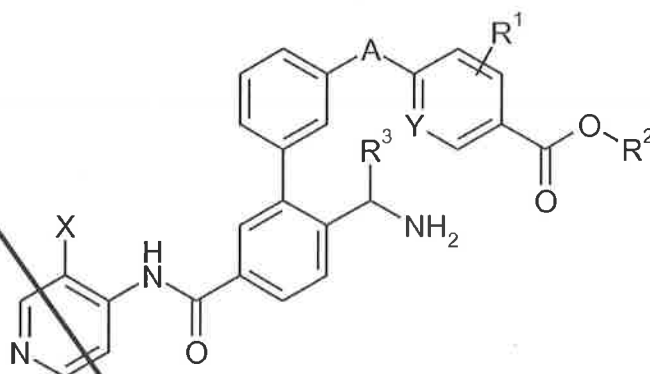


CLAIMS

1. A compound of Formula I or a stereoisomer, tautomer, racemic, salt, hydrate, or solvate thereof,



I

Wherein,

X is hydrogen or halogen;

A is -NH-C(=O)- or -C(=O)-NH- ;

Y is N or C;

R^3 is selected from the group comprising hydrogen, C_{1-20} alkyl and C_{3-15} cycloalkyl;

R^1 is selected from the group comprising hydrogen, C_{1-20} alkyl, C_{1-20} alkoxy, and halogen; and

R^2 is selected from the group consisting of C_{1-20} alkyl, C_{3-20} alkenyl, C_{3-20} alkynyl, C_{3-15} cycloalkyl, aryl, heteroaryl, and C_{3-19} heterocyclyl; wherein said C_{1-20} alkyl, C_{3-20} alkenyl, C_{3-20} alkynyl, C_{3-15} cycloalkyl, aryl, heteroaryl, and C_{3-19} heterocyclyl is optionally substituted with one or more substituents selected from the group consisting of halo, hydroxyl, oxo, carbonyl, amino, amido, cyano, aryl, heteroaryl, C_{3-15} cycloalkyl, C_{3-19} heterocyclyl, C_{1-20} alkylamino, C_{1-6} alkyl, di(C_{1-20} alkyl)amino, C_{1-20} alkoxy, halo- C_{1-20} alkoxy, halo- C_{1-20} alkyl, thiol, C_{1-20} alkylthio, carboxylic acid, acylamino, C_{1-20} alkyl esters, carbamate, thioamido, urea, and sulfonamido;

or R^2 taken together with R^1 forms a cyclic ester (lactone) comprising from 4 to 9 carbon atoms in the cyclic ester ring.

2. The compound of formula I according to claim 1, wherein;

R^3 is selected from the group comprising hydrogen, C_{1-6} alkyl, and C_{3-6} cycloalkyl, in particular hydrogen or C_{1-3} alkyl; more in particular hydrogen.

3. The compound of formula I according to claim 1, wherein;

X is halogen; more in particular fluoro;

A is -NH-C(=O)- or -C(=O)-NH- ;

Y is N or C;

5 R^3 is selected from the group comprising hydrogen, C_{1-20} alkyl, and C_{3-15} cycloalkyl; in particular hydrogen or C_{1-6} alkyl; more in particular hydrogen or C_{1-6} alkyl; even more in particular hydrogen;

R^1 is selected from the group comprising hydrogen, C_{1-20} alkyl, C_{1-20} alkoxy, and halogen; in particular hydrogen, C_{1-6} alkyl, and halogen; more in particular hydrogen, methyl, and halogen; and

