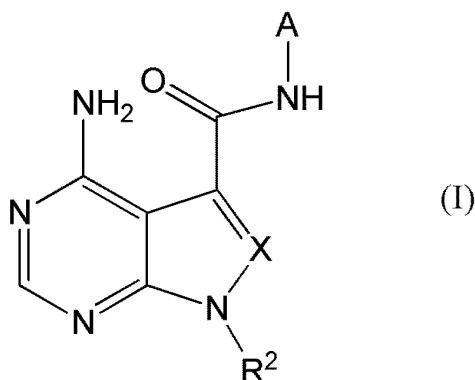


CLAIMS

[Claim 1]

A RET inhibitor comprising a compound represented by Formula (I) below or a salt thereof as an active ingredient:



5

wherein A is pyrazolyl substituted with n-number of R¹;

R¹ is

halogen,

cyano,

10 substituted or unsubstituted C1-C6 alkyl,

substituted or unsubstituted C3-C7 cycloalkyl,

substituted or unsubstituted C6-C14 aromatic hydrocarbon, or

a substituted or unsubstituted C3-C10 monocyclic or polycyclic
saturated or unsaturated heterocyclic group containing 1 to 3

15 identical or different heteroatoms selected from nitrogen,
oxygen, and sulfur;

R² is

substituted or unsubstituted C1-C10 alkyl,

substituted or unsubstituted C3-C7 cycloalkyl,

20 substituted or unsubstituted C2-C6 alkenyl,

substituted or unsubstituted C3-C7 cycloalkenyl,

substituted or unsubstituted C4-C12 bridged cycloalkyl,

substituted or unsubstituted C6-C14 aromatic hydrocarbon, or

a substituted or unsubstituted C3-C10 monocyclic or polycyclic
25 saturated or unsaturated heterocyclic group containing 1 to 3

identical or different heteroatoms selected from nitrogen,
oxygen, and sulfur;

X is

N or

CR³, wherein R³ is

hydrogen,
halogen,
5 cyano,
substituted or unsubstituted C1-C6 alkyl,
substituted or unsubstituted C2-C6 alkenyl,
substituted or unsubstituted C2-C6 alkynyl,
substituted or unsubstituted C1-C6 alkoxy,
10 substituted or unsubstituted amino,
substituted or unsubstituted C6-C14 aromatic
hydrocarbon, or
a substituted or unsubstituted C3-C10 monocyclic or
polycyclic unsaturated heterocyclic group containing 1 to 3
15 identical or different heteroatoms selected from nitrogen,
oxygen, and sulfur; and
n is an integer of 0 to 3,
wherein when n is 2 or 3, R¹ may be identical or different from
each other.

20

[Claim 2]

The RET inhibitor according to claim 1, wherein in Formula (I),
A is pyrazolyl substituted with n-number of R¹;

R¹ is

25 halogen,
cyano,
C1-C6 alkyl that may be substituted with halogen or C1-C4 alkoxy;
C3-C7 cycloalkyl;
phenyl; or
30 a C3-C6 monocyclic unsaturated heterocyclic group containing one
oxygen atom or one sulfur atom;
R² is
linear C1-C6 alkyl or branched C3-C8 alkyl that may be
substituted with halogen, C3-C7 cycloalkyl that may be
35 substituted with C1-C4 alkyl, phenyl, C1-C4 alkoxy, or one or

more C3-C6 monocyclic unsaturated heterocyclic groups containing one oxygen atom or one sulfur atom;

C3-C7 cycloalkyl that may be substituted with halogen, C1-C4 alkyl, halogeno C1-C4 alkyl, or C3-C5 cycloalkyl;

5 C2-C6 alkenyl that may be substituted with halogen; or

C4-C12 bridged cycloalkyl that may be substituted with C1-C4 alkyl;

