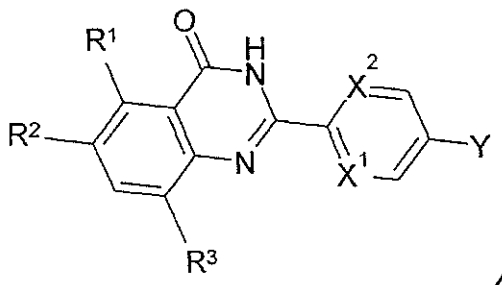
Patent Claims

1. Compounds of the formula I



I

in which

R^1, R^2 each, independently of one another, denote H, F or Cl,

R^3 denotes H, F, Cl, CH_3 or OCH_3,

X^1, X^2 each, independently of one another, denote CH or N,

Y denotes A, Cyc or
oxiranyl, oxetanyl, tetrahydrofuranyl, tetrahydropyranyl, piperazinyl, piperidinyl, morpholinyl, pyrrolidinyl, thiomorpholinyl or diazepanyl, which may be unsubstituted or mono-or disubstituted by =O, Hal, OH and/or A',

A' denotes unbranched or branched alkyl with 1-4 C-atoms, wherein one CH_2 group may be replaced by an O-atom and/or one H-atom may be replaced by OH,

A denotes unbranched or branched alkyl with 2-10 C-atoms, wherein two adjacent carbon atoms may form a double bond and/or one or two non-adjacent CH- and/or CH_2-groups may be replaced by N-, O- and/or S-atoms and wherein 1-7 H-atoms may be replaced by F, Cl and/or OH,

Cyc denotes cycloalkyl with 3-7 C-atoms, which is unsubstituted or monosubstituted by OH, Hal or A',

Hal denotes F, Cl, Br or I,

with the proviso that at least one of R^1, R^2, R^3 is not H,
and with the proviso, that Y is not 4-isopropyl-1-piperazinyl,
and pharmaceutically acceptable salts, tautomers and stereoisomers thereof, including mixtures thereof in all ratios.

2. Compounds according to Claim 1, in which

R^1, R^2 each, independently of one another, denote H, F or Cl,

R^3 denotes H, F, Cl, CH_3 or OCH_3,

X^1 denotes CH,

X^2 denotes CH or N,

Y denotes A, Cyc or
oxiranyl, oxetanyl, tetrahydrofuranyl, tetrahydropyranyl, piperazinyl, piperidinyl, morpholinyl, pyrrolidinyl, thiomorpholinyl or diazepanyl, which may be unsubstituted or mono-or disubstituted by =O, Hal, OH and/or A',

A' denotes unbranched or branched alkyl with 1-4 C-atoms, wherein one CH_2 group may be replaced by an O-atom and/or one H-atom may be replaced by OH,

A denotes unbranched or branched alkyl with 2-10 C-atoms, wherein one or two non-adjacent CH- and/or CH_2-groups may be replaced by N- and/or O-atoms and wherein 1-7 H-atoms may be replaced by F, Cl and/or OH,

Cyc denotes cycloalkyl with 3-7 C-atoms, which is unsubstituted or monosubstituted by OH, Hal or A',

Hal denotes F, Cl, Br or I,

with the proviso that at least one of R^1, R^2, R^3 is not H,
and with the proviso, that Y is not 4-isopropyl-1-piperazinyl,

and pharmaceutically acceptable salts, tautomers and stereoisomers thereof, including mixtures thereof in all ratios.

3. Compounds according to Claim 1, selected from the group

No.	Name
"A1"	6-fluoro-2-[4-(1-hydroxy-1-methyl-ethyl)-phenyl]-3H-quinazolin-4-one
"A2"	2-[4-(1-hydroxy-1-methyl-ethyl)-phenyl]-8-methoxy-3H-quinazolin-4-one
"A3"	8-fluoro-2-[4-(1-hydroxy-1-methyl-ethyl)-phenyl]-3H-quinazolin-4-one
"A4"	5-fluoro-2-[4-(1-hydroxy-1-methyl-ethyl)-phenyl]-3H-quinazolin-4-one
"A5"	6-chloro-2-[4-(1-hydroxy-1-methyl-ethyl)-phenyl]-3H-quinazolin-4-one
"A6"	8-chloro-2-[4-(1-hydroxy-1-methyl-ethyl)-phenyl]-3H-quinazolin-4-one
"A7"	6-fluoro-2-{4-[1-(2-hydroxy-ethoxy)-1-methyl-ethyl]-phenyl}-3H-quinazolin-4-one
"A8"	6-fluoro-2-{4-[1-(2-methoxy-ethoxy)-1-methyl-ethyl]-phenyl}-3H-quinazolin-4-one
"A9"	2-(4-tert-butyl-phenyl)-6-fluoro-3H-quinazolin-4-one
"A10"	6-fluoro-2-[4-(4-methyl-piperazin-1-yl)-phenyl]-3H-quinazolin-4-one
"A11"	6,8-difluoro-2-[4-(1-hydroxy-1-methyl-ethyl)phenyl]-3H-quinazolin-4-one
"A12"	5,6-difluoro-2-[4-(1-hydroxy-1-methyl-ethyl)phenyl]-3H-quinazolin-4-one
"A13"	6-fluoro-2-[5-(1-hydroxy-1-methyl-ethyl)-2-pyridyl]-3H-quinazolin-4-one

