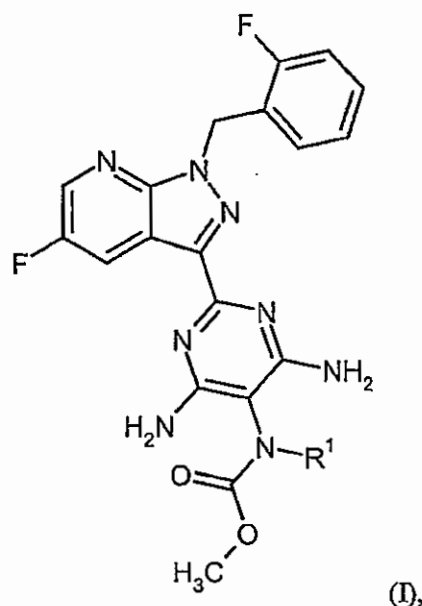


Patent Claims

1. Compound of the formula (I)



in which

- 5 R^1 represents hydrogen or (C₁-C₄)-alkyl,

where (C₁-C₄)-alkyl may be substituted by one or two substituents independently of one another selected from the group consisting of fluorine and trifluoromethyl,

and their *N*-oxides, salts, solvates, salts of the *N*-oxides and solvates of the *N*-oxides and salts.

- 10 2. Compound of the formula (I) according to Claim 1 in which

R^1 represents hydrogen or methyl,

where methyl may be substituted by a trifluoromethyl substituent,

and their salts, solvates and solvates of the salts.

- 15 3. Compound of the formula (I) according to Claim 1 or 2, selected from the group consisting of:

methyl {4,6-diamino-2-[5-fluoro-1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]pyrimidin-5-yl} carbamate

methyl {4,6-diamino-2-[5-fluoro-1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]pyrimidin-5-yl} methylcarbamate

methyl {4,6-diamino-2-[5-fluoro-1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]pyrimidin-5-yl}(2,2,2-trifluoroethyl)carbamate

methyl {4,6-diamino-2-[5-fluoro-1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]pyrimidin-5-yl}carbamate hydrochloride

5 methyl {4,6-diamino-2-[5-fluoro-1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]pyrimidin-5-yl}carbamate sulphate

methyl {4,6-diamino-2-[5-fluoro-1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]pyrimidin-5-yl}carbamate phosphate

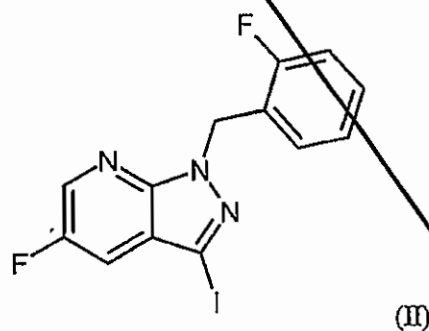
10 methyl {4,6-diamino-2-[5-fluoro-1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]pyrimidin-5-yl}carbamate mesylate

methyl {4,6-diamino-2-[5-fluoro-1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]pyrimidin-5-yl}carbamate ethane-1,2-disulphonate

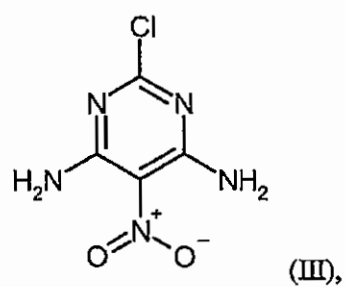
methyl {4,6-diamino-2-[5-fluoro-1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]pyrimidin-5-yl}carbamate maleate

15 methyl {4,6-diamino-2-[5-fluoro-1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]pyrimidin-5-yl}carbamate nitrate

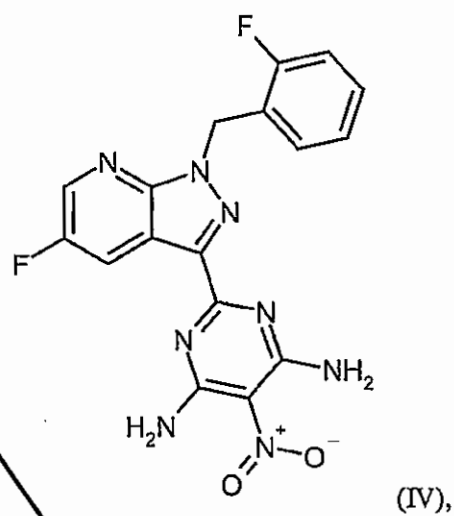
4. Process for preparing a compound of the formula (I) as defined in any of Claims 1 to 3, characterized in that the compound of the formula (II)