X is

N or

10 CR³, wherein R³ is

hydrogen,

halogen,

C1-C6 alkyl,

C2-C4 alkynyl that may be substituted with

15 C1-C6 alkyl that may be substituted with hydroxy,

C3-C7 cycloalkyl that may be substituted with

hydroxy,

one or more C3-C6 monocyclic saturated

heterocyclic groups containing 1 to 3 identical or different

20 heteroatoms selected from nitrogen, oxygen, and sulfur, or

one or more C3-C10 monocyclic or polycyclic

unsaturated heterocyclic groups that may be substituted with C1-C6 alkyl and contains 1 to 3 identical or different heteroatoms selected from nitrogen, oxygen, and sulfur; or

25 C1-C4 alkoxy that may be substituted with one or more

C3-C6 monocyclic saturated heterocyclic groups containing 1 to 3 identical or different heteroatoms selected from nitrogen, oxygen, and sulfur; and

n is an integer of 1 or 2,

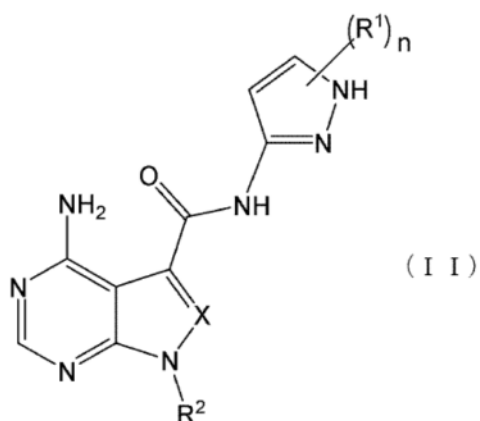
30 wherein when n is 2, R¹ may be identical or different from each other.

[Claim 3]

The RET inhibitor according to claim 1 or 2, wherein the compound

35 represented by Formula (I) or a salt thereof is a compound

represented by Formula (II) below or a salt thereof:



5

wherein R^1 is

halogen;

cyano;

C1-C6 alkyl that may be substituted with halogen;

10 C3-C7 cycloalkyl;

phenyl; or

a C3-C6 monocyclic unsaturated heterocyclic group containing one oxygen atom or one sulfur atom;

R^2 is

15 linear C1-C6 alkyl or branched C3-C8 alkyl that may be substituted with halogen, C3-C7 cycloalkyl that may be substituted with C1-C4 alkyl, phenyl, C1-C4 alkoxy, or one or more C3-C6 monocyclic unsaturated heterocyclic groups containing one oxygen atom or one sulfur atom;

20 C3-C7 cycloalkyl that may be substituted with halogen, C1-C4 alkyl, halogeno C1-C4 alkyl, or C3-C5 cycloalkyl;

C2-C6 alkenyl that may be substituted with halogen; or

C4-C12 bridged cycloalkyl;

X is

25 N or

CR^3 , wherein R^3 is

hydrogen;
halogen;
C1-C4 alkyl;
C2-C4 alkynyl that may be substituted with
5 C1-C6 alkyl that may be substituted with hydroxy,
C3-C7 cycloalkyl that may be substituted with
hydroxy,
one or more C3-C6 monocyclic saturated
heterocyclic groups containing 1 to 3 identical or different
10 heteroatoms selected from nitrogen, oxygen, and sulfur, or
one or more C3-C10 monocyclic or polycyclic
unsaturated heterocyclic groups that may be substituted with C1-
C6 alkyl and contains 1 to 3 identical or different heteroatoms
selected from nitrogen, oxygen, and sulfur; or
15 C1-C4 alkoxy; and
n is an integer of 1 or 2,
wherein when n is 2, R¹ may be identical or different from each
other.

