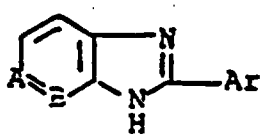
Description

The invention relates to new 2-arylimidazopyridines of the general formula



I

wherein

-A=B- is (a) -CH=N or (b) -N=CH-,

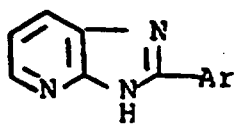
Ar is a phenyl radical, which, in case (a), is substituted by one or two alkynyloxy, cyanomethoxy, and/or alkyloxycarbonylmethoxy groups, and can be substituted by one or two additional hydroxyl, alkyloxy, alkenyloxy and/or alkynyloxy groups, or which, in case (b), is substituted by one to three hydroxyl, mercapto and/or -Z-R groups,

Z is -O-, -S- or -SO- and

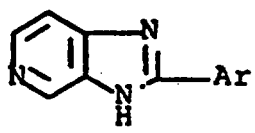
R is alkyl, alkenyl, alxinyl (sic), hydroxyalkyl, cyanomethyl or alkyloxycarbonylmethyl, the alkyl, alkenyl, alkynyl and hydroxyalkyl groups each having up to 5 C atoms, but wherein, in case (b), the phenyl radical is only substituted by hydroxyl or methoxy groups if it has at the same time at least one other substituent differing from these,

and their physiologically acceptable salts.

In the synthesis of these new compounds, only one form is produced, for which the structure of the 3H-imidazopyridines (I) is assumed, specifically that of the 3H-imidazo(4,5-b)pyridines (Ia; compare "The Ring Index", 2nd Edition, American Chemical Society, 1980, No.1193) or that of the 3H-imidazo (4,5-c) pyridines (1b; compare "The Ring Index", 1.c., No. 1195).

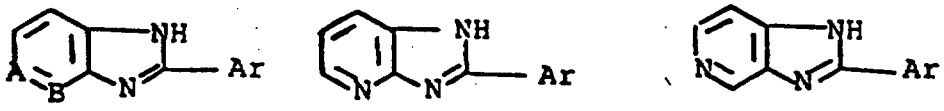


Ia



Ib

The structure of the tautomeric 1H-imidazopyridines I', specifically that of the 1H-imidazo(4,5-b)pyridines (Ia'; "The Ring Index", 1.c., No.1192) or that of the 1H-imidazo(4,5-c)pyridines (Ib'; "The Ring Index", 1.c No.1194)



I' Ia' Ib'

is, however, equally possible. The compounds are therefore designated in the foregoing and subsequent text as "imidazopyridines" or "imidazo(4,5-b)pyridines" or "imidazo(4,5-c) pyridines" or "compounds of the formula I" or "compounds of the formula Ia" or compounds of the formula Ib"; the designations are intended to include both the 3H-tautomers, I or Ia or Ib, and also the 1H-tautomers, I' or Ia' or Ib'. Similar compounds are known from German Offenlegungsschrift 2,305,339. Similar compounds are also described in Soviet Union Patent 566,842, for example those which correspond to formula Ib, but wherein a phenyl or a p-methoxyphenyl group is present instead of Ar. Furthermore, 2-o-hydroxyphenyl-imidazo(4,5-c)pyridine is known from J. Het. Chem., volume 17, pages 1757-1760 (1980).

The invention was based on the object of discovering new compounds with valuable properties, in particular those which can be used for the preparation of medicaments. This object was achieved by providing the compounds of the formula I and their physiologically acceptable salts.

It has been found that these compounds possess valuable pharmacological properties together with good tolerance. In particular they exhibit an effect on the blood pressure and on the force of myocardial contraction (positive inotropic effect) and an ulcer effect.

The effect on blood pressure and the heart can be demonstrated, for example, on anaesthetized or conscious dogs, cats, monkeys or minipigs, and the positive inotropic effect on isolated heart preparations (for example atrium papillary muscle or perfused complete heart) of rat, guinea pig or cat, for example by methods as described in Arzneimittelforschung, volume 31 (I) No. 1a (1951), pages 141 to 170.

Thus, the compounds can be used as active ingredients in medicaments in human and veterinary medicine. In addition, they can be used as intermediate products for the preparation of other active ingredients or medicaments.

The invention relates to compounds of the formula (sic) and their physiologically acceptable salts.

In the compounds of the formula I, the phenyl group can be substituted once (in the o-, m- or p-position), twice (in the 2,3-, 2,4-, 2,5-, 2,6-, 3,4- or 3,5-position), three times (in the 2,3,4-, 2,3,5-, 2,3,8-(sic) or 3,4,5-position) or (in case (a)) also four times (in the 2,3,4,5-, 2,3,4,8-(sic) or 2,3,5,6-position). Preferred as the radical Ar are 2,4-disubstituted and o- or p-monosubstituted phenyl groups.

Alkyl is preferably unbranched, has preferably 1-4, particularly 1-3, C atoms and is preferably methyl, and also ethyl, propyl, isopropyl, butyl, isobutyl, sec.-butyl, tert.-butyl, pentyl or isopentyl.

Alkenyl is preferably unbranched, has in particular 2-4, preferably 3, C atoms and is preferably allyl, and also vinyl, prop-1-en-1-yl, butenyl, such as but-1-en-1-yl, but-2-en-1-yl, but-3-en-1-yl, pentenyl, such as pent-1-en-1-yl, pent-2-en-1-yl or pent-3-en-1-yl. The alkenyl groups can, however, also be branched; examples of this are 1-methylprop-2-en-1-yl, 1-methylbut-2-en-1-yl, 2-methylbut-3-en-1-yl and 1,1-dimethylprop-2-en-1-yl.

Preferred alkynyl groups are unbranched and have, in particular, 3, but also 2, 4 or 5, C atoms, such as propargyl (= prop-2-yn-1-yl), and also ethynyl, prop-1-

yn--1-yl, butynyl, such as but-1-yn-1-yl, but-2-yn-1-yl, but-3-yn-1-yl, pentynyl, such as pent-1-yn-1-yl, pent-2-yn-1-yl or pent-3-yn-1-yl. The alkynyl groups can, however, also be branched; examples of this are 1-methylprop-2-yn-1-yl, 1-methylbut-2-yn-1-yl, 2-methylbut-3-yn-1-yl and 1,1-dimethylprop-2-yn-1-yl.

Hydroxyalkyl is preferably unbranched, has in particular 2-4, preferably 2 or 3, C atoms and is preferably 2-hydroxyethyl or 3-hydroxypropyl, and also, for example, hydroxymethyl, 1-hydroxyethyl, 1- or 2-hydroxypropyl, 1- or 2-hydroxy-1-methylethyl, 1-, 2-, 3- or 4-hydroxkbutyl (sic) or 1-, 2-, 3-, 4- or 5-hydroxypentyl.

Preferred alkyloxycarbonylmethyl groups have 1-4, in particular 1-3,C atoms in the alkoxy radical, such as methoxyand (sic) ethoxycarbonylmethyl, and also propoxy-, isopropoxy-, butoxy-, isobutoxy-, sec.-butoxy-, tert.-butoxy-, pentoxy- and isopentoxycarbonylmethyl.

In compounds of the formula Ib, the following substituents on the phenyl radical are, for example, particularly preferred: hydroxyl (only if at least one other substituent is present on the phenyl radical which is different from hydroxyl), methoxy (only if at least one other substituent is present on the phenyl radical which is different from methoxy), ethoxy, propoxy, isopropoxy, butoxy, vinyloxy, allyloxy, propargyloxy, 2-hydroxyethoxy, cyanomethoxy, methoxycarbonylmethoxy, ethoxycarbonylmethoxy, methylthio, ethylthio, propylthio, isopropylthio, butylthio, vinylthio, allylthio, propargylthio, 2-hydroxyethylthio, cyanomethylthio, methoxycarbonylmethylthio, ethoxycarbonylmethylthio, methylsulfinyl, ethylsulfinyl, propylsulfinyl, isopropylsulfinyl, butylsulfinyl, vinylsulfinyl, allylsulfinyl, propargylsulfinyl, 2-hydroxyethylsulfinyl, cyanomethylsulfinyl, methoxycarbonylmethylsulfinyl or ethoxycarbonylmethylsulfinyl.

The invention particularly relates to those compounds of the formula I in which at least one of the

radicals mentioned has one of the preferred meanings mentioned in the foregoing text. Some preferred groups of compounds can be expressed by the following partial formulae Ic to Iq, which correspond to the formula I and wherein the radical Ar is a phenyl radical which is substituted as follows:

(a) in the case where -A=B- = -CH=N-:

in Ic: by an alkynyloxy, cyanomethoxy or alkyloxycarbonylmethoxy group and optionally by one or two additional hydroxyl, alkyloxy, alkenyloxy and/or alkynyloxy groups, the alkyl, alkenyl and alkynyl groups each having up to 4 C atoms;

in Id: by an alkynyloxy, cyanomethoxyor (sic) alkyloxycarbonylmethoxy group and optionally by one or two additional hydroxyl, alkyloxy, alkenyloxy and/or alkynyloxy groups, the alkyl, alkenyl and alkynyl groups each having up to 3 C atoms;

in Ie: by a propargyloxy, cyanomethoxyor (sic) alkyloxycarbonylmethoxy group and optionally by one or two additional alkyloxy groups or by one additional allyloxy or propargyloxy group, the alkyloxy groups each having 1-3 C atoms;

in If: by a propargyloxy group and optionally additionally by one or two methoxy groups:

in Ig: by a cyanomethoxy group and optionally additionally by one or two methoxy groups:

in Ih: by a methoxycarbonylmethoxy or ethoxycarbonylmethoxy group and optionally additionally by one or two methoxy groups.

(b) In the case where -A=B- = -N=CH-:

in Ii: by one or two hydroxyl and/or Z-R groups:

in Ik: (sic) by an alkenyloxy, alkynyloxy, cyanomethoxy, alkyloxycarbonylmethoxy, alkylthio or alkylsulfinyl group and optionally by an additional hydroxyl, alkyloxy, alkenyloxy or alkynyloxy group, the alkyl, alkenyl and alkynyl groups each having up to 3 C atoms;

in Ik: by an ethoxy, propyloxy, isopropyloxy, allyloxy,

propargyloxy, 2-hydroxyethoxy, cyanomethoxy, alkyloxycarbonylmethoxy, methylthio or methylsulfinyl group and optionally by an additional hydroxyl, alkyloxy, allyloxy or propargyloxy group, the alkyloxy groups having in each case 1-3 C atoms;

in Ie(sic): by one or two allyloxy, allylthio, propargyloxy and/or propargylthio groups and optionally additionally by an alkyloxy or alkylthio group with 1-3 C atoms in each case;

in Im: by an allyloxy or allylthio group and optionally additionally by a methoxy group;

in In: by a propargyloxy or propargylthio group and optionally additionally by a methoxy group;

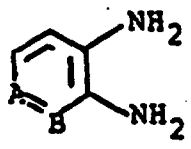
in Io: by a cyanomethoxy or cyanomethylthio group and optionally additionally by a methoxy group;

in Ip: by a methoxycarbonylmethoxy, ethoxycarbonylmethoxy, methoxycarbonylmethylthio or ethoxycarbonylmethylthio group and optionally additionally by a methoxy group.

In Iq: by an alkylthio, alkenylthio or alkynylthio group having up to 3 C atoms in each case.

Those compounds of the formulae I or Ia to Iq in which the substituents are in the 2- and/or 4-position are particularly preferred.