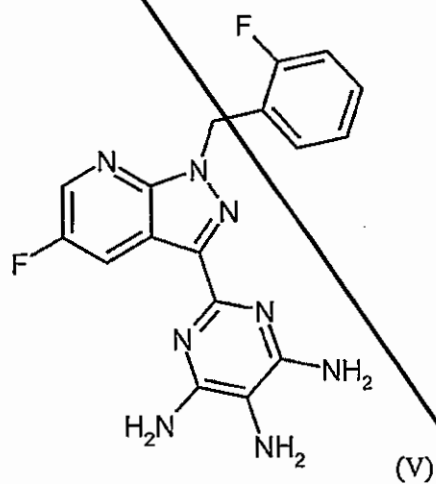
20 [A] is reacted in an inert solvent in the presence of hexabutyltin and a suitable palladium catalyst with intermediate formation of a tin species with the compound of the formula (III)



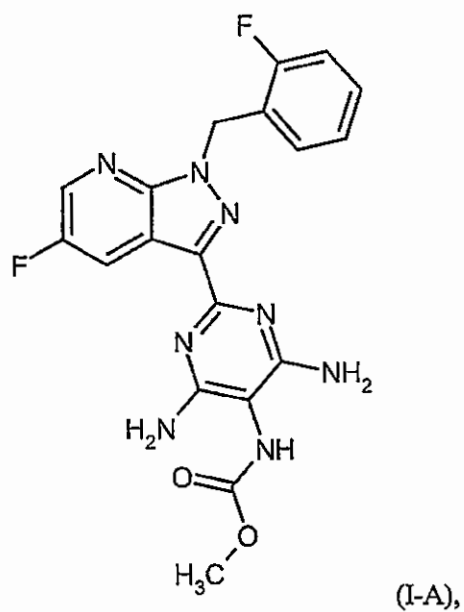
to give the compound of the formula (IV)



5 this is then reduced in an inert solvent with a suitable reducing agent to give the compound of the formula (V)

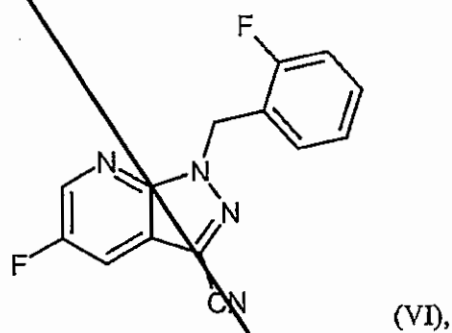


and this is then reacted in the presence of a suitable base in the presence or absence of a solvent with methyl chloroformate to give the compound of the formula (I-A)



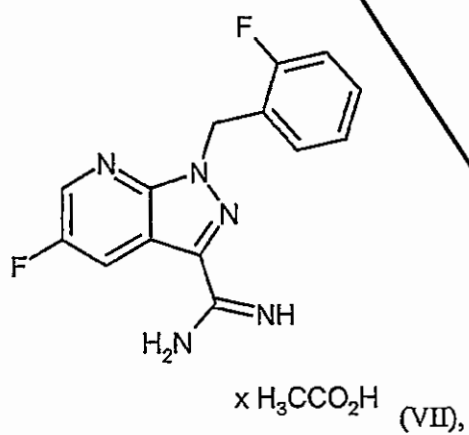
or

[B] the compound of the formula (II) is reacted in an inert solvent with copper cyanide to give the compound of the formula (VI)

