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(54) Title
SUBSTITUTED ARYLALKYLTHIOALKYLTHIOPYRIDINES FOR USE IN THE CONTROL OF HELICOBACTER BACTERIA

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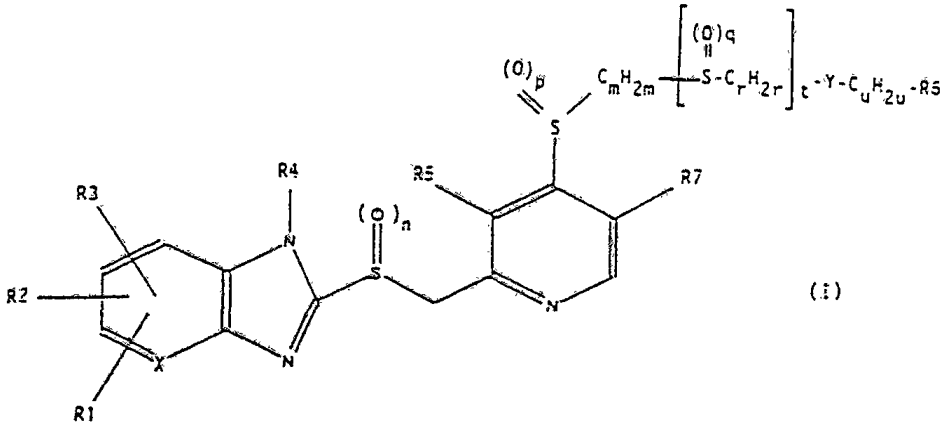
(57) Claim

1. A compound of the formula I



(I)

../2

in which

X is CH or N,

Y is S, SO, SO_2, O, NH or N-1-4C-alkyl,

R1 is hydrogen, 1-4C-alkyl, 1-4C-alkoxy or halogen,

R2 is hydrogen, 1-4C-alkyl, 1-4C-alkoxy, halogen, trifluoromethyl, completely or predominantly fluorine-substituted 1-4C-alkoxy, chlorodifluoromethoxy, 2-chloro-1,1,2-trifluoroethoxy or together with R3, if desired, completely or partially fluorine-substituted 1-2C-alkylenedioxy or chlorotrifluoroethylenedioxy,

R3 is hydrogen, completely or predominantly fluorine-substituted 1-4C-alkoxy, chlorodifluoromethoxy, 2-chloro-1,1,2-trifluoroethoxy or together with R2, if desired, completely or partially fluorine-substituted 1-2C-alkylenedioxy or chlorotrifluoroethylenedioxy,

R4 is hydrogen, 1-4C-alkyl, R14-substituted 1-4C-alkyl, 1-4C-alkylcarbonyl, 2-4C-alkenylcarbonyl, halo-1-4C-alkylcarbonyl, N(R15)R16-1-4C-alkylcarbonyl, di-1-4C-alkylcarbamoyl or 1-4C-alkylsulfonyl,

R5 is hydrogen, 1-4C-alkyl or 1-4C-alkoxy,

R6 is a mono- or di-1-4C-alkylcarbamoyl or -thiocarbamoyl radical, an N-1-4C-alkyl-N'-cyanoamidino radical, a 1-N-1-4C-alkylamino-2-nitroethylene radical, an N-2-propynyl-N'-cyanoamidino radical, an aminosulfonylamidino radical, or an R8- and R9-substituted cyclic system or bicyclic system which is selected from the group consisting of benzene, furan, thiophene, pyrrole, oxazole, isoxazole, thiazole, thiazoline, isothiazole, imidazole, imidazoline, pyrazole, triazole, tetrazole, thiadiazole, thiadiazole-1-oxide, oxadiazole, pyridine, pyridine-N-oxide, pyrimidine, triazine, pyridone, benzimidazole, imidazopyridine, benzothiazole and benzoxazole,

R7 is hydrogen, 1-4C-alkyl or 1-4C-alkoxy,

R8 is hydrogen, 1-4C-alkyl, hydroxyl, 1-4C-alkoxy, halogen, nitro, guanidino, carboxyl, 1-4C-alkoxy-carbonyl, R10-substituted 1-4C-alkyl or -N(R11)R12,

R9 is hydrogen, 1-4C-alkyl, hydroxyl, 1-4C-alkoxy, fluorine or trifluoromethyl,

R10 is hydroxyl, 1-4C-alkoxy, carboxyl, 1-4C-alkoxycarbonyl or -N(R11)R12, where

R11 is hydrogen, 1-4C-alkyl or -CO-R13 and

R12 is hydrogen or 1-4C-alkyl, or where

R11 and R12, together and including the nitrogen atom to which both are bonded, are a piperidino or morpholino radical,

R13 is hydrogen, 1-4C-alkyl or 1-4C-alkoxy,

R14 is hydroxyl, 1-4C-alkoxy, carboxyl, 1-4C-alkoxy-carbonyl or -N(R15)R16, where

R15 is 1-4C-alkyl and

R16 is 1-4C-alkyl, or where

R15 and R16, together and including the nitrogen atom to which both are bonded, are a piperidino or morpholino radical,

m is a number from 2 to 7,

n is the number 0 or 1,

p is the number 0 or 1,

q is the number 0, 1 or 2,

r is a number from 2 to 7,

t is the number 0 or 1 and

u is a number from 0 to 7

and their salts,

those compounds of the formula I being excluded in which Y is S or SO and, at the same time, X is CH, t is the number 0, u is the number 0, R4 is hydrogen or 1-4C-alkyl and R6 is an R8- and R9-substituted cyclic system or bicyclic system which is selected from the

group consisting of benzene, furan, thiophene, pyrrole, oxazole, isoxazole, thiazole, thiazoline, isothiazole, imidazole, imidazoline, pyrazole, triazole, tetrazole, thiadiazole, oxadiazole, pyridine, pyridine-N-oxide, pyrimidine and benzimidazole, and furthermore those compounds of the formula I being excluded in which Y is NH or N-1-4C-alkyl and, at the same time, t is the number 0 and R5 is hydrogen or 1-4C-alkyl.

15. A method for the treatment of mammals suffering from diseases which are based on Helicobacter bacteria, comprising the step of administering a compound of the general formula I as claimed in claim 1 and/or its pharmacologically tolerable salts to said mammal.

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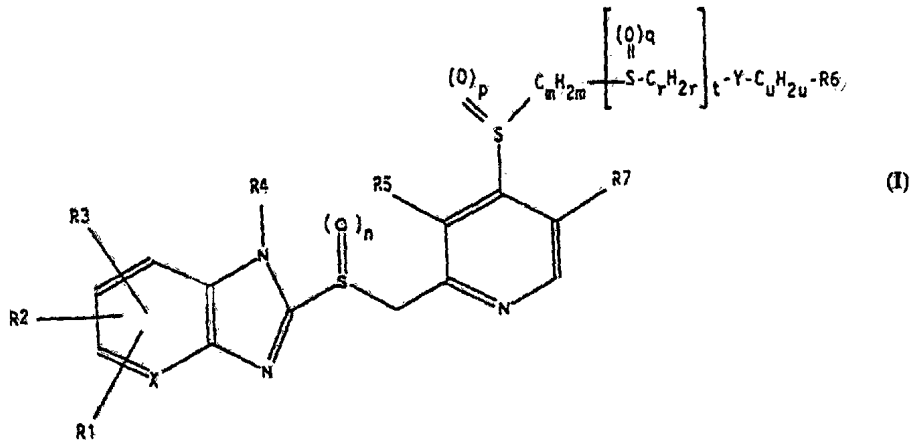
Veröffentlicht
Mit internationalem Recherchenbericht.

(54) Title: SUBSTITUTED ARYLALKYLTHIOALKYLTHIOPYRIDINES FOR USE IN THE CONTROL OF HELICOBACTER BACTERIA

(54) Bezeichnung: SUBSTITUIERTE ARYLALKYLTHIOALKYLTHIOPYRIDINE ZUR BEKÄMPFUNG VON HELICOBACTER-BAKTERIEN



(I)

(57) Abstract

Described are compounds of formula (I) in which the substituents and symbols are as defined in the specification. Such compounds are suitable for use in the control of Helicobacter bacteria.

(57) Zusammenfassung

Verbindungen der Formel (I), worin die Substituenten und Symbole die in der Beschreibung angegebenen Bedeutungen haben, eignen sich zur Bekämpfung von Helicobacter-Bakterien.

SUBSTITUTED ARYLALKYLTHIOALKYLTHIOPYRIDINES FOR THE CONTROL OF HELICOBACTER BACTERIA

Application area of the invention

The invention relates to compounds which are intended to be used in the pharmaceutical industry as active compounds for the production of medicaments.

Known technical background

European Patent Application 150 586 discloses 2-(pyridylmethylthio- or -sulfinyl)benzimidazoles which can be substituted in the pyridine moiety of the molecule in the 4-position, inter alia, by alkylthio or arylthio radicals. A long-lasting inhibition of gastric acid secretion is indicated for the compounds described. - International Patent Application WO89/03830 describes that the same, and other structurally similar compounds should be suitable for the treatment of osteoporosis. - International Patent Application WO92/12976 describes specifically substituted 2-(pyridylmethylthio- or -sulfinyl)-benzimidazoles which should be active against Helicobacter bacteria and for which it is furthermore disclosed that they should be suitable for the prevention and treatment of a whole series of disorders of the stomach. International Patent Application WO93/24480 describes other specifically substituted 2-(pyridylmethylthio- or -sulfinyl)-benzimidazoles which should be active against Helicobacter bacteria.

Description of the invention

The invention relates to compounds of the formula I (see attached formula sheet I) in which

X is CH or N,

Y is S, SO, SO_2, O, NH or N-1-4C-alkyl,

R1 is hydrogen, 1-4C-alkyl, 1-4C-alkoxy or halogen,

R2 is hydrogen, 1-4C-alkyl, 1-4C-alkoxy, halogen,

trifluoromethyl, completely or predominantly fluorine-substituted 1-4C-alkoxy, chlorodifluoromethoxy, 2-chloro-1,1,2-trifluoroethoxy or together with R3, if desired, completely or partially fluorine-substituted 1-2C-alkylenedioxy or chlorotrifluoroethylenedioxy,

R3 is hydrogen, completely or predominantly fluorine substituted 1-4C-alkoxy, chlorodifluoromethoxy, 2-chloro-1,1,2-trifluoroethoxy or together with R2, if desired, completely or partially fluorine substituted 1-2C-alkylenedioxy or chlorotrifluoroethylenedioxy,

R4 is hydrogen, 1-4C-alkyl, R14-substituted 1-4C-alkyl, 1-4C-alkylcarbonyl, 2-4C-alkenylcarbonyl, halo-1-4C-alkylcarbonyl, N(R15)R16-1-4C-alkylcarbonyl, di-1-4C-alkylcarbamoyl or 1-4C-alkylsulfonyl,

R5 is hydrogen, 1-4C-alkyl or 1-4C-alkoxy,

R6 is a mono- or di-1-4C-alkylcarbamoyl or -thio carbamoyl radical, an N-1-4C-alkyl-N'-cyanoamidino radical, a 1-N-1-4C-alkylamino-2-nitroethylene radical, an N-2-propynyl-N'-cyanoamidino radical, an aminosulfonylamidino radical, or an R8- and R9-substituted cyclic system or bicyclic system which is selected from the group consisting of benzene, furan, thiophene, pyrrole, oxazole, isoxazole, thiazole, thiazoline, isothiazole, imidazole, imidazoline, pyrazole, triazole, tetrazole, thiadiazole, thiadiazole-1-oxide, oxadiazole, pyridine, pyridine-N-oxide, pyrimidine, triazine, pyridone, benzimidazole, imidazopyridine, benzothiazole and benzoxazole,

R7 is hydrogen, 1-4C-alkyl or 1-4C-alkoxy,

R8 is hydrogen, 1-4C-alkyl, hydroxyl, 1-4C-alkoxy, halogen, nitro, guanidino, carboxyl, 1-4C-alkoxycarbonyl, R10-substituted 1-4C-alkyl or -N(R11)R12,

R9 is hydrogen, 1-4C-alkyl, hydroxyl, 1-4C-alkoxy, fluorine or trifluoromethyl,

R10 is hydroxyl, 1-4C-alkoxy, carboxyl, 1-4C-alkoxy-carbonyl or -N(R11)R12, where
R11 is hydrogen, 1-4C-alkyl or -CO-R13 and
R12 is hydrogen or 1-4C-alkyl, or where
R11 and R12, together and including the nitrogen atom to which both are bonded, are a piperidino or morpholino radical,
R13 is hydrogen, 1-4C-alkyl or 1-4C-alkoxy,
R14 is hydroxyl, 1-4C-alkoxy, carboxyl, 1-4C-alkoxy-carbonyl or -N(R15)R16, where
R15 is 1-4C-alkyl and
R16 is 1-4C-alkyl, or where
R15 and R16, together and including the nitrogen atom to which both are bonded, are a piperidino or morpholino radical,
m is a number from 2 to 7,
n is the number 0 or 1,
p is the number 0 or 1,
q is the number 0, 1 or 2,
r is a number from 2 to 7,
t is the number 0 or 1 and
u is a number from 0 to 7
and their salts
those compounds of the formula I being excluded in which Y is S or SO and, at the same time, X is CH, t is the number 0, u is the number 0, R4 is hydrogen or 1-4C-alkyl and R6 is an R8- and R9-substituted cyclic system or bicyclic system which is selected from the group consisting of benzene, furan, thiophene, pyrrole, oxazole, isoxazole, thiazole, thiazoline, isothiazole, imidazole, imidazoline, pyrazole, triazole, tetrazole, thiadiazole, oxadiazole, pyridine, pyridine-N-oxide, pyrimidine and benzimidazole, and furthermore those compounds of the formula I being excluded in which Y is NH or N-1-4C-alkyl and, at the same time, t is the number 0 and R5 is hydrogen or 1-4C-alkyl.

1-4C-Alkyl represents straight-chain or branched alkyl radicals having 1 to 4 carbon atoms. Examples which may be mentioned are the butyl,

isobutyl, sec-butyl, tert-butyl, propyl, isopropyl, ethyl and methyl radical.

1-4C-Alkoxy is a radical which besides the oxygen atom contains one of the abovementioned 1-4C-alkyl radicals. Examples which may be mentioned are the methoxy and ethoxy radical.

Halogen within the meaning of the present invention is bromine, chlorine and fluorine.

As used throughout the specification and claims the term "predominantly fluorine-substituted 1-4C-alkoxy" means that more than half of the hydrogen atoms in the 1-4C-alkoxy group are replaced by fluorine atoms, while the term "completely fluorine-substituted 1-4C-alkoxy" means that all of the hydrogen atoms in 1-4C-alkoxy are replaced by fluorine atoms.

Completely or predominantly fluorine-substituted 1-4C-alkoxy which may be mentioned, for example, are the 1,2,2-trifluoroethoxy, the 2,2,3,3,3-pentafluoropropoxy, the perfluoroethoxy and in particular the 1,1,2,2-tetrafluoroethoxy, the trifluoromethoxy, the 2,2,2-trifluoroethoxy and the difluoromethoxy radical.

If desired completely or partially fluorine-substituted 1-2C-alkylenedioxy which may be mentioned, for example, are the methylenedioxy ($-O-CH_2-O-$), the ethylenedioxy ($-O-CH_2-CH_2-O-$), the 1,1-difluoroethylene-dioxy ($-O-CF_2-CH_2-O$), the 1,1,2,2-tetrafluoroethylene-dioxy($-O-CF_2-CF_2-O-$) and in particular the difluoromethylenedioxy ($-O-CF_2-O-$) and the 1,1,2-trifluoroethylenedioxy radical ($-O-CF_2-CHF-O-$).

If R2 and R3 together, if desired, are completely or partially fluorine-substituted 1-2C-alkylenedioxy or chlorotrifluoroethylenedioxy, the substituents R2 and R3 are bonded in neighbouring positions - preferably to positions 5 and 6 - on the benzo moiety of the benzimidazole ring.

Exemplary, R14-substituted 1-4C-alkyl radicals which may be mentioned are the 2-methoxycarbonylethyl, the

2-ethoxycarbonylethyl, the methoxycarbonylmethyl, the carboxymethyl, the 2-hydroxyethyl, the methoxymethyl, the 2-methoxyethyl, the dimethylaminomethyl and the 2-dimethylaminoethyl radical.

1-4C-alkylcarbonyl is a radical which besides the carbonyl group contains one of the abovementioned 1-4C-alkyl radicals. An example which may be mentioned is the acetyl radical.

2-4C-Alkenylcarbonyl is a radical which besides

the carbonyl group contains a 2-4C-alkenyl radical, for example a propenyl radical or a butenyl radical. An example which may be mentioned is the acryloyl radical.

Halo-1-4C-alkylcarbonyl is a radical which besides the carbonyl group contains a halo-substituted 1-4C-alkyl radical. An example which may be mentioned is the γ-chlorobutyryl radical.

N(R15)R16-1-4C-Alkylcarbonyl is a radical which besides the carbonyl group contains an -N(R15)R16-substituted 1-4C-alkyl radical. An example which may be mentioned is the 3-dimethylaminopropionyl radical.

Di-1-4C-alkylcarbamoyl is a radical which besides the carbonyl group contains a di-1-4C-alkylamino radical. The di-1-4C-alkylamino radical is an amino radical which is substituted by two 1-4C-alkyl radicals which are identical to or different from the abovementioned 1-4C-alkyl radicals. Examples which may be mentioned are the dimethylamino, the diethylamino and the diisopropylamino radical. Di-1-4C-alkylcarbamoyl radicals which may be mentioned are, for example, the dimethylcarbamoyl and the diethylcarbamoyl radical.

1-4C-Alkylsulfonyl is a radical which besides the sulfonyl group ($-SO_2-$) contains one of the abovementioned 1-4C-alkyl radicals. An example which may be mentioned is the methylsulfonyl radical.

Mono- or di-1-4C-alkylcarbamoyl radicals are carbamoyl radicals ($-CO-NH_2$) which are substituted by one or two 1-4C-alkyl radicals which are identical to or different from the abovementioned 1-4C-alkyl radicals. Examples which may be mentioned are the methylcarbamoyl, the isopropylcarbamoyl and the dimethylcarbamoyl radical.

Mono- or di-1-4C-alkylthiocarbamoyl radicals are thiocarbamoyl radicals ($-CS-NH_2$), which are substituted by one or two 1-4C-alkyl radicals which are identical to or different from the abovementioned 1-4C-alkyl radicals. Examples which may be mentioned are the methylthiocarbamoyl, the isopropylthiocarbamoyl and the dimethylthiocarbamoyl radical.

An N-1-4C-alkyl-N'-cyanoamidino radical which may be mentioned as an example is in particular the N-methyl-N'-cyanoamidino radical [-C(=NCN)-NH-CH_3].

A 1-N-1-4C-alkylamino-2-nitroethylene radical which may be mentioned as an example is in particular the 1-N-methylamino-2-nitroethylene radical [-C($NHCH_3$)=$CHNO_2$].

Exemplary radicals -Y-C_uH_{2u}-R6 where R6 = an N-1-4C-alkyl-N'-cyanoamidino radical, 1-N-1-4C-alkylamino-2-nitroethyl [sic] radical or N-2-propynyl-N'-cyanoamidino radical are in particular those radicals in which Y has the meaning NH and u is the number 0. In this connection, as the radical -Y-C_uH_{2u}-R6 particular mention may be made of the radicals -NH-C(=NCN)NH-CH_3, -NH-C($NHCH_3$)=$CHNO_2$ and -NH-C(=NCN)NH-$CH_2C{\equiv}CH$.

The group C_uH_{2u} is preferably bound to a carbon atom of the cyclic system or bicyclic system R6 concerned, so that radicals R6 (if R6 is a cyclic system or bicyclic system) which may be mentioned as examples are the radicals: phenyl, 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 3-pyrrolyl, 2-oxazolyl, 4-oxazolyl, 4-isoxazolyl, 5-isoxazolyl, 2-thiazolyl, 3-isothiazolyl, 2-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 1,2,3-triazol-4-yl, 1,2,5-thiadiazol-4-yl, 1,2,5-thiadiazol-4-yl-1-oxide, 1,2,4-triazol-3-yl, tetrazol-5-yl, 1,3,4-thiadiazol-2-yl, 1,2,3-thiadiazol-4-yl, 1,2,5-thiadiazol-4-yl, 1,2,5-thiadiazol-4-yl-1-oxide, 1,3,4-oxadiazol-2-yl, 2-pyridyl, 4-pyridyl, 2-pyrimidinyl, 1,3,4-triazin-2-yl, 2-benzimidazolyl, 2-imidazopyridyl, 2-benzothiazolyl and 2-benzoxazolyl.

