with a methyl group.

Among the compounds defined above, there are mentioned particularly the compounds characterized in that, when Ar_1 and Ar_2 are different,

1. Ar_1 represents:
   - a quinoline motif substituted with at least one group N(Ra)(Rb) in which Ra and Rb, which are identical or different, represent hydrogen or a C1-C4 alkyl radical or a short-chain alkoxy or alkyl group containing 1 to 4 carbon atoms, or
   - a quinoline possessing a nitrogen atom in quaternary form or
   - a benzamidine except in the case where A represents diethylamine, hydrogen or an amine group or
   - a pyridine attached at the 4-position or fused with an aryl or heteroaryl group
2. Ar_2 represents

* a ring as defined above but different or
* a phenyl ring optionally substituted with a halogen, methoxy, cyano, carbonylamino, guanyl, methylthio, amino, methylamino, dimethylamino, morpholine, C1-C4 alkyleneamino or C2-C4 alkenyleneamino group
* a quinoline, benzimidazole, indole, benzothiophene, benzofuran, benzothiazole, benzoxazole, carbazole, quinazoline or quinoxaline ring optionally substituted with one or more C1-C4 alkyl groups or with C1-C4 alkylene or C2-C4 alkenylene groups

Among the compounds defined above, there are mentioned more particularly the compounds characterized in that A represents an amino radical substituted with a radical chosen from the following groups: 4-amino- or 4-methylamino- or 4-dimethylaminoquinolinyl or -quinolinium radicals in which the quinolinium ring is optionally substituted with a methyl group; C1-C4 alkyl radicals substituted with an amino, alkylamino, dialkylamino, (phenyl)(alkyl)amino, (alkylphenyl)-(alkyl)amino, pyrrolidinyl or pyridyl radical; or the quinuclidine radical.

Among the compounds of the present invention, there are mentioned in particular the compounds characterized in that A represents either an amino radical substituted with one or more radicals as defined above or a piperazinyl, homopiperazinyl, piperidinyl or pyrrolidinyl radical which is (are) optionally substituted with one or more radicals as defined above.

Among the compounds defined above, there are also mentioned the compounds characterized in that A represents either an amino radical substituted with a

radical pyridyl; phenyl optionally substituted with a piperazinyl or alkylpiperazinyl radical; a piperidyl radical optionally substituted with a C1-C4 alkyl radical or a piperazinyl radical optionally substituted with a C1-C4 alkyl radical.

There are mentioned in particular the compounds as defined above, characterized in that A represents a radical O(or S)-aromatic ring or a radical O(or S)-alkyl with alkyl optionally substituted.

There are mentioned more particularly the compounds as defined above, characterized in that A represents a radical O-phenyl, O-pyridyl, O-pyrimidinyl or O-alkyl substituted with an amino, alkylamino or dialkylamino radical or alternatively with a radical S-phenyl, S-pyridyl, S-pyrimidyl or S-quinolinyl.

Among the compounds defined above, there are further mentioned the compounds characterized in that A represents a radical O-phenyl, O-pyridyl, or O-alkyl substituted with an amino, alkylamino or dialkylamino radical.

It is evident that the quinoline motifs may be substituted by any other group not involved in the intended application; thus, acridine or isoquinoline or quinazoline or quinoxaline or phthalazine or benzothiazine or benzoxazine or phenoxazine or phenothiazine groups are included in the definition of the quinoline groups.

Among the above compounds of formula (I), there are preferred those comprising two heterocycles chosen from the 4-aminoquinolyl, 4-aminoquinolinium or quinolinium groups in which the quinolinium ring is optionally substituted with a methyl group.

Among the preferred products as defined above, there may be mentioned the products of Examples 1, 2, 11, 17, 19, 20, 27, 29, 31, 32 and 33 of Table 1 below which therefore correspond respectively to the compounds whose names follow:

- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(3-dimethylaminopropyl)amino-[1,3,5]triazine (Example 1)
- 2,4,6-tris(4-amino-2-methylquinolin-6-yl)amino-[1,3,5]triazine (Example 2)
- 2,4-bis(4-amino-2-methylquinolin-6-yl)amino-6-(4-dimethylamino-2-methylquinolin-6-yl)amino-[1,3,5]triazine (Example 11)
- 2,4-bis(4-amino-2-methylquinolin-6-yl)amino-6-(quinuclidin-3-yl)amino-[1,3,5]triazine (Example 17)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(1-methylpiperidin-4-yl)-[1,3,5]triazine (Example 19)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(1-methylpiperazin-4-yl)-[1,3,5]triazine (Example 20)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(pyridin-4-yl)methylamino-[1,3,5]triazine (Example 27)
- 2,4-bis(4-amino-2-methylquinolin-6-yl)amino-6-phenoxy-[1,3,5]triazine (Example 29)
- 2,4-bis(4-amino-2-methylquinolin-6-yl)amino-6-(3-dimethylaminopropyl)oxy-[1,3,5]triazine (Example 31)
- 2,4-bis(4-amino-2-methylquinolin-6-yl)amino-6-(pyridin-4-yl)oxy-[1,3,5]triazine (Example 32)
- 2,4-bis(4-amino-2-methylquinolin-6-yl)amino-6-(phenylmethyl)oxy-[1,3,5]triazine (Example 33).

Among the preferred products of the present invention as defined above, there may be mentioned particularly the products of Table 1 below which correspond to the compounds whose names follow:

- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(3-dimethylaminopropyl)amino-[1,3,5]triazine (Example 1)
- 2,4,6-tris(4-amino-2-methylquinolin-6-yl)amino-[1,3,5]triazine (Example 2)
- 2,4-bis(4-amino-2-methylquinolin-6-yl)amino-6-(4-dimethylamino-2-methylquinolin-6-yl)amino-[1,3,5]triazine (Example 11)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(1-methylpiperazin-4-yl)-[1,3,5]triazine (Example 20)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(pyridin-4-yl)oxy-[1,3,5]triazine (Example 115)
- 2,4-bis(4-amino-2-methylquinolin-6-yl)amino-6-(quinolin-2-yl)thio-[1,3,5]triazine (Example 128)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-phenyl-[1,3,5]triazine (Example 134)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-[1-(2-dipropylaminoethyl)piperazin-4-yl]-[1,3,5]triazine (Example 137)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-{[1-2-(2-hydroxyethyl)oxyethyl]piperazin-4-yl}-[1,3,5]triazine (Example 141)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-[2(S)-(pyrrolidin-1-yl)methylpyrrolidin-1-yl]-[1,3,5]triazine (Example 149)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(quinolin-2-yl)thio-[1,3,5]triazine (Example 133)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(1-methylhomopiperazin-4-yl)-[1,3,5]triazine (Example 135)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-[1-(3-dimethylaminopropyl)piperazin-4-yl]-[1,3,5]triazine (Example 136)

- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-[N-(1-methylpiperidin-4-yl)-N-methylamino]-[1,3,5]triazine (Example 138)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-{1-[3-(pyrrolidin-1-yl)propylhomopiperazin-4-yl)-[1,3,5]triazine (Example 139)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-[1-(pyridin-4-yl)piperazin-4-yl]-[1,3,5]triazine (Example 144)
- 2,4-bis(4-amino-2-methylquinolin-6-yl)amino-6-[1-(2-hydroxyethyl)piperazin-4-yl]-[1,3,5]triazine (Example 154)
- 2,4-bis(4-amino-2-methylquinolin-6-yl)amino-6-(4-hydroxypiperidin-1-yl)-[1,3,5]triazine (Example 155)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(3-hydroxypyrrolidin-1-yl)-[1,3,5]triazine (Example 162)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-[1-(2-hydroxyethyl)piperazin-4-yl]-[1,3,5]triazine (Example 163)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(4-hydroxypiperidin-1-yl)-[1,3,5]triazine (Example 164)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(3-hydroxypiperidin-1-yl)-[1,3,5]triazine (Example 169)
- N-[2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-[1,3,5]triazin-6-yl]-L-serine (Example 171)
- 2,4-bis(4-dimethylamino-4-methylquinolin-6-yl)amino-6-[(2S)-2,3-dihydroxy-1-phenylpropyl]amino-[1,3,5]triazine (Example 172)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(morpholin-4-yl)methylamino-[1,3,5]triazine (Example 179)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(piperidin-1-yl)methylamino-[1,3,5]triazine (Example 180)

- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-[2-(pyridin-3-yl)pyrrolidin-1-yl]-[1,3,5]triazine (Example 181)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-[4-(2-dimethylaminoethyl)piperazin-1-yl]-[1,3,5]triazine (Example 182)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(piperidin-4-yl)thio-[1,3,5]triazine (Example 183)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(pyridin-2-yl)amino-[1,3,5]triazine (Example 188)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(4-methoxyphenyl)amino-[1,3,5]triazine (Example 191)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(isopropylamino)methylamino-[1,3,5]triazine (Example 192)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(2-methylpyrrolidin-1-yl)ethylamino-[1,3,5]triazine (Example 198)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-[4-(piperidin-4-yl)piperazin-1-yl]-[1,3,5]triazine (Example 199)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-[4-(piperidin-1-yl)butyl]amino-[1,3,5]triazine (Example 200)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-[(imidazol-1-yl)methyl]amino-[1,3,5]triazine (Example 202)

Among the preferred products of the present invention as defined above, there may be mentioned most particularly the products of Table 1 below which correspond to the compounds whose names follow:

- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(1-methylpiperazin-4-yl)-[1,3,5]triazine (Example 20)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(quinolin-2-yl)thio-[1,3,5]triazine (Example 133)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(1-methylhomopiperazin-4-yl)-[1,3,5]triazine (Example 135)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-[1-(3-dimethylaminopropyl)piperazin-4-yl]-[1,3,5]triazine (Example 136)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-[N-(1-methylpiperidin-4-yl)-N-methylamino]-[1,3,5]triazine (Example 138)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-{1-[3-(pyrrolidin-1-yl)propylhomopiperazin-4-yl)-[1,3,5]triazine (Example 139)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-[1-(pyridin-4-yl)piperazin-4-yl]-[1,3,5]triazine (Example 144)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-[4-(piperidin-4-yl)piperazin-1-yl]-[1,3,5]triazine (Example 199)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-[4-(piperidin-1-yl)butyl]amino-[1,3,5]triazine (Example 200)
- 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-[(imidazol-1-yl)methyl]amino-[1,3,5]triazine (Example 202).

Another subject of the present invention relates to the use of the compounds of the formula (I) as pharmaceutical product for human use.

The subject of the present invention is most particularly the pharmaceutical compositions

comprising, as active ingredient, a product of formula (I) as defined above.

The subject of the present invention is most particularly the pharmaceutical compositions comprising, as active ingredient, a product of formula (I) of Table 1 below.

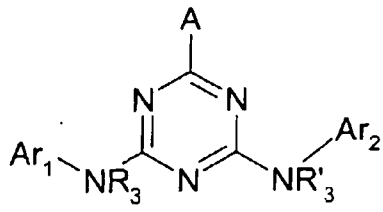
The subject of the present invention is most particularly the pharmaceutical compositions comprising, as active ingredient, a product of formula (I) chosen from those whose names are mentioned above.

The invention therefore extends to the pharmaceutical compositions containing, as active ingredient, at least one of the medicaments as defined above.

These pharmaceutical compositions may be administered orally, parenterally or locally as a topical application to the skin and the mucous membranes or by injection intravenously or intramuscularly.

These compositions may be solids or liquids and may be provided in any pharmaceutical form commonly used in human medicine such as, for example, simple or sugar-coated tablets, pills, lozenges, gelatin capsules, drops, granules, injectable preparations, ointments, creams or gels; they are prepared according to the customary methods. The active ingredient may be incorporated therein with excipients normally used in these pharmaceutical compositions, such as talc, gum arabic, lactose, starch, magnesium stearate, cocoa butter, aqueous or nonaqueous vehicles, fatty substances of animal or plant origin, paraffin derivatives, glycols, various wetting, dispersing or emulsifying agents, preservatives.

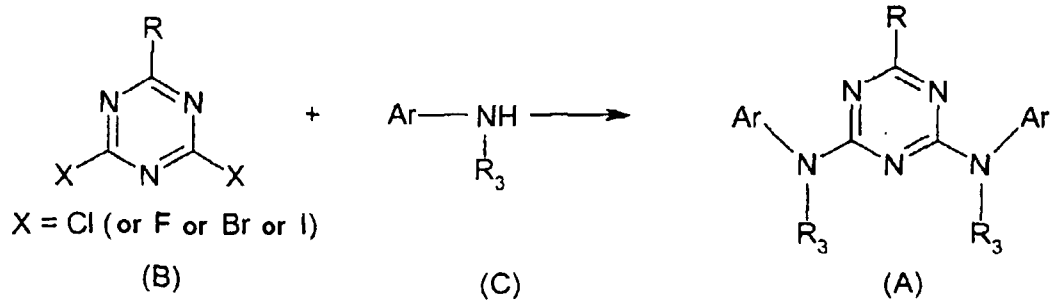
The processes for preparing the compounds of formula (I):



are described below.

General method 1

According to a first preparation method, compounds of general formula (I) in which Ar_1 and Ar_2 on the one hand and R_3 and R'_3 on the other hand are identical and defined as above and R represents a halogen atom such as chlorine or fluorine, an amino, alkylamino or dialkylamino function in which the straight or branched alkyl portions contain from 1 to 4 carbon atoms, an alkyloxy or alkylthio function in which the straight or branched alkyl portions contain from 1 to 4 carbon atoms, an alkyloxy or alkylthio function in which the straight or branched alkyl portions contain from 1 to 4 carbon atoms, may be obtained by amination of a dihalotriazine, most generally a dichloro-s-triazine, of general formula (B) in which A is as defined above, with an aromatic or heteroaromatic amine of general formula (C) in which Ar is as defined above, the procedure being carried out according to scheme 1:



Scheme 1

In the case where A represents a halogen atom, it is useful to react the corresponding 2,4,6-trihalo-s-triazine of general formula (B) with the aromatic or heteroaromatic amine $ArNHR_3$ of general formula (C).

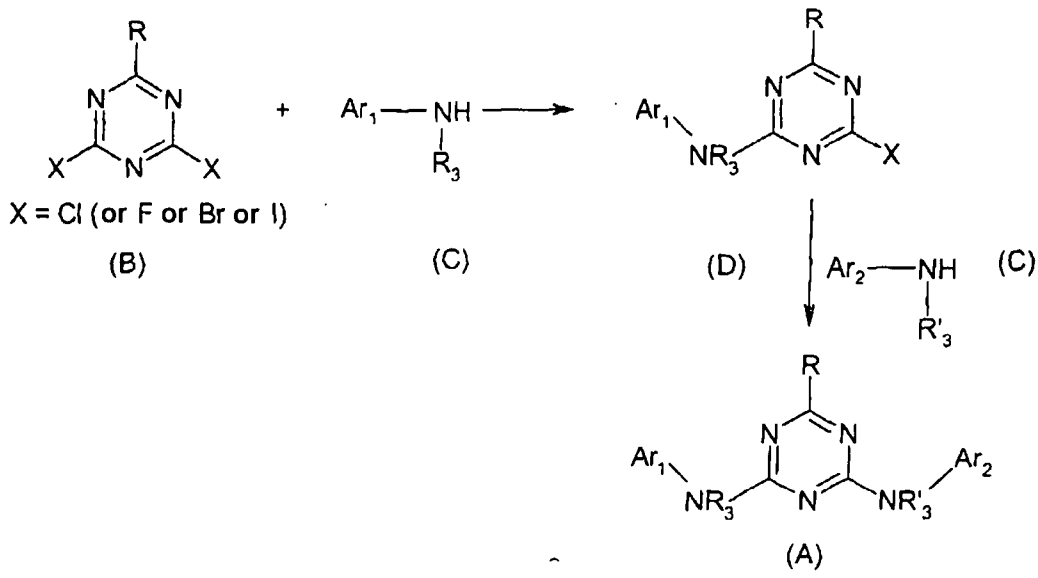
The procedure is generally carried out by condensing one mole of dihalo-s-triazine, or trihalo-s-triazine, with 2 moles of aromatic or heteroaromatic amine. The reaction takes place in an inert medium under the reaction conditions. There may be mentioned, among the inert solvents, acetone which is optionally aqueous, or an alcohol which is optionally aqueous such as ethanol, or a halogenated solvent such as dichloromethane, or an ether such as diethyl ether or dioxane, or a polar aprotic solvent such as DMF, DMSO or NMP. The procedure is preferably carried out at a temperature of between 20°C and the reflux temperature, in the presence in particular of an organic base such as triethylamine, or an inorganic base such as sodium hydroxide or sodium or potassium carbonate. It is also possible not to use a base during the amination reaction, and to isolate a hydrochloride of the product of general formula (A), whose base can then be released.

The dihalo- or trihalo-s-triazines of general formula (B) are either commercially available or are known, and may be obtained under the conditions described in the literature.

The aromatic or heteroaromatic amines of general formula (C) are either known or may be easily prepared by the known methods of synthesizing aromatic or heteroaromatic amines.

In the case where Ar_1 and Ar_2 are different, the triazine of general formula (A) may be obtained by sequential displacement of the halogen atoms, most

generally of the chlorine atoms, from the products of general formula (B) by the amines Ar_1NHR_3 and then $Ar_2NHR'_3$ of general formula (C) according to scheme 2:



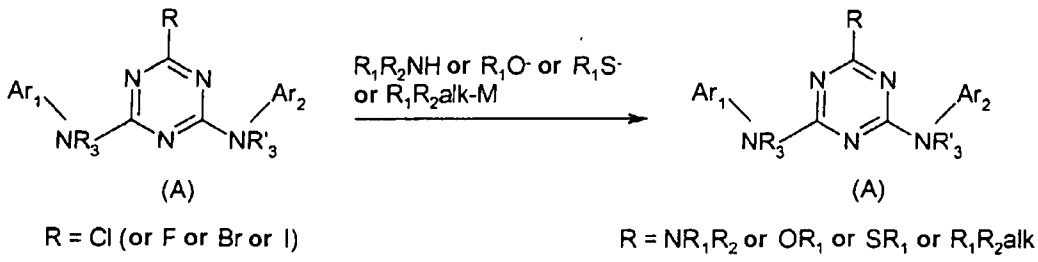
Scheme 2

Generally, the procedure is carried out with 1 mole of dihalo-s-triazine, or trihalo-s-triazine, and 1 mole of amine Ar_1NHR_3. The procedure is preferably carried out in an inert solvent such as acetone which is optionally aqueous or an alcohol which is optionally aqueous, such as ethanol, or a halogenated solvent such as dichloromethane, or an ether such as diethyl ether or dioxane, or a polar aprotic solvent such as DMF, DMSO or NMP. According to a better way of carrying out the invention, the procedure is carried out at a temperature of between 20°C and 50°C. Next, 1 mole of amine $Ar_2NHR'_3$ is added to the product of general formula (D), which may be optionally isolated. The procedure is carried out in particular at a temperature of between 50°C and the reflux temperature.

Advantageously, it is possible to carry out the procedure under the conditions described in J. Fluor. Chem., 1988, 39(1), 117-123.

General method 2

According to a second method, the products of general formula (A) in which Ar_1NHR_3 and $Ar_2NHR'_3$ are as defined above and R represents a group NR1R2 or OR1 or SR1 or alkR1R2 may also be prepared by nucleophilic displacement of a halogen atom, generally a chlorine atom, from a product of general formula (A) in which R represents a halogen atom according to scheme 3:



Scheme 3

The procedure is generally carried out by condensing 1 mole of product of general formula (A) in which R represents a halogen atom, preferably a chlorine atom, with 1 mole of amine R1R2NH or alcoholate $R1O^-$ or thioalcoholate R1S or organometallic R1R2alkM, it being possible for M to represent, for example, magnesium or lithium or zinc. The reaction takes place in an inert medium under the reaction conditions. There may be mentioned among the inert solvents acetone which is optionally aqueous or an alcohol which is optionally aqueous such as ethanol, or a halogenated solvent such as dichloromethane, or an ether such as diethyl ether or dioxane or tetrahydrofuran, it being understood that these ethers are solvents which can be used when an organometallic R1R2alkM is used, or a polar aprotic solvent such as DMF, DMSO or NMP. When the entering group represents a group R1R2NH, the procedure is preferably carried out at a temperature of between 20°C and the reflux temperature, in the presence in particular of an organic base such as triethylamine, or

an inorganic base such as sodium hydroxide or sodium or potassium carbonate. It is also possible not to use a base during the amination reaction, and to isolate a hydrochloride of the product of general formula (A), the base of which can then be released. When the entering group represents a group $R1O^-$ or $R1S^-$, the procedure is preferably carried out with an alkali metal or alkaline-earth metal alcoholate or thioalcoholate, such as a sodium or potassium or lithium or ammonium or caesium or barium salt, in a polar aprotic solvent such as DMF or DMSO or NMP, at a temperature of between 50°C and the reflux temperature. When the entering group represents a group R1R2alk, the procedure is most preferably carried out in an ether such as diethyl ether or dioxane or tetrahydrofuran, at a temperature of between -70°C and the reflux temperature of the reaction medium.

It is understood that the s-triazines of general formula may be obtained in the form of libraries, by applying the methods described in schemes 1, 2 or 3 in parallel and/or combinatorial chemistry in liquid phase or in solid phase, it being understood that, when the work is carried out in solid phase, any of the reagents is attached beforehand onto a solid support, chosen according to the chemical reaction involved, and that said chemical reaction is followed by an operation of cleaving the product of the reaction from the solid support.

The present invention also relates to therapeutic compositions containing a compound according to the invention, in combination with a pharmaceutically acceptable carrier according to the mode of administration chosen. The pharmaceutical composition may be provided in solid, liquid or liposome form.

Among the solid compositions, there may be mentioned powders, gelatin capsules and tablets. Among the oral forms, it is also possible to include the solid forms which are protected from the acidic medium of the stomach. The carriers used for the solid forms consist in particular of inorganic carriers such as phosphates, carbonates or organic carriers such as lactose, celluloses, starch or polymers. The liquid forms consist of solutions, suspensions or dispersions. They contain, as dispersive carrier, either water or an organic solvent (ethanol, NMP and the like) or mixtures of surfactants and solvents or of complexing agents and solvents.

The administered dose of the compounds of the invention will be adjusted by the practitioner according to the route of administration, the patient and the condition of the latter.

The compounds of the present invention may be administered alone or mixed with other anticancer agents. Among the possible combinations, there may be mentioned

- alkylating agents and in particular cyclophosphamide, melphalan, ifosfamide, chlorambucil, busulfan, thiotepa, prednimustine, carmustine, lomustine, semustine, streptozotocin, decarbazine, temozolomide, procarbazine and hexamethylmelamine
- platinum derivatives such as in particular cisplatin, carboplatin or oxaliplatin
- antibiotic agents such as in particular bleomycin, mitomycin, dactinomycin,

- antimicrotubule agents such as in particular vinblastine, vincristine, vindesine, vinorelbine, taxoids (paclitaxel and docetaxel)
- anthracyclines such as in particular doxorubicin, daunorubicin, idarubicin, epirubicin, mitoxantrone, losoxantrone
- group I and II topoisomerases such as etoposide, teniposide, amsacrine, irinotecan, topotecan and tomudex,
- fluoropyrimidines such as 5-fluorouracil, UFT, floxuridine,
- cytidine analogues such as 5-azacytidine, cytarabine, gemcitabine, 6-mercaptomurine, 6-thioguanine
- adenosine analogues such as pentostatin, cytarabine or fludarabine phosphate
- methotrexate and folinic acid
- various enzymes and compounds such as L-asparaginase, hydroxyurea, trans-retinoic acid, suramine, dexrazoxane, amifostine, herceptin as well as oestrogenic and androgenic hormones
- antivascular agents such as combretastatin and colchicine derivatives and their prodrugs.

It is also possible to combine a radiation treatment with the compounds of the present invention. These treatments may be administered simultaneously, separately or sequentially. The treatment will be adapted to the patient to be treated by the practitioner.

The G-quadruplex stabilizing activity may be determined by a method using the formation of a complex with

fluorescein of which the experimental protocol is described below.

Oligonucleotides

All the nucleotides, modified or otherwise, were synthesized by Eurogentec SA, Seraing, Belgium. The oligonucleotide FAM + DABCYL carries the catalogue reference OL-0371-0802. It has the sequence: GGGTTAGGGTTAGGGTTAGGG corresponding to 3.5 repeats of the human telomeric motif (strand rich in G). The fluorescein is attached to the 5' end, the DABCYL to the 3' end, by the chemical arms described by Eurogentec. The concentration of the samples is checked by spectrophotometry, recording the absorbance spectrum between 220 and 700 nm and using the molar extinction coefficient provided by the supplier.

Buffers

All the experiments were carried out in a 10 mM sodium cacodylate buffer pH 7.6 containing 0.1 M lithium chloride (or sodium chloride). The absence of fluorescent contamination in the buffer was checked beforehand. The fluorescent oligonucleotide is added at the final concentration of 0.2 μM.

Study of Fluorescence

All the measurements of fluorescence were carried out on a Spex Fluorolog DM1B apparatus, using an excitation line width of 1.8 nm and an emission line width of 4.5 nm. The samples are placed in a microquartz cuvette of 0.2 x 1 cm. The temperature of the sample is controlled by an external water bath. The oligonucleotide alone was analysed at 20, 30, 40, 50, 60, 70 and 80°C. The emission spectra are recorded using an excitation wavelength of 470 nm. The excitation spectra are recorded using either 515 nm or 588 nm as emission wavelength. The spectra are corrected for the response

of the instrument by reference curves. A high extinction (80-90%) of the fluorescence of fluorescein at room temperature is observed, in agreement with an intramolecular folding of the oligonucleotide at 20°C in the form of a G-quadruplex, which induces juxtaposition of its 5' and 3' ends which are respectively linked to fluorescein and to DABCYL. This juxtaposition causes an already-described phenomenon of extinction of fluorescence which is used for "molecular beacons".

Fluorescence Tm

An oligonucleotide stock solution at the strand concentration of 0.2 μM in 0.1 M LiCl, 10 mM cacodylate buffer, pH 7.6, is prepared beforehand, heated briefly at 90°C and slowly cooled to 20°C, and then distributed in aliquots of 600 μl in the fluorescence cuvettes. 3 μl of water (for the control) or 3 μl of test product (stock at 200 μM, final concentration 1 μM) are then added and mixed. The samples are then allowed to incubate for at least 1 hour at 20°C before each measurement. The use of longer incubation times (up to 24 hours) has no influence on the result obtained.

Each experiment allows the measurement of only one sample. The latter is first incubated at an initial temperature of 20°C, heated to 80°C over 38 minutes, left for 5 minutes at 80°C and then cooled to 20°C over 62 minutes. During this time, the fluorescence is measured simultaneously at two emission wavelengths (515 nm and 588 nm) using 470 nm as excitation wavelength. A measurement is carried out every 30 seconds. The temperature of the water bath is recorded in parallel, and the fluorescence profile as a function of the temperature is reconstituted from these values. The fluorescence profiles are then normalized between 20°C and 80°C, and the temperature for which the

intensity of emission at 515 nm is the mean of those at high and low temperature is called Tm. Under these conditions, the Tm of the reference sample without addition of product is 44°C in a lithium chloride buffer. This temperature is increased to more than 55°C in a sodium chloride buffer. The addition of a G-quadruplex-stabilizing compound induces an increase in the Tm. This increase is judged to be significant if it is greater than 3°.