20 [Claim 4]
The RET inhibitor according to claim 3, wherein in Formula (II),
R¹ is
halogen,
cyano, or
25 C1-C4 alkyl that may be substituted with halogen;
R² is
linear C1-C4 alkyl that is substituted with C3-C7 cycloalkyl;
branched C3-C6 alkyl that may be substituted with halogen, C3-C7
cycloalkyl, one or more C3-C6 monocyclic unsaturated heterocyclic
30 groups containing one sulfur atom, or C1-C4 alkoxy;
C3-C7 cycloalkyl that may be substituted with halogen, C1-C4
alkyl, or C3-C5 cycloalkyl;
C2-C6 alkenyl that may be substituted with halogen; or
C4-C12 bridged cycloalkyl selected from bicyclo[1.1.1]pentan-1-yl
35 and bicyclo[2.2.1]heptan-2-yl;

X is

N or

CR³, wherein R³ is

hydrogen; or

5 C2-C4 alkynyl that is substituted with

C1-C6 alkyl that may be substituted with hydroxy,

C3-C7 cycloalkyl that may be substituted with

hydroxy,

one or more C3-C6 monocyclic saturated

10 heterocyclic groups containing 1 to 3 identical or different
heteroatoms selected from nitrogen, oxygen, and sulfur, or

one or more C3-C6 monocyclic unsaturated

heterocyclic groups that may be substituted with C1-C4 alkyl and
contains 1 to 3 identical or different heteroatoms selected from

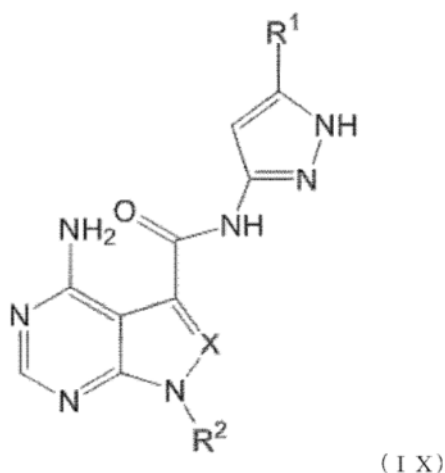
15 nitrogen, oxygen, and sulfur; and

n is an integer of 1.

[Claim 5]

The RET inhibitor according to any one of claims 1 to 4, wherein

20 the compound represented by Formula (I) or a salt thereof is a
compound represented by Formula (IX) below or a salt thereof:



25 wherein R¹ is halogen or C1-C4 alkyl;

R² is

branched C3-C6 alkyl that may be substituted with halogen or C3-C5 cycloalkyl;

C3-C5 cycloalkyl that may be substituted with C1-C4 alkyl; or bicyclo[2.2.1]heptan-2-yl; and

5 X is

N or

CR³, wherein R³ is

hydrogen; or

ethynyl or propynyl that is substituted with

10 C1-C4 alkyl that may be substituted with hydroxy,

C3-C5 cycloalkyl that may be substituted with

hydroxy,

one or more C3-C6 monocyclic saturated

heterocyclic groups containing 1 to 3 identical or different

15 heteroatoms selected from nitrogen and oxygen, or

one or more C3-C6 monocyclic unsaturated

heterocyclic groups that may be substituted with methyl and

contains 1 to 3 nitrogen atoms.

20 [Claim 6]

The RET inhibitor according to claim 5, wherein in Formula (IX),

R¹ is bromine or methyl;

R² is

branched C3-C6 alkyl that may be substituted with fluorine or C3-

25 C5 cycloalkyl, or

C3-C5 cycloalkyl that may be substituted with methyl; and

X is

N or

CR³, wherein R³ is hydrogen.

30

[Claim 7]

The RET inhibitor according to any one of claims 1 to

6, which is at least one member selected from the group

consisting of:

(34) 4-amino-1-(tert-butyl)-N-(5-methyl-1H-pyrazol-3-yl)-1H-pyrazolo[3,4-d]pyrimidine-3-carboxamide;

(50) 4-amino-7-(1-fluoro-2-methylpropan-2-yl)-N-(5-methyl-1H-pyrazol-3-yl)-7H-pyrrolo[2,3-d]pyrimidine-5-carboxamide;

5 (51) 4-amino-N-(5-methyl-1H-pyrazol-3-yl)-7-(1-methylcyclopropyl)-7H-pyrrolo[2,3-d]pyrimidine-5-carboxamide;

(52) 4-amino-7-(2-cyclopropylpropan-2-yl)-N-(5-methyl-1H-pyrazol-3-yl)-7H-pyrrolo[2,3-d]pyrimidine-5-carboxamide; and

salts of these compounds.