10 R^2 is selected from the group consisting of C_{1-20} alkyl, C_{3-20} alkenyl, C_{3-20} alkynyl, C_{3-15} cycloalkyl, aryl, heteroaryl, and C_{3-19} heterocyclyl; wherein said C_{1-20} alkyl, C_{3-20} alkenyl, C_{3-20} alkynyl, C_{3-15} cycloalkyl, aryl, heteroaryl, and C_{3-19} heterocyclyl is optionally substituted with one or more substituents selected from the group consisting of halo, hydroxyl, oxo, carbonyl, amino, amido, cyano, aryl, heteroaryl, C_{3-15} cycloalkyl, C_{3-19} heterocyclyl, C_{1-20} alkylamino, C_{1-6} alkyl, di(C_{1-20} alkyl)amino, C_{1-20} alkoxy, halo- C_{1-20} alkoxy, halo- C_{1-20} alkyl, thiol, C_{1-20} alkylthio, carboxylic acid, acylamino, C_{1-20} alkyl
15 esters, carbamate, thioamido, urea, and sulfonamido;

or R^2 taken together with R^1 form a cyclic ester (lactone) comprising from 4 to 9 carbon atoms in the cyclic ester ring.

4. The compound of formula I according to claim 1, wherein;

20 R^1 is selected from the group comprising hydrogen, C_{1-6} alkyl, C_{1-6} alkoxy, and halogen; in particular hydrogen, C_{1-6} alkyl, and halogen; more in particular hydrogen, methyl, and halogen.

5. The compound of formula I according to claim 1, wherein;

25 R^1 is selected from the group comprising hydrogen, C_{1-20} alkyl, C_{1-20} alkoxy, and halogen; in particular hydrogen, methyl, and halogen; more in particular R^1 is methyl or fluoro; and

R^2 is selected from the group consisting of C_{1-20} alkyl, C_{3-20} alkenyl, C_{3-20} alkynyl, C_{3-15} cycloalkyl, aryl, heteroaryl, and C_{3-19} heterocyclyl; wherein said C_{1-20} alkyl, is optionally substituted with one or more substituents selected from the group consisting of halo, hydroxyl, oxo, carbonyl, amino, amido, cyano, aryl, heteroaryl, C_{1-6} alkyl, C_{3-15} cycloalkyl, C_{3-19} heterocyclyl, C_{1-20} alkylamino, di(C_{1-20} alkyl)amino, C_{1-20} alkoxy, halo- C_{1-20} alkoxy, halo- C_{1-20} alkyl, thiol, C_{1-20} alkylthio, carboxylic acid, acylamino, C_{1-20} alkyl
30 esters, carbamate, thioamido, urea, and sulfonamido;

or R^2 taken together with R^1 form a cyclic ester (lactone) comprising from 4 to 5 carbon atoms in the cyclic ester ring.