The antitelomerase biological activity is determined by the following experimental protocol:

Preparation of the extract enriched in human telomerase activity

The leukaemia line HL60 is obtained from ATCC (American Type Culture Collection, Rockville, USA). The cells are cultured in suspension in RPMI 1640 medium containing L-glutamine at 2 mM, penicillin 200 U/ml, streptomycin 200 µg/ml, gentamycin 50 µg/ml and supplemented with 10% heat-inactivated foetal calf serum.

An aliquot of 10^5 cells is centrifuged at 3000xG and the supernatant discarded. The cell pellet is resuspended by several successive pipettings in 200 µl of lysis buffer containing 0.5% CHAPS, 10 mM Tris-HCl, pH 7.5, 1 mM $MgCl_2$, 1 mM EGTA, 5 mM β-mercaptoethanol, 0.1 mM PMSF and 10% glycerol and is stored in ice for 30 minutes. The lysate is centrifuged at 160,000xG for 20 minutes at 4°C and 160 µl of supernatant are recovered. The proteins in the extract are assayed by the Bradford method. The extract is stored at -80°C.

Assay of the telomerase activity

The inhibition of the telomerase activity is determined by a protocol for extension of the oligonucleotide TS

($^{5'}$AATCGTTCGAGCAGAGTT$^{3'}$), in the presence of a cellular extract enriched in telomerase activity and compounds which are added at various concentrations (10, 1, 0.1 and 0.01 µM). The extension reaction is followed by a PCR amplification of the extension products with the aid of the oligonucleotides TS and CXext ($^{5'}$GTGCCCTTACCCTTACCCTTACCCTAA$^{3'}$).

The reaction medium is prepared based on the following composition:

Tris HCl pH 8.3	20 mM
MgCl2	1.5 mM
Tween 20	0.005% (P/V)
EGTA	1 mM
dATP	50 µM
dGTP	50 µM
dCTP	50 µM
dTTP	50 µM
Oligonucleotide TS	2 µg/ml
Oligonucleotide CXext	2 µg/ml
Bovine serum albumin	0.1 mg/ml
Taq DNA polymerase	1 U/ml
alpha 32P dCTP (3000 Ci/mmol)	0.5 µl
Telomerase extract	200 ng in a volume of 10 µl
Test product or solvent	in a volume of 5 µl
Double-distilled water QS	50 µl

The oligonucleotides are obtained from Eurogentec (Belgium) and are stored at -20°C at a stock concentration of 1 mg/ml in distilled water.

The reaction samples are assembled in 0.2 ml PCR tubes and one drop of paraffin oil is deposited on each of the reactions of the experiment before closing the tubes.

The reaction samples are then incubated in a Cetus 4800-type PCR apparatus under the following temperature conditions:

15 minutes at 30°C,
1 minute at 90°C,
followed by 30 cycles of,
30 seconds at 94°C,
30 seconds at 50°C,
and 1 minute 30 seconds at 72°C,
followed by a final cycle of 2 minutes at 72°C.

For each of the samples, an aliquot of 10 µl is pipetted under the oil layer and mixed with 5 µl of a loading buffer containing:

TBE	3X
glycerol	32% (P/V)
bromophenol blue	0.03%
xylene cyanol	0.03%

The samples are then analysed by electrophoresis on 12% acrylamide gel in a 1X TBE buffer for 1 hour at a voltage of 200 volts, with the aid of a Novex electrophoresis system.

The acrylamide gels are then dried on a sheet of Whatmann 3 mm paper at 80°C for 1 hour.

The analysis and the quantification of the reaction products are carried out with the aid of an InstantImager apparatus (Pacard).

For each compound concentration tested, the results are expressed as percentage inhibition of the reaction and calculated from the untreated enzymatic control and from the enzyme-free sample (blank) according to the following formula:

(compound value - blank value/enzymatic control value - blank value) x 100.

The concentration of compound inducing a 50% inhibition of the telomerase reaction (IC50) is determined with the aid of a semilogarithmic graphical representation of the inhibition values obtained as a function of each of the compound concentrations tested.

A compound is considered to be active as an antitelomerase agent when the quantity inhibiting 50% of the telomerase reaction is in particular less than 5 μM.

The cytotoxic biological activity on human tumour lines is determined according to the following experimental protocol:

The human cell lines A549 are obtained from ATCC (American Type Culture Collection, Rockville, USA). The A549 cells are cultured in a layer in a culture flask in RPMI 1640 medium containing L-glutamine at 2 mM, penicillin 200 U/ml, streptomycin 200 μg/ml and supplemented with 10% heat-inactivated foetal calf serum. The KB cells are cultured in a layer in a culture flask in Dulbelco's medium containing L-glutamine at 2 mM, penicillin 200 U/ml, streptomycin 200 μg/ml and supplemented with 10% heat-inactivated foetal calf serum.

The cells at the exponential growth phase are trypsinized, washed in 1X PBS and are inoculated in 96-well microplates (Costar) in an amount of $4x10^4$ cells/ml for A549 and of $1.5x10^4$ cells/ml (0.2 ml/well) and then incubated for 96 hours in the presence of variable concentrations of product to be studied (10, 1, 0.1 and 0.01 μM, each point in quadruplicate). 16 hours before the end of the

incubation, 0.02% final of neutral red is added to each well. At the end of the incubation, the cells are washed with 1X PBS and lysed with 1% sodium lauryl sulphate. The cellular incorporation of the dye, which reflects cellular growth, is evaluated by spectrophotometry at a wavelength of 540 nm for each sample with the aid of a Dynatech MR5000 reading apparatus.

For each compound concentration tested, the results are expressed as percentage of inhibition of cellular growth and calculated from the untreated control and the culture medium free of cells (blank) according to the following formula:
(compound value - blank value/cell control value - blank value) x 100.

The concentration of compound inducing a 50% inhibition of growth (IC50) is determined with the aid of a semilogarithmic graphical representation of the inhibition values obtained as a function of each of the compound concentrations tested.

A compound is considered to be active as cytotoxic agent if the concentration inhibiting the growth of the tumour cells tested by 50% is in particular less than 10 μM.

The following non-limiting examples are given to illustrate the invention.

Table 1 below gives the chemical structures as well as the G-quartet, antitelomerase and cytotoxic activities of 202 products which constitute, in the chronological order in which they appear in this table, Examples 1 to 202 of the present invention which illustrate the present invention without, however, limiting it. In Table 1 below, 'no' appears when the product does not

possess a substituent in the corresponding column in agreement with the chemical definition of the products of the present invention.

Example 1: Preparation of 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(3-dimethylamino-propyl)amino-[1,3,5]triazine

0.5 g (0.0036 mol) of potassium carbonate, 1 g (0.00189 mol) of 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-chloro-[1,3,5]triazine prepared according to patent WO0001561 and 1 ml (0.0078 mol) of N,N-dimethyl-1,3-propanediamine are successively loaded into a 250 ml round-bottomed flask containing 50 ml of DMF, with stirring, and then the mixture is heated for 15 hours at 100°C. The reaction medium is concentrated and taken up in 100 ml of water. The precipitate formed is filtered, washed with 2x50 ml of 0.1N NaOH and then dried. There are thus obtained 1.2 g of N,N'-bis(4-dimethylamino-2-methylquinolin-6-yl)-N''-(3-dimethyl-aminopropyl)-[1,3,5]triazine, which is purified by flash chromatography on 30 g of silica (35-70 μm), eluting with a mixture (85/10/5) of dichloromethane, methanol and triethylamine. There is thus obtained, after drying under vacuum at 40°C, 0.45 g (41%) of 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(3-dimethylaminopropyl)amino-[1,3,5]triazine, in the form of a yellow powder whose characteristics are the following:

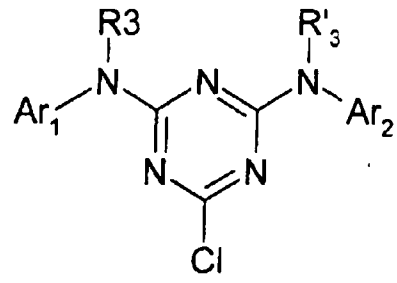
- elemental analysis: %C=64.845 (cal=66.3); %H=6.855 (cal=7.13); %N=25.275 (cal=26.58);
- ^{1}H NMR spectrum (300 MHz, $(CD_3)_2SO$ d6, δ in ppm): 1.73 (mt : 2H); 2.17 (s : 6H); 2.33 (broad t, J = 7 Hz: 2H); 2.53 (broad s : 6H); 2.92 (unresolved complex : 12H); 3.43 (mt : 2H); 6.51 and 6.53 (2 broad s : 2H in total); 7.06 (unresolved complex : 1H); 7.51 (mt : 2H);

from 8.10 to 8.30 (mt : 3H); 8.38 (unresolved complex : 1H); 9.24 (broad s : 1H); 9.37 (broad s : 1H).

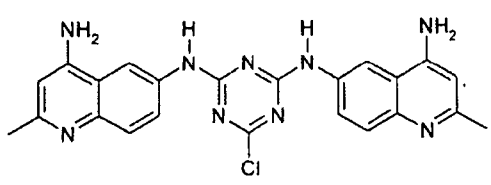
Examples 1 to 28

Examples 1 to 28 described in Table 1 may be prepared by parallel synthesis in liquid medium:

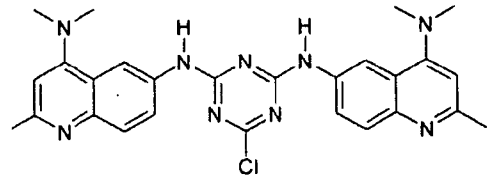
Into a heating magnetic reactor with a Zymark condenser, type STEM RS2050, containing 25 wells in parallel, provided with a 50 ml glass tube, there are introduced 50 mg of a product corresponding to the following formula:



this formula representing in particular the following products:



or



4 mole equivalents of R1-NH-R2 and 30 mg of potassium carbonate in 5 ml of DMF. The mixture is heated at 80°C overnight. After cooling, the mixture is diluted with 30 ml of water and the precipitate obtained is filtered. The crude product thus isolated is generally clean (LC/MS purity > 90%), it can however be purified by LC/MS using a Waters Xterra C18 silica column 3.5 μM, having a diameter of 3 mm and a length of

50 mm, eluting with a linear elution gradient consisting at the initial time (t0 = 0 min) of water supplemented with 0.05% of TFA and at the final time (tf = 4 min) of acetonitrile containing 0.05% of TFA.

Example 20, 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(4-methylpiperazin-1-yl)-[1,3,5]triazine, may also be advantageously prepared in the following manner:

A solution containing 3 g of 4-dimethylamino-2-methylquinolin-6-amine, 2.75 g of 2,4,6-trichloro-s-triazine and 4 g of potassium carbonate in 300 ml of tetrahydrofuran is stirred overnight at room temperature, in a 1 l three-necked flask. The reaction medium is filtered, and then the filtrate is concentrated under reduced pressure; 5.1 g (98%) of 4,6-dichloro-2-(4-dimethylamino-2-methylquinolin-6-yl)-amino-[1,3,5]triazine are thus obtained in the form of a brown solid whose characteristics are the following:

- mass spectrum (EI/DCI) = 349 (M+)
- ^{1}H NMR spectrum (300 MHz, $(CD_3)_2SO$ d6, δ in ppm): 2.55 (s : 3 H); 3.01 (s : 6H); 6.78 (s : 1H); 7.68 (broad dd, J = 9 and 2.5 Hz : 1H); 7.81 (d, J = 9 Hz : 1H); 8.41 (unresolved complex : 1H); from 11.00 to 11.80 (broad unresolved complex : 1H).

The 5.1 g of 4,6-dichloro-2-(4-dimethylamino-2-methylquinolin-6-yl)amino-[1,3,5]triazine obtained above are dissolved, in a 1 l three-necked flask, in 500 ml of dioxane and then 2.94 g of 4-dimethylamino-2-methylquinolin-6-amine and 4 g of potassium carbonate are added. The reaction medium is heated, with stirring, at the reflux temperature of dioxane for 16 hours, and then cooled and filtered, and then the filtrate is concentrated to dryness. 7.5 g (99%) of 6-

chloro-2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)-amino-[1,3,5]triazine are thus obtained in the form of a brown solid whose characteristics are the following:

- mass spectrum (EI/DCI) = 514 (M+)
- ^{1}H NMR spectrum (400 MHz, $(CD_2)_3SO$ d6 at a temperature of 383 K, δ in ppm): 2.57 (s : 6H); 2.95 (s : 12H); 6.72 (s : 2H); 7.77 (d, J = 9 Hz : 2H); 7.94 (dd, J = 9 and 2 Hz : 2H); 8.31 (d, J = 2 Hz : 2H); 9.90 (unresolved complex : 2H).

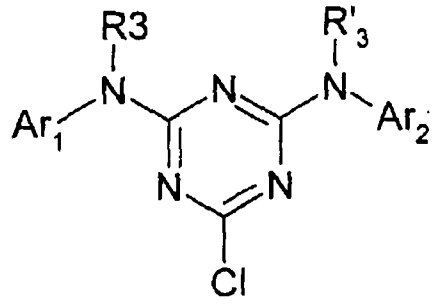
The 7.5 g 6-chloro-2,4-bis(4-dimethylamino-2-methyl-quinolin-6-yl)amino-[1,3,5]triazine obtained above are dissolved, in a 500 ml three-necked flask, in 200 ml of dimethylformamide. 6 ml of 4-methylpiperazine and 4 g of potassium carbonate are then added. The reaction medium is then heated at 100-105°C for 20 hours. After concentration under reduced pressure, the residue is precipitated from 200 ml of water. The crude product is then purified by flash chromatography on 300 g of silica (35-70 mesh), eluting with a mixture of dichloromethane, methanol and triethylamine (85/10/5 by volume). The fractions containing very predominantly the expected product are concentrated under reduced pressure, and then taken up in 60 ml of water, in order to remove the triethylamine. There are thus obtained, after drying, 3.1 (37%) of pure 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(4-methyl-piperazin-1-yl)-[1,3,5]triazine in the form of a beige solid whose characteristics are the following:

- melting point (Kofler stage) = 256-60°C
- ^{1}H NMR spectrum (400 MHz, $(CD_2)_3SO$ d6 at a temperature of 383 K, δ in ppm): 2.30 (s : 3H); 2.47 (broad t, J = 5 Hz : 4H); 2.55 (s : 6H); 2.94 (s : 12H); 3.89 (broad t, J = 5 Hz : 4H); 6.75 (s : 2H); 7.74 (d, J = 9 Hz :

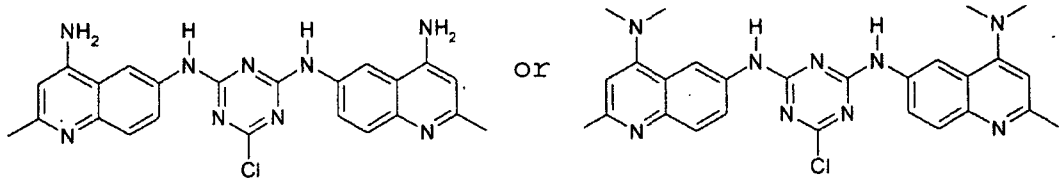
2H); 7.99 (dd, J = 9 and 2 Hz : 2H); 8.40 (d, J = 2Hz : 2H); from 8.70 to 9.00 (unresolved complex : 2H).

Examples 29 to 33: Examples 29 to 33 described in Table 1 may be prepared by parallel synthesis in liquid medium:

2 mole equivalents of sodium hydride and 2 mole equivalents of R1OH in 5 ml of dioxane are introduced into a heating magnetic reactor with a Zymark condenser, type STEM RS2050, containing 25 wells in parallel provided with a 50 ml glass tube. The mixture is heated at 40°C for 30 minutes. There are then added 50 mg of a product corresponding to the following formula:



this formula representing in particular the following products:



and the mixture is heated under reflux overnight. After cooling, the mixture is diluted with 30 ml of water and the precipitate obtained is filtered. The crude product thus isolated is purified by LC/MS using a Waters Xterra C18 silica column 3.5 μM, having a diameter of

3 mm and a length of 50 mm, eluting with a linear elution gradient consisting at the initial time (t0 = 0 min) of water supplemented with 0.05% of TFA and at the final time (tf = 4 min) of acetonitrile containing 0.05% of TFA.

Examples 34 to 108 may be obtained by carrying out the procedure as described above for Examples 1 to 28.

Examples 103 to 115 and Example 196 may be obtained by carrying out the procedure as described above for Examples 29 to 33.

Examples 116 to 133, 177 and 183 may be obtained by carrying out the procedure as described above for Examples 29 to 33, but replacing R1OH with R1SH.

Example 134 may be obtained by carrying out the procedure in the following manner:

In a 250 ml three-necked flask, there is dissolved, in 100 ml of dioxane, 1 g of 2,4-dichloro-6-phenyl-[1,3,5]triazine which may be obtained by carrying out the procedure according to Tetrahedron 2000, 56, 9705-9711. Next, 0.9 g of 4-dimethylamino-2-methylquinolin-6-amine and 1.2 g of potassium carbonate are added, and the reaction medium is heated at 80°C for 18 hours. After cooling, the solvent is evaporated under reduced pressure and the residue is taken up in 100 ml of water. The precipitate formed is drained, washed with water and dried under reduced pressure. 1.5 g (89%) of 2-chloro-4-(4-dimethylamino-2-methylquinolin-6-yl)amino-6-phenyl-[1,3,5]triazine are thus obtained in the form of a yellow solid whose characteristics are the following:

- mass spectrum (EI/DCI) = 390 (M+)

- ^{1}H NMR spectrum (400 MHz, $(CD_3)_2SO$ d6, at a temperature of 353 K, δ in ppm): 2.60 (s : 3H); from 2.95 to 3.10 (broad s : 6H); 6.83 (broad s : 1H); 7.62 (broad t, J = 8 Hz : 2 H); 7.69 (broad t, J = 8 Hz : 1H); 7.86 (d, J = 9 Hz : 1H); 7.92 (broad dd, J = 9 and 2 Hz : 1H); 8.43 (broad d, J = 8 Hz : 2H); 8.70 (unresolved complex : 1H); 10.76 (unresolved complex : 1H).

0.39 g of 4-dimethylamino-2-methylquinolin-6-amine and 0.7 g of potassium carbonate are added to a solution of 0.75 g of 2-chloro-4-(4-dimethylamino-2-methylquinolin-6-yl)amino-6-phenyl-[1,3,5]triazine in 30 ml of DMF. Next, the reaction medium is heated for 18 hours at 140°C. After cooling and dilution with 100 ml of water, the precipitate formed is drained and then purified by flash chromatography on 50 g of silica gel (35-70 mesh), eluting with a mixture of dichloromethane, methanol and triethylamine (96/2/2 by volume). There is thus obtained 0.12 g (11%) of pure 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-phenyl-[1,3,5]triazine in the form of a yellow solid whose characteristics are the following:

- melting point (Kofler stage) = 172°C
- ^{1}H NMR spectrum (400 MHz, $(CD_3)_2SO$ d6, with addition of a few drops of CD_3COOD d4, at a temperature of 353 K, δ in ppm): 2.51 (broad s : 6H); 3.20 (s : 12H); 6.76 (s : 2H); 7.55 (broad t, J = 8 Hz : 2H); 7.60 (broad t, J = 8 Hz : 1H); 7.87 (d, J = 8.5 Hz : 2H); 8.30 (broad dd, J = 8.5 and 2 Hz : 2H); 8.40 (broad d, J = 8 Hz : 2H); 8.69 (d, J = 2 Hz : 2H).

Example 178 may be obtained by carrying out the procedure as in Example 134 but starting with 2,4-dichloro-6-phenylmethyl-[1,3,5]triazine which may

be obtained by carrying out the procedure according to Tetrahedron 2000, 56, 9705-9711.

Examples 135 to 176, 178 to 182, 184, 187 to 195 and 197 to 202 may be obtained by carrying out the procedure as described above for Examples 1 to 28, except that the volume of DMF is reduced from 5 to 2 ml and that the heating temperature is increased from 80 to 108-110°C.

Example 185, 2-((4-dimethylamino-2-methylquinolin-6-yl)amino)-4-((4-dimethylamino-1,2-dimethylquinolinyl-6-yl)amino)-6-(4-ethoxyethylpiperazin-1-yl)-[1,3,5]triazine may be advantageously prepared in the following manner:

20 ml of 1,4-dioxane and 200 mg (0.57 mmol) of 4,6-dichloro-2-(4-dimethylamino-2-methylquinolin-6-yl)amino-[1,3,5]triazine, which may be prepared as in Example 20, are added to a 50 ml three-necked flask. The reaction is stirred, and then 122 mg (0.70 mmol) of 1-[2-(2-hydroxyethoxy)ethyl]piperazine and 100 µl (0.57 mmol) of N,N-diisopropylethylamine are successively added. The medium is heated for 48 hours at 110°C under argon. After concentrating the reaction medium, it is taken up in dichloromethane, and the medium is washed with a saturated ammonium chloride solution and concentrated under reduced pressure. 0.23 g of 2-((4-dimethylamino-2-methylquinolin-6-yl)amino)-4-chloro-6-(4-ethoxyethylpiperazin-1-yl)-[1,3,5]triazine is obtained which is used as it is in the next step, and whose characterisitics are the following:

- mass spetrum (EI) = 488 ($M^{+\cdot}$).

24 mg (0.05 mmol) of 2-((4-dimethylamino-2-methylquinolin-6-yl)amino)-4-chloro-6-(4-ethoxyethyl-piperazin-1-yl)-[1,3,5]triazine are introduced into a heating magnetic reactor with a Zymark condenser, type STEM RS2050, containing 25 wells in parallel, each provided with a 50 ml glass tube. 5 ml of DMF, 1 ml of 1,4-dioxane, 9 µl (0.05 mmol) of N,N-diisopropylethylamine and 19 mg (0.10 mmol) of 4-dimethylamino-1,2-dimethylquinolinium-6-yl)amine chloride, prepared according to Patent WO/001561, are successively added to tube 1. The reaction medium is heated at 120°C under argon for 48 hours. After cooling, the contents of the tube are evaporated under reduced pressure, taken up in 5 ml of water, filtered and washed with diethyl ether. The crude product obtained is then purified by LC/MS using a Waters Xterra C18 silica column 3.5 µm, having a diameter of 3 mm and length of 50 mm, eluting with a linear elution gradient consisting at the initial time (t_0 = 0 min) of water containing 0.05% of trifluoroacetic acid and at the final time (t_f = 4 min) of acetonitrile containing 0.05% of trifluoroacetic acid. There are thus obtained, after purification, 18.8 mg of 2-((4-dimethylamino-2-methylquinolin-6-yl)amino)-4-((4-dimethylamino-1,2-dimethylquinolinium-6-yl)amino)-6-(4-ethoxyethyl-piperazin-1-yl)-[1,3,5]triazine chloride, whose characteristics are the following:

- mass spectrum (DAD-TIC) = 639 (MH^+)

Example 186, 2,4-bis((4-dimethylamino-2-methylquinolin-6-yl)amino)-6-(4-cyclopentylpiperazin-1-yl)-[1,3,5]triazine may be advantageously prepared in the following manner:

1.10 g of 2,4,6-trichloro-s-triazine and 25 ml of 1,4-dioxane are added to a 100 ml three-necked flask.

The reaction is stirred until dissolution of the 2,4,6-trichloro-s-triazine is obtained. The three-necked flask is placed in an ice bath. After 10 minutes, 930 mg of 1-cyclopentylpiperazine and 640 mg of sodium carbonate are added. After 4 hours, the ice bath is removed. After returning to room temperature, the solid which is precipitated is filtered. There are thus obtained 1.496 g of 2,4-dichloro-6-(4-cyclopentylpiperazin-1-yl)-[1,3,5]triazine, which is used as it is in the next step and whose characteristics are the following:

- mass spectrum (EI) = 303 ($M^{+\cdot}$)

906 mg of 2,4-dichloro-6-(4-cyclopentylpiperazin-1-yl)-[1,3,5]triazine, obtained above, and 25 ml of 1,4-dioxane are added to a 50 ml three-necked flask. The reaction is stirred. 603 mg of 4-dimethylamino-2-methylquinolin-6-ylamine, which may be prepared according to J. Med. Chem. 1992, 35, 252, and 414 mg of sodium carbonate are then successively added. The medium is heated at 110°C under argon for 18 hours. After filtration and then washing of the precipitate with methanol, there are obtained after concentration 1.06 g of 2-chloro-4-(4-dimethylamino-2-methylquinolin-6-ylamine)-6-(4-cyclopentylpiperazin-1-yl)-[1,3,5]triazine, which is used as it is in the next step and whose characteristics are the following:

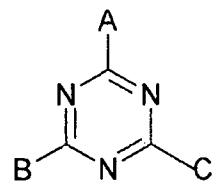
- mass spectrum (EI) = 465 ($M^{+\cdot}$).

