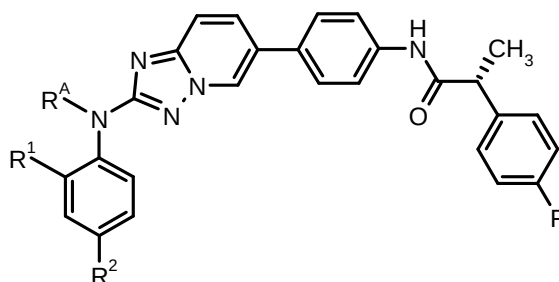


CLAIMS

1. A compound of general formula (I) :

5



(I)

in which :

10 R^A represents a group selected from:

$-C(=O)-(CH_2)_3-N(H)R^3$,

$-C(=O)-(CR^4R^5)-N(R^6)R^7$,

$-C(=O)-O-(CH_2)_2-N(H)R^3$,

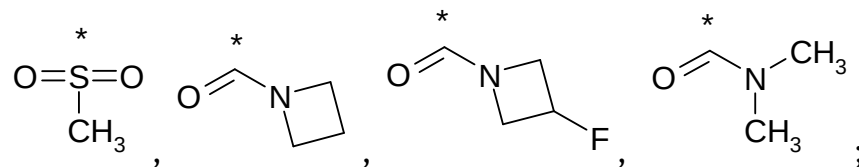
$-C(=O)-O-(CR^4R^5)-O-P(=O)(OH)_2$,

15 $-C(=O)-O-(CR^4R^5)-O-C(=O)-R^8$,

$-C(=O)-O-(CR^4R^5)-O-C(=O)-CH(R^6)-NH-C(=O)-R^9$;

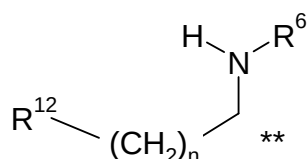
R^1 represents a group selected from methoxy- and 2,2,2-trifluoroethoxy-;

20 R^2 represents a group selected from:

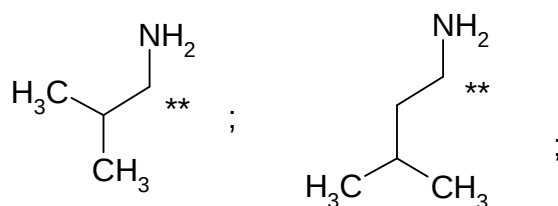


wherein "*" indicates the point of attachment to the phenyl ring R^2 is attached to;

- R^3 represents a group selected from:
 C_1 - C_6 -alkyl, C_3 - C_6 -cycloalkyl-,
 4- to 7-membered heterocycloalkyl-;
 said group being optionally substituted, one or more times, identically
 5 or differently, with a group selected from:
 $-OH$, $-NH_2$, $-N(H)R^{10}$, $-N(R^{10})R^{11}$, $-O-P(=O)(OH)_2$;
- R^4 and R^5 , independently from each other, represent a group selected from a
 hydrogen atom and a C_1 - C_3 -alkyl- group,
 10 or
 R^4 and R^5 , together with the carbon atom to which they are attached, form a
 C_3 - C_6 -cycloalkyl ring;
- R^6 represents a hydrogen atom or a C_1 - C_3 -alkyl- group;
 15
- R^7 represents a hydrogen atom or a group $-C(=O)R^9$;
- R^8 represents a group selected from:
 C_1 - C_6 -alkyl, C_3 - C_6 -cycloalkyl-,
 20 4- to 7-membered heterocycloalkyl-;
 said group being optionally substituted, one or more times, identically
 or differently, with a group selected from:
 $-OH$, $-NH_2$, $-N(H)R^{10}$, $-N(R^{10})R^{11}$, $-O-P(=O)(OH)_2$;
- 25 R^9 represents a group



or R⁹ represents a group selected from:



5 wherein "*" indicates the point of attachment to the carbonyl group R⁹ is attached to;

R¹⁰ and R¹¹, independently from each other, represent a group selected from a hydrogen atom and a C₁-C₃-alkyl- group, or

10

R¹⁰ and R¹¹, together with the nitrogen atom to which they are attached form a 4- to 7-membered heterocycloalkyl ring;

15 R¹² represents a group selected from a hydrogen atom, -OH, -NR¹⁰R¹¹, -NH-C(=NH)-NH₂;

n is an integer of 0, 1, 2, 3 or 4;

or a tautomer, or a salt thereof, or a mixture of same.

