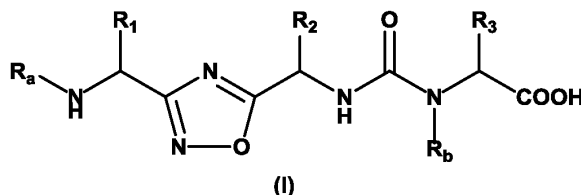


We claim:

1. A compound of formula (I):



or a pharmaceutically acceptable salt or an amide or an ester, or a stereoisomer thereof;

5 wherein,

R_a is hydrogen or acyl; and R_1 represents hydrogen, $-(CH_2)_2CONH_2$, $-(CH_2)_2COOH$, $-CH_2COOH$, $-(CH_2)_3NH(C=NH)NH_2$, $-(CH_2)_4NH_2$, $-CH_2CONH_2$, $-CH(CH_3)-CH_2-CH_3$, $-CH_2$ -aryl, or $-CH_2$ -heteroaryl; wherein the said aryl and heteroaryl are unsubstituted; or R_a and R_1 , together with the atoms to which they are attached form pyrrolidine ring optionally substituted with oxo group;

R_2 represents hydrogen, $-CH_2-OH$, $-(CH_2)_3NHC(=NH)NH_2$, $-(CH_2)_2CONH_2$, $-(CH_2)_2COOH$, $-CH_2$ -aryl, or $-CH_2$ -heteroaryl; wherein the said aryl and heteroaryl are unsubstituted;

R_b is hydrogen; and R_3 represents hydrogen, $-CH_2$ -aryl, $-(CH_2)_3NHC(=NH)NH_2$, $-CH_2COOH$, $-CH(CH_3)-CH_2-CH_3$, $-CH_2-CH(CH_3)_2$, $-(CH_2)_2CONH_2$, $-(CH_2)_2COOH$, $-(CH_2)_4NH_2$ or $-CH_2$ -heteroaryl; wherein the said aryl and heteroaryl are unsubstituted; or R_b and R_3 , together with the atoms to which they are attached form pyrrolidine ring.

2. The compound of claim 1 wherein,

R_a is hydrogen; and R_1 represents hydrogen, $-(CH_2)_2CONH_2$, $-(CH_2)_2COOH$, $-(CH_2)_3NH(C=NH)NH_2$, $-(CH_2)_4NH_2$, $-CH_2CONH_2$, $-CH_2$ -aryl, or $-CH_2$ -heteroaryl; wherein the said aryl and heteroaryl are unsubstituted; or R_a and R_1 , together with the atoms to which they are attached form pyrrolidine ring;

R_2 represents hydrogen, $-(CH_2)_3NHC(=NH)NH_2$, $-(CH_2)_2CONH_2$, $-(CH_2)_2COOH$, $-CH_2$ -aryl, or $-CH_2$ -heteroaryl; wherein the said aryl and heteroaryl are unsubstituted;

R_b is hydrogen; and R_3 represents hydrogen, $-\text{CH}_2\text{-aryl}$, $-(\text{CH}_2)_3\text{NHC(=NH)NH}_2$, $-(\text{CH}_2)_2\text{CONH}_2$, $-(\text{CH}_2)_2\text{COOH}$, $-(\text{CH}_2)_4\text{NH}_2$ or $-\text{CH}_2\text{-heteroaryl}$; wherein the said aryl and heteroaryl are unsubstituted; or R_b and R_3 , together with the atoms to which they are attached form pyrrolidine ring.