1-4C-Alkoxycarbonyl is a radical which besides the carbonyl group contains one of the abovementioned 1-4C-alkoxy radicals. Examples which may be mentioned are the methoxycarbonyl and the ethoxycarbonyl radical.

The substitutents R8 and R9 can be bonded into the cyclic systems or bicyclic systems R6 at any conceivable position. Exemplary, R8- and R9-substituted radicals R6 which may be mentioned are: 4-methylphenyl,

3-dimethylaminomethylphenyl, 3-piperidinomethylphenyl, 3-carboxymethylphenyl, 2-dimethylaminomethyl-5-methyl-3-furyl, 1-methylpyrrol-3-yl, 4,5-dimethyloxazol-2-yl, 3,5-dimethylisoxazol-4-yl, ,5-dimethylthiazol-2-yl, 4-methyl-5-carboxymethylthiazol-2-yl, 1-methylimidazol-2-yl, 1-methylpyrazol-3-yl, 1-(2-dimethylaminoethyl)-pyrazol-3-yl, 5-methyl-1,3,4-oxadiazol-2-yl, 1-methyl-1,2,3-triazol-4-yl, 1-methyl-1,2,4-triazol-3-yl, 1-(2-dimethylaminoethyl)-1,2,3-triazol-4-yl, 1-methyltetrazol-5-yl, 1-(2-dimethylaminoethyl) tetrazol-5-yl, 1-carboxymethyltetrazol-5-yl, 5-methyl-1,3,4-thiadiazol-2-yl, 5-trifluoromethyl-1,3,4-thiadiazol-2-yl, 1-(2-hydroxyethyl) tetrazol-5-yl, 2-amino-1,3,4-thiadiazol-2-yl, 3-amino-1,2,4-triazol-5-yl, 4-methyl-5-trifluoromethyl-1,2,4-triazol-3-yl and 4-aminopyrimidin-2-yl.

Possible radicals $-C_mH_{2m}-$, $-C_rH_{2r}-$ and $-C_uH_{2u}-$ are straight-chain or branched radicals. Examples which may be mentioned are the heptylene, isoheptylene (2-methylhexylene), hexylene, isohexylene (2-methylpentylene), neohexylene (2,2-dimethylbutylene), pentylene, isopentylene (3-methylbutylene), neopentylene (2,2-dimethylpropylene), butylene, isobutylene, sec-butylene, tert-butylene, propylene, isopropylene, ethylene and (for $-C_uH_{2u}$) the methylene radical.

Radicals $-C_mH_{2m}$ which may preferably be mentioned are the ethylene ($-CH_2CH_2-$) and the butylene ($-CH_2CH_2CH_2CH_2-$) and in particular the propylene radical ($-CH_2CH_2CH_2-$).

Radicals $-C_rH_{2r}-$ which may preferably be mentioned are the ethylene, the propylene and the butylene radical.

Radicals $-C_uH_{2u}-$ which may preferably be mentioned are the methylene, the ethylene and the propylene radical. In a further preferred embodiment, u is the number 0, so that the term C_uH_{2u} disappears or is a bonding dash and the radical R6 is directly bonded to the group Y.

In a further preferred embodiment, t is the

number 0, so that the term $S(=O)_q-C_rH_{2r}$ disappears [sic] or is a bonding dash and the group Y is bonded directly to the group C_mH_{2m}.

Exemplary radicals bonded in the 4-position on the pyridine ring to the group $S(=O)_p$ which may be mentioned are: phenylthiopentyl, phenylthioethyl, phenylthiopropyl, phenylthiobutyl, 4-methylphenylthioethyl, 4-methylphenylthiopropyl, 3-dimethylaminomethylphenylthioethyl, 3-dimethylaminomethylphenylthiopropyl, 3-piperidinomethylphenylthioethyl, 3-piperidinomethylphenylthiopropyl, 3-piperidinomethylphenylthiobutyl, 1-methylpyrrol-3-thioethyl, 4,5-dimethyloxazole-2-thiopropyl, 3,5-dimethylisoxazole-5-thioethyl, 3,5-dimethylisoxazole-5-thiopropyl, thiazole-2-thioethyl, thiazole-2-thiopropyl thiazole-2-thiobutyl, 4-methyl-5-carboxymethylthiazole-2-thiopropyl, 1-methylimidazole-2-thioethyl, 1-methylimidazole-2-thiopropyl, 1-methylimidazole-2-thiobutyl, imidazole-2-thioethyl, imidazole-2-thiopropyl, pyrazole-3-thiopropyl, 1-(2-dimethylaminoethyl) pyrazole-2-thioethyl, 1,3,4-oxadiazole-2-thioethyl, 1,3,4-oxdiazole-2-thiopropyl [sic], 1,2,3-triazole-4-thioethyl, 1,2,3-triazole-4-thiopropyl, 1,2,3-triazole-4-thiobutyl, 1-methyl-1,2,3-triazole-4-thioethyl, 1-methyl-1,2,3-triazole-4-thiopropyl, 1,2,4-triazole-3-thioethyl, 1,2,4-triazole-3-thiopropyl, 3-amino-1,2,4-triazole-5-thioethyl, 3-amino-1,2,4-triazole-5-thiopropyl, 4-methyl-5-trifluoromethyl-1,2,4-triazole-3-thioethyl,

4-methyl-5-trifluoromethyl-1,2,4-triazole-3-thiopropyl,
1-methyl-1,2,4-triazole-3-thioethyl,
1-methyl-1,2,4-triazole-3-thiopropyl,
1-methyl-1,2,4-triazole-3-thiobutyl,
tetrazole-5-thioethyl,
tetrazole-5-thiopropyl,
tetrazole-5-thiobutyl,
1-methyltetrazole-5-thioethyl,
1-methyltetrazole-5-thiopropyl,
1-methyltetrazole-5-thiobutyl,
1-(2-dimethylaminoethyl) tetrazole-5-thioethyl,
1-(2-dimethylaminoethyl) tetrazole-5-thiopropyl,
1-(2-hydroxyethyl) tetrazole-5-thioethyl,
1-(2-hydroxyethyl) tetrazole-5-thiopropyl,
1,3,4-thiadiazole-2-thioethyl,
1,3,4-thiadiazole-2-thiopropyl,
5-methyl-1,3,4-thiadiazole-2-thioethyl,
5-methyl-1,3,4-thiadiazole-2-thiopropyl,
5-methyl-1,3,4-thiadiazole-2-thiobutyl,
5-trifluoromethyl-1,3,4-thiadiazole-2-thioethyl,
5-trifluoromethyl-1,3,4-thiadiazole-2-thiopropyl,
1,2,3-thiadiazole-4-thioethyl,
1,2,3-thiadiazole-4-thiopropyl,
1-carboxymethyltetrazole-5-thioethyl,
1-carboxymethyltetrazole-5-thiopropyl,
2-pyridylthioethyl,
2-pyridylthiopropyl,
2-pyridylthiobutyl,
4-pyridylthioethyl,
4-pyridylthiopropyl,
4-pyridylthiobutyl,
2-pyrimidinethioethyl,
2-pyrimidinethiopropyl,
2-pyrimidinethiobutyl,
4-aminopyrimidine-2-thioethyl,
4-aminopyrimidine-2-thiopropyl,
2-benzimidazolethioethyl,
2-benzimidazolethiopropyl,
4-methylthiazole-5-ethylthiopropyl,

2-guanidinothiazole-4-methylthiopropyl,
furyl-2-methylthiopropyl,
5-dimethylaminomethylfuryl-2-methylthiopropyl,
imidazopyridine-2-thiopropyl,
benzoxazole-2-thiopropyl,
benzothiazole-2-thiopropyl,
4-methylphenylmethylthiopropyl,
dimethylcarbamoylthiopropyl,
dimethylthiocarbamoylthiopropyl,
N-methyl-N'-cyanoamidinothiopropyl,
phenoxyethyl,
phenoxypropyl,
4-methylthiazole-5-ethylthioethyl,
2-guanidinothiazole-4-methylthioethyl,
furyl-2-methylthioethyl,
5-dimethylaminomethylfuryl-2-methylthioethyl,
imidazopyridin-2-thioethyl,
benzoxazole-2-thioethyl,
benzothiazole-2-thioethyl,
4-methylphenylmethylthioethyl,
dimethylcarbamoylthioethyl,
dimethylthiocarbamoylthioethyl,
N-methyl-N'-cyanoamidinothioethyl,
4-methylthiazole-5-ethylthiobutyl,
2-guanidinothiazole-4-methylthiobutyl,
furyl-2-methylthiobutyl,
5-dimethylaminomethylfuryl-2-methylthiobutyl,
imidazopyridine-2-thiobutyl,
benzoxazole-2-thiobutyl,
benzothiazole-2-thiobutyl,
4-methylphenylmethylthiobutyl,
dimethylcarbamoylthiobutyl,
dimethylthiocarbamoylthiobutyl,
N-methyl-N'-cyanoamidinothiobutyl,
4-methylthiazole-5-thioethyl,
4-methylthiazole-5-thiopropyl,
4-methylthiazole-5-thiobutyl,
1-methoxycarbonylmethyltetrazole-5-thioethyl,
1-methoxycarbonylmethyltetrazole-5-propyl,

1-methoxycarbonylmethyltetrazole-5-butyl,
thienyl-2-methylthioethyl,
thienyl-2-methylthiopropyl,
thienyl-2-methylthiobutyl,
thienyl-2-ethylthioethyl,
thienyl-2-ethylthiopropyl,
thienyl-2-ethylthiobutyl,
5-chlorothienyl-2-methylthioethyl,
5-chlorothienyl-2-methylthiopropyl,
5-chlorothienyl-2-methylthiobutyl,
4-pyridylmethylthioethyl,
4-pyridylmethylthiopropyl,
4-pyridylmethylthiobutyl,
2-pyridylmethylthioethyl,
2-pyridylmethylthiopropyl,
2-pyridylmethylthiobutyl,
2-pyridinylethylthioethyl,
2-pyridinylethylthiopropyl,
2-pyridinylethylthiobutyl,
3-dimethylaminomethylphenylmethylthioethyl,
3-dimethylaminomethylphenylmethylthiopropyl,
3-dimethylaminomethylphenylmethylthiobutyl,
2-benzimidazolemethylthiopropyl,
2-benzimidazolemethylthiobutyl,
5-nitroimidazole-1-ethylthioethyl,
5-nitroimidazole-1-ethylthiopropyl,
5-nitroimidazole-1-ethylthiobutyl,
2-methyl-5-nitroimidazole-1-ethylthioethyl,
2-methyl-5-nitroimidazole-1-ethylthiopropyl,
2-methyl-5-nitroimidazole-1-ethylthiobutyl,
5-nitroimidazole-1-propylthioethyl,
5-nitroimidazole-1-propylthiopropyl,
5-nitroimidazole-1-propylthiobutyl,
2-methyl-5-nitroimidazole-1-propylthioethyl,
2-methyl-5-nitroimidazole-1-propylthiopropyl,
2-methyl-5-nitroimidazole-1-propylthiobutyl.

Suitable salts of compounds of the formula I in which n is the number 0 are all acid addition salts. The pharmacologically tolerable salts of the inorganic

and organic acids customarily used in pharmacy may be particularly mentioned. Pharmacologically nontolerable salts, which can initially be obtained, for example, as process products in the preparation of the compounds according to the invention on the industrial scale, are converted into pharmacologically tolerable salts by methods known to the person skilled in the art. Those suitable are water-soluble and water-insoluble acid addition salts with acids such as, for example, hydrochloric acid, hydrobromic acid, phosphoric acid, nitric acid, sulfuric acid, acetic acid, citric acid, D-gluconic acid, benzoic acid, 2-(4-hydroxybenzoyl)-benzoic acid, butyric acid, sulfosalicylic acid, maleic acid, lauric acid, malic acid, fumaric acid, succinic acid, oxalic acid, tartaric acid, embonic acid, stearic acid, toluenesulfonic acid, methanesulfonic acid or 3-hydroxy-2-naphthoic acid, the acids being employed in salt preparation - depending on whether a mono- or polybasic acid is concerned and depending on which salt is desired - in an equimolar quantitative ratio or one differing therefrom.

For compounds of the formula I in which n is the number 1 and/or for compounds having a carboxyl radical, suitable salts are also salts with bases. Examples of basic salts which may be mentioned are lithium, sodium, potassium, calcium, aluminum, magnesium, titanium, ammonium, meglumine or guanidinium salts, the bases being also employed here in salt preparation in an equimolar quantitative ratio or one differing therefrom.

One embodiment of the invention are compounds of the formula I in which X has the meaning CH.

A further embodiment of the invention are compounds of the formula I in which X has the meaning N.

A further embodiment of the invention are compounds of the formula I in which t is the number 1.

A further embodiment of the invention are compounds of the formula I in which u is a number from 1 to 7.

A further embodiment of the invention are compounds of the formula I in which Y has the meaning O (oxygen).

A further embodiment of the invention are compounds of the formula I in which X has the meaning CH, Y has the meaning S, t is the number 0 and u is a number from 1 to 7.

A further embodiment of the invention are compounds of the formula I in which X has the meaning CH, Y has the meaning S, t is the number 0, u is the number 0 and R4 is R14-substituted 1-4C-alkyl, 1-4C-alkylcarbonyl, 2-4C-alkenylcarbonyl, halo-1-4C-alkylcarbonyl, N(R15)R16-1-4C-alkylcarbonyl, di-1-4C-alkylcarbamoyl or 1-4C-alkylsulfonyl.

A further embodiment of the invention are compounds of the formula I in which Y has the meaning S, t is the number 0, u is the number 0 and R6 is a mono- or di-1-4C-alkylcarbamoyl or thiocarbamoyl radical, an N-1-4C-alkyl-N'-cyanoamidino radical, a 1-N-1-4C-alkylamino-2-nitroethyl [sic] radical, an N-2-propynyl-N'-cyanoamidino radical, an aminosulfonylamidino radical, or an R8- and R9-substituted cyclic system which is selected from the group consisting of thiadiazole-1-oxide, triazine, pyridone, imidazopyridine, benzothiazole and benzoxazole.

A further embodiment of the invention are compounds of the formula I in which Y is NH or N-1-4C-alkyl, t is the number 0 and R5 is 1-4C-alkoxy.

Compounds of the formula I to be emphasized are those in which

X is CH or N,

Y is S, SO_2, O or N-1-4C-alkyl,

R1 is hydrogen, 1-4C-alkoxy or halogen,

R2 is hydrogen, 1-4C-alkyl, 1-4C-alkoxy or halogen,

R3 is hydrogen,

R4 is hydrogen, R14-substituted 1-4C-alkyl, N(R15)R16-1-4C-alkylcarbonyl or 1-4C-alkylsulfonyl,

R5 is hydrogen, 1-4C-alkyl or 1-4C-alkoxy,

R6 is a mono- or di-1-4C-alkylthiocarbamoyl radical, an N-1-4C-alkyl-N'-cyanoamidino radical, a 1-N-1-4C-alkylamino-2-nitroethylene radical, an N-2-propynyl-N'-cyanoamidino radical, or an R8- and R9-substituted cyclic system or bicyclic system which is selected from the group consisting of benzene, furan, thiophene, oxazole, isoxazole, thiazole, thiazoline, isothiazole, imidazole, pyrazole, triazole, tetrazole, thiadiazole, thiadiazole-1-oxide, oxadiazole, pyridine, pyrimidine, triazine, pyridone, benzimidazole, imidazopyridine, benzothiazole and benzoxazole,

R7 is hydrogen or 1-4C-alkyl,

R8 is hydrogen, 1-4C-alkyl, hydroxyl, nitro, guanidino, carboxyl, 1-4C-alkoxycarbonyl or R10-substituted 1-4C-alkyl,

R9 is hydrogen, 1-4C-alkyl, hydroxyl, 1-4C-alkoxy or fluorine,

R10 is hydroxyl, 1-4C-alkoxy, carboxyl, 1-4C-alkoxycarbonyl or -N(R11)R12, where

R11 is 1-4C-alkyl or -CO-R13 and

R12 is 1-4C-alkyl, or where

R11 and R12, together and including the nitrogen atom to which both are bonded, are a piperidino or morpholino radical,

R13 is 1-4C-alkyl,

R14 is hydroxyl, 1-4C-alkoxycarbonyl or -N(R15)R16, where

R15 is 1-4C-alkyl and

R16 is 1-4C-alkyl, or where

R15 and R16, together and including the nitrogen atom to which both are bonded, are a piperidino or morpholino radical,

m is a number from 2 to 4,

n is the number 0 or 1,

p is the number 0,

q is the number 0 or 2,

r is a number from 2 to 4,

t is the number 0 or 1 and

u is a number from 0 to 3

and their salts,

those compounds of the formula I being excluded in which Y is S and, at the same time, X is CH, t is the number 0, u is the number 0, R4 is hydrogen and R6 is an R8- and R9-substituted cyclic system or bicyclic system which is selected from the group consisting of benzene, furan, thiophene, oxazole, isoxazole, thiazole, thiazoline, isothiazole, imidazole, pyrazole, triazole, tetrazole, thiadiazole, oxadiazole, pyridine, pyrimidine and benzimidazole, and where furthermore those compounds of the formula I are excluded in which Y is N-1-4C-alkyl and, at the same time, t is the number 0 and R5 is hydrogen or 1-4C-alkyl.

Compounds of the formula I particularly to be emphasized are those in which

X is CH or N,

Y is S or SO_2,

R1 is hydrogen, 1-4C-alkoxy or fluorine,

R2 is hydrogen, 1-4C-alkyl or fluorine,

R3 is hydrogen,

R4 is hydrogen, R14-substituted 1-4C-alkyl or 1-4C-alkylsulfonyl,

R5 is hydrogen or 1-4C-alkyl,

R6 is a di-1-4C-alkylthiocarbamoyl radical, an N-1-4C-alkyl-N'-cyanoamidino radical or an R8- and R9-substituted cyclic system which is selected from the group consisting of benzene, furan, thiophene, thiazole, imidazole, triazole, tetrazole, thiadiazole, pyridine, pyrimidine and triazine,

R7 is hydrogen or 1-4C-alkyl,

R8 is hydrogen, 1-4C-alkyl, hydroxyl, nitro, guanidino, carboxyl, 1-4C-alkoxycarbonyl or R10-substituted 1-4C-alkyl,

R9 is hydrogen, 1-4C-alkyl, hydroxyl or fluorine,

R10 is hydroxyl, 1-4C-alkoxycarbonyl or -N(R11)R12, where

R11 is 1-4C-alkyl and

R12 is 1-4C-alkyl, or where
R11 and R12, together and including the nitrogen atom to which both are bonded, are a piperidino or morpholino radical,
R14 is 1-4C-alkoxycarbonyl or -N(R15)R16, where
R15 is 1-4C-alkyl and
R16 is 1-4C-alkyl, or where
R15 and R16, together and including the nitrogen atom to which both are bonded, are a piperidino or morpholino radical,
m is a number from 2 to 4,
n is the number 0,
p is the number 0,
t is the number 0 and
u is a number from 0 to 3
and their salts,
those compounds of the formula I being excluded in which Y is S and, at the same time, X is CH, u is the number 0, R4 is hydrogen and R6 is an R8- and R9-substituted cyclic system which is selected from the group consisting of benzene, furan, thiophene, thiazole, imidazole, triazole, tetrazole, thiadiazole, pyridine and pyrimidine.

Preferred compounds of the formula I are those in which

X is CH or N,
Y is S or SO_2,
R1 is hydrogen, 1-4C-alkoxy or fluorine,
R2 is hydrogen or fluorine,
R3 is hydrogen,
R4 is hydrogen,
R5 is 1-4C-alkyl,
R6 is a di-1-4C-alkylthiocarbamoyl radical or an R8- and R9-substituted cyclic system which is selected from the group consisting of benzene, furan, thiophene, thiazole, imidazole, triazole, tetrazole, thiadiazole, pyridine and pyrimidine,
R7 is hydrogen,
R8 is hydrogen, nitro, 1-4C-alkoxycarbonyl or R10-

substituted 1-2C-alkyl,
R9 is hydrogen or 1-4C-alkyl,
R10 is 1-4C-alkoxycarbonyl or -N(R11)R12, where
R11 is 1-4C-alkyl and
R12 is 1-4C-alkyl, or where
R11 and R12, together and including the nitrogen atom to which both are bonded, are a piperidino or morpholino radical,
m is the number 2 or 3,
n is the number 0,
p is the number 0,
t is the number 0 and
u is a number from 1 to 3
and their salts.

One embodiment of the preferred compounds are those in which X has the meaning CH.

A further embodiment of the preferred compounds are those in which X has the meaning N.

A further embodiment of the preferred compounds are those in which Y has the meaning S.

A further embodiment of the preferred compounds are those in which R1 and R2 are hydrogen.