6. The compound of formula I according to claim 1, wherein one or more of the following restrictions apply:

- X is halogen; in particular fluoro;
- A is $-\text{C}(=\text{O})-\text{NH}-$;
- 5 • Y is C;
- R^3 is hydrogen;
- R^1 is selected from the group comprising hydrogen, C_{1-20} alkyl, and halogen; in particular hydrogen, C_{1-6} alkyl, and halogen; more in particular hydrogen, methyl and fluoro
- R^1 is hydrogen;
- 10 • R^1 is fluoro;
- R^2 is selected from the group consisting of C_{1-20} alkyl, C_{3-20} alkenyl, C_{3-20} alkynyl, C_{3-15} cycloalkyl, aryl, heteroaryl, and C_{3-19} heterocyclyl; wherein said C_{1-20} alkyl, C_{3-20} alkenyl, and C_{3-20} alkynyl is optionally substituted with one or more substituents selected from the group consisting of halo, hydroxyl, oxo, carbonyl, amino, amido, cyano, aryl, heteroaryl, C_{1-6} alkyl, C_{3-15} cycloalkyl, C_{3-19} heterocyclyl, C_{1-20} alkylamino, $\text{di}(\text{C}_{1-20}$ alkyl)amino, C_{1-20} alkoxy, halo- C_{1-20} alkoxy, halo- C_{1-20} alkyl, thiol, C_{1-20} alkylthio, carboxylic acid, acylamino, C_{1-20} alkyl esters, carbamate, thioamido, urea, and sulfonamido; in particular R^2 is selected from the group consisting of C_{1-20} alkyl, C_{3-20} alkenyl, C_{3-20} alkynyl, C_{3-15} cycloalkyl, aryl, heteroaryl, and C_{3-19} heterocyclyl; wherein said C_{1-20} alkyl is optionally substituted with one or more substituents selected from the group consisting of halo, hydroxyl, oxo, carbonyl, amino, amido, cyano, aryl, heteroaryl, C_{3-15} cycloalkyl, C_{3-19} heterocyclyl, C_{1-20} alkylamino, $\text{di}(\text{C}_{1-20}$ alkyl)amino, C_{1-20} alkoxy, halo- C_{1-20} alkoxy, halo- C_{1-20} alkyl, thiol, C_{1-20} alkylthio, carboxylic acid, acylamino, C_{1-20} alkyl esters, carbamate, thioamido, urea, and sulfonamido;
- 20 • R^2 is selected from the group consisting of C_{1-20} alkyl, C_{3-20} alkenyl, C_{3-20} alkynyl, and aryl; wherein said C_{1-20} alkyl, C_{3-20} alkenyl, C_{3-20} alkynyl, and aryl is optionally substituted with one or more substituents selected from the group consisting of halo, hydroxyl, oxo, carbonyl, amino, amido, cyano, aryl, heteroaryl, C_{1-6} alkyl, C_{3-15} cycloalkyl, C_{3-19} heterocyclyl, C_{1-20} alkylamino, $\text{di}(\text{C}_{1-20}$ alkyl)amino, C_{1-20} alkoxy, halo- C_{1-20} alkoxy, halo- C_{1-20} alkyl, thiol, C_{1-20} alkylthio, carboxylic acid, acylamino, C_{1-20} alkyl esters, carbamate, thioamido, urea, and sulfonamido; in particular R^2 is selected from the group consisting of C_{1-20} alkyl, C_{3-20} alkenyl, C_{3-20} alkynyl, and aryl; wherein said C_{1-20} alkyl is optionally substituted with one or more substituents selected from the group consisting of halo, hydroxyl, oxo, carbonyl, amino, amido, cyano, aryl, heteroaryl, C_{3-15} cycloalkyl, C_{3-19} heterocyclyl, C_{1-20} alkylamino, $\text{di}(\text{C}_{1-20}$ alkyl)amino, C_{1-20} alkoxy, halo- C_{1-20} alkoxy, halo- C_{1-20} alkyl, thiol, C_{1-20} alkylthio, carboxylic acid, acylamino, C_{1-20} alkyl esters, carbamate, thioamido, urea, and sulfonamido;
- 30 • R^2 is selected from the group consisting of C_{1-6} alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, and aryl; wherein said C_{1-6} alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, and aryl is optionally substituted with one or more substituents selected from the group consisting of halo, hydroxyl, oxo, carbonyl, amino, amido, cyano, aryl, heteroaryl, C_{1-6} alkyl, C_{3-15} cycloalkyl, C_{3-19} heterocyclyl, C_{1-20} alkylamino, $\text{di}(\text{C}_{1-20}$ alkyl)amino, C_{1-20} alkoxy, halo- C_{1-20} alkoxy, halo- C_{1-20} alkyl, thiol, C_{1-20} alkylthio, carboxylic acid, acylamino, C_{1-20} alkyl esters, carbamate, thioamido, urea, and sulfonamido;
- 35 • R^2 is selected from the group consisting of C_{1-6} alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, and aryl; wherein said C_{1-6} alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, and aryl is optionally substituted with one or more substituents selected from the group consisting of halo, hydroxyl, oxo, carbonyl, amino, amido, cyano, aryl, heteroaryl, C_{1-6} alkyl, C_{3-15} cycloalkyl, C_{3-19} heterocyclyl, C_{1-20} alkylamino, $\text{di}(\text{C}_{1-20}$ alkyl)amino, C_{1-20} alkoxy, halo- C_{1-20} alkoxy, halo- C_{1-20} alkyl, thiol, C_{1-20} alkylthio, carboxylic acid, acylamino, C_{1-20} alkyl esters, carbamate, thioamido, urea, and sulfonamido;