25 mg (0.05 mmol) of 2-chloro-4-(4-dimethylamino-2-methylquinolin-6-ylamine)-6-(4-cyclopentylpiperazin-1-yl)-[1,3,5]triazine obtained above, are introduced into a heating magnetic reactor with a Zymark condenser, type STEM RS2050, containing 25 wells in parallel, each provided with a 50 ml glass tube. 5 ml of DMF, 1 ml of

1,4-dioxane, 9 µl (0.05 mmol) of N,N-diisopropylethylamine and 19 mg (0.10 mmol) of (4-dimethylamino-1,2-dimethylquinolinium-6-yl)amine are successively added to the first tube. The reaction medium is heated at 120°C under argon for 48 hours. After cooling, the contents of the tube are evaporated under reduced pressure, taken up in 5 ml of water, filtered and washed with diethyl ether. The crude product obtained is then purified by LC/MS using a Waters Xterra C18 silica column 3.5 µm, having a diameter of 3 mm and a length of 50 mm, eluting with a linear elution gradient consisting at the initial time (t_0 = 0 min) of water containing 0.05% of trifluoroacetic acid and at the final time (t_f = 4 min) of acetonitrile containing 0.05% of trifluoroacetic acid. There are thus obtained, after purification, 18.8 mg of 2,4-bis((4-dimethylamino-2-methylquinolin-6-yl)amino)-6-(4-cyclopentylpiperazin-1-yl)-[1,3,5]triazine, whose characteristics are the following:

- mass spectrum (DAD-TIC) = 619 (MH^+)

Examples 203: By carrying out the procedure as in Example 185, by parallel synthesis, but it being understood that it is possible to successively introduce any one of the three side chains, which are identical or different, there are advantageously prepared the triazines of general formula (Ib) below:



(Ib)

in which:

- B represents a radical Ar_1NR_3, a radical chosen from the radicals:

1. (4-amino-2-methylquinolin-6-yl)amino
2. (2-methyl-4-methylaminoquinolin-6-yl)amino
3. (4-dimethylamino-2-methylquinolin-6-yl)amino
4. ([2,4-bis(dimethylamino)quinolin-6-yl]amino
5. (4-dimethylamino-2-methylaminoquinolin-6-yl)amino
6. (4-dimethylamino-2-methylquinolin-7-yl)amino

- C represents a radical Ar_2NR_3 chosen from the radicals:

1. (4-amino-2-methylquinolin-6-yl)amino
2. (2-methyl-4-methylaminoquinolin-6-yl)amino
3. (4-dimethylamino-2-methylquinolin-6-yl)amino
4. ([2,4-bis(dimethylamino)quinolin-6-yl]amino
5. (4-dimethylamino-2-methylaminoquinolin-6-yl)amino
6. (4-dimethylamino-2-methylquinolin-7-yl)amino
7. (4-phenylmethylamino-2-methylquinolin-6-yl)amino
8. (4-diethylamino-2-methylquinolin-6-yl)amino
9. (4-isopropylamino-2-methylquinolin-6-yl)amino
10. [4-(2-methoxyethyl)amino-2-methylquinolin-6-yl]amino
11. [4-(4-acetylaminophenyl)amino-2-methyl-quinolin-6-yl]amino
12. [4-(azetidin-1-yl)-2-methylquinolin-6-yl)amino
13. [2-methyl-4-(pyrrolidin-1-yl)quinolin-6-yl]amino
14. (6-dimethylaminophenanthridin-2-yl)amino
15. (1-dimethylaminoisoquinolin-7-yl)amino
16. [N-(4-dimethylamino-2-methylquinolin-6-yl)-N-methyl]amino
17. (4-dimethylamino-2-phenylquinolin-6-yl)amino
18. (4-amino-2-isopropylquinolin-6-yl)amino
19. (2,7-dimethyl-4-dimethylaminoquinolin-6-yl)amino

20. (2-methyl-1H-benzoimidazol-5-yl)amino
21. (2-dimethylamino-1H-benzoimidazol-5-yl)amino
22. (2-dimethylamino-3-methyl-3H-benzoimidazol-5-yl)amino
23. (2-dimethylamino-1-methyl-1H-benzoimidazol-5-yl)amino
24. (1-dimethylamino-3-methylisoquinolin-7-yl)amino
25. [1-(2-dimethylaminoethyl)-1H-indol-5-yl)amino
26. (9-dimethylaminoacridin-2-yl)amino
27. (4-dimethylaminoquinazolin-6-yl)amino
28. (4-amino-1,2-dimethylquinolinio-6-yl)amino
29. (naphthalen-2-yl)amino
30. (naphthalen-2-yl)methylamino
31. 2-(naphthalen-2-yl)ethylamino
32. (anthracen-2-yl)amino
33. diphenylmethylamino
34. (3,4,5-trimethoxyphenyl)amino
35. (3,4,5-trimethoxyphenyl)methylamino
36. (4-trifluoromethylphenyl)amino
37. (4-trifluoromethylphenyl)methylamino
38. (4-cyanophenyl)amino
39. (4-cyanophenyl)methylamino
40. (4-trimethylammoniophenyl)amino
41. (2-trimethylammonioethyl)amino
42. (1-methylpyridinio-4-yl)amino
43. (4-amidinophenyl)amino

- A represents a radical chosen from the radicals:

1. (4-amino-2-methylquinolin-6-yl)amino
2. (2-methyl-4-methylaminoquinolin-6-yl)amino
3. (4-dimethylamino-2-methylquinolin-6-yl)amino
4. ([2,4-bis(dimethylamino)quinolin-6-yl]amino
5. (4-dimethylamino-2-methylaminoquinolin-6-yl)amino
6. (4-dimethylamino-2-methylquinolin-7-yl)amino
7. (4-amino-1,2-dimethylquinolinio-6-yl)amino
8. [N-[(1-methylpiperidin-4-yl)]-N-methyl]amino

9. 4-(pyridin-4-yl)piperazin-1-yl
10. 4-(2-hydroxyethyl)piperzin-1-yl
11. 4-(3-dimethylaminopropyl)homopiperazin-1-yl
12. 4-[3-(pyrrolidin-1-yl)propyl]piperazin-1-yl
13. (2,3-dihydroxy-1-phenylprop-1-yl)amino
14. 4-[2-(pyrrolidin-1-yl)ethyl]piperazin-1-yl
15. 4-[2-(pyrrolidin-1-yl)ethyl]homopiperazin-1-yl
16. 4-[2-(1H-imidazol-1-yl)ethyl]homopiperazin-1-yl
17. 4-[2-(1H-imidazol-1-yl)ethyl]piperazin-1-yl
18. 4-[3-(1H-imidazol-1-yl)propyl]homopiperazin-1-yl
19. 4-[3-(1H-imidazol-1-yl)propyl]piperazin-1-yl
20. 4-[2-(2-phenyl-1H-imidazol-1-yl)ethyl]homopiperazin-1-yl
21. 4-[2-(2-phenyl-1H-imidazol-1-yl)ethyl]-piperazin-1-yl
22. 4-[3-(2-phenyl-1H-imidazol-1-yl)propyl]homopiperazin-1-yl
23. 4-[3-(2-phenyl-1H-imidazol-1-yl)propyl]-piperazin-1-yl
24. 4-[2-(morpholin-1-yl)ethyl]homopiperazin-1-yl
25. 4-[2-(morpholin-1-yl)ethyl]piperazin-1-yl
26. 4-[3-(morpholin-1-yl)propyl]homopiperazin-1-yl
27. 4-[3-(morpholin-1-yl)propyl]piperazin-1-yl
28. 4-[2-(1H-imidazo[4,5b]pyridin-1-yl)ethyl]homopiperazin-1-yl
29. 4-[2-(1H-imidazo[4,5b]pyridin-1-yl)ethyl]-piperazin-1-yl
30. 4-[3-(1H-imidazo[4,5b]pyridin-1-yl)propyl]homopiperazin-1-yl
31. 4-[3-(1H-imidazo[4,5b]pyridin-1-yl)propyl]-piperazin-1-yl
32. 4-[2-(1H-benzoimidazol-1-yl)ethyl]homopiperazin-1-yl
33. 4-[2-(1H-benzoimidazol-1-yl)ethyl]piperazin-1-yl

34. 4-[3-(1H-benzoimidazol-1-yl)propyl]homopiperazin-1-yl
35. 4-[3-(1H-benzoimidazol-1-yl)propyl]piperazin-1-yl
36. 4-[2-(2-hydroxymethyl-1H-benzoimidazol-1-yl)ethyl]homopiperazin-1-yl
37. 4-[2-(2-hydroxymethyl-1H-benzoimidazol-1-yl)ethyl]piperazin-1-yl
38. 4-[3-(2-hydroxymethyl-1H-benzoimidazol-1-yl)propyl]homopiperazin-1-yl
39. 4-[3-(2-hydroxymethyl-1H-benzoimidazol-1-yl)propyl]piperazin-1-yl
40. 4-[2-(1H-imidazol-2-yl)aminoethyl]homopiperazin-1-yl
41. 4-[2-(1H-imidazol-2-yl)aminoethyl]piperazin-1-yl
42. 4-[3-(1H-imidazol-2-yl)aminopropyl]homopiperazin-1-yl
43. 4-[3-(1H-imidazol-2-yl)aminopropyl]piperazin-1-yl
44. 4-{2-[2-(1H-imidazol-2-yl)-1-hydroxymethyl-ethyl]aminoethyl}homopiperazin-1-yl
45. 4-{2-[2-(1H-imidazol-2-yl)-1-hydroxymethyl-ethyl]aminoethyl}piperazin-1-yl
46. 4-{3-[2-(1H-imidazol-2-yl)-1-hydroxymethyl-ethyl]aminopropyl}homopiperazin-1-yl
47. 4-{3-[2-(1H-imidazol-2-yl)-1-hydroxymethyl-ethyl]aminopropyl}piperazin-1-yl
48. 4-(piperidin-4-yl)piperidin-1-yl
49. (1H-benzoimidazol-1-yl)methylamino
50. (piperidin-1-yl)methylamino
51. 2-(pyridin-2-yl)pyrrolidin-1-yl
52. 4-(2-dimethylaminoethyl)piperazin-1-yl
53. (1-methylpiperidin-4-yl)amino
54. (quinuclidin-3-yl)amino
55. (4-methylhomopiperazin-1-yl)amino

56. [N-(2-dimethylaminoethyl)-N-(phenylmethyl)-amino]methylamino
57. (diisopropylamino)methylamino
58. (diethylamino)methylamino
59. (pyridin-2-yl)amino
60. (pyrimidin-2-yl)amino
61. (piperidin-1-yl)methylamino
62. (1-phenylmethylpyrrolidin-3-yl)amino
63. (2-dimethylaminoethyl)oxy
64. phenyloxy
65. (pyridin-2-yl)oxy
66. (pyrimidin-2-yl)oxy
67. phenylsulphanyl
68. (pyridin-2-yl)sulphanyl
69. (pyrimidin-2-yl)sulphanyl
70. (quinolin-2-yl)sulphanyl

The amines, the alcohols or phenols and the thiols or thiophenols, which are necessary for introducing the radicals of the B, C or A type of the products of general formula (Ib) are:

- either commercially available,
- or prepared as described in the literature:
  - 2-methylquinolin-4,6-diylamine (A1/B1/C1) according to J. Med. Chem. 1992, 35, 252-258
  - 2-methyl-4-methylaminoquinolin-6-amine (A2/B2/C2) according to J. Med. Chem. 2000, 43, 4667
  - 4-dimethylaminoquinolin-6-amine (A3/B3/C3) according to WO 01/40218
  - 4-phenylmethylaminoquinolin-6-amine (B7) according to J. Med. Chem. 1992, 35, 252-258
  - 2-dimethylamino-1-methyl-1H-benzoimidazol-5-amine (B23) according to Khim Geterosikl. Soedin. 1969, 543-546
  - 4-dimethylaminoquinazolin-6-amine (B27) according to WO 97/38983

- o 1,2-dimethylquinolinium-4,6-diamine chloride (B28/C7) according to WO 01/40218
- o 4-[2-(1H-imidazol-1-yl)ethyl]piperazine (C17) according to WO 01/96323
- o 4-[3-(1H-imidazol-1-yl)propyl]piperazine (C19) according to EP 350145

- or prepared as below:
  - o 4-diethylamino-2-methylquinolin-6-amine (B8), 4-isopropylamino-2-methylquinolin-6-amine (B9), 4-(2-methoxyethyl)amino-2-methylquinolin-6-amine (B10), 4-(4-acetylaminophenyl)amino-2-methylquinolin-6-amine (B11), 4-(azetidin-1-yl)-2-methylquinolin-6-amine (B12) and 2-methyl-4-(pyrrolidin-1-yl)quinolin-6-amine (B13) may be prepared by parallel synthesis by carrying out the procedure in the following manner:

Step 1: Substitution in parallel of 4-chloro-2-methyl-6-quinoline

The following amines are introduced, per well, into a 24 well stainless steel reactor, which can be heated, stirred and pressurized:

0.094 g of isopropylamine
0.173 g of diethylamine hydrochloride
0.120 g of 2-methoxyethylamine
0.091 g of azetidine
0.114 g of pyrrolidine
0.296 g of 4-aminoacetanilide hydrochloride

1.35 ml of a stock solution prepared from 2.67 g of 4-chloro-2-methyl-6-nitroquinoline in 30 ml of N-methylpyrrolidinone and 10.1 ml of triethylamine are then added to each well. This operation is reproduced in 4 wells, for each substituting amine. The apparatus is closed and then pressurized under 10 BAR of argon

and stirred for 5 hours at 100°C. After cooling, the solutions from the wells of the same composition are assembled, and then these solutions are diluted with the aid of 30 ml of water. The insoluble matter formed is drained on a porous plate, it is rinsed with 15 ml of water and it is air-dried. The products are purified by LCMS under the following conditions:

Mass spectrometer Platform *MICROMASS*
chain *HPLC 1100 Agilent (Hewlett Packard)*
column *THERMO Hypersil 50X4.6 mm 5 µ hyPURITY C18*
elution gradient (water/acetonitrile by volume):
t = 0 min (95-5); t = 3.5 min (10/90); t = 4 min (10/90); t = 4.5 min (95/15); t = 6 min 595/5).

The following pure products are thus obtained:
0.323 g of 4-isopropylamino-2-methyl-6-nitroquinoline (LCMS retention time: 3.04 min)
0.386 g of 4-diethylamino-2-methyl-6-nitroquinoline (LCMS retention time: 2.98 min)
0.365 g of 4-(2-methoxyethyl)amino-2-methyl-6-nitroquinoline (LCMS retention time: 2.69 min)
0.258 g of 4-(azetidin-1-yl)-2-methyl-6-nitroquinoline (LCMS retention time: 2.87 min)
0.275 g of 4-(pyrrolidin-1-yl)-2-methyl-6-nitroquinoline (LCMS retention time: 3.04 min)
0.461 g of 4-(4-acetylaminophenyl)-2-methyl-6-nitroquinoline (LCMS retention time: 2.96 min)

Stage 2: reduction in parallel of the 6-nitroquinolines

The products described above are each distributed into 4 wells. Their reduction is carried out in the apparatus described above, by introducing into each well 0.050 g of 10% palladium on carbon and 1.5 ml of a methanol/dichloromethane (80/20 by volume) solution. After obturation and inerting, the medium is stirred for 6 hours at 20°C under 6 bar of hydrogen. The solutions of the wells of the same composition are

grouped together, the catalyst is filtered and then rinsed with 5 ml of methanol. The filtrate is then concentrated under reduced pressure. The products are purified by LCMS under the conditions described in Step 1. There are thus obtained:

0.289 g of 4-isopropylamino-2-methylquinolin-6-amine (LCMS retention time: 3.07 min)

0.487 g of 4-diethylamino-2-methylquinolin-6-amine (LCMS retention time: 2.62 min)

0.323 g of 4-(2-methoxyethyl)amino-2-methylquinolin-6-amine (LCMS retention time: 2.78 min)

0.035 g of 4-(azetidin-1-yl)-2-methylquinolin-6-amine (LCMS retention time: 1.74 min)

0.201 g of 4-(pyrrolidin-1-yl)-2-methylquinolin-6-amine (LCMS retention time: 2.53 min)

0.170 g of 4-(4-acetylaminophenyl)-2-methylquinolin-6-amine (LCMS retention time: 2.76 min).

- 2,4-bis(dimethylamino)quinolin-6-amine (A4/B4/C4) may be prepared by carrying out the procedure in the following manner:

180 mg of 2,4-bis(dimethylamino)-6-nitroquinoline in solution in 12 ml of a methanol-dichloromethane (3/1 by volume) mixture is placed under a hydrogen atmosphere (5 bar) in the presence of 15 mg of 10% palladium on carbon for 12 hours. After filtration on celite, the filtrate is concentrated under reduced pressure. There are thus obtained 186 mg of 2,4-bis(dimethylamino)quinolin-6-amine in the hydrochloride form whose characteristics are the following:

^{1}H NMR spectrum (300 MHz, $(CD_3)_2SO$ d6, δ in ppm): 3.12 (s : 6H); 3.28 (s : 6H); 5.48 (unresolved complex : 2H); 6.04 (s : 1H); 7.06 (dd, J = 9 and 2.5 Hz : 1H); 7.11 (d, J = 2.5 Hz : 1H); 7.81 (d, J = 9 Hz : 1H).

Mass spectrum:

EI(70ev)	m/z=230	$M^{+\cdot}$	base peak
	m/z=215	$[M - CH_3]^+$	
	m/z=201	$[215 - CH_2]^+$	
	m/z=187	$[M - NC_2H_5]^+$.	

2,4-Bis(dimethylamino)-6-nitroquinoline may be prepared in the following manner: 300 mg of 2,4-dichloro-6-nitroquinoline is dissolved in 12 ml of DMF in the presence of 853 mg of potassium carbonate and 1 g of dimethylammonium hydrochloride. The reaction mixture is stirred at 100°C for 3 hours. After returning to room temperature, the insoluble matter is removed by filtration and the filtrate is concentrated under reduced pressure. The residue is hydrolysed by adding water and the precipitate obtained is recovered by filtration and then dried under reduced pressure. There are thus obtained 180 mg of 2,4-bis(dimethylamino)-6-nitroquinoline in the form of a yellow powder whose mass spectrum is the following:

EI(70ev)	m/z=260	$M^{+\cdot}$	base peak
	m/z=245	$[M - CH_3]^+$	
	m/z=231	$[245 - CH_2]^+$	
	m/z=217	$[M - NC_2H_5]^{+\cdot}$	
	m/z=199	$[245 - NO_2]^{+\cdot}$	

2,4-Dichloro-6-nitroquinoline may be prepared in the following manner: a solution of 500 mg of 6-nitroquinoline-2,4-diol in 10 ml of $POCl_3$ is heated under reflux for 3 hours. After returning to room temperature, the reaction mixture is concentrated under reduced pressure and then taken up in water. The pH of the aqueous phase is brought to 8 by adding an aqueous solution of ammonium hydroxide at 28% and the aqueous phase is extracted with dichloromethane. The organic phase is then dried over magnesium sulphate and then concentrated under reduced pressure. There are thus

obtained 300 mg of 2,4-dichloro-6-nitroquinoline in the form of a yellow powder whose mass spectrum is the following:

EI(70eV)	m/z=242	$M^{+\cdot}$	base peak, isotopic unresolved complex of the dichlorinated peak
	m/z=212	$[M - NO]^+$	isotopic unresolved complex of the dichlorinated peak
	m/z=196	$[M - NO_2]^+$	isotopic unresolved complex of the dichlorinated peak
	m/z=184	$[M - CNO_2]^+$	isotopic unresolved complex of the dichlorinated peak
	m/z=161	$[196 - Cl]^{+\cdot}$	isotopic unresolved complex of the monochlorinated peak

6-Nitroquinoline-2,4-diol may be prepared in the following manner: 500 mg of 2,4-quinolinediol are dissolved in 6 ml of concentrated sulphuric acid at 0°C. 314 mg of potassium nitrate are then added and the reaction mixture is stirred at 0°C for 1 hour and then overnight at room temperature. It is then poured into a water-ice mixture and the pH of the aqueous solution thus obtained is brought to pH 7 by adding an aqueous solution of ammonium hydroxide at 28%. The aqueous phase is then extracted with dichloromethane and the resulting organic phase is washed with water: a precipitate forms from the organic phase which is recovered by filtration and dried under reduced pressure. There are thus obtained 357 mg of 6-nitroquinoline-2,4-diol in the form of a yellow powder whose mass spectrum is the following:

EI(70ev)	m/z=206	$M^{+\cdot}$
	m/z=176	$[M - NO]^+$

m/z=160 $[M - NO_2]^+$

m/z=36 $[HCl]^{+\cdot}$ base peak, presence of HCl in the medium

- 4-Dimethylamino-2-methylaminoquinolin-6-amine (A5/B5/C5) may be prepared by carrying out the procedure in the following manner:

150 mg of 4-dimethylamino-2-methylamino-6-nitroquinoline in solution in 4 ml of a methanol-dichloromethane (3/1 by volume) mixture is placed under a hydrogen atmosphere (5 bar) in the presence of 20 mg of 10% palladium on carbon for 12 hours. After filtration on celite, the filtrate is concentrated under reduced pressure. There are thus obtained 122 mg of 4-dimethylamino-2-methylaminoquinolin-6-amine in the hydrochloride form whose characteristics are the following:

^1H NMR spectrum (300 MHz, $(CD_3)_2SO$ d6, δ in ppm): 3.02 (s : 3H); 3.05 (s : 6H); 5.44 (unresolved complex : 2H); 6.10 (s : 1H); 7.03 (dd, J = 9 and 2.5 Hz : 1H); 7.10 (d, J = 2.5 Hz : 1H); 7.64 (d, J = 9 Hz : 1H); 8.27 (unresolved complex : 1H); 11.97 (broad unresolved complex : 1H).

Mass spectrum:

EI(70ev) m/z=216 $M^{+\cdot}$ base peak

m/z=187 $[M - NCH_3]^{+\cdot}$

m/z=172 $[187 - CH_3]^+$

4-Dimethylamino-2-methyamino-6-nitroquinoline may be prepared in the following manner: 188 mg of 4-chloro-2-methylamino-6-nitroquinoline is dissolved in 8 ml of DMF in the presence of 546 mg of potassium carbonate and 645 mg of dimethylammonium hydrochloride. The

reaction mixture is stirred at 100°C for 10 hours. After returning to room temperature, it is hydrolysed by adding water and the aqueous phase thus obtained is extracted with dichloromethane. The organic phase is dried over magnesium sulphate and then concentrated under reduced pressure. There are thus obtained 150 mg of 4-dimethylamino-2-methylamino-6-nitroquinoline in the form of a yellow powder whose mass spectrum is the following:

EI(70ev) m/z=246 $M^{+\cdot}$ base peak

m/z=217 $[M - NCH_3]^{+\cdot}$

4-Chloro-2-methylamino-6-nitroquinoline may be prepared in the following manner: 600 mg of 2,4-dichloro-6-nitroquinoline is dissolved in 10 ml of THF in the presence of 2.15 ml of a 2M solution of dimethylamine in THF. The reaction mixture is stirred at 90°C for 3 hours. After returning to room temperature, the reaction medium is concentrated under reduced pressure. A fraction of the residue obtained is purified by HPLC on a Chromasil column (C18, 5 µM, 100×20 mm) with a water-acetonitrile mixture containing 0.07% of TFA (gradient 95/5 to 60/40 by volume over 20 minutes at a flowrate of 20 ml/min) as eluent. There are obtained 188 mg of (4-chloro-2-methylamino-6-nitroquinoline in the form of a yellow powder whose mass spectrum is the following:

EI(70eV)	m/z=237 $M^{+\cdot}$	base peak, isotopic unresolved complex of the monochlorinated peak
	m/z=208 $[M - NCH_3]^{+\cdot}$	isotopic unresolved complex of the monochlorinated peak

2,4-Dichloro-6-nitroquinoline may be prepared as described in the preceding example.

o 4-Dimethylamino-2-methylquinolin-7-amine (A6/B6/C6) may be prepared by carrying out the procedure in the following manner:

25 µl of a 2M aqueous hydrochloric acid solution are added to 52 mg of N7-benzhydrylidene-4-dimethylamino-2-methylquinolin-7-amine in solution in 500 µl of THF. After stirring for 2 hours at room temperature, the reaction mixture is supplemented with a 0.5M aqueous hydrochloric acid solution and washed with an ethyl acetate-cyclohexane (1/2 by volume) mixture. The aqueous phase is then brought to pH 8 by adding a 1M aqueous sodium hydroxide solution and then extracted with dichloromethane. The organic phase thus obtained is dried over magnesium sulphate and then concentrated under reduced pressure. There are thus obtained 29 mg of 4-dimethylamino-2-methylquinolin-7-amine in the form of a beige oil whose characteristics are the following:

^{1}H NMR spectrum (300 MHz, $(CD_3)_2SO$ d6, δ in ppm): 2.45 (s : 3H); 2.91 (s : 6H); 5.53 (s : 2H); 6.45 (s : 1H); from 6.75 to 6.90 (mt : 2H); 7.70 d, J = 9 Hz : 1H).
Mass spectrum:

EI(70ev)	m/z=201	$M^{+\cdot}$	base peak
	m/z=186	$[M - CH_3]^+$	
	m/z=158	$[M - NC_2H_5]^{+\cdot}$	

N7-Benzhydrylidene-4-dimethylamino-2-methylquinolin-7-amine may be prepared in the following manner: 100 mg of 7-chloro-4-dimethylamino-2-methylquinoline in the form of a mixture with 5-chloro-4-dimethylamino-2-methylquinoline in the proportions of 70/30 (in mol), in solution in 2 ml of 1,2-dimethoxyethane, are added to a mixture of 41.2 mg of tris(dibenzylideneacetone)dipalladium, 35.4 mg of 2-cyclohexylphosphino-2'-(N,N-dimethylamino)biphenyl and 221.2 mg of caesium

carbonate under argon. 90.6 µl of benzophenoneimine are then added and the reaction mixture is heated at 100°C for 72 hours. After returning to room temperature, the reaction medium is hydrolysed by adding water and the aqueous phase thus obtained is extracted with dichloromethane. The organic phase is then dried over magnesium sulphate and then concentration under reduced pressure. After chromatography on a silica column with a dichloromethane/methanol mixture (gradient 100/0 to 94/6) by volume as eluent, there are obtained 52 mg of N7-benzhydrylidene-4-dimethylamino-2-methylquinolin-7-amine in the form of a beige solid whose mass spectrum is the following:

EI(70ev) m/z=365 $M^{+\cdot}$

m/z=364 $[M - H]^+$ base peak

m/z=288 $[M - C_6H_5]^{+\cdot}$

7-Chloro-4-dimethylamino-2-methylquinoline may be prepared in the following manner: 500 mg of 4,7-dichloro-2-methylquinoline in the form of a mixture with 4,5-dichloro-2-methylquinoline in the proportions of 70/30 (in mol) are dissolved in 12 ml of DMF in the presence of 1.63 g of potassium carbonate and 1.96 g of dimethylammonium hydrochloride. The reaction mixture is stirred at 100°C for 3 hours. After returning to room temperature, the insoluble matter is removed by filtration and the filtrate is concentrated under reduced pressure. The residue is hydrolysed by adding water and the aqueous phase thus obtained is extracted with dichloromethane. The organic phase is then dried over magnesium sulphate and then concentrated under reduced pressure. There are thus obtained 623 mg of 7-chloro-4-dimethylamino-2-methylquinoline in the form of a mixture with 5-chloro-4-dimethylamino-2-methyl-quinoline in the proportions of 70/30 in the form of an organge-coloured oil whose mass spectrum is the following:

EI(70ev)	m/z=220	$M^{+\cdot}$	base peak, isotopic unresolved complex of the monochlorinated peak
	m/z=184	$[M - HCl]^{+\cdot}$	
	m/z=169	$[184 - CH_3]^{+}$	

4,7-Dichloro-2-methylquinoline may be prepared in the following manner: a solution of 500 mg of 7-chloro-2-methylquinolin-4-ol, in the form of a mixture with 5-chloro-2-methylquinolin-4-ol in the proportions of 70/30 (in mol), in 7.6 ml of $POCl_3$ is heated under reflux for 3 hours. After returning to room temperature, the reaction mixture is concentrated under reduced pressure and then taken up in water. The pH of the aqueous phase is brought to 8 by adding a saturated aqueous sodium hydrogen carbonate solution and the precipitate thus obtained is recovered by filtration, washed with water and then dried under reduced pressure. There are thus obtained 539 mg of 4,7-dichloro-2-methylquinoline in the form of a mixture with 4,5-dichloro-2-methylquinoline in the proportions of 70/30 (in mol) in the form of a violet solid whose mass spectrum is the following:

EI(70ev)	m/z=211	$M^{+\cdot}$	base peak, isotopic unresolved complex of the dichlorinated peak
	m/z=176	$[M - Cl]^{+}$	isotopic unresolved complex of the
	m/z=140	$[176 - Cl]^{+}$	monochlorinated peak

7-chloro-2-methylquindin-4-ol may be prepared as described in patents EP 97585 and EP 56765.

- 6-Dimethylaminophenanthridin-2-amine (C14) may be prepared by carrying out the procedure in the following manner:

210 mg of 6-dimethylamino-2-nitrophenanthridine in solution in 5 ml of a methanol-dichloromethane (3/1 by volume) mixture is placed under a hydrogen atmosphere (5 bar) in the presence of 20 mg of 10% palladium on carbon for 12 hours. After filtration on celite, the filtrate is concentrated under reduced pressure. There are thus obtained 186 mg of 6-dimethylamino-phenanthridin-2-amine in the form of a yellow foam whose characteristics are the following:

^{1}H NMR spectrum (300 MHz, $(CD_3)_2SO$ d6, δ in ppm): 3.07 (s : 6H); from 5.50 to 6.50 (very broad unresolved complex : 2H); 7.05 (dd, J = 9 and 2.5 Hz : 1H); 7.64 (d, J = 9 Hz : 1H); 7.65 (d, J = 2.5 Hz : 1H); 7.71 (broad t, J = 8 Hz : 1H); 7.87 (broad t, J = 8 Hz : 1H); 8.25 (broad d, J = 8 Hz : 1H); 8.47 (broad d, J = 8 Hz : 1H).