A further embodiment of the prefered compounds are those in which u is the number 1.

A further embodiment of the prefered compounds are those in which u is the number 2.

A further embodiment of the preferred compounds are those in which m is the number 2.

A further embodiment of the preferred compounds are those in which m is the number 3.

Particularly preferred compounds of the formula I are those in which
X is CH or N,
Y is S,
R1 is hydrogen,
R2 is hydrogen,
R3 is hydrogen,
R4 is hydrogen,
R5 is 1-4C-alkyl,

R6 is an R8- and R9-substituted cyclic system which is selected from the group consisting of benzene, furan, thiophene, thiazole, imidazole, triazole, tetrazole, thiadiazole, pyridine and pyrimidine,
R7 is hydrogen,
R8 is nitro,
R9 is hydrogen or 1-4C-alkyl,
m is the number 2 or 3,
n is the number 0,
p is the number 0,
t is the number 0 and
u is a number from 1 to 3
and their salts.

Very particularly preferred compounds of the formula I are those in which
X is CH or N,
Y is S,
R1 is hydrogen,
R2 is hydrogen,
R3 is hydrogen,
R4 is hydrogen,
R5 is 1-4C-alkyl,
R6 is R8- and R9-substituted imidazole,
R7 is hydrogen,
R8 is nitro,
R9 is hydrogen or 1-4C-alkyl,
m is the number 2 or 3,
n is the number 0,
p is the number 0,
t is the number 0 and
u is a number from 1 to 3
and their salts.

Exemplary compounds according to the invention are listed in the following tables:

TABLE 1

Compounds of the formula I (see attached formula sheet I) where n = 0, p = 0, q = 0, R6 = dimethylcarbamoyl and with the following further substituent

meanings:

X	Y	R1	R2	R3	R4	R5	R7	m	r	t	u
CH	S	H	H	H	H	CH_3	H	2	-	0	0
CH	S	OCH_3	H	H	H	CH_3	H	2	-	0	0
CH	S	F	H	H	H	CH_3	H	2	-	0	0
CH	S	F	F	H	H	CH_3	H	3	-	0	0
CH	S	H	H	H	H	CH_3	H	3	-	0	0
CH	S	OCH_3	H	H	H	CH_3	H	3	-	0	0
CH	S	F	H	H	H	CH_3	H	3	-	0	0
CH	S	F	F	H	H	CH_3	H	3	-	0	0
N	S	H	H	H	H	CH_3	H	2	-	0	0
N	S	OCH_3	H	H	H	CH_3	H	2	-	0	0
N	S	F	H	H	H	CH_3	H	2	-	0	0
N	S	F	F	H	H	CH_3	H	3	-	0	0
N	S	H	H	H	H	CH_3	H	3	-	0	0
N	S	OCH_3	H	H	H	CH_3	H	3	-	0	0
N	S	F	H	H	H	CH_3	H	3	-	0	0
N	S	F	F	H	H	CH_3	H	3	-	0	0
CH	SO_2	H	H	H	H	CH_3	H	2	-	0	
CH	SO_2	OCH_3	H	H	H	CH_3	H	2	-	0	
CH	SO_2	F	H	H	H	CH_3	H	2	-	0	
CH	SO_2	F	F	H	H	CH_3	H	3	-	0	
CH	SO_2	H	H	H	H	CH_3	H	3	-	0	
CH	SO_2	OCH_3	H	H	H	CH_3	H	3	-	0	
CH	SO_2	F	H	H	H	CH_3	H	3	-	0	
CH	SO_2	F	F	H	H	CH_3	H	3	-	0	
N	SO_2	H	H	H	H	CH_3	H	2	-	0	
N	SO_2	OCH_3	H	H	H	CH_3	H	2	-	0	
N	SO_2	F	H	H	H	CH_3	H	2	-	0	
N	SO_2	F	F	H	H	CH_3	H	3	-	0	
N	SO_2	H	H	H	H	CH_3	H	3	-	0	
N	SO_2	OCH_3	H	H	H	CH_3	H	3	-	0	
N	SO_2	F	H	H	H	CH_3	H	3	-	0	
N	SO_2	F	F	H	H	CH_3	H	3	-	0	

TABLE 2

Compounds of the formula I (see attached formula sheet I) where n = 0, p = 0, q = 0, R6 = dimethylthiocarbamoyl and with the following further substituent meanings:

X	Y	R1	R2	R3	R4	R5	R7	m	r	t	u
CH	S	H	H	H	H	CH_3	H	2	-	0	0
CH	S	OCH_3	H	H	H	CH_3	H	2	-	0	0
CH	S	F	H	H	H	CH_3	H	2	-	0	0
CH	S	F	F	H	H	CH_3	H	2	-	0	0
CH	S	H	H	H	H	CH_3	H	3	-	0	0
CH	S	OCH_3	H	H	H	CH_3	H	3	-	0	0
CH	S	F	H	H	H	CH_3	H	3	-	0	0
CH	S	F	F	H	H	CH_3	H	3	-	0	0
N	S	H	H	H	H	CH_3	H	2	-	0	0
N	S	OCH_3	H	H	H	CH_3	H	2	-	0	0
N	S	F	H	H	H	CH_3	H	2	-	0	0
N	S	F	F	H	H	CH_3	H	2	-	0	0
N	S	H	H	H	H	CH_3	H	3	-	0	0
N	S	OCH_3	H	H	H	CH_3	H	3	-	0	0
N	S	F	H	H	H	CH_3	H	3	-	0	0
N	S	F	F	H	H	CH_3	H	3	-	0	0
CH	SO_2	H	H	H	H	CH_3	H	2	-	0	0
CH	SO_2	OCH_3	H	H	H	CH_3	H	2	-	0	0
CH	SO_2	F	H	H	H	CH_3	H	2	-	0	0
CH	SO_2	F	F	H	H	CH_3	H	2	-	0	0
CH	SO_2	H	H	H	H	CH_3	H	3	-	0	0
CH	SO_2	OCH_3	H	H	H	CH_3	H	3	-	0	0
CH	SO_2	F	H	H	H	CH_3	H	3	-	0	0
CH	SO_2	F	F	H	H	CH_3	H	3	-	0	0
N	SO_2	H	H	H	H	CH_3	H	2	-	0	0
N	SO_2	OCH_3	H	H	H	CH_3	H	2	-	0	0
N	SO_2	F	H	H	H	CH_3	H	2	-	0	0
N	SO_2	F	F	H	H	CH_3	H	2	-	0	0
N	SO_2	H	H	H	H	CH_3	H	3	-	0	0
N	SO_2	OCH_3	H	H	H	CH_3	H	3	-	0	0

CONTINUATION OF TABLE 2

X	Y	R1	R2	R3	R4	R5	R7	m	r	t	u
N	SO_2	F	H	H	H	CH_3	H	3	-	0	0
N	SO_2	F	F	H	H	CH_3	H	3	-	0	0

TABLE 3

Compounds of the formula I (see attached formula sheetI) where n = 0, p = 0, q = 0, R6 = N-methyl-N'-cyanoamidino and with the following further substituent meanings:

X	Y	R1	R2	R3	R4	R5	R7	m	r	t	u
CH	S	H	H	H	H	CH_3	H	2	-	0	0
CH	S	F	H	H	H	CH_3	H	2	-	0	0
CH	S	H	H	H	H	CH_3	H	3	-	0	0
CH	S	F	H	H	H	CH_3	H	3	-	0	0
CH	NH	H	H	H	H	OCH_3	H	2	-	0	0
CH	NH	F	H	H	H	OCH_3	H	2	-	0	0
CH	NH	H	H	H	H	OCH_3	H	3	-	0	0
CH	NH	F	H	H	H	OCH_3	H	3	-	0	0
N	S	H	H	H	H	CH_3	H	2	-	0	0
N	S	F	H	H	H	CH_3	H	2	-	0	0
N	S	H	H	H	H	CH_3	H	3	-	0	0
N	S	F	H	H	H	CH_3	H	3	-	0	0
N	NH	H	H	H	H	OCH_3	H	2	-	0	0
N	NH	F	H	H	H	OCH_3	H	2	-	0	0
N	NH	H	H	H	H	OCH_3	H	3	-	0	0
N	NH	F	H	H	H	OCH_3	H	3	-	0	0

TABLE 4

Compounds of the formula I (see attached formula sheet I) where n = 0, p = 0, q = 0, R6 = 1-N-methyl-2-nitroethyl and with the following further substituent meanings:

X	Y	R1	R2	R3	R4	R5	R7	m	r	t	u
CH	S	H	H	H	H	CH_3	H	2	-	0	0
CH	S	F	H	H	H	CH_3	H	2	-	0	0
CH	S	H	H	H	H	CH_3	H	3	-	0	0
CH	S	F	H	H	H	CH_3	H	3	-	0	0
CH	NH	H	H	H	H	OCH_3	H	2	-	0	0
CH	NH	F	H	H	H	OCH_3	H	2	-	0	0
CH	NH	H	H	H	H	OCH_3	H	3	-	0	0
CH	NH	F	H	H	H	OCH_3	H	3	-	0	0
N	S	H	H	H	H	CH_3	H	2	-	0	0
N	S	F	H	H	H	CH_3	H	2	-	0	0
N	S	H	H	H	H	CH_3	H	3	-	0	0
N	S	F	H	H	H	CH_3	H	3	-	0	0
N	NH	H	H	H	H	OCH_3	H	2	-	0	0
N	NH	F	H	H	H	OCH_3	H	2	-	0	0
N	NH	H	H	H	H	OCH_3	H	3	-	0	0
N	NH	F	H	H	H	OCH_3	H	3	-	0	0

TABLE 5

Compounds of the formula I (see attached formula sheet I) where n = 0, p = 0, q = 0, R6 = N-(2-propyn-1-yl)-N'-cyanoamimidino and with the following further substituent meanings:

X	Y	R1	R2	R3	R4	R5	R7	m	r	t	u
CH	S	H	H	H	H	CH_3	H	2	-	0	0
CH	S	F	H	H	H	CH_3	H	2	-	0	0
CH	S	H	H	H	H	CH_3	H	3	-	0	0
CH	S	F	H	H	H	CH_3	H	3	-	0	0
CH	NH	H	H	H	H	OCH_3	H	2	-	0	0

CONTINUATION OF TABLE 5

X	Y	R1	R2	R3	R4	R5	R7	m	r	t	u
CH	NH	F	H	H	H	OCH_3	H	2	-	0	0
CH	NH	H	H	H	H	OCH_3	H	3	-	0	0
CH	NH	F	H	H	H	OCH_3	H	3	-	0	0
N	S	H	H	H	H	CH_3	H	2	-	0	0
N	S	F	H	H	H	CH_3	H	2	-	0	0
N	S	H	H	H	H	CH_3	H	3	-	0	0
N	S	F	H	H	H	CH_3	H	3	-	0	0
N	NH	H	H	H	H	OCH_3	H	2	-	0	0
N	NH	F	H	H	H	OCH_3	H	2	-	0	0
N	NH	H	H	H	H	OCH_3	H	3	-	0	0
N	NH	F	H	H	H	OCH_3	H	3	-	0	0

TABLE 6

Compounds of the formula I (see attached formula sheet I) where n = 0, p = 0, q = 0, R6 = 5,6-dihydroxy-1,3,4-triazin-2-yl and with the following further substituent meanings:

X	Y	R1	R2	R3	R4	R5	R7	m	r	t	u
CH	S	H	H	H	H	CH_3	H	2	-	0	0
CH	S	OCH_3	H	H	H	CH_3	H	2	-	0	0
CH	S	F	H	H	H	CH_3	H	2	-	0	0
CH	S	F	F	H	H	CH_3	H	2	-	0	0
CH	S	H	H	H	H	CH_3	H	3	-	0	0
CH	S	OCH_3	H	H	H	CH_3	H	3	-	0	0
CH	S	F	H	H	H	CH_3	H	3	-	0	0
CH	S	F	F	H	H	CH_3	H	3	-	0	0
N	S	H	H	H	H	CH_3	H	2	-	0	0
N	S	OCH_3	H	H	H	CH_3	H	2	-	0	0
N	S	F	H	H	H	CH_3	H	2	-	0	0
N	S	F	F	H	H	CH_3	H	2	-	0	0
N	S	H	H	H	H	CH_3	H	3	-	0	0
N	S	OCH_3	H	H	H	CH_3	H	3	-	0	0
N	S	F	H	H	H	CH_3	H	3	-	0	0

CONTINUATION OF TABLE 6

X	Y	R1	R2	R3	R4	R5	R7	m	r	t	u
N	S	F	F	H	H	CH_3	H	3	-	0	0
CH	SO_2	H	H	H	H	CH_3	H	2	-	0	0
CH	SO_2	OCH_3	H	H	H	CH_3	H	2	-	0	0
CH	SO_2	F	H	H	H	CH_3	H	2	-	0	0
CH	SO_2	F	F	H	H	CH_3	H	2	-	0	0
CH	SO_2	H	H	H	H	CH_3	H	3	-	0	0
CH	SO_2	OCH_3	H	H	H	CH_3	H	3	-	0	0
CH	SO_2	F	H	H	H	CH_3	H	3	-	0	0
CH	SO_2	F	F	H	H	CH_3	H	3	-	0	0
N	SO_2	H	H	H	H	CH_3	H	2	-	0	0
N	SO_2	OCH_3	H	H	H	CH_3	H	2	-	0	0
N	SO_2	F	H	H	H	CH_3	H	2	-	0	0
N	SO_2	F	F	H	H	CH_3	H	2	-	0	0
N	SO_2	H	H	H	H	CH_3	H	3	-	0	0
N	SO_2	OCH_3	H	H	H	CH_3	H	3	-	0	0
N	SO_2	F	H	H	H	CH_3	H	3	-	0	0
N	SO_2	F	F	H	H	CH_3	H	3	-	0	0

TABLE 7

Compounds of the formula I (see attached formula sheet I) where n = 0, p = 0, q = 0, R4 = methylsulfonyl and with the following further substituent meanings:

X	Y	R1	R2	R3	R5	R6	R7	m	r	t	u
CH	S	H	H	H	CH_3	Phenyl	H	3	-	0	0
CH	S	H	H	H	CH_3	3-Dimethylaminomethylphenyl	H	3	-	0	0
CH	S	H	H	H	CH_3	3-Piperidinomethylphenyl	H	3	-	0	0
CH	S	H	H	H	CH_3	2-Thiazolyl	H	3	-	0	0
CH	S	H	H	H	CH_3	4,5-Dimethyl-2-thiazolyl	H	3	-	0	0
CH	S	H	H	H	CH_3	2-Imidazolyl	H	3	-	0	0
CH	S	H	H	H	CH_3	1-Methyl-2-imidazolyl	H	3	-	0	0
CH	S	H	H	H	CH_3	1,2,3-Triazol-4-yl	H	3	-	0	0
CH	S	H	H	H	CH_3	1-Methyl-1,2,3-triazol-4-yl	H	3	-	0	0
CH	S	H	H	H	CH_3	1,2,4-Triazol-3-yl	H	3	-	0	0

CONTINUATION OF TABLE 7

X	Y	R1	R2	R3	R5	R6	R7	m	r	t	u
CH	S	H	H	H	CH_3	4-Methyl-1,2,4-triazol-3-yl	H	3	-	0	0
CH	S	H	H	H	CH_3	Tetrazol-5-yl	H	3	-	0	0
CH	S	H	H	H	CH_3	1-Methyltetrazol-5-yl	H	3	-	0	0
CH	S	H	H	H	CH_3	1-(2-Dimethylaminoethyl)tetrazol-5-yl	H	3	-	0	0
CH	S	H	H	H	CH_3	1-(2-Hydroxyethyl)tetrazol-5-yl	H	3	-	0	0
CH	S	H	H	H	CH_3	1,2,3-Thiadiazol-4-yl	H	3	-	0	0
CH	S	H	H	H	CH_3	1,3,4-Thiadiazol-2-yl	H	3	-	0	0
CH	S	H	H	H	CH_3	5-Methyl-1,3,4-thiadiazol-2-yl	H	3	-	0	0
CH	S	H	H	H	CH_3	2-Pyridinyl	H	3	-	0	0
CH	S	H	H	H	CH_3	4-Pyridinyl	H	3	-	0	0
CH	S	H	H	H	CH_3	2-Pyrimidinyl	H	3	-	0	0
CH	S	H	H	H	CH_3	2-Benzimidazolyl	H	3	-	0	0
CH	S	H	H	H	CH_3	5,6-Dihydroxy-1,3,4-triazin-2-yl	h	3	-	0	0

TABLE 8

Compounds of the formula I (see attached formula sheet I) where n = 0, p = 0, q = 0, R4 = H and with the following further substituent meanings:

X	Y	R1	R2	R3	R5	R6	R7	m	r	t	u
CH	O	H	H	H	CH_3	Phenyl	H	3	-	0	0
CH	O	H	H	H	CH_3	3-Dimethylaminomethylphenyl	H	3	-	0	0
CH	O	H	H	H	CH_3	3-Piperidinomethylphenyl	H	3	-	0	0
CH	O	H	H	H	CH_3	2-Pyridinyl	H	3	-	0	0
CH	O	H	H	H	CH_3	4-Pyridinyl	H	3	-	0	0

CONTINUATION OF TABLE 8

X	Y	R1	R2	R3	R5	R6	R7	m	r	t	u
CH	O	H	H	H	CH_3	Phenyl	H	2	-	0	0
CH	O	H	H	H	CH_3	3-Dimethylaminomethylphenyl	H	2	-	0	0
CH	O	H	H	H	CH_3	3-Piperidinomethylphenyl	H	2	-	0	0
CH	O	H	H	H	CH_3	2-Pyridinyl	H	2	-	0	0
CH	O	H	H	H	CH_3	4-Pyridinyl	H	2	-	0	0
CH	O	H	H	H	CH_3	Phenyl	H	4	-	0	0
CH	O	H	H	H	CH_3	3-Dimethylaminomethylphenyl	H	4	-	0	0
CH	O	H	H	H	CH_3	3-Piperidinomethylphenyl	H	4	-	0	0
CH	O	H	H	H	CH_3	2-Pyridinyl	H	4	-	0	0
CH	O	H	H	H	CH_3	4-Pyridinyl	H	4	-	0	0

TABLE 9

Compounds of the formula I (see attached formula sheet I) where n = 0, p = 0, q = 0, R4 = H and with the following further substituent meanings:

X	Y	R1	R2	R3	R5	R6	R7	m	r	t	u
N	S	H	H	H	CH_3	Phenyl	H	3	-	0	0
N	S	H	H	H	CH_3	3-Dimethylaminomethylphenyl	H	3	-	0	0
N	S	H	H	H	CH_3	3-Piperidinomethylphenyl	H	3	-	0	0
N	S	H	H	H	CH_3	2-Thiazolyl	H	3	-	0	0
N	S	H	H	H	CH_3	4,5-Dimethyl-2-thiazolyl	H	3	-	0	0
N	S	H	H	H	CH_3	2-Imidazolyl	H	3	-	0	0
N	S	H	H	H	CH_3	1-Methyl-2-imidazolyl	H	3	-	0	0
N	S	H	H	H	CH_3	1,2,3-Triazol-4-yl	H	3	-	0	0
N	S	H	H	H	CH_3	1-Methyl-1,2,3-triazol-4-yl	H	3	-	0	0
N	S	H	H	H	CH_3	1,2,4-Triazol-3-yl	H	3	-	0	0
N	S	H	H	H	CH_3	4-Methyl-1,2,4-triazol-3-yl	H	3	-	0	0
N	S	H	H	H	CH_3	Tetrazol-5-yl	H	3	-	0	0
N	S	H	H	H	CH_3	1-Methyltetrazol-5-yl	H	3	-	0	0

CONTINUATION OF TABLE 9

X	Y	R1	R2	R3	R5	R6	R7	m	r	t	u
N	S	H	H	H	CH_3	1-(2-Dimethylaminoethyl)tetrazol-5-yl	H	3	-	0	0
N	S	H	H	H	CH_3	1-(2-Hydroxyethyl)tetrazol-5-yl	H	3	-	0	0
N	S	H	H	H	CH_3	1,2,3-Thiadiazol-4-yl	H	3	-	0	0
N	S	H	H	H	CH_3	1,3,4-Thiadiazol-2-yl	H	3	-	0	0
N	S	H	H	H	CH_3	5-Methyl-1,3,4-thiadiazol-2-yl	H	3	-	0	0
N	S	H	H	H	CH_3	2-Pyridinyl	H	3	-	0	0
N	S	H	H	H	CH_3	4-Pyridinyl	H	3	-	0	0
N	S	H	H	H	CH_3	2-Pyrimidinyl	H	3	-	0	0
N	S	H	H	H	CH_3	2-Benzimidazolyl	H	3	-	0	0
N	S	H	H	H	CH_3	5,6-Dihydroxy-1,3,4-triazin-2-yl	H	3	-	0	0