10

[Claim 8]

A pharmaceutical composition comprising the compound or a salt thereof that is an active ingredient of the RET inhibitor according to any one of claims 1 to 7, for preventing or treating

15

[Claim 9]

An antitumor agent comprising the compound or a salt thereof that is an active ingredient of the RET inhibitor according to any one of claims 1 to 7.

20

[Claim 10]

The antitumor agent according to claim 9, for the treatment of a malignant tumor with enhanced activation of RET.

25

[Claim 11]

Use of the compound or a salt thereof that is an active ingredient of the RET inhibitor according to any one of claims 1 to 7 for producing an antitumor agent.

30

[Claim 12]

Use according to claim 11, wherein the antitumor agent is for the treatment of a malignant tumor with enhanced activation of RET.

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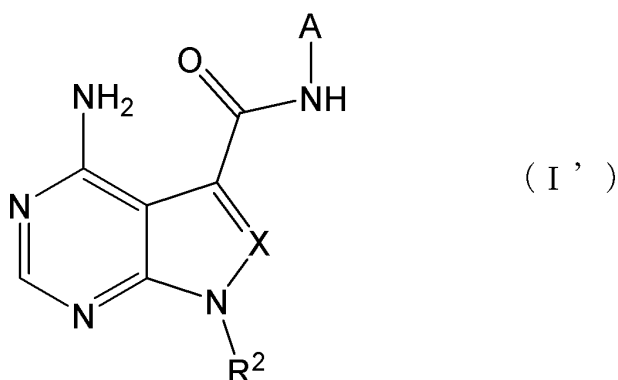
[Claim 13]

Use of the compound or a salt thereof that is an active ingredient of the RET inhibitor according to any one of claims 1 to 7 for producing a RET inhibitor.

5

[Claim 14]

A compound represented by Formula (I') below or a salt thereof:



- 10 wherein A is pyrazolyl substituted with n-number of R¹;
R¹ is
halogen,
cyano,
substituted or unsubstituted C1-C6 alkyl,
15 substituted or unsubstituted C3-C7 cycloalkyl,
substituted or unsubstituted C6-C14 aromatic hydrocarbon, or
a substituted or unsubstituted C3-C10 monocyclic or polycyclic
saturated or unsaturated heterocyclic group containing 1 to 3
identical or different heteroatoms selected from nitrogen,
20 oxygen, and sulfur;
R² is
substituted or unsubstituted C1-C10 alkyl,
substituted or unsubstituted C3-C4 cycloalkyl,
substituted or unsubstituted C2-C6 alkenyl,
25 substituted or unsubstituted C3-C4 cycloalkenyl,
substituted or unsubstituted C4-C12 bridged cycloalkyl,
substituted or unsubstituted C6-C14 aromatic hydrocarbon, or
a substituted or unsubstituted C3-C10 monocyclic or polycyclic

saturated or unsaturated heterocyclic group containing 1 to 3 identical or different heteroatoms selected from nitrogen, oxygen, and sulfur;

X is

5 N or

CR³, wherein R³ is

hydrogen,

halogen,

cyano,

10 substituted or unsubstituted C1-C6 alkyl,

substituted or unsubstituted C2-C6 alkenyl,

substituted or unsubstituted C2-C6 alkynyl,

substituted or unsubstituted C1-C6 alkoxy,

substituted or unsubstituted amino,

15 substituted or unsubstituted C6-C14 aromatic

hydrocarbon, or

a substituted or unsubstituted C3-C10 monocyclic or polycyclic unsaturated heterocyclic group containing 1 to 3 identical or different heteroatoms selected from nitrogen,

20 oxygen, and sulfur; and

n is an integer of 0 to 3,

wherein when n is 2 or 3, R¹ may be identical or different from each other.

