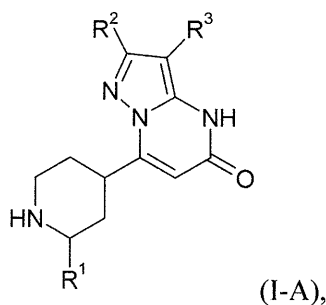


Patent claims

1. Compound of the formula (I-A)



in which

R¹ is selected from hydrogen and C₁-C₅ alkyl;

R² is selected from hydrogen, C₁-C₄ alkyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, carboxyl, C₁-C₄ alkylester, C₁-C₄ alkoxy-C₁-C₄ alkyl, halogen, methylsulfanyl, phenyl,

the phenyl being optionally substituted with halogen,

and

5 membered heteroaryl,

R³ is selected from hydrogen, halogen, cyano, C₁-C₄ alkyl, the alkyl being optionally substituted with di-C₁-C₄ alkylamino, C₁-C₄ alkenyl, C₁-C₄ alkylester, the C₁-C₄ alkylester being optionally substituted with one, two, three, four, or five halogen substituents, C₃-C₄ cycloalkylester, C₃-C₄ cycloalkyl, carboxyl, carboxamide, benzylester, -NH-CO-phenyl, -CS-NH₂,

phenylsulfonyl, the phenylsulfonyl being optionally substituted with one, two, or three substituents selected from halogen,

phenyl,

the phenyl being optionally substituted with one, two or three substituents selected from halogen and C₁-C₄ alkoxy, where two adjacent methoxy groups may optionally form a dioxane ring,

or the phenyl being optionally substituted with one or two substituents selected from C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ haloalkoxy, cyano, benzyloxy,

methanesulfonyl, and phenyl,

the phenyl being optionally substituted with C₁-C₄ haloalkyl,

5-6 membered heterocyclyl-C₁-C₄ alkyl, the 5-6 membered heterocyclyl-moiety being optionally substituted with one, two or three substituents selected from C₁-C₄ alkyl and oxo;

5-6 membered heteroaryl, the 5-6 membered heteroaryl being optionally substituted with one, two or three substituents selected from

C₁-C₆ alkyl, C₂-C₆ alkenyl, C₁-C₆ haloalkyl, C₁-C₄ aminoalkyl, C₁-C₄ alkylester, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkoxy-C₁-C₄ alkyl, cyano, hydroxyl, carboxyl, C₁-C₄ alkyl-SO₂-C₁-C₄ alkyl, and -CO-NH₂,

or the 5-6 membered heteroaryl being optionally substituted with one C₃-C₆ cycloalkyl substituent,

the C₃-C₆ cycloalkyl optionally being substituted with one, two, or three halogen or methyl substituents, or with phenyl, the phenyl being optionally substituted with C₁-C₄ alkoxy or halogen,

or the 5-6 membered heteroaryl being optionally substituted with one substituent selected from

fused or bridged C₅-C₁₂ bi- or tricycloalkyl,

C₃-C₆ cycloalkyl-C₁-C₄ alkyl, C₃-C₆ cycloalkyl-C₁-C₄ alkenyl

phenyl,

the phenyl optionally being substituted with one, two or three substituents selected from C₁-C₄ alkyl, halogen, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄-alkylester, nitro, amino, di-C₁-C₄ alkylamine, and cyano,

phenyl-C₁-C₄ alkyl,

the phenyl moiety optionally being substituted with one, two or three substituents selected from halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, and hydroxyl,

the alkyl moiety optionally being substituted with one or two substituents selected from C₁-C₄ alkyl and hydroxyl,

5-6 membered heterocycloalkyl, the 5-6 membered heterocycloalkyl being optionally substituted with C₃-C₆ cycloalkyl or C₁-C₄ alkyl,

5-6 membered heterocycloalkyl-C₁-C₄ alkyl,

the 5-6 membered heterocycloalkyl moiety being optionally substituted with C₁-C₄ alkyl or oxo,

the C₁-C₄ alkyl moiety being optionally substituted with C₁-C₄ alkyl,

5-6 membered heteroaryl, the 5-6 membered heteroaryl being optionally substituted with one, two or three substituents selected from halogen, C₁-C₄ alkyl, and C₁-C₄ haloalkyl,

and

5-6 membered heteroaryl-C₁-C₄ alkyl,

the 5-6 membered heteroaryl-moiety being optionally substituted with one or two substituents selected from C₁-C₄ alkoxy and C₁-C₄ alkyl,

the C₁-C₄ alkyl-moiety being optionally substituted with one or two methyl substituents;

-CO-R⁴, with

R⁴ being selected from the group of C₁-C₄ alkyl, wherein the C₁-C₄ alkyl is optionally substituted with 1 or 2 methyl, and C₃-C₆ cycloalkyl;

-CO-N(R⁵R⁷), with

R⁵ being selected from hydrogen, and C₁-C₄ alkyl;

R⁷ being selected from hydrogen, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₁-C₄-alkoxy-C₁-C₄ alkyl, amino-oxo-C₁-C₄ alkyl, naphthyl,

C₃-C₆ cycloalkyl, the C₃-C₆ cycloalkyl being optionally substituted with one, or two substituents selected from C₁-C₄ alkyl,