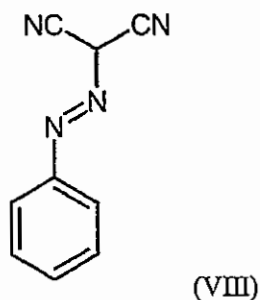


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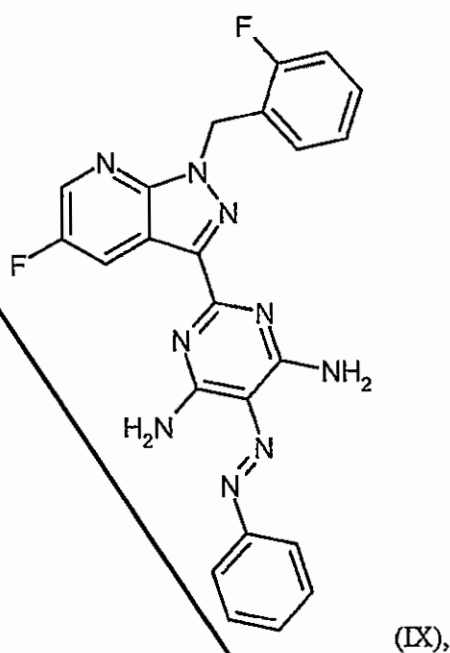
this is then, under acidic conditions, converted into the compound of the formula (VII)



this is subsequently reacted in an inert solvent in the presence of a suitable base with the compound of the formula (VIII)



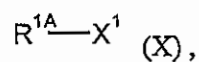
to give the compound of the formula (IX)



and this is then reduced in an inert solvent in the presence of a suitable reducing agent to give the compound (V), and this is subsequently reacted further according to process [A] to give compound (I-A),

or

[C] the compound of the formula (I-A) is reacted in an inert solvent in the presence of a suitable base with a compound of the formula (X)



in which

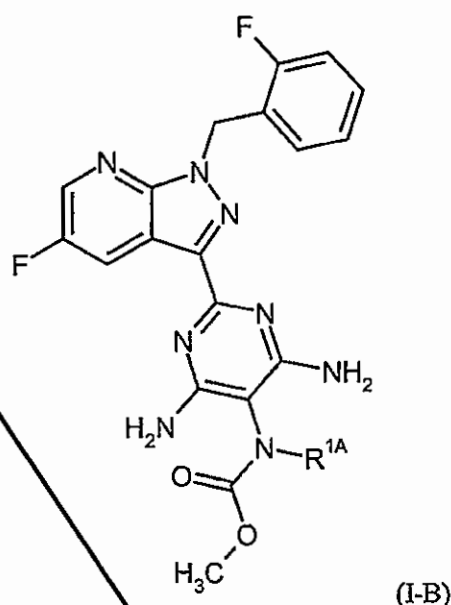
R^{1A} represents (C₁-C₄)-alkyl,

where (C₁-C₄)-alkyl may be substituted by one or two substituents independently of one another selected from the group consisting of fluorine and trifluoromethyl,

and

X¹ represents a leaving group such as, for example, halogen, in particular bromine or iodine, trichloromethanesulphonate, mesylate or tosylate

to give a compound of the formula (I-B)



in which R^{1A} has the meaning given above,

and the resulting compounds of the formulae (I-A) and (I-B) are, where appropriate, converted with the appropriate (i) solvents and/or (ii) acids or bases into their solvates, salts and/or solvates of the salts.

5. Compound of the formula (I) as defined in any of Claims 1 to 3 for the treatment and/or prophylaxis of diseases.

15 6. Compound of the formula (I) as defined in any of Claims 1 to 3 for use in a method for the treatment and/or prophylaxis of heart failure, angina pectoris, hypertension, pulmonary hypertension, ischaemias, vascular disorders, kidney failure, thromboembolic disorders, fibrotic disorders and arteriosclerosis.

20 7. Use of a compound of the formula (I) as defined in any of Claims 1 to 3 for the preparation of a medicament for the treatment and/or prophylaxis of heart failure, angina pectoris,

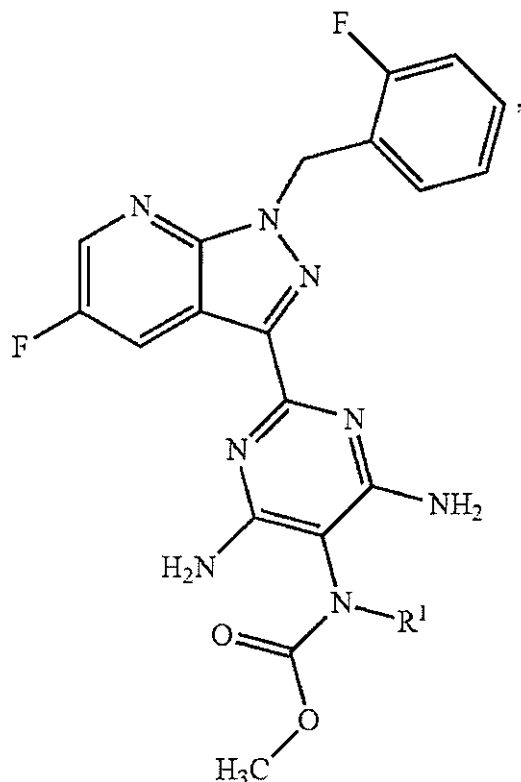
hypertension, pulmonary hypertension, ischaemias, vascular disorders, kidney failure, thromboembolic disorders, fibrotic disorders and arteriosclerosis.