The invention further relates to a process for the preparation of the compounds of the formula I and their physiologically acceptable salts, characterized in that a diaminopyridine of the general formula II,



II

wherein

the group -A=B- has the abovementioned meaning,

is reacted in the presence of an oxidizing agent with a benzoic acid of the general formula III

HOOC-Ar III

wherein

Ar has the abovementioned meaning,

or with one of its reactive derivatives

or with an aldehyde of the general formula IV

OCH-Ar IV

wherein

Ar has the abovementioned meaning,

or in that a compound which corresponds to the formula I, but which contains one or more free hydroxyl groups instead of one or more ether groups, is treated with an etherifying agent, or in that a compound which corresponds to the formula I, but which contains one or more protected hydroxyl or mercapto groups instead of one or more free hydroxyl and/or mercapto groups, is treated with a solvolyzing or hydrogenolyzing agent

and in that, where appropriate, hydroxyl groups in the product obtained are etherified and/or mercapto groups are converted into thioether groups and/or thioether groups are oxidized to sulfinyl groups and/or a compound obtained is converted into one of its physiologically acceptable salts by treatment with an acid or base.

The compounds of the formula I are otherwise prepared by methods known in themselves, as described in the literature (for example in the standard works, such as Houben-Weyl, Methoden der Organischen Chemie (Methods of Organic Chemistry), Georg-Thieme-Verlag, Stuttgart; but in particular in German Offenlegungsschrift 2,305,339), under reaction conditions which are namely known and suitable for the reactions mentioned. In this preparation, variants which are known in themselves, but which are not mentioned here in more detail, can also be used.

When desired, the starting materials can also be formed in situ, in such a way that they are not isolated from the reaction mixture but are immediately reacted

further to give the compounds of the formula I. On the other hand, it is possible to carry out the reaction in steps, it being possible for further intermediate products to be isolated.

Preferably, the compounds of the formula I are obtained by reaction of II with benzoic acids of the formula III or their reactive derivatives. Suitable reactive derivatives are, in particular, the corresponding nitriles, acid halides, esters, amides, imidates, thioimidates, imidic acid halides, amidines, thiocarboxylates, dithiocarboxylates or ortho esters.

Some of the starting materials II and III are known. If they are not known, they can be prepared by methods which are known in themselves. Thus, many benzoic acids of the formula III can be obtained, for example, by etherification of corresponding hydroxy-, dihydroxy- or trihydroxy-benzoic acids; this etherification can also be carried out in steps.

Specifically, the reaction of II with III is carried out in the presence or absence of an inert solvent at temperatures between -20 and about 250°, preferably between 60 and 150°. Suitable solvents are, for example, hydrocarbons such as benzene, toluene, xylenes or mesitylene; tertiary bases such as triethylamine, pyridine or picolines; glycols and glycol ethers, such as ethylene glycol, diethylene glycol or 2-methoxyethanol; ketones such as acetone; ethers such as tetrahydrofuran or dioxane; amides such as dimethylformamide; or sulfoxides such as dimethyl sulfoxide. Mixtures of these solvents are also suitable. In some cases, the addition of catalytic amounts of an acid, such as p-toluenesulfonic acid or the addition of a dehydrating agent, such as phosphorus oxychloride, polyphosphoric acid or thionyl chloride is recommended, it being possible for the dehydrating agent also to serve as the solvent.

If the free benzoic acids of the formula III are used, the reaction is preferably carried out in the presence of one of the mentioned dehydrating agents and, if

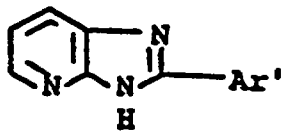
appropriate, a tertiary base, such as pyridine or triethylamine, preferably at temperatures between -20 and 150°.

The reaction can also be carried out in steps. Thus, it is possible, for example, partially to acylate II with an acid chloride of the formula Ar-COCl to give the 2-amino-3-ArCONH-pyridine, 3-amino-4-ArCONH-pyridine or to give the 4-amino-3-ArCONH-pyridine (or to give a mixture of the two latter isomers), which then is dehydrated to I, for example with $POCl_3$.

It is also possible to use, instead of III, a corresponding aldehyde of the formula IV, if, at the same time, the reaction is carried out in the presence of an oxidizing agent. The oxidizing agent preferably used is sulfur in a hydrocarbon, such as benzene, toluene, xylene or mesitylene, or sodium disulfite in solvents such as dimethylacetamide, in each case at temperatures between about 80 and about 200°. This reaction variant is particularly suitable for the preparation of compounds of the formula I which contain groups (for example alkyloxycarbonyl groups) which are not completely inert towards certain dehydrating agents (for example $POCl_3$). The aldehydes of the formula IV are, generally speaking, new and can be obtained, for example, by etherification of the corresponding hydroxy aldehydes.

The compounds of the formula I, in particular those of the formula Ia, can also be obtained by etherifying a compound which otherwise corresponds to the formula I, but which contains one (or more) free hydroxyl group(s) instead of one (or more) ether groups.

Suitable starting materials for this etherification correspond, for example, to the general formula V



V

wherein

Ar' is a phenyl radical which is substituted by a hydroxyl group and can additionally be substituted by one to three alkynyloxy groups and/or one or two cyanomethoxy, alkyloxycarbonylmethoxy, hydroxyl, alkyloxy and/or alkenyloxy groups, but is substituted a maximum of four times.

Etherification agents are preferably those of the general formula VI

X - R VI

wherein

X is Cl, Br, I, OH, alkylsulfonyloxy or arylsulfonyloxy and

R is alkynyl, cyanomethyl, alkyloxycarbonylmethyl, alkyl or alkenyl,

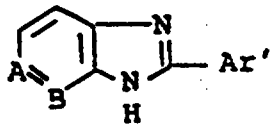
the alkyl, alkenyl and alkynyl groups each having up to 5, and the aryl group 6-10 C atoms.

Typical etherification agents are, for example, propargyl chloride or bromide, chloro- or bromoacetonitrile, chloro- or bromoacetic acid methyl and ethyl esters, and methyl, ethyl, propyl, isopropyl and allyl chloride or bromide.

For the etherification, the hydroxy compound V is preferably initially converted into one of its salts, for example, the Na salt, which then is reacted with VI in one of the solvents indicated at temperatures between about 0 and about 120°. It is also possible to etherify the free hydroxy compound V with the appropriate alcohol VI (X = OH) in the presence of diethyl azodicarboxylate/triphenylphosphine, preferably in a solvent, such as tetrahydrofuran or dioxane at temperatures between about 10 and about 40°.

Compounds of the formula I, in particular those of the formula Ib, which contain free hydroxyl and/or mercapto groups, can also be obtained by solvolysis or hydrogenolysis of corresponding compounds in which the hydroxyl and/or mercapto groups are blocked by protective groups which can be split off in this manner.

The starting materials for this solvolysis or hydrogenolysis correspond to the general formula VII



VII

wherein

Ar' is a phenyl radical which in the case (a) (-A=B- = -CH=N-) is substituted by one or two protected hydroxyl groups and by one or two alkynyloxy, cyanomethoxy, and/or alkyloxycarbonylmethoxy groups and can be substituted by one additional hydroxyl, alkyloxy, alkenyloxy or alkynyloxy group, but is substituted a maximum of four times, or which

in the case (b) (-A=B- = -N=CH-) is substituted by one to three protected hydroxyl and/or mercapto groups and can additionally carry one or two free hydroxyl and/or mercapto and/or Z-R groups (Z and R having the indicated meaning), but overall is substituted a maximum of three times, but wherein the phenyl radical is only substituted by protected hydroxyl or by methoxy groups if it carries at the same time at least one other substituent differing from these.

The starting materials of the formula VII can be obtained, for example, by reaction of II with benzoic acids of the formula HOOC-Ar' or their reactive derivatives by the abovementioned methods.

Suitable protective groups are the known hydroxyl protective groups and mercapto protective groups. These expressions relate to groups which are suitable to protect hydroxyl and mercapto groups from chemical reactions, but which are easily removable after the desired chemical reaction at another site in the molecule has been carried out. Examples of typical protective groups are easily cleavable ester, thioester, ether and thioether groups with preferably 1-12 C atoms, specifically, for example,

alkanoyl having preferably 1-6 C atoms, such as acetyl, aroyl having preferably 7-11 C atoms, such as benzoyl, unsubstituted and substituted aryl and aralkyl each having up to 11 C atoms, such as 2,4-dinitrophenyl, benzyl, triphenylmethyl and also, for example, tetrahydropyranyl. The nature and size of the protective groups are not critical, since they are split off according to the present process.

Solvolysis of the protective groups is preferably carried out in the form of hydrolysis in aqueous or aqueous/alcoholic medium in the presence of acids, such as hydrochloric acid, or of bases, such as sodium hydroxide or potassium hydroxide, at temperatures between about 0 and 100°.

Hydrogenolysis of the protective groups which are split off by hydrogenolysis is carried out, for example, in the presence of a heavy metal catalyst, such as platinum, palladium or nickel in an inert solvent, such as methanol, ethanol, tetrahydrofuran or ethyl acetate at temperatures between about 0 and 100° and pressures between about 1 and 200 bar.

If desired, one or more hydroxyl groups present in the product obtained can be etherified and/or mercapto group(s) can be converted into thioether groups.

Suitable etherification agents (or thioetherification agents) are preferably those of the abovementioned formula X-R (VI), in which X and R have the abovementioned meanings, but in which R can also denote hydroxyalkyl having up to 5 C atoms.

Typical etherification agents (or thioetherification agents) are, for example, those particularized above, and, in addition, 2-hydroxyethyl chloride or bromide.

The etherification (or thioetherification) is preferably carried out under the conditions given above for the etherification of V.

In addition, if desired, a thioether group optionally present in a product of the formula I obtained can be oxidized to a sulfinyl group, preferably with hydrogen

peroxide, peracids or Cr(VI) compounds, such as chromic acid, in the presence of an inert solvent, such as water, an alcohol (for example methanol or ethanol), an acid (for example acetic acid) or a ketone (for example acetone) at temperatures between about -20 and 100°.

A base of the formula I can be converted into the relevant acid addition salt with an acid. Suitable acids for this conversion are those which provide physiologically acceptable salts. Thus, inorganic acids can be used, for example, sulfuric acid, nitric acid, hydrohalic acids, such as hydrochloric acid or hydrobormic acid, phosphoric acids, such as orthophosphoric acid, sulfamic acid and also organic acids, in particular aliphatic, alicyclic, araliphatic, aromatic or heterocyclic oneor (sic) multibasic carboxylic, sulfonic or sulfuric acids, for example, formic acid, acetic acid, propionic acid, pivalic acid, diethylacetic acid, malonic acid, succinic acid, pimelic acid, fumaric acid, maleic acid, lactic acid, tartaric acid, malic acid, benzoic acid, salicylic acid, 2-or (sic) 3-phenylpropionic acid, citric acid, gluconic acid, ascorbic acid, nicotinic acid, isonicotinic acid, methaneor (sic) ethanesulfonic acid, ethanedisulfonic acid, 2-hydroxy-ethanesulfonic acid, benzenesulfonic acid, p-toluenesulfonic acid, naphthalene-mono- and disulfonic acids and lauryl sulfuric acid.

An acid of the formula I can be converted into one of its physiologically acceptable metal or ammonium salts by reaction with a base. Particularly suitable salts are the sodium, potassium, magnesium, calcium and ammonium salts, and also substituted ammonium salts, for example, the dimethyl-, diethylor (sic) dii-sopropylammonium (sic), the monoethanolammonium, diethanoland (sic) triethanolammonium, cyclohexylammonium, dicyclohexylammonium and dibenzylethylenediammonium salts.

The invention also relates to the use of the compounds of the formula I and their physiologically acceptable salts for the preparation of pharmaceutical formulations, in particular by non-chemical means. For this

purpose, they can be converted into a form suitable for administration together with at least one solid, liquid and/or semi-liquid vehicle or auxiliary and, if appropriate, in combination with one or more further active ingredients.

The invention also relates to agents, in particular pharmaceutical formulations, containing at least one compound of the formula I and/or one of its physiologically acceptable salts.

