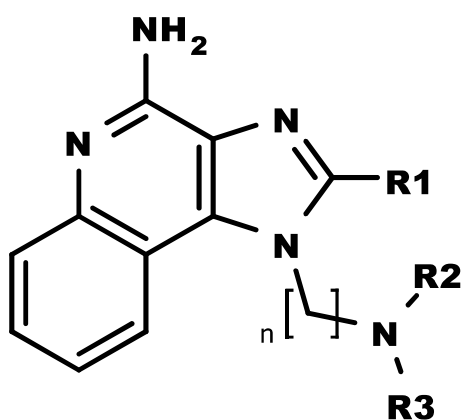


Summary of the Invention:

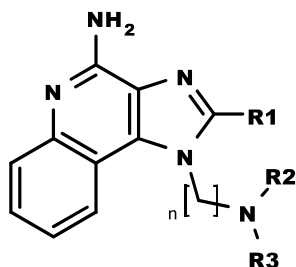
The present ~~invention~~disclosure provides imidazoquinoline-4-amine compounds with certain specific substituents, physiologically functional derivatives, solvates and salts thereof, as further described in the following. Said compounds are agonists or
5 activators for TLR7 and may serve as cytokine inducing compounds. Said compounds have the general Formula (I):



10 (I)

wherein: R_1 , R_2 , R_3 and n are as defined below.

In one aspect, the present disclosure provides a compound having the general Formula (I):



15

wherein

R_1 is selected from the group consisting of -H, C_{1-6} -alkyl, C_{1-6} -alkoxy, C_{1-3} -alkoxy- C_{1-3} -alkyl, C_{1-6} -alkylthio, C_{1-3} -alkylthio- C_{1-3} -alkyl, C_{1-3} -

5 alkylamino-C₁₋₃-alkyl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl, C₆₋₁₀-aryl, C₆₋₁₀-aryl-C₁₋₂-alkyl and 5- to 10-membered heteroaryl, wherein said C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₆₋₁₀-aryl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl, C₆₋₁₀-aryl-C₁₋₂-alkyl and 5- to 10-membered heteroaryl is optionally substituted by one or more groups independently selected from the group consisting of C₁₋₄-alkyl, -OH, halogen, -CO-N(R₄)₂, -N(R₄)₂, -CO-R₄, -COO-R₄, -N₃, -NO₂, and -CN;

10 R₂ is selected from the group consisting of -CO-R₅ and -CONH-R₅;

R₃ is tetrahydropyran-4-yl, which is optionally substituted by one or more groups independently selected from the group consisting of C₁₋₄-alkyl, -OH, and halogen;

15 R₄ is each independently selected from the group consisting of H and C₁₋₄-alkyl;

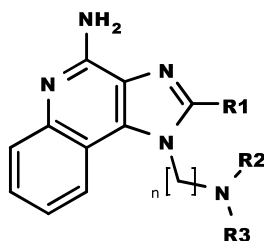
n is an integer from 3 to 6; and

20 R₅ is selected from the group consisting of -H, C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₆₋₁₀-aryl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl and 5- to 10-membered heteroaryl, wherein said C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₆₋₁₀-aryl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl and 5- to 10-membered heteroaryl is optionally substituted by one or more groups independently selected from the group consisting of C₁₋₄-alkyl, -OH, halogen, -CO-N(R₄)₂, -N(R₄)₂, -CO-R₄, -COO-R₄, -N₃, -NO₂, and -CN;

or a solvate or salt thereof,

wherein the compound is not tert-butyl [4-(4-amino-2-ethyl-1H-imidazo[4,5-c] quinolin-1-yl) butyl] tetrahydro-2H-pyran-4-ylcarbamate.

30 In another aspect, the present disclosure provides a pharmaceutical composition comprising a compound having the general Formula (I):



wherein

R1 is selected from the group consisting of -H, C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl, C₆₋₁₀-aryl, C₆₋₁₀-aryl-C₁₋₂-alkyl and 5- to 10-membered heteroaryl, wherein said C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₆₋₁₀-aryl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl, C₆₋₁₀-aryl-C₁₋₂-alkyl and 5- to 10-membered heteroaryl is optionally substituted by one or more groups independently selected from the group consisting of C₁₋₄-alkyl, -OH, halogen, -CO-N(R₄)₂, -N(R₄)₂, -CO-R₄, -COO-R₄, -N₃, -NO₂, and -CN;

