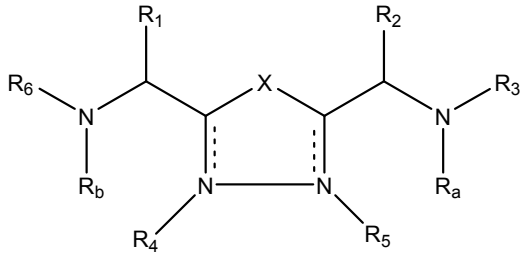
CLAIMS

1. A compound of formula (I):



(I)

or a pharmaceutically acceptable salt thereof or a stereoisomer thereof; wherein,

each dotted line [----] independently represents an optional bond;

X is O or S;

R_1 and R_2 independently are a side chain of an amino acid, hydrogen, (C_1-C_6)alkyl, (C_2-C_6)alkenyl, (C_2-C_6)alkynyl, heterocycloalkyl or cycloalkyl; wherein (C_1-C_6)alkyl, (C_2-C_6)alkenyl, (C_2-C_6)alkynyl, heterocycloalkyl and cycloalkyl are optionally substituted by one or more substituents selected from amino, alkylamino, acylamino, carboxylic acid, carboxylate, carboxylic acid ester, thiocarboxylate, thioacid, -$CONR_7R_8$, hydroxy, cycloalkyl, (cycloalkyl)alkyl, aryl, heterocyclyl, (heterocyclyl)alkyl, heteroaryl, (heteroaryl)alkyl, guanidino, -SH and -S(alkyl); optionally wherein cycloalkyl, aryl, heterocyclyl and heteroaryl are 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 (C_1-C_6)alkyl, (C_2-C_6)alkenyl or (C_2-C_6)alkynyl form part of a 3-7-membered carbocyclic or heterocyclic ring (such as a cyclobutyl or oxirane ring);

R_3 is hydrogen, -CO-$[Aaa1]_m$, $[Aaa1]_m$, $[Aaa1]_m$-CO-$[Aaa1]_m$, -$S(O)_p$-$[Aaa1]_m$, -$CONR_7R_8$, -COR_c, -SO_2R_c, (C_1-C_6)alkyl, (C_2-C_6)alkenyl or (C_2-C_6)alkynyl; wherein (C_1-C_6)alkyl, (C_2-C_6)alkenyl and (C_2-C_6)alkynyl are optionally substituted by one or more substituents selected from amino, alkylamino, acylamino, -COO-alkyl, carboxylic acid, carboxylate, thiocarboxylate, thioacid, -$CONR_7R_8$, hydroxy, aryl, arylalkyl, cycloalkyl, heterocyclyl, heteroaryl, (cycloalkyl)alkyl, (heterocyclyl)alkyl, (heteroaryl)alkyl, guanidino, -SH and -S(alkyl); optionally wherein cycloalkyl, aryl, heterocyclyl and heteroaryl are substituted by

one or more substituents such as hydroxy, alkoxy, halo, amino, nitro, cyano or alkyl, optionally wherein two or three carbon atoms of the (C_1-C_6)alkyl, (C_2-C_6)alkenyl or (C_2-C_6)alkynyl form part of a 3-7-membered carbocyclic or heterocyclic ring (such as a cyclobutyl or oxirane ring);

R_4 and R_5 independently are hydrogen or absent;

R_6 is hydrogen, alkyl, alkenyl, alkynyl, aralkyl, aryl, heteroaralkyl, heteroaryl, cycloalkyl, (cycloalkyl)alkyl, amino, aminoalkyl, hydroxyalkyl, alkoxyalkyl, acyl, $[Aaa2]_n$, -CO-$[Aaa2]_n$, $[Aaa2]_n$-CO-$[Aaa2]_n$ or -$S(O)_p$-$[Aaa2]_n$;

R_7 and R_8 independently are hydrogen, (C_1-C_6)alkyl, (C_2-C_6)alkenyl, (C_2-C_6)alkynyl, aryl, cycloalkyl or heterocyclyl; wherein (C_1-C_6)alkyl, (C_2-C_6)alkenyl, (C_2-C_6)alkynyl, aryl and heterocyclyl are optionally substituted by one or more substituents 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 (C_1-C_6)alkyl, (C_2-C_6)alkenyl or (C_2-C_6)alkynyl form part of a 3-7-membered carbocyclic or heterocyclic ring (such as a cyclobutyl or oxirane ring);

alternatively, R_7 and R_8 together with the 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 selected from hydroxyl, -COOH, -COO-alkyl, amide, halo, amino, nitro and cyano;