These formulations can be used as medicaments in human or veterinary medicine. Suitable vehicles are organic or inorganic substances which are suitable for enteral (for example oral), parenteral or topical administration and which do not react with the new compounds, for example water, vegetable oils, benzyl alcohols, polyethylene glycols, glycerol triacetate, gelatin, carbohydrates, such as lactose or starch, magnesium stearate, talc and vaseline. Particularly suitable for oral use are tablets, coated tablets, capsules, syrups, elixirs or drops, for rectal use, suppositories, for parenteral use, solutions, preferably oily or aqueous solutions, and also suspensions, emulsions or implants, for topical use, ointments, creams or powder. The new compounds can also be lyophilized, and the lyophilisates obtained can be used, for example, for the preparation of products for injection. The formulations mentioned can be sterilized and/or contain auxiliaries, such as lubricants, preservatives, stabilizers and/or wetting agents, emulsifiers, salts to alter the osmotic pressure, buffer substances, pigments, flavourings, and/or perfumes. If desired, they can also contain one or more other active ingredients, for example one or more vitamins.

The compounds of the formula I can be used for combating diseases, in particular cardiac insufficiency, and for the therapeutic treatment of the human or animal body.

For this purpose, the substances according to the invention are, as a rule, administered in analogy to known

substances with positive inotropic activity, such as sulmazole or amrinone, preferably in doses between about 10 and 900 mg, in particular between 20 and 100 mg, per unit dose. The daily dose is preferably between about 0.2 and 10 mg/kg of body weight. However, the particular dose for each patient depends on a wide range of factors, for example on the effectiveness of the particular compound employed, on the age, weight, general state of health, sex, on the diet, on the time and mode of administration, on the rate of elimination, combination with other medicaments and severity of the particular disease to which the therapy is applied. Oral administration is preferred. In comparison to the digitalis glycosides hitherto used for the therapy of cardiac insufficiency, the compounds of the formula I are distinguished by an improved therapeutic range and peripheral relief.

In the following examples, "usual work-up" indicates:

If necessary, water or dilute sodium hydroxide solution is added, extraction is carried out with an organic solvent, such as ethyl acetate, chloroform or dichloromethane, the organic phase is separated off, dried over sodium sulfate, filtered and concentrated, and purification is by chromatography and/or crystallization.

In the foregoing and subsequent text, all temperatures are quoted in degrees centigrade.

Example 1

A mixture of 10.9 g of 2,3-diaminopyridine (IIa) and 20.6 g of 2-methoxy-4-propargyloxybenzoic acid [m.p. 142°; obtainable by the reaction of methyl 2,4-dihydroxybenzoate with propargyl chloride to give methyl 2-hydroxy-4-propargyloxybenzoate (m.p. 100°), reaction of this with methyl iodide to give methyl 2-methoxy-4-propargyloxybenzoate (m.p. 88°) and hydrolysis] is added in portions with stirring to 500 ml of $POCl_3$. The mixture is boiled for 4 hours, concentrated, and the residue is treated with 375 ml of 10% hydrochloric acid. The precipitated 2-(2-methoxy-4-propargyloxyphenyl)imidazo(4,5-b)pyridine

hydrochloride ("M") is filtered off. M.p. 247° (from methanol).

Analogously, the following compounds are obtained from IIa or from 3,4-diaminopyridine (IIb) and the appropriate benzoic acids of the formula III (for example, o-propargyloxybenzoic acid, m.p. 82°; m-propargyloxybenzoic acid, m.p. 130°; p-propargyloxybenzoic acid; m.p. 224°; 2-methoxy-5-propargyloxybenzoic acid, m.p. 103°; 3-methoxy-4-propargyloxybenzoic acid, m.p. 195°; 3,5-dimethoxy-4-propargyloxybenzoic acid, m.p. 208°; 2,4-bispropargyloxybenzoic acid, m.p. 152°), it being possible for the reaction temperature to be kept between 70 and 110(sic):

2-(p-Ethynyloxyphenyl)imidazo(4,5-b)pyridine
2-(o-Propargyloxyphenyl)imidazo(4,5-b)pyridine, hydrochloride, m.p. 223° 2-(m-Propargyloxyphenyl)imidazo-(4,5-b)pyridine, hydrochloride, m.p. 195°
2-(p-Propargyloxyphenyl)imidazo(4,5-b)pyridine, m.p.218°
2-(p-Pent-3-yn-1-yloxyphenyl)imidazo(4,5-b)pyridine
2-(o-Cyanomethoxyphenyl)imidazo(4,5-b)pyridine
2-(m-Cyanomethoxyphenyl)imidazo(4,5-b)pyridine
2-(p-Cyanomethoxyphenyl)imidazo(4,5-b)pyridine
2-(o-Methoxycarbonylmethoxyphenyl)imidazo(4,5-b)pyridine
2-(m-Methoxycarbonylmethoxyphenyl)imidazo(4,5-b)pyridine
2-(p-Methoxycarbonylmethoxyphenyl)imidazo(4,5-b)pyridine
2-(o-Ethoxycarbonylmethoxyphenyl)imidazo(4,5-b)pyridine
2-(m-Ethoxycarbonylmethoxyphenyl)imidazo(4,5-b)pyridine
2-(p-Ethoxycarbonylmethoxyphenyl)imidazo(4,5-b)pyridine, hemifumarate, m.p. 158°
2-(p-Propyloxvcarbonylmethoxyphenyl)imidazo(4,5-b)pyridine (sic)
2-(p-Butyloxycarbonylmethoxyphenyl)imidazo(4,5-b)pyridine
2-(p-Pentyloxycarbonylmethoxyphenyl)imidazo(4,5-b)pyridine
2-(2-Hydroxy-4-propargyloxyphenyl)imidazo(4,5-b)pyridine
2-(3-Hydroxy-4-propargyloxyphenyl)imidazo(4,5-b)pyridine
2-(4-Hydroxy-2-propargyloxyphenyl)imidazo(4,5-b)pyridine
2-(2-Methoxy-3-propargyloxyphenyl)imidazo(4,5-b)pyridine

2-(2-Methoxy-5-propargyloxyphenyl)imidazo(4,5-b)pyridine, hydrochloride, m.p. 262°

2-(2-Methoxy-6-propargyloxyphenyl)imidazo(4,5-b)pyridine

2-(3-Methoxy-2-propargyloxyphenyl)imidazo(4,5-b)pyridine

2-(3-Methoxy-4-propargyloxyphenyl)imidazo(4,5-b)pyridine, hydrochloride, m.p. 238°

2-(3-Methoxy-5-propargyloxyphenyl)imidazo(4,5-b)pyridine

2-(3-Methoxy-6-propargyloxyphenyl)imidazo(4,5-b)pyridine

2-(4-Methoxy-2-propargyloxyphenyl)imidazo(4,5-b)pyridine, fumarate, m.p. 220°

2-(4-Methoxy-3-propargyloxyphenyl)imidazo(4,5-b)pyridine

2-(2-Ethoxy-3-propargyloxyphenyl)imidazo(4,5-b)pyridine

2-(2-Ethoxy-4-propargyloxyphenyl)imidazo(4,5-b)pyridine

2-(2-Ethoxy-5-propargyloxyphenyl)imidazo(4,5-b)pyridine

2-(2-Ethoxy-6-propargyloxyphenyl)imidazo(4,5-b)pyridine

2-(3-Ethoxy-2-propargyloxyphenyl)imidazo(4,5-b)pyridine

2-(3-Ethoxy-4-propargyloxyphenyl)imidazo(4,5-b)pyridine

2-(3-Ethoxy-5-propargyloxyphenyl)imidazo(4,5-b)pyridine

2-(4-Ethoxy-2-propargyloxyphenyl)imidazo(4,5-b)pyridine

2-(4-Ethoxy-3-propargyloxyphenyl)imidazo(4,5-b)pyridine

2-(3,5-Dimethoxy-4-propargyloxyphenyl)imidazo(4,5-b)-pyridine, hydrochloride, m.p. 250°

2-(3,5-Diethoxy-4-propargyloxyphenyl)imidazo(4,5-b)-pyridine

2-(2-Allyloxy-4-propargyloxyphenyl)imidazo(4,5-b)pyridine

2-(3-Allyloxy-4-propargyloxyphenyl)imidazo(4,5-b)pyridine

2-(4-Allyloxy-2-propargyloxyphenyl)imidazo(4,5-b)pyridine

2-(2,4-Bis-propargyloxyphenyl)imidazo(4,5-b)pyridine, hemifumarate, m.p. 210°

2-(4-Cyanomethoxy-2-hydroxyphenyl)imidazo(4,5-b)pyridine

2-(4-Cyanomethoxy-2-methoxyphenyl)imidazo(4,5-b)pyridine, hemifumarate, m.p. 212°

2-(4-Cyanomethoxy-2-ethoxyphenyl)imidazo(4,5-b)pyridine

2-(2-Allyloxy-4-cyanomethoxyphenyl)imidazo(4,5-b)pyridine

2-(2,4-Bis-cyanomethoxyphenyl)imidazo(4,5-b)pyridine(sic)

2-(2-Cyanomethoxy-4-prcpargyloxyphenyl)imidazo(4,5-b)-pyridine(sic)

2-(4-Cyanomethoxy-2-propargyloxyphenyl)imidazo(4,5-b)-pyridine

2-(4-Methoxycarbonylmethoxy-2-hydroxyphenyl)imidazo(4,5-b)pyridine

2-(4-Methoxycarbonylmethoxy-2-methoxyphenyl)imidazo(4,5-b)pyridine

2-(2-Ethoxy-4-methoxycarbonylmethoxyphenyl)imidazo(4,5-b)pyridine

2-(2-Allyloxy-4-methoxycarbonylmethoxyphenyl)imidazo(4,5-b)pyridine(sic)

2-(2,4-Bis-methoxycarbonylmethoxyphenyl)imidazo(4,5-b)-pyridine

2-(2-Methoxycarbonylmethoxy-4-propargyloxyphenyl)imidazo-(4,5-b)pyridine

2-(4-Methoxycarbonylmethoxy-2-propargyloxyphenyl)imidazo-(4,5-b)pyridine

2-(4-Ethoxycarbonylmethoxy-2-hydroxyphenyl)imidazo(4,5-b)pyridine

2-(4-Ethoxycarbonylmethoxy-2-methoxyphenyl)imidazo(4,5-b)pyridine, hemifumarate, m.p. 195°

2-(2-Ethoxy-4-ethoxycarbonylmethoxyphenyl)imidazo(4,5-b)-pyridine

2-(2-Allyloxy-4-ethoxycarbonylmethoxyphenyl)imidazo(4,5-b)pyridine

2-(2,4-Bis-ethoxycarbonylmethoxyphenyl)imidazo(4,5-b)-pyridine

2-(2-Ethoxycarbonylmethox-y-4-propargyloxyphenyl)imidazo-(4,5-b)pyridine(sic)

2-(4-Ethoxycarbonylmethoxy-2-propargyloxyphenyl)imidazo-(4,5-b)pyridine

2-(o-Ethoxyphenyl)imidazo(4,5-c)pyridine

2-(m-Ethoxyphenyl)imidazo(4,5-c)pyridine

2-(p-Ethoxyphenyl)imidazo(4,5-c)pyridine

2-(o-Propylcxyphenyl)imidazo(4,5-c)pyridine(sic)