C₃-C₆ cycloalkyl-C₁-C₄ alkyl, 5-6 membered heterocyclyl, oxazolidinyl-C₁-C₄ alkyl,

benzyl, the phenyl moiety of the benzyl being optionally substituted with one, two or three substituents selected from C₁-C₄ alkyl, the methyl moiety of the benzyl optionally being substituted with C₁-C₄ alkyl;

benzyl-C₃-C₆ cycloalkyl,

imidazolyl-C₁-C₄ alkyl, the imidazolyl-C₁-C₄ alkyl being optionally substituted with one, two or three substituents selected from C₁-C₄ alkyl,

oxazolyl-C₁-C₄ alkyl, the oxazolyl-C₁-C₄ alkyl being optionally substituted with one, two or three substituents selected from C₁-C₄ alkyl,

oxazolidinone-C₁-C₄ alkyl,

pyridinyl-C₁-C₄ alkyl,

and

phenyl, the phenyl being optionally substituted with one, two or three substituents selected from C₁-C₄ alkyl, halogen, and C₁-C₄ alkoxy;

or

- N(R⁵R⁷) being selected from the group of 5-7 membered cyclic amines which contain one or two ring nitrogen atoms, zero or one ring oxygen atom, and zero or one ring sulfur atom, and are attached via a ring nitrogen atom, the 5 to 7 membered cyclic amines being optionally substituted with one, two or three substituents selected from C₁-C₄ alkoxy, C₁-C₄ alkoxy C₁-C₄ alkyl, oxo, hydroxyl, halogen, and C₁-C₄ alkyl; or

- N(R⁵R⁷) being selected from the group of two annelated, aromatic, partially saturated or saturated rings which are each 5-7 membered and each contain one or two ring nitrogen atoms and are attached via a ring nitrogen atom;

and

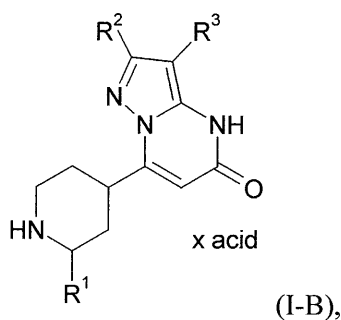
- N(R⁵R⁷) being selected from bicyclic azaspiro compounds, the azaspiro compounds being optionally substituted with oxo;

or

R² and R³ together form a C₅-C₆ cycloalkyl ring;

and its salts, solvates, and solvates of the salts.

2. Compound according to claim 1 of the formula (I-B)



in which R¹, R², and R³ are as defined in claim 1.

3. Compound of the formula (I-A) or (I-B) according to claim 1 or 2 in which

R¹ is selected from hydrogen and C₁-C₅ alkyl;

R² is selected from hydrogen, C₁-C₂ alkyl, C₃-C₄ cycloalkyl, C₁-C₂ haloalkyl, carboxyl, C₁-C₂ alkylester, C₁-C₂ alkoxy- C₁-C₂ alkyl, halogen, methylsulfonyl, phenyl,

the phenyl being optionally substituted with a substituent selected from fluorine and chlorine,

and 5-6 membered heteroaryl;

R³ is selected from hydrogen, bromine, chlorine, cyano, methyl, the methyl being optionally substituted with di-C₁-C₄ alkylamino, ethyl, C₁-C₄ alkenyl, C₁-C₄ alkylester, the C₁-C₄ alkylester being optionally substituted with one, two, or three fluorine substituents, C₃-C₄ cycloalkylester, C₃-C₄ cycloalkyl, carboxyl, carboxamide, benzylester, -NH-CO-phenyl, -CS-NH₂,

phenylsulfonyl, the phenylsulfonyl being optionally substituted with one or two substituents selected from chlorine and fluorine,

phenyl,

the phenyl being optionally substituted with one, two or three substituents selected from bromine, chlorine, fluorine, ethoxy and methoxy, where two adjacent methoxy groups may optionally form a dioxane ring,

or the phenyl being optionally substituted with one or two substituents selected from C₁-C₂ alkyl, C₁-C₂ fluoroalkyl, C₁-C₂ fluoroalkoxy, and cyano,

or the phenyl being optionally substituted with one substituent selected from benzyloxy, methylsulfonyl, and phenyl,

the phenyl being optionally substituted with C₁-C₂ fluoroalkyl,

5-6 membered heterocyclyl-C₁-C₂ alkyl, the 5-6 membered heterocyclyl-moiety being optionally substituted with one, two or three substituents selected from C₁-C₂ alkyl and oxo;

5-6 membered heteroaryl, the 5-6 membered heteroaryl being optionally substituted with one or two substituents selected from

