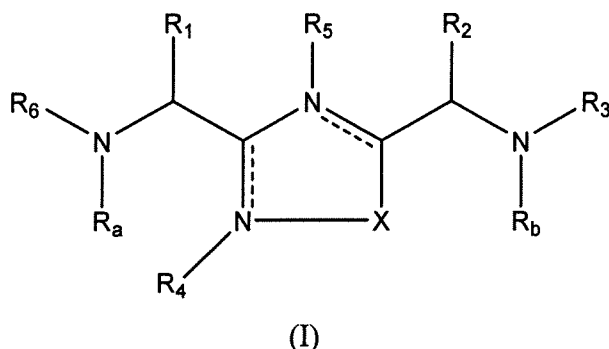


CLAIMS

1. A compound of formula (I):



- 5 or a pharmaceutically acceptable salt or a stereoisomer thereof; wherein,
each ----- is an optional bond;
X is O or S;
R₁ and R₂ independently are a side chain of an amino acid, hydrogen, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl or cycloalkyl; wherein each of (C₁-C₆)alkyl,
10 (C₂-C₆)alkenyl, (C₂-C₆)alkynyl or cycloalkyl is optionally substituted by one or more
substituents, each independently selected from amino, alkylamino, acylamino,
carboxylic acid, carboxylate, carboxylic acid ester, thiocarboxylate, thioacid, -
CONR₇R₈, hydroxy, cycloalkyl, (cycloalkyl)alkyl, aryl, arylalkyl, heterocyclyl,
(heterocyclyl)alkyl, heteroaryl, (heteroaryl)alkyl, guanidino, -SH and -S(alkyl);
15 optionally wherein each cycloalkyl, aryl, heterocyclyl or heteroaryl is further substituted
by one or more substituents such as hydroxy, alkoxy, halo, amino, nitro, cyano or alkyl
and optionally wherein two or three carbon atoms of the (C₁-C₆)alkyl, (C₂-C₆)alkenyl or
(C₂-C₆)alkynyl form part of a 3-7-membered carbocyclic or heterocyclic ring (such as a
cyclobutyl or oxirane ring);
20 R₃ is hydrogen, -CO-[Aaa1]_m, [Aaa1]_m, [Aaa1]_m-CO-[Aaa1]_m, -S(O)_p-[Aaa1]_m, -
CONR₇R₈, -COR_c, -SO₂R_c, (C₁-C₆)alkyl, (C₂-C₆)alkenyl or (C₂-C₆)alkynyl; wherein
each (C₁-C₆)alkyl, (C₂-C₆)alkenyl or (C₂-C₆)alkynyl is optionally substituted by one or
more substituents each independently selected from amino, alkylamino, acylamino, -
COO-alkyl, carboxylic acid, carboxylate, thiocarboxylate, thioacid, -CONR₇R₈,

hydroxy, aryl, arylalkyl, cycloalkyl, heterocyclyl, heteroaryl, (cycloalkyl)alkyl, (heterocyclyl)alkyl, (heteroaryl)alkyl, guanidino, -SH and -S(alkyl); optionally wherein each cycloalkyl, aryl, heterocyclyl or heteroaryl is further substituted by one or more substituents such as hydroxy, alkoxy, halo, amino, nitro, cyano or alkyl, optionally
5 wherein two or three carbon atoms of the (C₁-C₆)alkyl, (C₂-C₆)alkenyl or (C₂-C₆)alkynyl form part of a 3-7-membered carbocyclic or heterocyclic ring (such as a cyclobutyl or oxirane ring);

R₄ and R₅ independently are hydrogen or absent;

R₆ is hydrogen, alkyl, alkenyl, alkynyl, aralkyl, aryl, heteroaralkyl, heteroaryl,
10 cycloalkyl, (cycloalkyl)alkyl, amino, aminoalkyl, hydroxyalkyl, alkoxyalkyl, acyl, [Aaa2]_n, -CO-[Aaa2]_n, [Aaa2]_n-CO-[Aaa2]_n or -S(O)_p-[Aaa2]_n;

