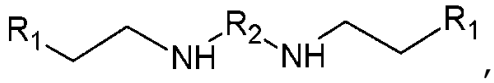
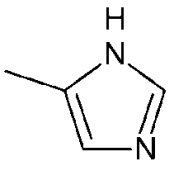
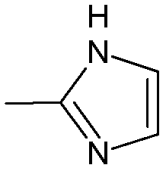
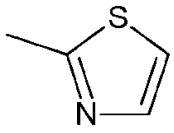
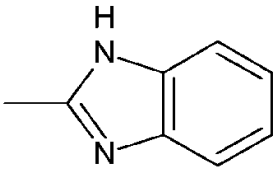
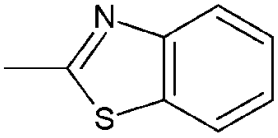
CLAIMS

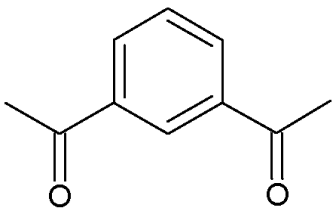
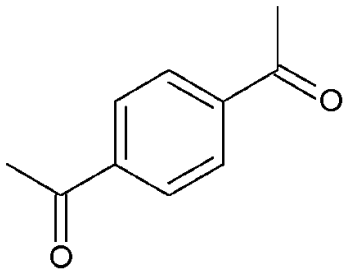
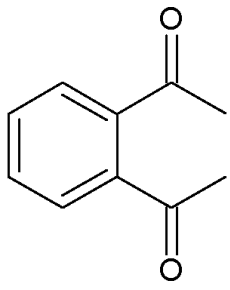
1. A dicarboxylic acid bisamide derivative of general formula:



R_1 is a group selected from:

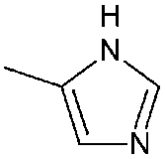
, , , , and ; and

R_2 is a group selected from: $-C(O)-(CH_2)_0-C(O)-$, $-C(O)-(CH_2)_1-C(O)-$, $-C(O)-(CH_2)_2-C(O)-$, $-C(O)-(CH_2)_3-C(O)-$, $-C(O)-(CH_2)_4-C(O)-$, $-C(O)-CH_2-CH(CH_3)-CH_2-C(O)-$, and $-C(O)-CH_2-C(CH_3)_2-CH_2-C(O)-$, or a group selected from

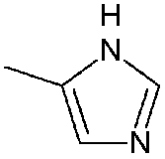
, , and ,

with a proviso that the compound is not

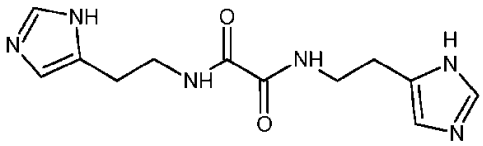
a compound, wherein:

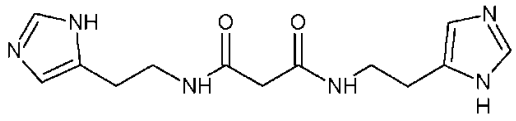
R_1 is  and R_2 is $-C(O)-(CH_2)_3-C(O)-$;

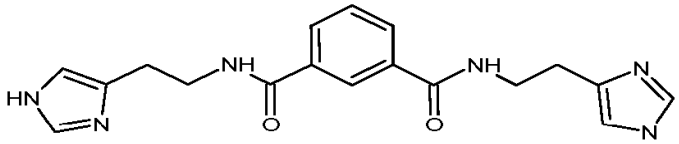
a compound, wherein:

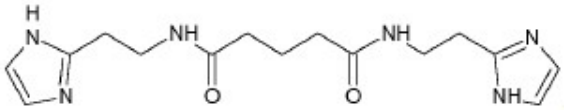
R_1 is  and R_2 is $-C(O)-(CH_2)_4-C(O)-$.