C₁-C₆ alkyl, C₂-C₆ alkenyl, C₁-C₄ haloalkyl, C₁-C₂ aminoalkyl, C₁-C₂ alkylester, C₁-C₂ alkoxy, C₁-C₂ haloalkoxy, C₁-C₂ alkoxy-C₁-C₄ alkyl, cyano, hydroxyl, carboxyl, C₁-C₂ alkyl-SO₂-C₁-C₂ alkyl, and -CO-NH₂,

or the 5-6 membered heteroaryl being optionally substituted with one C₃-C₆ cycloalkyl substituent,

the C₃-C₆ cycloalkyl optionally being substituted with one, two, or three chlorine, fluorine, or methyl substituents, or with phenyl, the phenyl being optionally substituted with C₁-C₂ alkoxy, chlorine or fluorine

bridged bi- or tricycloalkyl

C₃-C₆ cycloalkyl-C₁-C₂ alkyl, C₃-C₆ cycloalkyl-C₁-C₄ alkenyl

phenyl, the phenyl optionally being substituted with one, two or three substituents selected from C₁-C₄ alkyl, chlorine, fluorine, C₁-C₂ fluoroalkyl, C₁-C₂ alkoxy, C₁-C₂ fluoroalkoxy, C₁-C₂-alkylester, nitro, amino, di-C₁-C₂ alkylamino, and cyano,

phenyl-C₁-C₂ alkyl,

the phenyl moiety optionally being substituted with one, two or three substituents selected from fluorine, chlorine, C₁-C₂ alkyl, C₁-C₂ fluoroalkyl, C₁-C₂ alkoxy, C₁-C₂ fluoroalkoxy, and hydroxyl,

the alkyl moiety optionally being substituted with one or two substituents selected from C₁-C₂ alkyl and hydroxyl,

5-6 membered heterocycloalkyl, the 5-6 membered heterocycloalkyl being optionally substituted with C₃-C₅ cycloalkyl or C₁-C₃ alkyl,

5-6 membered heterocycloalkyl-C₁-C₂ alkyl,

the 5-6 membered heterocycloalkyl moiety being optionally substituted with C₁-C₂ alkyl or oxo,

the C₁-C₂ alkyl moiety being optionally substituted with C₁-C₂ alkyl,

5-6 membered heteroaryl, the 5-6 membered heteroaryl being optionally substituted with one, two or three substituents selected from fluorine, chlorine, C₁-C₃ alkyl, and C₁-C₂ fluoroalkyl,

and

5-6 membered heteroaryl-C₁-C₄ alkyl,

the 5-6 membered heteroaryl-moiety being optionally substituted with one or two substituents selected from C₁-C₂ alkoxy and C₁-C₂ alkyl,

the C₁-C₂ alkyl-moiety being optionally substituted with one or two methyl substituents;

CO-R⁴, with

R⁴ being selected from the group of C₁-C₄ alkyl, wherein the C₁-C₄ alkyl is optionally substituted with 1 or 2 methyl substituents, and C₃-C₆ cycloalkyl;

and

CO-N(R⁵R⁷), with

R⁵ being selected from hydrogen, and C₁-C₄ alkyl;

R⁷ being selected from C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₂-alkoxy-C₁-C₄ alkyl, amino-oxo-C₁-C₄ alkyl, naphthyl,

C₃-C₆ cycloalkyl, the C₃-C₆ cycloalkyl being optionally substituted with one, or two substituents selected from C₁-C₂ alkyl,

C₃-C₆ cycloalkyl-C₁-C₂ alkyl, 5-6 membered heterocycl, oxazolidinyl-C₁-C₂ alkyl,

benzyl, the phenyl moiety of the benzyl being optionally substituted with one, two or three substituents selected from C₁-C₂ alkyl, the methyl moiety of the benzyl being optionally substituted with C₁-C₂ alkyl;

benzyl-C₃-C₄ cycloalkyl,

imidazolyl-C₁-C₂ alkyl, the imidazolyl-C₁-C₂ alkyl being optionally substituted with one, two or three substituents selected from C₁-C₂ alkyl,

oxazolyl-C₁-C₂ alkyl, the oxazolyl-C₁-C₂ alkyl being optionally substituted with one, two or three substituents selected from C₁-C₂ alkyl,

oxazolidinone-C₁-C₂ alkyl,

pyridinyl-C₁-C₂ alkyl,

and

phenyl, the phenyl being optionally substituted with one, two or three substituents selected from C₁-C₂ alkyl, halogen, and C₁-C₂ alkoxy;

or

- N(R⁵R⁷) being selected from the group of 5 to 7 membered cyclic amines which contain one or two ring nitrogen atoms, zero or one ring oxygen atom, and zero or one ring sulfur atom, and are attached via a ring nitrogen atom, the 5 to 7 membered cyclic amines being optionally substituted with one, two or three substituents selected from

C₁-C₄ alkoxy, C₁-C₂ alkoxy C₁-C₂ alkyl, oxo, hydroxyl, halogen, and C₁-C₃ alkyl; or

- N(R⁵R⁷) being selected from the group of two annelated, aromatic, partially saturated or saturated rings which are each 5-7 membered and each contain one or two ring nitrogen atoms and are attached via a ring nitrogen atom,

or

- N(R⁵R⁷) being selected from azaspiro[2.5] oct-5-yl and oxa-azaspiro[3.5] non-1-yl, the azaspiro compounds being optionally substituted with oxo;

or

R² and R³ together form a C₅-C₆ cycloalkyl ring;

and its salts, solvates, and solvates of the salts.