[Aaa1] and [Aaa2], independently for each occurrence, represents an amino acid residue having a side chain; wherein a C-terminal carboxyl moiety of the amino acid residue is a free C-terminal carboxyl group (-COOH) or a modified C-terminal carboxyl moiety and an N-terminal amino moiety of amino acid residue is a free N-terminus (-NH_2) or a modified N-terminal amino moiety;

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

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

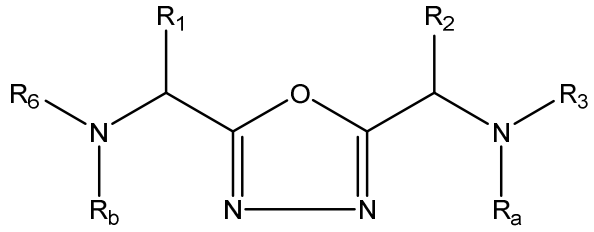
R_c is (C_1-C_6)alkyl, cycloalkyl, aryl, heterocyclyl or heteroaryl; wherein the (C_1-C_6)alkyl, cycloalkyl, aryl, heterocyclyl or heteroaryl is optionally substituted by one or more substituents selected from carboxylic acid, hydroxyl, alkyl, alkoxy, amino, alkylamino, acylamino, carboxylic ester, cycloalkyl,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

m and n independently are integers from 1 to 3; and

p is an integer selected from 1 to 2;

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

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



(IA)

or a pharmaceutically acceptable salt thereof or a stereoisomer thereof.

3. The compound of claims 1 or 2, wherein R_3 is -CO-[Aaa1]$_m$.

4. The compound of any one of claims 1 to 3, wherein the side chain of [Aaa1] comprises a (C_1-C_4)alkyl group optionally substituted by one or more substituents selected from amino, alkylamino, acylamino, carboxylic acid, carboxylate, thiocarboxylate, thioacid, -CONR$_7$R$_8$, hydroxy, cycloalkyl, (cycloalkyl)alkyl, aryl, heterocyclyl, heteroaryl, guanidino, -SH and -S(alkyl); optionally wherein cycloalkyl, aryl, heterocyclyl and heteroaryl are substituted by one or more substituents such as hydroxy, alkoxy, halo, amino, nitro, cyano or alkyl.

5. The compound of any one of claims 1 to 4, wherein the side chain of [Aaa1] comprises a (C_1-C_4)alkyl group substituted by one or more substituents selected from amino, acylamino, carboxylic acid, -$CONR_7R_8$, hydroxy, cycloalkyl, aryl, heteroaryl, guanidino, -SH and -S(alkyl); wherein R_7 and R_8 independently are hydrogen, alkyl, aryl or heterocyclyl.

6. The compound of claim 1 or 2, wherein R_3 is -COR_c.

7. The compound of claim 1 or 2, wherein R_3 is -SO_2R_c.

8. The compound of any one of claims 1 to 7, wherein R_b is hydrogen.

9. The compound of any one of claims 1 to 8, wherein R_1 is (C_1-C_6)alkyl optionally substituted by one or more substituents selected from amino, alkylamino, acylamino, carboxylic acid, carboxylate, carboxylic acid ester, thiocarboxylate, thioacid, -$CONR_7R_8$, hydroxy, cycloalkyl, (cycloalkyl)alkyl, aryl, arylalkyl, heterocyclyl, (heterocyclyl)alkyl, heteroaryl, (heteroaryl)alkyl, guanidino, -SH, and -S(alkyl); optionally wherein cycloalkyl, aryl, heterocyclyl and heteroaryl are substituted by one or more substituents such as hydroxy, alkoxy, halo, amino, nitro, cyano or alkyl.

10. The compound of any one of claims 1 to 9, wherein R_1 is (C_1-C_6)alkyl substituted by one or more substituents selected from amino, acylamino, carboxylic acid, -$CONR_7R_8$, hydroxy, cycloalkyl, aryl, heteroaryl, guanidino, -SH, and -S(alkyl); wherein R_7 and R_8 independently are hydrogen, alkyl or aryl.

11. The compound of any one of claims 1 to 9, wherein R_1 is a side chain of an amino acid.

12. The compound of any one of claims 1 to 11, wherein R_a is hydrogen.

13. The compound of any one claims 1 to 11, wherein R_a and R_2, together with the atoms to which they are attached, form pyrrolidine or piperidine which is optionally substituted with one or more groups independently selected from hydroxyl, halo, amino, cyano and alkyl.