R2 is selected from the group consisting of -CO-R5 and -CONH-R5;

R3 is tetrahydropyran-4-yl, which is optionally substituted by one or more groups independently selected from the group consisting of C₁₋₄-alkyl, -OH, and halogen;

R4 is each independently selected from the group consisting of H and C₁₋₄-alkyl;

n is an integer from 3 to 6; and

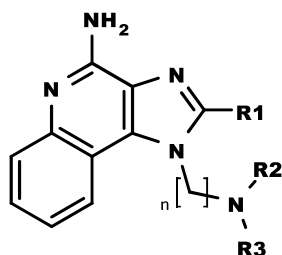
R5 is selected from the group consisting of -H, C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₆₋₁₀-aryl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl and 5- to 10-membered heteroaryl, wherein said C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₆₋₁₀-aryl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl and 5- to 10-membered heteroaryl is optionally substituted by one or more groups independently selected from

the group consisting of C₁₋₄-alkyl, -OH, halogen, -CO-N(R₄)₂, -N(R₄)₂, -CO-R₄, -COO-R₄, -N₃, -NO₂, and -CN;

or a solvate or salt thereof and one or more pharmaceutically acceptable excipients.

In another aspect, the present disclosure provides a compound having the general

5 Formula (I):



wherein

10 R₁ is selected from the group consisting of -H, C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl, C₆₋₁₀-aryl, C₆₋₁₀-aryl-C₁₋₂-alkyl and 5- to 10-membered heteroaryl, wherein said C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₆₋₁₀-aryl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl, C₆₋₁₀-aryl-C₁₋₂-alkyl and 5- to 10-membered heteroaryl is optionally substituted by one or more groups independently selected from the group consisting of
15 C₁₋₄-alkyl, -OH, halogen, -CO-N(R₄)₂, -N(R₄)₂, -CO-R₄, -COO-R₄, -N₃, -NO₂, and -CN;

R₂ is selected from the group consisting of -CO-R₅ and -CONH-R₅;

20 R₃ is tetrahydropyran-4-yl, which is optionally substituted by one or more groups independently selected from the group consisting of C₁₋₄-alkyl, -OH, and halogen;

R₄ is each independently selected from the group consisting of H and C₁₋₄-alkyl;

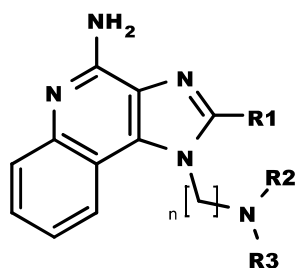
25 n is an integer from 3 to 6; and

R₅ is selected from the group consisting of -H, C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-

5 alkylamino-C₁₋₃-alkyl, C₆₋₁₀-aryl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl and 5- to 10-membered heteroaryl, wherein said C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₆₋₁₀-aryl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl and 5- to 10-membered heteroaryl is optionally substituted by one or more groups independently selected from the group consisting of C₁₋₄-alkyl, -OH, halogen, -CO-N(R₄)₂, -N(R₄)₂, -CO-R₄, -COO-R₄, -N₃, -NO₂, and -CN;

10 or a solvate or salt thereof or a pharmaceutical composition as described herein for use as medicament.

In a further aspect, the present disclosure provides a use of a compound having the general Formula (I):



wherein

15 R₁ is selected from the group consisting of -H, C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl, C₆₋₁₀-aryl, C₆₋₁₀-aryl-C₁₋₂-alkyl and 5- to 10-membered heteroaryl, wherein said C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₆₋₁₀-aryl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl, C₆₋₁₀-aryl-C₁₋₂-alkyl and 5- to 10-membered heteroaryl is optionally substituted by one or more groups independently selected from the group consisting of C₁₋₄-alkyl, -OH, halogen, -CO-N(R₄)₂, -N(R₄)₂, -CO-R₄, -COO-R₄, -N₃, -NO₂, and -CN;

20

25

R₂ is selected from the group consisting of -CO-R₅ and -CONH-R₅;

R3 is tetrahydropyran-4-yl, which is optionally substituted by one or more groups independently selected from the group consisting of C₁₋₄-alkyl, -OH, and halogen;

R4 is each independently selected from the group consisting of H and C₁₋₄-alkyl;

n is an integer from 3 to 6; and

R5 is selected from the group consisting of -H, C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₆₋₁₀-aryl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl and 5- to 10-membered heteroaryl, wherein said C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₆₋₁₀-aryl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl and 5- to 10-membered heteroaryl is optionally substituted by one or more groups independently selected from the group consisting of C₁₋₄-alkyl, -OH, halogen, -CO-N(R₄)₂, -N(R₄)₂, -CO-R₄, -COO-R₄, -N₃, -NO₂, and -CN;

or a solvate or salt thereof or a pharmaceutical composition as described herein in the manufacture of a medicament for treatment or prevention of a medical condition selected from the group consisting of proliferative diseases.