Mass spectrum:

EI(70ev)	m/z=237	$M^{+\cdot}$	base peak
	m/z=222	$[M - CH_3]^+$	
	m/z=208	$[222 - CH_2]^+$	
	m/z=194	$[M - NC_2H_5]^+$	

6-Dimethylamino-2-nitrophenanthridine may be prepared in the following manner: 211 mg of 6-chloro-2-nitrophenanthridine is dissolved in 4 ml of DMF in the presence of 600 mg of potassium carbonate and 711 mg of dimethylammonium hydrochloride. The reaction mixture is stirred at 100°C for 3 hours. After returning to room temperature, it is hydrolysed by adding water and the aqueous phase thus obtained is extracted with dichloromethane. The organic phase is dried over magnesium sulphate and then concentrated under reduced pressure. There are thus obtained 211 mg of 6-dimethylamino-2-nitrophenanthridine in the form of a yellow powder whose mass spectrum is the following:

EI(70ev)	m/z=267	$M^{+\cdot}$	
	m/z=266	$[M - H]^+$	base peak
	m/z=252	$[M - CH_3]^+$	
	m/z=220	$[266 - NO_2]^+$	
	m/z=177	$[220 - NC_2H_5]^+$	

6-Chloro-2-nitrophenanthridine may be prepared in the following manner: a solution of 200 mg of 2-nitro-6(5H)-phenanthridinone in 2 ml of $POCl_3$ is heated under reflux for 3 hours. After returning to room temperature, the reaction mixture is supplemented with cyclohexane until a precipitate is obtained which is recovered by filtration and then taken up in water. The pH of the aqueous phase thus obtained is brought to 8 by adding an aqueous solution of ammonium hydroxide at 28% and the resulting precipitate is recovered by filtration, washed with water and then dried under reduced pressure. There are thus obtained 215 mg of 6-chloro-2-nitrophenanthridine in the form of a white powder whose mass spectrum is the following:

EI(70ev)	m/z=258	$M^{+\cdot}$	base peak, isotopic unresolved complex of the monochlorinated peak
	m/z=228	$[M - NO]^+$	isotopic unresolved complex of the monochlorinated peak
	m/z=212	$[M - NO_2]^+$	isotopic unresolved complex of the monochlorinated peak
	m/z=200	$[M - CNO_2]^+$	isotopic unresolved complex of the monochlorinated peak
	m/z=177	$[212 - Cl]^{+\cdot}$	

- o 1-Dimethylaminoisoquinolin-7-amine (C15) may be prepared by carrying out the procedure in the following manner:

390 mg of 1-dimethylamino-7-nitrosoquinoline in the form of a mixture with 1-dimethylamino-5-nitroisoquinoline in the proportions of 40/60 (by mol) in solution in 8 ml of a methanol-dichloromethane mixture (3/1 by volume) is placed under a hydrogen atmosphere (5 bar) in the presence of 40 mg of 10% palladium on carbon for 12 hours. After filtration on celite, the filtrate is concentrated under reduced pressure. After chromatography on a silica column with a cyclohexane-isopropanol mixture (gradient 100/0 to 90/10 by volume) as eluent, there are obtained 50 mg of 1-dimethylaminoisoquinolin-7-amine in the form of a brown powder whose characteristics are the following:

^{1}H NMR spectrum (300 MHz, $(CD_3)_2SO$ d6, δ in ppm): 2.91 (s : 6H); 5.57 (broad s: 2H); from 7.05 to 7.20 (mt : 3H); 7.56 (d, J = 9 Hz : 1H); 7.74 (d, J = 6 Hz : 1H)

Mass spectrum:

EI(70ev) m/z=187 $M^{+\cdot}$
m/z=186 $[M - H]^+$
m/z=172 $[M - CH_3]^+$
m/z=158 $[172 - CH_2]^+$ base peak
m/z=144 $[M - C_2H_5N]^+$
m/z=116 $[144 - CH_2N]^+$

1-Dimethylamino-7-nitroisoquinoline may be prepared in the following manner: 375 mg of 1-chloro-7-nitroisoquinoline in the form of a mixture with 1-chloro-5-nitroisoquinoline in the proportions of 40/60 (in mol) is dissolved in 6 ml of DMF in the presence of 1.24 g of potassium carbonate and of 1.46 g of

dimethylammonium hydrochloride. The reaction mixture is stirred at 100°C for 3 hours. After returning to room temperature, it is hydrolysed by adding water and the aqueous phase thus obtained is extracted with dichloromethane. The organic phase is dried over magnesium sulphate and then concentrated under reduced pressure. There are thus obtained 390 mg of 1-dimethylamino-7-nitroisoquinoline in the form of a mixture with 1-dimethylamino-5-nitroisoquinoline in the proportions of 40/60 (in mol) in the form of a red powder whose mass spectrum is the following:

EI(70ev)	m/z=217	$M^{+\cdot}$	
	m/z=216	$[M - H]^+$	base peak
	m/z=202	$[M - CH_3]^+$	
	m/z=188	$[202 - CH_2]^+$	
	m/z=170	$[216 - NO_2]^+$	
	m/z=156	$[170 - CH_2]^+$	

1-Chloro-7-nitroisoquinoline may be prepared in the following manner: a solution of 580 mg of 7-nitroisoquinolin-1-ol, in the form of a mixture with 5-nitroisoquinolin-1-ol in the proportions of 40/60 (in mol), in 6 ml of $POCl_3$ is heated under reflux for 3 hours. After returning to room temperature, the reaction mixture is supplemented with cyclohexane until a precipitate is obtained which is recovered by filtration and then taken up in water. The pH of the aqueous phase thus obtained is brought to 8 by adding an aqueous solution of ammonium hydroxide at 28% and the resulting precipitate is recovered by filtration, washed with water and then dried under reduced pressure. There are thus obtained 412 mg of 1-chloro-7-nitroisoquinoline in the form of a mixture with 1-chloro-5-nitroisoquinoline in the proportions of 40/60 (in mol) in the form of a white powder whose mass spectrum is the following:

EI(70ev)	m/z=208	$M^{+\cdot}$	base peak
	m/z=178	$[M - NO]^{+\cdot}$	
	m/z=173	$[M - Cl]^{+}$	
	m/z=162	$[M - NO_2]^{+\cdot}$	
	m/z=150	$[178 - CO]^{+\cdot}$	
	m/z=126	$[173 - HNO_2]^{+\cdot}$	
	m/z=99	$[126 - HCN]^{+}$	

7-Nitroisoquinolin-1-ol may be prepared in the following manner: 500 mg of 1-isoquinolinol are dissolved in 5 ml of concentrated sulphuric acid at 0°C. 348 mg of potassium nitrate are then added and the reaction mixture is stirred at 0°C for 1 hour and then overnight at room temperature. It is then poured into a water-ice mixture and the pH of the aqueous solution thus obtained is brought to pH 8 by adding an aqueous solution of ammonium hydroxide at 28%. The precipitate obtained is recovered by filtration, washed with water and then dried under reduced pressure. There are then obtained 580 mg of 7-nitroisoquinolin-1-ol in the form of a mixture with 5-nitroisoquinolin-1-ol in the proportions of 40/60 (in mol) in the form of a yellow powder whose mass spectrum is the following:

DCI(NH_3)	m/z=208	MNH_4^{+}	
	m/z=191	MH^{+}	
	m/z=161	$[M - NO]^{+}$	
	m/z=146	$M'H^{+}$	corresponds to the beginning

- o 4-Dimethylamino-2-methylquinoline-6-N-methylamine (C16) may be prepared by carrying out the procedure in the following manner:

170 mg of 4-dimethylamino-2-methylquinoline-6-N-methylacetamide is heated under reflux in 3 ml of a mixture of a concentrated hydrochloric acid solution at

37% w/w-water (2/1 by volume) for 2 hours. After returning to room temperature, the pH is brought to 8 by adding an aqueous solution of ammonium hydroxide at 28% and the aqueous phase is extracted with dichloromethane. The organic phase is dried over magnesium sulphate and then concentrated under reduced pressure. There are thus obtained 120 mg of 4-dimethylamino-2-methylquinoline-6-N-methylamine in the form of a yellow oil whose characteristics are the following:

^{1}H NMR spectrum (300 MHz, $(CD_3)_2SO$ d6, δ in ppm): 2.48 (s : 3H); 2.79 (d, J = 5 Hz : 3H); 2.89 (s : 6H); 6.00 (q, J = 5 Hz : 1H); 6.71 (mt : 2H); 7.06 (dd, J = 9 and 2.5 Hz : 1H); 7.57 (d, J = 9 Hz : 1H).

Mass spectrum:

EI(70ev)	m/z=215	$M^{+\cdot}$	base peak
	m/z=200	$[M - CH_3]^+$	
	m/z=185	$[M - NHCH_3]^{+\cdot}$	
DCI (NH_3)	m/z=216	MH^+	

4-Dimethylamino-2-methylquinoline-6-N-methylacetamide may be prepared in the following manner: 45 mg of sodium hydride at 60% in oil are added to 250 mg of 4-dimethylamino-2-methylquinolin-6-acetamide in solution in 2 ml of DMF at 0°C. After stirring for 20 minutes at room temperature, the reaction medium is again cooled to 0°C and 77 µl of methyl iodide are added. After stirring for 2 hours at room temperature, water is added and the aqueous phase is extracted with dichloromethane. The organic phase is dried over magnesium sulphate and concentrated under reduced pressure. After chromatography on a silica column with a dichloromethane-methanol mixture (gradient 100/0 to 90/10 by volume) as eluent, there are obtained 170 mg of 4-dimethylamino-2-methylquinoline-6-N-

methylacetamide in the form of a yellow oil whose mass spectrum is the following:

EI(70ev) m/z=257 $M^{+\cdot}$ base peak
m/z=215 $[M - COCH_3]^+$
m/z=185 $[M - CH_3CONH_3]^{+\cdot}$
DCI (NH_3) m/z=216 MH^+

4-Dimethylamino-2-methylquinolin-6-acetamide may be prepared in the following manner: 415 µl of triethylamine, 211 µl of acetic anhydride and 9 mg of DMAP are added to 300 mg of 4-dimethylamino-2-methylquinolin-6-amine in solution in 3 ml of dichloromethane. The reaction mixture is heated under reflux for 2 hours. After returning to room temperature, water is added and the aqueous phase is extracted with dichloromethane. The organic phase is dried over magnesium sulphate and then concentrated under reduced pressure. There are thus obtained 263 mg of 4-dimethylamino-2-methylquinolin-6-acetamide in the form of a beige foam whose mass spectrum is the following:

EI(70ev) m/z=243 $M^{+\cdot}$ base peak
m/z=201 $[M - COCH_2]^{+\cdot}$
m/z=43 $[COCH_3]^+$

4-Dimethylamino-2-methylquinolin-6-amine may be prepared as described in patent WO 01/40218.

- 4-Dimethylamino-2-phenylquinolin-6-amine (C17) may be prepared by carrying out the procedure in the following manner:

360 mg of 4-dimethylamino-2-phenylquinolin-6-acetamide are heated under reflux in 6 ml of a concentrated hydrochloric acid-water mixture (2/1 by volume) for 2 hours. After returning to room temperature, the pH is brought to 8 by adding an aqueous solution of ammonium

hydroxide at 28% and the aqueous phase is extracted with dichloromethane. The organic phase is dried over magnesium sulphate and then concentrated under reduced pressure. There are thus obtained 310 mg of 4-dimethylamino-2-phenylquinolin-6-amine in the form of a brown oil whose characteristics are the following:

^{1}H NMR spectrum (300 MHz, $(CD_3)_2SO$ d6, δ in ppm): 2.96 (s : 6H); 5.57 (broad s : 2H); 7.05 (d, J = 2.5 Hz : 1H); 7.10 (dd, J = 9 and 2.5 Hz : 1H); 7.28 (s : 1H); 7.42 (tt, J = 7.5 and 1.5 Hz : 1H); 7.50 (t, J = 7.5 Hz : 2H); 7.72 (d, J = 9 Hz : 1H); 8.05 (broad d, J = 7.5 Hz : 2H).

Mass spectrum:

EI(70ev)	m/z=263	$M^{+\cdot}$	base peak
	m/z=248	$[M - CH_3]^+$	
	m/z=219	$[M - N(CH_3)_2]^{+\cdot}$	
DCI (NH_3)	m/z=264	MH^+	

4-Dimethylamino-2-phenylquinolin-6-acetamide may be prepared in the following manner: 400 mg of 4-chloro-2-phenylquinolin-6-acetamide is dissolved in 15 ml of DMF is the presence of 1.86 g of potassium carbonate and 1.10 g of dimethylammonium hydrochloride. The reaction mixture is stirred at 150°C for 6 hours. After returning to room temperature, it is hydrolysed by adding water and the aqueous phase thus obtained is extracted with dichloromethane. The organic phase is dried over magnesium sulphate and then concentrated under reduced pressure. There are thus obtained 360 mg of 4-dimethylamino-2-phenylquinolin-6-acetamide in the form of a brown oil whose mass spectrum is the following:

EI(70ev)	m/z=305	$M^{+\cdot}$	base peak
	m/z=262	$[M - COCH_3]^{+\cdot}$	
	m/z=246	$[262 - CH_2]^+$	

m/z=43 $[COCH_3]^+$

4-Chloro-2-phenylquinolin-6-acetamide may be prepared in the following manner: a solution of 380 mg of 4-hydroxy-2-phenylquinolin-6-acetamide in 2 ml o $POCl_3$ is heated under reflux for 3 hours. After returning to room temperature, the reaction mixture is supplemented with cyclohexane until a precipitate is obtained which is recovered by filtration and then taken up in water. The pH of the aqueous phase thus obtained is brought to 8 by adding an aqueous solution of ammonium hydroxide at 28% and the resulting precipitate is recovered by filtration, washed with water and then dried under reduced pressure. There are thus obtained 400 mg of 4-chloro-2-phenylquinolin-6-acetamide in the form of a yellow powder whose mass spectrum is the following:

EI(70ev) m/z=296 $M^{+\cdot}$
m/z=254 $[M - COCH_2]^{+\cdot}$ base peak
m/z=219 $[254 - Cl]^+$
m/z=43 $[COCH_3]^+$

4-Hydroxy-2-phenylquinolin-6-acetamide may be prepared in the following manner: 1 g of ethyl 3-(4-acetylaminophenylamino)-3-phenylacrylate is poured into 20 ml of dowtherm A under reflux. After 45 minutes, the temperature is allowed to return to room temperature and the reaction medium is supplemented with cyclohexane until the formation of a precipitate which is recovered by filtration, washed with cyclohexane and then dried under reduced pressure. There are thus obtained 688 mg of 4-hydroxy-2-phenylquinonlin-6-acetamide in the form of an ochre-coloured powder whose mass spectrum is the following:

EI(70ev) m/z=278 $M^{+\cdot}$
m/z=236 $[M - COCH_3]^{+\cdot}$
m/z=43 $[COCH_3]^+$ base peak

Ethyl 3-(4-acetylaminophenylamino)-3-phenylacrylate may be prepared in the following manner: 634 ml of ethyl benzoylacetate, 6 drops of acetic acid and 1.13 g of drierite are added to 500 mg of 4-aminoacetanilide in 2 ml of absolute ethanol. The reaction mixture is heated under reflux for 48 hours. After returning to room temperature, the insoluble matter is removed by filtration and the filtrate is concentrated under reduced pressure. There are thus obtained 1.03 g of ethyl 3-(4-acetylaminophenylamino)-3-phenylacrylate in the form of a yellow powder whose mass spectrum is the following:

EI(70ev)	m/z=263	$M^{+\cdot}$	base peak
	m/z=248	$[M - CH_3]^+$	
	m/z=219	$[M - N(CH_3)_2]^{+\cdot}$	
DCI (NH_3)	m/z=264	MH^+	

- 4-Dimethylamino-2-isopropylquinolin-6-amine (C18) may be prepared by carrying out the procedure in the following manner:

315 mg of 4-dimethylamino-2-isopropyl-6-nitroquinoline in the form of a mixture with 4-dimethylamino-2-isopropyl-8-nitroquinoline in the proportions of 8.5/1.5 in solution in 4 ml of a methanol-dichloromethane mixture (2/1 by volume) are placed under a hydrogen atmosphere (5 bar) in the presence of 20 mg of 10% palladium on carbon for 12 hours. After filtration on celite, the filtrate is concentrated under reduced pressure. After chromatography on a silica column with a dichloromethane/methanol mixture (gradient 95/5 to 85/15 by volume) as eluent, there are obtained 142 mg of 4-dimethylamino-2-isopropylquinolin-6-amine in the form of a brown wax whose characteristics are the following:

^{1}H NMR spectrum (300 MHz, $(CD_3)_2SO$ d6, δ in ppm): 1.29 (d, J = 7 Hz : 6H); 2.88 (s : 6H); 3.02 (mt : 1H); 5.38 (broad s : 2H); 6.68 (s, 1H); from 6.95 to 7.10 (mt : 2H); 7.58 (d, J = 9 Hz : 1H).

Mass spectrum:

EI(70ev)	m/z=229	$M^{+\cdot}$	base peak
	m/z=214	$[M - CH_3]^+$	
	m/z=201	$[214 - CH]^{+\cdot}$	
DCI (NH_3)	m/z=230	MH^+	

4-Dimethylamino-2-isopropyl-6-nitroquinoline may be prepared in the following manner: 450 mg of 4-chloro-2-isopropyl-6-nitroquinoline in the form of a mixture with 4-chloro-2-isopropyl-8-nitroquinoline in the proportions of 8.5/1.5 is dissolved in 12 ml of DMF in the presence of 1.2 g of potassium carbonate and 1.47 g of dimethylammonium hydrochloride. The reaction mixture is stirred at 100°C for 3 hours. After returning to room temperature, it is hydrolysed by adding water and the aqueous phase thus obtained is extracted with dichloromethane. The organic phase is dried over magnesium sulphate and then concentrated under reduced pressure. There are thus obtained 317 mg of 4-dimethylamino-2-isopropyl-6-nitroquinoline in the form of a mixture with 4-dimethylamino-2-isopropyl-8-nitroquinoline in the proportions of 8.5/1.5 (in mol) in the form of a brown wax whose mass spectrum is the following:

EI(70ev)	m/z=259	$M^{+\cdot}$	
	m/z=244	$[M - CH_3]^+$	base peak
	m/z=231	$[244 - CH]^{+\cdot}$	
	m/z=212	$[M - HNO_2]^+$	
	m/z=198	$[244 - NO_2]^+$	

4-Chloro-2-isopropyl-6-nitroquinoline may be prepared in the following manner: a solution of 475 mg of

2-isopropyl-6-nitroquinolin-4-ol, in the form of a mixture with 2-isopropyl-8-nitroquinolin-4-ol in the proportions of 8.5/1.5 (in mol), in 4 ml of $POCl_3$ is heated under reflux for 3 hours. After returning to room temperature, the reaction mixture is supplemented with water and cyclohexane the pH of the aqueous phase is brought to 8 by adding an aqueous solution of ammonium hydroxide at 28%. A precipitate is formed which is recovered by filtration and the aqueous phase is extracted with dichloromethane. The assembled organic phases are dried over magnesium sulphate and then concentrated under reduced pressure. The solids thus obtained are combined and dried under reduced pressure. There are then obtained 450 mg of 4-chloro-2-isopropyl-6-nitroquinoline in the form of a mixture with 4-chloro-2-isopropyl-8-nitroquinoline in the proportions of 8.5/1.5 (in mol) in the form of a bordeaux red solid whose mass spectrum is the following:

EI(70ev)	m/z=250	$M^{+\cdot}$	
	m/z=235	$[M - O]^+$	base peak
	m/z=222	$[235 - CH]^{+\cdot}$	
	m/z=203	$[M - HNO_2]^+$	
	m/z=189	$[203 - CH_3]^+$	
DCI (NH_3)	m/z=251	MH^+	

2-Isopropyl-6-nitroquinolin-4-ol may be prepared in the following manner: 450 mg of 2-isopropyl-1H-quinolin-4-one are dissolved in 5 ml of concentrated sulphuric acid at 0°C. 243 mg of potassium nitrate is then added and the reaction mixture is stirred at 0°C for 1 hour and then overnight at room temperature. It is then poured into a water-ice mixture and the pH of the aqueous solution thus obtained is brought to pH 8 by adding an aqueous solution of ammonium hydroxide at 28%. A precipitate forms which is recovered by filtration and dried under reduced pressure. There are

thus obtained 510 mg of 2-isopropyl-6-nitroquinolin-4-ol in the form of a mixture with 2-isopropyl-8-nitroquinolin-4-ol in the proportions of 8.5/1.5 (in mol) in the form of a yellow powder whose mass spectrum is the following:

EI(70ev) m/z=232 $M^{+\cdot}$ base peak
m/z=217 $[M - CH_3]^+$
m/z=204 $[M - CO]^{+\cdot}$
m/z=186 $[M - NO_2]^{+\cdot}$
m/z=171 $[186 - CH_3]^+$

2-Isopropyl-1H-quinolin-4-one may be prepared in the following manner: 4.09 g of benzyl 2-isopropyl-4-triisopropylsilanyloxy-2H-quinoline-1-carboxylate in solution in 115 ml of methanol is placed under a hydrogen atmosphere (5 bar) in the presence of 400 mg of 10% palladium on carbon for 12 hours. After filtration on celite, the filtrate is concentrated under reduced pressure. After chromatography on a silica column with a dichloromethane-methanol mixture (gradient 100/0 to 95/5 by volume) as eluent, there are obtained 750 mg of 2-isopropyl-1H-quinolin-4-one in the form of a white powder whose mass spectrum is the following:

EI(70ev) m/z=187 $M^{+\cdot}$
m/z=172 $[M - CH_3]^+$ base peak
m/z=159 $[M - CO]^{+\cdot}$
m/z=144 $[M - C_3H_7]^{+\cdot}$

Benzyl 2-isopropyl-4-triisopropylsilanyloxy-2H-quinoline-1-carboxylate may be prepared in the following manner: a mixture of 750 mg of benzyl 4-oxo-4H-quinoline-1-carboxylate and of 1.5 ml of triisopropylsilyltrifluoromethanesulphonate is slowly stirred for 1 hour under argon. 15.5 ml of dichloromethane are then added as well as 0.65 ml of 2,6-lutidine. The reaction mixture is then cooled to 0°C and 2.8 ml of a 2M

solution of isopropylmagnesium chloride in THF are added dropwise. The reaction mixture is stirred for 1 hour at room temperature and then hydrolysed by adding a water-ice mixture. The aqueous phase thus obtained is extracted with dichloromethane and the organic phase is dried over magnesium sulphate and then concentrated under reduced pressure. After chromatography on a silica column with dichloromethane as eluent, there are obtained 596 mg of benzyl 2-isopropyl-4-triisopropylsilanyloxy-2H-quinoline-1-carboxylate in the form of a colourless oil whose mass spectrum is the following:

EI(70ev) m/z=479 $M^{+\cdot}$ base peak
m/z=436 $[M - C_3H_7]^+$
m/z=392 $[436 - C_3H_8]^+$

Benzyl 4-oxo-4H-quinoline-1-carboxylate may be prepared in the following manner: 179 mg of sodium hydride at 60% in oil are added to 500 mg of 4-hydroxyquinoline in 3 ml of tert-butanol at 30°C, and the reaction mixture is heated to 50°C until the gaseous emission ceases. The temperature is then brought to room temperature and 673 µl of benzyl chloroformate are added dropwise and the medium is then stirred for 3 hours. The reaction medium is then hydrolysed with 10 ml of water and the pH is brought to 4 by adding a 0.5M aqueous hydrochloric acid solution. The aqueous phase thus obtained is extracted with dichloromethane, dried over magnesium sulphate and then concentrated under reduced pressure. After chromatography on a silica column with a dichloromethane-methanol mixture (gradient 100/0 to 97/3 by volume) as eluent, there are obtained 890 mg of benzyl 4-oxo-4H-quinoline-1-carboxylate in the form of a white viscous oil whose mass spectrum is the following:

EI(70ev) m/z=279 $M^{+\cdot}$
m/z=91 $[C_2H_7]^+$ base peak

DCI (NH_3) m/z=280 MH^+

- o 2,7-Dimethyl-4-dimethylaminoquinolin-6-amine (C19) may be prepared by carrying out the procedure in the following manner:

472 mg of 2,7-dimethyl-4-dimethylamino-6-nitroquinolin-6-amine in the form of a mixture with 2,7-dimethyl-4-dimethylamino-8-nitroquinolin-6-amine in the proportions of 35/65 (in mol) in solution in 8 ml of a dichloromethane-methanol mixture (1/3 by volume) are placed under a hydrogen atmosphere (5 bar) in the presence of 45 mg of 10% palladium on carbon for 12 hours. After filtration on celite, the filtrate is concentrated under reduced pressure. After chromatography on a silica column with a dichloromethane-methanol mixture (gradient 100/0 to 75/25 by volume) as eluent, there are obtained 168 mg of 2,7-dimethyl-4-dimethylaminoquinolin-6-amine in the form of a caramel-coloured powder whose characteristics are the following:

^1H NMR spectrum (300 MHz, $(CD_3)_2SO$ d6, δ in ppm): 2.29 (s : 3H); 2.57 (s : 3H); 3.24 (s : 6H); 5.59 (unresolved peak : 2H); 6.70 (s : 1H); 7.33 (broad s : 1H); 7.50 (broad s : 1H).