4. Compound of the formula (I-A) or (I-B) according to claim 1, 2 or 3 in which

R¹ is selected from hydrogen and C₁-C₅ alkyl;

R² is selected from hydrogen, methyl, ethyl, trifluoromethyl, and methylsulfanyl;

R³ is selected from C₁-C₄ alkylester, the C₁-C₄ alkylester being optionally substituted with one, two, or three fluorine substituents, C₃-C₄ cycloalkylester, C₃-C₄ cycloalkyl,

5 membered heteroaryl, the 5 membered heteroaryl being optionally substituted with one or two substituents selected from

C₁-C₆ alkyl, C₂-C₆ alkenyl, C₁-C₄ haloalkyl, C₁-C₂ aminoalkyl, C₁-C₂ alkylester, C₁-C₂ alkoxy, C₁-C₂ haloalkoxy, C₁-C₂ alkoxy-C₁-C₄ alkyl, cyano, hydroxyl, carboxyl, C₁-C₂ alkyl-SO₂-C₁-C₂ alkyl, and -CO-NH₂,

or the 5 membered heteroaryl being optionally substituted with one C₃-C₆ cycloalkyl substituent,

the C₃-C₆ cycloalkyl optionally being substituted with one, two, or three chlorine, fluorine, or methyl substituents, or one or two methyl substituents, or with phenyl, the phenyl being optionally substituted with C₁-C₂ alkoxy, chlorine or fluorine

bridged bi- or tricycloalkyl

C₃-C₆ cycloalkyl-C₁-C₂ alkyl, C₃-C₆ cycloalkyl-C₁-C₄ alkenyl

phenyl, the phenyl optionally being substituted with one, two or three substituents selected from C₁-C₄ alkyl, chlorine, fluorine, C₁-C₂ fluoroalkyl, C₁-C₂ alkoxy, C₁-C₂ fluoroalkoxy, C₁-C₂-alkylester, nitro, amino, di-C₁-C₂ alkylamino, and cyano,

phenyl-C₁-C₂ alkyl,

the phenyl moiety optionally being substituted with one, two or three substituents selected from fluorine, chlorine, C₁-C₂ alkyl, C₁-C₂ fluoroalkyl, C₁-C₂ alkoxy, C₁-C₂ fluoroalkoxy, and hydroxyl,

the alkyl moiety optionally being substituted with one or two substituents selected from C₁-C₂ alkyl and hydroxyl,

5-6 membered heterocycloalkyl, the 5-6 membered heterocycloalkyl being optionally substituted with C₃-C₅ cycloalkyl or C₁-C₃ alkyl,

5-6 membered heterocycloalkyl-C₁-C₂ alkyl,

the 5-6 membered heterocycloalkyl moiety being optionally substituted with C₁-C₂ alkyl or oxo,

the C₁-C₂ alkyl moiety being optionally substituted with C₁-C₂ alkyl,

5-6 membered heteroaryl, the 5-6 membered heteroaryl being optionally substituted with one, two or three substituents selected from fluorine, chlorine, C₁-C₃ alkyl, and C₁-C₂ fluoroalkyl,

and

5-6 membered heteroaryl-C₁-C₄ alkyl,

the 5-6 membered heteroaryl-moiety being optionally substituted with one or two substituents selected from C₁-C₂ alkoxy and C₁-C₂ alkyl,

the C₁-C₂ alkyl-moiety being optionally substituted with one or two methyl substituents;

or

R² and R³ together form a C₅-C₆ cycloalkyl ring;

and its salts, solvates, and solvates of the salts.

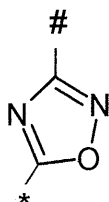
5. Compound of formula (I-A) or (I-B) as defined in any of claims 1 to 4

in which

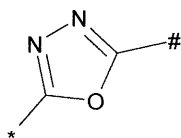
R¹ is hydrogen;

R² is hydrogen;

R³ is selected from



1,2,4 oxadiazol-5-yl of the formula , or 1,3,4 oxadiazol-5-yl of the formula



, or 1,2,4 oxadiazol-3-yl of the formula , wherein * indicates

the position of binding to the pyrazolopyrimidone and # denotes the optional binding to a further substituent, the oxadiazolyl being substituted with a substituent selected from

C₁-C₆ alkyl, C₂-C₆ alkenyl, C₁-C₄ fluoroalkyl, C₁-C₂ fluoroalkoxy, methoxy-C₁-C₄ alkyl, tert-butoxy-ethyl, C₁-C₂ alkylester, C₃-C₆ cycloalkyl,

the C₃-C₆ cycloalkyl optionally being substituted with chlorine, fluorine, methyl, or phenyl, the phenyl optionally being substituted with chlorine or methoxy,

oxanyl,

oxopyrrolidinyl-methyl,

piperidinyl, the piperidinyl being substituted with cyclopropyl or iso-propyl,

piperidiny-methyl, the piperidinylmethyl being optionally substituted with methyl,

morpholinyl-ethyl, the ethyl moiety being optionally substituted with methyl,

tetrahydrofuranyl,

phenyl, the phenyl optionally being substituted with one, two or three substituents selected from