TABLE 10

Compounds of the formula I (see attached formula sheet I) where n = 0; p = 0, q = 0, R4 = H and with the following further substituent meanings:

X	Y	RI	R2	R3	R5	R6	R7	m	r	t	u
CH	S	H	H	H	CH_3	Phenyl	H	3	-	0	1
CH	S	H	H	H	CH_3	3-Dimethylaminomethylphenyl	H	3	-	0	1
CH	S	H	H	H	CH_3	3-Piperidinomethylphenyl	H	3	-	0	1
CH	S	H	H	H	CH_3	2-Thiazolyl	H	3	-	0	1
CH	S	H	H	H	CH_3	4,5-Dimethyl-2-thiazolyl	H	3	-	0	1
CH	S	H	H	H	CH_3	2-Imidazolyl	H	3	-	0	1
CH	S	H	H	H	CH_3	1-Methyl-2-imidazolyl	H	3	-	0	1
CH	S	H	H	H	CH_3	1,2,3-Triazol-4-yl	H	3	-	0	1
CH	S	H	H	H	CH_3	1-Methyl-1,2,3-triazol-4-yl	H	3	-	0	1
CH	S	H	H	H	CH_3	1,2,4-Triazol-3-yl	H	3	-	0	1
CH	S	H	H	H	CH_3	4-Methyl-1,2,4-triazol-3-yl	H	3	-	0	1
CH	S	H	H	H	CH_3	Tetrazol-5-yl	H	3	-	0	1
CH	S	H	H	H	CH_3	1-Methyltetrazol-5-yl	H	3	-	0	1

CONTINUATION OF TABLE 10

X	Y	R1	R2	R3	R5	R6	R7	m	r	t	u
CH	S	H	H	H	CH_3	1-(2-Dimethylaminoethyl)tetrazol-5-yl	H	3	-	0	1
CH	S	H	H	H	CH_3	1-(2-Hydroxyethyl)tetrazol-5-yl	H	3	-	0	1
CH	S	H	H	H	CH_3	1,2,3-Thiadiazol-4-yl	H	3	-	0	1
CH	S	H	H	H	CH_3	1,3,4-Thiadiazol-2-yl	H	3	-	0	1
CH	S	H	H	H	CH_3	5-Methyl-1,3,4-thiadiazol-2-yl	H	3	-	0	1
CH	S	H	H	H	CH_3	2-Pyridinyl	H	3	-	0	1
CH	S	H	H	H	CH_3	4-Pyridinyl	H	3	-	0	1
CH	S	H	H	H	CH_3	2-Pyrimidinyl	H	3	-	0	1
CH	S	H	H	H	CH_3	2-Benzimidazolyl	H	3	-	0	1
CH	S	H	H	H	CH_3	2-Furanyl	H	3	-	0	1
CH	S	H	H	H	CH_3	2-Thienyl	H	3	-	0	1
CH	S	H	H	H	CH_3	5-Chloro thiophen-2-yl]	H	3	-	0	1
CH	S	H	H	H	CH_3	5-(2-Dimethylaminomethyl)furan-2-yl	H	3	-	0	1
CH	S	H	H	H	CH_3	5-Methyl-furan-2-yl	H	3	-	0	1

TABLE 11

Compounds of the formula I (see attached formula sheet I) where n = 0, p = 0, q = 0, R4 = H and with the following further substituent meanings:

X	Y	R1	R2	R3	R5	R6	R7	m	r	t	u
CH	SO_2	H	H	H	CH_3	Phenyl	H	3	-	0	2
CH	SO_2	H	H	H	CH_3	3-Dimethylaminomethylphenyl	H	3	-	0	2
CH	SO_2	H	H	H	CH_3	3-Piperidinomethylphenyl	H	3	-	0	2
CH	SO_2	H	H	H	CH_3	2-Thiazolyl	H	3	-	0	2
CH	SO_2	H	H	H	CH_3	4,5-Dimethyl-2-thiazolyl	H	3	-	0	2
CH	SO_2	H	H	H	CH_3	2-Imidazolyl	H	3	-	0	2
CH	SO_2	H	H	H	CH_3	1-Methyl-2-imidazolyl	H	3	-	0	2
CH	SO_2	H	H	H	CH_3	1,2,3-Triazol-4-yl	H	3	-	0	2
CH	SO_2	H	H	H	CH_3	1-Methyl-1,2,3-triazol-4-yl	H	3	-	0	2

CONTINUATION OF TABLE 11

X	Y	R1	R2	R3	R5	R6	R7	m	r	t	u
CH	SO_2	H	H	H	CH_3	1,2,4-Triazol-3-yl	H	3	-	0	2
CH	SO_2	H	H	H	CH_3	4-Methyl-1,2,4-triazol-3-yl	H	3	-	0	2
CH	SO_2	H	H	H	CH_3	Tetrazol-5-yl	H	3	-	0	2
CH	SO_2	H	H	H	CH_3	1-Methyltetrazol-5-yl	H	3	-	0	2
CH	SO_2	H	H	H	CH_3	1-(2-Dimethylaminoethyl)tetrazol-5-yl	H	3	-	0	2
CH	SO_2	H	H	H	CH_3	1-(2-Hydroxyethyl)tetrazol-5-yl	H	3	-	0	2
CH	SO_2	H	H	H	CH_3	1,2,3-Thiadiazol-4-yl	H	3	-	0	2
CH	SO_2	H	H	H	CH_3	1,3,4-Thiadiazol-2-yl	H	3	-	0	2
CH	SO_2	H	H	H	CH_3	5-Methyl-1,3,4-thiadiazol-2-yl	H	3	-	0	2
CH	SO_2	H	H	H	CH_3	2-Pyridinyl	H	3	-	0	2
CH	SO_2	H	H	H	CH_3	4-Pyridinyl	H	3	-	0	2
CH	SO_2	H	H	H	CH_3	2-Pyrimidinyl	H	3	-	0	2
CH	SO_2	H	H	H	CH_3	2-Benzimidazolyl	H	3	-	0	2
CH	SO_2	H	H	H	CH_3	2-Furanyl	H	3	-	0	2
CH	SO_2	H	H	H	CH_3	2-Thienyl	H	3	-	0	2
CH	SO_2	H	H	H	CH_3	5-Chloro-thiophen-2-yl]	H	3	-	0	2
CH	SO_2	H	H	H	CH_3	5-(2-Dimethylaminomethyl)furan-2-yl	H	3	-	0	2
CH	SO_2	H	H	H	CH_3	5-Methyl-furan-2-yl	H	3	-	0	2

TABLE 12

Compounds of the formula I (see attached formula sheet I) where n = 0, p = 0, q = 0, R4 = H and with the following further substituent meanings:

X	Y	R1	R2	R3	R5	R6	R7	m	r	t	u
CH	S	OCH_3	H	H	CH_3	Phenyl	H	3	-	0	1
CH	S	OCH_3	H	H	CH_3	3-Dimethylaminomethylphenyl	H	3	-	0	1
CH	S	OCH_3	H	H	CH_3	3-Piperidinomethylphenyl	H	3	-	0	1
CH	S	OCH_3	H	H	CH_3	2-Thiazolyl	H	3	-	0	1
CH	S	OCH_3	H	H	CH_3	4,5-Di ethyl-2-thiazolyl	H	3	-	0	1
CH	S	OCH_3	H	H	CH_3	2-Imidazolyl	H	3	-	0	1

CONTINUATION OF TABLE 12

X	Y	R1	R2	R3	R5	R6	R7	m	r	t	u
CH	S	OCH_3	H	H	CH_3	1-Methyl-2-imidazolyl	H	3	-	0	1
CH	S	OCH_3	H	H	CH_3	1,2,3-Triazol-4-yl	H	3	-	0	1
CH	S	OCH_3	H	H	CH_3	1-Methyl-1,2,3-triazol-4-yl	H	3	-	0	1
CH	S	OCH_3	H	H	CH_3	1,2,4-Triazol-3-yl	H	3	-	0	1
CH	S	OCH_3	H	H	CH_3	4-Methyl-1,2,4-triazol-3-yl	H	3	-	0	1
CH	S	OCH_3	H	H	CH_3	Tetrazol-5-yl	H	3	-	0	1
CH	S	OCH_3	H	H	CH_3	1-Methyltetrazol-5-yl	H	3	-	0	1
CH	S	OCH_3	H	H	CH_3	1-(2-Dimethylaminoethyl)tetrazol-5-yl	H	3	-	0	1
CH	S	OCH_3	H	H	CH_3	1-(2-Hydroxyethyl)tetrazol-5-yl	H	3	-	0	1
CH	S	OCH_3	H	H	CH_3	1,2,3-Thiadiazol-4-yl	H	3	-	0	1
CH	S	OCH_3	H	H	CH_3	1,3,4-Thiadiazol-2-yl	H	3	-	0	1
CH	S	OCH_3	H	H	CH_3	5-Methyl-1,3,4-thiadiazol-2-yl	H	3	-	0	1
CH	S	OCH_3	H	H	CH_3	2-Pyridinyl	H	3	-	0	1
CH	S	OCH_3	H	H	CH_3	4-Pyridinyl	H	3	-	0	1
CH	S	OCH_3	H	H	CH_3	2-Pyrimidinyl	H	3	-	0	1
CH	S	OCH_3	H	H	CH_3	2-Benzimidazolyl	H	3	-	0	1
CH	S	OCH_3	H	H	CH_3	2-Furanyl	H	3	-	0	1
CH	S	OCH_3	H	H	CH_3	2-Thienyl	H	3	-	0	1
CH	S	OCH_3	H	H	CH_3	5-Chloro-thiophen-2-yl	H	3	-	0	1
CH	S	OCH_3	H	H	CH_3	5-(2-Dimethylaminomethyl)furan-2-yl	H	3	-	0	1
CH	S	OCH_3	H	H	CH_3	5-Methyl-furan-2-yl	H	3	-	0	1

TABLE 13

Compounds of the formula I (see attached formula sheet I) where n = 0, p = 0, q = 0, R4 = H and with the following further substituent meanings:

X	Y	R1	R2	R3	R5	R6	R7	m	r	t	u
CH	S	F	H	H	CH_3	Phenyl	H	3	-	0	1
CH	S	F	H	H	CH_3	3-Dimethylaminomethylphenyl	H	3	-	0	1

CONTINUATION OF TABLE 13

X	Y	R1	R2	R3	R5	R6	R7	m	r	t	u
CH	S	F	H	H	CH_3	3-Piperidinomethylphenyl	H	3	-	0	1
CH	S	F	H	H	CH_3	2-Thiazolyl	H	3	-	0	1
CH	S	F	H	H	CH_3	4,5-Dimethyl-2-thiazolyl	H	3	-	0	1
CH	S	F	H	H	CH_3	2-Imidazolyl	H	3	-	0	1
CH	S	F	H	H	CH_3	1-Methyl-2-imidazolyl	H	3	-	0	1
CH	S	F	H	H	CH_3	1,2,3-Triazol-4-yl	H	3	-	0	1
CH	S	F	H	H	CH_3	1-Methyl-1,2,3-triazol-4-yl	H	3	-	0	1
CH	S	F	H	H	CH_3	1,2,4-Triazol-3-yl	H	3	-	0	1
CH	S	F	H	H	CH_3	4-Methyl-1,2,4-triazol-3-yl	H	3	-	0	1
CH	S	F	H	H	CH_3	Tetrazol-5-yl	H	3	-	0	1
CH	S	F	H	H	CH_3	1-Methyltetrazol-5-yl	H	3	-	0	1
CH	S	F	H	H	CH_3	1-(2-Dimethylaminoethyl)tetrazol-5-yl	H	3	-	0	1
CH	S	F	H	H	CH_3	1-(2-Hydroxyethyl)tetrazol-5-yl	H	3	-	0	1
CH	S	F	H	H	CH_3	1,2,3-Thiadiazol-4-yl	H	3	-	0	1
CH	S	F	H	H	CH_3	1,3,4-Thiadiazol-2-yl	H	3	-	0	1
CH	S	F	H	H	CH_3	5-Methyl-1,3,4-thiadiazol-2-yl	H	3	-	0	1
CH	S	F	H	H	CH_3	2-Pyridinyl	H	3	-	0	1
CH	S	F	H	H	CH_3	4-Pyridinyl	H	3	-	0	1
CH	S	F	H	H	CH_3	2-Pyrimidinyl	H	3	-	0	1
CH	S	F	H	H	CH_3	2-Benzimidazolyl	H	3	-	0	1
CH	S	F	H	H	CH_3	2-Furanyl	H	3	-	0	1
CH	S	F	H	H	CH_3	2-Thienyl	H	3	-	0	1
CH	S	F	H	H	CH_3	5-Chloro-thiophen-2-yl]	H	3	-	0	1
CH	S	F	H	H	CH_3	5-(2-Dimethylaminomethyl)furan-2-yl	H	3	-	0	1
CH	S	F	H	H	CH_3	5-Methyl-furan-2-yl	H	3	-	0	1

TABLE 14

Compounds of the formula I (see attached formula sheet I) where n = 0, p = 0, q = 0, R4 = H and with the following further substituent meanings:

X	Y	R1	R2	R3	R5	R6	R7	m	r	t	u
CH	S	H	H	H	CH_3	Phenyl	H	3	-	0	2
CH	S	H	H	H	CH_3	3-Dimethylaminomethylphenyl	H	3	-	0	2
CH	S	H	H	H	CH_3	3-Piperidinomethylphenyl	H	3	-	0	2
CH	S	H	H	H	CH_3	2-Thiazolyl	H	3	-	0	2
CH	S	H	H	H	CH_3	4,5-Dimethyl-2-thiazolyl	H	3	-	0	2
CH	S	H	H	H	CH_3	2-Imidazolyl	H	3	-	0	2
CH	S	H	H	H	CH_3	1-Methyl-2-imidazolyl	H	3	-	0	2
CH	S	H	H	H	CH_3	1,2,3-Triazol-4-yl	H	3	-	0	2
CH	S	H	H	H	CH_3	1-Methyl-1,2,3-triazol-4-yl	H	3	-	0	2
CH	S	H	H	H	CH_3	1,2,4-Triazol-3-yl	H	3	-	0	2
CH	S	H	H	H	CH_3	4-Methyl-1,2,4-triazol-3-yl	H	3	-	0	2
CH	S	H	H	H	CH_3	Tetrazol-5-yl	H	3	-	0	2
CH	S	H	H	H	CH_3	1-Methyltetrazol-5-yl	H	3	-	0	2
CH	S	H	H	H	CH_3	1-(2-Dimethylaminoethyl)tetrazol-5-yl	H	3	-	0	2
CH	S	H	H	H	CH_3	1-(2-Hydroxyethyl)tetrazol-5-yl	H	3	-	0	2
CH	S	H	H	H	CH_3	1,2,3-Thiadiazol-4-yl	H	3	-	0	2
CH	S	H	H	H	CH_3	1,3,4-Thiadiazol-2-yl	H	3	-	0	2
CH	S	H	H	H	CH_3	5-Methyl-1,3,4-thiadiazol-2-yl	H	3	-	0	2
CH	S	H	H	H	CH_3	2-Pyridinyl	H	3	-	0	2
CH	S	H	H	H	CH_3	4-Pyridinyl	H	3	-	0	2
CH	S	H	H	H	CH_3	2-Pyrimidinyl	H	3	-	0	2
CH	S	H	H	H	CH_3	2-Benzimidazolyl	H	3	-	0	2
CH	S	H	H	H	CH_3	5-Nitroimidazol-1-yl	H	3	-	0	2
CH	S	H	H	H	CH_3	2-Methyl-5-nitroimidazol-1-yl	H	3	-	0	2
CH	S	H	H	H	CH_3	2-Furanyl	H	3	-	0	2
CH	S	H	H	H	CH_3	2-Thienyl	H	3	-	0	2
CH	S	H	H	H	CH_3	5-Chloro-thiophen-2-yl	H	3	-	0	2
CH	S	H	H	H	CH_3	5-(2-Dimethylaminomethyl)furan-2-yl	H	3	-	0	2
CH	S	H	H	H	CH_3	5-Methyl-furan-2-yl	H	3	-	0	2

TABLE 15

Compounds of the formula I (see attached formula sheet I) where n = 0, p = 0, q = 0, R4 = H and with the following further substituent meanings:

X	Y	R1	R2	R3	R5	R6	R7	m	r	t	u
CH	S	H	H	H	CH_3	Phenyl	H	3	3	1	0
CH	S	H	H	H	CH_3	3-Dimethylaminomethylphenyl	H	3	3	1	0
CH	S	H	H	H	CH_3	3-Piperidinomethylphenyl	H	3	3	1	0
CH	S	H	H	H	CH_3	2-Thiazolyl	H	3	3	1	0
CH	S	H	H	H	CH_3	4,5-Dimethyl-2-thiazolyl	H	3	3	1	0
CH	S	H	H	H	CH_3	2-Imidazolyl	H	3	3	1	0
CH	S	H	H	H	CH_3	1-Methyl-2-imidazolyl	H	3	3	1	0
CH	S	H	H	H	CH_3	1,2,3-Triazol-4-yl	H	3	3	1	0
CH	S	H	H	H	CH_3	1-Methyl-1,2,3-triazol-4-yl	H	3	3	1	0
CH	S	H	H	H	CH_3	1,2,4-Triazol-3-yl	H	3	3	1	0
CH	S	H	H	H	CH_3	4-Methyl-1,2,4-triazol-3-yl	H	3	3	1	0
CH	S	H	H	H	CH_3	Tetrazol-5-yl	H	3	3	1	0
CH	S	H	H	H	CH_3	1-Methyltetrazol-5-yl	H	3	3	1	0
CH	S	H	H	H	CH_3	1-(2-Dimethylaminoethyl)tetrazol-5-yl	H	3	3	1	0
CH	S	H	H	H	CH_3	1-(2-Hydroxyethyl)tetrazol-5-yl	H	3	3	1	0
CH	S	H	H	H	CH_3	1,2,3-Thiadiazol-4-yl	H	3	3	1	0
CH	S	H	H	H	CH_3	1,3,4-Thiadiazol-2-yl	H	3	3	1	0
CH	S	H	H	H	CH_3	5-Methyl-1,3,4-thiadiazol-2-yl	H	3	3	1	0
CH	S	H	H	H	CH_3	2-Pyridinyl	H	3	3	1	0
CH	S	H	H	H	CH_3	4-Pyridinyl	H	3	3	1	0
CH	S	H	H	H	CH_3	2-Pyrimidinyl	H	3	3	1	0
CH	S	H	H	H	CH_3	2-Benzimidazolyl	H	3	3	1	0
CH	S	H	H	H	CH_3	5,6-Dihydroxy-1,3,4-triazin-2-yl	H	3	3	1	0

TABLE 16

Compounds of the formula I (see attached formula sheet I) where n = 0, p = 0, q = 0, R4 = H and with the following further substituent meanings:

X	Y	R1	R2	R3	R5	R6	R7	m	r	t	u
CH	S	H	H	H	CH_3	Phenyl	H	2	2	1	2
CH	S	H	H	H	CH_3	3-Dimethylaminomethylphenyl	H	2	2	1	2
CH	S	H	H	H	CH_3	3-Piperidinomethylphenyl	H	2	2	1	2
CH	S	H	H	H	CH_3	2-Thiazolyl	H	2	2	1	2
CH	S	H	H	H	CH_3	4,5-Dimethyl-2-thiazolyl	H	2	2	1	2
CH	S	H	H	H	CH_3	2-Imidazolyl	H	2	2	1	2
CH	S	H	H	H	CH_3	1-Methyl-2-imidazolyl	H	2	2	1	2
CH	S	H	H	H	CH_3	1,2,3-Triazol-4-yl	H	2	2	1	2
CH	S	H	H	H	CH_3	1-Methyl-1,2,3-triazol-4-yl	H	2	2	1	2
CH	S	H	H	H	CH_3	1,2,4-Triazol-3-yl	H	2	2	1	2
CH	S	H	H	H	CH_3	4-Methyl-1,2,4-triazol-3-yl	H	2	2	1	2
CH	S	H	H	H	CH_3	Tetrazol-5-yl	H	2	2	1	2
CH	S	H	H	H	CH_3	1-Methyltetrazol-5-yl	H	2	2	1	2
CH	S	H	H	H	CH_3	1-(2-Dimethylaminoethyl)tetrazol-5-yl	H	2	2	1	2
CH	S	H	H	H	CH_3	1-(2-Hydroxyethyl)tetrazol-5-yl	H	2	2	1	2
CH	S	H	H	H	CH_3	1,2,3-Thiadiazol-4-yl	H	2	2	1	2
CH	S	H	H	H	CH_3	1,3,4-Thiadiazol-2-yl	H	2	2	1	2
CH	S	H	H	H	CH_3	5-Methyl-1,3,4-thiadiazol-2-yl	H	2	2	1	2
CH	S	H	H	H	CH_3	2-Pyridinyl	H	2	2	1	2
CH	S	H	H	H	CH_3	4-Pyridinyl	H	2	2	1	2
CH	S	H	H	H	CH_3	2-Pyrimidinyl	H	2	2	1	2
CH	S	H	H	H	CH_3	2-Benzimidazolyl	H	2	2	1	2