8. Medicament comprising a compound of the formula (I) as defined in any of Claims 1 to 3 in combination with an inert, non-toxic, pharmaceutically suitable excipient
- 5 9. Medicament comprising a compound of the formula (I) as defined in any of Claims 1 to 3 in combination with a further active compound selected from the group consisting of organic nitrates, NO donors, cGMP-PDE inhibitors, agents having antithrombotic activity, agents lowering blood pressure, and agents altering lipid metabolism.
- 10 10. Medicament according to Claim 9 or 10 for the treatment and/or prophylaxis of heart failure, angina pectoris, hypertension, pulmonary hypertension, ischaemias, vascular disorders, kidney failure, thromboembolic disorders, fibrotic disorders and arteriosclerosis.
- 15 11. Method for the treatment and/or prophylaxis of heart failure, angina pectoris, hypertension, pulmonary hypertension, ischaemias, vascular disorders, kidney failure, thromboembolic disorders, fibrotic disorders and arteriosclerosis in humans and animals by administration of an effective amount of at least one compound of the formula (I) as defined in any of Claims 1 to 3, or of a medicament as defined in any of Claims 8 to 10.

CLAIMS

1. A compound of formula (I)

(I)



in which

R¹ represents hydrogen or (C₁-C₄)-alkyl,

where (C₁-C₄)-alkyl may be substituted by one or two substituents independently of one another selected from the group consisting of fluorine and trifluoromethyl, and their N-oxides, salts, and salts of the N-oxides.

2. The compound of the formula (I) according to claim 1 in which

R¹ represents hydrogen or methyl,

where methyl may be substituted by a trifluoromethyl substituent, and salts thereof.

3. The compound of the formula (I) according to claim 1, selected from the group consisting of:

methyl {4,6-diamino-2-[5-fluoro-1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]pyrimidin-5-yl} carbamate;

methyl {4,6-diamino-2-[5-fluoro-1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]pyrimidin-5-yl} methylcarbamate;

methyl {4,6-diamino-2-[5-fluoro-1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]pyrimidin-5-yl}(2,2,2-trifluoroethyl)carbamate;

methyl {4,6-diamino-2-[5-fluoro-1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]pyrimidin-5-yl} carbamate hydrochloride;

methyl {4,6-diamino-2-[5-fluoro-1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]pyrimidin-5-yl} carbamate sulphate;

methyl {4,6-diamino-2-[5-fluoro-1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]pyrimidin-5-yl} carbamate phosphate;

methyl {4,6-diamino-2-[5-fluoro-1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]pyrimidin-5-yl} carbamate mesylate;

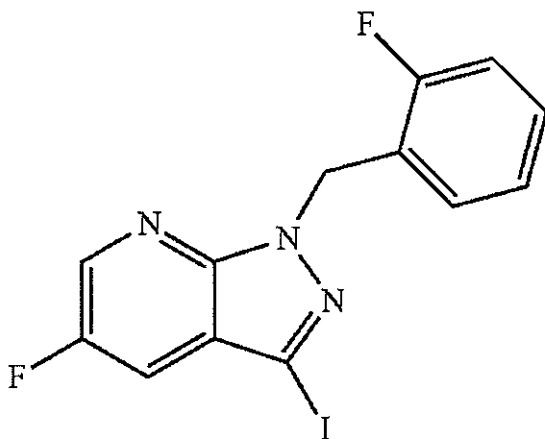
methyl {4,6-diamino-2-[5-fluoro-1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]pyrimidin-5-yl} carbamate ethane-1,2-disulphonate;

methyl {4,6-diamino-2-[5-fluoro-1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]pyrimidin-5-yl} carbamate maleate; and

methyl {4,6-diamino-2-[5-fluoro-1-(2-fluorobenzyl)-1H-pyrazolo[3,4-b]pyridin-3-yl]pyrimidin-5-yl} carbamate nitrate.