25 [Claim 15]

The compound or a salt thereof according to claim 14, wherein in Formula (I'),

A is pyrazolyl substituted with n-number of R¹;

R¹ is

30 halogen;

cyano;

C1-C6 alkyl that may be substituted with halogen or C1-C4 alkoxy;

C3-C7 cycloalkyl;

phenyl; or

35 a C3-C6 monocyclic unsaturated heterocyclic group containing one

oxygen atom or one sulfur atom;

R² is

linear C1-C6 alkyl or branched C3-C8 alkyl that may be

substituted with halogen, C3-C7 cycloalkyl that may be

5 substituted with C1-C4 alkyl, phenyl, C1-C4 alkoxy, or one or more C3-C6 monocyclic unsaturated heterocyclic groups containing one oxygen atom or one sulfur atom;

C3-C4 cycloalkyl that may be substituted with halogen, C1-C4 alkyl, halogeno C1-C4 alkyl, or C3-C5 cycloalkyl;

10 C2-C6 alkenyl that may be substituted with halogen; or

C4-C12 bridged cycloalkyl that may be substituted with C1-C4 alkyl;

X is

N or

15 CR³, wherein R³ is

hydrogen;

halogen;

C1-C6 alkyl;

C2-C4 alkynyl that may be substituted with

20 C1-C6 alkyl that may be substituted with hydroxy, C3-C7 cycloalkyl that may be substituted with

hydroxy,

one or more C3-C6 monocyclic saturated heterocyclic groups containing 1 to 3 identical or different

25 heteroatoms selected from nitrogen, oxygen, and sulfur, or

one or more C3-C10 monocyclic or polycyclic unsaturated heterocyclic groups that may be substituted with C1-C6 alkyl and contains 1 to 3 identical or different heteroatoms selected from nitrogen, oxygen, and sulfur; or

30 C1-C4 alkoxy that may be substituted with one or more C3-C6 monocyclic saturated heterocyclic groups containing 1 to 3 identical or different heteroatoms selected from nitrogen, oxygen, and sulfur; and

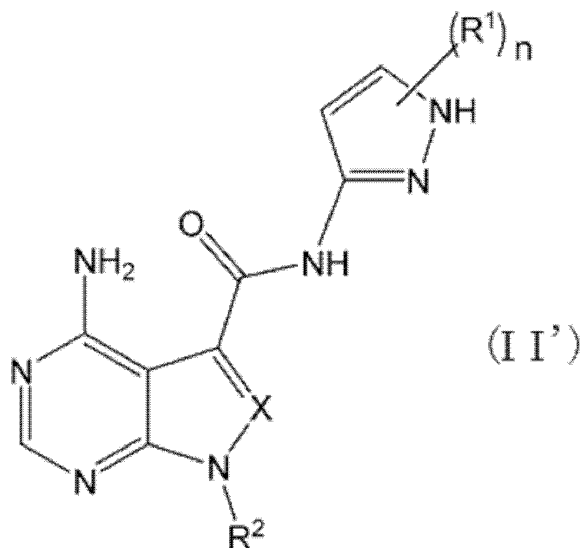
n is an integer of 1 or 2,

35 wherein when n is 2, R¹ may be identical or different from each

other.