2-(m-Propyloxyphenyl)imidazo(4,5-c)pyridine

2-(p-Propyloxyphenyl)imidazo(4,5-c)pyridine

2-(o-Isopropyloxyphenyl)imidazo(4,5-c)pyridine

2-(m-Isopropyloxyphenyl)imidazo(4,5-c)pyridine

2-(p-Isopropyloxyphenyl)imidazo(4,5-c)pyridine

2-(o-Allyloxyphenyl)imidazo(4,5-c)pyridine, hydrochloride, m.p. 212°

2-(m-Allyloxyphenyl)imidazo(4,5-c)pyridine

2-(p-Allyloxyphenyl)imidazo(4,5-c)pyridine, fumarate, m.p. 215°

2-(p-Ethynyloxyphenyl)imidazo(4,5-c)pyridine

2-(o-Propargyloxyphenyl)imidazo(4,5-c)pyridine, fumarate, m.p. 192°

2-(m-Propargyloxyphenyl)imidazo(4,5-c)pyridine, hydrochloride, m.p. 250°

2-(p-Propargyloxyphenyl)imidazo(4,5-c)pyridine, hemifumarate, m.p. 230°

2-(p-Pent-3-yn-1-yloxyphenyl)imidazo(4,5-c)pyridine

2-(o-2-Hydroxyethoxyphenyl)imidazo(4,5-c)pyridine

2-(m-2-Hydroxyethoxyphenyl)imidazo(4,5-c)pyridine

2-(p-2-Hydroxyethoxyphenyl)imidazo(4,5-c)pyridine

2-(o-Cyanomethoxyphenyl)imidazo(4,5-c)pyridine

2-(m-Cyanomethoxyphenyl)imidazo(4,5-c)pyridine

2-(p-Cyanomethoxyphenyl)imidazo(4,5-c)pyridine

2-(o-Methoxycarbonylmethoxyphenyl)imidazo(4,5-c)pyridine

2-(m-Methoxycarbonylmethoxyphenyl)imidazo(4,5-c)pyridine

2-(p-Methoxycarbonylmethoxyphenyl)imidazo(4,5-c)pyridine

2-(o-Ethoxycarbonylnethoxyphenyl)imidazo(4,5-c)pyridine (sic)

2-(m-Ethoxycarbonylmethoxyphenyl)imidazo(4,5-c)pyridine

2-(p-Ethoxycarbonylmethoxyphenyl)imidazo(4,5-c)pyridine

2-(p-Propyloxycarbonylmethoxyphenyl)imidazo(4,5-c)pyridine

2-(p-Butyloxycarbonylmethoxyphenyl)imidazo(4,5-c)pyridine

2-(p-pentyloxycarbonylmethoxyphenyl)imidazo(4,5-c)pyridine

2-(p-Mercaptophenyl)imidazo(4,5-c)pyridine

2-(o-Methylthiophenyl)imidazo(4,5-c)pyridine, hydrochloride, m.p. 230°

2-(m-Methylthiophenyl)imidazo(4,5-c)pyridine

2-(p-Methylthiophenyl)imidazo(4,5-c)pyridine

2-(o-Ethylthiophenyl)imidazo(4,5-c)pyridine

2-(m-Ethylthiophenyl)imidazo(4,5-c)pyridine

2-(p-Ethylthiophenyl)imidazo(4,5-c)pyridine

2-(o-Propylthiophenyl)imidazo(4,5-c)pyridine
2-(m-Propylthiophenyl)imidazo(4,5-c)pyridine
2-(p-Propylthiophenyl)imidazo(4,5-c)pyridine
2-(o-Allylthiophenyl)imidazo(4,5-c)pyridine
2-(m-Allylthiophenyl)imidazo(4,5-c)pyridine
2-(p-Allylthiophenyl)imidazo(4,5-c)pyridine
2-(o-Propargylthiophenyl)imidazo(4,5-c)pyridine, m.p. 248°
2-(m-Propargylthiophenyl)imidazo(4,5-c)pyridine
2-(p-Propargylthiophenyl)imidazo(4,5-c)pyridine
2-(o-2-Hydroxyethylthiophenyl)imidazo(4,5-c)pyridine
2-(m-2-Hydroxyethylthiophenyl)imidazo(4,5-c)pyridine
2-(p-2-Hydroxyethylthiophenyl)imidazo(4,5-c)pyridine
2-(o-Cyanomethylthiophenyl)imidazo(4,5-c)pyridine
2-(m-Cyanomethylthiophenyl)imidazo(4,5-c)pyridine
2-(p-Cyanomethylthiophenyl)imidazo(4,5-c)pyridine
2-(o-Methoxycarbonylmethylthiophenyl)imidazo(4,5-c)-pyridine
2-(m-Methoxycarbonylmethylthiophenyl)imidazo(4,5-c)-pyridine
2-(p-Methoxycarbonylmethylthiophenyl)imidazo(4,5-c)-pyridine
2-(o-Ethoxycarbonylmethylthiophenyl)imidazo(4,5-c)-pyridine
2-(m-Ethoxycarbonylmethylthiophenyl)imidazo(4,5-c)-pyridine
2-(p-Ethoxycarbonylmethylthiophenyl)imidazo(4,5-c)-pyridine
2-(o-Methylsulfinylphenyl)imidazo(4,5-c)pyridine, hydrochloride, m.p. 230°
2-(m-Methylsulfinylphenyl)imidazo(4,5-c)pyridine
2-(p-Methylsulfinylphenyl)imidazo(4,5-c)pyridine
2-(o-Ethylsulfinylphenyl)imidazo(4,5-c)pyridine
2-(m-Ethylsulfinylphenyl)imidazo(4,5-c)pyridine
2-(p-Ethylsulfinylphenyl)imidazo(4,5-c)pyridine
2-(o-Propylsulfinylphenyl)imidazo(4,5-c)pyridine
2-(m-Propylsulfinylphenyl)imidazo(4,5-c)pyridine
2-(p-Propylsulfinylphenyl)imidazo(4,5-c)pyridine
2-(o-Allylsulfinylphenyl)imidazo(4,5-c)pyridine

2-(m-Allylsulfinylphenyl)imidazo(4,5-c)pyridine
2-(p-Allylsulfinylphenyl)imidazo(4,5-c)pyridine
2-(o-Propargylsulfinylphenyl)imidazo(4,5-c)pyridine
2-(m-Propargylsulfinylphenyl)imidazo(4,5-c)pyridine
2-(p-Propargylsulfinylphenyl)imidazo(4,5-c)pyridine
2-(o-2-Hydroxyethylsulfinylphenyl)imidazo(4,5-c)pyridine
2-(m-2-Hydroxyethylsulfinylphenyl)imidazo(4,5-c)pyridine
2-(p-2-Hydroxyethylsulfinylphenyl)imidazo(4,5-c)pyridine
2-(o-Cyanomethylsulfinylphenyl)imidazo(4,5-c)pyridine
2-(m-Cyanomethylsulfinylphenyl)imidazo(4,5-c)pyridine
2-(p-Cyanomethylsulfinylphenyl)imidazo(4,5-c)pyridine
2-(o-Methoxycarbonylmethylsulfinylphenyl)imidazo(4,5-c)-pyridine
2-(m-Methoxycarbonylmethylsulfinylphenyl)imidazo(4,5-c)-pyridine
2-(p-Methoxycarbonylmethylsulfinylphenyl)imidazo(4,5-c)-pyridine
2-(o-Ethoxycarbonylmethylsulfinylphenyl)imidazo(4,5-c)-pyridine
2-(m-Ethoxycarbonylmethylsulfinylphenyl)imidazo(4,5-c)-pyridine
2-(p-Ethoxycarbonylmethylsulfinylphenyl)imidazo(4,5-c)-pyridine
2-(2-Hydroxy-4-methoxyphenyl)imidazo(4,5-c)pyridine
2-(4-Hydroxy-2-methoxyphenyl)imidazo(4,5-c)pyridine, fumarate, m.p. 255°
2-(2-Ethoxy-4-hydroxyphenyl)imidazo(4,5-c)pyridine
2-(4-Ethoxy-2-hydroxyphenyl)imidazo(4,5-c)pyridine
2-(2-Ethoxy-4-methoxyphenyl)imidazo(4,5-c)pyridine, fumarate, m.p. 198°
2-(4-Ethoxy-2-methoxyphenyl)imidazo(4,5-c)pyridine, fumarate, m.p. 213°
2-(2,4-Diethoxyphenyl)imidazo(4,5-c)pyridine
2-(2-Isopropyloxy-4-methoxyphenyl)imidazo(4,5-c)pyridine
2-(4-Isopropyloxy-2-methoxyphenyl)imidazo(4,5-c)pyridine, bis-fumarate, m.p. 220°
2-(2,4-Diisopropyloxyphenyl)imidazo(4,5-c)pyridine
2-(2-Allyloxy-4-hydroxyphenyl)imidazo(4,5-c)pyridine

2-(4-Allyloxy-2-hydroxyphenyl)imidazo(4,5-c)pyridine

2-(2-Allyloxy-4-methoxyphenyl)imidazo(4,5-c)pyridine, hydrochloride, m.p. 206°

2-(4-Allyloxy-2-methoxyphenyl)imidazo(4,5-c)pyridine, hydrochloride, m.p. 250°

2-(2-Allyloxy-4-ethoxyphenyl)imidazo(4,5-c)pyridine

2-(4-Allyloxy-2-ethoxyphenyl)imidazo(4,5-c)pyridine

2-(2-Allyloxy-4-isopropoxyphenyl)imidazo(4,5-c)pyridine

2-(4-Allyloxy-2-isopropoxyphenyl)imidazo(4,5-c)pyridine

2-(2,4-Bis-allyloxyphenyl)imidazo(4,5-c)pyridine, hydrochloride, m.p. 179°

2-(2-Hydroxy-4-propargyloxyphenyl)imidazo(4,5-c)pyridine

2-(3-Hydroxy-4-propargyloxyphenyl)imidazo(4,5-c)pyridine

2-(4-Hydroxy-2-propargyloxyphenyl)imidazo(4,5-c)pyridine

2-(2-Methoxy-3-propargyloxyphenyl)imidazo(4,5-c)pyridine, hydrochloride, m.p. 242°

2-(2-Methoxy-4-propargyloxyphenyl)imidazo(4,5-c)pyridine

2-(2-Methoxy-5-propargyloxyphenyl)imidazo(4,5-c)pyridine, fumarate, m.p. 210°

2-(2-Methoxy-6-propargyloxyphenyl)imidazo(4,5-c)pyridine

2-(3-Methoxy-2-propargyloxyphenyl)imidazo(4,5-c)pyridine

2-(3-Methoxy-4-propargyloxyphenyl)imidazo(4,5-c)pyridine

2-(3-Methoxy-5-propargyloxyphenyl)imidazo(4,5-c)pyridine

2-(3-Methoxy-6-propargyloxyphenyl)imidazo(4,5-c)pyridine

2-(4-Methoxy-2-propargyloxyphenyl)imidazo(4,5-c)pyridine, fumarate, m.p. 210°

2-(4-Methoxy-3-propargyloxyphenyl)imidazo(4,5-c)pyridine

2-(2-Ethoxy-3-propargyloxyphenyl)imidazo(4,5-c)pyridine

2-(2-Ethoxy-4-propargyloxyphenyl)imidazo(4,5-c)pyridine

2-(2-Ethoxy-5-propargyloxyphenyl)imidazo(4,5-c)pyridine

2-(2-Ethoxy-6-propargyloxyphenyl)imidazo(4,5-c)pyridine

2-(3-Ethoxy-2-propargyloxyphenyl)imidazo(4,5-c)pyridine

2-(3-Ethoxy-4-propargyloxyphenyl)imidazo(4,5-c)pyridine

2-(3-Ethoxy-5-propargyloxyphenyl)imidazo(4,5-c)pyridine

2-(4-Ethoxy-2-propargyloxyphenyl)imidazo(4,5-c)pyridine

2-(4-Ethoxy-3-propargyloxyphenyl)imidazo(4,5-c)pyridine

2-(3,5-Dimethoxy-4-propargylcxyphenyl)imidazo(4,5-c)-pyridine(sic); dihydrochloride, m.p. 238°

2-(3,5-Dimethoxy-4-propargyloxyphenyl)imidazo(4,5-c)-pyridine

2-(2-Allyloxy-4-propargyloxyphenyl)imidazo(4,5-c)pyridine

2-(3-Allyloxy-4-propargyloxyphenyl)imidazo(4,5-c)pyridine

2-(4-Allyloxy-2-propargyloxyphenyl)imidazo(4,5-c)pyridine

2-(2,4-Bis-propargyloxyphenyl)imidazo(4,5-c)pyridine, fumarate, m.p. 195°

2-/2-Hydroxy-4-(2-hydroxyethoxy)-phenyl]imidazo(4,5-c)-pyridine(sic)