- 20alkylamino, di(C₁₋₂₀alkyl)amino, C₁₋₂₀alkoxy, halo-C₁₋₂₀alkoxy, halo-C₁₋₂₀alkyl, thiol, C₁₋₂₀alkylthio, carboxylic acid, acylamino, C₁₋₂₀alkyl esters, carbamate, thioamido, urea, and sulfonamido; in particular selected from the group consisting of C₁₋₆alkyl, C₃₋₆alkenyl, and C₃₋₆alkynyl; wherein said C₁₋₆alkyl, C₃₋₆alkenyl, and C₃₋₆alkynyl is optionally substituted with
- 5 one or more substituents selected from the group consisting of halo, hydroxyl, oxo, carbonyl, amino, amido, cyano, aryl, heteroaryl, C₁₋₆alkyl, C₃₋₁₅cycloalkyl, C₃₋₁₉heterocyclyl, C₁₋₂₀alkylamino, di(C₁₋₂₀alkyl)amino, C₁₋₂₀alkoxy, halo-C₁₋₂₀alkoxy, halo-C₁₋₂₀alkyl, thiol, C₁₋₂₀alkylthio, carboxylic acid, acylamino, C₁₋₂₀alkyl esters, carbamate, thioamido, urea, and sulfonamido; more in particular R² is C₁₋₆alkyl optionally substituted with a substituent
- 10 selected from the group consisting of halo, hydroxyl, oxo, carbonyl, amino, amido, cyano, aryl, heteroaryl, C₁₋₆alkyl, C₃₋₁₅cycloalkyl, C₃₋₁₉heterocyclyl, C₁₋₂₀alkylamino, di(C₁₋₂₀alkyl)amino, C₁₋₂₀alkoxy, halo-C₁₋₂₀alkoxy, halo-C₁₋₂₀alkyl, thiol, C₁₋₂₀alkylthio, carboxylic acid, acylamino, C₁₋₂₀alkyl esters, carbamate, thioamido, urea, and sulfonamido; even more in particular R² is C₁₋₆alkyl.
- 15 • said one or more optional substituents for R² are selected from the group consisting of halo, hydroxyl, nitro, amino, cyano, aryl, heteroaryl, C₃₋₁₅cycloalkyl, C₃₋₁₉heterocyclyl, C₁₋₂₀alkylamino, di(C₁₋₂₀alkyl)amino, C₁₋₂₀alkoxy, and halo-C₁₋₂₀alkyl; in particular from the group consisting of cyano, C₃₋₆cycloalkyl, C₃₋₆heterocyclyl, C₁₋₆alkoxy, di(C₁₋₆alkyl)amino, aryl, and heteroaryl; more in particular from C₃₋₆heterocyclyl, and C₁₋₆alkoxy;
- 20 • said one or more optional substituents for R² are selected from C₃₋₁₉heterocyclyl and C₁₋₂₀alkoxy; more in particular from oxolanyl and methoxy;
- R¹ and R² taken together form a cyclic ester comprising 4 carbon atoms in the cyclic ester ring.
- 25 7. The compound according to claim 1, selected from the group consisting of
- methyl 4-({3-[2-(aminomethyl)-5-[(3-fluoropyridin-4-yl)carbamoyl]phenyl]phenyl}amido)benzoate;
- methyl 4-({3-[2-(aminomethyl)-5-[(3-fluoropyridin-4-yl)carbamoyl]phenyl]phenyl}amido)-3-fluorobenzoate;
- 30 • methyl 4-({3-[2-(aminomethyl)-5-[(3-fluoropyridin-4-yl)carbamoyl]phenyl]phenyl}amido)-2-fluorobenzoate;
- propyl 4-({3-[2-(aminomethyl)-5-[(3-fluoropyridin-4-yl)carbamoyl]phenyl]phenyl}amido)-3-fluorobenzoate;
- methyl 4-({3-[2-(aminomethyl)-5-[(3-fluoropyridin-4-yl)carbamoyl]phenyl]phenyl}amido)-2-methylbenzoate;
- 35 • propyl 4-({3-[2-(aminomethyl)-5-[(3-fluoropyridin-4-yl)carbamoyl]phenyl]phenyl}amido)-2-methylbenzoate;
- methyl 4-({3-[2-(aminomethyl)-5-[(3-fluoropyridin-4-yl)carbamoyl]phenyl]phenyl}carbamoyl)benzoate;