2. The dicarboxylic acid bisamide derivative according to claim 1, wherein the dicarboxylic acid bisamide derivative is selected from any one of the compounds:

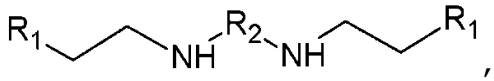

(1) or


(2) or

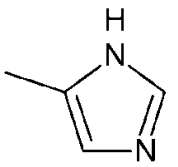
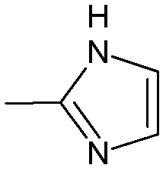
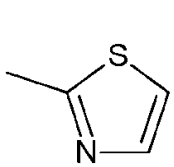
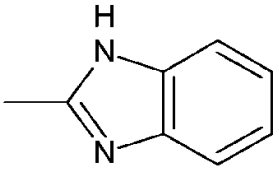
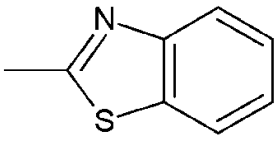

(6) or


(10) .

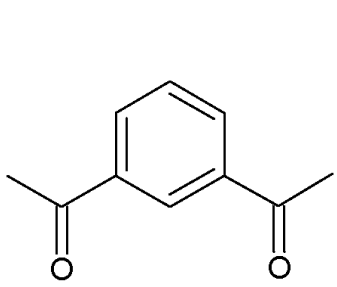
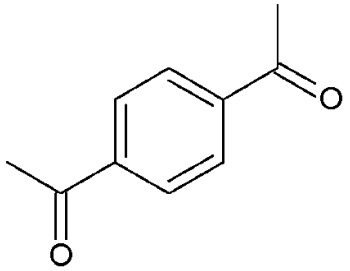
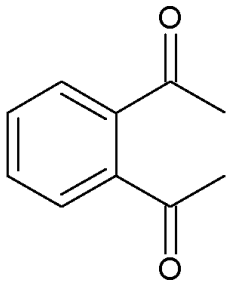
3. A compound for use in heavy metal poisoning therapy and in therapy of pathologies associated with iron-excessive conditions and high calcium level conditions due to ability of the compound to chelate with metal ions of Zn, Cu, Fe, Mg, and Ca, wherein the compound is a dicarboxylic acid bisamide derivative of general formula:


,

R_1 is a group selected from:

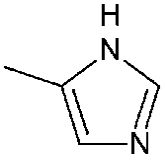

,

,

,

, and

; and

R_2 is a group selected from: $-C(O)-(CH_2)_0-C(O)-$, $-C(O)-(CH_2)_1-C(O)-$, $-C(O)-(CH_2)_2-C(O)-$, $-C(O)-(CH_2)_3-C(O)-$, $-C(O)-(CH_2)_4-C(O)-$, $-C(O)-CH_2-CH(CH_3)-CH_2-C(O)-$, and $-C(O)-CH_2-C(CH_3)_2-CH_2-C(O)-$, or a group selected from

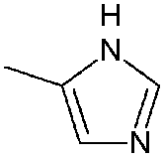

, 
, and 
,

with a proviso that the compound is not

a compound, wherein:

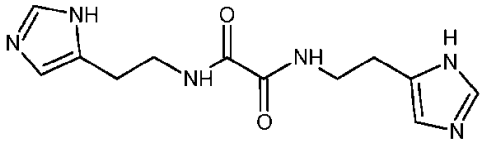
R_1 is  and R_2 is $-C(O)-(CH_2)_3-C(O)-$;

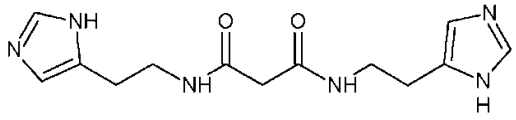
a compound, wherein:

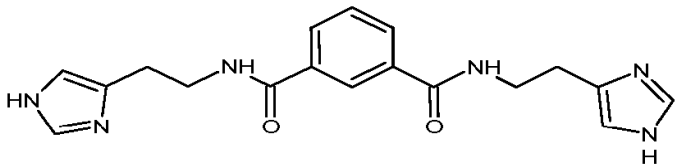
R_1 is  and R_2 is $-C(O)-(CH_2)_4-C(O)-$.