20 In another aspectexample, the present inventiondisclosure provides methods for the preparation of certain compounds of Formula (I), physiologically functional derivatives, solvates or salts thereof, as detailed further herein below.

25 In another aspectexample, the present inventiondisclosure provides methods for the treatment or prevention of certain medical conditions, the method comprising the administration of compounds of Formula (I), physiologically functional derivatives, solvates or salts thereof, to a subject in need thereof, as detailed further herein below.

30 In another aspectexample, the present inventiondisclosure provides the use of compounds of Formula (I), physiologically functional derivatives, solvates or salts thereof, in the manufacture of a medicament for the treatment or prevention of certain medical conditions, as detailed further herein below.

In another aspectexample, the present inventiondisclosure provides compounds of Formula (I), physiologically functional derivatives, solvates or salts thereof, for use as medicament, in particular for use in the treatment or prevention of certain medical conditions, as detailed further herein below.

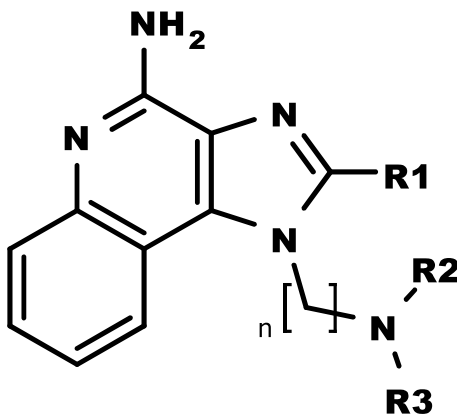
- 5 In another aspectexample, the present inventiondisclosure provides pharmaceutical compositions comprising compounds of Formula (I), physiologically functional derivatives, solvates or salts thereof and one or more pharmaceutically acceptable excipients.

The compounds of Formula (I) are for instance useful as TLR7 activators.

10 Detailed Description of Invention:

It has been found that the imidazoquinoline derivatives of Formula (I), physiologically functional derivatives, solvates or salts thereof, which are described in greater detail below, are particularly effective TLR7 agonists and have surprising and particularly advantageous
15 properties.

The present invention provides compounds of Formula (I):



20

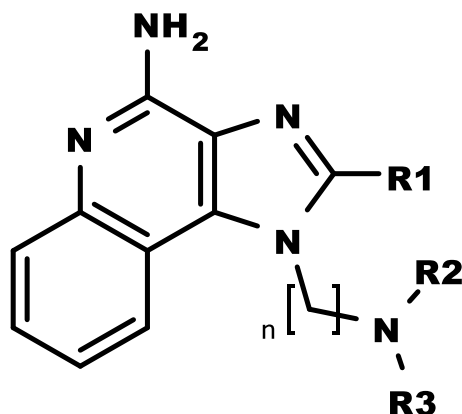
(I)

wherein

R₁ is selected from the group consisting of -H, C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-alkylamino-

Claims

1. A compound having the general Formula (I):



5

wherein

10 R1 is selected from the group consisting of -H, C_{1-6} -alkyl, C_{1-6} -alkoxy, C_{1-3} -alkoxy- C_{1-3} -alkyl, C_{1-6} -alkylthio, C_{1-3} -alkylthio- C_{1-3} -alkyl, C_{1-3} -alkylamino- C_{1-3} -alkyl, 4- to 10-membered heterocycloalkyl, C_{3-10} -cycloalkyl, C_{6-10} -aryl, C_{6-10} -aryl- C_{1-2} -alkyl and 5- to 10-membered heteroaryl, wherein said C_{1-6} -alkyl, C_{1-6} -alkoxy, C_{1-3} -alkoxy- C_{1-3} -alkyl, C_{1-6} -alkylthio, C_{1-3} -alkylthio- C_{1-3} -alkyl, C_{1-3} -alkylamino- C_{1-3} -alkyl, C_{6-10} -aryl, 4- to 10-membered heterocycloalkyl, C_{3-10} -cycloalkyl, C_{6-10} -aryl- C_{1-2} -alkyl and 5- to 10-membered heteroaryl is optionally substituted by one or more groups independently selected from the group consisting of C_{1-4} -alkyl, -OH, halogen, -CO-N(R_4)₂, -N(R_4)₂, -CO-R4, -COO-R4, -N₃, -NO₂, and -CN;