14. The compound of any one of claims 1 to 12, wherein R_2 is $(C_1$-$C_6)$alkyl optionally substituted by one or more substituents selected from amino, alkylamino, acylamino, carboxylic acid, carboxylate, carboxylic acid ester, thiocarboxylate, thioacid, -$CONR_7R_8$, hydroxy, cycloalkyl, (cycloalkyl)alkyl, aryl, arylalkyl, heterocyclyl, (heterocyclyl)alkyl, heteroaryl, (heteroaryl)alkyl, guanidino, -SH, and -S(alkyl); optionally wherein cycloalkyl, aryl, heterocyclyl and heteroaryl are substituted by one or more substituents such as hydroxy, alkoxy, halo, amino, nitro, cyano or alkyl.

15. The compound of any one of claims 1 to 12, wherein R_2 is $(C_1$-$C_6)$alkyl substituted by one or more substituents selected from amino, acylamino, carboxylic acid, -$CONR_7R_8$, hydroxy, cycloalkyl, aryl, heteroaryl, guanidino, -SH and -S(alkyl); wherein R_7 and R_8 independently are hydrogen or alkyl.

16. The compound of any one of claims 1 to 12, wherein R_2 is a side chain of an amino acid.

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

18. The compound of any one of claims 1 to 17, wherein the side chain of [Aaa2] comprises a $(C_1$-$C_4)$alkyl group optionally substituted by one or more substituents selected from amino, alkylamino, acylamino, carboxylic acid, carboxylate, thiocarboxylate, thioacid, -$CONR_7R_8$, hydroxy, cycloalkyl, aryl, heterocyclyl, heteroaryl, guanidino, -SH, and -S(alkyl); optionally wherein cycloalkyl, heterocyclyl and heteroaryl are substituted by one or more substituents such as hydroxy, alkoxy, halo, amino, nitro, cyano or alkyl.

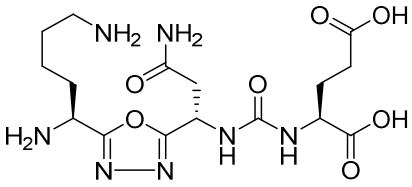
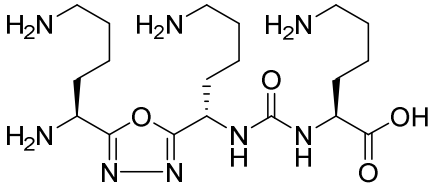
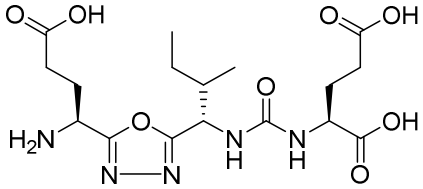
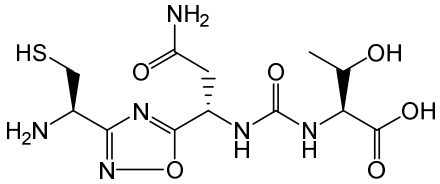
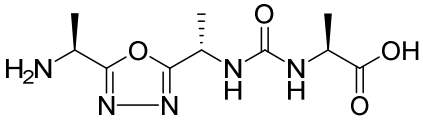
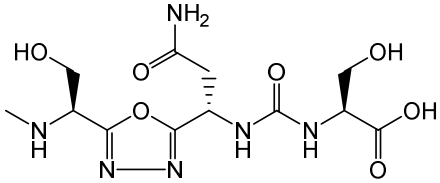
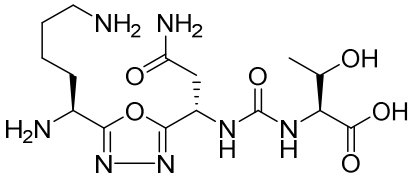
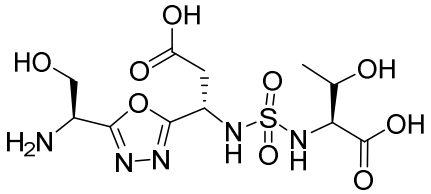
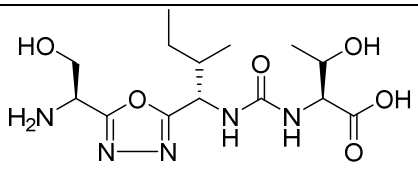
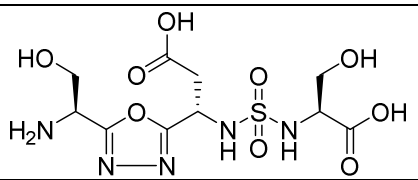
19. The compound of any one of claims 1 to 18, wherein the side chain of [Aaa2] comprises a $(C_1$-$C_4)$alkyl group substituted by one or more substituents selected from amino, acylamino, carboxylic acid, -$CONR_7R_8$, hydroxy, cycloalkyl, aryl, heteroaryl, guanidino, -SH and -S(alkyl); wherein R_7 and R_8 independently are hydrogen or alkyl.