20

2. A compound according to claim 1, wherein :

R^A represents a group selected from:

25 -C(=O)-(CH₂)₃-N(H)R³,
 -C(=O)-O-(CR⁴R⁵)-O-C(=O)-R⁸,
 -C(=O)-O-(CR⁴R⁵)-O-C(=O)-CH(R⁶)-NH-C(=O)-R⁹.

or a tautomer, or a salt thereof, or a mixture of same.

3. A compound according to claim 1, wherein :

R^A represents a group:



or a tautomer, or a salt thereof, or a mixture of same.

5

4. A compound according to claim 1,2 or 3, wherein :

R¹ represents a methoxy- group,

or a tautomer, or a salt thereof, or a mixture of same.

10 5. A compound according to any one of claims 1 to 4, wherein :

R² represents a -S(=O)₂CH₃ group,

or a tautomer, or a salt thereof, or a mixture of same.

6. A compound according to any one of claims 1 to 5, wherein :

15 R³ represents a C₁-C₃-alkyl- group,

or a tautomer, or a salt thereof, or a mixture of same.

7. A compound according to any one of claims 1 to 6, wherein :

R⁴ represents a hydrogen atom or a C₁-C₃-alkyl- group, and

20 R⁵ represents a hydrogen atom,

or a tautomer, or a salt thereof, or a mixture of same.

8. A compound according to any one of claims 1 to 7, wherein :

25 R⁶ represents a hydrogen atom or a methyl- group,

or a tautomer, or a salt thereof, or a mixture of same.

9. A compound according to any one of claims 1 to 8, wherein :

R⁸ represents a group selected from:

30 C₁-C₆-alkyl, substituted one or more times, identically or differently,
with a group selected from: -NH₂, -N(H)R¹⁰, -N(R¹⁰)R¹¹,

4- to 7-membered heterocycloalkyl-, optionally substituted, one or more times, identically or differently, with a group selected from:

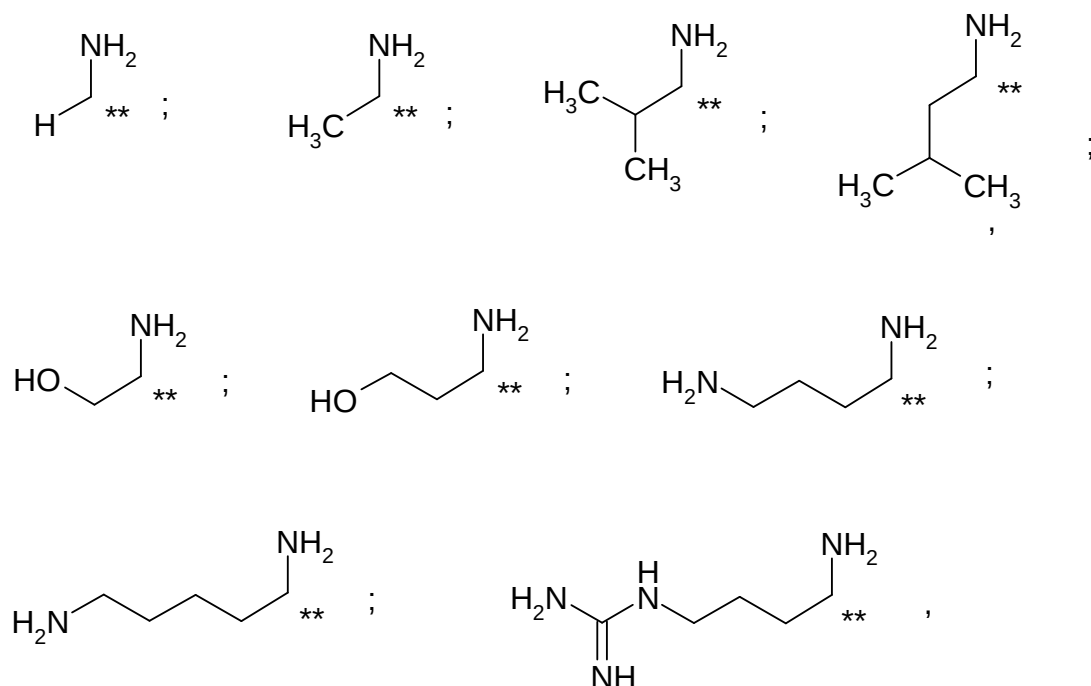
$-\text{NH}_2$, $-\text{N}(\text{H})\text{R}^{10}$, $-\text{N}(\text{R}^{10})\text{R}^{11}$,

or a tautomer, or a salt thereof, or a mixture of same.