15

R2 is selected from the group consisting of -CO-R5, and -CONH-R5, ~~and~~ ~~COO-R5~~;

20

R3 is tetrahydropyran-4-yl, which is optionally substituted by one or more groups independently selected from the group consisting of C_{1-4} -alkyl, -OH, and halogen;

R4 is each independently selected from the group consisting of H and C_{1-4} -alkyl;

n is an integer from 3 to 6; and

R5 is selected from the group consisting of -H, C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₆₋₁₀-aryl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl and 5- to 10-membered heteroaryl, wherein said C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₆₋₁₀-aryl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl and 5- to 10-membered heteroaryl is optionally substituted by one or more groups independently selected from the group consisting of C₁₋₄-alkyl, -OH, halogen, -CO-N(R₄)₂, -N(R₄)₂, -CO-R₄, -COO-R₄, -N₃, -NO₂, and -CN;

or a ~~physiologically functional derivative~~, solvate or salt thereof,

wherein the compound is not tert-butyl [4-(4-amino-2-ethyl-1H-imidazo[4,5-c]quinolin-1-yl) butyl] tetrahydro-2H-pyran-4-ylcarbamate.

2. The compound, ~~physiologically functional derivative~~, solvate or salt thereof according to claim 1, wherein R1 is selected from the group consisting of C₁₋₆-alkyl, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₃-alkylthio-C₁₋₃-alkyl, and C₁₋₃-alkylamino-C₁₋₃-alkyl, ~~particularly C₁₋₆-alkyl, C₁₋₃-alkoxy-C₁₋₃-alkyl, and C₁₋₃-alkylamino-C₁₋₃-alkyl,~~ wherein said C₁₋₆-alkyl, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₃-alkylthio-C₁₋₃-alkyl, or C₁₋₃-alkylamino-C₁₋₃-alkyl is optionally substituted by one or more groups independently selected from the group consisting of -OH and halogen.

3. The compound, solvate or salt thereof according to claim 2, wherein R1 is C₁₋₆-alkyl, C₁₋₃-alkoxy-C₁₋₃-alkyl, and C₁₋₃-alkylamino-C₁₋₃-alkyl, wherein said C₁₋₆-alkyl, C₁₋₃-alkoxy-C₁₋₃-alkyl, or C₁₋₃-alkylamino-C₁₋₃-alkyl is optionally substituted by one or more groups independently selected from the group consisting of -OH and halogen.

34. The compound, ~~physiologically functional derivative~~, solvate or salt thereof according to any one of claims 1 or 2 to 3, wherein R1 is selected from the group consisting of ethyl, methyl, propyl, butyl, methoxyethyl, and

ethylaminomethyl, each of which is optionally substituted by one or more groups independently selected from the group consisting of -OH and halogen.

5 ~~45.~~ The compound, ~~physiologically functional derivative~~, solvate or salt thereof according to any of claims 1 to ~~34~~, wherein R2 is ~~selected from the group consisting of -CO-R5 and -CONH-R5, particularly R2 is -CO-R5.~~

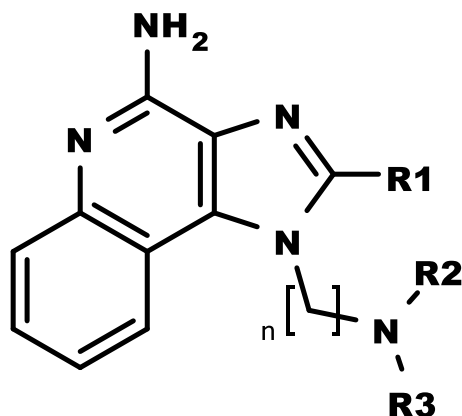
10 ~~56.~~ The compound, ~~physiologically functional derivative~~, solvate or salt thereof according to any of claims 1 to ~~45~~, wherein R3 unsubstituted tetrahydropyran-4-yl.