- 5 3. The compound of claim 1, wherein R_1 represents hydrogen, $-(\text{CH}_2)_2\text{CONH}_2$, $-(\text{CH}_2)_2\text{COOH}$, $-(\text{CH}_2)_3\text{NH(C=NH)NH}_2$, $-(\text{CH}_2)_4\text{NH}_2$, $-\text{CH}_2\text{CONH}_2$, $-\text{CH(CH}_3\text{)-CH}_2\text{-CH}_3$, $-\text{CH}_2\text{-phenyl}$, $-\text{CH}_2\text{-indolyl}$, or $-\text{CH}_2\text{-imidazolyl}$.
4. The compound of claim 1, wherein R_1 represents hydrogen, $-(\text{CH}_2)_2\text{CONH}_2$, $-(\text{CH}_2)_2\text{COOH}$, $-(\text{CH}_2)_3\text{NH(C=NH)NH}_2$, $-(\text{CH}_2)_4\text{NH}_2$, $-\text{CH}_2\text{CONH}_2$, $-\text{CH}_2\text{-phenyl}$, or $-\text{CH}_2\text{-imidazolyl}$.
10
5. The compound of claim 1, wherein R_1 represents $-(\text{CH}_2)_2\text{CONH}_2$, $-(\text{CH}_2)_2\text{COOH}$, $-(\text{CH}_2)_3\text{NH(C=NH)NH}_2$, $-(\text{CH}_2)_4\text{NH}_2$, $-\text{CH}_2\text{CONH}_2$, $-\text{CH}_2\text{-phenyl}$, or $-\text{CH}_2\text{-imidazolyl}$.
6. The compound of any one of claims 1 to 5, wherein R_2 represents hydrogen, $-\text{CH}_2\text{-OH}$, $-(\text{CH}_2)_3\text{NHC(=NH)NH}_2$, $-(\text{CH}_2)_2\text{CONH}_2$, $-(\text{CH}_2)_2\text{COOH}$, $-\text{CH}_2\text{-phenyl}$, $-\text{CH}_2\text{-indolyl}$, or $-\text{CH}_2\text{-imidazolyl}$.
15
7. The compound of any one of claims 1 to 5, wherein R_2 represents hydrogen, $-(\text{CH}_2)_3\text{NHC(=NH)NH}_2$, $-(\text{CH}_2)_2\text{CONH}_2$, $-(\text{CH}_2)_2\text{COOH}$, $-\text{CH}_2\text{-phenyl}$, or $-\text{CH}_2\text{-imidazolyl}$.
8. The compound of any one of claims 1 to 5, wherein R_2 represents hydrogen, $-(\text{CH}_2)_3\text{NHC(=NH)NH}_2$, $-(\text{CH}_2)_2\text{CONH}_2$, $-(\text{CH}_2)_2\text{COOH}$, or $-\text{CH}_2\text{-phenyl}$.
- 20 9. The compound of any one of claims 1 to 8, wherein R_3 represents hydrogen, $-(\text{CH}_2)_3\text{NHC(=NH)NH}_2$, $-\text{CH}_2\text{COOH}$, $-\text{CH(CH}_3\text{)-CH}_2\text{-CH}_3$, $-\text{CH}_2\text{-CH(CH}_3\text{)}_2$, $-(\text{CH}_2)_2\text{CONH}_2$, $-(\text{CH}_2)_2\text{COOH}$, $-(\text{CH}_2)_4\text{NH}_2$, $-\text{CH}_2\text{-phenyl}$, $-\text{CH}_2\text{-indolyl}$, or $-\text{CH}_2\text{-imidazolyl}$.
10. The compound of any one of claims 1 to 8, wherein R_3 represents hydrogen, $-\text{CH}_2\text{-phenyl}$, $-(\text{CH}_2)_3\text{NHC(=NH)NH}_2$, $-(\text{CH}_2)_2\text{CONH}_2$, $-(\text{CH}_2)_2\text{COOH}$, $-\text{CH(CH}_3\text{)-CH}_2\text{-CH}_3$, $-\text{CH}_2\text{-CH(CH}_3\text{)}_2$, $-(\text{CH}_2)_4\text{NH}_2$ or $-\text{CH}_2\text{-imidazolyl}$.
25
11. The compound of any one of claims 1 to 8, wherein R_3 represents hydrogen, $-\text{CH}_2\text{-phenyl}$, $-(\text{CH}_2)_3\text{NHC(=NH)NH}_2$, $-(\text{CH}_2)_2\text{CONH}_2$, $-(\text{CH}_2)_2\text{COOH}$, $-(\text{CH}_2)_4\text{NH}_2$ or $-\text{CH}_2\text{-imidazolyl}$.

12. The compound of claim 1, wherein R_b and R_3 , together with the atoms to which they are attached form pyrrolidine ring.