5

10. A compound according to any one of claims 1 to 9, wherein :

R^9 represents a group selected from:



wherein "***" indicates the point of attachment to the carbonyl group R^9 is

10 attached to,

or a tautomer, or a salt thereof, or a mixture of same.

11. A compound according to any one of claims 1 to 10, wherein :

R^{10} and R^{11} , independently from each other, represent a group selected from a

15 hydrogen atom and a C_1 - C_3 -alkyl- group,

or a tautomer, or a salt thereof, or a mixture of same.

12. A compound according to any one of claims 1 to 11, wherein :

R^{12} represents a group $-\text{NR}^{10}\text{R}^{11}$,

20 or a tautomer, or a salt thereof, or a mixture of same.

13. A compound according to claim 1, which is selected from the group consisting of:

5 ({[6-(4-{{(2R)-2-(4-fluorophenyl)propanoyl}amino}phenyl)[1,2,4]triazolo[1,5-
α]pyridin-2-yl][2-methoxy-4-(methysulfonyl)phenyl]carbamoyl}oxy)methyl
piperidine-4-carboxylate trifluoroacetate,

10 ({[6-(4-{{(2R)-2-(4-fluorophenyl)propanoyl}amino}phenyl)[1,2,4]triazolo[1,5-
α]pyridin-2-yl][2-methoxy-4-(methysulfonyl)phenyl]carbamoyl}oxy)methyl L-
valinate hydrochloride,

15 ({[6-(4-{{(2R)-2-(4-fluorophenyl)propanoyl}amino}phenyl)[1,2,4]triazolo[1,5-
α]pyridin-2-yl][2-methoxy-4-(methysulfonyl)phenyl]carbamoyl}oxy)methyl L-
leucinate hydrochloride,

20 ({[6-(4-{{(2R)-2-(4-fluorophenyl)propanoyl}amino}phenyl)[1,2,4]triazolo[1,5-
α]pyridin-2-yl][2-methoxy-4-(methysulfonyl)phenyl]carbamoyl}oxy)methyl N-
methyl-L-valinate hydrochloride,

25 ({[6-(4-{{(2R)-2-(4-fluorophenyl)propanoyl}amino}phenyl)[1,2,4]triazolo[1,5-
α]pyridin-2-yl][2-methoxy-4-(methysulfonyl)phenyl]carbamoyl}oxy)methyl 3-
methyl-L-valinate hydrochloride,

30 (phosphonooxy)methyl [6-(4-{{(2R)-2-(4-
fluorophenyl)propanoyl}amino}phenyl)[1,2,4]triazolo[1,5-α]pyridin-2-yl][2-
methoxy-4-(methysulfonyl)phenyl]carbamate,

30 ({[6-(4-{{(2R)-2-(4-fluorophenyl)propanoyl}amino}phenyl)[1,2,4]triazolo[1,5-
α]pyridin-2-yl][2-methoxy-4-(methysulfonyl)phenyl]carbamoyl}oxy)methyl 3-
methyl-L-isovalinate hydrochloride,

({[6-(4-{[(2R)-2-(4-fluorophenyl)propanoyl]amino}phenyl)[1,2,4]triazolo[1,5-
α]pyridin-2-yl][2-methoxy-4-(methylsulfonyl)phenyl]carbamoyl}oxy)methyl 3-
amino-2,2-dimethylpropanoate trifluoroacetate,

5

({[6-(4-{[(2R)-2-(4-fluorophenyl)propanoyl]amino}phenyl)[1,2,4]triazolo[1,5-
α]pyridin-2-yl][2-methoxy-4-(methylsulfonyl)phenyl]carbamoyl}oxy)methyl L-
lysyl-L-valinate dihydrochloride,

10 (1RS)-1-({[6-(4-{[(2R)-2-(4-
fluorophenyl)propanoyl]amino}phenyl)[1,2,4]triazolo[1,5-α]pyridin-2-yl][2-
methoxy-4-(methylsulfonyl)phenyl]carbamoyl}oxy)ethyl L-lysyl-L-valinate
dihydrochloride (mixture of 2 epimers),

15 ({[6-(4-{[(2R)-2-(4-fluorophenyl)propanoyl]amino}phenyl)[1,2,4]triazolo[1,5-
α]pyridin-2-yl][2-methoxy-4-(methylsulfonyl)phenyl]carbamoyl}oxy)methyl L-
valyl-L-valinate hydrochloride,