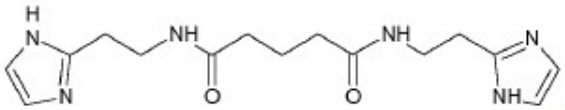
4. The compound of claim 3, wherein said high level calcium conditions include arthroses, atherosclerosis and renal lithiasis.

5. The compound for use according to claim 3 or 4, wherein the compound is selected from any one of the compounds:

 (1) or

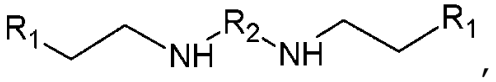
 (2) or

 (6) or



(10).

6. A medicament for use in the prevention and/or treatment of a viral disease, wherein the medicament is a compound of general formula I:



wherein

R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

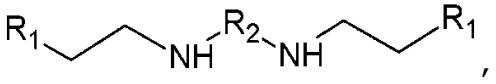
R_2 is -C(O)-R_3-C(O)-, wherein R_3 is -(CH2)n- optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof.

7. The medicament of claim 6 for use in the prevention and/or treatment of hepatitis C virus, human papilloma virus, HIV, or oncogenic RNA virus, such as leukemia virus.

8. A medicament for use in the prevention and/or treatment of a cardiovascular disease, wherein the medicament is a compound of general formula I:



wherein

R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

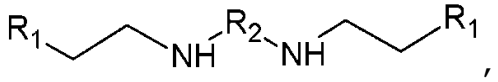
R_2 is -C(O)-R_3-C(O)-, wherein R_3 is -$(CH_2)_n$- optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof.

9. The medicament of claim 8, for use in the prevention/treatment of disease is caused by cytostatic cardiotoxicity, cholesterol deposition, and hypertension.

10. A medicament for use in prevention and/or treatment of a disease associated with inflammatory disease, wherein the medicament is a compound of general formula I:



wherein

R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

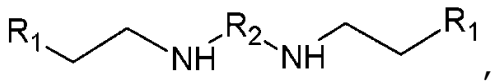
R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof.

11. The medicament of claim 10, wherein the inflammatory disease is osteoarthritis or rheumatoid arthritis.

12. A medicament for use in the prevention and/or treatment of diabetes and its cardiovascular complications, wherein the medicament is a compound of general formula I:



wherein

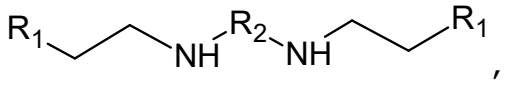
R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof.

13. A medicament for use in the prevention and/or treatment of a neurodegenerative disease, wherein the medicament is a compound of general formula I:



wherein

R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

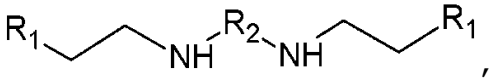
R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof.

14. The medicament of claim 13, wherein the neurodegenerative disease is Alzheimer's disease, Parkinson's disease, Wilson's disease, Huntington's disease, amyotrophic lateral sclerosis, or a prion disease.

15. A medicament for use in the prevention and/or treatment of a cancer disease, wherein the medicament is a compound of general formula I:



wherein

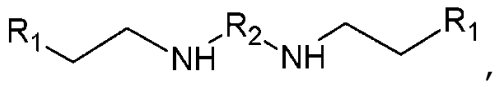
R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof.

16. A medicament for use in the prevention and/or treatment of a disease caused by microbial toxins, wherein the medicament is a compound of general formula I:



wherein

R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

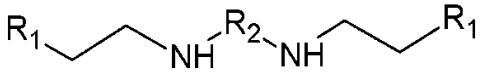
R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof.

17. The medicament of claim 16, for use in the prevention and/or treatment of disease caused by microbial toxins is botulism or gaseous gangrene.