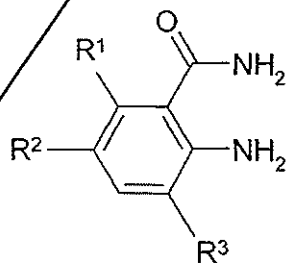
"A14"	2-[4-(1-ethyl-1-hydroxy-propyl)phenyl]-6-fluoro-3H-quinazolin-4-one
"A15"	6-fluoro-2-[4-(2-methyltetrahydrofuran-2-yl)phenyl]-3H-quinazolin-4-one
"A16"	6-fluoro-2-[4-(1-hydroxycyclopentyl)phenyl]-3H-quinazolin-4-one
"A17"	6-fluoro-2-[4-(3-hydroxyoxetan-3-yl)phenyl]-3H-quinazolin-4-one
"A18"	2-[4-[1-(2-aminoethoxy)-1-methyl-ethyl]phenyl]-6-fluoro-3H-quinazolin-4-one
"A19"	6-fluoro-2-[4-(4-piperidyl)phenyl]-3H-quinazolin-4-one
"A20"	6-fluoro-2-[4-(1-methyl-4-piperidyl)phenyl]-3H-quinazolin-4-one
"A21"	6-fluoro-2-{4-[1-(2-hydroxy-ethoxy)-1-methyl-ethyl]-phenyl}-8-methyl-3H-quinazolin-4-one
"A22"	6-fluoro-2-(4-isopropyl-phenyl)-3H-quinazolin-4-one
"A23"	5-chloro-2-[4-(1-hydroxy-1-methyl-ethyl)-phenyl]-3H-quinazolin-4-one
"A24"	2-[4-(1-hydroxy-1-methyl-ethyl)-phenyl]-8-methyl-3H-quinazolin-4-one
"A25"	6-fluoro-2-[4-(1-hydroxy-1-methyl-ethyl)-phenyl]-8-methyl-3H-quinazolin-4-one
"A26"	5,6,8-trifluoro-2-[4-(1-hydroxy-1-methyl-ethyl)-phenyl]-3H-quinazolin-4-one
"A27"	5,8-difluoro-2-[4-(1-hydroxy-1-methyl-ethyl)-phenyl]-3H-quinazolin-4-one
"A28"	fluoro-8-methyl-2-[4-(4-methyl-piperazin-1-yl)-phenyl]-3H-quinazolin-4-one
"A29"	6-fluoro-2-[4-(4-hydroxy-piperidin-1-yl)-phenyl]-8-methyl-3H-quinazolin-4-one

"A30"	2-[4-(1,1-dioxo-1l6-thiomorpholin-4-yl)-phenyl]-6-fluoro-8-methyl-3H-quinazolin-4-one
"A31"	6-fluoro-2-[4-(4-hydroxymethyl-piperidin-1-yl)-phenyl]-8-methyl-3H-quinazolin-4-one
"A32"	6-fluoro-8-methyl-2-[4-(4-methyl-[1,4]diazepan-1-yl)-phenyl]-3H-quinazolin-4-one
"A33"	6-fluoro-8-methyl-2-(4-piperidin-4-yl-phenyl)-3H-quinazolin-4-one
"A34"	6,8-difluoro-2-(4-piperidin-4-yl-phenyl)-3H-quinazolin-4-one hydrochloride
"A35"	6,8-difluoro-2-[4-(1-methyl-piperidin-4-yl)-phenyl]-3H-quinazolin-4-one

and pharmaceutically acceptable solvates, salts, tautomers and stereoisomers thereof, including mixtures thereof in all ratios.