- methyl 6-({3-[2-(aminomethyl)-5-[(3-fluoropyridin-4-yl)carbamoyl]phenyl]phenyl}carbamoyl)pyridine-3-carboxylate;
- 2-methoxyethyl 4-({3-[2-(aminomethyl)-5-[(3-fluoropyridin-4-yl)carbamoyl]phenyl]phenyl}amido)benzoate;
- 5 • oxolan-2-ylmethyl 4-({3-[2-(aminomethyl)-5-[(3-fluoropyridin-4-yl)carbamoyl]phenyl]phenyl}amido)benzoate;
- 4-(aminomethyl)-N-(3-fluoropyridin-4-yl)-3-{3-[(1-oxo-1,3-dihydro-2-benzofuran-5-yl)carbamoyl]phenyl}benzamide; and
- 10 • N-{3-[2-(aminomethyl)-5-[(3-fluoropyridin-4-yl)carbamoyl]phenyl]phenyl}-1-oxo-1,3-dihydro-2-benzofuran-5-carboxamide.

8. A compound according to any one of claims 1 to 7 for use as a medicine.
9. A composition comprising a compound as defined in any one of claims 1 to 7, for use as a
15 human or veterinary medicine.
10. A compound according to any one of claims 1 to 7, or a composition according to claim 9, for use in the prevention and/or treatment of a disease or disorder, in which ROCK is involved, such as diseases linked to smooth muscle cell function, inflammation, fibrosis, excessive cell proliferation,
20 excessive angiogenesis, hyperreactivity, barrier dysfunction, neurodegeneration, and remodeling.
11. A compound according to any one of claims 1 to 7, or a composition according to claim 9, for use in the prevention and/or treatment of at least one disease or disorder selected from the group comprising eye diseases; airway diseases; throat, nose and ear diseases; intestinal diseases;
25 cardiovascular and vascular diseases; inflammatory diseases; neurological and CNS disorders: proliferative diseases; kidney diseases; sexual dysfunction; bone diseases; benign prostatic hyperplasia, transplant rejection, spasm, hypertension, chronic obstructive bladder disease, and allergy.
- 30 12. A compound according to any one of claims 1 to 7, or a composition according to claim 9, for use in the prevention and/or treatment of an eye disease; including but not limited to retinopathy, optic neuropathy, glaucoma, degenerative retinal diseases such as macular degeneration, retinitis pigmentosa and inflammatory eye diseases (such as anterior uveitis, panuveitis, intermediate uveitis and posterior uveitis), and/or for preventing, treating and/or alleviating complications and/or
35 symptoms associated therewith.

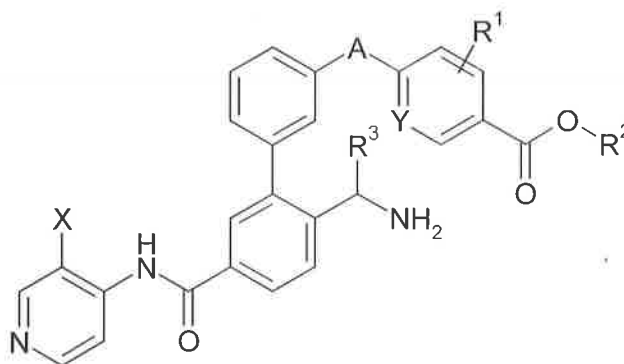
13. Use of a compound according to any one of claims 1 to 7, or a composition according to claim 9, for inhibiting the activity of at least one kinase, in vitro or in vivo.