18. A medicament for use in the prevention and/or treatment of alcoholism and alcoholic cirrhosis, wherein the medicament is a compound of general formula I:



wherein

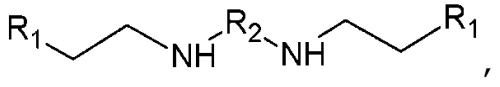
R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof.

19. A medicament for use in the prevention and/or treatment of an iron-excessive anaemia and porphyria cutanea tarda, wherein the medicament is a compound of general formula I:



wherein

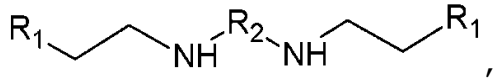
R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof.

20. A medicament for use in the prevention and/or treatment of transition metal salt poisoning, wherein the medicament is a compound of general formula I:



,

wherein

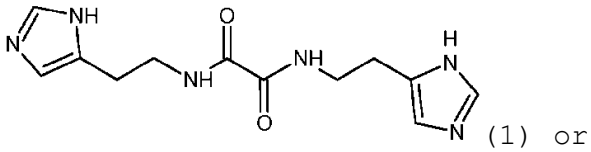
R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

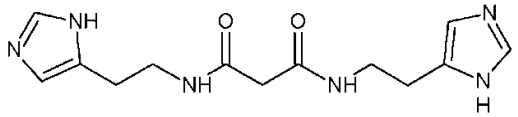
R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

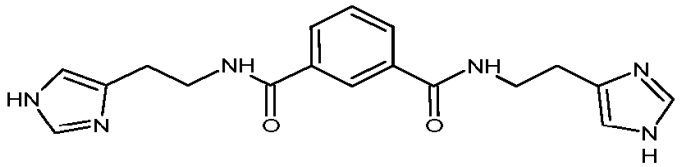
n is an integer from 0 to 4;

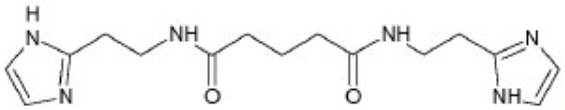
or a pharmaceutically acceptable salt thereof.

21. The medicament for use according to any one of claims 6 to 20, wherein the medicament is selected from any one of the compounds:


(1) or

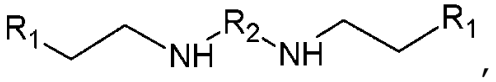

(2) or


(6) or



(10).

22. A pharmaceutical composition for use in the prevention and/or treatment of a viral disease, comprising an effective amount of a compound of general formula I:



wherein

R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

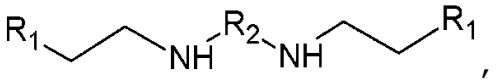
R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof.

23. The pharmaceutical composition of claim 22, wherein the viral disease is caused by hepatitis C virus, human papilloma virus, HIV, or oncogenic RNA virus, such as leukemia virus.

24. A pharmaceutical composition for the prevention and/or treatment of a cardiovascular disease, comprising an effective amount of a compound of general formula I:



wherein

R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

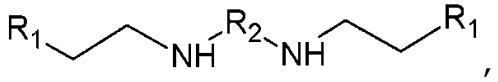
R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof.

25. The pharmaceutical composition of claim 24, wherein the cardiovascular disease is caused by cytostatic cardiotoxicity, cholesterol deposition, or hypertension.

26. A pharmaceutical composition for the prevention and/or treatment of an inflammatory disease , comprising an effective amount of a compound of general formula I:



wherein

R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

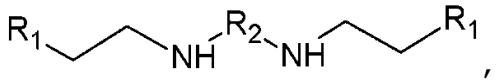
R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof.

27. The pharmaceutical composition of claim 26, wherein the disease is osteoarthritis or rheumatoid arthritis.

28. A pharmaceutical composition for use in the prevention and/or treatment of diabetes and its cardiovascular complications, comprising an effective amount of a compound of general formula I:



wherein

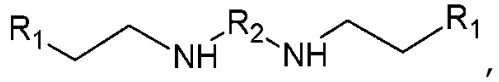
R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof.