R₇ and R₈ independently are hydrogen, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, aryl or heterocyclyl; wherein each (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, aryl or heterocyclyl is optionally substituted by one or more substituents
15 independently selected from halogen, hydroxyl, amino, nitro, cyano, cycloalkyl, heterocyclyl, heteroaryl, aryl, guanidino, (cycloalkyl)alkyl, (heterocyclyl)alkyl and (heteroaryl)alkyl; optionally wherein two or three carbon atoms of the (C₁-C₆)alkyl, (C₂-C₆)alkenyl or (C₂-C₆)alkynyl form part of a 3-7-membered carbocyclic or heterocyclic ring (such as a cyclobutyl or oxirane ring); or alternatively R₇ and R₈ together with the
20 nitrogen to which they are attached form an optionally substituted 3-7-membered ring containing 0-2 additional heteroatoms independently selected from N, O and S in any stable combination; wherein the optional substituent at each occurrence is independently selected from hydroxyl, -COOH, -COO-alkyl, amide, halo, amino, nitro and cyano;

[Aaa1] and [Aaa2], independently for each occurrence, represent an amino acid
25 residue having a side chain; wherein a C-terminal carboxyl moiety of the amino acid residue is a free C-terminal carboxyl moiety (-COOH) or a modified C-terminal carboxyl moiety, and an N-terminal amino moiety of the amino acid residue is a free N-terminus (-NH₂) or a modified N-terminal amino moiety;

R_a is hydrogen, alkyl, alkenyl, alkynyl, acyl, aralkyl, aryl, heteroaralkyl,
30 heteroaryl, cycloalkyl, (cycloalkyl)alkyl, aminoalkyl, hydroxyalkyl or alkoxyalkyl;

R_b is hydrogen, alkyl, alkenyl, alkynyl, acyl, aralkyl, aryl, heteroaralkyl, heteroaryl, cycloalkyl, (cycloalkyl)alkyl, aminoalkyl, hydroxyalkyl or alkoxyalkyl; or R_b and R_2 , together with the atoms to which they are attached, form pyrrolidine or piperidine, each optionally substituted with one or more substituents independently selected from hydroxyl, halo, amino, cyano and alkyl;

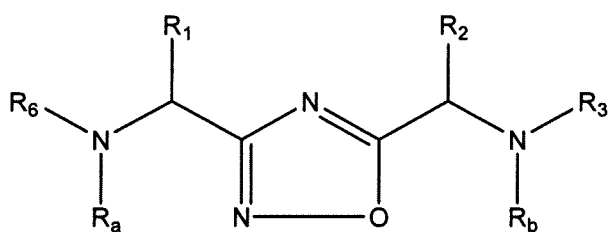
R_c is (C_1-C_6) alkyl, cycloalkyl, aryl, heterocyclyl or heteroaryl; wherein the (C_1-C_6) alkyl, cycloalkyl, aryl, heterocyclyl or heteroaryl is optionally substituted by one or more substituents each independently selected from carboxylic acid, hydroxyl, alkyl, alkoxy, amino, alkylamino, acylamino, carboxylic ester, cycloalkyl, heterocyclyl, heteroaryl, (cycloalkyl)alkyl, (heterocyclyl)alkyl and (heteroaryl)alkyl;

m and n independently are integers from 1 to 3;

p is an integer selected from 1 and 2; and

with a proviso that R_1 is not a side chain of Ser or Thr, when R_2 is a side chain of Asp, Asn, Glu or Gln, R_3 is hydrogen, -CO-Ser or -CO-Thr, R_6 is hydrogen, alkyl or acyl and R_a and R_b are hydrogen.

2. The compound of claim 1, wherein the compound is of formula (IA):



(IA)

or a pharmaceutically acceptable salt or a stereoisomer thereof.