TABLE 17

Compounds of the formula I (see attached formula sheet I) where n = 0, p = 0, q = 0, R4 = H and with the following further substituent meanings:

X	Y	R1	R2	R3	R5	R6	R7	m	r	t	u
CH	S	H	H	H	CH_3	Phenyl	H	3	-	0	3
CH	S	H	H	H	CH_3	3-Dimethylaminomethylphenyl	H	3	-	0	3
CH	S	H	H	H	CH_3	3-Piperidinomethylphenyl	H	3	-	0	3
CH	S	H	H	H	CH_3	2-Thiazolyl	H	3	-	0	3
CH	S	H	H	H	CH_3	4,5-Dimethyl-2-thiazolyl	H	3	-	0	3
CH	S	H	H	H	CH_3	2-Imidazolyl	H	3	-	0	3
CH	S	H	H	H	CH_3	1-Methyl-2-imidazolyl	H	3	-	0	3
CH	S	H	H	H	CH_3	1,2,3-Triazol-4-yl	H	3	-	0	3
CH	S	H	H	H	CH_3	1-Methyl-1,2,3-triazol-4-yl	H	3	-	0	3
CH	S	H	H	H	CH_3	1,2,4-Triazol-3-yl	H	3	-	0	3
CH	S	H	H	H	CH_3	4-Methyl-1,2,4-triazol-3-yl	H	3	-	0	3
CH	S	H	H	H	CH_3	Tetrazol-5-yl	H	3	-	0	3
CH	S	H	H	H	CH_3	1-Methyltetrazol-5-yl	H	3	-	0	3
CH	S	H	H	H	CH_3	1-(2-Dimethylaminoethyl)tetrazol-5-yl	H	3	-	0	3
CH	S	H	H	H	CH_3	1-(2-Hydroxyethyl)tetrazol-5-yl	H	3	-	0	3
CH	S	H	H	H	CH_3	1,2,3-Thiadiazol-4-yl	H	3	-	0	3
CH	S	H	H	H	CH_3	1,3,4-Thiadiazol-2-yl	H	3	-	0	3
CH	S	H	H	H	CH_3	5-Methyl-1,3,4-thiadiazol-2-yl	H	3	-	0	3
CH	S	H	H	H	CH_3	2-Pyridinyl	H	3	-	0	3
CH	S	H	H	H	CH_3	4-Pyridinyl	H	3	-	0	3
CH	S	H	H	H	CH_3	2-Pyrimidinyl	H	3	-	0	3
CH	S	H	H	H	CH_3	2-Benzimidazolyl	H	3	-	0	3
CH	S	H	H	H	CH_3	5-Nitroimidazol-1-yl	H	3	-	0	3
CH	S	H	H	H	CH_3	2-Methyl-5-nitromidazol-1-yl	H	3	-	0	3
CH	S	H	H	H	CH_3	2-Furanyl	H	3	-	0	3
CH	S	H	H	H	CH_3	2-Thienyl	H	3	-	0	3
CH	S	H	H	H	CH_3	5-Chloro-thiophen-2-yl	H	3	-	0	3
CH	S	H	H	H	CH_3	5-(2-Dimethylaminomethyl)furan-2-yl	H	3	-	0	3
CH	S	H	H	H	CH_3	5-Methyl-furan-2-yl	H	3	-	0	3

TABLE 18

Compounds of the formula I (see attached formula sheet I) where n = 0, p = 0, q = 0, R4 = H and with the following further substituent meanings:

X	Y	R1	R2	R3	R5	R6	R7	m	r	t	u
N	S	H	H	H	CH_3	Phenyl	H	3	-	0	1
N	S	H	H	H	CH_3	3-Dimethylaminomethylphenyl	H	3	-	0	1
N	S	H	H	H	CH_3	3-Piperidinomethylphenyl	H	3	-	0	1
N	S	H	H	H	CH_3	2-Thiazolyl	H	3	-	0	1
N	S	H	H	H	CH_3	4,5-Dimethyl-2-thiazolyl	H	3	-	0	1
N	S	H	H	H	CH_3	2-Imidazolyl	H	3	-	0	1
N	S	H	H	H	CH_3	1-Methyl-2-imidazolyl	H	3	-	0	1
N	S	H	H	H	CH_3	1,2,3-Triazol-4-yl	H	3	-	0	1
N	S	H	H	H	CH_3	1-Methyl-1,2,3-triazol-4-yl	H	3	-	0	1
N	S	H	H	H	CH_3	1,2,4-Triazol-3-yl	H	3	-	0	1
N	S	H	H	H	CH_3	4-Methyl-1,2,4-triazol-3-yl	H	3	-	0	1
N	S	H	H	H	CH_3	Tetrazol-5-yl	H	3	-	0	1
N	S	H	H	H	CH_3	1-Methyltetrazol-5-yl	H	3	-	0	1
N	S	H	H	H	CH_3	1-(2-Dimethylaminoethyl)tetrazol-5-yl	H	3	-	0	1
N	S	H	H	H	CH_3	1-(2-Hydroxyethyl)tetrazol-5-yl	H	3	-	0	1
N	S	H	H	H	CH_3	1,2,3-Thiadiazol-4-yl	H	3	-	0	1
N	S	H	H	H	CH_3	1,3,4-Thiadiazol-2-yl	H	3	-	0	1
N	S	H	H	H	CH_3	5-Methyl-1,3,4-thiadiazol-2-yl	H	3	-	0	1
N	S	H	H	H	CH_3	2-Pyridinyl	H	3	-	0	1
N	S	H	H	H	CH_3	4-Pyridinyl	H	3	-	0	1
N	S	H	H	H	CH_3	2-Pyrimidinyl	H	3	-	0	1
N	S	H	H	H	CH_3	2-Benzimidazolyl	H	3	-	0	1
N	S	H	H	H	CH_3	2-Furanyl	H	3	-	0	1
N	S	H	H	H	CH_3	2-Thienyl	H	3	-	0	1
N	S	H	H	H	CH_3	5-Chloro-thiophen-2-yll	H	3	-	0	1
N	S	H	H	H	CH_3	5-(2-Dimethylaminomethyl)furan-2-yl	H	3	-	0	1
N	S	H	H	H	CH_3	5-Methyl-furan-2-yl	H	3	-	0	1

TABLE 19

Compounds of the formula I (see attached formula sheet I) where n = 0, p = 0, q = 0, R4 = H and with the following further substituent meanings:

X	Y	R1	R2	R3	R5	R6	R7	m	r	t	u
CH	SO_2	H	H	H	CH_3	Phenyl	H	2	2	1	2
CH	SO_2	H	H	H	CH_3	3-Dimethylaminomethylphenyl	H	2	2	1	2
CH	SO_2	H	H	H	CH_3	3-Piperidinomethylphenyl	H	2	2	1	2
CH	SO_2	H	H	H	CH_3	2-Thiazolyl	H	2	2	1	2
CH	SO_2	H	H	H	CH_3	4,5-Dimethyl-2-thiazolyl	H	2	2	1	2
CH	SO_2	H	H	H	CH_3	2-Imidazolyl	H	2	2	1	2
CH	SO_2	H	H	H	CH_3	1-Methyl-2-imidazolyl	H	2	2	1	2
CH	SO_2	H	H	H	CH_3	1,2,3-Triazol-4-yl	H	2	2	1	2
CH	SO_2	H	H	H	CH_3	1-Methyl-1,2,3-triazol-4-yl	H	2	2	1	2
CH	SO_2	H	H	H	CH_3	1,2,4-Triazol-3-yl	H	2	2	1	2
CH	SO_2	H	H	H	CH_3	4-Methyl-1,2,4-triazol-3-yl	H	2	2	1	2
CH	SO_2	H	H	H	CH_3	Tetrazol-5-yl	H	2	2	1	2
CH	SO_2	H	H	H	CH_3	1-Methyltetrazol-5-yl	H	2	2	1	2
CH	SO_2	H	H	H	CH_3	1-(2-Dimethylaminoethyl)tetrazol-5-yl	H	2	2	1	2
CH	SO_2	H	H	H	CH_3	1-(2-Hydroxyethyl)tetrazol-5-yl	H	2	2	1	2
CH	SO_2	H	H	H	CH_3	1,2,3-Thiadiazol-4-yl	H	2	2	1	2
CH	SO_2	H	H	H	CH_3	1,3,4-Thiadiazol-2-yl	H	2	2	1	2
CH	SO_2	H	H	H	CH_3	5-Methyl-1,3,4-thiadiazol-2-yl	H	2	2	1	2
CH	SO_2	H	H	H	CH_3	2-Pyridinyl	H	2	2	1	2
CH	SO_2	H	H	H	CH_3	4-Pyridinyl	H	2	2	1	2
CH	SO_2	H	H	H	CH_3	2-Pyrimidinyl	H	2	2	1	2
CH	SO_2	H	H	H	CH_3	2-Benzimidazolyl	H	2	2	1	2

and the salts of the compounds listed in the above tables.

The invention further relates to a process for the preparation of the compounds of the formula I and their salts.

The process comprises

a) reacting mercaptobenzimidazoles of the formula II (see attached formula sheet I), in which X, R1, R2, R3 and R4 have the meanings indicated above,

with picoline derivatives III (see attached formula sheet I), in which R5, R6, R7, Y, m, p, q, r, t and u have the meanings indicated above and A is a suitable leaving group, or

b) reacting compounds of the formula IV (see attached formula sheet I), in which X, R1, R2, R3, R4, R5, R7, m, r and t have the meanings indicated above, n, p and q are the number 0 and A is a suitable leaving group, with compounds R6-C_u-H_{2u}-YH (where Y = S, O, NH or N-1-4C-alkyl), or

c) reacting compounds of the formula V (see attached formula sheet II), in which X, R1, R2, R3, R4, R5, R7 and n have the meanings indicated above and Hal is a halogen atom, with thiols VI (see attached formula sheet II), in which R6, Y, m, q, r, t and u have the meanings indicated above, or

d) reacting benzimidazoles of the formula VII (see attached formula sheet II), in which R1, R2, R3, R4 and X have the meanings indicated above and A is a suitable leaving group, with pyridines of the formula VIII (see attached formula sheet II), in which R5, R6, R7, Y, m, p, q, r, t and u have the meanings indicated above, and

(if compounds of the formula I where n=1 or p=1 and/or q=1 or 2 and/or Y=SO or SO_2 are the desired final products), then oxidizing the compounds obtained where n=0 and/or p=0 and/or q=0 and/or Y=S, and/or converting the compounds obtained, if desired, subsequently into the salts and/or converting salts which are obtained, if desired, subsequently into the free compounds.

In the abovementioned reactions, the starting compounds can be employed as such or optionally in the form of their salts.

Suitable leaving groups A are, for example, halogen atoms, in particular chlorine, or hydroxyl

groups activated by esterification (e.g. with p-toluenesulfonic acid).

The reaction of II with III is carried out in suitable, preferably polar, protic or aprotic solvents (such as methanol, ethanol, isopropanol, dimethyl sulfoxide, acetone, dimethylformamide or acetonitrile) with addition or with exclusion of water. It is carried out, for example, in the presence of a proton acceptor. Those suitable are alkali metal hydroxides, such as sodium hydroxide, alkali metal carbonates, such as potassium carbonate, or tertiary amines, such as pyridine, triethylamine or ethyldiisopropylamine. Alternatively, the reaction can also be carried out without proton acceptors, it optionally first being possible - depending on the nature of the starting compounds - to separate off the acid addition salts in particularly pure form. The reaction temperature can be between 0° and 150°C, in the presence of proton acceptors temperatures between 20° and 80°C and without proton acceptors between 60° and 120°C - in particular the boiling temperature of the solvents used - being preferred. The reaction times are between 0.5 and 30 - hours.

The reaction of the compounds IV with the compounds R6-C_uH_{2u}-YH is carried out in a similar manner to the reaction of the compounds II with the compounds III.

The reaction of the compounds V with the thiols VI is carried out in a manner known per se, such as is known to the person skilled in the art for the preparation of sulfides from thiols and halogenated aromatic compounds. The halogen atom Hal is preferably a chlorine atom.

The reaction of the compounds VII with the compounds VIII is carried out in principle analogously to the reaction of the compounds II with the compounds III.

The oxidation of the sulfides to the sulfoxides or sulfones is carried out under the conditions which

are familiar to the person skilled in the art for the oxidation of sulfides to sulfoxides or sulfones [see for this, for example, J. Drabowicz and M. Mikolajczyk, Organic preparations and procedures int. 14(1-2), 45-89(1982) or E. Block in S. Patai, The Chemistry of Functional Groups, Supplement E. Part 1, pp. 539-608, John Wiley and Sons (Interscience Publication), 1980]. Possible oxidants are all reagents customarily used for the oxidation of sulfides to sulfoxides or sulfones, in particular peroxy acids, such as, for example, peroxyacetic acid, trifluoroperoxyacetic acid, 3,5-dinitroperoxybenzoic acid, peroxymaleic acid, magnesium monoperoxyphthalate or preferably m-chloroperoxybenzoic acid.

The reaction temperature (depending on the reactivity of the oxidant and degree of dilution) is between -70°C and the boiling temperature of the solvent used, but preferably between -30° and +20°C. Oxidation with halogens or with hypohalites (e.g. with aqueous sodium hypochlorite solution), which is expediently carried out at temperatures between 0° and 50°C, has also proven advantageous. The reaction is expediently carried out in inert solvents, e.g. aromatic or chlorinated hydrocarbons, such as benzene, toluene, dichloromethane or chloroform, preferably in esters or ethers, such as ethyl acetate, isopropyl acetate or dioxane, or in alcohols, preferably isopropanol.

The sulfoxides according to the invention are optically active compounds. Depending on the nature of the substituents, there can additionally be other chiral centers in the molecule. The invention therefore includes both the enantiomers and diastereomers and their mixtures and racemates. The enantiomers can be separated (see, for example, WO92/08716) in a manner known per se (for example by preparation and separation of corresponding diastereoisomeric compounds).

The compounds II are disclosed, for example, in WO86/02646, EP 134 400 or EP 127 763. The compounds III where p=0 and q=0 can be prepared, for example, as

described in the following examples.

For compounds III where p=1 and q=1 or 2 and Y=SO or SO_2, the corresponding 2-hydroxymethyl-4-mercapto-substituted pyridines are oxidized, for example, using m-chloroperoxybenzoic acid and subsequently chlorinated, for example, using thionyl chloride. Reaction with 2-mercaptobenzimidazoles yields the compounds of the formula I where p=1 and q=1 or 2 and Y=SO or SO_2.

Depending on the nature of the substituent R6, the sulfoxides or sulfones are also obtained in the oxidation to give the sulfoxides n=1. Otherwise, the respective sulfides and sulfoxides or sulfones can be prepared by a choice of suitable starting compounds or by use of selective oxidants.

The starting compounds needed for the preparation of III can be prepared, for example, from the corresponding halogen compounds analogously to J. Med. Chem. 14 (1971) 349.

The compounds V, VI, VII and VIII are likewise known or they can be prepared analogously from known starting compounds by methods known per se. Thus, for example, compounds of the formula V are obtained by reaction of the compounds of the formula II with 4-halopyridines corresponding to compounds of the formula III.

The following examples explain the invention in greater detail, without restricting it. The compounds according to the invention and the starting compounds can be prepared in a manner analogous to that described in the examples.

Examples

Final products

1. 2-{[[3-Methyl-4-[(2-phenoxy)ethylthio]-2-pyridinyl]methyl]thio}-1H-benzimidazole

2-{[[4-(2-Chloroethylthio]-3-methyl-2-pyridinyl]methyl]thio}-1H-benzimidazole (10 mmol) are

[sic] stirred at 100°C in acetonitrile (25 ml) for 24 h with phenol (20 mmol) and potassium carbonate (60 mmol). After filtration, the filtrate is concentrated, and the product is taken up in dichloromethane, washed with 0.1 N sodium hydroxide solution, dried over magnesium sulfate, concentrated and chromatographed on silica gel (EA/MeOH).

The title compound is obtained from the pure fractions after crystallization from diisopropyl ether in the form of colorless crystals; m.p. 72-73°C; yield: 64% of theory.

2. 2-{[[3-Methyl-4-[(4-phenoxy)butylthio]-2-pyridinyl]methyl]thio}-1H-benzimidazole

According to the procedure described in Example 1, the title compound is obtained by reaction of 2-{[[4-(4-chlorobutylthio)-3-methyl-2-pyridinyl]methyl]-thio}-1H-benzimidazole with phenol; m.p. 122-123°C; yield: 69% of theory.

3. 2-{[[3-Methyl-4-[5-(4-methylphenyl)-1,4-dithiapent-1-yl]-2-pyridinyl]methyl]thio}-1H-benzimidazole

According to the procedure described in Example 1, the title compound is obtained by reaction of 2-{[[4-(2-chloroethylthio)-3-methyl-2-pyridinyl]methyl]-thio}-1H-benzimidazole with 4-methylbenzylmercaptan after recrystallization from methanol/toluene; m.p. 129-130°C; yield: 55% of theory.

4. 2-{[[4-[3-Dimethyldithiocarbamoylpropylthio]-3-methyl-2-pyridinyl]methyl]thio}1H-benzimidazole

According to the procedure described in Example 5, the title compound is obtained by reaction of 2-{[[4-(3-chloropropylthio)-3-methyl-2-pyridinyl]-methyl]thio}-1H-benzimidazole in ethanol without addition of water with Na dimethylcarbamate as colorless crystals; m.p. 115-117°C; yield: 94% of theory.

5. 2-{[[4-[(6-Furan-2-yl)-1,5-dithiahex-1-yl]-3-methyl-2-pyridinyl]methyl]thio}-1H-benzimidazole

2-{[[4-(3-Chloropropylthio)-3-methyl-2-pyridinyl]methyl]thio}-1H-benzimidazole (3 mmol) is stirred under reflux with 2-furylmethylthiol (3.6 mmol) and 1 N sodium hydroxide solution (4 ml) in ethanol (20 ml) for 20 h. After evaporating the ethanol in vacuo, 20 ml of water are added and the mixture is extracted with 3 x 10 ml of ethyl acetate. The combined organic phases are concentrated and the residue is chromatographed (EA/methanol/triethylamine). After crystallization from dichloromethane/diisopropyl ether, the title compound is obtained as a beige powder; m.p. 113-116°C; yield: 69% of theory.

6. 2-{[[4-[6-(2-Dimethylaminofuran-5-yl)-1,5-dithiahex-1-yl]-3-methyl-2-pyridinyl]methyl]thio}-1H-benzimidazole trihydrochloride

According to the procedure described in Example 5, the free title compound is obtained as an oil by reaction with 5-dimethylaminomethyl-2-furylmethylthiol. The title compound can be precipitated as the hydrochloride from acetone using gaseous hydrogen chloride; m.p. 112°C (dec.).

7. 2-{[[3-Methyl-4-[7-(5-methylthiazol-4-yl)-1,5 dithiahept-1-yl]-2-pyridinyl]methyl]thio}-1H-benzimidazole trihydrochloride

According to the procedure described in Example 5, the title compound is obtained by reaction with 2-(5-methyl-4-thiazolyl)ethylthiol after precipitation with conc. hydrochloric acid in acetone; m.p. 159-162°C; yield: 83% of theory.

8. 2-{[[3-Methyl-4-[6-(2-guanidinothiazol-4-yl)-1,5 dithiahex-1-yl]-2-pyridinyl]methyl]thio}-1H-benzimida-zole trihydrochloride

According to the procedure described in 5, the title compound is obtained using 2-guanidinothiazol-4-

methylthiol after precipitation with ethereal hydrochloric acid in acetone as a colorless, strongly hygroscopic powder; yield: 29% of theory; m.p. 185°C (dec.).

9. 2-{[[3-Methyl-4-[5-(1H-benzimidazol-2-yl)-1,5-dithiapent-1-yl]-2-pyridinyl]methyl]thio}-1H-benzimidazole

2-{[[4-(3-Chloropropylthio)-3-methyl-2-pyridinyl]methyl]thio}-1H-benzimidazole (1 mmol) is stirred at 60°C for 20 h with 2-mercapto-1H-benzimidazole (1.05 mmol) and 1 N sodium hydroxide solution (3 ml) in 10 ml of ethanol and subsequently diluted with a further 10 ml of water. The mixture is allowed to cool, and the precipitated solid is filtered off, washed with ethanol/water 1/1 and dried in vacuo at 50°C. The title compound is obtained as a gray powder; m.p. 85-87°C; yield: 83% of theory.