Mass spectrum:

EI(70ev)	m/z=215	$M^{+\cdot}$	base peak
	m/z=200	$[M - CH_3]^+$	
	m/z=184	$[200 - NH_2]^+$	
	m/z=172	$[M - C_2H_5N]^+$	

2,7-Dimethyl-4-dimethylamino-6-nitroquinolin-6-amine may be prepared in the following manner: 500 mg of 4-chloro-2,7-dimethyl-6-nitroquinoline in the form of a mixture with 4-chloro-2,7-dimethyl-8-nitroquinoline in

the proportions of 35/65 (in mol) are dissolved in 8 ml of DMF in the presence of 1.46 g of potassium carbonate and 1.72 g of dimethylammonium hydrochloride. The reaction mixture is stirred at 100°C for 3 hours. After returning to room temperature, it is hydrolysed by adding water and the aqueous phase thus obtained is extracted with dichloromethane. The organic phase is dried over magnesium sulphate and then concentrated under reduced pressure. There are thus obtained 476 mg of 2,7-dimethyl-4-dimethylamino-6-nitroquinolin-6-amine in the form of a mixture with 2,7-dimethyl-4-dimethylamino-8-nitroquinolin-6-amine in the proportions of 35/65 (in mol) in the form of a caramel-coloured solid whose mass spectrum is the following:

EI(70ev) m/z=245 $M^{+\cdot}$ base peak
m/z=228 $[M - OH]^{+}$
m/z=215 $[M - NO]^{+\cdot}$
m/z=199 $[M - NO_2]^{+\cdot}$
m/z=183 $[M - HNO_2 - CH_3]^{+\cdot}$

4-Chloro-2,7-dimethyl-6-nitroquinoline may be prepared in the following manner: a solution of 660 mg of 2,7-dimethyl-6-nitroquinolin-4-ol, in the form of a mixture with 2,7-dimethyl-8-nitroquinolin-4-ol in the proportions of 35/65 (in mol), in 5 ml of $POCl_3$ is heated under reflux for 3 hours. After returning to room temperature, the reaction mixture is supplemented with cyclohexane until a precipitate is obtained which is recovered by filtration and then taken up in water. The pH of the aqueous phase thus obtained is brought to 8 by adding an aqueous solution of ammonium hydroxide at 28% and the resulting precipitate is recovered by filtration, washed with water and then dried under reduced pressure. There are thus obtained 516 mg of 4-chloro-2,7-dimethyl-6-nitroquinoline in the form of a mixture with 4-chloro-2,7-dimethyl-8-nitroquinoline in

the proportions of 35/65 (in mol) in the form of a brown powder whose mass spectrum is the following:

EI(70ev) m/z=236 $M^{+\cdot}$ base peak
m/z=219 $[M - OH]^+$
m/z=206 $[M - NO]^{+\cdot}$
m/z=190 $[M - NO_2]^{+\cdot}$
m/z=155 $[M - NO_2 - Cl]^+$

2,7-Dimethyl-6-nitroquinolin-4-ol may be prepared in the following manner: 625 mg of 2,7-dimethylquinolin-4-ol are dissolved in 6 ml of concentrated sulphuric acid at 0°C. 365 mg of potassium nitrate are then added and the reaction mixture is stirred at 0°C for 1 hour and then overnight at room temperature. It is then poured into a water-ice mixture and the pH of the aqueous solution thus obtained is brought to pH 7 by adding an aqueous solution of ammonium hydroxide at 28%. The precipitate obtained is recovered by filtration, washed with water and then dried under reduced pressure. There are then obtained 787 mg of 2,7-dimethyl-6-nitroquinolin-4-ol in the form of a mixture with 2,7-dimethyl-8-nitroquinolin-4-ol in the proportions of 35/65 (in mol) in the form of a yellow powder whose mass spectrum is the following:

EI(70ev) m/z=218 $M^{+\cdot}$ base peak
m/z=201 $[M - OH]^+$
m/z=172 $[M - NO_2]^{+\cdot}$
m/z=144 $[M - HNO_2 - CO]^{+\cdot}$

2,7-Dimethylquinolin-4-ol may be prepared in the following manner: 3.54 g of ethyl 3-m-tolylaminobut-2-enoate is poured in 30 ml of dowtherm A under reflux. After 45 minutes, the temperature is brought to room temperature and the reaction medium is supplemented with cyclohexane until the formation of a precipitate which is recovered by filtration, washed with cyclohexane and then dried under reduced pressure.

After chromatography on a silica column with a cyclohexane-isopropanol mixture (gradient 95/5 to 60/40 by volume) as eluent, there are obtained 416 mg of 2,7-dimethylquinolin-4-ol in the form of a white powder whose mass spectrum is the following:

EI(70ev) m/z=173 $M^{+\cdot}$ base peak
m/z=144 $[M - CHO]^+$

Ethyl 3-m-tolylaminobut-2-enoate may be prepared in the following manner: 2.59 ml of ethyl acetoacetate, 24 drops of acetic acid and 6.29 g of drierite are added to 2 ml of m-toluidine in 8 ml of absolute ethanol. The reaction mixture is heated under reflux for 48 hours. After returning to room temperature, the insoluble matter is removed by filtration and the filtrate is concentrated under reduced pressure. There are thus obtained 3.54 g of ethyl 3-m-tolylaminobut-2-enoate in the form of a colourless oil whose mass spectrum is the following:

EI(70ev) m/z=219 $M^{+\cdot}$
m/z=174 $[M - OEt]^+$ base peak
m/z=146 $[M - COOEt]^+$
m/z=107 $[C_7H_9N]^{+\cdot}$
m/z=91 $[C_2H_7]^+$

- 2-Dimethylamino-1H-benzoimidazol-5-amine (C21) may be prepared by carrying out the procedure in the following manner:

250 mg of 2-dimethylamino-5-nitro-1H-benzoimidazole in solution in 3.2 ml of a dichloromethane-methanol mixture (1/3 by volume) are placed under a hydrogen atmosphere (1 bar) in the presence of 25 mg of 10% palladium on carbon for 12 hours. After filtration on celite, the filtrate is concentrated under reduced pressure. After chromatography on a silica column with a dichloromethane-methanol/ammonia 2M mixture (gradient

100/0 to 90/10 by volume) as eluent, there are obtained 66 mg of 2-dimethylamino-1H-benzoimidazol-5-amine in the form of a brown oil whose characteristics are the following:

^{1}H NMR spectrum (300 MHz, $(CD_3)_2SO$ d6, δ in ppm). We can observe the 50/50 mixture of the position isomers NH in 1 and NH in 3.
2.97 and 2.98 (2 s : 6H in total); 4.40 and 4.50 (2 unresolved complexes : 2H in total); 6.70 and 6.77 (2 broad d, J = 9 Hz : 1H in total); 6.65 and 6.66 (2 s : 1 H in total); 6.81 and 6.88 (2 broad d, J = 9 Hz; 1H in total); 10.68 and 10.80 (2 unresolved complexes : 1H in total).

Mass spectrum:

EI(70ev)	m/z=176	$M^{+\cdot}$	base peak
	m/z=161	$[M - CH_3]^{+\cdot}$	
	m/z=147	$[161 - CH_2]^{+\cdot}$	
	m/z=133	$[M - C_2H_5N]^{+\cdot}$	

2-Dimethylamino-5-nitro-1H-benzoimidazole may be prepared in the following manner: 500 mg of 2-chloro-5-nitro-1H-benzoimidazole in solution in 4 ml of DMF in the presence of 825 mg of dimethylammonium hydrochloride and 395 mg of p-toluenesulphonic acid are heated at 100°C for 20 hours. After returning to room temperature, water is added and the aqueous phase is extracted with dichloromethane. The organic phase is washed with a 1N aqueous sodium hydroxide solution, dried over magnesium sulphate and concentrated under reduced pressure. 239 mg of 2-dimethylamino-5-nitro-1H-benzimidazole are thus obtained in the form of a brown solid whose mass spectrum is the following:

EI(70ev)	m/z=206	$M^{+\cdot}$	base peak
	m/z=191	$[M - CH_3]^{+\cdot}$	
	m/z=177	$[191 - CH_2]^{+\cdot}$	

DCI (NH_3) m/z=207 MH^+
m/z=177 $[MH - NO]^+$ base peak

2-Chloro-5-nitro-1H-benzoimidazole may be prepared as described in the literature (Jung, F.; Delvare, C.; Boucherot, D.; Hamon, A., *J. Med. Chem.* **1991**, *34 (3)*, 1110-1116

- 2-Dimethylamino-3-methyl-3H-benzoimidazol-5-amine (C22) may be prepared by carrying out the procedure in the following manner:

314 mg of 2-dimethylamino-5-nitro-1H-benzoimidazole are added to a solution of 122 mg of sodium hydride at 60% in oil in 6 ml of DMF. After adding 110 µl of iodomethane, the reaction mixture is stirred at room temperature for 3 hours and then supplemented with a saturated aqueous ammonium chloride solution. The aqueous phase is extracted with dichloromethane. The organic phase is dried over magnesium sulphate and concentrated under reduced pressure. The residue in solution in 12 ml of a dichloromethane-methanol mixture (1/3 by volume) is placed under a hydrogen atmosphere (1 bar) in the presence of 32 mg of 10% palladium on carbon for 12 hours. After filtration on celite, the filtrate is concentrated under reduced pressure. After chromatography on a silica column with a dichloromethane-methanol/ammonia 2M mixture (gradient 100/0 to 90/10 by volume) as eluent, there are obtained 46 mg of 2-dimethylamino-3-methyl-3H-benzoimidazole-5-amine in the form of a brown oil whose characteristics are the following:

^1H NMR spectrum (300 MHz, $(CD_3)_2SO$ d6, δ in ppm): 2.81 (s : 6H); 3.48 (s : 3H); 4.75 (unresolved complex : 2H); 6.40 (dd, J = 9 and 2.5 Hz : 1H); 6.46 (d, J = 2.5 Hz : 1H); 7.05 (d, J = 9 Hz : 1H).

Mass spectrum:

EI(70ev) m/z=190 $M^{+\cdot}$ base peak

m/z=175 $[M - CH_3]^{+\cdot}$

m/z=161 $[175 - CH_2]^{+\cdot}$

m/z=147 $[M - C_2H_5N]^{+\cdot}$

2-Dimethylamino-5-nitro-1H-benzoimidazole may be prepared as described in the preceding example.

- 1-Dimethylamino-3-methylisoquinolin-7-amine (C24) may be prepared by carrying out the procedure in the following manner:

190 mg of 1-dimethylamino-3-methyl-7-nitroisoquinoline in solution in 12 ml of a dichloromethane-methanol mixture (1/3 by volume) are placed under a hydrogen atmosphere (5 bar) in the presence of 18 mg of 10% palladium on carbon for 12 hours. After filration on celite, the filtrate is concentrated under reduced pressure. After chromatography on a silica column with a dichloromethane-methanol/ammonia 2M mixture (gradient 100/0 to 90/10 by volume) as eluent, there are obtained 42 mg of 1-dimethylamino-3-methylisoquinolin-7-amine in the form of an orange-coloured paste whose characteristics are the following:

^1H NMR spectrum (300 MHz, $(CD_3)_2SO$ d6, δ in ppm): 2.37 (s : 3H); 2.90 (s : 6H); 5.42 (broad s : 2H); 6.92 (s : 1H); 7.03 (dd, J = 9 and 2.5 Hz : 1H); 7.09 (d, J = 2.5 Hz : 1H); 7.45 (d, J = 9 Hz : 1H).

Mass spectrum:

EI(70ev) m/z=201 $M^{+\cdot}$ base peak

m/z=186 $[M - CH_3]^{+\cdot}$

m/z=172 $[186 - CH_2]^{+\cdot}$

m/z=158 $[M - C_2H_5N]^{+\cdot}$

DCI (NH_3) m/z=202 MH^+

1-Dimethylamino-3-methyl-7-nitroisoquinoline may be prepared in the following manner: 810 mg of 2-(1-acetyl-2-oxopropyl)-5-nitrobenzonitrile is heated at 40°C for 16 hours in 10 ml of an aqueous solution of dimethylamine at 40%. After returning to room temperature, 30 ml of a 2.5N aqueous hydrochloric acid solution are added and then the aqueous phase is washed with ethyl acetate. The aqueous phase is brought to pH 9 by adding a 5N aqueous sodium hydroxide solution and the resulting precipitate is recovered by filtration and dried under reduced pressure. There are thus obtained 190 mg of 1-dimethylamino-3-methyl-7-nitroisoquinoline in the form of an orange-coloured powder whose mass spectrum is the following:

EI(70ev)	m/z=231	$M^{+\cdot}$	base peak
	m/z=216	$[M - CH_3]^{+\cdot}$	
	m/z=202	$[216 - CH_2]^{+\cdot}$	
DCI (NH_3)	m/z=232	MH^+	base peak
	m/z=202	$[M - NO]H^+$	

2-(1-Acetyl-2-oxopropyl)-5-nitrobenzonitrile may be prepared as described in the literature (Shinkai, H; Ito, T.; Iida, T.; Kitao, Y.; Yamada, H.; Uchida, I. *J. Med. Chem.* 2000, *43* (24), 4667-4677).

- 9-Dimethylaminoacridine-2-amine (C26) may be prepared by carrying out the procedure in the following manner:

385 mg of 9-phenoxyacridin-2-amine are heated at 120°C for 15 hours in 12 ml of a 2M solution of dimethylamine in THF. After returning to room temperature and concentrating under reduced pressure, dichloromethane is added and the organic phase is washed with a 1N aqueous sodium hydroxide solution and then dried over

magnesium sulphate and concentrated under reduced pressure. After chromatography on a silica column with a dichloromethane-methanol mixture (gradient 100/0 to 95/5 by volume) as eluent, there are obtained 47 mg of 9-dimethylaminoacridin-2-amine in the form of a yellow lacquer whose characteristics are the following:

^{1}H NMR spectrum (300 MHz, $(CD_3)_2SO$ d6, δ in ppm): 3.22 (s : 6H); 5.77 (broad s : 2H); 7.15 (d, J = 2.5 Hz : 1H); 7.31 (dd, J = 9 and 2.5 Hz : 1H); 7.45 (broad t, J = 8 Hz : 1H); 7.59 (broad t, J = 8 Hz : 1H); 7.86 (d, J = 9 Hz : 1H); 7.98 (broad d, J = 8 Hz : 1H); 8.17 (broad d, J = 8 Hz : 1H).

Mass spectrum:

EI(70ev)	m/z=237	$M^{+\cdot}$	base peak
	m/z=222	$[M - CH_3]^{+\cdot}$	
	m/z=207	$[222 - CH_3]^{+\cdot}$	
	m/z=195	$[222 - HCN]^{+\cdot}$	
DCI (NH_3)	m/z=238	MH^+	

9-Phenoxyacridin-2-amine may be prepared in the following manner: 494 mg of 9-phenoxyacridin-2-trifluoroacetamide in solution in 50 ml of methanol are supplemented with 3 ml of water and 940 mg of potassium carbonate. The reaction medium is heated under reflux for 5 hours. After returning to room temperature and concentrating under reduced pressure, water is added and the aqueous phase is extracted with dichloromethane. The organic phase is dried over magnesium sulphate and concentrated under reduced pressure. There are thus obtained 385 mg of 9-phenoxyacridin-2-amine in the form of an orange-coloured foam whose mass spectrum is the following:

EI(70ev)	m/z=286	$M^{+\cdot}$	base peak
	m/z=209	$[M - C_6H_5]^{+\cdot}$	
DCI(NH_3)	m/z=287	MH^+	

9-Phenoxyacridine-2-trifluoroacetamide may be prepared in the following manner: 770 mg of 9-chloroacridine-2-trifluoroacetamide is heated at 100°C for 15 hours in 2.23 g of phenol. After returning to room temperature, dichloromethane is added and the organic phase is successively washed with a 1N aqueous sodium hydroxide solution and water, and is then dried over magnesium sulphate and concentrated under reduced pressure. There are thus obtained 594 mg of 9-phenoxyacridine-2-trifluoroacetamide in the form of a red powder whose mass spectrum is the following:

DCI (NH_3) m/z=383 MH^+

m/z=287 $M'H^+$ another product (M - $COCF_3$ + H)

9-Chloroacridine-2-trifluoroacetamide may be prepared in the following manner: a solution of 725 mg of 2-trifluoroacetamidoacridone in 7 ml of $POCl_3$ is heated under reflux for 30 minutes. After returning to room temperature and concentrating under reduced pressure, a saturated aqueous sodium hydrogencarbonate solution is added and the resulting precipitate is recovered by filtration, washed with water and then dried under reduced pressure. There are thus obtained 770 mg of 9-chloroacridine-2-trifluoroacetamide in the form of a yellow powder whose mass spectrum is the following:

EI(70ev) m/z=324 $M^{+\cdot}$ base peak

m/z=254 $[M - HCF_3]^{+\cdot}$

m/z=227 $[M - COCF_3]^{+\cdot}$

m/z=200 $[227 - HCN]^{+\cdot}$

m/z=164 $[200 - HCl]^{+\cdot}$

2-Trifluoroacetamidoacridone may be prepared in the following manner: 535 µl of triethylamine, 405 µl of trifluoroacetic anhydride and 13 mg of DMAP are added to 400 mg of 2-aminoacridone in solution in 6.5 ml of dichloromethane at 0°C. The reaction mixture is stirred at room temperature for 15 hours. After concentrating

under reduced pressure, water is added and the resulting precipitate is recovered by filtration and then dried under reduced pressure. There are thus obtained 580 mg of 2-trifluoroacetamidoacridone in the form of a yellow powder whose mass spectrum is the following:

EI(70ev) m/z=306 $M^{+\cdot}$ base peak
m/z=237 $[M - CF_3]^{+\cdot}$
m/z=209 $[M - CO]^{+\cdot}$

- 1-Dimethylamino-3-methylisoquinolin-7-amine (C24) may be prepared by carrying out the procedure in the following manner:

190 mg of 1-dimethylamino-3-methyl-7-nitroisoquinoline in solution in 12 ml of a dichloromethane-methanol mixture (1/3 by volume) are placed under a hydrogen atmosphere (5 bar) in the presence of 18 mg of 10% palladium on carbon for 12 hours. After filtration on celite, the filtrate is concentrated under reduced pressure. After chromatography on a silica column with a dichloromethane-methanol/ammonia 2M mixture (gradient 100/0 to 90/10 by volume) as eluent, there are obtained 42 mg of 1-dimethylamino-3-methylisoquinolin-7-amine in the form of an orange-coloured paste whose characteristics are the following:

^1H NMR spectrum (300 MHz, $(CD_3)_2SO$ d6, δ in ppm): 2.37 (s : 3H); 2.90 (s : 6H); 5.42 (broad s : 2H); 6.92 (s : 1H); 7.03 (dd, J = 9 and 2.5 Hz : 1H); 7.09 (d, J = 2.5 Hz : 1H); 7.45 (d, J = 9 Hz : 1H).

Mass spectrum:

EI(70ev) m/z=201 $M^{+\cdot}$ base peak
m/z=186 $[M - CH_3]^{+\cdot}$
m/z=172 $[186 - CH_2]^{+\cdot}$
m/z=158 $[M - C_2H_5N]^{+\cdot}$

DCI (NH_3) m/z=202 MH^+

1-Dimethylamino-3-methyl-7-nitroisoquinoline may be prepared in the following manner: 810 mg of 2-(1-acetyl-2-oxopropyl)-5-nitrobenzonitrile is heated at 40°C for 16 hours in 10 ml of an aqueous solution of dimethylamine at 40%. After returning to room temperature, 30 ml of a 2.5N aqueous hydrochloric acid solution are added and then the aqueous phase is washed with ethyl acetate. The aqueous phase is brought to pH 9 by adding a 5N aqueous sodium hydroxide solution and the resulting precipitate is recovered by filtration and dried under reduced pressure. There are thus obtained 190 mg of 1-dimethylamino-3-methyl-7-nitroisoquinoline in the form of an orange-coloured powder whose mass spectrum is the following:

EI(70ev)	m/z=231	$M^{+\cdot}$	base peak
	m/z=216	$[M - CH_3]^{+\cdot}$	
	m/z=202	$[216 - CH_2]^{+\cdot}$	
DCI (NH_3)	m/z=232	MH^+	base peak
	m/z=202	$[M - NO]H^+$	

2-(1-Acetyl-2-oxopropyl)-5-nitrobenzonitrile may be prepared as described in the literature (Shinkai, H.; Ito, T.; Iida, T.; Kitao, Y.; Yamada, H.; Uchida, I. *J. Med. Chem.* 2000, *43* (24), 4667-4677).

- The products in which C represents a radical [1-(2-dimethylaminoethyl)-1H-indol-5-yl]amino may be prepared by alkylation of the corresponding products in which C represents a radical (1H-indol-5-yl)amino, which are themselves prepared by carrying out the procedure as in Example 185, by carrying out the procedure under the conditions below:

0.2 mmol of the product in which C represents a radical (1H-indol-5-yl)amino is added to a suspension of 0.22 mmol of sodium hydride in 1.5 ml of DMF under argon. The reaction mixture is stirred at room temperature until the gaseous emission ceases. A solution of 0.22 mmol of dimethylaminoethyl chloride in 0.5 ml of DMF is then added, which solution is itself obtained by adding 0.22 mmol of dimethylaminoethyl chloride hydrochloride to a suspension of 0.24 mmol of sodium hydride in DMF. When the reaction is complete, the reaction mixture is hydrolysed with a saturated aqueous ammonium chloride solution. The aqueous phase thus obtained is extracted with dichloromethane and the organic phase is dried over magnesium sulphate and then concentrated under reduced pressure. The corresponding product in which C represents a radical [1-(2-dimethylaminoethyl)-1H-indol-5-yl]amino is thus obtained after purification on silica.

- The products in which C represents a radical [1-(2-dimethylaminoethyl)-1H-indol-5-yl]amino may also be prepared from the corresponding products in which C represents a radical C[1-(2-hydroxyethyl)-1H-indol-5-yl]amino by carrying out the procedure under the conditions below:

0.24 mmol of triethylamine and 0.22 mmol of methanesulphonyl chloride are added to a solution of 0.2 mmol of the product in which C represents a radical ([1-(2-hydroxyethyl)-1H-indol-5-yl]amino in 3 ml of a dichloromethane-THF (2/1 by volume) mixture. After completion of the reaction and concentration under reduced pressure, the residue obtained is hydrolysed by adding water and the pH of the aqueous phase is brought to 8 by adding an aqueous solution of ammonium hydroxide at 28%. The resulting precipitate is recovered by filtration, dried, and then redissolved in

3 ml of methanol and supplemented with 1 ml of a 2M solution of dimethylamine in methanol. After heating at 80°C for 15 hours in an autoclave, the reaction mixture is concentrated under reduced pressure and then purified to give the product in which C represents a radical [1-(2-dimethylaminoethyl)-1H-indol-5-yl]amino.

- The products in which C represents a radical ([1-(2-hydroxyethyl)-1H-indol-5-yl]amino are themselves prepared by carrying out the procedure as in Example 185 from 5-amino-1-(2-hydroxyethyl)indole, which can itself be prepared by carrying out the procedure under the following conditions:

5-Nitro-1-(2-hydroxyethyl)indole may be prepared in the following manner: a solution of 1 mmol of 5-nitro-1-(2-tert-butyldimethylsilyloxyethyl)indole in 2 ml of methanol containing 120 µl of a concentrated aqueous hydrochloric acid solution (37% w/w) is stirred at room temperature for 15 hours. The reaction mixture is then supplemented with 1 ml of an aqueous solution of ammonium hydroxide at 28% and then concentrated under reduced pressure. 5-Nitro-1-(2-hydroxyethyl)indole is thus obtained after purification on silica.

5-Nitro-1-(2-tert-butyldimethylsilyloxyethyl)indole may be prepared in the following manner: 1 mmol of 5-nitroindole is added to a suspension of 1.1 mmol of sodium hydride in 2 ml of DMF under argon. The reaction mixture is stirred at room temperature until the gaseous emission ceases and then 1.2 mmol of (2-bromoethoxy)-tert-butyldimethylsilane are added. When the reaction is complete, the reaction mixture is hydrolysed with a saturated aqueous ammonium chloride solution. The aqueous phase thus obtained is extracted with dichloromethane and the organic phase is dried

over magnesium sulphate and then concentrated under reduced pressure. 5-Nitro-1-(2-tert-butyldimethylsilyl-oxyethyl)indole is thus obtained after purification on silica.

- The products in which C represents a radical 4-[2-(pyrrolidin-1-yl)ethyl]piperazin-1-yl (A14) or 4-[2-(pyrrolidin-1-yl)ethyl]homopiperazin-1-yl (A15) or 4-[2-(1H-imidazol-1-yl)ethyl]homopiperazin-1-yl (A16) or 4-[2-(1H-imidazol-1-yl)ethyl]piperazin-1-yl (A17), or 4-[3-(1H-imidazol-1-yl)propyl]homopiperazin-1-yl (A18) or 4-[3-(1H-imidazol-1-yl)propyl]piperazin-1-yl (A19) or 4-[2-(2-phenyl-1H-imidazol-1-yl)ethyl]homo-piperazin-1-yl (A20), or 4-[2-(2-phenyl-1H-imidazol-1-yl)ethyl]piperazin-1-yl (A21), or 4-[3-(2-phenyl-1H-imidazol-1-yl)propyl]homopiperazin-1-yl (A22), or 4-[3-(2-phenyl-1H-imidazol-1-yl)propyl]piperazin-1-yl (A23), or 4-[2-(morpholin-1-yl)ethyl]homopiperazin-1-yl (A24) or 4-[2-(morpholin-1-yl)ethyl]piperazin-1-yl (A25) or 4-[3-(morpholin-1-yl)propyl]homopiperazin-1-yl (A26) or 4-[3-(morpholin-1-yl)propyl]piperazin-1-yl (A27) or 4-[2-(1H-imidazo[4,5b]pyridin-1-yl)ethyl]homopiperazin-1-yl (A28) or 4-[2-(1H-imidazo[4,5b]pyridin-1-yl)ethyl]piperazin-1-yl (A29) or 4-[3-(1H-imidazo-[4,5b]pyridin-1-yl)propyl]homopiperazin-1-yl (A30) or 4-[3-(1H-imidazo[4,5b]pyridin-1-yl)propyl]piperazin-1-yl (A31) or 4-[2-(1H-benzoimidazol-1-yl)ethyl]homo-piperazin-1-yl (A32) or 4-[2-(1H-benzoimidazol-1-yl)ethyl]piperazin-1-yl (A33) or 4-[3-(1H-benzoimidazol-1-yl)propyl]homopiperazin-1-yl (A34) or 4-[3-(1H-benzoimidazol-1-yl)propyl]piperazin-1-yl (A35) or 4-[2-(2-hydroxymethyl-1H-benzoimidazol-1-yl)ethyl]-homopiperazin-1-yl (A36) or 4-[2-(2-hydroxymethyl-1H-benzoimidazol-1-yl)ethyl]piperazin-1-yl (A37) or 4-[3-(2-hydroxymethyl-1H-benzoimidazol-1-yl)propyl]homo-piperazin-1-yl (A38) or 4-[3-(2-hydroxymethyl-1H-benzoimidazol-1-yl)propyl]piperazin-1-yl (A39) or 4-[2-

(1H-imidazol-2-yl)aminoethyl]homopiperazin-1-yl (A40) or 4-[2-(1H-imidazol-2-yl)aminoethyl]piperazin-1-yl (A41) or 4-[3-(1H-imidazol-2-yl)aminopropyl]homopiperazin-1-yl (A42) or 4-[3-(1H-imidazol-2-yl)aminopropyl]piperazin-1-yl (A43) or 4-{2-[2-(1H-imidazol-2-yl)-1-hydroxymethylethyl]aminoethyl}homopiperazin-1-yl (A44) or 4-{2-[2-(1H-imidazol-2-yl)-1-hydroxymethylethyl]aminoethyl}piperazin-1-yl (A45) or 4-{3-[2-(1H-imidazol-2-yl)-1-hydroxymethylethyl]aminopropyl}homopiperazin-1-yl (A46) or 4-{3-[2-(1H-imidazol-2-yl)-1-hydroxymethylethyl]aminopropyl}-piperazin-1-yl (A47).