20

3. The compound of claim 1 or 2, wherein R_b is H.

4. The compound of any one of claims 1 to 3, wherein R_3 is $-CO-[Aaa1]_m$.

5. The compound of any one of claims 1 to 4, wherein the side chain of Aaa1 comprises a (C₁-C₄)alkyl optionally substituted by one or more substituents, each independently selected from amino, alkylamino, acylamino, carboxylic acid, carboxylate, thiocarboxylate, thioacid, -CONR₇R₈, hydroxy, cycloalkyl, (cycloalkyl)alkyl, aryl, heterocyclyl, heteroaryl, guanidino, -SH, and -S(alkyl); and optionally wherein each cycloalkyl, aryl, heterocyclyl or heteroaryl is further substituted by one or more substituents such as hydroxy, alkoxy, halo, amino, nitro, cyano or alkyl.

6. The compound of any one of claims 1 to 5, wherein the side chain of Aaa1 comprises a (C₁-C₄)alkyl substituted by one or more substituents each independently selected from amino, acylamino, carboxylic acid, -CONR₇R₈, hydroxy, cycloalkyl, aryl, heteroaryl, guanidino, -SH and -S(alkyl); and wherein R₇ and R₈ independently are hydrogen, alkyl, aryl or heterocyclyl.

7. The compound of any one of claims 1 to 3, wherein R₃ is -COR_c.

8. The compound of any one of claims 1 to 3, wherein R₃ is -SO₂R_c.

9. The compound of any one of claims 1 to 8, wherein R_a is H.

10. The compound of any one of claims 1 to 9, wherein R₁ is (C₁-C₆)alkyl optionally substituted by one or more substituents, each independently selected from amino, alkylamino, acylamino, carboxylic acid, carboxylate, carboxylic acid ester, thiocarboxylate, thioacid, -CONR₇R₈, hydroxy, cycloalkyl, (cycloalkyl)alkyl, aryl, arylalkyl, heterocyclyl, (heterocyclyl)alkyl, heteroaryl, (heteroaryl)alkyl, guanidino, -SH, and -S(alkyl); and optionally wherein each cycloalkyl, aryl, heterocyclyl or heteroaryl is further substituted by one or more substituents such as hydroxy, alkoxy, halo, amino, nitro, cyano or alkyl.

11. The compound of any one of claims 1 to 10, wherein R₁ is (C₁-C₆)alkyl substituted by one or more substituents each independently selected from amino, acylamino, carboxylic acid, -CONR₇R₈, hydroxy, cycloalkyl, aryl, heteroaryl, guanidino, -SH, and -S(alkyl); and wherein R₇ and R₈ independently are hydrogen, alkyl or aryl.

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12. The compound of any one of claims 1 to 9, wherein R₁ is the side chain of an amino acid.

13. The compound of any one of claims 1 to 10, wherein R₂ is (C₁-C₆)alkyl optionally substituted by one or more substituents each independently selected from amino, alkylamino, acylamino, carboxylic acid, carboxylate, carboxylic acid ester, thiocarboxylate, thioacid, -CONR₇R₈, hydroxy, cycloalkyl, (cycloalkyl)alkyl, aryl, arylalkyl, heterocyclyl, (heterocyclyl)alkyl, heteroaryl, (heteroaryl)alkyl, guanidino, -SH, and -S(alkyl); and optionally wherein each cycloalkyl, aryl, heterocyclyl or heteroaryl is further substituted by one or more substituents such as hydroxy, alkoxy, halo, amino, nitro, cyano or alkyl.

14. The compound of any one of claims 1 to 13, wherein R₂ is (C₁-C₆)alkyl substituted by one or more substituents each independently selected from amino, acylamino, carboxylic acid, -CONR₇R₈, hydroxy, cycloalkyl, aryl, heteroaryl, guanidino, -SH and -S(alkyl); and wherein R₇ and R₈ independently are hydrogen or alkyl.