29. A pharmaceutical composition for use in the prevention and/or treatment of a neurodegenerative disease, comprising an effective amount of a compound of general formula I:



wherein

R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

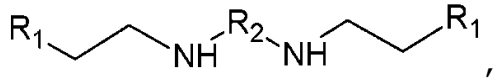
R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof.

30. The pharmaceutical composition of claim 29, wherein the neurodegenerative disease is Alzheimer's disease, Parkinson's disease, Wilson's disease, Huntington's disease, amyotrophic lateral sclerosis, or a prion disease.

31. A pharmaceutical composition for the prevention and/or treatment of a cancer disease, comprising an effective amount of a compound of general formula I:



wherein

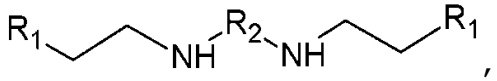
R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof.

32. A pharmaceutical composition for use in the prevention and/or treatment of a disease caused by microbial toxins, comprising an effective amount of a compound of general formula I:



wherein

R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

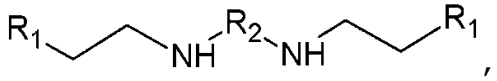
R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof.

33. The pharmaceutical composition of claim 32, wherein the disease caused by microbial toxins is botulism or gaseous gangrene.

34. A pharmaceutical composition for use in the prevention and/or treatment of alcoholism and alcoholic cirrhosis, comprising an effective amount of a compound of general formula I:



wherein

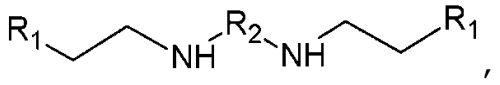
R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof.

35. A pharmaceutical composition for use in the prevention and/or treatment of iron-excessive anaemia and porphyria cutanea tarda, comprising an effective amount of a compound of general formula I:



wherein

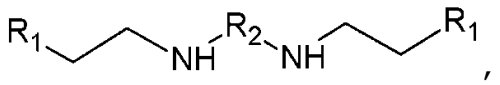
R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof.

36. A pharmaceutical composition for use in the prevention and/or treatment of transition metal salt poisoning, comprising an effective amount of a compound of general formula I:



wherein

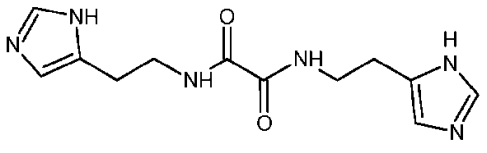
R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

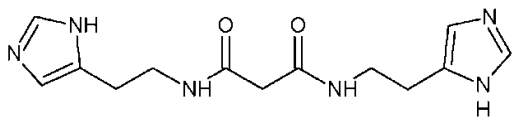
R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

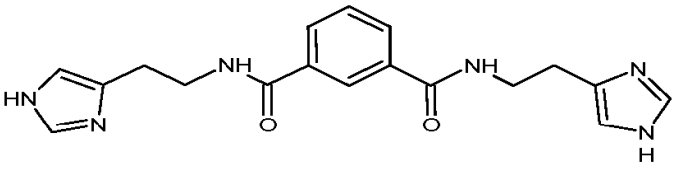
n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof.

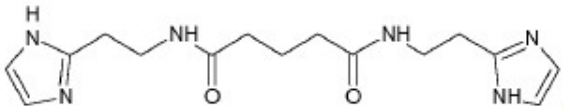
37. The pharmaceutical composition according to any one of claims 22 to 36, wherein the pharmaceutical composition is selected from any one of the compounds:

 (1) or

 (2) or

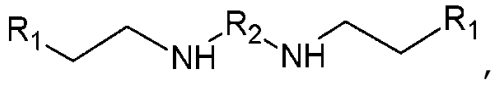


(6) or



(10).

38. Use of a compound of general formula I:



,

wherein

R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

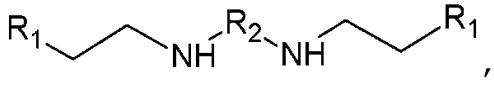
n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof

in the manufacture of a medicament for the prevention and/or treatment of a viral disease.