10. 2-{[[4-[(5-Benzothiazol-2-yl)-1,5-dithiapent-1-yl]-3-methyl-2-pyridinyl]methyl]thio}-1H-benzimidazole

According to the procedure described in Example 9, the title compound is obtained by reaction with 2-mercaptobenzothiazole; m.p. 126-128°C; yield: 85% of theory.

11. 2-{[[4-[(5-Benzoxazol-2-yl)-1,5-dithiapent-1-yl]-3-methyl-2-pyridinyl]methyl]thio}1H-benzimidazole

According to the procedure described in Example 9, the title compound is obtained by reaction with 2-mercaptobenzoxazole; m.p. 73-76°C; yield: 72% of theory.

12. Sodium 2-{[5-[2-[1H-benzimidazol-2-ylthio-methyl]-3-methyl-4-pyridinyl]-1,5-dithiapent-1-yl}-pyridine-3-carboxylate

2-{[[4-(3-Chloropropylthio)-3-methyl-2-pyridinyl]methyl]thio}-1H-benzimidazole, methyl 2-

mercaptonicotinate (1.2 eqivalents) and calcium carbonate (5 equivalents) are heated to boiling under reflux in methanol for 20 h. After cooling, the mixture is filtered and concentrated to dryness, the product is treated with water and extracted with dichloromethane and residual dichloromethane is distilled off from the water phase. The solid precipitated from the water phase is filtered off with suction, washed with water and dried. The title compound is obtained; m.p. 129-131°C; yield: 47% of theory.

13. 2-{[[4-[3-(2-Carboxyphenylthio)propylthio]-3-methyl-2-pyridinyl]methyl]thio}-1H-benzimidazole

According to the procedure described in Example 12, the title compound is obtained by reaction with 2-mercaptobenzoic acid, after addition of aqueous hydrochloric acid to the water phase, as a beige solid; m.p. 142°C (dec.); yield: 57% of theory.

14. 2-{[[3-Methyl-4-(3-pyridin-4-ylthio)propylthio)-2-pyridinyl]methyl]thio}-1H-imidazo[4,5-b]pyridine

2-{[[4-(3-Chloropropylthio)-3-methyl-2-pyridinyl]methyl]thio}-1H-imidazo[2,3-b]pyridine are [sic] warmed in ethanol/water 2:1 for 24 h with 4-mercaptopyridine (1.3 equivalents) and sodium hydroxide solution (2 equivalents). The mixture is diluted with water and allowed to cool. The precipitated solid is filtered off with suction and dried. The title compound is obtained; m.p. 69-72°C; yield: 39% of theory.

15. 2-{[[3-Methyl-4-(3-(1-methyltetrazol-5-ylthio)-propylthio)-2-pyridinyl]methyl]thio}-1H-imidazo-[4,5-b]pyridine

According to the precedure described in Example 14, the title compound is obtained by reaction with 1-methyl-2-mercaptotetrazole; m.p. 56°C (dec.); yield: 78% of theory.

16. 2-{[[3-Methyl-4-(3-pyrimidin-2-ylthio)propylthio)-2-pyridinyl]methyl]thio}-1H-imidazo-[4,5-b]pyridine

According to the precedure described in Example 14, the title compound is obtained by reaction with 2-mercaptopyrimidine; m.p. 136°C (dec.); yield: 90% of theory.

17. 2-{[[4-[3-(1-(2-Dimethylaminoethyl)tetrazol-5-ylthio)propylthio]-2-pyridinyl]methyl]thio}-1H-imidazo[4,5-b]pyridine trihydrochloride

According to the procedure described in Example 14 the free base of the title compound is obtained by reaction with 1-[(2-dimethylamino)ethyl]-5-mercaptotetrazole as an oil. A hydrochloride is prepared from this using conc. hydrochloric acid in acetone and the title compound is obtained as a colorless solid; m.p. 81-83°C; yield: 39 % of theory.

18. 2-{[[4-[5-(N-Cyano-N'-methylamidino)-1,5-dithiapent-1-yl]-3-methyl-2-pyridinyl]methyl]thio}-1H-benzimidazole

According to the procedure described in Example 5 the title compound is obtained directly by reaction with N-cyano-N'-methylisothiourea Na salt in isopropanol after addition of water to the reaction mixture as a pale yellow solid; m.p. 136-138°C; yield: 79% of theory.

19. 2-{4-[3-[5-Chlorothiophen-2-ylmethylthio)-propylthio]-3-methylpyridin-2-ylmethylthio}-1H-benzimidazole

364 mg (1 mmol) of 2-{[[4-(3-chloropropylthio)-3-methyl-2-pyridinyl]methyl]thio}-1H-benzimidazole, 340 mg (1.4 mmol) of 5-chloro-2-thiophen-2-ylmethylisothiuronium chloride and 1.8 ml (3.5 mmol) of 2 N NaOH are heated to reflux for 3 h in 10 ml of ethanol. The mixture is diluted with water and ethanol is distilled off. The residue is extracted 3 times with dichloro-

methane. The combined organic phases are washed with water, dried over magnesium sulfate, filtered and concentrated. The residue is chromatographed on silica gel using ethyl acetate/conc. ammonia = 99/1. The title compound crystallizes on triturating with diisopropyl ether. M.p. 74-77°C; yield 240 mg (49% of theory).

20. 2-(3-Methyl-4-{3-[2-(2-methyl-5-nitroimidazol-1-yl)ethylthio]propylthio}pyridin-2-ylmethylthio)-1H-benzimidazole trihydrochloride

4.3 g (12 mmol) of 2-[2-(2-methyl-5-nitroimidazol-1-yl)ethyl]isothiuronium iodide are dissolved in 80 ml of ethanol. 0.96 g (24 mmol) of sodium borohydride is added. After evolution of gas has ended, 2.9 g (8 mmol) of 2-{[[4-(3-chloropropylthio)-3-methyl-2-pyridinyl]methyl]thio}-1H-benzimidazole are added. The mixture is stirred at RT for 8 h. After reaction has ended, it is acidified in order to destroy excess sodium borohydride. It is then diluted with water, ethanol is distilled off and the pH is adjusted to about 11. The mixture is extracted 3 times with dichloromethane. The combined organic phases are washed with water, dried over magnesium sulfate, filtered and concentrated. The residue is chromatographed on silica gel using ethyl acetate/methanol/conc. ammonia = 89/10/1. The crude product is dissolved in isopropanol and acidified with conc. HCl. The mixture is completely concentrated. The title compound crystallizes on triturating with acetone. M.p. 144-149°C; yield 1.6 g (32% of theory).

21. 2-(3-Methyl-4-{3-[3-(2-methyl-5-nitroimidazol-1-yl)propylthio]propylthio}pyridin-2-ylmethylthio)-1H-benzimidazole dihydrochloride

According to the procedure described in Example 20, the title compound is obtained by reaction with 2-[3-(2-methyl-5-nitroimidazol-1-yl)propyl]isothiuronium chlo-ride. M.p. 118°C (dec.); yield 13% of theory.

22. 2-(3-Methyl-4-{2-[2-(2-methyl-5-nitroimidazol-1-yl)ethylthio]ethylthio}pyridin-2-ylmethylthio)-1H-benzimidazole

According to the procedure described in Example 19, the title compound is obtained by reaction of 2-{[[4-(2-chloroethylthio)-3-methyl-2-pyridinyl]methyl]-thio}-1H-benzimidazole with 2-[2-(2-methyl-5-nitroimidazol-1-yl)-ethyl]isothiuronium iodide. M.p. 142-145°C; yield 33% of theory.

23. 2-(3-Methyl-4-{4-[2-(2-methyl-5-nitroimidazol-1-yl)ethylthio]butylthio}pyridin-2-ylmethylthio)-1H-benzimidazole

2.5 g (6 mmol) of 2-chloromethyl-3-methyl-4-{4-[2-(2-methyl-5-nitroimidazol-1-yl)ethylthio]butylthio}-pyridine and 0.9 g (6 mmol) of 2-mercaptobenzimidazole are heated to reflux for 1 h in 25 ml of isopropanol. The mixture is then cooled in an ice bath and the precipitated solid is filtered off with suction. The solid is taken up in water and treated with saturated sodium hydrogen carbonate solution. The mixture is extracted with dichloromethane. The organic phase is washed with water, dried over magnesium sulfate, filtered and concentrated. The title compound crystallizes and is washed with a little methanol with stirring. M.p. 160-162°C; yield 0.62 g (20% of theory).

24. 2-(3-Methyl-4-{3-[2-(2-methyl-5-nitroimidazol-1-yl)ethylthio]propylthio}pyridin-2-ylmethylthio)-1H-imidazo[4,5-b]pyridine

2.68 g (7.5 mmol) of 2-[2-(2-methyl-5-nitro-imidazol-1-yl)ethyl]isothiuronium iodide are initially introduced in 30 ml of ethanol and treated with 0.57 g (15 mmol) of sodium borohydride. After evolution of gas has ended, 1.82 g (5 mmol) of 2-{[[4-(3-chloropropyl-thio)-3-methyl-2-pyridinyl]methyl]thio}-1H-imidazo[4,5-b]pyridine are added. The mixture is heated to reflux for 10 h. After reaction has ended, it is acidified in order to destroy excess sodium borohydride. It is then

diluted with water, ethanol is distilled off and the pH is adjusted to about 11. The mixture is extracted 3 times with dichloromethane. The combined organic phases are washed with water, dried over magnesium sulfate, filtered and concentrated. The residue is chromatographed on silica gel using ethyl acetate/methanol/conc. ammonia = 75/20/5. The crude product is dissolved in isopropanol and acidified with conc. HCl. The solution is completely concentrated. The title compound crystallizes on triturating with acetone. M.p. 75°C (dec.) yield 0.81 g (28% of theory).

Starting compounds

A1. 2-{[[4-(3-Chloropropylthio)-3-methyl-2-pyridinyl]-methyl]thio}-1H-benzimidazole

One equivalent of 2-chloromethyl-4-(3-chloropropylthio)-3-methylpyridine hydrochloride (dissolved in 10 ml of water) is added dropwise at 40°C in the course of 20 min to a solution of 2-mercapto-1H-benzimidazole (1.5 g/10 mmol) in 40 ml of ethanol and 21 ml of 1 N sodium hydroxide solution. The mixture is then stirred for 2 - 3 h at 50-60°C and a further 3 - 4 h at room temperature, ethanol is distilled off on a rotary evaporator (1 kPa/40°C), the residue is extracted 3 times with 20 ml of dichloromethane each time and the extracts are washed with 0.1 N sodium hydroxide solution, dried over potassium carbonate and completely concentrated in vacuo. For purification, the crude product is chromatographed on silica gel (dichloromethane/methanol 20:1 to 3:1); the pure fractions collected are concentrated in vacuo together and crystallized from dichloromethane/diisopropyl ether. The product is then recrystallized from methanol/toluene. Yield 2.67 g (74%) of the title compound as a colorless solid of m.p. 112-114°C.

A2. 2-Chloromethyl-4-(3-chloropropylthio)-3-methylpyridine hydrochloride

a) 2,3-Dimethyl-4-(3-hydroxypropylthio)pyridine-N-oxide

6 g (60%) NaH are added in portions to 50 ml of dry N-methylpyrolidone [sic] (NMP), the mixture is stirred for 15 min, 9.5 g (0.11 mol) of 3-hydroxypropylmercaptan are metered in in the course of 20 min and the mixture is stirred again for 30 min until the evolution of gas has ended. A solution of 14.4 g (0.1 mol) of 4-chloro-2,3-dimethylpyridine-N-oxide in 100 ml of NMP is then added dropwise in the course of 20 min, and the reaction mixture is stirred for 1 h at room temperature, then for 1 h at 70°C and after this additionally for 1 h at 100°C.

After reaction has ended, the mixture is allowed to cool, and is diluted with 500 ml of water and extracted 4 times with 300 ml of dichloromethane each time. The combined organic phases are washed with water, dried over magnesium sulfate and concentrated and the residue is crystallized from toluene. After recrystallization from methanol/toluene, the title compound is obtained as a beige solid of m.p. 106-107°C (sublimes): yield: 68 % of theory.

b) 2-Hydroxymethyl-4-(3-hydroxypropylthio)-3-methylpyridine

The yellow oil obtained under a) is dissolved in 100 ml of acetic anhydride, and the mixture is stirred for 2 h at 100°C. After concentrating in vacuo, the brown, oily residue is distilled in a bulb tube distillation apparatus and reacted further without purification.

The oily distillate is heated to reflux temperature with stirring for 2 h in 100 ml of 2 N sodium hydroxide solution and 100 ml of isopropanol, isopropanol is distilled off, the residue is extracted 3 times with 100 ml of dichloromethane each time, and the combined organic phases are washed with water, dried over potassium carbonate and concentrated in vacuo. 5.0 g of 2-hydroxymethyl-4-(3-hydroxypropylthio)-3-methylpyridi-

ne are obtained, which is reacted further without purification. A monohydrochloride of the title compound can be prepared from isopropanol using conc. hydrochloric acid; m.p. 188-190°C (dec.).

c) 2-Chloromethyl-4-(3-chloropropylthio)-3-methylpyridine hydrochloride

5.0 g of the oil from b) are dissolved in dichloromethane (100 ml), 4 equivalents of thionyl chloride are added dropwise and the mixture is stirred at room temperature for 20 h. It is completely concentrated and 4.5 g of the title compound are obtained as an oily, gradually crystallizing residue. Crystallization from isopropanol/diisopropyl ether yields the title compound as a colorless solid; m.p. 142-144°C (dec.).

B1. 2-{[[4-(2-Chloroethylthio)-3-methyl-2-pyridinyl]-methyl]thio}-1H-benzimidazole

According to the procedure given in Example A1, the title compound (62% of theory) is obtained by reaction of 2-mercapto-1H-benzimidazole with 4-(2-chloroethylthio)-2-chloromethyl-3-methylpyridine hydrochloride and NaOH, after crystallization from ethyl acetate, as a colorless solid of m.p. 178-180°C.

B2. 4-(2-Chloroethylthio)-2-chloromethyl-3-methylpyridine hydrochloride

a) 2,3-Dimethyl-4-(2-hydroxyethyltio)pyridine-N-oxide [sic]

According to the procedure given in Example A2.a), the title compound is obtained by reaction of 4-chloro-2,3-dimethylpyridine-N-oxide with 2-mercaptoethanol and sodium hydride as an oily residue which is employed in the subsequent step without further purification.

b) 4-(2-Hydroxyethylthio)-2-hydroxymethyl-3-methylpyridine

According to the procedure given in Example A2.b), the title compound is obtained by reaction of the oil obtained under a) with acetic anhydride and subsequent hydrolysis with NaOH as an oily residue which is employed in the subsequent step without further purification.

c) 4-(2-Chloroethylthio)-2-chloromethyl-3-methylpyridine hydrochloride

According to the procedure given in Example A2.c), the title compound is obtained by reaction of the oil obtained under b) with thionyl chloride as an oily residue which is employed directly as a solution in ethanol for the reaction with 2-mercaptobenzimidazole.

C. 3-Chloro-4-[N-(2-chloroethyl)-N-methylamino]-2-chloromethylpyridine hydrochloride

a) 3-Chloro-4-[N-(2-hydroxyethyl)-N-methylamino]-2-hydroxymethylpyridine

A mixture of 3,4-dichloro-2-hydroxymethylpyridine (J.Med.Chem. 1989, 32, 1970) (2.5 g) in 2-methylaminoethanol (30 ml) is heated at 160°C for 2.5 h in a steel autoclave, the excess amine is stripped off under high vacuum and the residue which remains is chromatographed on silica gel (dichloromethane/methanol 95/5). Yield: 2.3 g as a yellowish oil.

b) 3-Chloro-4-[N-(2-chloroethyl)-N-methylamino]-2-chloromethylpyridine hydrochloride

A solution of 3-chloro-4-[N-(2-hydroxyethyl)-N-methylamino]-2-hydroxymethylpyridine (2.3 g) in dichloromethane (30 ml) is treated dropwise at 0°C with a solution of thionyl chloride (4 ml) in dichloromethane (20 ml). The temperature is then allowed to rise to 20°C (20 min) and the temperature is then kept at 40°C

for 30 min. After stripping off the solvent in vacuo, the residue which remains is chromatographed on silica gel (petroleum ether/ethyl acetate 7/3 mixture which contains 1 ml of conc. NH_3 x aq/L). Yield: 2.6 g.

D1. 2-{[[4-(3-Chloropropylthio)-3-methoxy-2-pyridinyl]methyl]thio}-1H-benzimidazole dihydrochloride

2-Mercapto-1H-benzimidazole (10 g) and 2-chloromethyl-4-(3-chloropropylthio)-3-methoxypyridine hydrochloride (1 equivalent) are stirred at 80°C for 5 h in 150 ml of isopropanol and 15 ml of water, the mixture is cooled, and precipitated solid is filtered off and recrystallized from isopropanol/water. The title compound is obtained as a light brown powder; m.p. 117-119°C (dec.); yield: 67% of theory.

D2. 2-Chloromethyl-4-(3-chloropropylthio)-3-methoxypyridine hydrochloride

According to the procedure described in Example A2 a, b, c, the title compound is obtained starting from 4-chloro-3-methoxy-2-methylpyridine-N-oxide as a slowly crystallizing oil which is directly reacted further.

E1. 2-{[[4-(3-Chloropropylthio)-3-methyl-2-pyridinyl]-methyl]thio}-1H-imidazo[4,5-b]pyridine dihydrochloride

According to the procedure described in Example D1, the title compound is obtained in the reaction of 2-mercapto-1H-imidazo[2,3-b]pyridine with 2-chloromethyl-4-(3-chloropropylthio)-3-methylpyridine hydrochloride as a colorless powder; m.p. 186-188°C; yield: 88 % of theory.

F. 2-Chloromethyl-3-methyl-4-{4-[2-(2-methyl-5-nitro-imidazol-1-yl)ethylthio]butylthio}pyridine

a) 2-Hydroxymethyl-4-(4-mercaptobutylthio)-3-methyl-pyridine

4.2 g (145 mmol) of sodium hydride (80 % in paraffin) are initially introduced in 100 ml of DMF with ice-cooling. 35.5 g (290 mmol) of 1,4-butanedithiol are slowly added dropwise. After the evolution of gas has ended, 15.3 g (97 mmol) of 4-chloro-2-hydroxymethyl-3-methylpyridine in 20 ml of DMF are added dropwise. After about 30 minutes, the mixture is allowed to come to RT and is stirred at this temperature for 12 h. It is diluted with 800 ml of ice-water and neutralized with acetic acid. The mixture is extracted 3 times with dichloromethane. The combined organic phases are washed 4 times with water, dried over magnesium sulfate, filtered and concentrated. The crude product is chromatographed on silica gel using ethyl acetate/conc. ammonia = 99/1. The title compound is obtained as a yellow crystallizate. M.p. 58-63°C; yield 13 g (55% of theory).

b) 2-Hydroxymethyl-3-methyl-4-{4-[2-(2-methyl-5-nitroimidazol-1-yl)ethylthio]butylthio}pyridine

0.43 g (15 mmol) of sodium hydride are initially introduced in 25 ml of DMF with ice-cooling. 3.33 g (13.7 mmol) of 2-hydroxymethyl-4-(4-mercaptobutylthio)-3-methylpyridine are added. After the evolution of gas has ended, 2.62 g (13.7 mmol) of 1-(2-chloroethyl)-2-methyl-5-nitroimidazole in 10 ml of DMF are added dropwise. The mixture is stirred with ice-cooling for 1 h. It is then diluted with 200 ml of ice-water and neutralized with acetic acid. The mixture is extracted 3 times with dichloromethane. The combined organic phases are washed 4 times with water, dried over magnesium sulfate, filtered and concentrated. The crude product is chromatographed on silica gel using ethyl acetate/methanol/conc. ammonia = 89/10/1. The title compound is obtained on concentrating as a yellow crystallizate which is washed by stirring with diethyl ether. M.p. 86-87°C; yield 4 g (75% of theory).

c) 2-Chloromethyl-3-methyl-4-{4-[2-(2-methyl-5-nitro-

imidazol-1-yl)ethylthio]butylthio}pyridine

4 g (10 mmol) of 2-hydroxymethyl-3-methyl-4-{4-[2-(2-methyl-5-nitroimidazol-1-yl)ethylthio]butylthio}-pyridine are dissolved in 40 ml of dichloromethane. 1.56 g (13.11 mmol) of thionyl chloride in 5 ml of dichloromethane are added dropwise with ice-cooling. The mixture is stirred at 0°C for 2 h. It is then added to 250 ml of ice-water and neutralized with saturated sodium hydrogen carbonate solution. The dichloromethane phase is separated off and the aqueous phase is extracted again with dichloromethane. The combined organic phases are washed with water, dried over magnesium sulfate, filtered and concentrated. The title compound is obtained as a yellow oil which is reacted without further purification. Yield 4.15 g (100% of theory).