13. The compound of claim 1, represented by compound of formula (I), wherein,

R_a is hydrogen or acyl; and R_1 represents hydrogen, $-\text{CH}_2\text{COOH}$, $-(\text{CH}_2)_3\text{NH}(\text{C}=\text{NH})\text{NH}_2$,
5 $-\text{CH}_2\text{CONH}_2$, $-\text{CH}(\text{CH}_3)-\text{CH}_2-\text{CH}_3$, or $-\text{CH}_2$ -heteroaryl; or R_a and R_1 , together with the atoms to which they are attached form pyrrolidine ring optionally substituted with oxo group;

R_2 represents hydrogen, $-\text{CH}_2\text{-OH}$ or $-\text{CH}_2$ -heteroaryl;

R_b is hydrogen; and R_3 represents $-\text{CH}_2$ -aryl, $-\text{CH}_2\text{COOH}$, $-\text{CH}(\text{CH}_3)-\text{CH}_2-\text{CH}_3$, $-\text{CH}_2$ -
 $\text{CH}(\text{CH}_3)_2$, $-(\text{CH}_2)_2\text{COOH}$, or $-(\text{CH}_2)_4\text{NH}_2$.

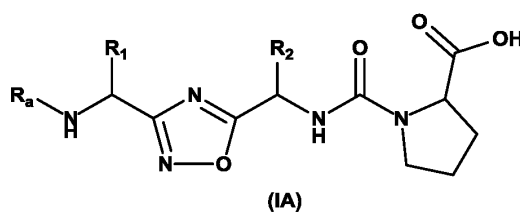
10 14. The compound of claim 1, represented by compound of formula (I),
wherein,

R_a is hydrogen; and R_1 represents $-(\text{CH}_2)_2\text{CONH}_2$, $-(\text{CH}_2)_4\text{NH}_2$, $-(\text{CH}_2)_3\text{NH}(\text{C}=\text{NH})\text{NH}_2$
or $-\text{CH}_2$ -heteroaryl;

R_2 represents $-(\text{CH}_2)_3\text{NHC}(\text{=NH})\text{NH}_2$, $-(\text{CH}_2)_2\text{CONH}_2$, $-(\text{CH}_2)_2\text{COOH}$, or $-\text{CH}_2$ -aryl;

15 R_b is hydrogen; and R_3 represents hydrogen, $-(\text{CH}_2)_2\text{CONH}_2$, or $-\text{CH}_2$ -heteroaryl; or R_b
and R_3 , together with the atoms to which they are attached form pyrrolidine ring.

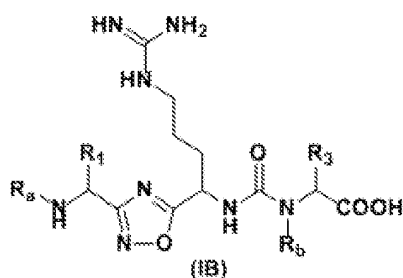
15. The compound of claim 1, represented by compound of formula (IA):



or a pharmaceutically acceptable salt or an amide or an ester, or a stereoisomer thereof;
20 wherein, R_1 , R_a and R_2 are as defined in claim 1.

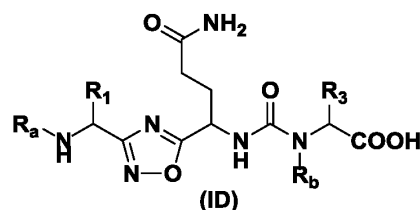
16. The compound of claim 15, wherein R_1 represents hydrogen, $-\text{CH}_2\text{-COOH}$, $-\text{CH}_2$ -
 CONH_2 , $-\text{CH}(\text{CH}_3)-\text{CH}_2-\text{CH}_3$, $-(\text{CH}_2)_2\text{CONH}_2$, $-(\text{CH}_2)_2\text{COOH}$, $-(\text{CH}_2)_3\text{NH}(\text{C}=\text{NH})\text{NH}_2$, $-$
 $(\text{CH}_2)_4\text{NH}_2$, $-\text{CH}_2$ -phenyl, $-\text{CH}_2$ -indolyl or $-\text{CH}_2$ -imidazolyl.