[Claim 16]

The compound or a salt thereof according to claim 14 or
5 15, represented by Formula (II') below:



wherein R¹ is

halogen;

cyano;

10 C1-C6 alkyl that may be substituted with halogen;

C3-C7 cycloalkyl;

phenyl; or

a C3-C6 monocyclic unsaturated heterocyclic group containing one
oxygen atom or one sulfur atom;

15 R² is

linear C1-C6 alkyl or branched C3-C8 alkyl that may be
substituted with halogen, C3-C7 cycloalkyl that may be
substituted with C1-C4 alkyl, phenyl, C1-C4 alkoxy, or one or
more C3-C6 monocyclic unsaturated heterocyclic groups containing
20 one oxygen atom or one sulfur atom;

C3-C4 cycloalkyl that may be substituted with halogen, C1-C4
alkyl, halogeno C1-C4 alkyl, or C3-C5 cycloalkyl;

C2-C6 alkenyl that may be substituted with halogen; or

C4-C12 bridged cycloalkyl;

X is

N or

CR³, wherein R³ is

hydrogen;

5 halogen;

C1-C4 alkyl;

C2-C4 alkynyl that may be substituted with

C1-C6 alkyl that may be substituted with hydroxy,

C3-C7 cycloalkyl that may be substituted with

10 hydroxy,

one or more C3-C6 monocyclic saturated

heterocyclic groups containing 1 to 3 identical or different

heteroatoms selected from nitrogen, oxygen, and sulfur, or

one or more C3-C10 monocyclic or polycyclic

15 unsaturated heterocyclic groups that may be substituted with C1-

C6 alkyl and contains 1 to 3 identical or different heteroatoms

selected from nitrogen, oxygen, and sulfur; or

C1-C4 alkoxy; and

n is an integer of 1 or 2,

20 wherein when n is 2, R¹ may be identical or different from each other.

[Claim 17]

The compound or a salt thereof according to claim 16,

25 wherein in Formula (II'),

R¹ is

halogen,

cyano, or

C1-C4 alkyl that may be substituted with halogen;

30 R² is

linear C1-C4 alkyl that is substituted with C3-C7 cycloalkyl;

branched C3-C6 alkyl that may be substituted with halogen, C3-C7

cycloalkyl, one or more C3-C6 monocyclic unsaturated heterocyclic groups containing one sulfur atom, or C1-C4 alkoxy;

35 C3-C4 cycloalkyl that may be substituted with halogen, C1-C4

alkyl, or C3-C5 cycloalkyl;

C2-C6 alkenyl that may be substituted with halogen; or

C4-C12 bridged cycloalkyl selected from bicyclo[1.1.1]pentan-1-yl
and bicyclo[2.2.1]heptan-2-yl;

5 X is

N or

CR³, wherein R³ is

hydrogen; or

C2-C4 alkynyl that is substituted with

10 C1-C6 alkyl that may be substituted with hydroxy,

C3-C7 cycloalkyl that may be substituted with

hydroxy,

one or more C3-C6 monocyclic saturated

heterocyclic groups containing 1 to 3 identical or different

15 heteroatoms selected from nitrogen, oxygen, and sulfur, or

one or more C3-C6 monocyclic unsaturated

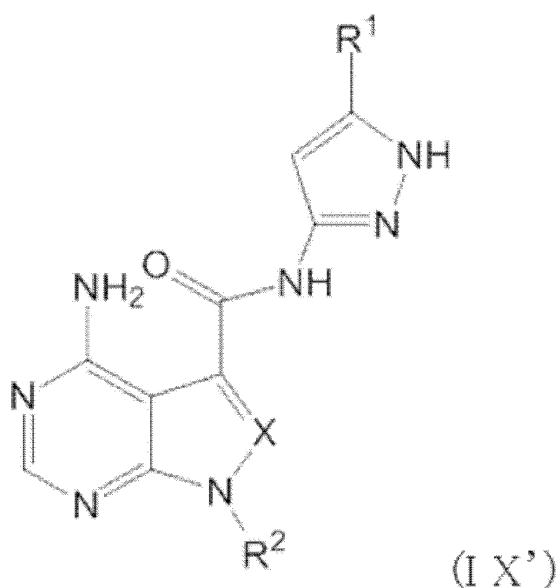
heterocyclic groups that may be substituted with C1-C4 alkyl and

contains 1 to 3 identical or different heteroatoms selected from
nitrogen, oxygen, and sulfur; and

20 n is an integer of 1.