2-/4-Hydroxy-2-(2-hydroxyethoxy)-phenyl]imidazo(4,5-c)-pyridine(sic)

2-[2-(2-Hydroxyethoxy)-4-methoxyphenyl]imidazo(4,5-c)-pyridine, hydrochloride, m.p. 250°

2-[4-(2-Hydroxyethoxy)-4-methoxyphenyl]imidazo(4,5-c)-pyridine

2-[2-Ethoxy-4-(2-hydroxyethoxy)-phenyl]imidazo(4,5-c)-pyridine

2-[4-Ethoxy-2-(2-hydroxyethoxy)-phenyl]imidazo(4,5-c)-pyridine

2-[2-Allyloxy-4-(2-hydroxyethoxy)-phenyl]imidazo(4,5-c)-pyridine

2-[4-Allyloxy-2-(2-hydroxyethoxy)-phenyl]imidazo(4,5-c)-pyridine

2-[2-(2-Hydroxyethoxy)-4-propargyloxyphenyl]imidazo(4,5-c)pyridine

2-[4-(2-Hydroxyethoxy)-2-propargyloxyphenyl]imidazo(4,5-c)pyridine

2-[2,4-Bis-(2-hydroxyethoxy)phenyl]imidazo(4,5-c)pyridine

2-(2-Cyanomethoxy-4-hydroxyphenyl)imidazo(4,5-c)pyridine

2-(4-Cyanomethoxy-2-hydroxyphenyl)imidazo(4,5-c)pyridine

2-(2-Cyanomethoxy-4-methoxyphenyl)imidazo(4,5-c)pyridine

2-(4-Cyanomethoxy-2-methoxyphenyl)imidazo(4,5-c)pyridine, fumarate, m.p. 198°

2-(2-Cyanomethoxy-4-ethoxyphenyl)imidazo(4,5-c)pyridine

2-(4-Cyanomethoxy-2-ethoxyphenyl)imidazo(4,5-c)pyridine

2-(2-Allyloxy-4-cyanomethoxyphenyl)imidazo(4,5-c)pyridine

2-(4-Allyloxy-2-cyanomethoxyphenyl)imidazo(4,5-c)pyridine

2-(2-Cyanomethoxy-4-propargyloxyphenyl)imidazo(4,5-c)-

pyridine
2-(4-Cyanomethoxy-2-propargyloxyphenyl)imidazo(4,5-c)-pyridine
2-[2-Cyanomethoxy-4-(2-hydroxyethoxy)-phenyl]imidazo-(4,5-c)pyridine
2-[4-Cyanomethoxy-2-(2-hydroxyethoxy)-phenyl]imidazo-(4,5-c)pyridine
2-(2,4-Bis-cyanomethoxyphenyl)imidazo(4,5-c)pyridine
2-(4-Methoxycarbonylmethoxy-2-hydroxyphenyl)imidazo-(4,5-c)pyridine
2-(4-Methoxycarbonylmethoxy-2-methoxyphenyl)imidazo(4,5-c)pyridine
2-(2-Ethoxy-4-methoxycarbonylmethoxyphenyl)imidazo(4,5-c)pyridine
2-(2-Allyloxy-4-methoxycarbonylmethoxyphenyl)imidazo-(4,5-c)pyridine(sic)
2-(2,4-Bis-methoxycarbonylmethoxyphenyl)imidazo(4,5-c)-pyridine
2-(2-Methoxycarbonylmethoxy-4-propargyloxyphenyl)imidazo-(4,5-c)pyridine
2-(4-Methoxycarbonylmethoxy-2-propargyloxyphenyl)imidazo-(4,5-c)pyridine
2-(4-Ethoxycarbonylmethoxy-2-hydroxyphenyl)imidazo(4,5-c)pyridine
2-(4-Ethoxycarbonylmethoxy-2-methoxyphenyl)imidazo(4,5-c)pyridine
2-(2-Ethoxy-4-ethoxycarbonylmethoxyphenyl)imidazo(4,5-c)-pyridine
2-(2-Allyloxy-4-ethoxycarbonylmethoxyphenyl)imidazo(4,5-c)pyridine
2-(2,4-Bisethoxycarbonylmethoxyphenyl)imidazo)imidazo(4,5-c)pyridine
2-(2-Ethoxycarbonylmethoxy-4-propargyloxyphenyl)imidazo-(4,5-c)pyridine
2-(4-Ethoxycarbonylmethoxy-2-propargyloxyphenyl)imidazo-(4,5-c)pyridine
2-(4-Mercapto-2-methoxyphenyl)imidazo(4,5-c)pyridine
2-(2-Mercapto-4-propargyloxyphenyl)imidazo(4,5-c)pyridine

2-(2-Hydroxy-4-methylthiophenyl)imidazo(4,5-c)pyridine
2-(4-Hydroxy-2-methylthiophenyl)imidazo(4,5-c)pyridine
2-(2-Methoxy-4-methylthiophenyl)imidazo(4,5-c)pyridine, hydrochloride, m.p. 253°
2-(2-Methoxy-5-methylthiophenyl)imidazo(4,5-c)pyridine, hydrochloride, m.p. 242°
2-(4-Methoxy-2-methylthiophenyl)imidazo(4,5-c)pyridine
2-(2-Ethoxy-4-methylthiophenyl)imidazo(4,5-c)pyridine
2-(4-Ethoxy-2-methylthiophenyl)imidazo(4,5-c)pyridine
2-(2-Allyloxy-4-methylthiophenyl)imidazo(4,5-c)pyridine
2-(4-Allyloxy-2-methylthiophenyl)imidazo(4,5-c)pyridine
2-(2-Methylthio-4-propargyloxyphenyl)imidazo(4,5-c)-pyridine
2-(4-Methylthio-2-propargyloxyphenyl)imidazo(4,5-c)-pyridine
2-(2-Cyanomethoxy-4-methylthiophenyl)imidazo(4,5-c)-pyridine
2-(4-Cyanomethoxy-2-methylthiophenyl)imidazo(4,5-c)-pyridine
2-(2,4-Bis-methylthiophenyl)imidazo(4,5-c)pyridine
2-(4-Ethylthio-2-methoxyphenyl)imidazo(4,5-c)pyridine, hydrochloride, m.p. 262°
2-(2-Methoxy-4-propylthiophenyl)imidazo(4,5-c)pyridine
2-(4-Allylthio-2-methoxyphenyl)imidazo(4,5-c)pyridine
2-(2-Methoxy-4-propargylthiophenyl)imidazo(4,5-c)pyridine
2-(4-Cyanomethylthio-2-methoxyphenyl)imidazo(4,5-c)-pyridine
2-(4-Methoxycarbonylmethylthio-2-methoxyphenyl)imidazo-(4,5-c)pyridine
2-(4-Ethoxycarbonylmethylthio-2-methoxyphenyl)imidazo-(4,5-c)pyridine
2-(2-Hydroxy-4-methylsulfinylphenyl)imidazo(4,5-c)-pyridine
2-(4-Hydroxy-2-methylsulfinylphenyl)imidazo(4,5-c)-pyridine
2-(2-Methoxy-4-methylsulfinylphenyl)imidazo(4,5-c)pyridine, fumarate, m.p. 215°
2-(4-Methoxy-2-methylsulfinylphenyl)imidazo(4,5-c)-

pyridine
2-(2-Ethoxy-4-methylsulfinylphenyl)imidazo(4,5-c)-pyridine
2-(4-Ethoxy-2-methylsulfinylphenyl)imidazo(4,5-c)pyridine
2-(2-Allyloxy-4-methylsulfinylphenyl)imidazo(4,5-c)-pyridine
2-(4-Allyloxy-2-methylsulfinylphenyl)imidazo(4,5-c)-pyridine
2-(2-Methylsulfinyl-4-propargyloxyphenyl)imidazo(4,5-c)-pyridine
2-(4-Methylsulfinyl-2-propargyloxyphenyl)imidazo(4,5-c)-pyridine
2-(2-Cyanomethoxy-4-methylsulfinylphenyl)imidazo(4,5-c)-pyridine
2-(4-Cyanomethoxy-2-methylsulfinylphenyl)imidazo(4,5-c)-pyridine
2-(2,4-Bis-methylsulfinylphenyl)imidazo(4,5-c)pyridine
2-(4-Ethylsulfinyl-2-methoxyphenyl)imidazo(4,5-c)pyridine
2-(2-Methoxy-4-propylsulfinylphenyl)imidazo(4,5-c)pyridine
2-(4-Allylsulfinyl-2-methoxyphenyl)imidazo(4,5-c)pyridine
2-(2-Methoxy-4-propargylsulfinylphenyl)imidazo(4,5-c)-pyridine
2-(4-Cyanomethylsulfinyl-2-methoxyphenyl)imidazo(4,5-c)-pyridine
2-(4-Methoxycarbonylmethylsulfinyl-2-methoxyphenyl)-imidazo(4,5-c)pyridine
2(4-Ethoxycarbonylmethylsulfinyl-2-methoxyphenyl)-imidazo(4,5-c)pyridine (sic)

Example 2

A solution of 176 mg of p-propargyloxybenzoic acid in 1 ml of pyridine is treated with a solution of 109 mg of IIa in 1 ml of pyridine, the corresponding salt precipitating out. 0.19 ml of $SOCl_2$ is added dropwise at 0° with stirring, the mixture is stirred for 1 hour at 0° and 1 hour at 70°, concentrated, and the residue is taken up with dilute hydrochloric acid. The hydrochloride obtained is added to sodium carbonate solution,

and the precipitated 2-(p-propargyloxyphenyl)imidazo(4,5-b)pyridine is filtered off. M.p. 218°.

Example 3

2.06 g of 2-methoxy-4-propargyloxybenzoic acid are boiled with 7 ml of benzene and 4 ml of thionyl chloride for 1 hour, and the mixture is concentrated and dissolved in 5 ml of benzene. The solution of the acid chloride is added dropwise to a mixture of 1.09 g of IIa 7 ml of pyridine and 5 ml of triethylamine. The mixture is stirred for 2 hours at 20°, treated with water, neutralized with hydrochloric acid and worked up as usual. The crude 2-amino-3-(2-methoxy-4-propargyloxybenzoylamino)-pyridine obtained is converted into the hydrochloride, and this (200 mg) is dissolved in 2 ml of pyridine. 0.2 ml of $POCl_3$ is added dropwise with stirring at 20° and, after 2 hours, the mixture is poured into water, worked up as usual and "M" is obtained.

Example 4

A mixture of 10.9 g of IIa 22 g of methyl 2-methoxy-4-propargyloxybenzoate and 300 ml of $POCl_3$ (sic) is heated at 120° for 2 hours, concentrated, and the residue is treated with 2 N hydrochloric acid. "M" is obtained.

Example 5

A mixture of 1.09 g of IIa, 1.87 g of 2-methoxy-4-propargyloxybenzonitrile and 3 g of p-toluenesulfonic acid monohydrate are heated at 160° for 3.5 hours. After cooling down, the mixture is worked up as usual and "M" is obtained.

Example 6

A mixture of 4.33 g of S-methyl-2-methoxy-4-propargyloxythiobenzoic acid morpholide iodide (obtained by boiling 2-methoxy-4-propargyloxybenzaldehyde with sulfur in morpholine and subsequent reaction with CH_3I in acetone), 1.09 g of IIa and 35 ml of ethylene glycol is heated for 40 minutes at 130°, poured into ice-water, filtered and "M" is obtained.

Example 7

1.09 g of IIa and 3 g of 2-methoxy-4-propargyloxy-benzoic anhydride are heated at 180° for 5 hours, the mixture is cooled down and worked up as usual and "M" is obtained.

Example 8

2.78 g of 2-methoxy-4-propargyloxybenzoic acid morpholide are mixed with 1.09 g of IIa, 5 ml of $POCl_3$ (sic) is added dropwise with stirring, the mixture is boiled for 3 hours and concentrated. After the usual work-up, "M" is obtained.