17. The compound of claim 15, wherein R_1 represents $-(CH_2)_2CONH_2$ or $-(CH_2)_2COOH$.
18. The compound of claim 15, wherein R_2 represents hydrogen, $-CH_2-OH$, $-(CH_2)_3NHC(=NH)NH_2$, $-(CH_2)_2CONH_2$, $-(CH_2)_2COOH$, $-CH_2$ -phenyl, or $-CH_2$ -imidazolyl.
19. The compound of claim 15, wherein,
- 5 R_a is hydrogen; and R_1 represents hydrogen, $-CH_2-COOH$, $-CH_2-CONH_2$, $-CH(CH_3)-CH_2-CH_3$, $-(CH_2)_2CONH_2$, $-(CH_2)_2COOH$, $-(CH_2)_3NH(C=NH)NH_2$, $-(CH_2)_4NH_2$, $-CH_2$ -phenyl, $-CH_2$ -indolyl or $-CH_2$ -imidazolyl;
- R_2 represents hydrogen, $-CH_2-OH$, $-(CH_2)_2CONH_2$, $-(CH_2)_3NHC(=NH)NH_2$, $-(CH_2)_2COOH$ or $-CH_2$ -phenyl.
- 10 20. The compound of claim 1, represented by compound of formula (IB):



- or a pharmaceutically acceptable salt or an amide or an ester, or a stereoisomer thereof; wherein, R_1 , R_a , R_b and R_3 are as defined in claim 1.
21. The compound of claim 20, wherein, R_1 represents hydrogen, $-CH_2-COOH$, $-CH_2-CONH_2$, $-CH(CH_3)-CH_2-CH_3$, $-(CH_2)_2CONH_2$, $-(CH_2)_2COOH$, $-(CH_2)_3NH(C=NH)NH_2$, $-(CH_2)_4NH_2$, $-CH_2$ -indolyl, $-CH_2$ -imidazolyl or $-CH_2$ -phenyl.
22. The compound of claim 20, wherein, R_1 represents hydrogen, $-(CH_2)_2CONH_2$, $-(CH_2)_2COOH$, $-(CH_2)_3NH(C=NH)NH_2$, $-(CH_2)_4NH_2$, or $-CH_2$ -phenyl.
23. The compound of claim 20, wherein, R_b is hydrogen; R_3 represents hydrogen, $-CH_2-COOH$, $-CH_2-CH(CH_3)_2$, $-CH(CH_3)-CH_2-CH_3$, $-(CH_2)_4NH_2$, $-(CH_2)_2CONH_2$, $-(CH_2)_2COOH$, $-CH_2$ -phenyl, $-CH_2$ -indolyl or $-CH_2$ -imidazolyl; or R_b and R_3 , together with the atoms to which they are attached form pyrrolidine ring.
- 20

29. The compound of claim 1, represented by compound of formula (ID):



or a pharmaceutically acceptable salt or an amide or an ester, or a stereoisomer thereof;
wherein, R₁, R_a, R₃ and R_b are as defined in claim 1.

30. The compound of claim 29, wherein R₁ represents -(CH₂)₃NH(C=NH)NH₂, -(CH₂)₄NH₂, or -CH₂CONH₂.

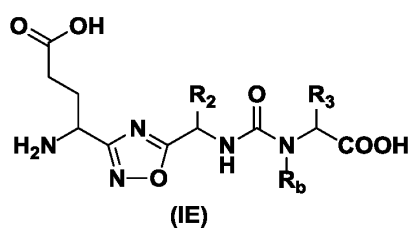
31. The compound of claim 29, wherein R_b is hydrogen; R₃ represents hydrogen, -(CH₂)₃NHC(=NH)NH₂, or -(CH₂)₄NH₂; or R_b and R₃, together with the atoms to which they are attached form pyrrolidine ring.

32. The compound of claim 29, wherein;

R₁ represents -(CH₂)₃NH(C=NH)NH₂, -(CH₂)₄NH₂, or -CH₂CONH₂;

R_b is hydrogen; R₃ represents hydrogen, -(CH₂)₃NHC(=NH)NH₂, or -(CH₂)₄NH₂; or R_b and R₃, together with the atoms to which they are attached form pyrrolidine ring.