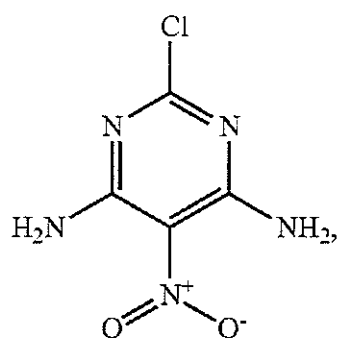
4. A process for preparing a compound of claim 1, wherein a compound of the formula (II)

(II)



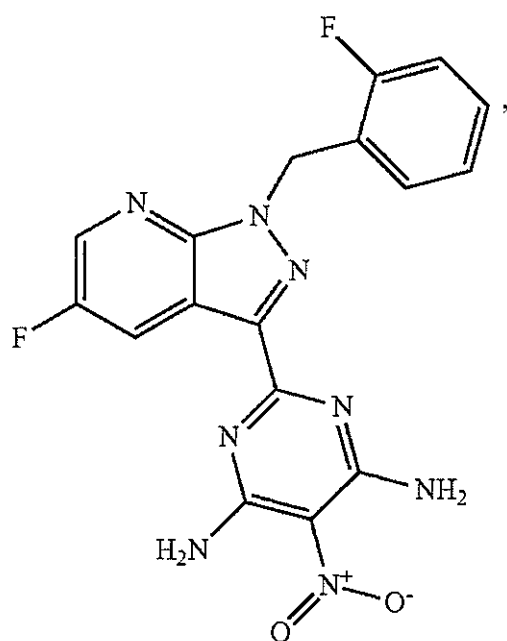
[A] is reacted in an inert solvent in the presence of hexabutyltin and a suitable palladium catalyst with intermediate formation of a tin species with the compound of the formula (III)

(III)



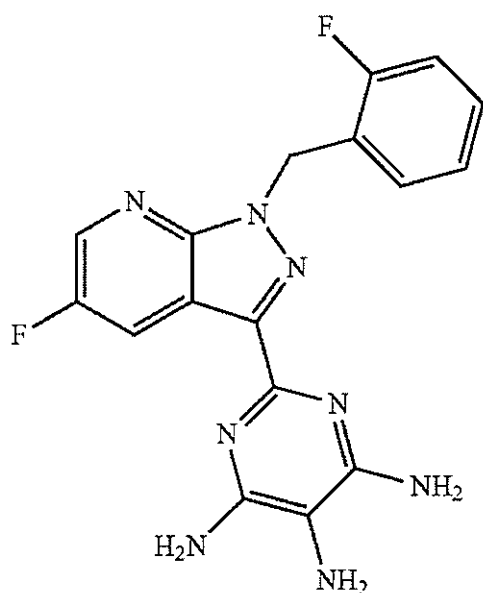
to give the compound of the formula (IV)

(IV)



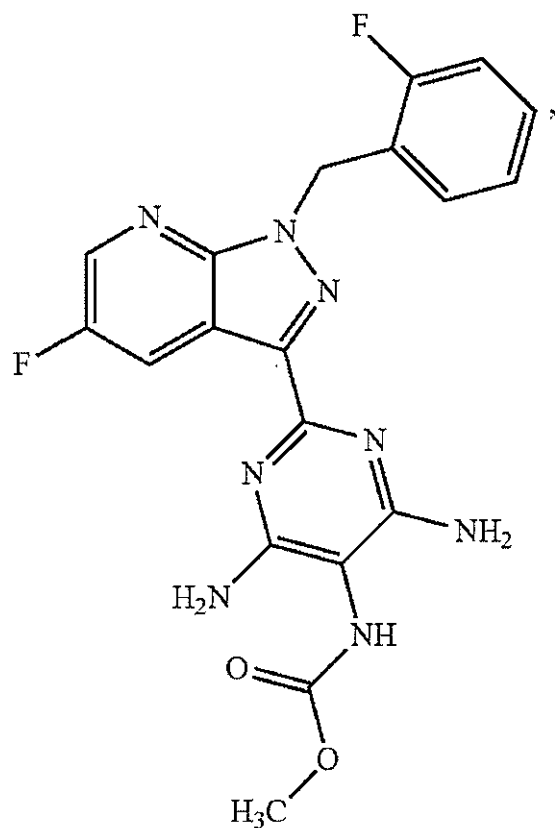
this is then reduced in an inert solvent with a suitable reducing agent to give the compound of the formula (V)