C₁-C₄ alkyl, fluorine, chlorine, trifluoromethyl, methoxy, trifluoromethoxy, methylester, nitro, amino, di-methylamino, and cyano,

and

benzyl,

the phenyl moiety of the benzyl being optionally substituted with one or two fluorine and one methoxy substituent, or

the phenyl moiety of the benzyl being optionally substituted with one or two substituents selected from fluorine, chlorine, methoxy, and hydroxyl,

or the phenyl moiety being optionally substituted with one substituent selected from fluorine, chlorine, methyl, trifluoromethyl, methoxy, isopropoxy, trifluoromethoxy, and difluoromethoxy,

the methyl moiety of the benzyl being optionally substituted with hydroxyl, ethyl, or one or two methyl substituents,

1,2 oxazolyl, the 1,2 oxazolyl being substituted with phenyl,

thiophen-yl, the thiophen-yl being optionally substituted with a substituent selected from methyl and cyano,

1,3 thiazolyl,

the 1,3 thiazolyl being optionally substituted with one substituent selected from C₁-C₅ alkyl, C₁-C₄ haloalkyl, cyclopropyl and phenyl,

the phenyl being optionally substituted with one or two substituents selected from fluorine, chlorine, methyl, and trifluoromethyl

or the 1,3 thiazolyl being optionally substituted with two substituents selected from methyl, ethyl, and iso-propyl substituents, or the thiazolyl being optionally substituted with phenyl and methyl;

pyridinyl, the pyridinyl being optionally substituted with one or two substituents selected from fluorine, chlorine, methyl, and trifluoromethyl,

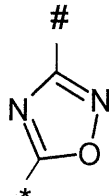
and its salts, solvates, and solvates of the salts.

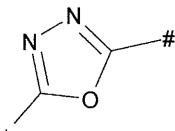
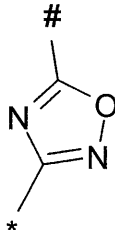
6. Compound of formula (I-A) or (I-B) as defined in any of claims 1 to 5,

in which

R¹ is hydrogen;

R² is hydrogen;

R³ is selected from 1,2,4 oxadiazol-5-yl of the formula  , or 1,3,4 oxadiazol-5-yl

of the formula  , or 1,2,4 oxadiazol-3-yl of the formula  , wherein * indicates the position of binding to the pyrazolopyrimidone and # denotes the optional binding to a further substituent, the oxadiazolyl being optionally substituted with one substituent selected from

methyl, ethyl, propyl, isopropyl, tert-butyl, hexyl, trifluoromethyl, trifluoroethyl, trifluorobutyl, methoxymethyl, methoxypropyl, methoxyisobutyl, ethylester, C₃-C₆ cycloalkyl, benzyl,

the phenyl moiety of the benzyl being optionally substituted with one or two

substituents selected from methyl, methoxy, isopropoxy, fluorine, chlorine, trifluoromethyl, trifluoromethoxy, and difluoromethoxy, or

pyridinyl, the pyridinyl being optionally substituted with chlorine, fluorine, methyl, or trifluoromethyl,

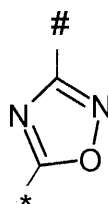
and its salts, solvates, and solvates of the salts.

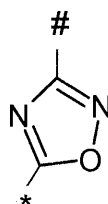
7. Compound of formula (I-A) or (I-B) as defined in any of claims 1 to 6,

in which

R¹ is hydrogen;

R² is hydrogen;



R³ is 1,2,4-oxadiazol-5-yl of the formula , wherein * indicates the position of binding to the pyrazolopyrimidone and # denotes the optional binding to a further substituent, the oxadiazolyl being substituted with with tert-butyl,

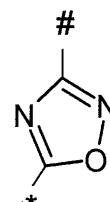
and its salts, solvates, and solvates of the salts.

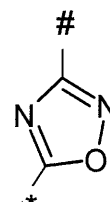
8. Compound of formula (I-A) or (I-B) as defined in any of claims 1 to 5,

in which

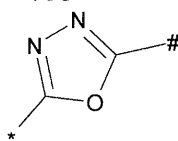
R¹ is hydrogen;

R² is methyl;

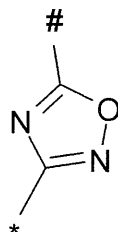


R³ is selected from 1,2,4 oxadiazol-5-yl of the formula , or 1,3,4

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oxadiazol-5-yl of the formula $*$, or 1,2,4 oxadiazol-3-yl of the formula



, wherein $*$ indicates the position of binding to the pyrazolopyrimidone and $\#$ denotes the optional binding to a further substituent, the oxadiazolyl being optionally substituted with one substituent selected from

methyl, ethyl, isopropyl, tert-butyl, trifluoromethyl, methoxyethyl, tert-butoxyethyl, C_3 - C_6 cycloalkyl,

the C_3 - C_6 cycloalkyl being optionally substituted with one or two methyl substituents,

cyclobutyl, benzyl,

the phenyl moiety of the benzyl being optionally substituted with a substituent selected from fluorine, chlorine, and hydroxyl,

phenyl,

the phenyl being optionally substituted with a substituent selected from methyl, fluorine, chlorine, methoxy, trifluoromethyl, and trifluoromethoxy

and its salts, solvates, and solvates of the salts.