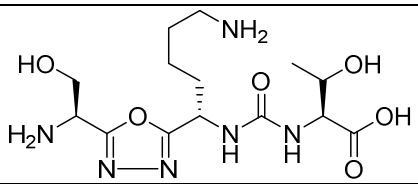
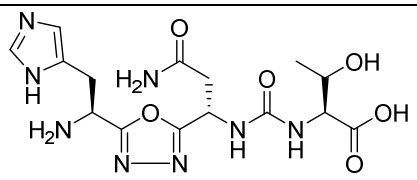
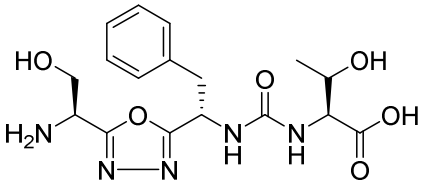
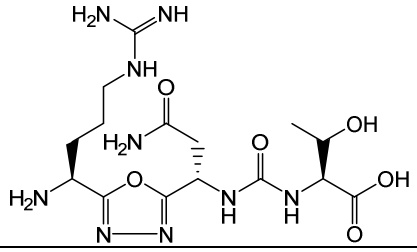
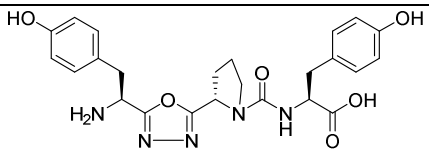
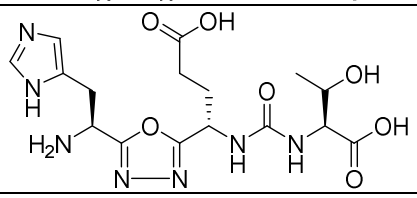
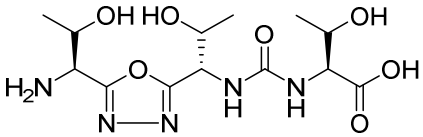
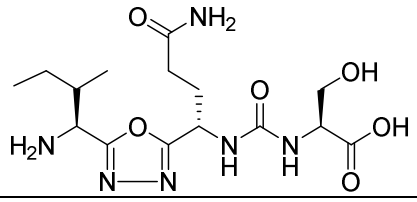
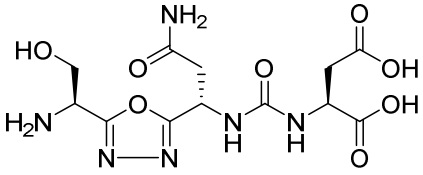
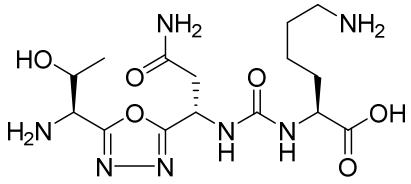
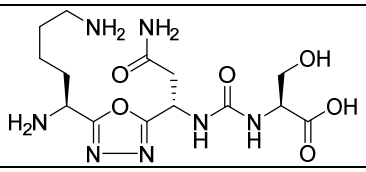
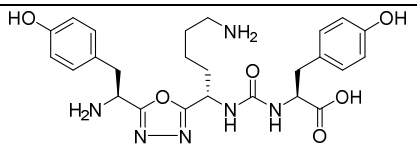
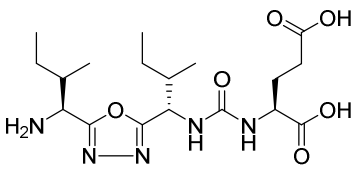
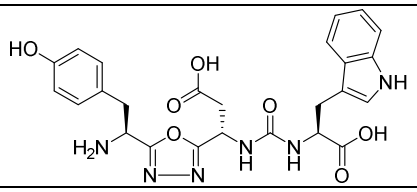
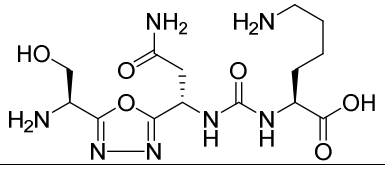
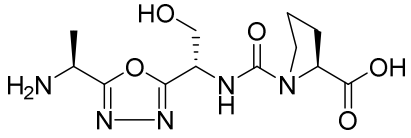
20. The compound of any one of claims 1 to 16, wherein R_6 is hydrogen.