The amines necessary for the introduction of the type A radicals of general formula (1b) are

- either commercially available:
  - o 1-(2-pyrrolidinoethyl)piperazine (A14)
  - o 1-(2-morpholinoethyl)piperazine (A25)
  - o 1-(3-morpholinopropyl)piperazine (A27)

- or prepared as described in the literature:
  - o 1-(2-1H-imidazolo-1-ethyl)piperazine (A17) according to WO 01/96323
  - o 1-(3-1H-imidazolo-1-propyl)piperazine (A19) according to Eur. Pat. Appl. EP 350145

- or prepared as below:

It is expected that the products may be advantageously prepared by parallel solid phase synthesis. In this case, it is particularly advantageous to first produce the group A, by carrying out the procedure in the following manner:

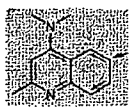
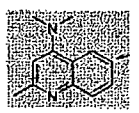
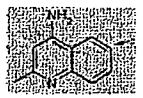
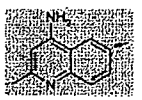
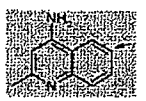
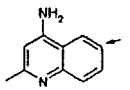
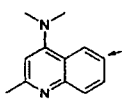
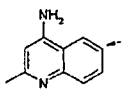
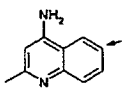
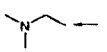
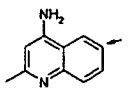
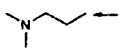
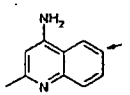
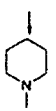
- o 5 g of Wang resin substituted with an imidazolecarbonyl group (prepared according to Wang

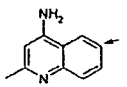
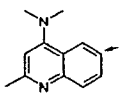
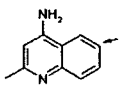
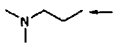
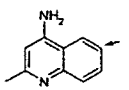
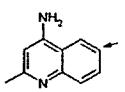
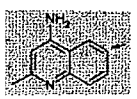
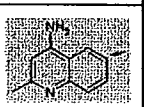
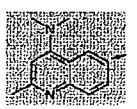
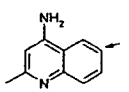
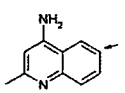
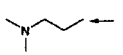
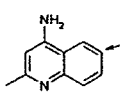
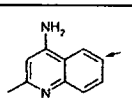
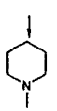
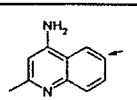
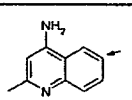
and Hauske Tetrahedron Letters, 1997, 37, 6529-32), 50 ml of tetrahydrofuran and 1.44 g of 2-(1,4-diazepan-1-yl)ethan-1-ol are successively added to a 100 ml three-necked flask. The reaction is stirred with a magnetic stirrer. After 14 hours, the medium is filtered and successively washed with THF six times. The product obtained above is added to a 50 ml three-necked flask. 50 ml of pyridine and 1.91 g of para-toluenesulphonyl chloride are successively added. The reaction is stirred with a magnetic stirrer. After 14 hours, the medium is filtered and successively washed with pyridine once and then with THF six times. The product obtained above is added to a 50 ml three-necked flask. 50 ml of DMF and 1.2 g of imidazole are successively added. The reaction is stirred with a magnetic stirrer while heating to around 80°C. After 14 hours, the medium is filtered and successively washed with DMF twice and then with THF six times. The product obtained above is added to a 25 ml round-bottomed flask. 25 ml of TFA are added. The medium is stirred. After 1.5 hours, the reaction is filtered. The filtrate is kept and the solid is washed with 20 ml of CH_2Cl_2. The filtrates are mixed and dried. The TFA salt of 4-[2-(1H-imidazol-1-yl)ethyl]homopiperazin-1-yl (A16) is obtained.

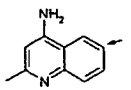
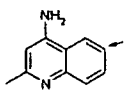
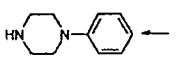
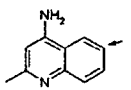
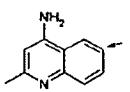
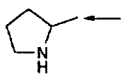
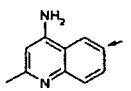
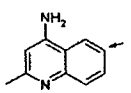
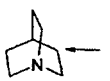
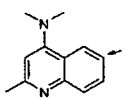
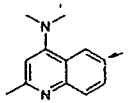
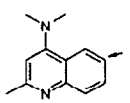
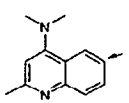
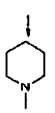
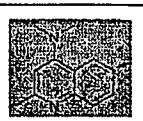
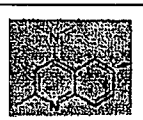
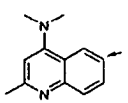
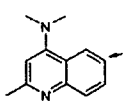
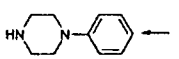
Example 204

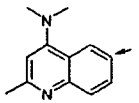
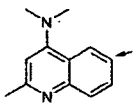
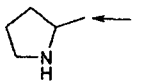
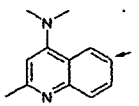
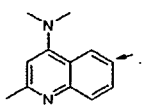
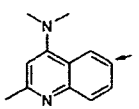
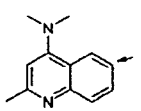
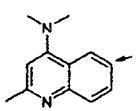
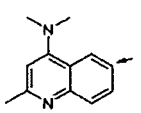
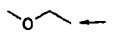
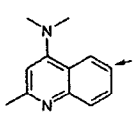
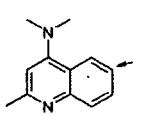
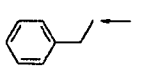
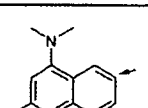
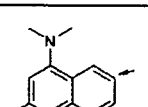
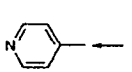
The G-quartet, antitelomerase and cytotoxic activities of the various exemplified compounds 1 to 176, given in Table 1, are determined according to the procedures described above.

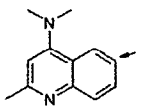
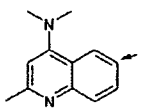
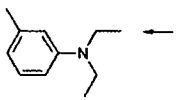
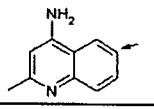
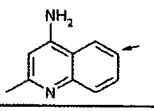
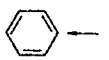
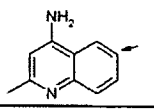
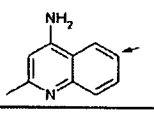
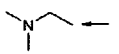
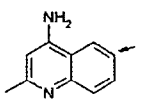
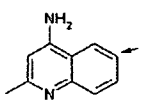
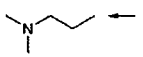
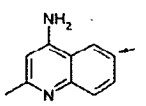
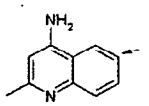
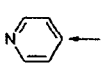
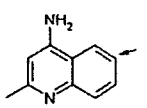
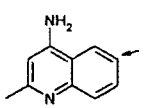
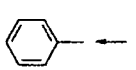
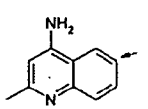
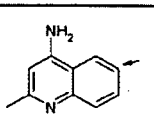
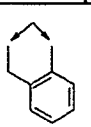
TABLE 1

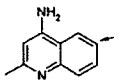
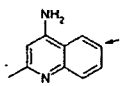
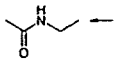
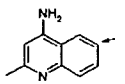
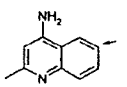
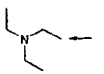
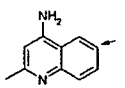
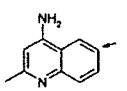
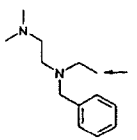
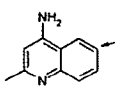
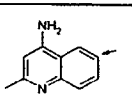
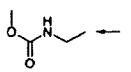
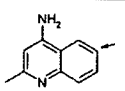
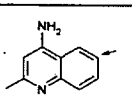
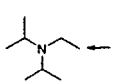
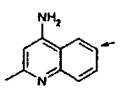
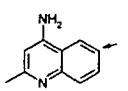
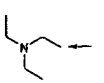
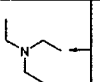
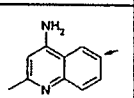
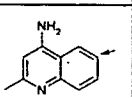
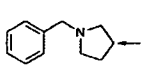
Ex	Ar1	R3	Ar2	R'3	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
1		H		H	N		H	11,3	0,55	0,23
2		H		H	N		H	18	0,06	10
3		H		H	N		H	5,5	2,1	6
4		H		H	N		H	5,5	3	
5		H		H	N		H	2,0	2,7	
6		H		H	N		H		3,5	
7		H		H	N		H	3,0	2,4	

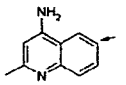
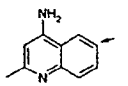
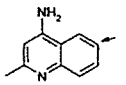
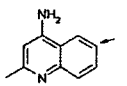
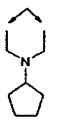
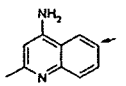
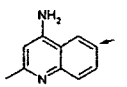
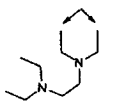
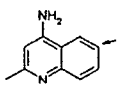
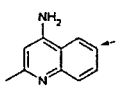
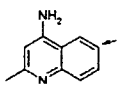
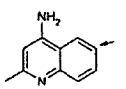
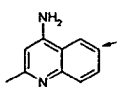
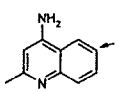
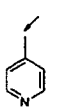
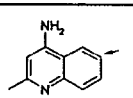
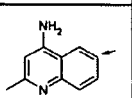
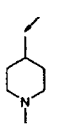
Ex	Ar1	R3	Ar2	R'3	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
8		H		H	N			1,5	3,2	
9		H		H	N		H	10,0	0,1	
10		H		H	N		H	8,9	0,36	
11		H		H	N		H	11,1	0,16	
12		H		H	N		H	9,4	0,3	
13		H		H	N		H	7,2	0,26	
14		H		H	N			4,4	0,21	

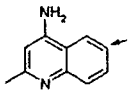
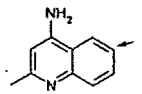
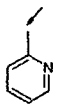
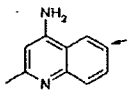
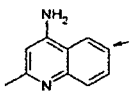
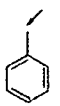
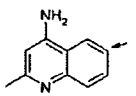
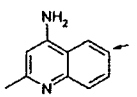
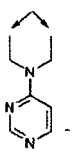
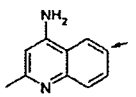
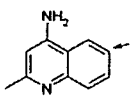
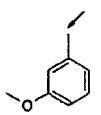
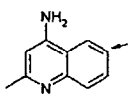
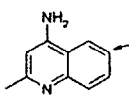
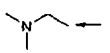
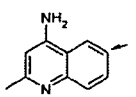
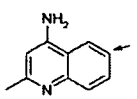
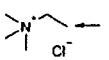
Ex	Ar1	R3	Ar2	R'3	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
15		H		H	N		H	2,7	0,19	1,85
16		H		H	N		H	7,3	0,08	
17		H		H	N		H	17,1	0,1	2,73
18		H		H	N		H	2,4	1,2	2,86
19		H		H	N		H	3,5	0,53	0,3
[illegible]		[illegible]		[illegible]	[illegible]			[illegible]	[illegible]	[illegible]
21		H		H	N		H	11,0		

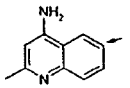
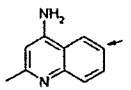
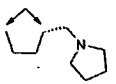
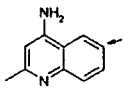
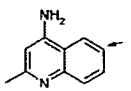
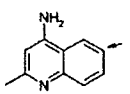
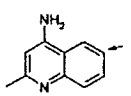
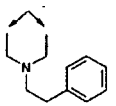
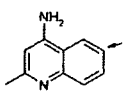
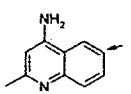
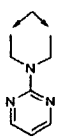
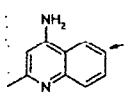
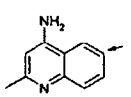
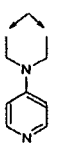
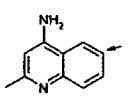
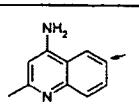
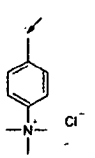
Ex	Ar1	R3	Ar2	R'3	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
22		H		H	N		H	2,7	0,34	
23		H		H	N			9,2	3,0	
24		H		H	N			9,7	1,6	
25		H		H	N		H	4,2	2,2	
26		H		H	N		H	4,8	1,1	
27		H		H	N		H	11,0	0,6	1.0

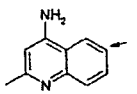
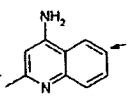
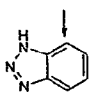
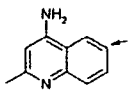
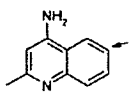
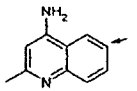
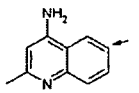
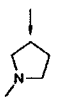
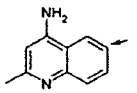
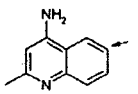
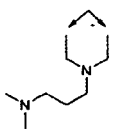
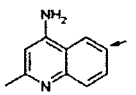
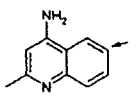
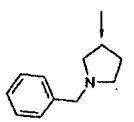
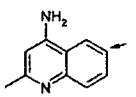
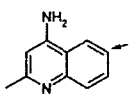
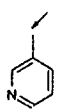
Ex	Ar1	R3	Ar2	R'3	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
28		H		H	N		H	10,6	0,9	1.5
29		H		H	O		no	20,3 4.5	0,32 0.47	
30		H		H	O		no	6,8 15.5	1,1 0.24	
31		H		H	O		no	15,3	0,3	
32		H		H	O		no	10,0	0,3	
33		H		H	O		no	10,0	0,4	
34		H		H	N			5.0	0.68	15

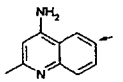
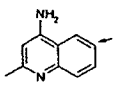
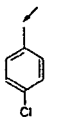
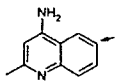
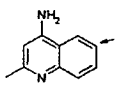
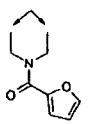
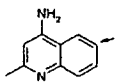
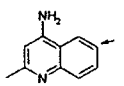
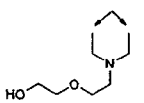
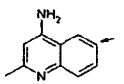
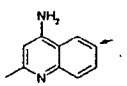
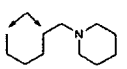
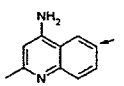
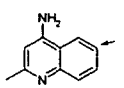
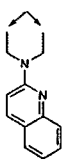
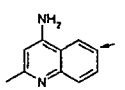
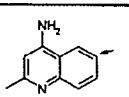
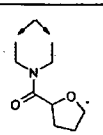
Ex	Ar1	R3	Ar2	R'3	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
35		H		H	N		H	11.0	0.5	
36		H		H	N		H	17.5	0.24	
37		H		H	N		H	17.5	0.23	
38		H		H	N		H	9.5	1.0	
39		H		H	N		H	19.5	0.23	
40		H		H	N	 		19	0.23	
41		H		H	N		H	19	0.36	9.9

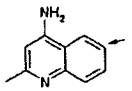
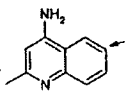
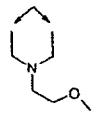
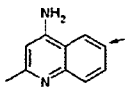
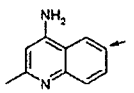
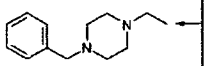
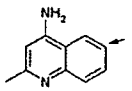
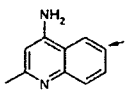
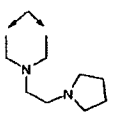
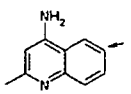
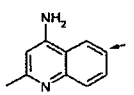
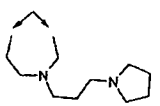
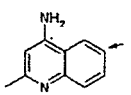
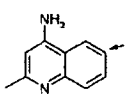
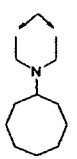
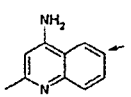
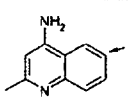
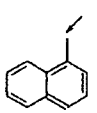
Ex	Ar1	R3	Ar2	R'3	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
42		H		H	N			15.5	0.05	
43		H		H	N			18	0.18	10
44		H		H	N			17	0.1	
45		H		H	N		H	16.5	0.33	
46		H		H	N		H	18.5	1	
47		H		H	N		H	8	0.2	
48		H		H	N		Me	14	0.1	

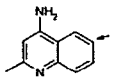
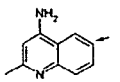
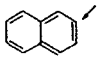
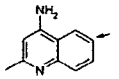
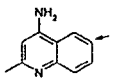
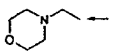
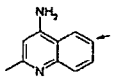
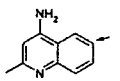
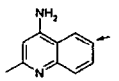
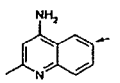
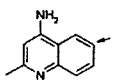
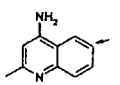
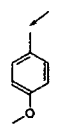
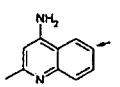
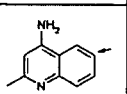
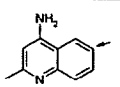
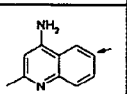
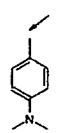
Ex	Ar1	R3	Ar2	R'3	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
49		H		H	N		H	10	1	
50		H		H	N		H	7	0.22	
51		H		H	N			11	0.26	
52		H		H	N		H	6.5	1.3	
53		H		H	N		Et	15.5	0.2	
54		H		H	N		H	16	0.17	

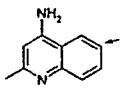
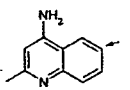
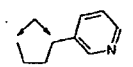
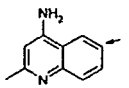
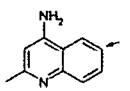
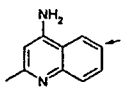
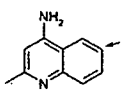
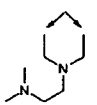
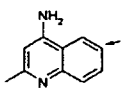
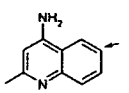
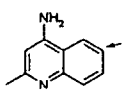
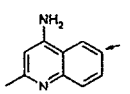
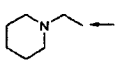
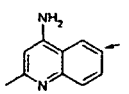
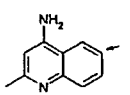
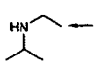
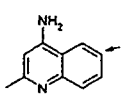
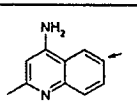
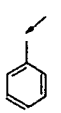
Ex	Ar1	R3	Ar2	R'3	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
55		H		H	N			15.5	0.21	
56		H		H	N		H	2.5	0.35	
57		H		H	N			8	0.3	
58		H		H	N			6	0.22	
59		H		H	N			11	0.19	
60		H		H	N		H	6	3.0	5.7

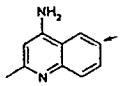
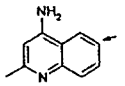
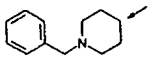
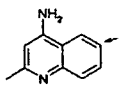
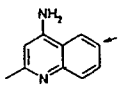
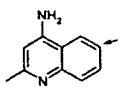
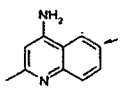
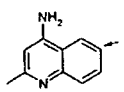
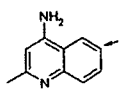
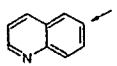
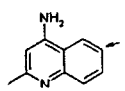
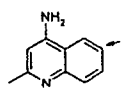
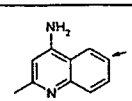
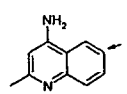
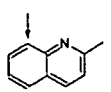
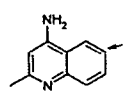
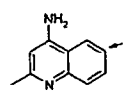
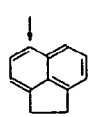
Ex	Ar1	R3	Ar2	R'3	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
61		H		H	N		H		0.36	
62		H		H	N		H	7.5	1.2	
63		H		H	N		Me	11.5	0.19	
64		H		H	N			12	0.09	
65		H		H	N		Me	12.5	1	
66		H		H	N		H	9	0.28	

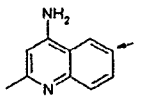
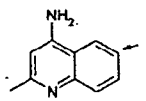
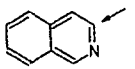
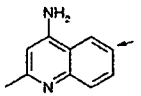
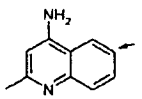
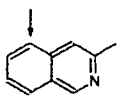
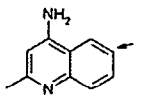
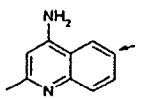
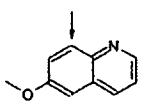
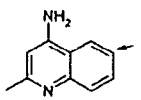
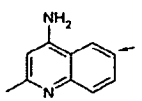
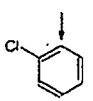
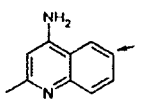
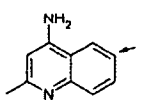
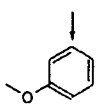
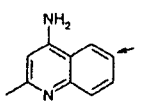
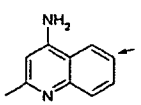
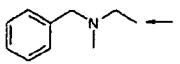
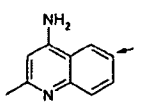
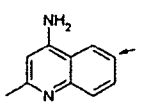
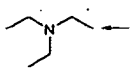
Ex	Ar1	R3	Ar2	R'3	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
67		H		H	N		H	6.5	0.43	
68		H		H	N			8	0.3	11.5
69		H		H	N			18	0.16	
70		H		H	N			9.5	0.56	
71		H		H	N				0.35	12.4
72		H		H	N			9.5	0.21	

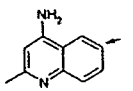
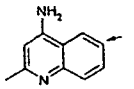
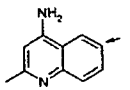
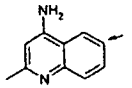
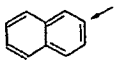
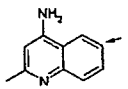
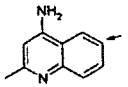
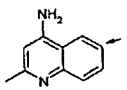
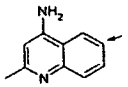
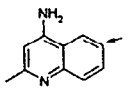
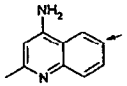
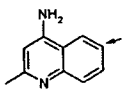
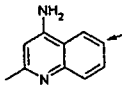
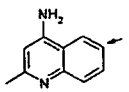
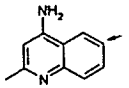
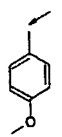
Ex	Ar1	R3	Ar2	R'3	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
73		H		H	N			9.5	0.37	
74		H		H	N		H	9.5	0.14	
75		H		H	N			13.5	0.05	
76		H		H	N			19	0.11	
77		H		H	N			12.5	0.48	5.6
78		H		H	N		H		1.5	

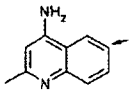
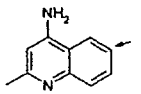
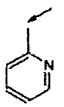
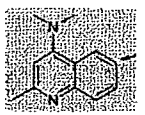
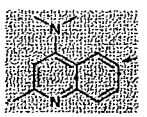
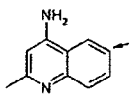
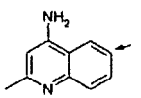
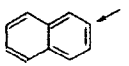
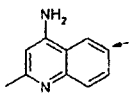
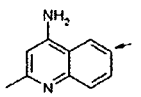
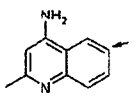
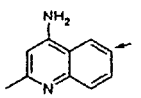
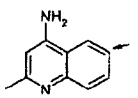
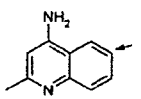
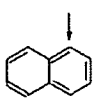
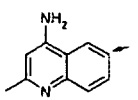
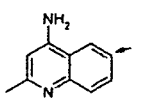
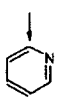
Ex	Ar1	R3	Ar2	R'3	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
79		H		H	N		H		3.6	
80		H		H	N		H		1.2	
81		H		H	N		H		1.4	
82		H		H	N		H		1.6	
83		H		H	N		H		1.2	14
84		H		H	N		H		2.2	
85		H		H	N		H		3.9	16

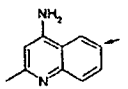
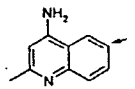
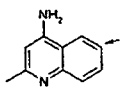
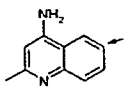
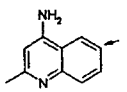
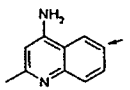
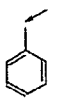
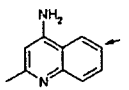
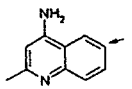
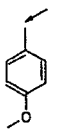
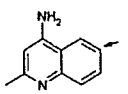
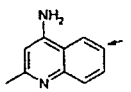
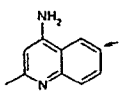
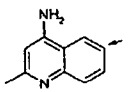
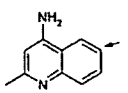
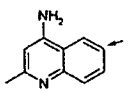
Ex	Ar1	R3	Ar2	R'3	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
86		H		H	N			6	0.2	18.9
87		H		H	N		H		1.5	
88		H		H	N			6	0.11	
89		H		H	N		H		1.2	20
90		H		H	N		H	11	0.37	
91		H		H	N		H	7	0.37	
92		H		H	N			3.5	0.43	7.8

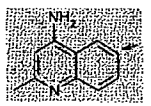
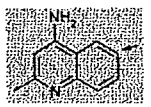
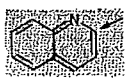
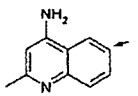
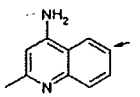
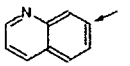
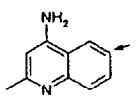
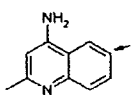
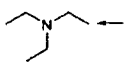
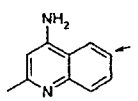
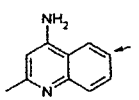
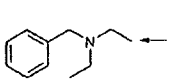
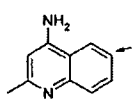
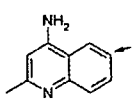
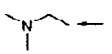
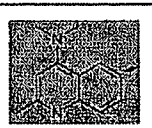
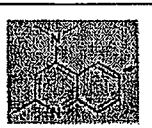
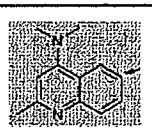
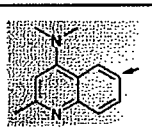
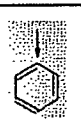
Ex	Ar1	R3	Ar2	R'3	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
93		H		H	N		H	8	0.37	9.3
94		H		H	N		H		0.94	
95		H		H	N		H		0.93	
96		H		H	N		H		0.48	
97		H		H	N		H		0.69	
98		H		H	N		H	4	0.35	
99		H		H	N		H		0.6	

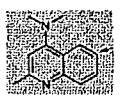
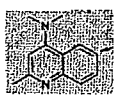
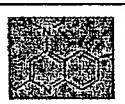
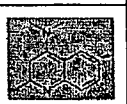
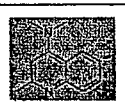
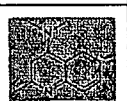
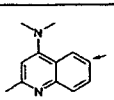
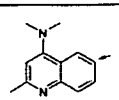
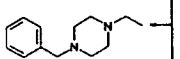
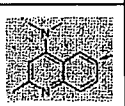
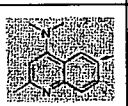
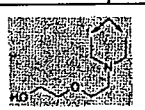
Ex	Ar1	R3	Ar2	R'3	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
100		H		H	N		H		0.45	
101		H		H	N		H		0.81	
102		H		H	N		H		0.57	
103		H		H	O		no	7	0.28	11.34
104		H		H	O		no	4.5	1.2	11.37
105		H		H	O		no	12	0.24	11.57
106		H		H	O		no	14.5	0.28	

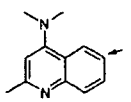
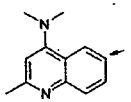
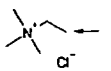
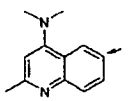
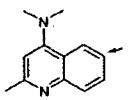
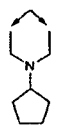
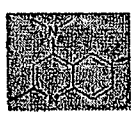
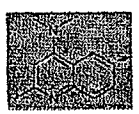
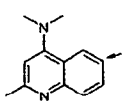
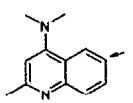
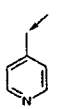
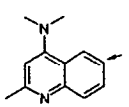
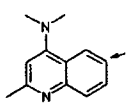
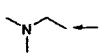
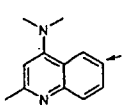
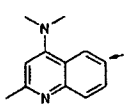
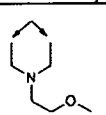
Ex	Ar1	R3	Ar2	R'3	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
107		H		H	O		no	4.5	0.37	
108		H		H	O		no	3	0.37	
109		H		H	O		no	4.5	0.34	
110		H		H	O		no	20.5	0.61	
111		H		H	O		no	23.5	0.48	
112		H		H	O		no	15	0.32	
113		H		H	O		no	6	0.53	