39. The use of claim 38, wherein the viral disease is caused by hepatitis C virus, human papilloma virus, HIV, or oncogenic RNA virus, such as leukemia virus.

40. Use of a compound of general formula I:



,

wherein

R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

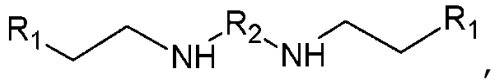
n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof

in the manufacture of a medicament for the prevention and/or treatment of a cardiovascular disease.

41. The use of claim 40, wherein the cardiovascular disease is caused by cytostatic cardiotoxicity, cholesterol deposition, or hypertension.

42. Use of a compound of general formula I:



,

wherein

R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

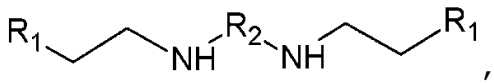
n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof

in the manufacture of a medicament for the prevention and/or treatment of inflammatory disease.

43. Use of claim 43 wherein the disease is osteoarthritis or rheumathoid arthritis.

44. Use of a compound of general formula I:



,

wherein

R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

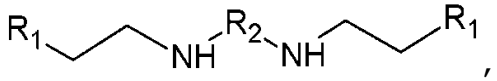
R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof

in the prevention and/or treatment of diabetes and its cardiovascular complications.

45. Use of a compound of general formula I:



wherein

R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

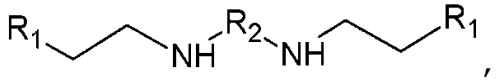
n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof

in the manufacture of a medicament for the prevention and/or treatment of a neurodegenerative disease.

46. The use of claim 45, wherein the neurodegenerative disease is Alzheimer's disease, Parkinson's disease, Wilson's disease, Huntington's disease, amyotrophic lateral sclerosis, or a prion disease.

47. Use of a compound of general formula I:



wherein

R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

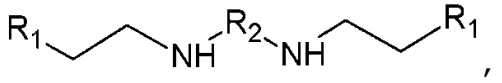
R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof

in the manufacture of a medicament for the prevention and/or treatment of a cancer disease.

48. Use of a compound of general formula I:



wherein

R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

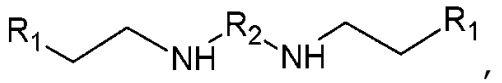
n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof

in the manufacture of a medicament for the prevention and/or treatment of a disease caused by microbial toxins.

49. The use of claim 48, wherein the disease is caused by microbial toxins is botulism or gaseous gangrene.

50. Use of a compound of general formula I:



wherein

R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

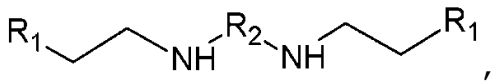
R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof

in the manufacture of a medicament for the prevention and/or treatment of alcoholism and alcoholic cirrhosis.

51. Use of a compound of general formula I:



wherein

R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

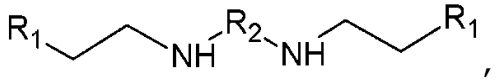
R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof

in the manufacture of a medicament for the prevention and/or treatment of iron-excessive anaemia and porphyria cutanea tarda.

52. Use of a compound of general formula I:



,

wherein

R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

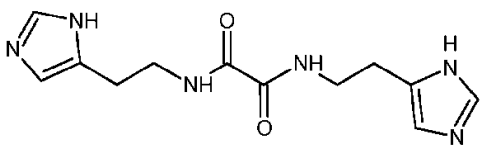
R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

n is an integer from 0 to 4;

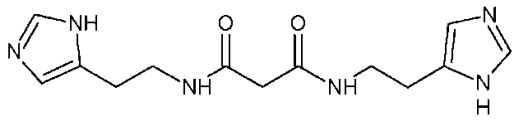
or a pharmaceutically acceptable salt thereof

in the manufacture of a medicament for the prevention and/or treatment of transition metal salt poisoning.