15. The compound of any one of claims 1 to 13, wherein R₂ is the side chain of an amino acid.

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16. The compound of any one of claims 1 to 13, wherein R_b and R₂, together with the atoms to which they are attached, form pyrrolidine or piperidine, optionally substituted with one or more substituents, each independently selected from hydroxyl, halo, amino, cyano and alkyl.

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17. The compound of any one of claims 1 to 16, wherein R_6 is $-\text{CO}-[\text{Aaa2}]_n$.

18. The compound of any one of claims 1 to 17, wherein the side chain of [Aaa2] comprises a $(\text{C}_1\text{-C}_4)$ alkyl, optionally substituted by one or more substituents, each independently selected from amino, alkylamino, acylamino, carboxylic acid, carboxylate, thiocarboxylate, thioacid, $-\text{CONR}_7\text{R}_8$, hydroxy, cycloalkyl, aryl, heterocyclyl, heteroaryl, guanidino, $-\text{SH}$, and $-\text{S}(\text{alkyl})$; and optionally wherein each cycloalkyl, heterocyclyl or heteroaryl is further substituted by one or more substituents such as hydroxy, alkoxy, halo, amino, nitro, cyano or alkyl.

10

19. The compound of any one of claims 1 to 18, wherein the side chain of [Aaa2] comprises a $(\text{C}_1\text{-C}_4)$ alkyl substituted by one or more substituents, each independently selected from amino, acylamino, carboxylic acid, $-\text{CONR}_7\text{R}_8$, hydroxy, cycloalkyl, aryl, heteroaryl, guanidino, $-\text{SH}$ and $-\text{S}(\text{alkyl})$; and wherein R_7 and R_8 independently are hydrogen or alkyl.

15

20. The compound of any one of claims 1 to 16, wherein R_6 is H.

21. The compound of any one of claims 1 to 20, wherein at least one of the amino acid residues is a D amino acid residue.

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22. The compound of any one of claims 1 to 20, wherein at least one of the amino acid residues is an L amino acid residue.

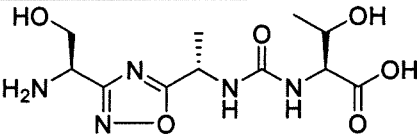
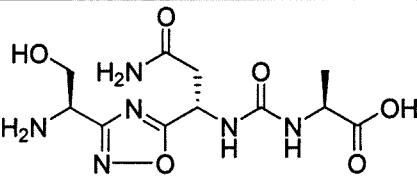
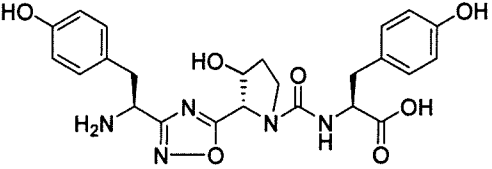
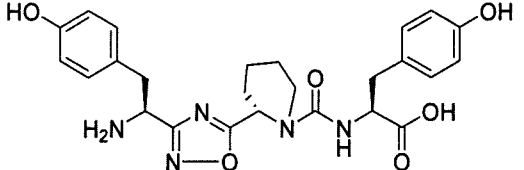
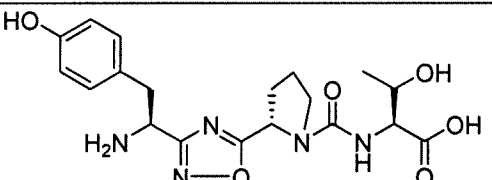
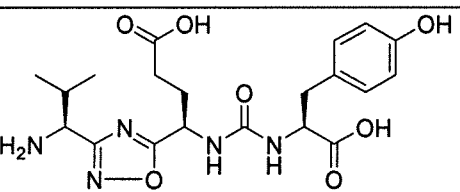
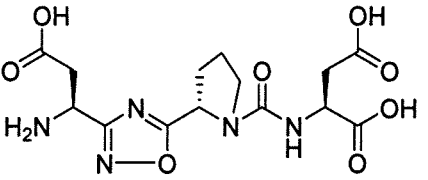
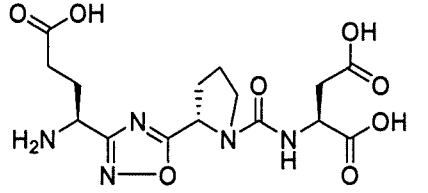
23. The compound of claim 1, represented by a compound of the following table:

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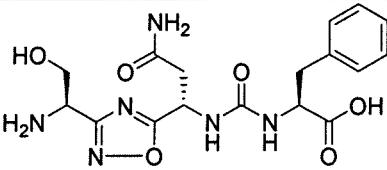
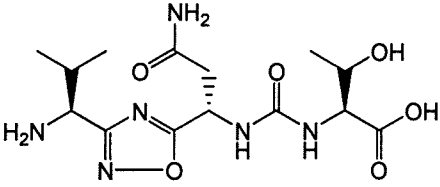
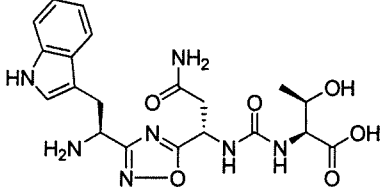
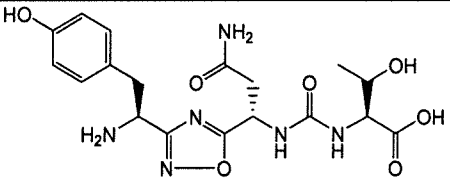
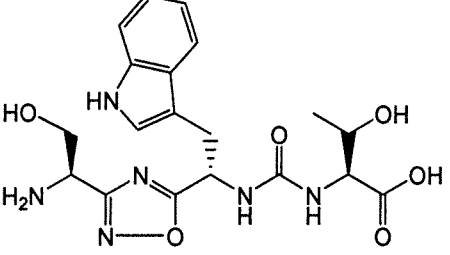
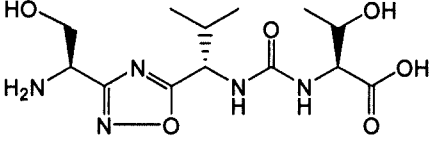
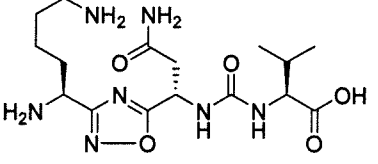
Compound No.	Structure
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Compound No.	Structure
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Compound No.	Structure
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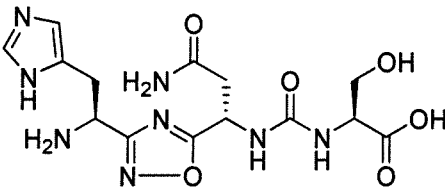
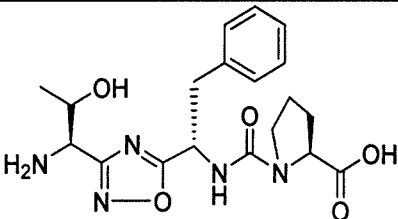
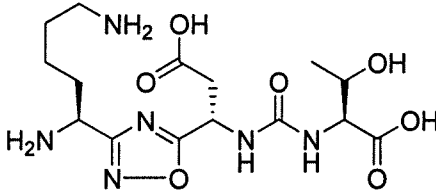
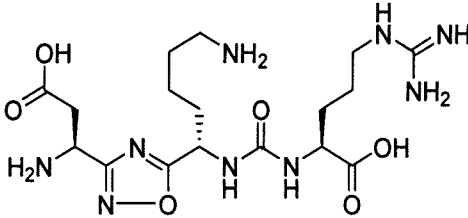
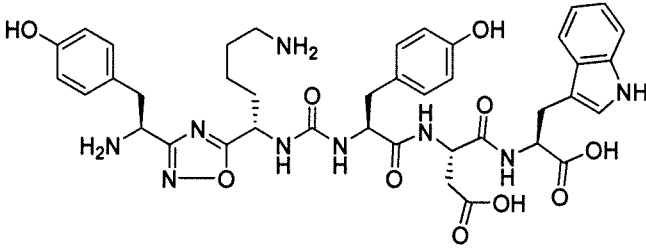
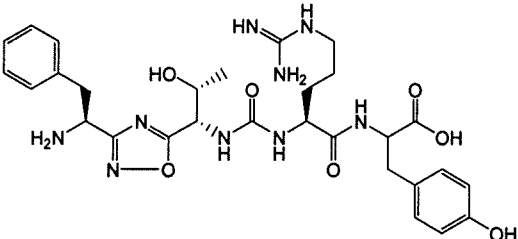
Compound No.	Structure
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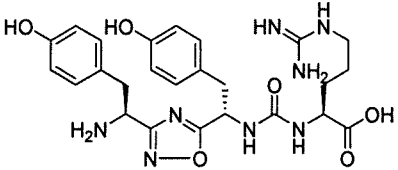
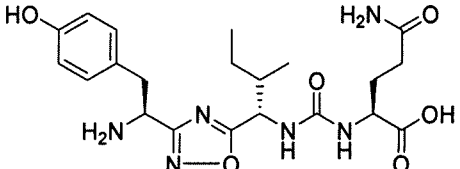
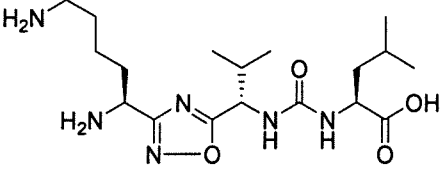
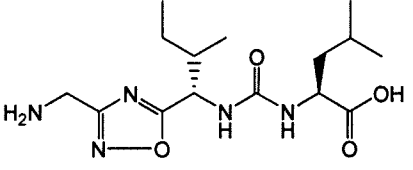