Commercial utility

The excellent activity of compounds of the formula I and their salts against Helicobacter bacteria allows their use in human medicine as active compounds for the treatment of diseases which are based on Helicobacter bacteria.

The invention thus further relates to a process for the treatment of mammals, especially humans, who are suffering from diseases which are based on Helicobacter bacteria.

The process comprises administering to the sick individual a therapeutically active and pharmacologically tolerable amount of one or more compounds of the formula I and/or their pharmacologically tolerable salts.

The invention additionally relates to the compounds of the formula I and their pharmacologically tolerable salts for use in the treatment of diseases which are based on Helicobacter bacteria.

The invention likewise comprises the use of compounds of the formula I and their pharmacologically tolerable salts in the production of medicaments which

are employed for the control of those diseases which are based on Helicobacter bacteria.

The invention further relates to medicaments for the control of Helicobacter bacteria, which contain one or more compounds of the general formula I and/or their pharmacologically tolerable salts.

Of the Helicobacter strains against which the compounds of the formula I prove effective, the strain Helicobacter pylori may be mentioned in particular.

The medicaments are prepared by processes known per se, which are familiar to the person skilled in the art. As medicaments, the pharmacologically active compounds of the formula I and their salts (= active compounds) are either employed as such, or preferably in combination with suitable pharmaceutical auxiliaries, e.g. in the form of tablets, coated tablets, capsules, emulsions, suspensions, gels or solutions the active compund content preferably being between 0.1 and 95 %.

Auxiliaries which are suitable for the desired pharmaceutical formulations are familiar to the person skilled in the art on the basis of his expert knowledge. Besides solvents, gelling agents, tabletting auxiliaries and other active compound excipients, for example, antioxidants, dispersants, emulsifiers, antifoams, flavor corrigents, preservatives, solubilizers, colorants or permeation promotors and complexing agents (e.g. cyclodextrins) can be used.

The active compounds can, for example, be administered parenterally (e.g. intravenously) or in particular orally.

In general, in human medicine the active compounds are administered in a daily dose of approximately 0.2 to 50, preferably 1 to 30, mg/kg of body weight, if appropriate in the form of several, preferably 2 to 6, individual doses to achieve the desired result.

In this connection, as an essential aspect of the invention it is particularly to be mentioned that the compounds of the formula I in which n is the number 0 already prove to be active against Helicobacter

bacteria on administration of those doses which are below the doses which would have to be employed to achieve an inhibition - adequate for therapeutic purposes - of gastric acid secretion.

Compounds of the formula I in which n is the number 1 - besides their activity against Helicobacter bacteria - also have a pronounced gastric acid secretion-inhibiting action. Accordingly, these compounds can also be employed for the treatment of those diseases which are based on increased gastric acid secretion.

The compounds according to the invention can also be administered in a fixed or free combination together with a substance neutralizing gastric acid and/or inhibiting gastric acid secretion and/or with a substance suitable for the classical control of Helicobacter pylori.

Substances neutralizing gastric acid which may be mentioned are, for example, sodium hydrogen carbonate and other antacids (such as aluminum hydroxide, magnesium aluminate or magaldrate). Substances inhibiting gastric acid secretion which may be mentioned are, for example, H_2 blockers (e.g. cimetidine, ranitidine), H^+/K^+ ATPase inhibitors (e.g. lansoprazole, omeprazole or in particular pantoprazole) and also so-called peripheral anticholinergics (e.g. pirenzepine, telenzenpine [sic]).

As substances suitable for the classical control of Helicobacter pylori, antimicrobially active substances may be mentioned in particular, such as, for example, penicillin G, gentamycin, erythromycin, nitrofurazone, tinidazole, nitrofurantoin, furazolidone, metronidazole and in particular amoxycillin, or else also bismuth salts such as, for example, bismuth citrate.

Biological investigations

The compounds of the formula I were investigated regarding their activity against Helicobacter

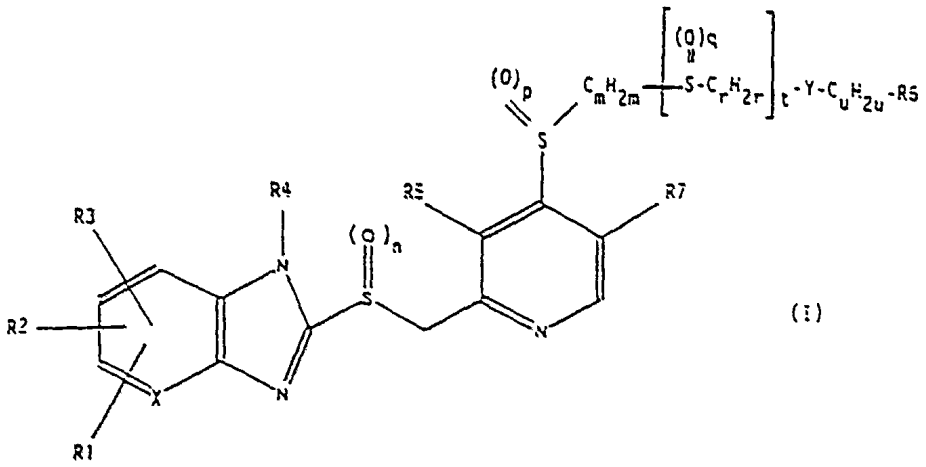
pylori following the methodology described by Tomoyuki Iwahi et al. (Antimicrobial Agents and Chemotherapy, 1991, 490-496) using Columbia agar (Oxoid) and with a growth period of 4 days. The approx. MIC 50 values listed in the following Table A resulted here for the compounds investigated (the numbers of the compounds given agree with the example numbers in the description).

TABLE A

Compound No.	approx. MIC50 (µg/ml)
1	0.05
2	0.1
3	0.05
4	0.05
5	0.05
6	0.05
7	0.05
10	0.1
11	0.1
14	0.1

THE CLAIMS DEFINING THE PRESENT INVENTION ARE AS FOLLOWS:

1. A compound of the formula I



(I)

in which

X is CH or N,

Y is S, SO, SO_2, O, NH or N-1-4C-alkyl,

R1 is hydrogen, 1-4C-alkyl, 1-4C-alkoxy or halogen,

R2 is hydrogen, 1-4C-alkyl, 1-4C-alkoxy, halogen, trifluoromethyl, completely or predominantly fluorine-substituted 1-4C-alkoxy, chlorodifluoromethoxy, 2-chloro-1,1,2-trifluoroethoxy or together with R3, if desired, completely or partially fluorine-substituted 1-2C-alkylenedioxy or chlorotrifluoroethylenedioxy,

R3 is hydrogen, completely or predominantly fluorine-substituted 1-4C-alkoxy, chlorodifluoromethoxy, 2-chloro-1,1,2-trifluoroethoxy or together with R2, if desired, completely or partially fluorine-substituted 1-2C-alkylenedioxy or chlorotrifluoroethylenedioxy,

R4 is hydrogen, 1-4C-alkyl, R14-substituted 1-4C-alkyl, 1-4C-alkylcarbonyl, 2-4C-alkenylcarbonyl, halo-1-4C-alkylcarbonyl, N(R15)R16-1-4C-alkylcar-

bonyl, di-1-4C-alkylcarbamoyl or 1-4C-alkylsulfonyl,

R5 is hydrogen, 1-4C-alkyl or 1-4C-alkoxy,

R6 is a mono- or di-1-4C-alkylcarbamoyl or -thiocarbamoyl radical, an N-1-4C-alkyl-N'-cyanoamidino radical, a 1-N-1-4C-alkylamino-2-nitroethylene radical, an N-2-propynyl-N'-cyanoamidino radical, an aminosulfonylamidino radical, or an R8- and R9-substituted cyclic system or bicyclic system which is selected from the group consisting of benzene, furan, thiophene, pyrrole, oxazole, isoxazole, thiazole, thiazoline, isothiazole, imidazole, imidazoline, pyrazole, triazole, tetrazole, thiadiazole, thiadiazole-1-oxide, oxadiazole, pyridine, pyridine-N-oxide, pyrimidine, triazine, pyridone, benzimidazole, imidazopyridine, benzothiazole and benzoxazole,

R7 is hydrogen, 1-4C-alkyl or 1-4C-alkoxy,

R8 is hydrogen, 1-4C-alkyl, hydroxyl, 1-4C-alkoxy, halogen, nitro, guanidino, carboxyl, 1-4C-alkoxycarbonyl, R10-substituted 1-4C-alkyl or -N(R11)R12,

R9 is hydrogen, 1-4C-alkyl, hydroxyl, 1-4C-alkoxy, fluorine or trifluoromethyl,

R10 is hydroxyl, 1-4C-alkoxy, carboxyl, 1-4C-alkoxycarbonyl or -N(R11)R12, where

R11 is hydrogen, 1-4C-alkyl or -CO-R13 and

R12 is hydrogen or 1-4C-alkyl, or where

R11 and R12, together and including the nitrogen atom to which both are bonded, are a piperidino or morpholino radical,

R13 is hydrogen, 1-4C-alkyl or 1-4C-alkoxy,

R14 is hydroxyl, 1-4C-alkoxy, carboxyl, 1-4C-alkoxycarbonyl or -N(R15)R16, where

R15 is 1-4C-alkyl and

R16 is 1-4C-alkyl, or where

R15 and R16, together and including the nitrogen atom to which both are bonded, are a piperidino or morpholino radical,

m is a number from 2 to 7,
n is the number 0 or 1,
p is the number 0 or 1,
q is the number 0, 1 or 2,
r is a number from 2 to 7,
t is the number 0 or 1 and
u is a number from 0 to 7
and their salts,
those compounds of the formula I being excluded in which Y is S or SO and, at the same time, X is CH, t is the number 0, u is the number 0, R4 is hydrogen or 1-4C-alkyl and R6 is an R8- and R9-substituted cyclic system or bicyclic system which is selected from the group consisting of benzene, furan, thiophene, pyrrole, oxazole, isoxazole, thiazole, thiazoline, isothiazole, imidazole, imidazoline, pyrazole, triazole, tetrazole, thiadiazole, oxadiazole, pyridine, pyridine-N-oxide, pyrimidine and benzimidazole, and furthermore those compounds of the formula I being excluded in which Y is NH or N-1-4C-alkyl and, at the same time, t is the number 0 and R5 is hydrogen or 1-4C-alkyl.

2. A compound of the formula I as claimed in claim 1, in which Y has the meaning O (oxygen).

3. A compound of the formula I as claimed in claim 1, in which X has the meaning CH, Y has the meaning S, t is the number 0 and u is a number from 1 to 7.

4. A compound of the formula I as claimed in claim 1, in which X has the meaning CH, Y has the meaning S, t is the number 0, u is the number 0 and R4 is R14-substituted 1-4C-alkyl, 1-4C-alkylcarbonyl, 2-4C-alkenylcarbonyl, halo-1-4C-alkylcarbonyl, N(R15)R16-1-4C-alkylcarbonyl, di-1-4C-alkylcarbamoyl or 1-4C-alkylsulfonyl.

5. A compound of the formula I as claimed in claim 1, in which Y has the meaning S, t is the number 0, u is the number 0 and R6 is a mono- or di-1-4C-alkylcarbamoyl or -thiocarbamoyl radical, an N-1-4C-alkyl-N'-cyanoamidino radical, a 1-N-1-4C-alkylamino-2-nitroethyl [sic] radical, an N-2-propynyl-N'-cyanoamidino

radical, an aminosulfonylamidino radical, or an R8- and R9-substituted cyclic system which is selected from the group consisting of thiadiazole-1-oxide, triazine, pyridone, imidazopyridine, benzothiazole and benzoxazole.

6. A compound of the formula I as claimed in claim 1, in which Y is NH or N-1-4C-alkyl, t is the number 0 and R5 is 1-4C-alkoxy.

7. A compound of the formula I as claimed in claim 1, in which

X is CH or N,

Y is S or SO_2,

R1 is hydrogen,1-4C-alkoxy or fluorine,

R2 is hydrogen, 1-4C-alkyl or fluorine,

R3 is hydrogen,

R4 is hydrogen, R14-substituted 1-4C-alkyl or 1-4C-alkylsulfonyl,

R5 is hydrogen or 1-4C-alkyl,

R6 is a di-1-4C-alkylthiocarbamoyl radical, an N-1-4C-alkyl-N'-cyanoamidino radical or an R8- and R9-substituted cyclic system which is selected from the group consisting of benzene, furan, thiophene, thiazole, imidazole, triazole, tetrazole, thiadiazole, pyridine, pyrimidine and triazine,

R7 is hydrogen or 1-4C-alkyl,

R8 is hydrogen, 1-4C-alkyl, hydroxyl, nitro, guanidino, carboxyl, 1-4C-alkoxycarbonyl or R10-substituted 1-4C-alkyl,

R9 is hydrogen, 1-4C-alkyl, hydroxyl or fluorine,

R10 is hydroxyl, 1-4C-alkoxycarbonyl or -N(R11)R12, where

R11 is 1-4C-alkyl and

R12 is 1-4C-alkyl, or where

R11 and R12, together and including the nitrogen atom to which both are bonded, are a piperidino or morpholino radical,

R14 is 1-4C-alkoxycarbonyl or -N(R15)R16, where

R15 is 1-4C-alkyl and

R16 is 1-4C-alkyl, or where
R15 and R16, together and including the nitrogen atom to which both are bonded, are a piperidino or morpholino radical,
m is a number from 2 to 4,
n is the number 0.
p is the number 0,
t is the number 0 and
u is a number from 0 to 3
and their salts,
those compounds of the formula I being excluded in which Y is S and, at the same time, X is CH, u is the number 0, R4 is hydrogen and R6 is an R8- and R9-substituted cyclic system which is selected from the group consisting of benzene, furan, thiophene, thiazole, imidazole, triazole, tetrazole, thiadiazole, pyridine and pyrimidine.

8. A compound of the formula I as claimed in claim 1, in which

X is CH or N,
Y is S or SO_2,
R1 is hydrogen, 1-4C-alkoxy or fluorine,
R2 is hydrogen or fluorine,
R3 is hydrogen,
R4 is hydrogen,
R5 is 1-4C-alkyl,
R6 is a di-1-4C-alkylthiocarbamoyl radical or an R8- and R9-substituted cyclic system which is selected from the group consisting of benzene, furan, thiophene, thiazole, imidazole, triazole, tetrazole, thiadiazole, pyridine and pyrimidine,
R7 is hydrogen,
R8 is hydrogen, nitro, 1-4C-alkoxycarbonyl or R10-substituted 1-2C-alkyl,
R9 is hydrogen or 1-4C-alkyl,
R10 is 1-4C-alkoxycarbonyl or -N(R11)R12, where
R11 is 1-4C-alkyl and
R12 is 1-4C-alkyl, or where
R11 and R12, together and including the nitrogen atom

to which both are bonded, are a piperidino or morpholino radical,

m is the number 2 or 3,

n is the number 0,

p is the number 0,

t is the number 0 and

u is a number from 1 to 3

and their salts.

9. A compound of the formula I as claimed in claim 1, in which

X is CH or N,

Y is S,

R1 is hydrogen,

R2 is hydrogen,

R3 is hydrogen,

R4 is hydrogen,

R5 is 1-4C-alkyl,

R6 is an R8- and R9-substituted cyclic system which is selected from the group consisting of benzene, furan, thiophene, thiazole, imidazole, triazole, tetrazole, thiadiazole, pyridine and pyrimidine,

R7 is hydrogen,

R8 is nitro,

R9 is hydrogen or 1-4C-alkyl,

m is the number 2 or 3,

n is the number 0,

p is the number 0,

t is the number 0 and

u is a number from 1 to 3

and their salts.

10. A compound of the formula I as claimed in claim 1, in which

X is CH or N,

Y is S,

R1 is hydrogen,

R2 is hydrogen,

R3 is hydrogen,

R4 is hydrogen,

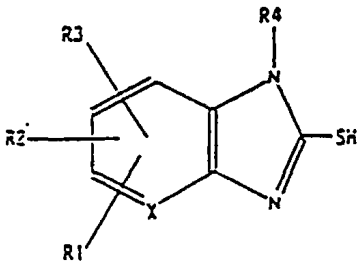
R5 is 1-4C-alkyl,

R6 is R8- and R9-substituted imidazole,
R7 is hydrogen,
R8 is nitro,
R9 is hydrogen or 1-4C-alkyl,
m is the number 2 or 3
n is the number 0,
p is the number 0,
t is the number 0, and
u is the number from 1 to 3
and their salts.

11. 2-(3-methyl-4-{3-[2-(2-methyl-5-nitroimidazol-1-yl)ethylthio]propylthio}pyridin-2-ylmethylthio)-1H-benzimidazole and the salts of this compound.

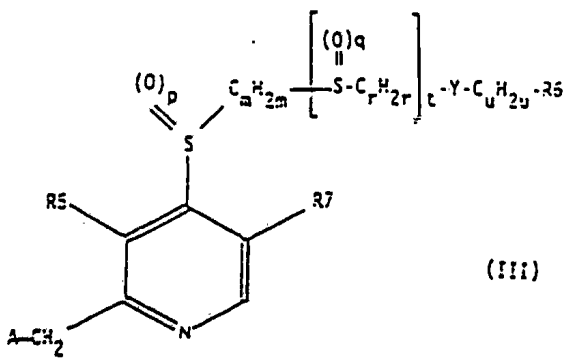
12. A process for the preparation of the compounds of the formula I as claimed in claim 1 and their salts, which comprises

a) reacting mercaptobenzimidazoles of the formula II



(II)

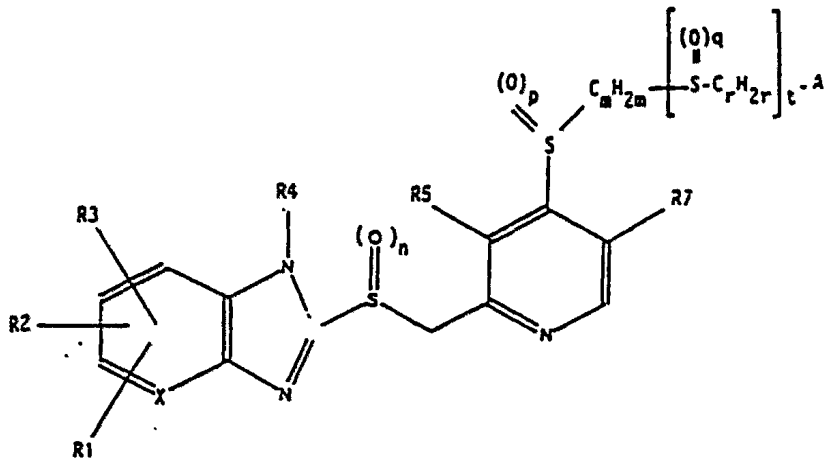
in which X, R1, R2, R3 and R4 have the meanings indicated in claim 1, with picoline derivatives III



(III)

in which R5, R6, R7, Y, m, p, q, r, t and u have the meanings indicated in claim 1 and A is a suitable leaving group, or

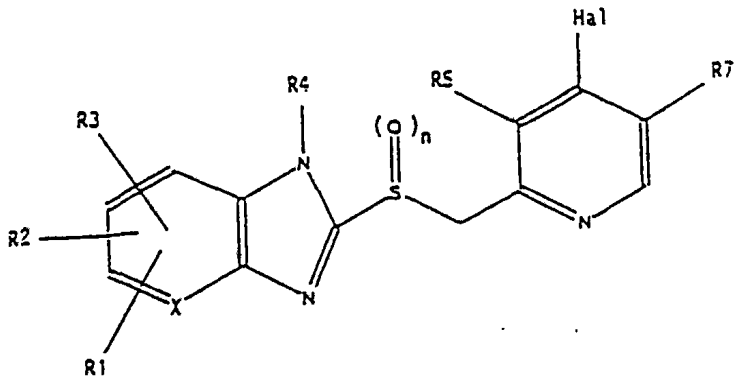
b) reacting compounds of the formula IV



(IV)

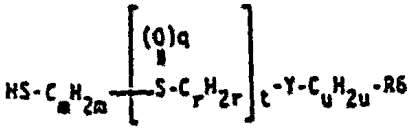
in which X, R1, R2, R3, R4, R5, R7, m, r and t have the meanings indicated in claim 1, n, p and q are the number 0 and A is a suitable leaving group, with compounds R6-C_u-H_{2u}-YH (where Y = S, O, NH or N-1-4C-alkyl and where R6 and u have the meanings indicated in claim 1), or

c) reacting compounds of the formula V



(V)

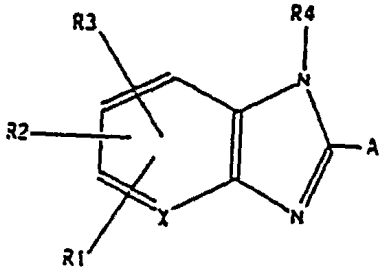
in which X, R1, R2, R3, R4, R5, R7 and n have the meanings indicated in claim 1 and Hal is a halogen atom, with thiols VI



(VI)

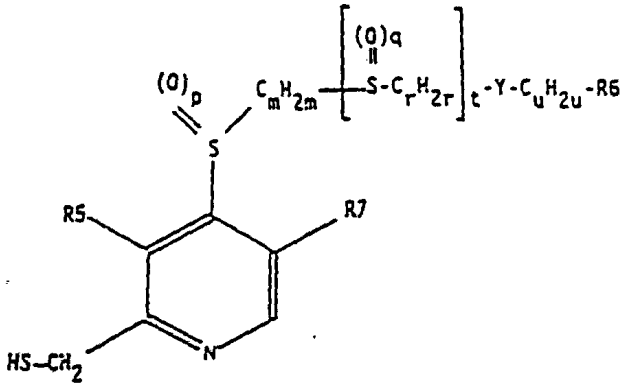
in which R6, Y, m, q, r, t and u have the meanings indicated in claim 1, or

d) reacting benzimidazoles of the formula VII



(VII)

in which R1, R2, R3, R4 and X have the meanings indicated in claim 1 and A is a suitable leaving group, with pyridines of the formula VIII



(VIII)

in which R5, R6, R7, Y, m, p, q, r, t and u have the meanings indicated in claim 1, and

(if compounds of the formula I where n=1 or p=1 and/or q=1 or 2 and/or Y=SO or SO_2 are the desired final products),

then oxidizing the compounds obtained where n=0 and/or p=0 and/or q=0 and/or Y=s, and/or converting the compounds obtained, if desired, subsequently into the salts and/or converting salts which are obtained, if desired, subsequently into the free compounds.