5 14. Use of a compound according to any one of claims 1 to 7, or a composition according to claim 9, as a kinase inhibitor; in particular as a ROCK inhibitor, for example as a ROCKI and/or ROCKII inhibitor; more in particular as a soft ROCK inhibitor.

10 15. Use of a compound according to any one of claims 1 to 7, or a composition according to claim 9, for the prevention and/or treatment of at least one disease or disorder selected from the group comprising eye diseases; airway diseases; cardiovascular and vascular diseases; inflammatory diseases; neurological and CNS disorders; proliferative diseases; kidney diseases; sexual dysfunction; bone diseases; benign prostatic hyperplasia; transplant rejection; spasm; hypertension; chronic obstructive bladder disease; and allergy.

CLAIMS

1. A compound of Formula I or a stereoisomer, tautomer, racemic, or salt thereof,



I

Wherein,

X is hydrogen or halogen;

A is -NH-C(=O)- or -C(=O)-NH- ;

Y is N or C;

R^3 is selected from the group consisting of hydrogen, C_{1-20} alkyl and C_{3-15} cycloalkyl;

R^1 is selected from the group consisting of hydrogen, C_{1-20} alkyl, C_{1-20} alkoxy, and halogen; and

R^2 is selected from the group consisting of C_{1-20} alkyl, C_{3-20} alkenyl, C_{3-20} alkynyl, C_{3-15} cycloalkyl, aryl, heteroaryl, and C_{3-19} heterocyclyl; wherein said C_{1-20} alkyl, C_{3-20} alkenyl, C_{3-20} alkynyl, C_{3-15} cycloalkyl, aryl, heteroaryl, and C_{3-19} heterocyclyl is optionally substituted with one or more substituents selected from the group consisting of halo, hydroxyl, oxo, carbonyl, amino, amido, cyano, aryl, heteroaryl, C_{3-15} cycloalkyl, C_{3-19} heterocyclyl, C_{1-20} alkylamino, C_{1-6} alkyl, di(C_{1-20} alkyl)amino, C_{1-20} alkoxy, halo- C_{1-20} alkoxy, halo- C_{1-20} alkyl, thiol, C_{1-20} alkylthio, carboxylic acid, acylamino, C_{1-20} alkyl esters, carbamate, thioamido, urea, and sulfonamido; or R^2 taken together with R^1 forms a cyclic ester (lactone) comprising from 4 to 9 carbon atoms in the cyclic ester ring.

2. The compound according to claim 1 wherein R^3 is selected from the group consisting of hydrogen, C_{1-6} alkyl, and C_{3-6} cycloalkyl.

3. The compound according to claim 1 wherein

X is halogen;

A is -NH-C(=O)- or -C(=O)-NH- ;

Y is N or C;

R^3 is selected from the group consisting of hydrogen, C_{1-20} alkyl, and C_{3-15} cycloalkyl; R^1 is selected from the group consisting of hydrogen, C_{1-20} alkyl, C_{1-20} alkoxy, and halogen; and R^2 is selected from the group consisting of C_{1-20} alkyl, C_{3-20} alkenyl, C_{3-20} alkynyl, C_{3-15} cycloalkyl, aryl, heteroaryl, and C_{3-19} heterocyclyl; wherein said C_{1-20} alkyl, C_{3-20} alkenyl, C_{3-20} alkynyl, C_{3-15} cycloalkyl, aryl, heteroaryl, and C_{3-19} heterocyclyl is optionally substituted with one or more substituents selected from the group consisting of halo, hydroxyl, oxo, carbonyl, amino, amido, cyano, aryl, heteroaryl, C_{3-15} cycloalkyl, C_{3-19} heterocyclyl, C_{1-20} alkylamino, C_{1-6} alkyl, $\text{di}(\text{C}_{1-20}$ alkyl)amino, C_{1-20} alkoxy, halo- C_{1-20} alkoxy, halo- C_{1-20} alkyl, thiol, C_{1-20} alkylthio, carboxylic acid, acylamino, C_{1-20} alkyl esters, carbamate, thioamido, urea, and sulfonamido; or R^2 taken together with R^1 form a cyclic ester (lactone) comprising from 4 to 9 carbon atoms in the cyclic ester ring.