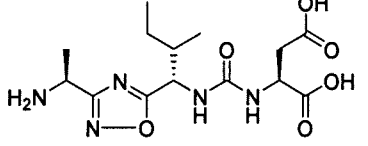
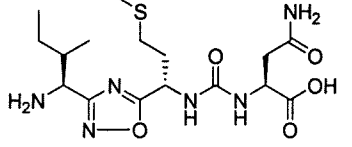
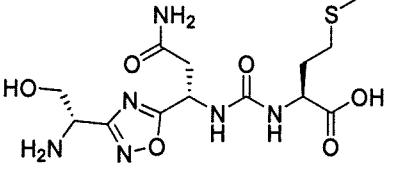
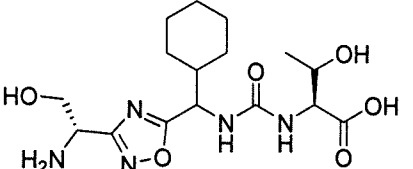
Compound No.	Structure
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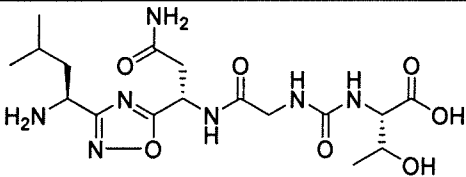
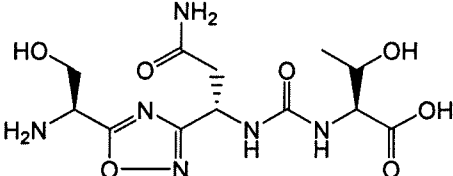
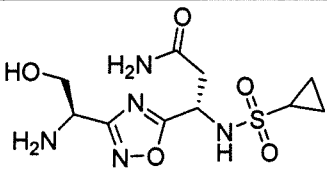
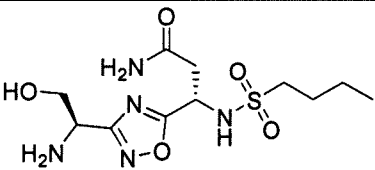
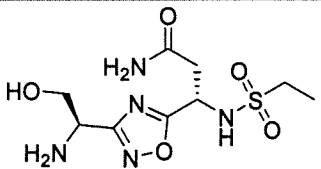
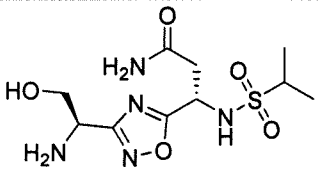
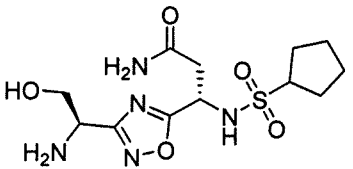
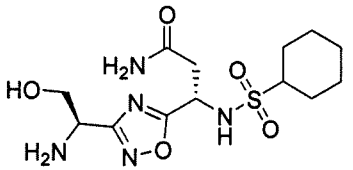
Compound No.	Structure
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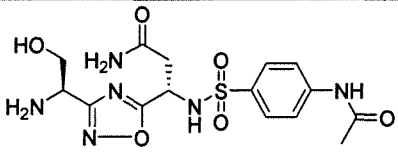
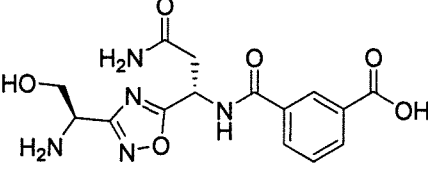
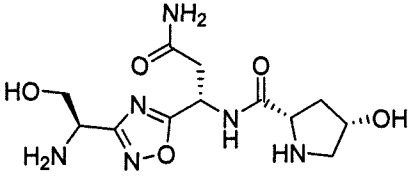
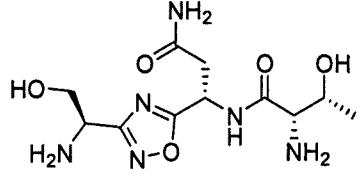
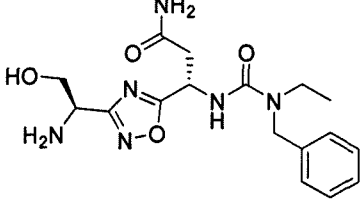
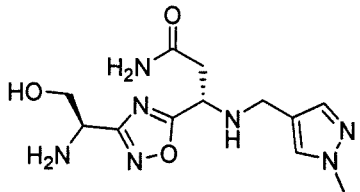
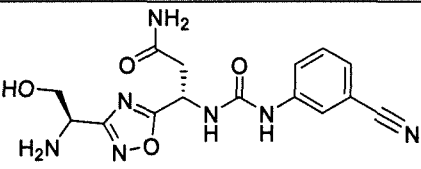
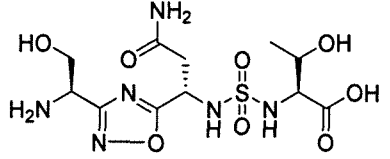
Compound No.	Structure
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Compound No.	Structure