9. Compound of formula (I-A) or (I-B) as defined in any of claims 1 to 4

in which

R^1 is hydrogen;

R^2 is hydrogen;

R^3 is selected from methylester, ethylester, propylester, isopropylester, the ethylester and the propylester being optionally substituted with one, two, or three fluorine

substituents, and cyclobutylester,

and its salts, solvates, and solvates of the salts.

10. Compound of formula (I-A) or (I-B) as defined in any of claims 1 to 4

in which

R¹ is hydrogen;

R² is methyl;

R³ is selected from ethylester, propylester, isopropylester, tert-butylester, the ethylester and the propylester being optionally substituted with one, two, or three fluorine substituents, and cyclobutylester,

and its salts, solvates, and solvates of the salts.

11. Compound of formula (I-A) or (I-B) as defined in any of claims 1 to 4 or 10

in which

R¹ is hydrogen;

R² is methyl;

R³ is ethylester,

and its salts, solvates, and solvates of the salts.

12. Compound of formula (I-A) or (I-B) as defined in any of claims 1 to 4

in which

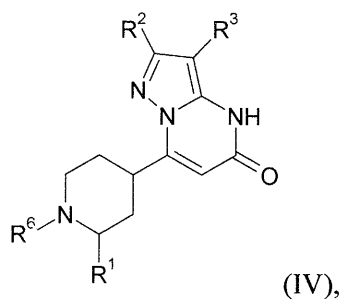
R¹ is hydrogen;

R² is selected from trifluoromethyl, ethyl and methylsulfanyl

R³ is selected from C₁-C₄ alkylester, the C₁-C₄ alkylester being optionally substituted with one, two, or three fluorine substituents, and C₃-C₄ cycloalkylester,

and its salts, solvates, and solvates of the salts.

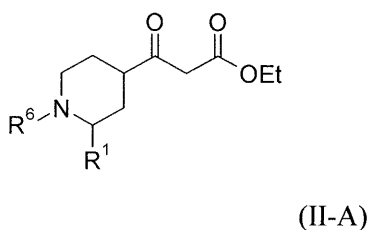
13. Process for preparing a compound of the formula (IV)



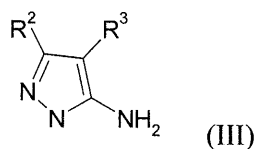
in which R¹, R² and R³ each have the meaning as defined in any of claims 1 to 12, and R⁶ represents an amino protective group;

wherein

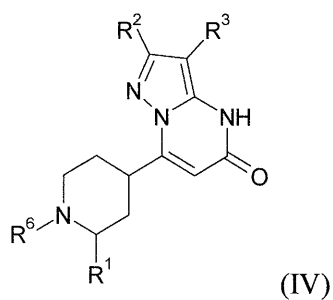
[A] a compound of the formula (II-A)



in which R¹ has the meaning as defined in any of claims 1 to 12 and R⁶ represents an amino protective group is reacted with a compound of the formula (III)



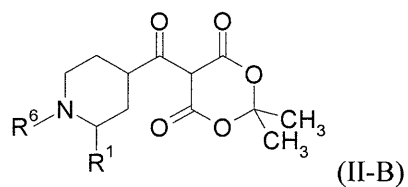
in which R² and R³ each have the meaning as defined in any of claims 1 to 12 in an inert solvent, optionally in the presence of a base, to give a compound of the formula (IV)



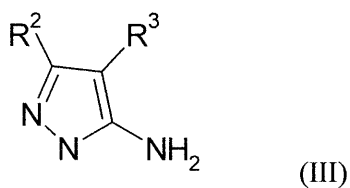
in which R^1 , R^2 and R^3 each have the meaning as defined in any of claims 1 to 12,

and R^6 represents an amino protective group; or

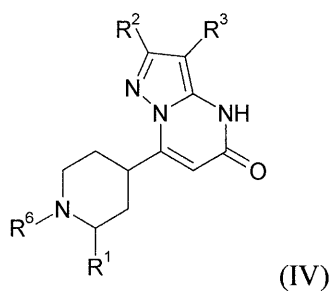
[B] a compound of the formula (II-B)



in which R^1 has the meaning as defined in any of claims 1 to 12 and R^6 represents an amino protective group, is reacted with a compound of the formula (III)



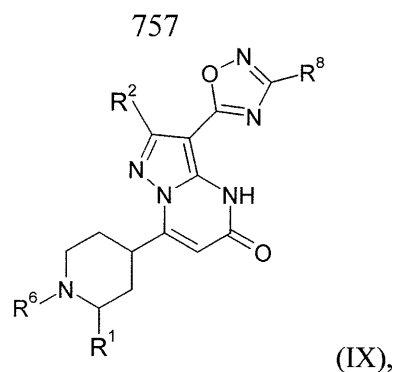
in which R^2 and R^3 each have the meaning as defined in any of claims 1 to 12 in an inert solvent optionally in the presence of a base, to give a compound of the formula (IV)



in which R^1 , R^2 and R^3 each have the meaning as defined in any of claims 1 to 12

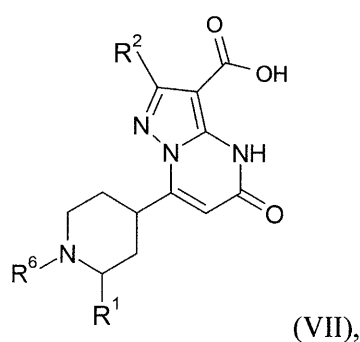
and R^6 represents an amino protective group.