4. Process for the preparation of compounds of the formula I according to Claims 1-3 and pharmaceutically acceptable salts, solvates, tautomers and stereoisomers thereof, characterised in that

a) a compound of the formula II

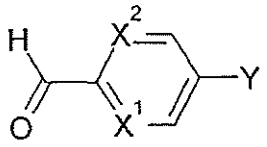


II

in which R^1, R^2 and R^3 have the meanings indicated in Claim 1,

is reacted

i) with a compound of formula III

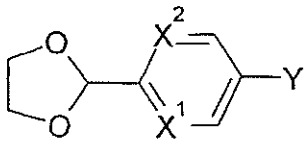


III

in which X^1, X^2 and Y have the meanings indicated in Claim 1,

or

ii) with a compound of formula IV



III

in which X^1, X^2 and Y have the meanings indicated in Claim 1,

or

b) a radical Y is converted into another radical Y by

i) converting an alcohol into an ether group,

ii) converting an ester group into an alcohol group,

iii) converting a nitro group into an amino group,

iv) converting an amino group into an alkylated amino group

and/or

a base or acid of the formula I is converted into one of its salts.

5. Medicaments comprising at least one compound of the formula I and/or pharmaceutically acceptable salts, solvates, tautomers and stereoisomers thereof, including mixtures thereof in all ratios, and optionally an pharmaceutically acceptable carrier, excipient or vehicle.

6. Compounds of the formula I and pharmaceutically acceptable salts, solvates, tautomers and stereoisomers thereof, including mixtures thereof in all ratios, for the use for the treatment and/or prevention of cancer, multiple sclerosis, cardiovascular diseases, central nervous system injury and different forms of inflammation.

7. Compounds according to claim 6 for the use for the treatment and/or prevention of diseases selected from the group cancer of head, neck, eye, mouth, throat, esophagus, bronchus, larynx, pharynx, chest, bone, lung, colon, rectum, stomach, prostate, urinary bladder, uterine, cervix, breast, ovaries, testicles or other reproductive organs, skin, thyroid, blood, lymph nodes, kidney, liver, pancreas, brain, central nervous system, solid tumors and blood-borne tumors.

8. Medicaments comprising at least one compound of the formula I and/or pharmaceutically acceptable salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios, and at least one further medicament active ingredient.

9. Set (kit) consisting of separate packs of

(a) an effective amount of a compound of the formula I and/or pharmaceutically acceptable salts, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios,

and

(b) an effective amount of a further medicament active ingredient.

Patent Claims

1. Compounds selected from the group

No.	Name
"A1"	6-fluoro-2-[4-(1-hydroxy-1-methyl-ethyl)-phenyl]-3H-quinazolin-4-one
"A2"	2-[4-(1-hydroxy-1-methyl-ethyl)-phenyl]-8-methoxy-3H-quinazolin-4-one
"A3"	8-fluoro-2-[4-(1-hydroxy-1-methyl-ethyl)-phenyl]-3H-quinazolin-4-one
"A4"	5-fluoro-2-[4-(1-hydroxy-1-methyl-ethyl)-phenyl]-3H-quinazolin-4-one
"A5"	6-chloro-2-[4-(1-hydroxy-1-methyl-ethyl)-phenyl]-3H-quinazolin-4-one
"A6"	8-chloro-2-[4-(1-hydroxy-1-methyl-ethyl)-phenyl]-3H-quinazolin-4-one
"A7"	6-fluoro-2-{4-[1-(2-hydroxy-ethoxy)-1-methyl-ethyl]-phenyl}-3H-quinazolin-4-one
"A8"	6-fluoro-2-{4-[1-(2-methoxy-ethoxy)-1-methyl-ethyl]-phenyl}-3H-quinazolin-4-one
"A9"	2-(4-tert-butyl-phenyl)-6-fluoro-3H-quinazolin-4-one
"A10"	6-fluoro-2-[4-(4-methyl-piperazin-1-yl)-phenyl]-3H-quinazolin-4-one
"A11"	6,8-difluoro-2-[4-(1-hydroxy-1-methyl-ethyl)phenyl]-3H-quinazolin-4-one
"A12"	5,6-difluoro-2-[4-(1-hydroxy-1-methyl-ethyl)phenyl]-3H-quinazolin-4-one
"A13"	6-fluoro-2-[5-(1-hydroxy-1-methyl-ethyl)-2-pyridyl]-3H-quinazolin-4-one