33. The compound of claim 1, represented by compound of formula (IE):



or a pharmaceutically acceptable salt or an amide or an ester, or a stereoisomer thereof;
wherein, R₂, R₃ and R_b are as defined in claim 1.

34. The compound of claim 33, wherein R₂ represents hydrogen, -CH₂-OH, -(CH₂)₂COOH, -(CH₂)₃NHC(=NH)NH₂, -CH₂-phenyl or -CH₂-indolyl.

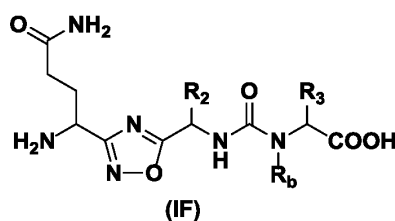
35. The compound of claim 33, wherein, R_3 represents hydrogen, $-\text{CH}_2\text{-COOH}$, $-(\text{CH}_2)_2\text{COOH}$, $-\text{CH}_2\text{-CH}(\text{CH}_3)_2$, $-\text{CH}(\text{CH}_3)\text{-CH}_2\text{-CH}_3$, $-(\text{CH}_2)_4\text{NH}_2$, $-\text{CH}_2\text{-phenyl}$, $-\text{CH}_2\text{-indolyl}$, or $-\text{CH}_2\text{-imidazolyl}$ or R_b and R_3 , together with the atoms to which they are attached form pyrrolidine ring.

5 36. The compound of claim 33, wherein;

R_2 represents hydrogen, $-\text{CH}_2\text{-OH}$, $-(\text{CH}_2)_2\text{COOH}$, $-(\text{CH}_2)_3\text{NHC(=NH)NH}_2$, $-\text{CH}_2\text{-phenyl}$ or $-\text{CH}_2\text{-indolyl}$;

R_3 represents hydrogen, $-\text{CH}_2\text{-COOH}$, $-(\text{CH}_2)_2\text{COOH}$, $-\text{CH}_2\text{-CH}(\text{CH}_3)_2$, $-\text{CH}(\text{CH}_3)\text{-CH}_2\text{-CH}_3$, $-(\text{CH}_2)_4\text{NH}_2$, $-\text{CH}_2\text{-phenyl}$, $-\text{CH}_2\text{-indolyl}$, or $-\text{CH}_2\text{-imidazolyl}$ or R_b and R_3 , together with the atoms to which they are attached form pyrrolidine ring.

37. The compound of claim 1, represented by compound of formula (IF):



or a pharmaceutically acceptable salt or an amide or an ester, or a stereoisomer thereof; wherein, R_2 , R_3 and R_b are as defined in claim 1.

15 38. The compound of claim 37, wherein R_2 represents hydrogen, $-\text{CH}_2\text{-phenyl}$, $-(\text{CH}_2)_3\text{NHC(=NH)NH}_2$, or $-(\text{CH}_2)_2\text{COOH}$.

39. The compound of claim 37, wherein R_3 represents $-\text{CH}_2\text{-phenyl}$, $-(\text{CH}_2)_2\text{CONH}_2$, $-(\text{CH}_2)_2\text{COOH}$, or $-(\text{CH}_2)_4\text{NH}_2$.

40. The compound of claim 37, wherein,

20 R_2 represents hydrogen, $-\text{CH}_2\text{-phenyl}$, $-(\text{CH}_2)_3\text{NHC(=NH)NH}_2$, or $-(\text{CH}_2)_2\text{COOH}$;