14. Process for preparing a compound of formula (IX),



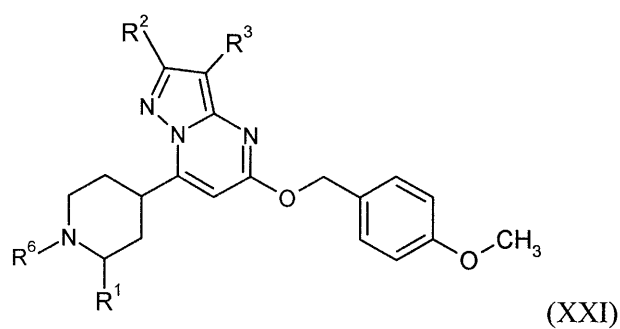
in which R^1 , R^2 , and R^6 are as defined in any of the preceding claims and R^8 is a substituent of 1,2,4 oxadiazol-5-yl, wherein the 1,2,4 oxadiazol-5-yl together with R^8 forms R^3 , wherein

a compound of the formula (VII)

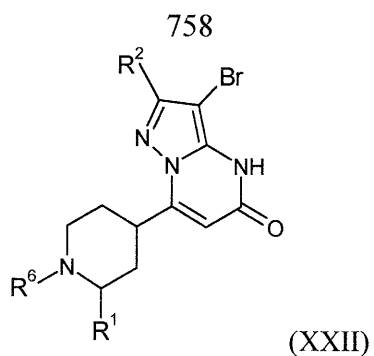


is reacted with an amine, in an inert solvent, optionally in the presence of a dehydrating agent, and optionally in the presence of a base, to give a compound of formula (IX).

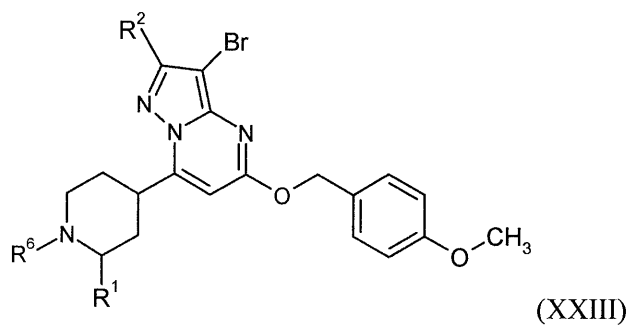
15. Process for preparing a compound of formula (XXI)



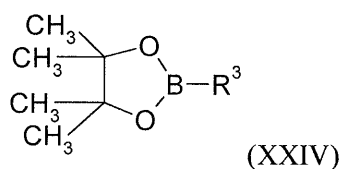
in which R^1 , R^2 , R^3 , and R^6 are as defined in any of the preceding claims, wherein a compound of formula (XXII)



is reacted with 1-(halomethyl)-4-methoxybenzene in an inert solvent and optionally in the presence of a base to give a compound of formula (XXIII)

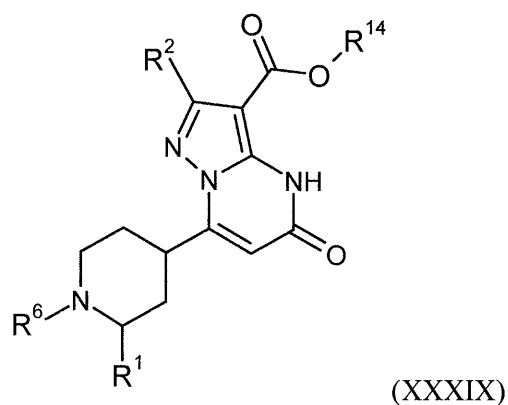


and then the compound of formula (XXIII) is reacted with a compound of formula (XXIV)



in an inert solvent and in the presence of a palladium catalyst, optionally in the presence of a ligand, and optionally in the presence of a base to give a compound of formula (XXI).

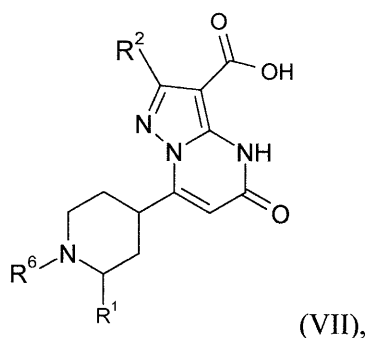
16. Process for preparing a compound of formula (XXXIX)



in which R¹, R², and R⁶ are as defined in any of the preceding claims and R¹⁴ is selected from

C₁-C₄ alkyl, the C₁-C₄ alkyl being optionally substituted with one, two, or three halogen substituents, C₃-C₄ cycloalkyl, and benzyl, wherein