4. The compound according to claim 1, wherein R^1 is selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} alkoxy, and halogen.

5. The compound according to claim 1, wherein;

R^1 is selected from the group consisting of hydrogen, C_{1-20} alkyl, C_{1-20} alkoxy, and halogen; and

R^2 is selected from the group consisting of C_{1-20} alkyl, C_{3-20} alkenyl, C_{3-20} alkynyl, C_{3-15} cycloalkyl, aryl, heteroaryl, and C_{3-19} heterocyclyl; wherein said C_{1-20} alkyl, is optionally substituted with one or more substituents selected from the group consisting of halo, hydroxyl, oxo, carbonyl, amino, amido, cyano, aryl, heteroaryl, C_{1-6} alkyl, C_{3-15} cycloalkyl, C_{3-19} heterocyclyl, C_{1-20} alkylamino, $\text{di}(\text{C}_{1-20}$ alkyl)amino, C_{1-20} alkoxy, halo- C_{1-20} alkoxy, halo- C_{1-20} alkyl, thiol, C_{1-20} alkylthio, carboxylic acid, acylamino, C_{1-20} alkyl esters, carbamate, thioamido, urea, and sulfonamido; or R^2 taken together with R^1 form a cyclic ester (lactone) comprising from 4 to 5 carbon atoms in the cyclic ester ring.

6. The compound according to claim 1, wherein:

- X is halogen;
- A is $-\text{C}(=\text{O})-\text{NH}-$;
- Y is C;
- R^3 is hydrogen;
- R^1 is selected from the group consisting of hydrogen, C_{1-20} alkyl, and halogen; or
- R^1 is hydrogen; or
- R^1 is fluoro;
- R^2 is selected from the group consisting of C_{1-20} alkyl, C_{3-20} alkenyl, C_{3-20} alkynyl, C_{3-15} cycloalkyl, aryl, heteroaryl, and C_{3-19} heterocyclyl; wherein said C_{1-20} alkyl, C_{3-20} alkenyl, and C_{3-20} alkynyl is optionally substituted with one or more substituents selected from the group consisting of halo, hydroxyl, oxo, carbonyl, amino, amido, cyano, aryl, heteroaryl, C_{1-6} alkyl, C_{3-15} cycloalkyl, C_{3-19} heterocyclyl, C_{1-20} alkylamino, $\text{di}(\text{C}_{1-20}$ alkyl)amino, C_{1-20} alkoxy, halo- C_{1-20} alkoxy, halo- C_{1-20} alkyl, thiol, C_{1-20} alkylthio, carboxylic acid, acylamino, C_{1-20} alkyl esters, carbamate, thioamido, urea, and sulfonamido; or
- R^2 is selected from the group consisting of C_{1-20} alkyl, C_{3-20} alkenyl, C_{3-20} alkynyl, and aryl; wherein said C_{1-20} alkyl, C_{3-20} alkenyl, C_{3-20} alkynyl, and aryl is optionally substituted with one or more substituents selected from the group consisting of halo, hydroxyl, oxo, carbonyl, amino, amido, cyano, aryl, heteroaryl, C_{1-6} alkyl, C_{3-15} cycloalkyl, C_{3-19} heterocyclyl, C_{1-20} alkylamino, $\text{di}(\text{C}_{1-20}$ alkyl)amino, C_{1-20} alkoxy, halo- C_{1-20} alkoxy, halo- C_{1-20} alkyl, thiol, C_{1-20} alkylthio, carboxylic acid, acylamino, C_{1-20} alkyl esters, carbamate, thioamido, urea, and sulfonamido; or
- R^2 is selected from the group consisting of C_{1-6} alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, and aryl; wherein said C_{1-6} alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, and aryl is optionally substituted with one or more substituents selected from the group consisting of halo, hydroxyl, oxo, carbonyl, amino, amido, cyano, aryl, heteroaryl, C_{1-6} alkyl, C_{3-15} cycloalkyl, C_{3-19} heterocyclyl, C_{1-20} alkylamino, $\text{di}(\text{C}_{1-20}$ alkyl)amino, C_{1-20} alkoxy, halo- C_{1-20} alkoxy, halo- C_{1-20} alkyl, thiol, C_{1-20} alkylthio, carboxylic acid, acylamino, C_{1-20} alkyl esters, carbamate, thioamido, urea, and sulfonamido;