Example 9

1.09 g of IIa, 3.11 g of 2-methoxy-4-propargyl-oxybenzoic acid morpholide imide chloride, 6 ml of triethylamine and 5 ml of diethylene glycol dimethyl ether are heated at 120° for 30 minutes. After cooling, the mixture is worked up as usual and "M" is obtained.

Example 10

10.9 g of IIa, 19 g of 2-methoxy-4-propargyloxy-benzaldehyde (obtained from 2,4-dihydroxybenzaldehyde via 2-hydroxy-4-propargyloxybenzaldehyde) and 10 g of sulfur are stirred in 200 ml of mesitylene at 180° for 10 hours, the mixture is concentrated, extracted with methanol, filtered and the solution is concentrated to 350 ml. "M" precipitates out on addition of ethereal hydrochloric acid.

Example 11

10.9 g of IIa and 19 g of 2-methoxy-4-propargyloxy-benzaldehyde are dissolved in 100 ml of dimethylacetamide, and, after the addition of 19 g of sodium disulfite, the mixture is stirred for 2 hours at 140°, then worked up as usual and "M" is obtained.

In analogy to Examples 10 or 11, the compounds given in Example 1 are obtained from IIa or from 3,4-diaminopyridine with the appropriate aldehydes (such as, for example, p-propargyloxybenzaldehyde, m.p. 80°; m-propargyloxybenzaldehyde, oil; 2-methoxy-4-ethoxy-carbonylmethoxybenzaldehyde, m.p. 88°).

Example 12

24.1 g of 2-(4-hydroxy-2-methoxyphenyl)imidazo-(4,5-b)pyridine [hydrochloride, m.p. 255°; obtainable by condensation of IIa with 4-benzyloxy-2-methoxybenzoic acid (m.p. 130°) to give 2-(4-benzyloxy-2-methoxyphenyl)-imidazo(4,5-b)pyridine (hydrochloride, m.p. 244°) and subsequent hydrogenolysis] are dissolved in the calculated amount of 2N sodium hydroxide solution, the solution is concentrated, and the residual water is removed by twice adding toluene and concentrating. The Na salt obtained is taken up in 300 ml of dimethylformamide, treated with 8 ml of propargyl chloride and stirred at 20° for 16 hours. The mixture is worked up as usual with water and ethyl acetate and "M" is obtained.

Analogously, the ethers given in Example 1 are obtained by etherification from the appropriate hydroxy compounds.

Example 13

A mixture of 10 g of 2-(4-acetoxy-2-methoxyphenyl)-imidazo(4,5-c)pyridine, 100 ml of methanol and 100 ml of 2 N aqueous NaOH solution is allowed to stand at 20° for 16 hours. After the usual work-up, 2-(4-hydroxy-2-methoxyphenyl)imidazo(4,5-c)pyridine is obtained; fumarate, m.p. 255°.

Analogously, the hydroxy compounds mentioned in Example 1 are obtained by alkaline hydrolysis of the appropriate acetoxy compounds.

Example 14

A solution of 10 g of 2-(4-benzyloxy-2-methoxy-phenyl)imidazo(4,5-c)pyridine hydrochloride (m.p. 241°; obtained by condensation of IIb with 4-benzyloxy-2-methoxybenzoic acid) is hydrogenated in 150 ml of methanol in 5 g of 5% Pd-C at 20° and 1 bar until hydrogen uptake is complete, the mixture is filtered, worked up as usual and 2-(4-hydroxy-2-methoxyphenyl)imidazo(4,5-c)pyridine is obtained; fumarate, m.p. 255°.

Analogously, the hydroxy and mercapto compounds mentioned in Example 1 are obtained by hydrogenolysis

of the appropriate benzyl ethers or benzyl thioethers respectively.

Example 15

In analogy to Example 12, 2-(2-methoxy-4-methylthiophenyl)imidazo(4,5-c)pyridine hydrochloride, m.p. 253°, is obtained by converting 2-(4-mercapto-2-methoxyphenyl)imidazo(4,5-c)pyridine into the Na salt and subsequent reaction with methyl iodide.

Analogously, the thioethers described in Example 1 are obtained from the appropriate mercapto compounds by thioetherification.

Example 16

10 ml of 30% H_2O_2 are added to a boiling solution of 2.71 g of 2-(2-methoxy-4-methylthiophenyl)imidazo-(4,5-c)pyridine in 50 ml of ethanol, and the mixture is then boiled for 3 hours. After cooling down and the usual work up, 2-(2-methoxy-4-methylsulfinylphenyl)-imidazo(4,5-c)pyridine fumarate, m.p. 215°, is obtained.

Analogously, the sulfinyl compounds described in Example 1 are obtained by oxidation of the appropriate thioethers.

The following examples relate to pharmaceutical formulations which contain compounds of the formula I or their acid addition salts:

Example A: tablets

A mixture of 1 kg of "M", 4 kg of lactose, 1.2 kg of potato starch, 0.2 kg of talc and 0.1 kg of magnesium stearate are compressed to tablets in a customary manner so that each tablet contains 100 mg of active ingredient.

Example B: coated tablets

In analogy to Example A, tablets are compressed and then are coated in a customary manner with a coating consisting of sucrose, potato starch, talc, tragacanth and pigment.

Example C: capsules

10 kg of "M" are filled in a customary manner into hard gelatin capsules, so that each capsule contains 50 mg of active ingredient.

Example D: ampoules

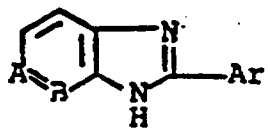
A solution of 1 kg of "M" in 100 l (sic) of double-distilled water is filtered sterile, dispensed into ampoules, lyophilized under sterile conditions and sealed sterile. Each ampoule contains 50 mg of active ingredient.

In analogy, it is possible to obtain tablets, coated tablets, capsules and ampoules, which contain one or more of the other active ingredients of the formula I and/or their physiologically acceptable acid addition salts.

Patent Claims

for the contracting states BE, CH, DE, FR, GB, IT, LI, NL, SE

1. 2-Arylimidazopyridines of the general formula I



I

wherein

-A=B- is (a) -CH=N- or (b) -N=CH-, Ar is a phenyl radical, which, in case (a), is substituted by one or two alkynyloxy, cyanomethoxy, and/or alkyloxycarbonylmethoxy groups, and can be substituted by one or two additional hydroxyl, alkyloxy, alkenyloxy and/or alkynyloxy groups, or which, in case (b), is substituted by one to three hydroxyl, mercapto and/or -Z-R groups,

Z is -O-, -S- or -SO- and

R is alkyl, alkenyl, alkynyl, hydroxyalkyl, cyanomethyl or alkyloxycarbonylmethyl, the alkyl, alkenyl, alkynyl and hydroxyalkyl groups each having up to 5 C atoms, but wherein, in case (b), the phenyl radical is only substituted by hydroxyl or methoxy groups if it has at the same time at least one other substituent differing from these,

and their physiologically acceptable salts.

2. 2-(2-Methoxy-4-propargyloxyphenyl)imidazo(4,5-b)-pyridine.

3. 2-(4-Cyanomethoxy-2-methoxyphenyl)imidazo(4,5-b)-pyridine.

4. 2-(4-Allyloxy-2-methoxyphenyl)imidazo(4,5-c)pyridine.

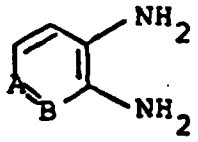
5. 2-(2-Methoxy-4-propargyloxyphenyl)imidazo(4,5-c)-pyridine.

6. 2-(2-Methoxy-4-methylthiophenyl)imidazo(4,5)-pyridine (sic).

* C L M S *

7. 2-(Methoxy-4-methylsulfinylphenyl)imidazo(4,5)-pyridine (sic).

8. Process for the preparation of 2-arylimidazopyridines of the general formula I according to Claim 1 and their physiologically acceptable salts, characterized in that a diaminopyridine of the general formula II



II

wherein

the group -A=B- has the meaning given in Claim 1, is reacted in the presence of an oxidizing agent with a benzoic acid of the general formula III

HOOC-Ar III

wherein

Ar has the meaning given in Claim 1

or with one of its reactive derivatives or with an aldehyde of the general formula IV

OCH-Ar IV

wherein

Ar has the meaning given in Claim 1,

or in that a compound which corresponds to the formula I, but which contains one or more free hydroxyl groups instead of one or more ether groups, is treated with an etherifying agent, or in that a compound which corresponds to the formula I, but which contains one or more protected hydroxyl and/or mercapto groups instead of one or more free hydroxyl and/or mercapto groups, is treated with a solvolyzing or hydrogenolyzing agent and in that, where appropriate, hydroxyl groups in the product obtained are etherified and/or mercapto groups are converted into thioether groups and/or thioether groups are oxidized to sulfinyl groups and/or a compound obtained is converted into one of its physiologically acceptable salts by treatment with an acid

* C L M S *

or base.

9. Process for the preparation of pharmaceutical formulations, characterized in that a compound of the formula I according to Claim 1 and/or one of its physiologically acceptable salts is converted, together with at least one solid, liquid or semiliquid vehicle or auxiliary and optionally in combination with one or more other active ingredients, into a form suitable for administration.

10. Pharmaceutical formulation characterized by a content of at least one compound of the formula I according to Claim 1 and/or one of its physiologically acceptable salts.

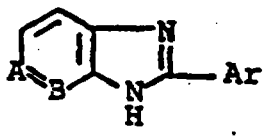
11. Compounds of the general formula I according to Claim 1 for combating diseases.

As printed

Patentansprüche

für den Vertragsstaat AT

1. Verfahren zur Herstellung von 2-Arylimidazopyriden der allgemeinen Formel I



I

worin
-A=B- (a) -CH=N- oder (b) -N=CH-,
Ar einen Phenylrest, der

* C L M S *

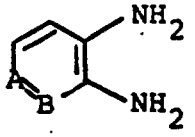
im Fall (a) durch eine oder zwei Alkinyloxy-, Cyanmethoxy- und/oder Alkyloxycarbonyl-, methoxygruppen substituiert ist und durch eine oder zwei zusätzliche Hydroxy-, Alkyloxy-, Alkenyloxy- und/oder Alkinyloxygruppen substituiert sein kann, oder der im Fall (b) durch eine bis drei Hydroxy-, Mercapto- und/oder -Z-R-Gruppen substituiert ist,

Z -O-, -S- oder -SO- und

R Alkyl, Alkenyl, Alkinyl, Hydroxyalkyl, Cyanmethyl oder Alkyloxycarbonylmethyl bedeuten,

wobei die Alkyl-, Alkenyl, Alkinyl, Hydroxyalkylgruppen jeweils bis zu 5 C-Atomen besitzen, worin jedoch im Fall (b) der Phenylrest nur dann durch Hydroxy- Methoxygruppen substituiert ist, wenn er gleichzeitig noch mindesten einen anderen jeweils davon verschiedenen Substituenten trägt,

sowie ihrer physiologisch unbedenklichen Salze, dadurch gekennzeichnet, daß man ein Diaminpyridin der allgemeinen Formel II



II

worin die Gruppe

-A=B- die oben angegebene Bedeutung hat mit einer Benzosäure der allgemeinen Formel III

HOOC-Ar III

worin

Ar die oben angegebene Bedeutung hat

oder mit einem ihrer reaktionsfähigen Derivate

oder mit einem Aldehyd der allgemeinen Formel IV

OCH-Ar IV

worin

Ar die oben angegebene Bedeutung hat in Gegenwart eines Oxydationsmittels

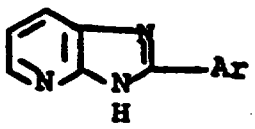
umsetzt

oder daß man eine Verbindung, die der Formel I entspricht, aber anstelle einer oder mehrerer Ethergruppen eine oder mehrere freie Hydroxygruppen enthält, mit einem Veretherungsmittel behandelt oder daß man eine Verbindung, die der Formel I entspricht, aber anstelle einer oder mehrerer freier Hydroxy- und/oder Mercaptgruppen eine oder mehrere geschützte Hydroxy- und/oder Mercaptogruppen enthält, mit einem solvolysierenden oder hydrogenolysierenden Mittel behandelt

und daß man gegebenenfalls in dem erhaltenen Produkt Hydroxygruppen verethert und/oder Mercaptogruppen in Thioethergruppen überführt und/oder Thioethergruppen zu Sulfinylgruppen oxydiert

und/oder eine erhaltene Verbindung durch Behandeln mit einer Säure bzw. Base in eines ihrer physiologisch unbedenklichen Salze umwandelt.