(1RS)-1-({[6-(4-{[(2R)-2-(4-
20 fluorophenyl)propanoyl]amino}phenyl)[1,2,4]triazolo[1,5-α]pyridin-2-yl][2-
methoxy-4-(methylsulfonyl)phenyl]carbamoyl}oxy)ethyl L-valyl-L-valinate
hydrochloride (mixture of 2 epimers),

(1RS)-1-({[6-(4-{[(2R)-2-(4-
25 fluorophenyl)propanoyl]amino}phenyl)[1,2,4]triazolo[1,5-α]pyridin-2-yl][2-
methoxy-4-(methylsulfonyl)phenyl]carbamoyl}oxy)ethyl L-valinate
hydrochloride (mixture of 2 epimers),

(1RS)-1-({[6-(4-{[(2R)-2-(4-
30 fluorophenyl)propanoyl]amino}phenyl)[1,2,4]triazolo[1,5-α]pyridin-2-yl][2-

methoxy-4-(methylsulfonyl)phenyl]carbamoyl}oxy)ethyl 3-methyl-L-valinate
hydrochloride (mixture of 2 epimers),

(1R or 1S)-1-({[6-(4-{[(2R)-2-(4-
5 fluorophenyl)propanoyl]amino}phenyl)[1,2,4]triazolo[1,5- α]pyridin-2-yl][2-
methoxy-4-(methylsulfonyl)phenyl]carbamoyl}oxy)ethyl -3-methyl-L-valinate
hydrochloride (single stereoisomer A),

(1S or 1R)-1-({[6-(4-{[(2R)-2-(4-
10 fluorophenyl)propanoyl]amino}phenyl)[1,2,4]triazolo[1,5- α]pyridin-2-yl][2-
methoxy-4-(methylsulfonyl)phenyl]carbamoyl}oxy)ethyl -3-methyl-L-valinate
hydrochloride (single stereoisomer B),

(1RS)-1-({[6-(4-{[(2R)-2-(4-
15 fluorophenyl)propanoyl]amino}phenyl)[1,2,4]triazolo[1,5- α]pyridin-2-yl][2-
methoxy-4-(methylsulfonyl)phenyl]carbamoyl}oxy)ethyl-L-isoleucinate
hydrochloride (mixture of 2 epimers),

(1S or 1R)-1-({[6-(4-{[(2R)-2-(4-
20 fluorophenyl)propanoyl]amino}phenyl)[1,2,4]triazolo[1,5- α]pyridin-2-yl][2-
methoxy-4-(methylsulfonyl)phenyl]carbamoyl}oxy)ethyl-L-isoleucinate
hydrochloride (single stereoisomer B),

(1R or 1S)-1-({[6-(4-{[(2R)-2-(4-
25 fluorophenyl)propanoyl]amino}phenyl)[1,2,4]triazolo[1,5- α]pyridin-2-yl][2-
methoxy-4-(methylsulfonyl)phenyl]carbamoyl}oxy)ethyl-L-isoleucinate
hydrochloride (single stereoisomer A),

N-[6-(4-{[(2R)-2-(4-fluorophenyl)propanoyl]amino}phenyl)[1,2,4] triazolo[1,5- α]pyridin-2-yl]-N-[2-methoxy-4-(methylsulfonyl)phenyl]-4-(methylamino)butanamide trifluoroacetate,

- 5 N-[6-(4-{[(2R)-2-(4-fluorophenyl)propanoyl]amino}phenyl)[1,2,4] triazolo[1,5- α]pyridin-2-yl]-N-[2-methoxy-4-(methylsulfonyl)phenyl]-4-(methylamino)butanamide hydrochloride,

- (1RS)-1-({[6-(4-{[(2R)-2-(4-fluorophenyl)propanoyl]amino}phenyl)[1,2,4]triazolo[1,5- α]pyridin-2-yl][2-methoxy-4-(methylsulfonyl)phenyl]carbamoyl}oxy)-2-methylpropyl 3-methyl-L-valinate hydrochloride (mixture of 2 epimers),
- 10

- (1R or S)-1-({[6-(4-{[(2R)-2-(4-fluorophenyl)propanoyl]amino}phenyl)[1,2,4]triazolo[1,5- α]pyridin-2-yl][2-methoxy-4-(methylsulfonyl)phenyl]carbamoyl}oxy)-2-methylpropyl-L-valinate hydrochloride (single stereoisomer A),
- 15