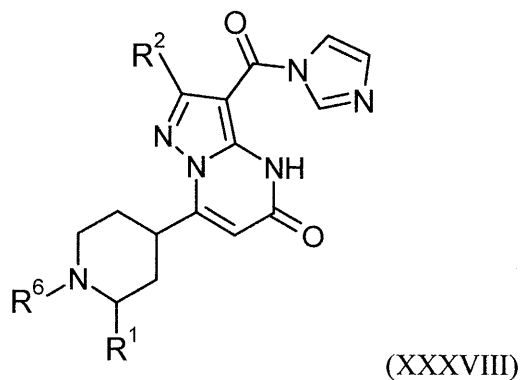
[A] a compound of formula (VII)



is reacted with R¹⁴-2,2,2-trichloroethanimidate, in the presence of a lewis acid, in an inert solvent, at a temperature from 0°C to the reflux temperature of the solvent to give a compound of formula (XXXIX)

or

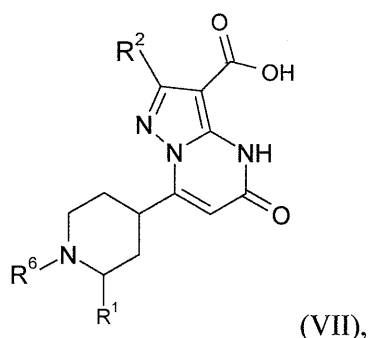
[B] a compound of formula (VII) is reacted in a first step in an inert solvent, in the presence of carbodiimidazole as a dehydrating reagent, and, in the case that the dehydrating reagent used is not carbodiimidazole, also in the presence of imidazole, optionally in the presence of a base, at a temperature from 0°C to the reflux temperature of the solvent to give a compound of formula (XXXVIII),



and then the compound of formula (XXXVIII) is reacted in a second step with R¹⁴OH, optionally in an inert solvent, optionally in the presence of a base, at a temperature from 0°C to the reflux temperature of the solvent to give a compound of formula (XXXIX),

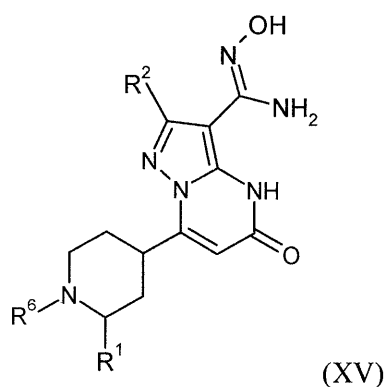
wherein the first and second step of [B] can also be conducted as one step without isolation of (XXXVIII).

17. A compound of the general formula (VII)



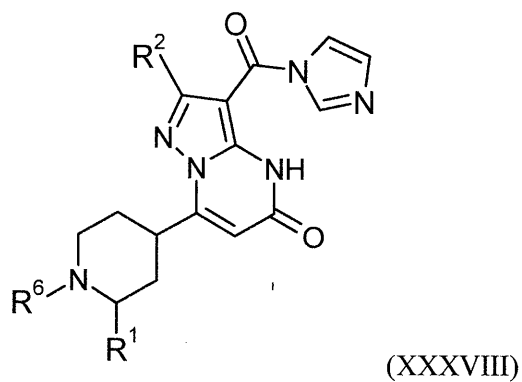
in which R¹, R², and R⁶ are as defined in any of the preceding claims.

18. A compound of the general formula (XV)



in which R¹, R², and R⁶ are as defined in any of the preceding claims.

19. A compound of the general formula (XXXVIII)



in which R¹, R², and R⁶ are as defined in any of the preceding claims.

20. Compound of the formula (I-A) or (I-B) as defined in any of Claims 1 to 12 for use in a method for the treatment and/or prophylaxis of acute and recurrent bleeding in patients with or without underlying hereditary or acquired hemostatic disorders.

21. Compound of the formula (I-A) or (I-B) as defined in any of claims 1 to 12 for use in a method for the treatment and/or prophylaxis of acute and recurrent bleeding in patients with or without underlying hereditary or acquired hemostatic disorders according to claim 20, wherein the bleeding is associated with a disease or medical intervention selected from the group consisting of heavy menstrual bleeding, postpartum hemorrhage, hemorrhagic shock, hemorrhagic cystitis, gastrointestinal hemorrhage, trauma, surgery, otolaryngological surgery, dental surgery, orthopaedic surgery, urinary surgery, prostatic surgery, gynaecological surgery, cardiovascular surgery, spinal surgery, liver or lung transplantation, stroke, liver diseases, hereditary angioedema, nosebleed, and synovitis and cartilage damage following hemarthrosis.
22. Medicament, comprising a compound of the formula (I-A) or (I-B) as defined in any of claims 1 to 12 in combination with an inert, non-toxic, pharmaceutically suitable auxiliary.
23. Medicament, comprising a compound of the formula (I-A) or (I-B) as defined in any of claims 1 to 12 in combination with a further active compound selected from the group consisting of Factor VIII, Factor IX, Factor VIIa, activated prothrombin complex concentrates (aPCC) or prothrombin complex concentrates (PCCs), ϵ -aminocaproic acid, ethamsylate, paraaminobutyl benzoic acid, tranexamic acid, desmopressin, danazol, combined oral contraceptive pills (COCPs), progestin intrauterine system, glucocorticoid receptor agonists, analgesics, and nonsteroidal anti-inflammatory drugs (NSAIDs).
24. Medicament according to Claim 22 or 23 for use in the treatment and/or prophylaxis of acute and recurrent bleeding in patients with or without underlying hereditary or acquired hemostatic disorders.