(V)



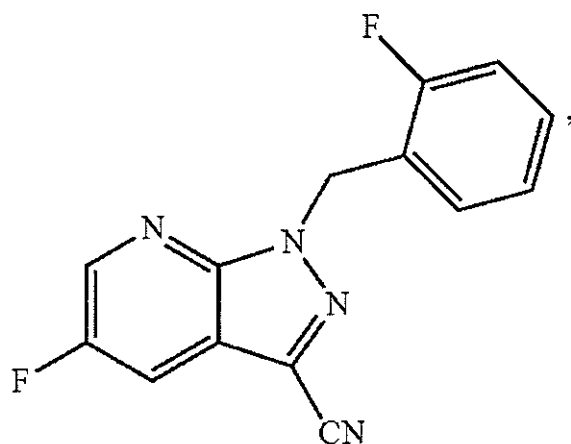
and this is then reacted in the presence of a suitable base in the presence or absence of a solvent with methyl chloroformate to give the compound of the formula (I-A)

(I-A)



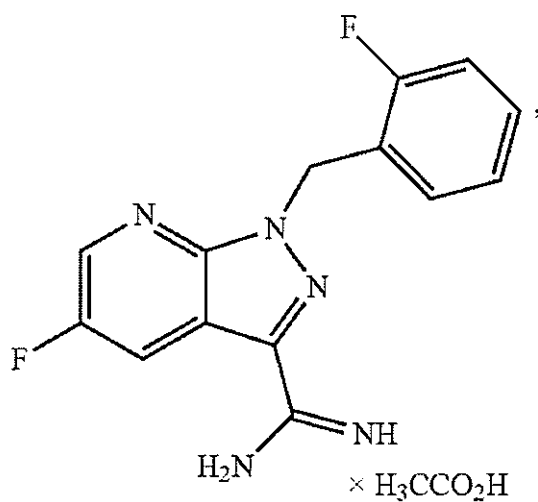
or [B] the compound of the formula (II) is reacted in an inert solvent with copper cyanide to give the compound of the formula (VI)

(VI)



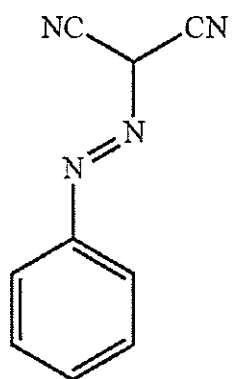
this is then, under acidic conditions, converted into the compound of the formula (VII)

(VII)



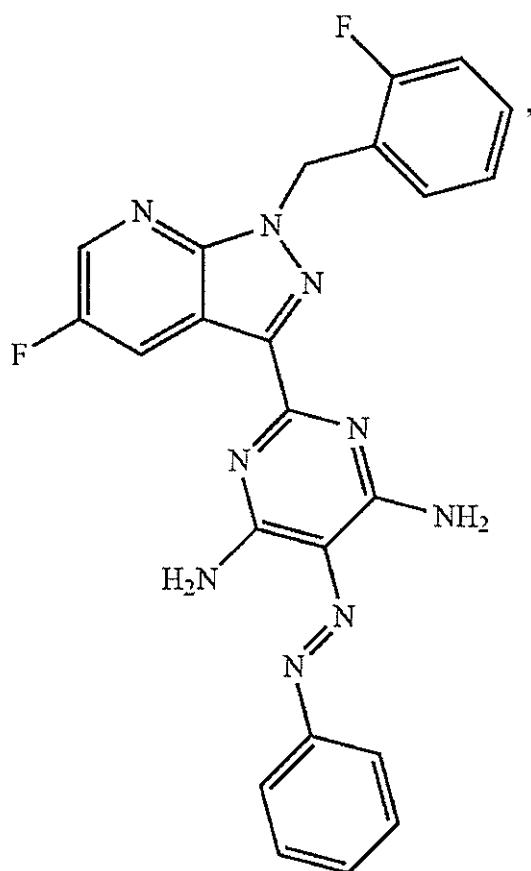
this is subsequently reacted in an inert solvent in the presence of a suitable base with the compound of the formula (VIII)