- (1S or R)-1-({[6-(4-{[(2R)-2-(4-fluorophenyl)propanoyl]amino}phenyl)[1,2,4]triazolo[1,5- α]pyridin-2-yl][2-methoxy-4-(methylsulfonyl)phenyl]carbamoyl}oxy)-2-methylpropyl-L-valinate hydrochloride (single stereoisomer B),
- 20

- (1RS)-1-({[6-(4-{[(2R)-2-(4-fluorophenyl)propanoyl]amino}phenyl)[1,2,4]triazolo[1,5- α]pyridin-2-yl][2-methoxy-4-(methylsulfonyl)phenyl]carbamoyl}oxy)-2-methylpropyl-L-valinate hydrochloride (mixture of 2 epimers),
- 25

- (1RS)-1-({[6-(4-{[(2R)-2-(4-fluorophenyl)propanoyl]amino}phenyl)[1,2,4]triazolo[1,5- α]pyridin-2-yl][2-
- 30

methoxy-4-(methylsulfonyl)phenyl]carbamoyl}oxy)-2-methylpropyl-2-methylalaninate hydrochloride (mixture of 2 epimers),

5 ({[6-(4-{[(2R)-2-(4-fluorophenyl)propanoyl]amino}phenyl)[1,2,4]triazolo[1,5-
α]pyridin-2-yl][4-(methylsulfonyl)-2-(2,2,2-trifluoroethoxy)phenyl]carbamoyl}oxy)methyl 3-methyl-L-valinate
hydrochloride,

10 ({[6-(4-{[(2R)-2-(4-fluorophenyl)propanoyl]amino}phenyl)[1,2,4]triazolo[1,5-
α]pyridin-2-yl][4-(methylsulfonyl)-2-(2,2,2-trifluoroethoxy)phenyl]carbamoyl}oxy)methyl L-valinate hydrochloride,

15 ([{4-[(3-fluoroazetidin-1-yl)carbonyl]-2-(2,2,2-trifluoroethoxy)phenyl}[6-(4-{
[(2R)-2-(4-fluorophenyl)propanoyl]amino}phenyl)[1,2,4]triazolo[1,5-α]pyridin-
2-yl]carbamoyl}oxy)methyl 3-methyl-L-valinate hydrochloride,

20 2-(methylamino)ethyl [6-(4-{[(2R)-2-(4-fluorophenyl)propanoyl]amino}phenyl)[1,2,4]triazolo[1,5-α]pyridin-2-yl][2-methoxy-4-(methylsulfonyl)phenyl]carbamate hydrochloride,

(2R)-2-(4-fluorophenyl)-N-[4-(2-{[2-methoxy-4-(methylsulfonyl)phenyl](N-methylglycyl)amino}[1,2,4]triazolo[1,5-α]pyridin-6-yl)phenyl]propanamide
trifluoroacetate;

25 or a tautomer, or a salt thereof, or a mixture of same.

14. A compound according to any one of claims 1 to 13, or a tautomer, or a salt thereof, particularly a pharmaceutically acceptable salt thereof, or a mixture of same, for use in the treatment or prophylaxis of a disease.

30

15. A pharmaceutical composition comprising a compound according to any one of claims 1 to 13, or a tautomer, or a salt thereof, particularly a pharmaceutically acceptable salt thereof, or a mixture of same, and a pharmaceutically acceptable diluent or carrier.

5

16. The compound according to claim 14, wherein said disease is a haematological tumour, a solid tumour and/or metastases thereof, *e.g.* leukaemias and myelodysplastic syndrome, malignant lymphomas, head and neck tumours including brain tumours and brain metastases, tumours of the thorax including non-small cell and small cell lung tumours, gastrointestinal tumours, endocrine tumours, mammary and other gynaecological tumours, urological tumours including renal, bladder and prostate tumours, skin tumours, and sarcomas, and/or metastases thereof.

15 17. The use of a compound of any one of claims 1 to 13, or a tautomer, or a salt thereof, particularly a pharmaceutically acceptable salt thereof, or a mixture of same, in the manufacture of a medicament for the prophylaxis or treatment of a haematological tumour, a solid tumour and/or metastases thereof, *e.g.* leukaemias and myelodysplastic syndrome, malignant lymphomas, head and neck tumours including brain tumours and brain metastases, tumours of the thorax including non-small cell and small cell lung tumours, gastrointestinal tumours, endocrine tumours, mammary and other gynaecological tumours, urological tumours including renal, bladder and prostate tumours, skin tumours, and sarcomas, and/or metastases thereof.

25