15 ~~67.~~ The compound, ~~physiologically functional derivative~~, solvate or salt thereof according to any of claims 1 to ~~56~~, wherein n is an integer from 3 to 5, ~~particularly n is 4.~~

~~8.~~ The compound, solvate or salt thereof according to claim 7, wherein n is 4.

20 ~~7. — The compound, physiologically functional derivative, solvate or salt thereof according to any of claims 1 to 6, selected from the group consisting of:~~
~~N-(4-(4-amino-2-ethyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl)-N-(tetrahydro-2H-pyran-4-yl)acetamide;~~
~~1-(4-(4-amino-2-ethyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl)-1-(tetrahydro-2H-pyran-4-yl)urea;~~
~~N-(4-(4-amino-2-((ethylamino)methyl)-1H-imidazo[4,5-c]quinolin-1-yl)butyl)-N-(tetrahydro-2H-pyran-4-yl)acetamide;~~
25 ~~1-(4-(4-amino-2-((ethylamino)methyl)-1H-imidazo[4,5-c]quinolin-1-yl)butyl)-1-(tetrahydro-2H-pyran-4-yl)urea;~~
~~N-(4-(4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl)butyl)-N-(tetrahydro-2H-pyran-4-yl)acetamide;~~
30 ~~1-(4-(4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl)butyl)-1-(tetrahydro-2H-pyran-4-yl)urea;~~
~~and a physiologically functional derivative, solvate or salt thereof.~~

89. A pharmaceutical composition comprising a compound having the general Formula (I):



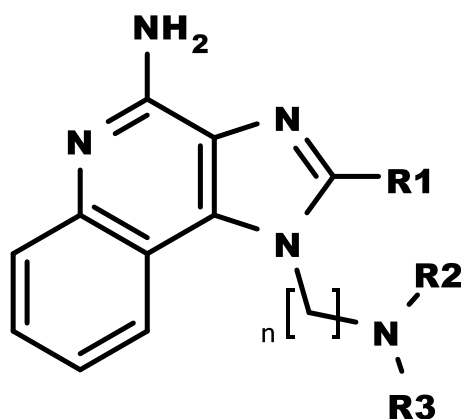
wherein

- 5 R₁ is selected from the group consisting of -H, C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl, C₆₋₁₀-aryl, C₆₋₁₀-aryl-C₁₋₂-alkyl and 5- to 10-membered heteroaryl, wherein said C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₆₋₁₀-aryl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl, C₆₋₁₀-aryl-C₁₋₂-alkyl and 5- to 10-membered heteroaryl is optionally substituted by one or more groups independently selected from the group consisting of C₁₋₄-alkyl, -OH, halogen, -CO-N(R₄)₂, -N(R₄)₂, -CO-R₄, -COO-R₄, -N₃, -NO₂, and -CN;
- 10 R₂ is selected from the group consisting of -CO-R₅ and -CONH-R₅;
- 15 R₃ is tetrahydropyran-4-yl, which is optionally substituted by one or more groups independently selected from the group consisting of C₁₋₄-alkyl, -OH, and halogen;
- 20 R₄ is each independently selected from the group consisting of H and C₁₋₄-alkyl;
- n is an integer from 3 to 6; and
- R₅ is selected from the group consisting of -H, C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-

alkylamino-C₁₋₃-alkyl, C₆₋₁₀-aryl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl and 5- to 10-membered heteroaryl, wherein said C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₆₋₁₀-aryl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl and 5- to 10-membered heteroaryl is optionally substituted by one or more groups independently selected from the group consisting of C₁₋₄-alkyl, -OH, halogen, -CO-N(R₄)₂, -N(R₄)₂, -CO-R₄, -COO-R₄, -N₃, -NO₂, and -CN;

physiologically functional derivative, or a solvate or salt thereof according to any of claims 1 to 7 and one or more pharmaceutically acceptable excipients.