"A14"	2-[4-(1-ethyl-1-hydroxy-propyl)phenyl]-6-fluoro-3H-quinazolin-4-one
"A15"	6-fluoro-2-[4-(2-methyltetrahydrofuran-2-yl)phenyl]-3H-quinazolin-4-one
"A16"	6-fluoro-2-[4-(1-hydroxycyclopentyl)phenyl]-3H-quinazolin-4-one
"A17"	6-fluoro-2-[4-(3-hydroxyoxetan-3-yl)phenyl]-3H-quinazolin-4-one
"A18"	2-[4-[1-(2-aminoethoxy)-1-methyl-ethyl]phenyl]-6-fluoro-3H-quinazolin-4-one
"A19"	6-fluoro-2-[4-(4-piperidyl)phenyl]-3H-quinazolin-4-one
"A20"	6-fluoro-2-[4-(1-methyl-4-piperidyl)phenyl]-3H-quinazolin-4-one
"A21"	6-fluoro-2-{4-[1-(2-hydroxy-ethoxy)-1-methyl-ethyl]-phenyl}-8-methyl-3H-quinazolin-4-one
"A22"	6-fluoro-2-(4-isopropyl-phenyl)-3H-quinazolin-4-one
"A23"	5-chloro-2-[4-(1-hydroxy-1-methyl-ethyl)-phenyl]-3H-quinazolin-4-one
"A24"	2-[4-(1-hydroxy-1-methyl-ethyl)-phenyl]-8-methyl-3H-quinazolin-4-one
"A25"	6-fluoro-2-[4-(1-hydroxy-1-methyl-ethyl)-phenyl]-8-methyl-3H-quinazolin-4-one
"A26"	5,6,8-trifluoro-2-[4-(1-hydroxy-1-methyl-ethyl)-phenyl]-3H-quinazolin-4-one
"A27"	5,8-difluoro-2-[4-(1-hydroxy-1-methyl-ethyl)-phenyl]-3H-quinazolin-4-one
"A28"	fluoro-8-methyl-2-[4-(4-methyl-piperazin-1-yl)-phenyl]-3H-quinazolin-4-one
"A29"	6-fluoro-2-[4-(4-hydroxy-piperidin-1-yl)-phenyl]-8-methyl-3H-quinazolin-4-one

"A30"	2-[4-(1,1-dioxo-1l6-thiomorpholin-4-yl)-phenyl]-6-fluoro-8-methyl-3H-quinazolin-4-one
"A31"	6-fluoro-2-[4-(4-hydroxymethyl-piperidin-1-yl)-phenyl]-8-methyl-3H-quinazolin-4-one
"A32"	6-fluoro-8-methyl-2-[4-(4-methyl-[1,4]diazepan-1-yl)-phenyl]-3H-quinazolin-4-one
"A33"	6-fluoro-8-methyl-2-(4-piperidin-4-yl-phenyl)-3H-quinazolin-4-one
"A34"	6,8-difluoro-2-(4-piperidin-4-yl-phenyl)-3H-quinazolin-4-one hydrochloride
"A35"	6,8-difluoro-2-[4-(1-methyl-piperidin-4-yl)-phenyl]-3H-quinazolin-4-one

and pharmaceutically acceptable solvates, salts, tautomers and stereoisomers thereof, including mixtures thereof in all ratios.

2. Medicaments comprising at least one compound according to claim 1 and/or pharmaceutically acceptable salts, solvates, tautomers and stereoisomers thereof, including mixtures thereof in all ratios, and optionally an pharmaceutically acceptable carrier, excipient or vehicle.

3. Compounds according to claim 1 and pharmaceutically acceptable salts, solvates, tautomers and stereoisomers thereof, including mixtures thereof in all ratios, for the use for the treatment and/or prevention of cancer, multiple sclerosis, cardiovascular diseases, central nervous system injury and different forms of inflammation.

4. Compounds according to claim 3 for the use for the treatment and/or prevention of diseases selected from the group cancer of head, neck, eye, mouth, throat, esophagus, bronchus, larynx, pharynx, chest, bone,

lung, colon, rectum, stomach, prostate, urinary bladder, uterine, cervix, breast, ovaries, testicles or other reproductive organs, skin, thyroid, blood, lymph nodes, kidney, liver, pancreas, brain, central nervous system, solid tumors and blood-borne tumors.

5. Medicaments comprising at least one compound according to claim 1 and/or pharmaceutically acceptable salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios, and at least one further medicament active ingredient.

6. Set (kit) consisting of separate packs of

(a) an effective amount of a compound according to claim 1 and/or pharmaceutically acceptable salts, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios, and

(b) an effective amount of a further medicament active ingredient.