(VIII)



to give the compound of the formula (IX)

(IX)



and this is then reduced in an inert solvent in the presence of a suitable reducing agent to give the compound (V), and this is subsequently reacted further according to process [A] to give compound (I-A),

or

[C] the compound of the formula (I-A) is reacted in an inert solvent in the presence of a suitable base with a compound of the formula (X)



in which

R^{1A} represents (C₁-C₄)-alkyl,

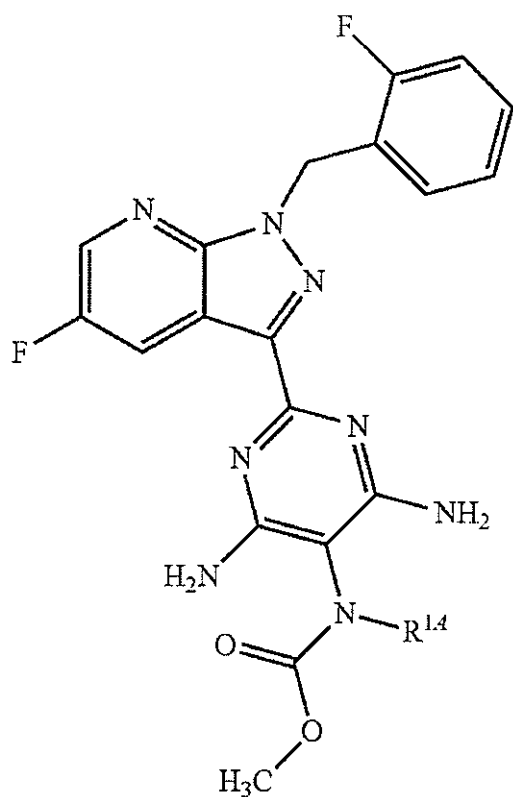
where (C₁-C₄)-alkyl may be substituted by one or two substituents independently of one another selected from the group consisting of fluorine and trifluoromethyl,

and

X^1 represents a leaving group such as, for example, halogen, in particular bromine or iodine, trichloromethanesulphonate, mesylate or tosylate

to give a compound of the formula (I-B)

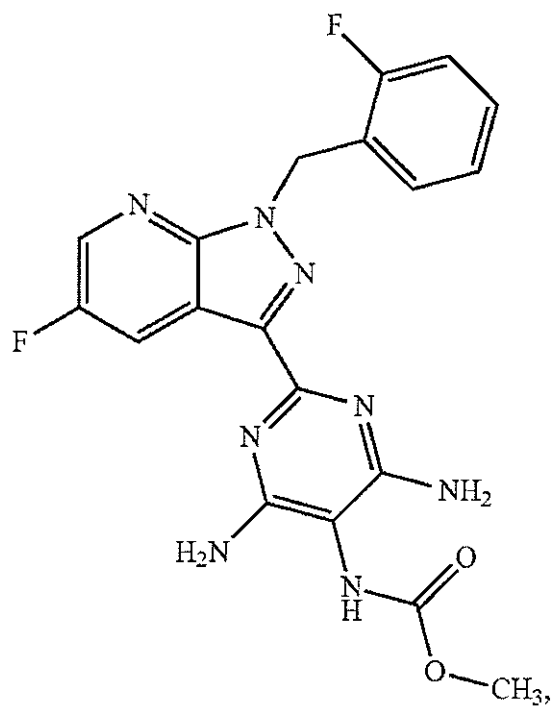
(I-B)



in which R^{1A} has the meaning given above,

and the resulting compounds of the formulae (I-A) and (I-B) are, where appropriate, converted with the appropriate (i) solvents and/or (ii) acids or bases into their solvates, salts and/or solvates of the salts.

5. A pharmaceutical formulation comprising a compound of claim 1 and an inert, non-toxic, pharmaceutically suitable excipient.
6. A pharmaceutical composition comprising a compound of claim 1 and a further active compound selected from the group consisting of an organic nitrate, an NO donor, a cGMP-PDE inhibitor, an agent having antithrombotic activity, an agent for lowering blood pressure, and an agent for altering lipid metabolism.
7. A compound of the formula:



or a salt thereof.