910. A compound having the general Formula (I):



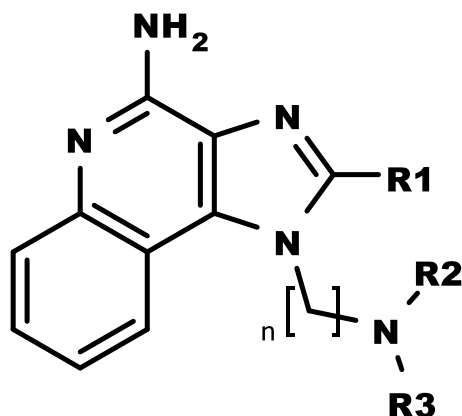
wherein

R₁ is selected from the group consisting of -H, C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl, C₆₋₁₀-aryl, C₆₋₁₀-aryl-C₁₋₂-alkyl and 5- to 10-membered heteroaryl, wherein said C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₆₋₁₀-aryl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl, C₆₋₁₀-aryl-C₁₋₂-alkyl and 5- to 10-membered heteroaryl is optionally substituted by one or more groups independently selected from the group consisting of

- C₁₋₄-alkyl, -OH, halogen, -CO-N(R₄)₂, -N(R₄)₂, -CO-R₄, -COO-R₄, -N₃, -NO₂, and -CN;
- R₂ is selected from the group consisting of -CO-R₅ and -CONH-R₅;
- R₃ is tetrahydropyran-4-yl, which is optionally substituted by one or more
5 groups independently selected from the group consisting of C₁₋₄-alkyl, -OH, and halogen;
- R₄ is each independently selected from the group consisting of H and C₁₋₄-alkyl;
- n is an integer from 3 to 6; and
- 10 R₅ is selected from the group consisting of -H, C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₆₋₁₀-aryl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl and 5- to 10-membered heteroaryl, wherein said C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl,
15 C₁₋₃-alkylamino-C₁₋₃-alkyl, C₆₋₁₀-aryl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl and 5- to 10-membered heteroaryl is optionally substituted by one or more groups independently selected from the group consisting of C₁₋₄-alkyl, -OH, halogen, -CO-N(R₄)₂, -N(R₄)₂, -CO-R₄, -COO-R₄, -N₃, -NO₂, and -CN;
- 20 ~~physiologically functional derivative, or a~~ solvate or salt thereof ~~according to any of claims 1 to 7~~ or a pharmaceutical composition according to claim 89 for use as medicament.
- 25 ~~10. A compound, physiologically functional derivative, solvate or salt thereof according to any of claims 1 to 7 or a pharmaceutical composition according to claim 8 for use in the treatment or prevention of a medical condition selected from the group consisting of proliferative diseases, in particular cancer.~~
- 30 ~~11. A method of treatment or prevention of a medical condition selected from the group consisting of proliferative diseases, in particular cancer, which comprises the administration of an effective amount of a compound, physiologically functional derivative, solvate or salt thereof according to any~~

of claims 1 to 7 or of a pharmaceutical composition according to claim 8 to a subject in need thereof.

4211. Use of a compound having the general Formula (I):



5

wherein

10

R1 is selected from the group consisting of -H, C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl, C₆₋₁₀-aryl, C₆₋₁₀-aryl-C₁₋₂-alkyl and 5- to 10-membered heteroaryl, wherein said C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₆₋₁₀-aryl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl, C₆₋₁₀-aryl-C₁₋₂-alkyl and 5- to 10-membered heteroaryl is optionally substituted by one or more groups independently selected from the group consisting of C₁₋₄-alkyl, -OH, halogen, -CO-N(R₄)₂, -N(R₄)₂, -CO-R₄, -COO-R₄, -N₃, -NO₂, and -CN;

15

R2 is selected from the group consisting of -CO-R₅ and -CONH-R₅;

20

R3 is tetrahydropyran-4-yl, which is optionally substituted by one or more groups independently selected from the group consisting of C₁₋₄-alkyl, -OH, and halogen;

R4 is each independently selected from the group consisting of H and C₁₋₄-alkyl;

n is an integer from 3 to 6; and

5 R5 is selected from the group consisting of -H, C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₆₋₁₀-aryl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl and 5- to 10-membered heteroaryl, wherein said C₁₋₆-alkyl, C₁₋₆-alkoxy, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₆-alkylthio, C₁₋₃-alkylthio-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₆₋₁₀-aryl, 4- to 10-membered heterocycloalkyl, C₃₋₁₀-cycloalkyl and 5- to 10-membered heteroaryl is optionally substituted
10 by one or more groups independently selected from the group consisting of C₁₋₄-alkyl, -OH, halogen, -CO-N(R₄)₂, -N(R₄)₂, -CO-R₄, -COO-R₄, -N₃, -NO₂, and -CN;
or a physiologically functional derivative, solvate or salt thereof or a pharmaceutical composition according to any of claims 1 to 79 in the
15 manufacture of a medicament for the treatment or prevention of a medical condition selected from the group consisting of proliferative diseases, in particular cancer.