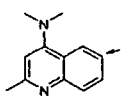
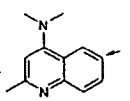
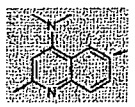
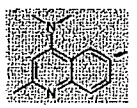
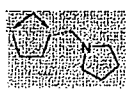
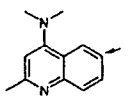
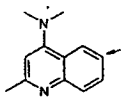
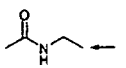
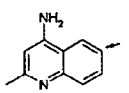
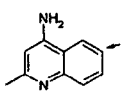
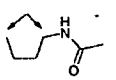
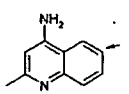
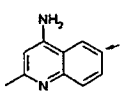
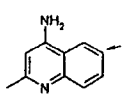
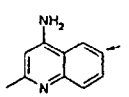
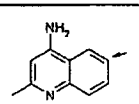
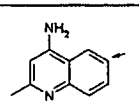
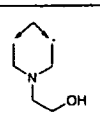
Ex	Ar1	R3	Ar2	R'3	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
114		H		H	O		no	13.5	1.26	
115		H		H	O		no	13	0.5	1.6
116		H		H	S		no		1.8	
117		H		H	S		no	10.5 4.5	1.2 10	19.5
118		H		H	S		no	3.5	1.4	13.7
119		H		H	S		no	4.5		
120		H		H	S		no	11.5	0.38	17.8

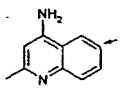
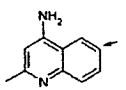
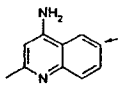
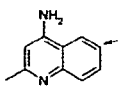
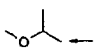
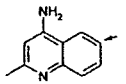
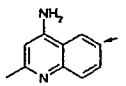
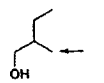
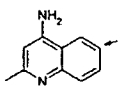
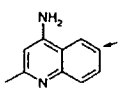
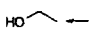
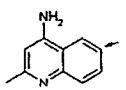
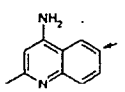
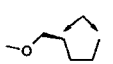
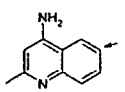
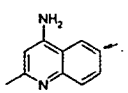
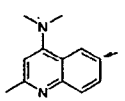
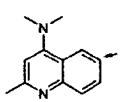
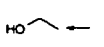
Ex	Ar1	R3	Ar2	R'3	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
121		H		H	S		no	4.5 12.5	0.4 0.36	
122		H		H	S		no	13.5	0.37	
123		H		H	S		no	3	1.3	11.6
124		H		H	S		no	3	0.9	6.2
125		H		H	S		no	14.5	0.36	
126		H		H	S		no	19	0.67	11.4
127		H		H	S		no	14	0.84	15.9

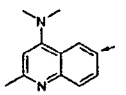
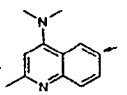
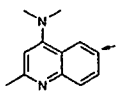
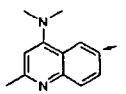
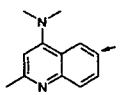
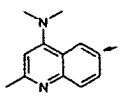
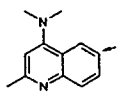
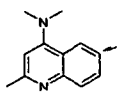
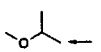
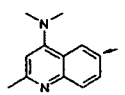
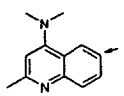
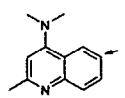
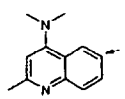
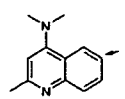
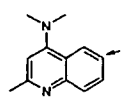
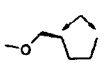
Ex	Ar1	R3	Ar2	R'3	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
128		H		H	S		no	15	0.39	2.86
129		H		H	S		no	15.5	0.82	
130		H		H	S		no	9	0.3	
131		H		H	S		no	4.5	0.91	
132		H		H	S		no	12.5	0.4	
133		H		H	O		no	25	0.18	
134		H		H	no		no	8	0.3	0.88

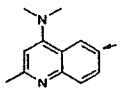
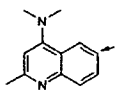
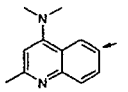
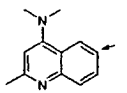
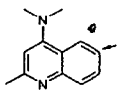
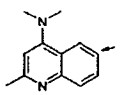
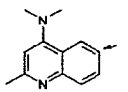
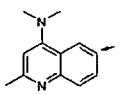
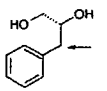
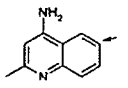
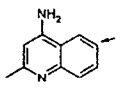
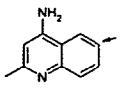
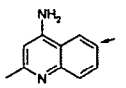
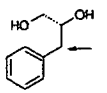
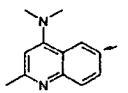
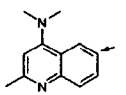
Ex	Ar1	R3	Ar2	R'3	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
[illegible]		[illegible]		[illegible]	[illegible]			[illegible]	[illegible]	[illegible]
[illegible]		[illegible]		[illegible]	[illegible]			[illegible]	[illegible]	[illegible]
[illegible]		[illegible]		[illegible]	[illegible]			16	[illegible]	0.15
[illegible]		[illegible]		[illegible]	[illegible]			[illegible]	[illegible]	[illegible]
[illegible]		[illegible]		[illegible]	[illegible]			[illegible]	[illegible]	[illegible]
140		H		H	N		H	5.5	1	0.512
[illegible]		[illegible]		[illegible]	[illegible]			[illegible]	[illegible]	[illegible]

Ex	Ar1	R3	Ar2	R'3	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
142		H		H	N		H	9.5	1	1.56
143		H		H	N			13	0.4	0.52
144		H		H	N			22	0.5	0.15
145		H		H	N		H	5	1	0.42
146		H		H	N		Et	6	0.6	0.175
147		H		H	N				1	0.21

Ex	Ar1	R3	Ar2	R'3	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
148		H		H	N			12	1.5	1.5
149		H		H	N			12.5	2.5	0.096
150		H		H	N		H	6.5	2.5	2.47
151		H		H	N			6.5	0.38	
152		H		H	N			9.5	0.47	
153		H		H	N			10	0.41	
154		H		H	N			19	0.2	

Ex	Ar1	R3	Ar2	R'3	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
155		H		H	N			14	0.39	
156		H		H	N		H	14.5	1.2	
157		H		H	N		H	12.5	1.4	
158		H		H	N		H	8	0.85	
159		H		H	N			10.5	0.43	
160		H		H	N			10.5	0.36	
161		H		H	N			12	1.9	

Ex	Ar1	R3	Ar2	R'3	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
162		H		H	N			17	1.7	
163		H		H	N			13	1.2	
164		H		H	N			12.5	1.6	
165		H		H	N		H	6.5	1.4	0.52
166		H		H	N		H	12.5	1.4	0.59
167		H		H	N		H	6	1.1	
168		H		H	N			16.5	1.1	

Ex	Ar1	R3	Ar2	R'3	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
169		H		H	N			16.5	0.7	
170		H		H	N		H	3	1.3	
171		H		H	N		H	13	0.49	
172		H		H	N		H	20	0.33	0.3
173		H		H	N		H	11.5	0.62	
174		H		H	N		H	6	0.26	9.7
175		H		H	N		H	3	3	

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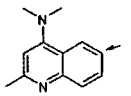
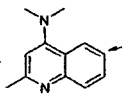
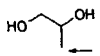
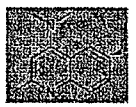
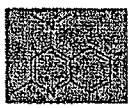
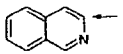
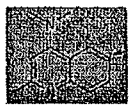
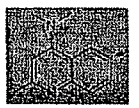
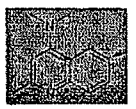
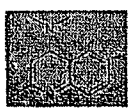
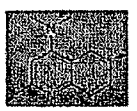
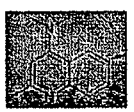
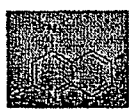
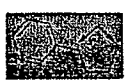
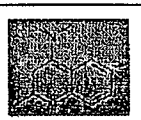
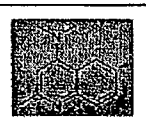
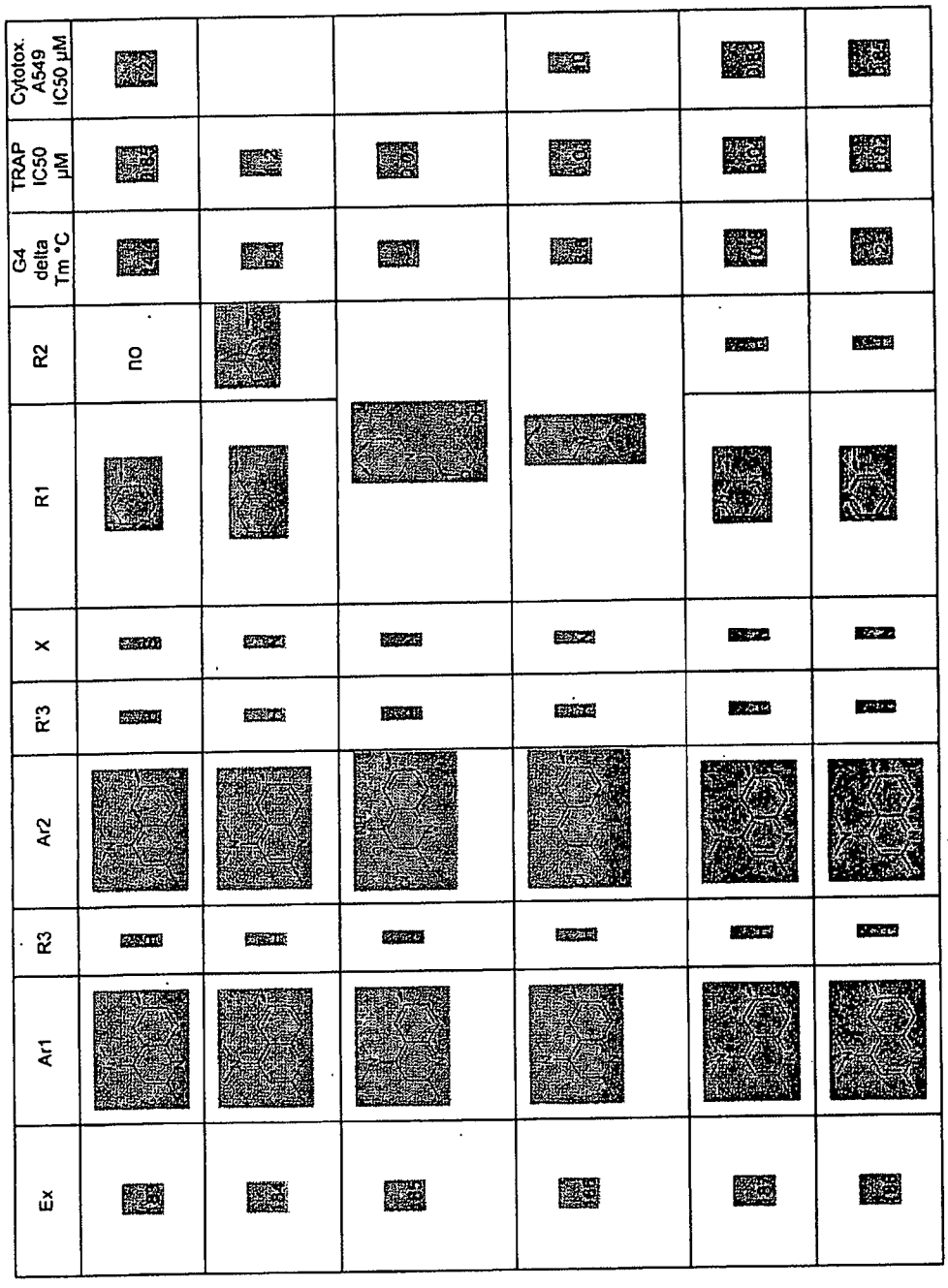
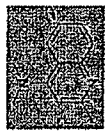
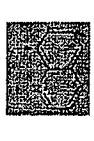
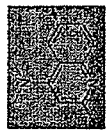
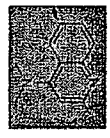
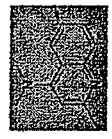
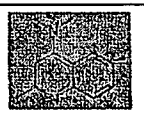
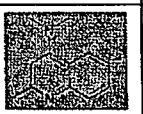
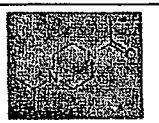
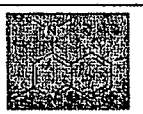
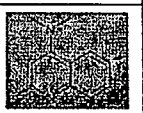
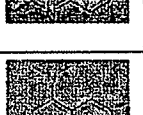
176		H		H	N		H	6	3	
							no			
										
										
										
										
										


Cytotox. A549 IC50 µM
TRAP IC50 µM
G4 delta Tm °C
R2
R1
X
R'3
Ar2
R3
Ar1
Ex
no

Ex	Ar1	R3	Ar2	R3'	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
1										
2										
3										
4										
5										
6										
7										

Ex	Ar1	R3	Ar2	R'3	X	R1	R2	G4 delta Tm °C	TRAP IC50 µM	Cytotox. A549 IC50 µM
95							no			
96										
98										
99										
100										
101										
102										

THE CLAIMS DEFINING THE INVENTION ARE AS FOLLOWS:

1. A compound which binds a G-quadruplex structure of DNA or RNA, wherein the compound corresponds to the following formula:

nitrogen-containing aromatic ring-NR_3-distribution agent-NR'_3-aromatic ring

in which: the nitrogen-containing aromatic ring represents: a quinolinyl or isoquinolinyl radical optionally substituted with at least one radical chosen from among: N(Ra)(Rb), wherein Ra and Rb are identical or different and represent hydrogen or C1-C4 alkyl radical, and a short-chain C1-C4 alkoxy or alkyl group, a quinolinyl or isoquinolinyl radical possessing a nitrogen atom in quaternary form, or a pyridinyl radical; or wherein the nitrogen-containing ring is replaced by a benzimidinyl radical; the aromatic ring represents: a quinolinyl radical optionally substituted with at least one radical chosen from among: N(Ra)(Rb), wherein Ra and Rb are identical or different and represent hydrogen or C1-C4 alkyl radical, and a short-chain C1-C4 alkoxy or alkyl group, a quinolinyl radical possessing a nitrogen atom in quaternary form, a benzamidinyl radical, a pyridinyl radical, a phenyl ring optionally substituted with a halogen chosen from iodine, bromine or fluorine, C1-C4 alkoxy group, cyano group, carbonylamino group optionally substituted with one or more C1-C4 alkyl groups, guanyl group, C1-C4 alkylthio group, amino group, C1-C4 alkylamino group, C1-C4 dialkylamino group for each alkyl, C1-C4 dialkylamino group for each alkyl in which the alkyl portions together form a C3-C8 ring, nitro group, C1-C4 alkyleneamino group, or C2-C4 alkenyleneamino group, or a mono- or bi- or tricyclic heterocyclic ring comprising 0 to 2 heteroatoms per ring wherein at least one heteroatom is present in at least one ring that is optionally substituted with one or more C1-C4 alkyl groups, C1-C4 alkylene groups, or C2-C4 alkenylene groups; R3 and R'3 are identical or different and represent, independently of one another, hydrogen or C1-C4 alkyl radical; the distribution agent represents: a triazine group, wherein the triazine group is a [1,3,5]triazine optionally substituted with: an aromatic ring as defined above, or a radical XR1(R2), where X represents a nitrogen to form NR1R2, a linear or branched C1-C6 alkyl radical to form alkR1R2, an oxygen to form OR1,

or a sulfur to form SR1, wherein R1 and R2, which are identical or different, are chosen from among hydrogen; a C1-C8 alkyl radical optionally substituted with one or more radicals which are identical or different; an aromatic ring as defined above; a quinuclidine radical; a pyrrolidinyl radical which is optionally substituted with an alkyl or phenylalkyl radical where alkyl is C1-C4 alkyl; a piperazinyl radical which is optionally substituted with an alkyl, cycloalkyl or phenylalkyl radical; a morpholinyl radical; a pyridyl radical or a piperidyl radical which are optionally substituted with one or more alkyl or phenylalkyl radicals where alkyl is C1-C4 alkyl; an indazolyl radical; a naphthyl radical; a benzotriazole radical; a pyrimidinyl radical optionally substituted with one or more C1-C4 alkyls; and an acenaphthene radical; or a radical where X represents N or alkyl, R1 and R2 are as defined above, and R1, R2 and X form a saturated or unsaturated 3- to 6-membered monocyclic or 8- to 10-membered bicyclic radical optionally containing one or two identical or different heteroatoms chosen from N, O or S; with the provisos that if X represents a nitrogen and R1 and R2 are identical, then R1 and R2 do not both represent hydrogen or unsubstituted C1-C4 alkyl, and if X represents a nitrogen and R1 and R2 are different, then one does not represent hydrogen and the other an unsubstituted C1-C4 alkyl;

or any salts, isomeric forms, racemates, enantiomers and diastereoisomers thereof.

2. The compound of claim 1, wherein one or both of R1 and R2 represents a C1-C8 alkyl radical optionally substituted with one or more radicals which are identical or different, chosen from among: an amino radical which is optionally substituted with one or two radicals which are identical or different, chosen from alkyl, hydroxyalkyl, alkoxyalkyl, phenylalkyl, carboxyalkyl, hydroxycarboxyalkyl, acyl, naphthyl, phenyl and alkylphenyl radicals; a trialkylammonium radical; a hydroxyl radical; a C1-C4 alkoxy radical; a thioalkoxy radical; a trifluoromethyl radical; a free, salified, esterified or amidated carboxyl radical; a pyrrolidinyl radical optionally substituted with C1-C4 alkyl; a piperidyl radical; a piperazinyl radical optionally substituted with alkyl or phenylalkyl where alkyl is C1-C4 alkyl; a morpholinyl radical; a pyridyl radical; and a naphthyl radical or phenyl radical

optionally substituted with one or more radicals chosen from C1-C4 alkoxy radicals, halogen or an amino radical optionally substituted as defined above.

3. The compound of claim 1, wherein the distribution agent represents a triazine group optionally substituted with: an aromatic ring as defined in claim 1, or a radical XR1(R2), where X represents a nitrogen to form NR1R2, a linear or branched C1-C6 alkyl radical to form alkR1R2, an oxygen to form OR1, or a sulfur to form SR1, wherein R1 and R2, which are identical or different, are chosen from: hydrogen; a C1-C8 alkyl radical optionally substituted with one or more radicals chosen from the radicals amino, alkylamino, dialkylamino, dialkoxyalkylamino, dihydroxyalkylamino, alkoxyalkylamino, hydroxyalkylamino, hydroxycarboxy-alkylamino, trialkylamino, naphthylamino, phenylamino, acylamino, (alkyl)(phenylalkyl)amino, (phenyl)(alkyl)amino, (alkylphenyl)(alkyl)amino, hydroxyl, C1-C4 alkoxy, C1-C4 thioalkoxy, trifluoromethyl, free, salified, esterified or amidated carboxyl, pyrrolidinyl optionally substituted with C1-C4 alkyl, piperidyl, piperazinyl optionally substituted with alkyl or phenylalkyl with alkyl as C1-C4, morpholinyl, pyridyl, naphthyl or phenyl optionally substituted with one or more radicals chosen from the radicals C1-C4 alkoxy, halogen, amino, alkylamino and dialkylamino; an aromatic ring as defined in claim 1; a quinuclidine radical; a pyrrolidinyl radical which is optionally substituted with an alkyl or phenylalkyl radical where alkyl is C1-C4 alkyl; a piperazinyl radical which is optionally substituted with an alkyl, cycloalkyl or phenylalkyl radical; a morpholinyl radical; a pyridyl radical or a piperidyl radical which are optionally substituted with one or more alkyl or phenylalkyl radicals with alkyl is C1-C4 alkyl; an indazolyl radical; a naphthyl radical; a benzotriazole radical; a pyrimidinyl radical optionally substituted with one or more C1-C4 alkyls; and an acenaphthene radical; or a radical where X represents N or alkyl, R1 and R2 are as defined above, and R1, R2 and X form a radical chosen from the following radicals: piperazinyl optionally substituted with one or more radicals which are identical or different; pyrrolidinyl optionally substituted with C1-C4 alkyl or alkoxy, hydroxyl, acylamino, pyrrolidinylalkyl and pyridyl; 1,2,3,4-tetrahydroisoquinolinyl; diazepine optionally substituted with alkyl or pyrrolidinylalkyl; piperidyl optionally substituted with alkyl, alkoxy or alkoxyalkyl,

hydroxyl and cycloalkylalkyl; morpholinyl; imidazolinyl optionally substituted with alkyl, with the provisos that if X represents a nitrogen and R1 and R2 are identical, then R1 and R2 do not both represent hydrogen or unsubstituted C1-C4 alkyl, and if X represents a nitrogen and R1 and R2 are different, then one does not represent hydrogen and the other an unsubstituted C1-C4 alkyl; or a diazine group, wherein the diazine group is optionally substituted with any of the groups defined above for the triazine group;

or any salts, isomeric forms, racemates, enantiomers and diastereoisomers thereof.

4. The compound of claim 1, wherein X in XR1(R2) is nitrogen, and one of R1 and R2 is as defined in claim 1 and the other of R1 and R2 represents hydrogen or C1-C4 alkyl radical optionally substituted with an amino, alkylamino, dialkylamino or phenyl radical; or R1, R2, and the nitrogen atom to which they are attached, form a piperazinyl radical optionally substituted with one or more radicals chosen from alkyl; aminoalkyl; alkylaminoalkyl; dialkylaminoalkyl; phenylalkyl; alkoxyalkyl; hydroxyalkyl; hydroxyalkoxyalkyl; alkoxy; pyrrolidinylalkyl; C3-C8 cycloalkyl; pyrazinyl; pyrimidinyl; pyridyl; furylcarbonyl; furfurylcarbonyl; quinolyl; pyrrolidinyl optionally substituted with C1-C4 alkyl, C1-C4 alkoxy, hydroxyl, acylamino, pyrrolidinylalkyl, or pyridyl; 1,2,3,4-tetrahydroisoquinolinyl; diazepine optionally substituted with alkyl or pyrrolidinylalkyl; piperidyl optionally substituted with alkyl, alkoxy or alkoxyalkyl; hydroxyl; cycloalkylalkyl; morpholinyl; and imidazolinyl optionally substituted with alkyl.

5. The compound of claim 1, wherein the compound corresponds to the following formula:

nitrogen-containing aromatic ring-NR_3-distribution agent-NR'_3-aromatic ring

in which the nitrogen-containing aromatic ring represents: a quinolinyl or isoquinolinyl radical optionally substituted with at least one radical chosen from among: N(Ra)(Rb), wherein Ra and Rb are identical or different and represent

hydrogen or C1-C4 alkyl radical, and a short-chain C1-C4 alkoxy or alkyl group, a quinolinyl radical possessing a nitrogen atom in quaternary form, or a pyridinyl radical; or wherein the nitrogen-containing ring is replaced by a benzimidinyl radical; the aromatic ring represents: a quinolinyl radical optionally substituted with at least one radical chosen from among: N(Ra)(Rb), wherein Ra and Rb are identical or different and represent hydrogen or C1-C4 alkyl radical, and a short-chain C1-C4 alkoxy or alkyl group, a quinolinyl radical possessing a nitrogen atom in quaternary form, a benzamidinyl radical, a pyridinyl radical, a phenyl ring optionally substituted with a halogen chosen from iodine, bromine or fluorine, C1-C4 alkoxy group, cyano group, carbonylamino group optionally substituted with one or more C1-C4 alkyl groups, guanyl group, C1-C4 alkylthio group, amino group, C1-C4 alkylamino group, C1-C4 dialkylamino group, C1-C4 dialkylamino group in which the alkyl portions together form a C3-C8 ring, nitro group, C1-C4 alkyleneamino group, or C2-C4 alkenyleneamino group, or a mono- or bi- or tricyclic heterocyclic ring comprising 0 to 2 heteroatoms per ring wherein at least one heteroatom is present in at least one ring that is optionally substituted with one or more C1-C4 alkyl groups, C1-C4 alkylene, or C2-C4 alkenylene groups; R3 and R'3 are identical or different and represent, independently of one another, hydrogen or C1-C4 alkyl radical; the distribution agent represents: a triazine group, wherein the triazine group is a [1,3,5]triazine optionally substituted with: a radical XR1(R2), where X represents a nitrogen to form NR1R2, a linear or branched C1-C6 alkyl radical to form alkR1R2, an oxygen to form OR1, or a sulfur to form SR1, wherein R1 and R2, which are identical or different, are chosen from among hydrogen; C1-C8 alkyl optionally substituted with a radical chosen from amino, alkylamino, dialkylamino, (phenyl)(alkyl)amino, (alkylphenyl)(alkyl)-amino, C1-C4 alkoxy, C1-C4 thioalkoxy, trifluoromethyl, pyrrolidiny, piperidyl, piperazinyl, morpholinyl, pyridyl and phenyl; an aromatic ring as defined in claim 1, a quinuclidine radical; a pyrrolidinyl, piperazinyl, morpholinyl, pyridyl or a piperidyl radical optionally substituted with C1-C4 alkyl; or a radical where X represents N or alkyl, R1 and R2 are as defined above, and R1, R2 and X form a saturated or unsaturated 3- to 6-membered monocyclic or 8- to 10-membered bicyclic radical optionally containing one or two identical or different heteroatoms chosen from N, O or S; with the provisos that if X

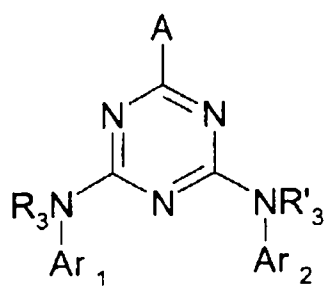
represents a nitrogen and R1 and R2 are identical, then R1 and R2 do not both represent hydrogen or unsubstituted C1-C4 alkyl, and if X represents a nitrogen and R1 and R2 are different, then one does not represent hydrogen and the other an unsubstituted C1-C4 alkyl;

or any salts, isomeric forms, racemates, enantiomers and diastereoisomers thereof.

6. The compound of claim 1, wherein the distribution agent represents: a [1,3,5]triazine optionally substituted with a radical XR1(R2) where X represents a nitrogen to form NR1R2, an oxygen to form OR1; or a sulfur to form SR1, wherein R2 and R2, which are identical or different, are chosen from among: hydrogen, C1-C8 alkyl optionally substituted with a radical chosen from amino, alkylamino, dialkylamino, (phenyl)(alkyl)amino, (alkylphenyl)(alkyl)-amino, C1-C4 alkoxy, pyrrolidinyl, pyridyl, and phenyl, an aromatic ring as defined in claim 1; a quinuclidine radical; a pyrrolidinyl radical; and a piperidyl radical optionally substituted with C1-C4 alkyl, or a radical where X represents N, R1 and R2 are as defined above, and R1, R2, and X form a piperazinyl, piperidyl, pyrrolidinyl, morpholinyl or thiomorpholinyl radical, with the provisos that if X represents a nitrogen and R1 and R2 are identical, then R1 and R2 do not both represent hydrogen or unsubstituted C1-C4 alkyl, and if X represents a nitrogen and R1 and R2 are different, then one does not represent hydrogen and the other an unsubstituted C1-C4 alkyl;

or any salts, isomeric forms, racemates, enantiomers and diastereoisomers thereof.