- said one or more optional substituents for R² are selected from the group consisting of halo, hydroxyl, nitro, amino, cyano, aryl, heteroaryl, C₃₋₁₅cycloalkyl, C₃₋₁₉heterocyclyl, C₁₋₂₀alkylamino, di(C₁₋₂₀alkyl)amino, C₁₋₂₀alkoxy, and halo-C₁₋₂₀alkyl; or
- said one or more optional substituents for R² are selected from C₃₋₁₉heterocyclyl and C₁₋₂₀alkoxy; or
- R¹ and R² taken together form a cyclic ester comprising 4 carbon atoms in the cyclic ester ring.

7. The compound according to claim 1, selected from the group consisting of

- methyl 4-({3-[2-(aminomethyl)-5-[(3-fluoropyridin-4-yl)carbamoyl]phenyl]phenyl}amido) benzoate;
- methyl 4-({3-[2-(aminomethyl)-5-[(3-fluoropyridin-4-yl)carbamoyl]phenyl]phenyl}amido)-3-fluorobenzoate;
- methyl 4-({3-[2-(aminomethyl)-5-[(3-fluoropyridin-4-yl)carbamoyl]phenyl]phenyl}amido)-2-fluorobenzoate;
- propyl 4-({3-[2-(aminomethyl)-5-[(3-fluoropyridin-4-yl)carbamoyl]phenyl]phenyl}amido)-3-fluorobenzoate;
- methyl 4-({3-[2-(aminomethyl)-5-[(3-fluoropyridin-4-yl)carbamoyl]phenyl]phenyl}amido)-2-methylbenzoate;
- propyl 4-({3-[2-(aminomethyl)-5-[(3-fluoropyridin-4-yl)carbamoyl]phenyl]phenyl}amido)-2-methylbenzoate;
- methyl 4-({3-[2-(aminomethyl)-5-[(3-fluoropyridin-4-yl)carbamoyl]phenyl]phenyl}carbamoyl) benzoate;
- methyl 6-({3-[2-(aminomethyl)-5-[(3-fluoropyridin-4-yl)carbamoyl]phenyl]phenyl}carbamoyl) pyridine-3-carboxylate;
- 2-methoxyethyl 4-({3-[2-(aminomethyl)-5-[(3-fluoropyridin-4-yl)carbamoyl]phenyl]phenyl} amido)benzoate;
- oxolan-2-ylmethyl 4-({3-[2-(aminomethyl)-5-[(3-fluoropyridin-4-yl)carbamoyl]phenyl]phenyl} amido)benzoate;

- 4-(aminomethyl)-N-(3-fluoropyridin-4-yl)-3-{3-[(1-oxo-1,3-dihydro-2-benzofuran-5-yl)carbamoyl]phenyl}benzamide; and
- N-{3-[2-(aminomethyl)-5-[(3-fluoropyridin-4-yl)carbamoyl]phenyl]phenyl}-1-oxo-1,3-dihydro-2-benzofuran-5-carboxamide.

9. A pharmaceutical composition comprising a compound as defined in claim 1 and one or more pharmaceutically acceptable carriers, diluents or excipients.