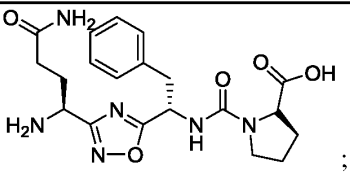
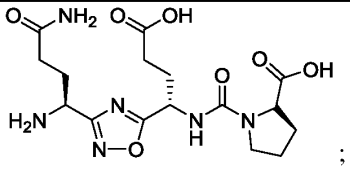
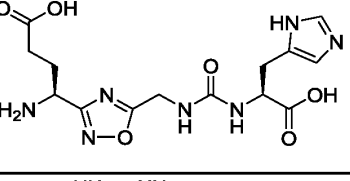
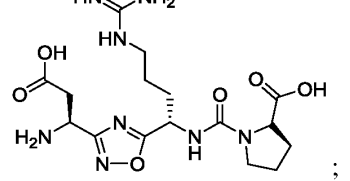
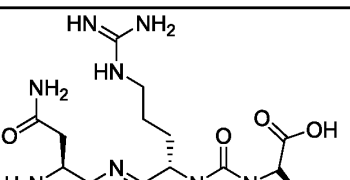
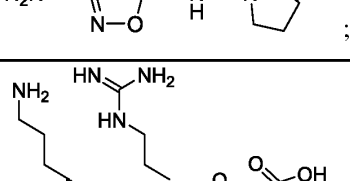
R_3 represents $-\text{CH}_2\text{-phenyl}$, $-(\text{CH}_2)_2\text{CONH}_2$, $-(\text{CH}_2)_2\text{COOH}$, or $-(\text{CH}_2)_4\text{NH}_2$.

41. A compound is selected from,

Compound	Structure
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Compound	Structure
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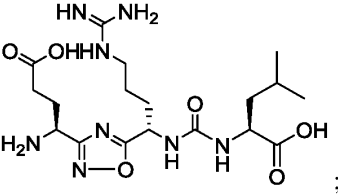
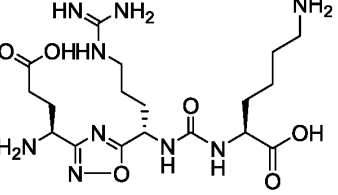
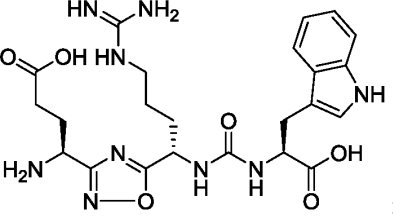
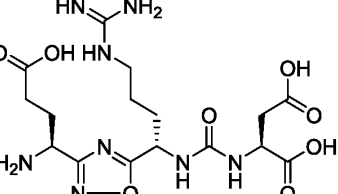
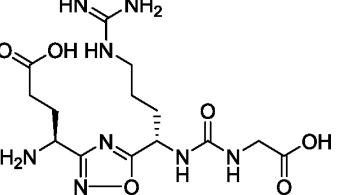
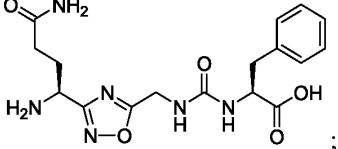
Compound	Structure
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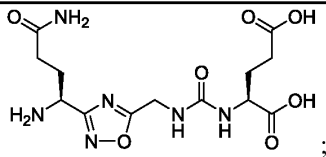
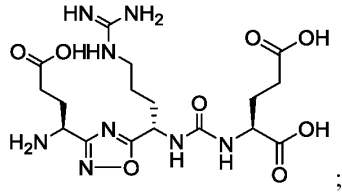
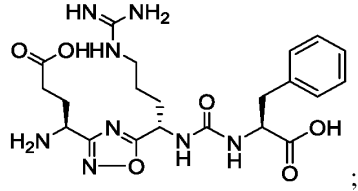
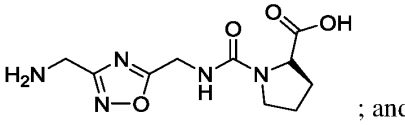
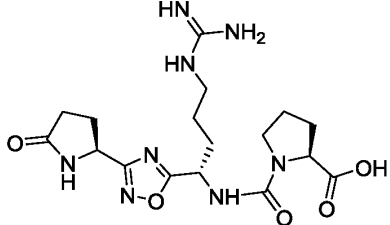
Compound	Structure
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Compound	Structure
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Compound	Structure
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Compound	Structure
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Compound	Structure
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Compound	Structure
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or a pharmaceutically acceptable salt or an amide or an ester, or a stereoisomer thereof.