12. The use of claim 11, wherein the proliferative disease is cancer.
- 20 13. The pharmaceutical composition according to claim 9, the compound, solvate or salt thereof for use according to claim 10, the pharmaceutical composition for use according to claim 10, or the use according to claim 11 or 12, wherein R1 is selected from the group consisting of C₁₋₆-alkyl, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₃-alkylthio-C₁₋₃-alkyl, and C₁₋₃-alkylamino-C₁₋₃-alkyl, wherein said C₁₋₆-alkyl, C₁₋₃-alkoxy-C₁₋₃-alkyl, C₁₋₃-alkylthio-C₁₋₃-alkyl, or C₁₋₃-alkylamino-C₁₋₃-alkyl is optionally substituted by one or more groups independently selected
25 from the group consisting of -OH and halogen.
- 30 14. The pharmaceutical composition according to claim 13, the compound, solvate or salt thereof for use according to claim 13, the pharmaceutical composition for use according to claim 13, or the use according to claim 13, wherein R1 is C₁₋₆-alkyl, C₁₋₃-alkoxy-C₁₋₃-alkyl, and C₁₋₃-alkylamino-C₁₋₃-alkyl, wherein said C₁₋₆-alkyl, C₁₋₃-alkoxy-C₁₋₃-alkyl, or C₁₋₃-alkylamino-C₁₋₃-

alkyl is optionally substituted by one or more groups independently selected from the group consisting of -OH and halogen.

5 15. The pharmaceutical composition according to claim 9, 13 or 14, the compound, solvate or salt thereof for use according to any one of claims 10, 13 or 14, the pharmaceutical composition for use according to any one of claims 10, 13 or 14, or the use according to any one of claims 11 to 14, wherein R1 is selected from the group consisting of ethyl, methyl, propyl, butyl, methoxyethyl, and ethylaminomethyl, each of which is optionally substituted by one or more groups independently selected from the group consisting of -OH and halogen.

10

15 16. The pharmaceutical composition according to any one of claims 9, 13 to 15, the compound, solvate or salt thereof for use according to any one of claims 10, 13 to 15, the pharmaceutical composition for use according to any one of claims 10, 13 to 15, or the use according to any one of claims 11 to 15, wherein R2 is -CO-R5.

20 17. The pharmaceutical composition according to any one of claims 9, 13 to 16, the compound, solvate or salt thereof for use according to any one of claims 10, 13 to 16, the pharmaceutical composition for use according to any one of claims 10, 13 to 16, or the use according to any one of claims 11 to 16, wherein R3 is unsubstituted tetrahydropyran-4-yl.

25 18. The pharmaceutical composition according to any one of claims 9, 13 to 17, the compound, solvate or salt thereof for use according to any one of claims 10, 13 to 17, the pharmaceutical composition for use according to any one of claims 10, 13 to 17, or the use according to any one of claims 11 to 17, wherein n is an integer from 3 to 5.

30 19. The pharmaceutical composition according to claim 18, the compound, solvate or salt thereof for use according to claim 18, the pharmaceutical

composition for use according to claim 18, or the use according to claim 18, wherein n is 4.

20. The compound, solvate or salt thereof according to any of claims 1 to 8, the pharmaceutical composition according to any one of claims 9 and 13 to 19, the compound, solvate or salt thereof for use according to any one of claims 10 to 19, the pharmaceutical composition for use according to any one of claims 10 to 19, or the use according to any one of claims 11 to 19, wherein the compound, solvate or salt thereof is selected from the group consisting of:
- N-(4-(4-amino-2-ethyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl)-N-(tetrahydro-2H-pyran-4-yl)acetamide;
- 1-(4-(4-amino-2-ethyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl)-1-(tetrahydro-2H-pyran-4-yl)urea;
- N-(4-(4-amino-2-((ethylamino)methyl)-1H-imidazo[4,5-c]quinolin-1-yl)butyl)-N-(tetrahydro-2H-pyran-4-yl)acetamide;
- 1-(4-(4-amino-2-((ethylamino)methyl)-1H-imidazo[4,5-c]quinolin-1-yl)butyl)-1-(tetrahydro-2H-pyran-4-yl)urea;
- N-(4-(4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl)butyl)-N-(tetrahydro-2H-pyran-4-yl)acetamide;
- 1-(4-(4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl)butyl)-1-(tetrahydro-2H-pyran-4-yl)urea;
- and a solvate or salt thereof.