2. Verfahren zur Herstellung von 2-Arylimidazo(4,5-b)-pyridinen der allgemeinen Formel I



I

worin

Ar einen Phenylrest bedeutet, der durch eine oder zwei Alkinyloxy-, Cyanmethoxy- und/oder Alkyloxycarbonylmethoxygruppen substituiert ist und durch eine oder zwei zusätzliche Hydroxy-, Alkyloxy-, Alkenyloxy- und/oder Alkinyloxygruppen substituiert sein kann,

wobei die Alkyl-, Alkenyl- und Alkinylgruppen jeweils bis zu 5 C-Atome besitzen,

sowie ihrer physiologisch unbedenklichen Salze, dadurch gekennzeichnet, daß man 2,3-Diaminopyridin (II) mit einer Benzoesäure der allgemeinen Formel III

HOOC-Ar III

worin

Ar die oben angegebene Bedeutung hat

oder mit einem ihrer reaktionsfähigen Derivate

oder mit einem Aldehyd der allgemeinen Formel

OCH-Ar IV

worin

Ar die oben angegebene Bedeutung hat

in Gegenwart eines Oxydationsmittels

umsetzt

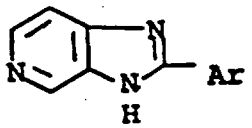
* C L M S *

oder daß man eine Verbindung, die der Formel I entspricht, aber an Stelle einer oder mehrerer Ethergruppen eine oder mehrere freie Hydroxygruppen enthält, mit einem Veretherungsmittel behandelt

und daß man gegebenenfalls in dem erhaltenen Produkt Hydroxygruppen verethert

und/oder eine erhaltene Verbindung durch Behandeln mit einer Säure bzw. Base in eines ihrer physiologisch unbedenklichen Salze umwandelt.

3. Verfahren zur Herstellung von 2-Arylimidazo(4,5-c)-pyridinen der allgemeinen Formel I



I

worin

Ar einen Phenylrest, der durch eine bis drei Hydroxy-, Mercapto- und/oder -Z-R-Gruppen substituiert ist,

Z -O-, -S- oder -SO- und

R Alkyl, Alkenyl, Alkinyl, Hydroxyalkyl, Cyanmethyl oder Alkyloxycarbonylmethyl bedeuten,

wobei die Alkyl-, Alkenyl-, Alkinyl- und Hydroxyalkylgruppen jeweils bis zu 5 C-Atome besitzen, worin jedoch der Phenylrest nur dann durch Hydroxy- oder Methoxygruppen substituiert ist, wenn er gleichzeitig noch mindestens einen anderen jeweils davon verschiedenen Substituenten trägt, sowie ihrer physiologisch unbedenklichen Salze, dadurch gekennzeichhet, daß man 3,4-Diaminopyridin (II) mit einer Benzoesäure der allgemeinen Formel III

HOOC-Ar III

worin

Ar die oben angegebene Bedeutung hat

oder mit einem ihrer reaktionsfähigen Derivate

oder mit einem Aldehyd der allgemeinen Formel IV

OCH-Ar IV

worin

Ar die oben angegebene Bedeutung hat in Gegenwart eines Oxydationsmittels umsetzt

oder daß man eine Verbindung, die der Formel I entspricht, aber anstelle einer oder mehrerer freier Hydroxy- und/oder Mercaptogruppen eine oder mehrere geschützte Hydroxy- und/oder Mercaptogruppen enthält, mit einem solvolysierenden oder hydrogenolysierenden Mittel behandelt

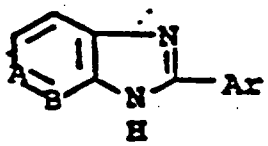
und daß man gegebenenfalls in dem erhaltenen Produkt Hydroxygruppen verethert und/oder Mercaptogruppen in Thioethergruppen überführt und/oder Thioethergruppen zu Sulfinylgruppen oxydiert

und/oder eine erhaltene Verbindung durch Bahandeln mit einer Säure bzw. Base in eines ihrer physiologisch unbedenklichen Salze umwandelt.

Claims

for the Contracting states BE, CH, DE, FR, GB, IT, LI, NL, SE

1. 2-Arylimidazopyridines of the general formula I



I

wherein

-A=B- is (a) -CH=N- or (b) -N=CH- Ar is a phenyl radical, which, in case (a), is substituted by one or two alkinyloxy cyanomethoxy and/or alkyloxycarbonylmethoxy groups and can be substituted by one or two additional hydroxyl alkyloxy alkenyloxy and/or alkinyloxy groups or which in case (b) is substituted by one to three hydroxyl and/or -Z-R groups

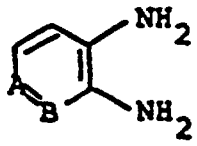
Z is -O- -S- or -SO- and

R is alkyl alkenyl alkinyl hydroxyalkyl, cyanomethyl or alkyloxycarbonylmethyl, the alkyl, alkenyl, alkiny and hydroxyalkyl groups each having up to 5 C atoms, but wherein in case (b), the phenyl radical is only substituted by hydroxyl or methoxy groups if it has at the same time at least one other substituent differing from these,

and their physiologically acceptable salts.

* C L M S *

2. 2-(2-Methoxy-4-propargyloxyphenyl)imidazo(4,5-b)-pyridine.
3. 2-(4-Cyanomethoxy-2-methoxyphenyl)imidazo(4,5-b-pyridine.
4. 2-(4-Allyloxy-2-methoxyphenyl)imidazo(4,5-c)-pyridine.
5. 2-(2-Methoxy-4-propargyloxyphenyl)imidazo(4,5-c)-pyridine.
6. 2-(2-Methoxy-4-methylthiophenyl)-imidazo(4,5-c)-pyridine.
7. 2-(2-Methoxy-4-methylsulfinylphenyl)-imidazo(4,5-c)-pyridine.
8. Process for the preparation of 2-arylimidazo-pyridines of the general formula 1 according to claim 1 and their physiologically acceptable salts, characterised in that a diaminopyridine of the general formula II

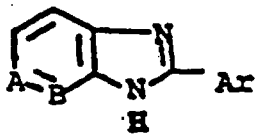


II

wherein
the group -A=B- has the the meaning mentioned in claims 1, is reacted with a bezoic acid of the general formla III
HOOC-Ar III
wherein
Ar has the meaning mentioned in claim 1,
in the presence of an oxidising agent
or in that a compound which corresponds to the formula I but which contains one or more free hydroxyl groups instead of one or more ether groups is treated withan etherifying agent or in that a compound which corresponds to the formula I but which contains one or more protected hydroxyl and/or mercapto groups instead of one or more free hydroxyl and/or mercapto groups, is treated with a solvolysing or hydrogenolysing agent and in that if desired, hydroxyl groups in the product obtained are etherified and/or mercapto groups are converted into thioether groups and/or thioether groups are oxidised to sulfinyl groups
and/or a compound obtained is converted into one of its physiologically acceptable salts by treatment with an acid or base.
9. Process for the preparation of pharmaceutical formulations,characterised in that a compound of the formula I according to claim 1 and/or one of its physiologically acceptable salts is converted into a form suitable for administration together with at least one solid, liquid or semiliquid vehicle or auxiliary and optionally in combination with one or more other active ingredients.
10. Pharmaceutical formulation characterised by a content of at least one compound of the formula I according to claim 1 and/or one of its physiologically acceptable salts.
11. Compounds of the general formula I according to claim 1 for combating diseases.

Claims

for the Contracting state: AT
1. Process for the preparation of 2-arylimidazo-pyridines of the general formula I

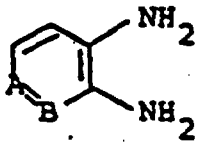


I

wherein
-A=B- is (a) -CH=N- or (b) -N=CH-,
Ar is a phenyl radical, which, in case (a), is substitutet by one or two alkinyloxy, cyanomethoxy and/or alkyloxycarbonylmethoxy groups and can be substituted by one or two additional hydroxyl, alkyloxy, alkenyloxy, and/or alkinyloxy groups, or which, in case (b), is substituted by one to three hydroxyl, mercapto and/or -Z-R groups
Z is -O-, -S- or -SO- and
R is alkyl, alkenyl, alkinyl, hydroxyalkyl, cyanomethyl, carboxymethyl or alkyloxycarbonylmethyl
the alkyl, alkenyl, alkinyl and hydroxyalkyl groups each having up to 5 C atoms, but wherein in case (b) the phenyl radical is only substituted by hydroxyl or methoxy groups if it has at the same time at least one other

* C L M S *

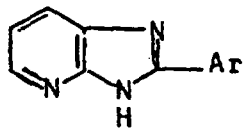
substituent differing from these
and their physiologically acceptable salts, characterised in that a diamimopyridine of the general formula II



II

wherein
the group -A=B- has the above-mentioned meaning is reacted with a benzoic acid of the general formula I
HOOC-Ar III
wherein
Ar has the above-mentioned meaning
or with one of its reactive derivates or with an aldehyde of the general formula IV
OCH-Ar IV
wherein
Ar has the above-mentioned meaning,
in the presence of am oxidising agent
or in that a compound which corresponds to the formula I but which contains one or more free hydroxyl groups instead of one or more ether groups, is treated with an etherifying agent or in that a compound which corresponds to the formula I but which contains one or more protected hydroxyl and/or mercapto groups instead of one or more free hydroxyl and/or mercapto groups, is treated with a solvolysing or hydrogenolysing agent and in that if desired, hydroxyl groups in the product obtained are etherified and/or mercapto groups are converted into thioether groups and/or thioether groups are oxidised to sulfinyl groups
and/or a compound obtained is converted into one of its physiologically acceptable salts by treatment with an acid or base.

2. Process for the preparation of 2-arylimidazo(4,5-b)-pyridines of the general formula I

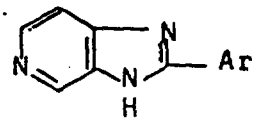


I

wherein
Ar is a phenyl radical, which is substitued by and or two alkinyloxy, cyanomethoxy and/or alkyloxycarbonylmethoxy groups and can be substituted by one or two additional hydroxyl, alkyloxy, alkenyloxy and/or alkinyloxy groups,
the alkyl, alkanyl and alkinyl groups each having up to 5 C atoms,
and their physiologically acceptable salts,
characterised in that 2,3-diaminopyridine (II) is reacted with a benzoic acid of the general formula III
HOOC-Ar III
wherein
Ar has the above-mentioned meaning
or with one of its reactive derivatives or with an aldehyde of the general formula IV
OCH-Ar IV
wherein
Ar has the above-mentioned meaning, in the presence of an oxidising agent
or in that a compound which corresponds to the formula I but which contains one or more free hydroxyl groups instead of one or more ether groups, is treated with an etherifying agent, and in that, if desired, hydroxyl groups in the product obtained are etherified
and/or a compound obtained is converted into one of its physiologically acceptable salts by treatment with an acid or base.