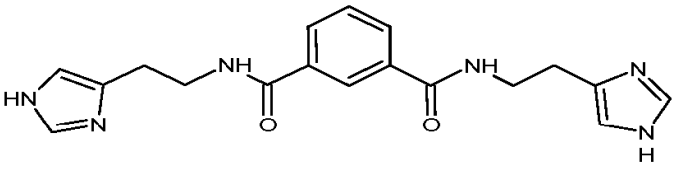
53. The use of a compound according to any one of claims 39 to 52, wherein the compound is selected from any one of the compounds:



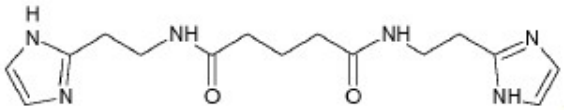
(1) or



(2) or

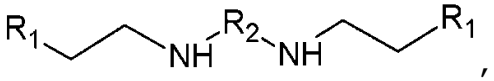


(6) or



(10).

54. A method for producing a dicarboxylic acid bisamide derivative of general formula I:



,

wherein

R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

n is an integer from 0 to 4;

comprising contacting a dicarboxylic acid of general comprising contacting a dicarboxylic acid of general formula II:

$$R_4O-C(O)-R_3-C(O)-OR_4,$$

wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl,

n is an integer from 0 to 4,

R_4 is C_1-$_6$alkyl,

with hydrazine hydrate in an organic solvent to form bishydrazide of general formula V:

$$H_2N-NH-C(O)-R_3-C(O)-NH-NH_2,$$

treating the bishydrazide with sodium nitrite in an acidic medium at a temperature of about 0°C to form bisazide of general formula VI:

$$N^-=N^+=N-C(O)-R_3-C(O)-N=N^+=N^-,$$

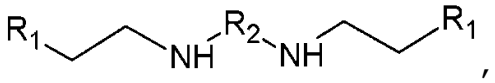
condensing the azide with an amine of general formula III:

$$NH_2-(CH_2)_2-R_1,$$

R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group in an organic solvent.

55. The method of claim 54, wherein the organic solvent is an alcohol, preferably isopropanol.

56. A method for producing a dicarboxylic acid bisamide derivative of general formula I:



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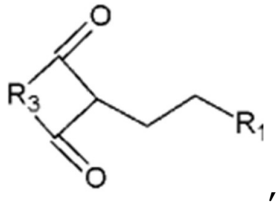
wherein

R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

n is an integer from 0 to 4;

comprising condensing an imide of general formula VII:



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wherein R_3 is $-(CH_2)_n-$ optionally substituted with one or two C_1-C_6alkyl groups, n is an integer from 0 to 4,

with an equimolar amount of an amine of general formula III:

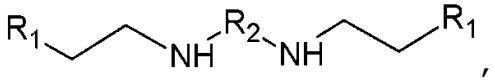
$$NH_2-(CH_2)_2-R_1,$$

wherein R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group

in an organic solvent under heating.

57. The method of claim 56, wherein the organic solvent is an alcohol, preferably isopropanol, and the step of condensing is conducted under boiling.

58. A method for producing a dicarboxylic acid bisamide derivative of general formula I:



wherein

R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group;

R_2 is $-C(O)-R_3-C(O)-$, wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl; and

n is an integer from 0 to 4;

comprising contacting a dicarboxylic acid of general formula II:

$$R_4O-C(O)-R_3-C(O)-OR_4,$$

wherein R_3 is $-(CH_2)_n-$ optionally substituted with one to two C_1-C_6alkyl groups or phenyl,

n is an integer from 0 to 4, and

R_4 is hydrogen,

with an amine of general formula III:

$$NH_2-(CH_2)_2-R_1,$$

wherein R_1 is a 5-membered unsaturated heterocyclic group comprising from 1 to 2 heteroatoms selected from N and/or S, optionally condensed with a 6-membered unsaturated cyclic group

in a molar ratio of 1:2-2.5 in a tetrahydrofuran solution in the presence of a condensing agent.

59. The method of claim 58, wherein the condensing agent is carbonyldiimidazole.