[Claim 18]

The compound or a salt thereof according to any one of
claims 14 to 17, represented by Formula (IX') below:



wherein R¹ is halogen or C1-C4 alkyl;

R² is

branched C3-C6 alkyl that may be substituted with halogen or C3-

5 C5 cycloalkyl;

C3-C4 cycloalkyl that may be substituted with C1-C4 alkyl; or

bicyclo[2.2.1]heptan-2-yl; and

X is

N or

10 CR³, wherein R³ is

hydrogen; or

ethynyl or propynyl that is substituted with

C1-C4 alkyl that may be substituted with hydroxy,

C3-C5 cycloalkyl that may be substituted with

15 hydroxy,

one or more C3-C6 monocyclic saturated

heterocyclic groups containing 1 to 3 identical or different

heteroatoms selected from nitrogen and oxygen, or

one or more C3-C6 monocyclic unsaturated

20 heterocyclic groups that may be substituted with methyl and

contains 1 to 3 nitrogen atoms.

[Claim 19]

The compound or a salt thereof according to claim 18,
wherein in Formula (IX'),

R¹ is bromine or methyl;

5 R² is

branched C3-C6 alkyl that may be substituted with fluorine or C3-
C5 cycloalkyl, or

C3-C4 cycloalkyl that may be substituted with methyl; and

X is

10 N or

CR³, wherein R³ is hydrogen.

[Claim 20]

The compound or a salt thereof according to any one of
15 claims 14 to 19, which is at least one member selected from the
group consisting of:

(34) 4-amino-1-(tert-butyl)-N-(5-methyl-1H-pyrazol-3-yl)-1H-
pyrazolo[3,4-d]pyrimidine-3-carboxamide;

(48) 4-amino-7-(tert-butyl)-N-(5-methyl-1H-pyrazol-3-yl)-7H-
20 pyrrolo[2,3-d]pyrimidine-5-carboxamide;

(50) 4-amino-7-(1-fluoro-2-methylpropan-2-yl)-N-(5-methyl-1H-
pyrazol-3-yl)-7H-pyrrolo[2,3-d]pyrimidine-5-carboxamide;

(51) 4-amino-N-(5-methyl-1H-pyrazol-3-yl)-7-(1-
methylcyclopropyl)-7H-pyrrolo[2,3-d]pyrimidine-5-carboxamide;

25 (52) 4-amino-7-(2-cyclopropylpropan-2-yl)-N-(5-methyl-1H-pyrazol-
3-yl)-7H-pyrrolo[2,3-d]pyrimidine-5-carboxamide; and

salts of these compounds.

[Claim 21]

30 A RET inhibitor comprising the compound or a salt
thereof according to any one of claims 14 to 20 as an active
ingredient.

[Claim 22]

35 A pharmaceutical composition comprising the compound or

a salt thereof according to any one of claims 14 to 20.

[Claim 23]

5 The pharmaceutical composition according to claim 22,
which prevents or treats a disease that can be treated by RET
inhibition.

[Claim 24]

10 An antitumor agent comprising the compound or a salt
thereof according to any one of claims 14 to 20.

[Claim 25]

15 The antitumor agent according to claim 24, for the
treatment of a malignant tumor with enhanced activation of RET.

[Claim 26]

20 The compound or a salt thereof according to any one of
claims 14 to 20 for use in prevention or treatment of a malignant
tumor.

[Claim 27]

25 The compound or a salt thereof according to claim 26,
wherein the malignant tumor is a malignant tumor with enhanced
activation of RET.

[Claim 28]

Use of the compound or a salt thereof according to any
one of claims 14 to 20 for producing an antitumor agent.

30 [Claim 29]

The use according to claim 28, wherein the antitumor
agent is an antitumor agent for treating a malignant tumor with
enhanced activation of RET.

[Claim 30]

Use of the compound or a salt thereof according to any one of claims 14 to 20 for producing a RET inhibitor.