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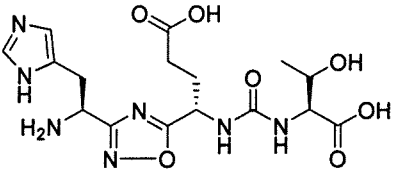
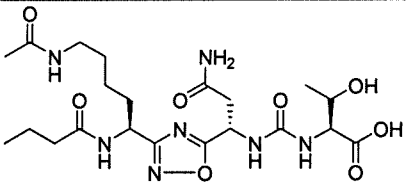
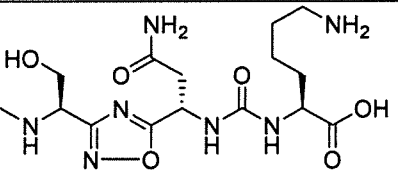
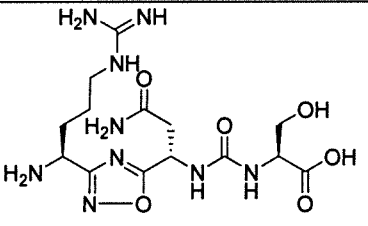
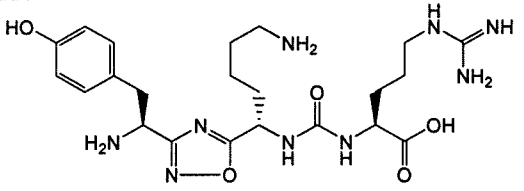
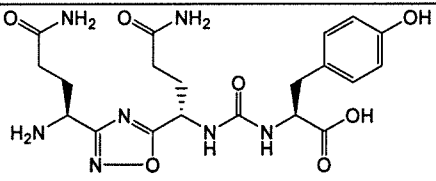
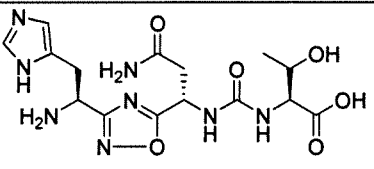
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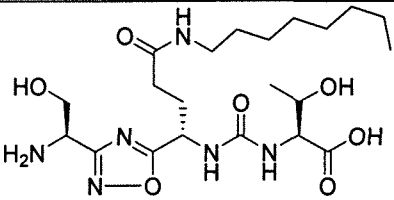
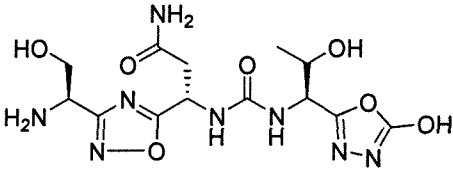
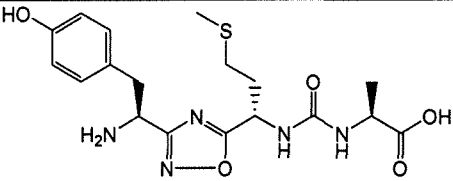
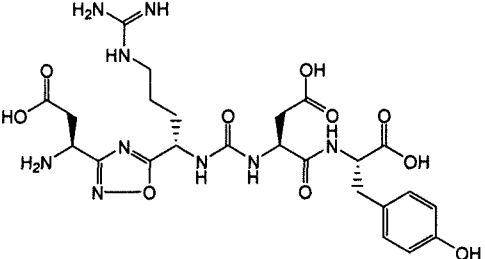
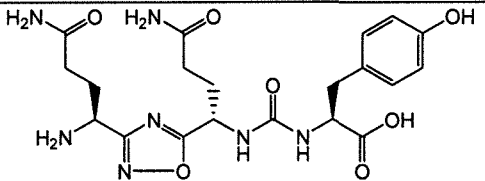
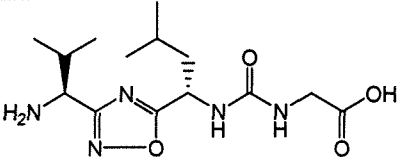
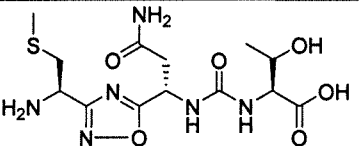
Compound No.	Structure
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Compound No.	Structure
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Compound No.	Structure
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Compound No.	Structure
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Compound No.	Structure
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Compound No.	Structure
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Compound No.	Structure
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120	
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124	

or a pharmaceutically acceptable salt thereof or a stereoisomer thereof.

24. A pharmaceutical composition comprising a compound of any one of claims 1-23, and a pharmaceutically acceptable carrier.

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25. Use of a compound of any one of claims 1 to 23 in the manufacture of a medicament for the treatment of cancer.

26. The use of claim 25, wherein the cancer is selected from lung cancer, breast cancer, colon cancer, renal cancer, bladder cancer, thyroid cancer, prostate cancer, osteosarcoma and Hodgkin's lymphoma.

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27. Use of a compound of any one of claims 1 to 23, in the manufacture of a medicament for the treatment of bacterial infection, a viral infection, a fungal infection, or an immunological condition.