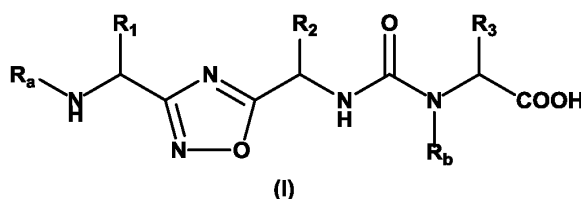
42. A pharmaceutical composition comprising a compound of formula (I) according to any one of claims 1-41, and a pharmaceutically acceptable carrier.
43. A compound of any one of claims 1-41 for use as a medicament.
- 5 44. A method of modulating macrophage phagocytic activity towards a cancer cell or tumor cell in an individual comprising administering to the said individual an effective amount of a compound of formula (I) according to any one of claims 1 – 41.

45. The method of claim 44, wherein the cancer cell is the cell of cancer selected from melanoma, renal cancer, prostate cancer, breast cancer, colon cancer and lung cancer, bone cancer, pancreatic cancer, skin cancer, cancer of the head or neck, cutaneous or intraocular malignant melanoma, uterine cancer, ovarian cancer, rectal cancer, cancer of the anal region, stomach cancer, testicular cancer, carcinoma of the fallopian tubes, carcinoma of the endometrium, carcinoma of the cervix, carcinoma of the vagina, carcinoma of the vulva, Hodgkin's Disease, non-Hodgkin's lymphoma, cancer of the esophagus, cancer of the small intestine, cancer of the endocrine system, cancer of the thyroid gland, cancer of the parathyroid gland, cancer of the adrenal gland, sarcoma of soft tissue, cancer of the urethra, cancer of the penis, chronic or acute leukemias including acute myeloid leukemia (AML), chronic myeloid leukemia, acute lymphoblastic leukemia, chronic lymphocytic leukemia, solid tumours of childhood, lymphocytic lymphoma, cancer of the bladder, cancer of the kidney or ureter, carcinoma of the renal pelvis, neoplasm of the central nervous system (CNS), non-small cell lung cancer (NSCLC), primary CNS lymphoma, tumour angiogenesis, spinal axis tumour, brain stem glioma, pituitary adenoma, Kaposi's sarcoma, epidermoid cancer, squamous cell cancer, T-cell lymphoma, B-cell lymphomas, environmentally induced cancers including those induced by asbestos (e.g., mesothelioma), and combinations of said cancers.
46. The method of claim 44, wherein the cancer cell is an AML cell.
47. A method for treating or delaying progression of diseases or disorders mediated by CD47 in an individual, the method comprising administering to the said individual an effective amount of a compound of formula (I) according to any one of claims 1 – 41.
48. The method of claim 47, wherein the disease or disorder mediated by CD47 is cancer.
49. The method of claim 48, wherein the cancer is selected from melanoma, renal cancer, prostate cancer, breast cancer, colon cancer and lung cancer, bone cancer, pancreatic cancer, skin cancer, cancer of the head or neck, cutaneous or intraocular malignant melanoma, uterine cancer, ovarian cancer, rectal cancer, cancer of the anal region, stomach cancer, testicular cancer, carcinoma of the fallopian tubes, carcinoma of the endometrium, carcinoma of the cervix, carcinoma of the vagina, carcinoma of the vulva, Hodgkin's Disease, non-Hodgkin's lymphoma, cancer of the esophagus, cancer of the small intestine, cancer of the endocrine system, cancer of the thyroid gland, cancer of the parathyroid gland, cancer of the adrenal gland, sarcoma of soft