13. The use of compounds of the formula I as claimed in claim 1 and/or their pharmacologically tolerable salts in the control of Helicobacter bacteria.

14. The use of compounds of the formula I as claimed in claim 1 and the pharmacologically tolerable salts for the production of medicaments for the control of Helicobacter bacteria.

15. A method for the treatment of mammals suffering from diseases which are based on Helicobacter bacteria, comprising the step of administering a compound of the general formula I as claimed in claim 1 and/or its pharmacologically tolerable salts to said mammal.

16. Medicaments which contain one or more compounds of the general formula I as claimed in claim 1 and/or its pharmacologically tolerable salts and suitable pharmaceutical auxiliaries.

17. Medicaments as claimed in claim 16, further containing a substance for neutralizing gastric acid and/or inhibiting gastric acid secretion and/or a substance suitable for the control of Helicobacter pylori

18. The use of compounds of the formula I as claimed in claim 1 in which n is 1 and/or their pharmacologically tolerable salts in the inhibition of gastric acid secretions.

19. The use of compounds of the formula I as claimed

in claim 1 wherein n is 1 and their pharmacologically tolerable salts for the production of medicaments for the inhibition of gastric acid secretions.

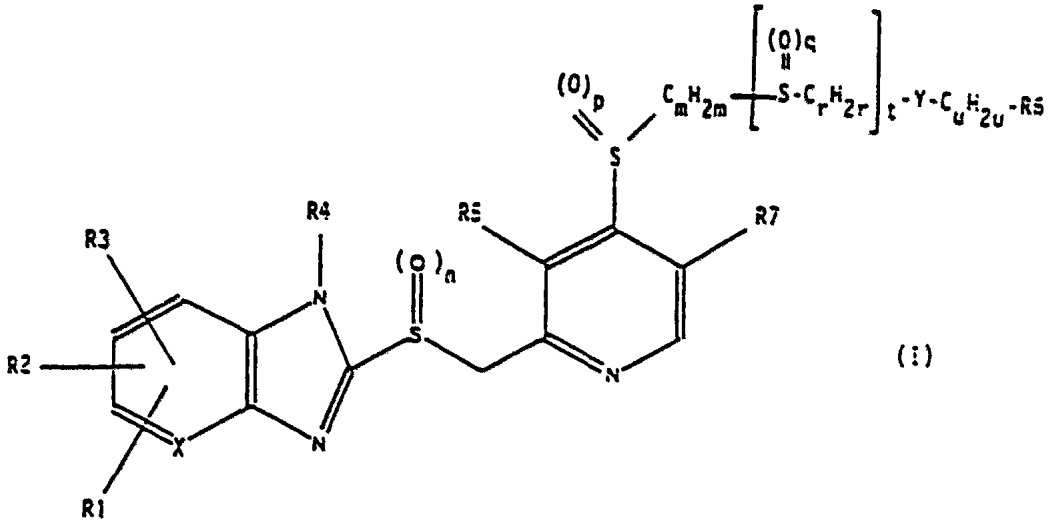
20. A method for the treatment of mammals suffering from excessive gastric acid secretion, comprising the step of administering a compound of the general formula 1 as claimed in claim 1 wherein n is 1 and/or its pharmacologically tolerable to said mammal.

Dated this 27th day of August 1998

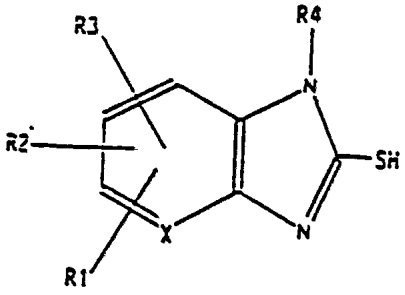
BYK GULDEN LOMBERG CHEMISCHE FABRIC GMBH
By their Patent Attorneys

GRIFFITH HACK
Fellows Institute of Patent
Attorneys of Australia

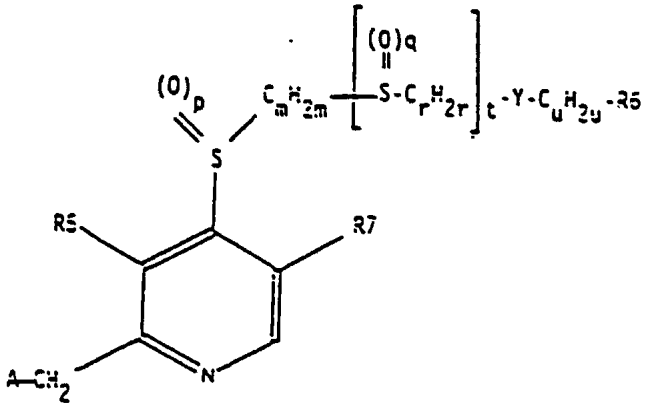
FORMULA SHEET I



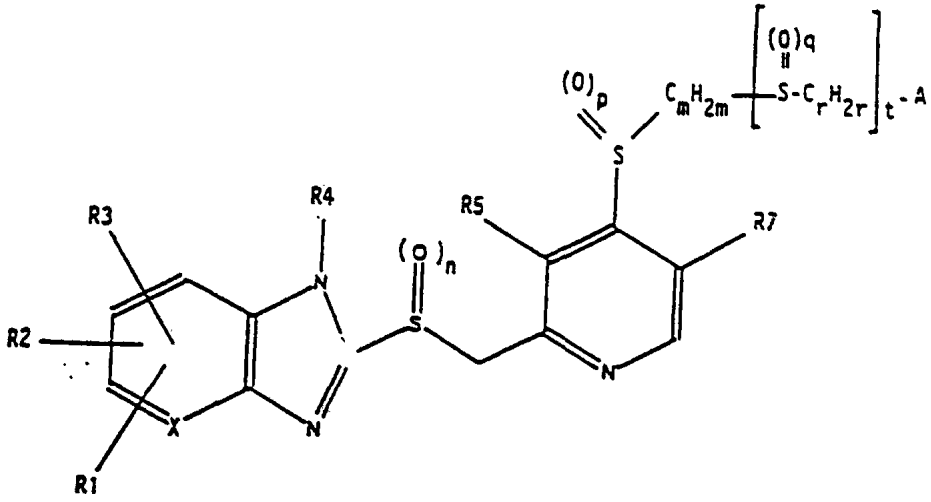
(I)



(II)

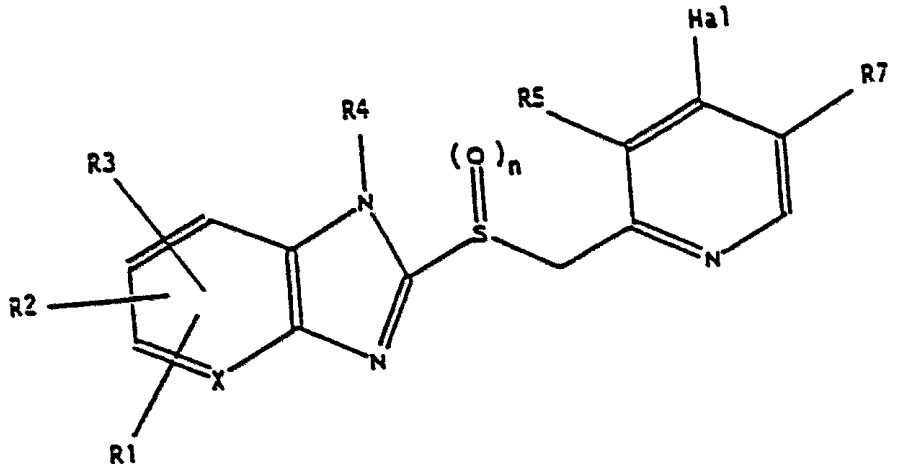


(III)

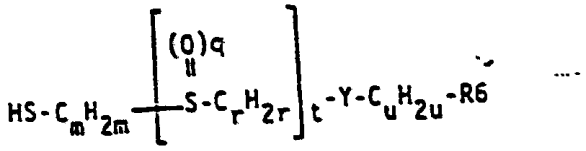


(IV)

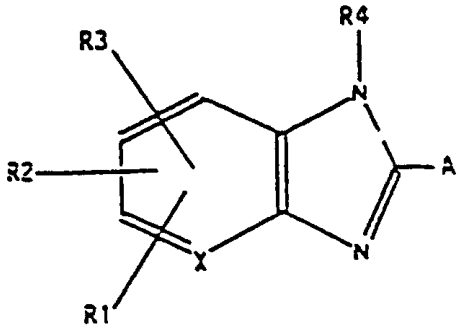
FORMULA SHEET II



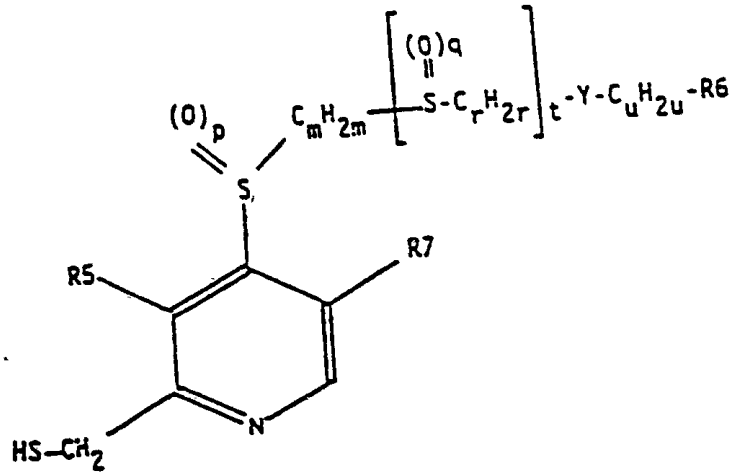
(V)



(VI)



(VII)



(VIII)

INTERNATIONAL SEARCH REPORT

Inte. ional Application No
PCT/EP 95/02237

A. CLASSIFICATION OF SUBJECT MATTER
IPC 6 C07D401/12 A61K31/44 C07D405/14 C07D417/14 C07D401/14
C07D471/04 C07D409/14

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 6 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO,A,93 24480 (YOSHITOMI PHARMACEUTICAL) 9 December 1993 cited in the application see abstract & EP,A,0 644 191 22 March 1995	1-14
P,X	WO,A,94 19346 (BYK GULDEN LOMBERG CHEMISCHE FABRIK) 1 September 1994 see claims	1-14
P,X	WO,A,95 01351 (BYK GULDEN LOMBERG CHEMISCHE FABRIK) 12 January 1995 see claims	1-14

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
22 September 1995	- 5. 10. 95

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+ 31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+ 31-70) 340-3016	De Jong, B

Form PCT/ISA/210 (second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

International application No.
PCT/EP 95/02237

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

Although claim 13 concerns a process for treating the human/animal body (diagnostic process carried out on the human/animal body), the search was carried out and based on the given effects of the compound.

2. ☐ Claims Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:

3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.

2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:

4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

☐ The additional search fees were accompanied by the applicant's protest.

☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Inte... Application No
PCT/EP 95/02237

Patent document cited in search report	Publication date	Patent family member(s)		Publication date
WO-A-9324480	09-12-93	CA-A-	2136993	09-12-93
		EP-A-	0644191	22-03-95
EP-A-0644191	22-03-95	CA-A-	2136993	09-12-93
		WO-A-	9324480	09-12-93
WO-A-9419346	01-09-94	AU-B-	6108794	14-09-94
WO-A-9501351	12-01-95	AU-B-	7228094	24-01-95

INTERNATIONALER RECHERCHENBERICHT

Inter... nales Aktenzeichen
PCT/EP 95/02237

A. KLASSIFIZIERUNG DES ANMELDUNGSGEGENSTANDES
IPK 6 C07D401/12 A61K31/44 C07D405/14 C07D417/14 C07D401/14
C07D471/04 C07D409/14

Nach der Internationalen Patentklassifikation (IPK) oder nach der nationalen Klassifikation und der IPK

B. RECHERCHIERTE GEBIETE

Recherchierter Mindestprüfstoff (Klassifikationssystem und Klassifikationssymbole)
IPK 6 C07D

Recherchierte aber nicht zum Mindestprüfstoff gehörende Veröffentlichungen, soweit diese unter die recherchierten Gebiete fallen

Während der internationalen Recherche konsultierte elektronische Datenbank (Name der Datenbank und evtl. verwendete Suchbegriffe)

C. ALS WESENTLICH ANGESEHENE UNTERLAGEN

Kategorie*	Bezeichnung der Veröffentlichung, soweit erforderlich unter Angabe der in Betracht kommenden Teile	Betr. Anspruch Nr.
X	WO,A,93 24480 (YOSHITOMI PHARMACEUTICAL) 9. Dezember 1993 in der Anmeldung erwähnt see abstract & EP,A,0 644 191 22. März 1995 ---	1-14
P,X	WO,A,94 19346 (BYK GULDEN LOMBERG CHEMISCHE FABRIK) 1. September 1994 siehe Ansprüche ---	1-14
P,X	WO,A,95 01351 (BYK GULDEN LOMBERG CHEMISCHE FABRIK) 12. Januar 1995 siehe Ansprüche -----	1-14

☐ Weitere Veröffentlichungen sind der Fortsetzung von Feld C zu entnehmen

☒ Siehe Anhang Patentfamilie

* Besondere Kategorien von angegebenen Veröffentlichungen :
"A" Veröffentlichung, die den allgemeinen Stand der Technik definiert, aber nicht als besonders bedeutsam anzusehen ist
"E" älteres Dokument, das jedoch erst am oder nach dem internationalen Anmeldedatum veröffentlicht worden ist
"L" Veröffentlichung, die geeignet ist, einen Prioritätsanspruch zweifelhaft erscheinen zu lassen, oder durch die das Veröffentlichungsdatum einer anderen im Recherchenbericht genannten Veröffentlichung belegt werden soll oder die aus einem anderen besonderen Grund angegeben ist (wie ausgeführt)
"O" Veröffentlichung, die sich auf eine mündliche Offenbarung, eine Benutzung, eine Ausstellung oder andere Maßnahmen bezieht
"P" Veröffentlichung, die vor dem internationalen Anmeldedatum, aber nach dem beanspruchten Prioritätsdatum veröffentlicht worden ist
"T" Spätere Veröffentlichung, die nach dem internationalen Anmeldedatum oder dem Prioritätsdatum veröffentlicht worden ist und mit der Anmeldung nicht kollidiert, sondern nur zum Verständnis des der Erfindung zugrundeliegenden Prinzips oder der ihr zugrundeliegenden Theorie angegeben ist
"X" Veröffentlichung von besonderer Bedeutung; die beanspruchte Erfindung kann allein aufgrund dieser Veröffentlichung nicht als neu oder auf erfinderischer Tätigkeit beruhend betrachtet werden
"Y" Veröffentlichung von besonderer Bedeutung; die beanspruchte Erfindung kann nicht als auf erfinderischer Tätigkeit beruhend betrachtet werden, wenn die Veröffentlichung mit einer oder mehreren anderen Veröffentlichungen dieser Kategorie in Verbindung gebracht wird und diese Verbindung für einen Fachmann naheliegend ist
"&" Veröffentlichung, die Mitglied derselben Patentfamilie ist

Datum des Abschlusses der internationalen Recherche	Absendedatum des internationalen Recherchenberichts
22. September 1995	- 5. 10. 95

Name und Postanschrift der Internationale Recherchenbehörde	Bevollmächtigter Bediensteter
Europäisches Patentamt, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+ 31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+ 31-70) 340-3016	De Jong, B

Formblatt PCT/ISA/210 (Blatt 2) (Juli 1992)

INTERNATIONALER RECHERCHENBERICHT

rnationales Aktenzeichen

PCT/EP 95/02237

Feld I Bemerkungen zu den Ansprüchen, die sich als nicht recherchierbar erwiesen haben (Fortsetzung von Punkt 1 auf Blatt 1)

Gemäß Artikel 17(2)a) wurde aus folgenden Gründen für bestimmte Ansprüche kein Recherchenbericht erstellt:

1. ☐ Ansprüche Nr.
weil Sie sich auf Gegenstände beziehen, zu deren Recherche die Behörde nicht verpflichtet ist, nämlich

Obwohl der Anspruch 13 sich auf ein Verfahren zur Behandlung des menschlichen/tierischen Körpers (Diagnostizierverfahren, das am menschlichen/tierischen Körper vorgenommen wird,) bezieht, wurde die Recherche durchgeführt und gründete sich auf die angeführten Wirkungen der Verbindung.

2. ☐ Ansprüche Nr.
weil sie sich auf Teile der internationalen Anmeldung beziehen, die den vorgeschriebenen Anforderungen so wenig entsprechen, daß eine sinnvolle internationale Recherche nicht durchgeführt werden kann, nämlich

3. ☐ Ansprüche Nr.
weil es sich dabei um abhängige Ansprüche handelt, die nicht entsprechend Satz 2 und 3 der Regel 6.4 a) abgefaßt sind.

Feld II Bemerkungen bei mangelnder Einheitlichkeit der Erfindung (Fortsetzung von Punkt 2 auf Blatt 1)

Die internationale Recherchenbehörde hat festgestellt, daß diese internationale Anmeldung mehrere Erfindungen enthält:

1. ☐ Da der Anmelder alle erforderlichen zusätzlichen Recherchengebühren rechtzeitig entrichtet hat, erstreckt sich dieser internationale Recherchenbericht auf alle recherchierbaren Ansprüche der internationalen Anmeldung.

2. ☐ Da für alle recherchierbaren Ansprüche die Recherche ohne einen Arbeitsaufwand durchgeführt werden konnte, der eine zusätzliche Recherchengebühr gerechtfertigt hätte, hat die Internationale Recherchenbehörde nicht zur Zahlung einer solchen Gebühr aufgefordert.

3. ☐ Da der Anmelder nur einige der erforderlichen zusätzlichen Recherchengebühren rechtzeitig entrichtet hat, erstreckt sich dieser internationale Recherchenbericht nur auf die Ansprüche der internationalen Anmeldung, für die Gebühren entrichtet worden sind, nämlich auf die Ansprüche Nr.

4. ☐ Der Anmelder hat die erforderlichen zusätzlichen Recherchengebühren nicht rechtzeitig entrichtet. Der internationale Recherchenbericht beschränkt sich daher auf die in den Ansprüchen zuerst erwähnte Erfindung; diese ist in folgenden Ansprüchen erfaßt:

Bemerkungen hinsichtlich eines Widerspruchs

☐ Die zusätzlichen Gebühren wurden vom Anmelder unter Widerspruch gezahlt.

☐ Die Zahlung zusätzlicher Gebühren erfolgte ohne Widerspruch.