3. Process for the preparation of 2-arylimidazo(4,5-c)-pyridines of the general formula I

* C L M S *

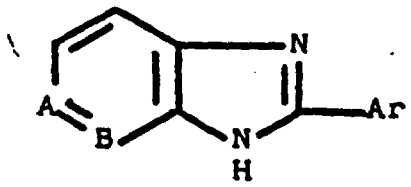


I

wherein
Ar is a phenyl radical, which is substituted by one to three hydroxyl, mercapto and/or-Z-R groups,
Z is -O-, -S- or -SO- and
R is alkyl, alkenyl, alkinyl, hydroxyalkyl, cyanomethyl or alkyloxycarbonylmethyl,
the alkyl, alkenyl, alkinyl and hydroxyalkyl groups each having up to 5 C atoms but wherein the
phenyl radical is only substituted by hydroxyl or methoxy groups if it has at the same time at least one other substituent differing from these
and their physiologically acceptable salts,
characterised in that 3,4-diaminopyridine (II) is reacted with a benzoic acid of the general formula III
HOOC-Ar III
wherein
Ar has the above-mentioned meaning
or with one of its reactive derivatives or with an aldehyde of the general formula IV
OCH-Ar IV
wherein
Ar has the above-mentioned meaning, in the presence of an oxidising agent or in that a compound which corresponds to the formula I but which contains one or more protected hydroxyl and/or mercapto groups instead of one or more free hydroxyl and/or mercapto groups is treated with a solvolysing or hydrogenolysing agent and in that if desired, hydroxyl groups in the product obtained are etherified and/or mercapto groups are converted into thioether groups and/or thioether groups are oxidised to sulfinyl groups
and/or a compound obtained is converted into one of its physiologically acceptable salts by treatment with an acid or base.

Revendications

1. 2-aryl-imidazopyridines de formule générale I:

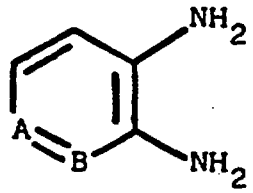


I

dans laquelle
-A=B- représente (a) -CH=N- ou (b) -N=CH-,
Ar représente un groupe phényle qui, dans le cas
(a), est substitué par un ou deux groupes alcynyloxy, cyanométhoxy et/ou alkyloxycarbonylmethoxy et que peut être substitue par un ou deux groupes hydroxy, alkyloxy, alcényloxy et/ou alcynyloxy supplémentaires, ou qui, dans le cas (b), est substitué par un à trois groupes hydroxy, mercapto et/ou -Z-R-,
Z représente -O-, -S- ou -SO- et
R représente un groupe alkyle, un groupe alcényle, un groupe alcynyle, un groupe hydroxyalkyle, un groupe cyanométhyle ou un groupe alkyloxycarbonylméthyle,
les groupes alkyle, alcényle, alcynyle et hydroxyalkyle contenant chacun jusqu'à 5 atomes de carbone, cependant que, dans le cas (b), le groupe phényle n'est substitué que par des groupes hydroxy ou méthoxy lorsqu'il comporte simultanément encore au moins un autre substituant chaque fois différent, de même que leurs sels physiologiquement acceptables.
2. La 2-(2-méthoxy-4-propargyloxyphényl)-imidazo(4,5-b)pyridine.
3. La 2-(4-cyanométhoxy-2-méthoxyphényl)-imidazo(4,5-b)pyridine.
4. La 2-(4-allyloxy-2-méthoxyphényl)-imidazo(4,5-c)pyridine.
5. La 2-(2-méthoxy-4-propargyloxyphényl)-imidazo(4,5-c)pyridine.
6. La 2-(2-méthoxy-4-méthylthiophényl)-imidazo(4,5-c)pyridine.
7. La 2-(2-méthoxy-4-méthylsulfinylphé-nyl)-imidazo(4,5-c)pyridine.
8. Procédé de préparation de 2-arylimidazo-pyridines de formule générale I selon la revendication 1,

* C L M S *

ainsi que de leurs sels physiologiquement acceptables, caractérisé en ce qu'on fait réagir une diaminopyridine de formule générale II:



II

dans laquelle
le groupe -A=B- a la signification indiquée dans la revendication 1,
avec un acide benzoïque de formule générale III:
HOOC-Ar
dans laquelle
Ar a la signification indiquée dans la revendication 1, ou avec un de ses dérivés réactifs ou encore avec un aldéhyde de formule générale IV:
OCH-Ar IV
dans laquelle
Ar a la signification indiquée dans la revendication 1, en présence d'un agent d'oxydation,
ou on traite un composé qui répond à la formule I mais qui, au lieu d'un ou plusieurs groupes éther, contient un ou plusieurs groupes hydroxy libres, avec un agent d'éthérification ou on traite un composé qui répond à la formule I mais qui, au lieu d'un ou plusieurs groupes hydroxy et/ou mercapto libres, contient un ou plusieurs groupes hydroxy et/ou mercapto protégés, avec un agent solvolysant ou hydrogénolysant
et on éthérifie éventuellement les groupes hydroxy dans le produit obtenu et/ou on transforme les groupes mercapto en groupes thioéther et/ou on oxyde les groupes thioéther en groupes sulfinyle et/ou on transforme un composé obtenu en un de ses sels physiologiquement acceptables par traitement avec un acide ou une base.

9. Procédé en vue d'obtenir des préparations pharmaceutiques, caractérisé en ce qu'on met, sous une forme de dosage appropriée, un composé de formule I selon la revendication 1 et/ou un de ses sels physiologiquement acceptables avec au moins une substance auxiliaire ou une substance support solide, liquide ou semi-liquide et éventuellement en combinaison avec une ou plusieurs autres substances actives.

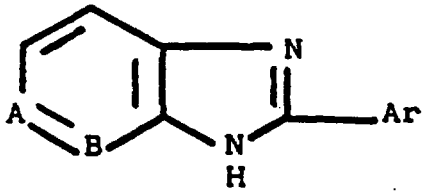
10. Préparation pharmaceutique, caractérisée en ce qu'elle contient au moins un composé de formule I selon la revendication 1 et/ou un de ses sels physiologiquement acceptables.

11. Composés de formule générale I selon la revendication 1, en vue de combattre les maladies.

Revendications

pour l'Etat contractant: AT

1. Procédé de préparation de 2-arylimidazo-pyridines de formule générale I:



I

dans laquelle
-A=B- représente (a) -CH=N- ou (b) -N=CH-,
Ar représente un groupe phényle qui, dans le cas (a), est substitué par un ou deux groupes alcynyloxy, cyanométhoxy et/ou alkyloxycarbonylméthoxy et qui peut être substitué par un ou deux groupes hydroxy, alkyloxy, alcényloxy et/ou alcynyloxy supplémentaires ou encore qui, dans le cas (b), est substitué par un à

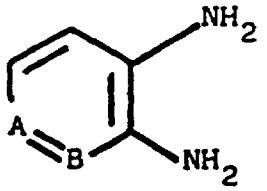
* C L M S *

trois groupes hydroxy, mercapto et/ou -Z-R-,

Z représente -O-, -S- ou -SO- et

R représente un groupe alkyle, un groupe alcényle, un groupe alcynyle, un groupe hydroxyalkyle, un groupe cyanométhyle ou un groupe alkyloxycarbonylméthyle,

les groupes alkyle, alcényle, alcynyle et hydroxyalkyle contenant chacun jusqu'à 5 atomes de carbone cependant que, dans le cas (b), le groupe phényle n'est substitué que par des groupes hydroxy ou méthoxy lorsque simultanément il comporte encore au moins un autre substituant chaque fois différent, ainsi que de leurs sels physiologiquement acceptables, caractérisé en ce qu'on fait réagir une diaminopyridine de formule générale II:



II

dans laquelle

le groupe -A=B- a la signification indiquée ci-dessus avec un acide benzoïque de formule générale III

HOOC-Ar III

dans laquelle

Ar a la signification indiquée ci-dessus, ou avec un de ses dérivés réactifs ou encore avec un aldéhyde de formule générale IV:

OCH-Ar IV

dans laquelle

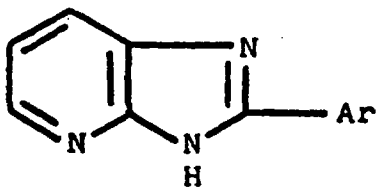
Ar a la signification indiquée ci-dessus, en présence d'un agent d'oxydation,

ou on traite un composé qui répond à la formule I mais qui, au lieu d'un ou plusieurs groupes éther, contient un ou plusieurs groupes hydroxy libres, avec un agent d'éthérification ou on traite un composé qui répond à la formule I mais qui, au lieu d'un ou plusieurs groupes hydroxy et/ou mercapto libres, contient un ou plusieurs groupes hydroxy et/ou mercapto protégés, avec un agent solvolysant ou hydrogénolysant,

et on éthérifie éventuellement des groupes hydroxy dans le produit obtenu et/ou on transforme des groupes mercapto en groupes thioéther et/ou on oxyde des groupes thioéther en groupes sulfinyle

et/ou on transforme un composé obtenu en un de ses sels physiologiquement acceptables par traitement avec un acide ou une base.

2. Procédé de préparation de 2-arylimidazo-(4,5-b)pyridines de formule générale I:



I

dans laquelle

Ar représente un groupe phényle qui est substitué par un ou deux groupes alcynyloxy, cyanométhoxy et/ou alkyloxycarbonylméthoxy et qui peut être oxy, alcényloxy et/ou alcynyloxy supplémentaires, les groupes alkyle, alcényle et alcynyle contenant chacun jusqu'à 5 atomes de carbone, ainsi que de leurs sels physiologiquement acceptables, caractérisé en ce qu'on fait réagir une 2,3-diamino-pyridine (II) avec un acide benzoïque de formule générale III:

HOOC-Ar III

dans laquelle

Ar a la signification indiquée ci-dessus, ou avec un de ses dérivés réactifs ou encore avec un aldéhyde de formule générale IV:

* C L M S *

OCH-Ar IV

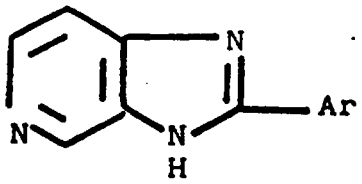
dans laquelle

Ar a la signification indiquée ci-dessus, en presence d'un agent d'oxydation,

ou on traite un composé qui répond à la formule I mais qui, au lieu d'un ou plusieurs groupes éther, contient un ou plusieurs groupes hydroxy libres, avec un agent d'éthérification,

et on éthérifie éventuellement des groupes hydroxy dans le produit obtenu et/ou on transforme un compose obtenu en un de ses sels physiologiquement acceptables par traitement avec un acide ou une base.

3. Procédé de préparation de 2-arylimidazo-(4,5-c)pyridines de formule générale I:



I

dans laquelle

Ar représente un groupe phényle qui est substitué par un à trois groupes hydroxy, mercapto et/ou -Z-R-,

Z représente -O-, -S- ou -SO- et

R représente un groupe alkyle, un groupe alcényle, un groupe alcynyle, un groupe hydroxyalkyle, un groupe cyanométhyle ou un groupe alkyloxycarbonylméthyle,

les groupes alkyle, alcényle, alcynyle et hydroxyalkyle contenant chacun jusqu'à 5 atomes de carbone, cependant que le groupe phényle n'est alors substitué que par des groupes hydroxy ou méthoxy lorsque simultanément il comporte encore au moins un autre substituant chaque fois différent, ainsi que de leurs sels physiologiquement acceptables, caractérisé en ce qu'on fait réagir une 3,4-diaminopyri-dine (II) avec un acide benzoïque de formule générale III:

HOOC-Ar III

dans laquelle

Ar a la signification indiquée ci-dessus, ou avec un de ses dérivés réactifs ou encore avec un aldéhyde de formule générale IV:

OCH-Ar IV

dans laquelle

Ar a la signification indiquée ci-dessus, en présence d'un agent d'oxydation, on on traite un composé qui répond à la formule I mais qui, au lieu d'un ou plusieurs groupes hydroxy et/ou mercapto libres, contient un ou plusieurs groupes hydroxy et/ou mercapto protégés, avec un agent solvolysant ou hydrogénolysant, et on éthérifie éventuellement des groupes hydroxy dans le produit obtenu et/ou on transforme des groupes mercapto en groupes thioéther et/ou on oxyde des groupes thioéther en groupes sulfinyle et/ou on transforme un composé obtenu en un de ses sels physiologiquement acceptables par traitement avec un acide ou une base.

* C L M S *