- tissue, cancer of the urethra, cancer of the penis, chronic or acute leukemias including acute myeloid leukemia, chronic myeloid leukemia, acute lymphoblastic leukemia, chronic lymphocytic leukemia, solid tumours of childhood, lymphocytic lymphoma, cancer of the bladder, cancer of the kidney or ureter, carcinoma of the renal pelvis, neoplasm of the central nervous system (CNS), non-small cell lung cancer (NSCLC), primary CNS lymphoma, tumour angiogenesis, spinal axis tumour, brain stem glioma, pituitary adenoma, Kaposi's sarcoma, epidermoid cancer, squamous cell cancer, T-cell lymphoma, B-cell lymphomas, environmentally induced cancers including those induced by asbestos (e.g., mesothelioma), and combinations of said cancers.
50. The method of claim 47, wherein the disease or disorder mediated by CD47 is bacterial, viral and fungal infection.
51. The method of claim 50, wherein infectious disease is HIV, Influenza, Herpes, Giardia, Malaria, Leishmania, the pathogenic infection by the virus Hepatitis (A, B, & C), herpes virus (e.g., VZV, HSV-I, HAV-6, HSV-II, and CMV, Epstein Barr virus), adenovirus, influenza virus, flaviviruses, echovirus, rhinovirus, coxsackie virus, coronavirus, respiratory syncytial virus, mumps virus, rotavirus, measles virus, rubella virus, parvovirus, vaccinia virus, HTLV virus, dengue virus, papillomavirus, molluscum virus, poliovirus, rabies virus, JC virus and arboviral encephalitis virus, pathogenic infection by the bacteria chlamydia, rickettsial bacteria, mycobacteria, staphylococci, streptococci, pneumococci, meningococci and conococci, klebsiella, proteus, serratia, pseudomonas, E. coli, legionella, diphtheria, salmonella, bacilli, cholera, tetanus, botulism, anthrax, plague, leptospirosis, and Lyme's disease bacteria, pathogenic infection by the fungi Candida (albicans, krusei, glabrata, tropicalis, etc.), Cryptococcus neoformans, Aspergillus (fumigatus, niger, etc.), Genus Mucorales (mucor, absidia, rhizophus), Sporothrix schenkii, Blastomyces dermatitidis, Paracoccidioides brasiliensis, Coccidioides immitis and Histoplasma capsulatum, and pathogenic infection by the parasites Entamoeba histolytica, Balantidium coli, Naegleria fowleri, Acanthamoeba sp., Giardia lamblia, Cryptosporidium sp., Pneumocystis carinii, Plasmodium vivax, Babesia microti, Trypanosoma brucei, Trypanosoma cruzi, Leishmania donovani, Toxoplasma gondi, or Nippostrongylus brasiliensis.

52. A method for treating or delaying progression of atherosclerosis and multiple sclerosis mediated by CD47 in an individual, the method comprising administering to the said individual an effective amount of a compound of formula (I) according to any one of claims 1 – 41.

53. The compound of formula (I) according to any one of claims 1 to 41,



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or a pharmaceutically acceptable salt or an amide or an ester, or a stereoisomer thereof, for the treatment of cancer, wherein,

R_a is hydrogen or acyl; and R_1 represents hydrogen, $-(CH_2)_2CONH_2$, $-(CH_2)_2COOH$, $-CH_2COOH$, $-(CH_2)_3NH(C=NH)NH_2$, $-(CH_2)_4NH_2$, $-CH_2CONH_2$, $-CH(CH_3)-CH_2-CH_3$, $-CH_2$ -aryl, or $-CH_2$ -heteroaryl; wherein the said aryl and heteroaryl are unsubstituted; or R_a and R_1 , together with the atoms to which they are attached form pyrrolidine ring optionally substituted with oxo group;

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R_2 represents hydrogen, $-CH_2-OH$, $-(CH_2)_3NHC(=NH)NH_2$, $-(CH_2)_2CONH_2$, $-(CH_2)_2COOH$, $-CH_2$ -aryl, or $-CH_2$ -heteroaryl; wherein the said aryl and heteroaryl are unsubstituted;

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R_b is hydrogen; and R_3 represents hydrogen, $-CH_2$ -aryl, $-(CH_2)_3NHC(=NH)NH_2$, $-CH_2COOH$, $-CH(CH_3)-CH_2-CH_3$, $-CH_2-CH(CH_3)_2$, $-(CH_2)_2CONH_2$, $-(CH_2)_2COOH$, $-(CH_2)_4NH_2$ or $-CH_2$ -heteroaryl; wherein the said aryl and heteroaryl are unsubstituted; or R_b and R_3 , together with the atoms to which they are attached form pyrrolidine ring.

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