7. The compound of claim 1, corresponding to formula (I) below:



wherein:

A represents a radical XR1(R2) in which X represents a nitrogen, oxygen, or sulfur atom or a C1-C6 alkyl radical in order to form one of the following radicals: NR1R2, wherein R1 and R2 are identical or different and are chosen from: hydrogen; C1-C8 alkyl optionally substituted with one or more radicals which are identical or different; an aromatic ring as defined in claim 1; a quinuclidine radical; a pyrrolidinyl radical which is itself optionally substituted with alkyl or phenylalkyl radical with alkyl as C1-C4; a piperazinyl radical which is itself optionally substituted with an alkyl, cycloalkyl or phenylalkyl radical; a morpholinyl radical; a pyridyl radical or a piperidyl radical which is optionally substituted with one or more alkyl or phenylalkyl radicals with C1-C4 alkyl; an indazolyl radical; a naphthyl radical; a benzotriazole radical; a pyrimidinyl radical optionally substituted with one or more alkyls with alkyl as C1-C4; and an acenaphthene radical; or a radical where X represents N or alkyl, R1 and R2 are as defined above, and R1, R2 and X form a saturated or unsaturated 3- to 6-membered monocyclic or 8- to 10-membered bicyclic radical optionally containing one or two identical or different heteroatoms chosen from N, O or S; with the provisos that if X represents a nitrogen and R1 and R2 are identical, then R1 and R2 do not both represent hydrogen or unsubstituted C1-C4 alkyl, and if X represents a nitrogen and R1 and R2 are different, then one does not represent hydrogen and the other an unsubstituted C1-C4 alkyl; a group OR1 or SR1, wherein R1 has the same meaning as above, with the proviso that R1 does not represent hydrogen or unsubstituted C1-C4 alkyl, or an alkyl group containing from 1 to 6 carbon atoms, substituted with R1 and R2 as defined above; R3 and R'3, which are identical or different, represent independently of one another hydrogen or a C1-C4 alkyl group; Ar_1 and Ar_2, if identical, represent: a quinolinyl radical optionally substituted with at least one group N(Ra)(Rb) in which Ra and Rb, which are identical or different, represent hydrogen, a C1-C4 alkyl radical, or a short-chain alkoxy or alkyl group containing 1 to 4 carbon atoms, or a quinolinyl radical possessing a nitrogen atom in quaternary form or a benzamidinyl radical, or a pyridinyl radical attached at the 4-position or fused with an aryl or heteroaryl group optionally substituted with a C1-C4 alkyl group; and Ar_1 and Ar_2, when different: both represent one of the radicals recited above for Ar_1 and Ar_2, or Ar_1 represents one of the above radicals and Ar_2 represents a phenyl ring optionally

substituted with a halogen chosen from iodine, bromine or fluorine, C1-C4 alkoxy group, cyano group, carbonylamino group optionally substituted with one or more C1-C4 alkyl groups, guanyl group, C1-C4 alkylthio group, amino group, C1-C4 alkylamino group, C1-C4 dialkylamino group, nitro group, C1-C4 alkyleneamino group, or C2-C4 alkenyleneamino group, or a piperazinyl radical optionally substituted with a C1-C4 alkyl radical, or a mono-, bi-, or tricyclic heterocyclic ring comprising 0 to 2 heteroatoms per ring provided that at least one heteroatom is present in at least one ring that is optionally substituted with one or more C1-C4 alkyl groups, C1-C4 alkylene, or C2-C4 alkenylene groups;

or any salts, isomeric forms, racemates, enantiomers and diastereoisomers thereof.

8. The compound of claim 7, wherein one or both of R1 and R2 represents a C1-C8 alkyl radical optionally substituted with one or more radicals which are identical or different, wherein these radicals are chosen from an amino radical optionally substituted with one or two radicals which are identical or different, chosen from alkyl, hydroxyalkyl, alkoxyalkyl, phenylalkyl, carboxyalkyl, hydroxycarboxyalkyl, acyl, naphthyl, phenyl and alkylphenyl radicals; trialkylammonium radical; hydroxyl radical; alkoxy radical; thioalkoxy radical; trifluoromethyl radical; free, salified, esterified or amidated carboxyl radical; pyrrolidinyl radical optionally substituted with C1-C4 alkyl; piperidyl radical; piperazinyl radical optionally substituted with alkyl or phenylalkyl where alkyl is C1-C4 alkyl; morpholinyl radical; pyridyl radical; and naphthyl radical or phenyl radical optionally substituted with one or more radicals chosen from C1-C4 alkoxy radicals, halogen or amino radical optionally substituted as defined above.

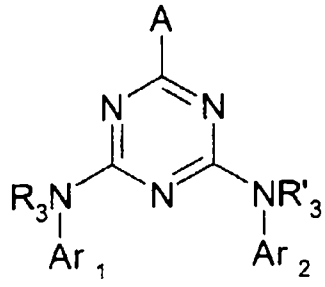
9. The compound of claim 1, wherein X in XR1(R2) represents N, one of R1 and R2 represents a hydrogen atom and the other of R1 and R2 is as defined in claim 1; or R1 and R2, together with the nitrogen atom to which they are attached, form a piperazinyl, pyrrolidinyl, piperidyl, morpholinyl, thiomorpholinyl, imidazolinyl, diazepine, or 1,2,3,4-tetrahydroisoquinoline radical, all these radicals being optionally substituted with one or more radicals.

10. The compound of claim 7, wherein A represents an aromatic ring as defined above or a radical XR1(R2) in which X represents a nitrogen to form NR1R2, a linear or branched C1-C6 alkyl radical to form alkR1R2, an oxygen to form OR1, or a sulfur to form SR1, wherein R1 and R2, which are identical or different, are chosen from: hydrogen; C1-C8 alkyl optionally substituted with one or more radicals chosen from amino, alkylamino, dialkylamino, dialkoxyalkylamino, dihydroxyalkylamino, alkoxyalkylamino, hydroxyalkylamino, hydroxycarboxyalkylamino, trialkylammonium, naphthylamino, phenylamino, acylamino, (alkyl)(phenylalkyl)amino, (phenyl)(alkyl)amino, (alkylphenyl)(alkyl)amino, hydroxyl, C1-C4 alkoxy, C1-C4 thioalkoxy, trifluoromethyl, free, salified, esterified or amidated carboxyl, pyrrolidinyl optionally substituted with C1-C4 alkyl, piperidyl, piperazinyl optionally substituted with alkyl or phenylalkyl with alkyl as C1-C4, morpholinyl, pyridyl, naphthyl or phenyl optionally substituted with one or more radicals chosen from the radicals C1-C4 alkoxy, halogen, amino, alkylamino and dialkylamino; an aromatic ring as defined in claim 7; a quinuclidine radical; a pyrrolidinyl radical which is itself optionally substituted with an alkyl or phenylalkyl radical with alkyl as C1-C4; a piperazinyl radical which is itself optionally substituted with an alkyl, cycloalkyl or phenylalkyl radical; a morpholinyl radical; a pyridyl radical or a piperidyl radical which are optionally substituted with one or more alkyl or phenylalkyl radicals with C1-C4 alkyl; an indazolyl radical; a naphthyl radical, a benzotriazole radical; a pyrimidinyl radical optionally substituted with one or more C1-C4 alkyl radicals; and an acenaphthene radical; or R1 and R2, together with the X to which they are attached, form a radical chosen from the following radicals: piperazinyl optionally substituted with one or more radicals which are identical or different; pyrrolidinyl optionally substituted with C1-C4 alkyl or alkoxy, hydroxyl, acylamino, pyrrolidinylalkyl and pyridyl; 1,2,3,4-tetrahydroisoquinolinyl; diazepine optionally substituted with alkyl or pyrrolidinylalkyl; piperidyl optionally substituted with alkyl, alkoxy or alkoxyalkyl, hydroxyl and cycloalkylalkyl; morpholinyl; and imidazolinyl optionally substituted with alkyl; with the provisos that if X represents a nitrogen and R1 and R2 are identical, then R1 and R2 do not both represent hydrogen or unsubstituted C1-C4 alkyl, and if X represents a nitrogen and R1 and R2 are

different, then one does not represent hydrogen and the other an unsubstituted C1-C4 alkyl.

11. The compound of claim 7, wherein X in XR1(R2) represents N, and one of R1 and R2 represents a hydrogen or C1-C4 alkyl radical optionally substituted with an amino, alkylamino, dialkylamino or phenyl radical, and the other of R1 and R2 is as defined in claim 7; or R1, R2, and the nitrogen atom to which they are attached, form a piperazinyl radical optionally substituted with one or more radicals chosen from alkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, phenylalkyl, alkoxyalkyl, hydroxyalkyl, hydroxyalkoxyalkyl, alkoxy, pyrrolidinylalkyl, C3-C8 cycloalkyl, pyrazinyl, pyrimidinyl, pyridyl, furylcarbonyl, furfurylcarbonyl, quinolyl, pyrrolidinyl optionally substituted with C1-C4 alkyl or alkoxy, hydroxyl, acylamino, pyrrolidinylalkyl, pyridyl, 1,2,3,4-tetrahydroisoquinolinyl, diazepine optionally substituted with alkyl or pyrrolidinylalkyl, piperidyl optionally substituted with alkyl, alkoxy or alkoxyalkyl; hydroxyl; cycloalkylalkyl; morpholinyl; and imidazolinyl optionally substituted with alkyl.

12. The compound of claim 7, wherein the compounds correspond to formula (I) below:



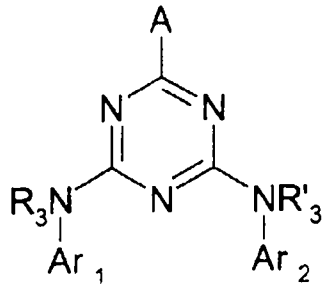
wherein:

A represents a radical XR1(R2) in which X represents a nitrogen, oxygen or sulfur atom, or a C1-C6 alkyl radical, to form one of the following radicals: NR1R2, wherein R1 and R2, which are identical or different, are chosen from a hydrogen atom; C1-C8 alkyl optionally substituted with an amino, alkylamino, dialkylamino, (phenyl)(alkyl)amino, (alkylphenyl)(alkyl)amino, C1-C4 alkoxy, C1-C4 thioalkoxy, trifluoromethyl, pyrrolidinyl, piperidyl, piperazinyl, morpholinyl, pyridyl or phenyl; an aromatic ring as defined in claim 7; a quinuclidine radical;

and a pyrrolidinyl, piperazinyl, morpholinyl, pyridyl, or piperidyl radical optionally substituted with C1-C4 alkyl; or when X is N or alkyl, R1 and R2, together with the X to which they are attached, form a saturated or unsaturated 3- to 6-membered monocyclic or 8- to 10-membered bicyclic radical optionally containing one or two heteroatoms, which are identical or different, chosen from N, O or S, with the provisos that if X represents a nitrogen and R1 and R2 are identical, then R1 and R2 do not both represent hydrogen or unsubstituted C1-C4 alkyl, and if X represents a nitrogen and R1 and R2 are different, then one does not represent hydrogen and the other an unsubstituted C1-C4 alkyl; a group OR1 or SR1 in which R1 has the same meaning as above, with the proviso that R1 does not represent hydrogen or unsubstituted C1-C4 alkyl; or an alkyl group containing from 1 to 6 carbon atoms, substituted with R1 and R2 as defined above; R3 and R'3, which are identical or different, represent independently of one another hydrogen or a C1-C4 alkyl group; Ar_1 and Ar_2, when identical, represent a quinolinyl radical optionally substituted with at least one group N(Ra)(Rb) in which Ra and Rb, which are identical or different, represent hydrogen, a C1-C4 alkyl radical, or a short-chain alkoxy or alkyl group containing 1 to 4 carbon atoms, a quinolinyl radical possessing a nitrogen atom in quaternary form, a benzamidinyl radical, or a pyridinyl radical attached at the 4-position or fused with an aryl or heteroaryl group optionally substituted with a C1-C4 alkyl group; and Ar_1 and Ar_2, when different: both represent one of the radicals recited above for Ar_1 and Ar_2, or Ar_1 represents one of the above recited radicals and Ar_2 represents a phenyl ring optionally substituted with a halogen chosen from iodine, bromine or fluorine, C1-C4 alkoxy group, cyano group, carbonylamino group optionally substituted with one or more C1-C4 alkyl groups, guanyl group, C1-C4 alkylthio group, amino group, C1-C4 alkylamino group, C1-C4 dialkylamino group for each alkyl group, nitro group, C1-C4 alkyleneamino group, C2-C4 alkenyleneamino group, or a piperazinyl radical optionally substituted with a C1-C4 alkyl radical, a mono- or bi- or tricyclic heterocyclic ring comprising 0 to 2 heteroatoms per ring provided that at least one heteroatom is present in at least one ring that is optionally substituted with one or more C1-C4 alkyl groups, C1-C4 alkylene, or C2-C4 alkenylene groups;

or any salts, isomeric forms, racemates, enantiomers and diastereoisomers thereof.

13. The compound of claim 7, wherein the compound corresponds to formula (I) below:



wherein:

A represents a radical XR1(R2) in which X represents a nitrogen, oxygen or sulfur atom, or a C1-C6 alkyl radical, to form one of the following radicals: NR1R2, wherein R1 and R2, which are identical or different, are chosen from hydrogen; C1-C8 alkyl optionally substituted with a radical amino, alkylamino, dialkylamino, (phenyl)(alkyl)amino, (alkylphenyl)(alkyl)amino, C1-C4 alkoxy, C1-C4 thioalkoxy, trifluoromethyl, pyrrolidinyl, piperidyl, piperazinyl, morpholinyl, pyridyl or phenyl; an aromatic ring as defined in claim 7; a quinuclidine radical; and a pyrrolidinyl, piperazinyl, morpholinyl, pyridyl or piperidyl radical optionally substituted with C1-C4 alkyl; or R1 and R2, together with the X to which they are attached, form a saturated or unsaturated 3- to 6-membered monocyclic or 8- to 10-membered bicyclic radical optionally containing one or two heteroatoms, which are identical or different, chosen from N, O or S; with the provisos that if X represents a nitrogen and R1 and R2 are identical, then R1 and R2 do not both represent hydrogen or unsubstituted C1-C4 alkyl, and if X represents a nitrogen and R1 and R2 are different, then one does not represent hydrogen and the other an unsubstituted C1-C4 alkyl; a group OR1 or SR1 in which R1 has the same meaning as above, with the proviso that R1 does not represent hydrogen or unsubstituted C1-C4 alkyl; or an alkyl group containing from 1 to 6 carbon atoms, substituted with R1 and R2 as defined above; R3 and R'3, which are identical or different, represent independently of one another hydrogen or a C1-C4 alkyl group; Ar_1 and Ar_2, when identical, represent a quinolinyl radical optionally

substituted with at least one group N(Ra)(Rb) in which Ra and Rb, which are identical or different, represent hydrogen, a C1-C4 alkyl radical, or a short-chain alkoxy or alkyl group containing 1 to 4 carbon atoms, a quinolinyl radical possessing a nitrogen atom in quaternary form, a benzamidinyl radical, or a pyridinyl radical attached at the 4-position or fused with an aryl or heteroaryl group optionally substituted with a C1-C4 alkyl group; and Ar_1 and Ar_2, when different: both represent one of the radicals recited above for Ar_1 and Ar_2, or Ar_1 represents one of the above recited radicals and Ar_2 represents a phenyl ring optionally substituted with a halogen chosen from iodine, bromine or fluorine, C1-C4 alkoxy group, cyano group, carbonylamino group optionally substituted with one or more C1-C4 alkyl groups, guanyl group, C1-C4 alkylthio group, amino group, C1-C4 alkylamino group, C1-C4 dialkylamino group for each alkyl group, nitro group, C1-C4 alkyleneamino group, C2-C4 alkenyleneamino group, or a piperazinyl radical optionally substituted with a C1-C4 alkyl radical, a mono- or bi- or tricyclic heterocyclic ring comprising 0 to 2 heteroatoms per ring provided that at least one heteroatom is present in at least one ring that is optionally substituted with one or more C1-C4 alkyl groups, C1-C4 alkylene, or C2-C4 alkenylene groups; or any salts, isomeric forms, racemates, enantiomers and diastereoisomers thereof.

14. The compound of claim 7, wherein A represents a radical XR1(R2) in which X represents nitrogen to form NR1R2, an oxygen to form OR1, or a sulfur to form SR1, to form one of the following radicals: NR1R2, wherein R1 and R2, which are identical or different, are chosen from hydrogen; C1-C8 alkyl optionally substituted with a amino, alkylamino, dialkylamino, (phenyl)(alkyl)amino, (alkylphenyl)(alkyl)amino, C1-C4 alkoxy, pyrrolidinyl, pyridyl or phenyl radical; an aromatic ring as defined in claim 7; a quinuclidine radical, a pyrrolidinyl radical or a piperidyl radical optionally substituted with C1-C4 alkyl; or R1 and R2, together with the X to which they are attached, form a piperazinyl, piperidyl, pyrrolidinyl, morpholinyl, or thiomorpholinyl radical, with the provisos that if X represents a nitrogen and R1 and R2 are identical, then R1 and R2 do not both represent hydrogen or unsubstituted C1-C4 alkyl, and if X represents a nitrogen and R1 and R2 are different, then one does not represent hydrogen and the other an

unsubstituted C1-C4 alkyl; a group OR1 or SR1 in which R1 has the same meaning as above, with the proviso that R1 does not represent hydrogen or unsubstituted C1-C4 alkyl;

or any salts, isomeric forms, racemates, enantiomers and diastereoisomers thereof.

15. The compound of claim 7, wherein A represents NR1R2, and one of R1 and R2 represents hydrogen and the other of R1 and R2 is as defined in claim 7, or R1 and R2, together with the nitrogen atom to which they are attached, form a piperazinyl, pyrrolidinyl, piperidyl or morpholinyl radical.

16. The compound of claim 7, wherein Ar_1 and Ar_2 represent a group chosen from: 4-amino-, 4-methylamino-, 4-dimethylamino-quinolyl or -quinolinium in which the quinolinium ring is optionally substituted with a methyl group; or a phenyl optionally substituted with one or more halogen atoms chosen from iodine, bromine or fluorine.

17. The compound of claim 7, wherein A represents: an amino radical substituted with a radical chosen from the following groups: 4-amino-, 4-methylamino-, or 4-dimethylamino-quinolyl or -quinolinium in which the quinolinium ring is optionally substituted with a methyl; pyridyl; phenyl optionally substituted with one or more halogen atoms; piperazinyl or alkylpiperazinyl; C1-C4 alkyl substituted with an amino, alkylamino or dialkylamino; (phenyl)(alkyl)amino; (alkylphenyl)(alkyl)amino, C2-C4 alkoxy, with a pyrrolidinyl radical or with a phenyl radical, in which radicals the alkyl groups possess 1 to 4 carbon atoms; a pyrrolidinyl radical; a piperidyl radical optionally substituted with a C1-C4 alkyl radical; or a quinuclidine radical or a pyrrolidinyl radical, a morpholino radical or a piperazinyl radical optionally substituted with a C1-C4 alkyl radical or a radical O-phenyl, O-pyridyl or O-alkyl substituted with an amino, alkylamino or dialkylamino radical.

18. The compound of claim 7, wherein Ar_1 and Ar_2 are identical, and Ar_1 and Ar_2 represent a group chosen from 4-amino-, 4-methylamino-, or 4-

dimethylamino-quinolyl or -quinolinium in which the quinolinium ring is optionally substituted with a methyl group.

19. The compound of claim 7, wherein Ar_1 and Ar_2 are different, and Ar_1 represents: a quinolinyl radical substituted with at least one group N(Ra)(Rb) in which Ra and Rb, which are identical or different, represent hydrogen or a C1-C4 alkyl radical or a short-chain alkoxy or alkyl group containing 1 to 4 carbon atoms, a quinolinyl radical possessing a nitrogen atom in quaternary form a benzamidinyl radical, except if A represents diethylamine, hydrogen, or an amine group, then Ar_1 is not benzamidine, or a pyridinyl radical attached at the 4-position or fused with an aryl or heteroaryl group; and Ar_2 represents: a ring as defined above, or a phenyl ring optionally substituted with a halogen chosen from iodine, bromine or fluorine, methoxy, cyano, carbonylamino, guanyl, methylthio, amino, methylamino, dimethylamino, morpholine, C1-C4 alkyleneamino or C2-C4 alkenyleneamino group, or a quinoline, benzimidazole, indole, benzothiophene, benzofuran, benzothiazole, benzoxazole, carbazole, quinazoline or quinoxaline ring optionally substituted with one or more C1-C4 alkyl groups, C1-C4 alkylene, or C2-C4 alkenylene groups.

20. The compound of claim 7, wherein A represents an amino radical substituted with a radical chosen from among: 4-amino-, 4-methylamino-, or 4-dimethylamino-quinolinyl or -quinolinium radicals wherein the quinolinium ring is optionally substituted with a methyl group; C1-C4 alkyl radical substituted with an amino, alkylamino, dialkylamino, (phenyl)(alkyl)amino, (alkylphenyl)(alkyl)amino, pyrrolidinyl or pyridyl radical; or the quinuclidine radical.

21. The compound of claim 7, wherein A represents either an amino radical substituted with a pyridyl radical; a phenyl radical optionally substituted with a piperazinyl or alkylpiperazinyl radical; a piperidyl radical optionally substituted with a C1-C4 alkyl radical; or a piperazinyl radical optionally substituted with a C1-C4 alkyl radical.

22. The compound of claim 7, wherein A represents O-phenyl, O-pyridyl, or O-alkyl substituted with an amino, alkylamino or dialkylamino radical.

23. The compound of claim 7, wherein A represents a radical O(or S)-aromatic ring or a radical O(or S)-alkyl with alkyl optionally substituted.

24. The compound of claim 1, wherein the compound is: 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(3-dimethylaminopropyl)amino-[1,3,5]triazine, 2,4,6-tris(4-amino-2-methylquinolin-6-yl)amino-[1,3,5]triazine, 2,4-bis(4-amino-2-methylquinolin-6-yl)amino-6-(4-dimethylamino-2-methylquinolin-6-yl)amino-[1,3,5]triazine, 2,4-bis(4-amino-2-methylquinolin-6-yl)amino-6-(quinuclidin-3-yl)amino-[1,3, 5]-triazine, 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(1-methylpiperidin-4-yl)-[1,3,5]triazine, 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(1-methylpiperazin-4-yl)-[1,3,5]triazine, 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(pyridin-4-yl)methylamino-[1,3,5]triazine, 2,4-bis(4-amino-2-methylquinolin-6-yl)amino-6-phenoxy-[1,3,5]triazine, 2,4-bis(4-amino-2-methylquinolin-6-yl)amino-6-(3-dimethylaminopropyl)oxy-[1,3,5]triazine, 2,4-bis(4-amino-2-methylquinolin-6-yl)amino-6-(pyridin-4-yl)oxy-[1,3,5]triazine, or 2,4-bis(4-amino-2-methylquinolin-6-yl)amino-6-(phenylmethyl)oxy-[1,3,5]triazine.

25. The compound of claim 1, wherein the compound is: 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(3-dimethylaminopropy l)amino-[1,3,5]triazine, 2,4,6-tris(4-amino-2-methylquinolin-6-yl)amino-[1,3,5]triazine, 2,4-bis(4-amino-2-methylquinolin-6-yl)amino-6-(4-dimethylamino-2-methylquin olin-6-yl)amino-[1,3,5]triazine, 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(1-methylpiperazin-4-yl)-[1,3,5]triazine, 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(pyridin-4-yl)oxy-[1,3,5]triazine, 2,4-bis(4-amino-2-methylquinolin-6-yl)amino-6-(quinolin-2-yl)thio-[1,3,5]triazine, 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-phenyl-[1,3,5]triazine, 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-[1-(2-dipropylaminoethyl)piperazin-4-yl]-[1,3,5]triazine, 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-{[1-2-(2-hydroxyethyl)oxyethyl]piperazin-4-yl}-[1,3,5]triazine, 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-[2(S)-(pyrrolidin-1-yl)methylpyrrolidin-1-yl]-[1,3,5]triazine, 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(quinolin-2-yl)thio-[1,3,5]triazine, 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(1-

methylhomopiperazin-4-yl)-[1,3,5]triazine, 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-[1-(3-dimethylaminopropyl)piperazin-4-yl]-[1,3,5]triazine, 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-[N-(1-methylpiperidin-4-yl)-N-methylamino]-[1,3,5]triazine, 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-{1-[3-(pyrrolidin-1-yl)propylhomopiperazin-4-yl)-[1,3,5]triazine, or 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-[1-(pyridin-4-yl)pipe razin-4-yl]-[1,3,5]triazine.

26. The compound of claim 1, wherein the compound is: 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(1-methylpiperazin-4-yl)-[1,3,5]triazine, 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(quinolin-2-yl)thio-[1,3,5]triazine, 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-(1-methylhomopiperazin-4-yl)-[1,3,5]triazine, 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-[1-(3-dimethylaminopropyl)piperazin-4-yl]-[1,3,5]triazine, 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-[N-(1-methylpiperidin -4-yl)-N-methylamino]-[1,3,5]triazine, 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-{1-[3-(pyrrolidin-1-y l)propylhomopiperazin-4-yl)-[1,3,5]triazine, or 2,4-bis(4-dimethylamino-2-methylquinolin-6-yl)amino-6-[1-(pyridin-4-yl)pipe razin-4-yl]-[1,3,5]triazine.

27. A compound selected from the group consisting of: N-(4-amino-2-methyl-quinolin-6-yl)-N'-(2-chloro-phenyl)-N"-(4-dimethylamino-2-methyl-quinolin-6-yl)-[1,3,5]triazine-2,4,6-triamine; N-(4-amino-2-methyl-quinolin-6-yl)-N'-(2-chloro-phenyl)-N"-pyridin-4-yl-[1,3,5]triazine-2,4,6-triamine; N-(4-amino-2-methyl-quinolin-6-yl)-N'-(2-chloro-phenyl)-N"-(2-dimethylamino-ethyl)-[1,3,5]triazine-2,4,6-triamine; N-(4-amino-2-methyl-quinolin-6-yl)-N'-(2-chloro-phenyl)-N"-(3-dimethylamino-propyl)-[1,3,5]triazine-2,4,6-triamine; N-(4-amino-2-methyl-quinolin-6-yl)-N'-(2-chloro-phenyl)-N"-(1-methyl-piperi din-4-yl)-[1,3,5]triazine-2,4,6-triamine; N*6*-[4-(2-chloro-phenylamino)-6-(4-methyl-piperazin-1-yl)-[1,3,5]triazin-2-yl]-2-methyl-quinoline-4,6-diamine; N,N'-bis-(4-amino-2-methyl-quinolin-6-yl)-N"-(4-chloro-phenyl)-[1,3,5]triazine-2,4,6-triamine; N,N'-2,4-bis-(4-amino-2-methyl-quinolin-6-yl)amino-6-(4-chloro-phenoxy)-[1,3,5]triazine; and

N,N'-2,4-bis-(4-amino-2-methyl-quinolin-6-yl)amino-6-(4-chloro-phenyl)thio-[1,3,5]triazine.

28. A pharmaceutical composition for human use comprising a compound of claim 1 and one or more pharmaceutically acceptable excipients.

29. A pharmaceutical composition comprising, as active ingredient, one or more compounds according to claim 1.

30. A pharmaceutical composition comprising, as active ingredient, one or more compounds according to claim 24.

31. A pharmaceutical composition comprising, as active ingredient, one or more compounds according to claim 25.

32. A pharmaceutical composition comprising, as active ingredient, one or more compounds according to claim 26.

33. A therapeutic combination comprising the administration of a therapeutically effective amount of compound of claim 1, and the administration of radiation.

34. The therapeutic combination of claim 33, wherein the compound of claim 1 and radiation are administered simultaneously, separately or sequentially.

35. A compound substantially as herein described with reference to any one of the examples.

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