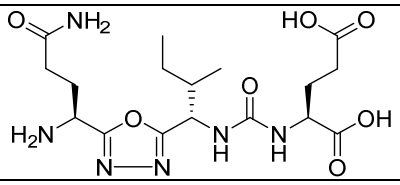
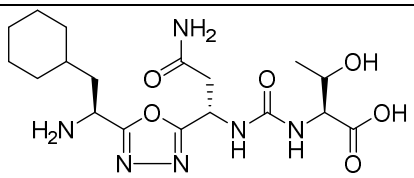
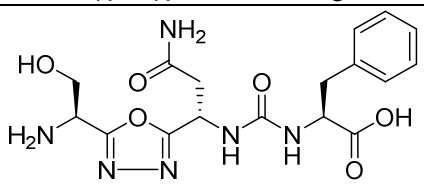
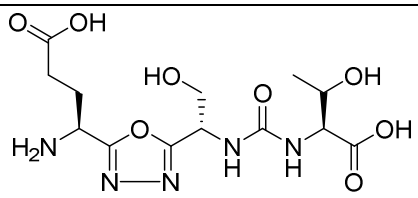
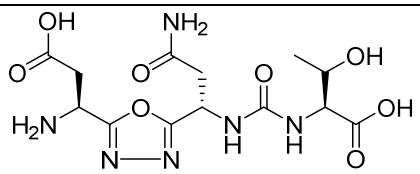
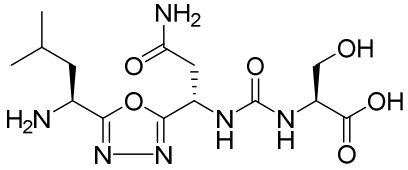
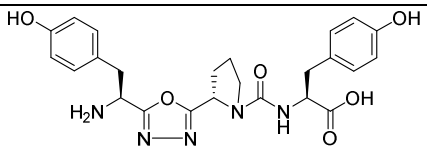
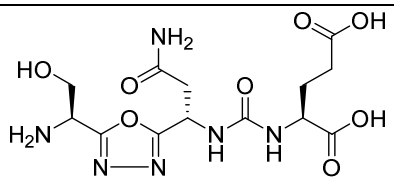
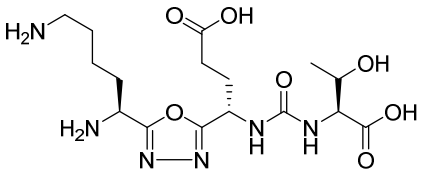
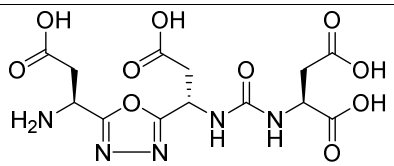
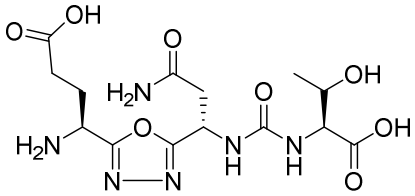
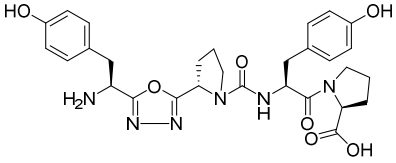
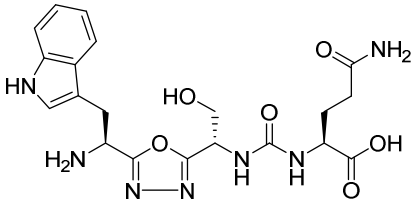
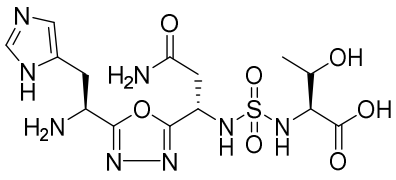
21. The compound of any one of claims 1 to 20, wherein at least one of the amino acid residues is a D amino acid residue.

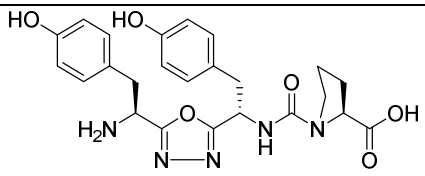
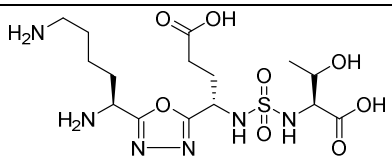
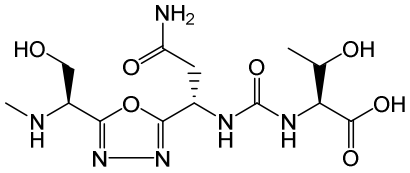
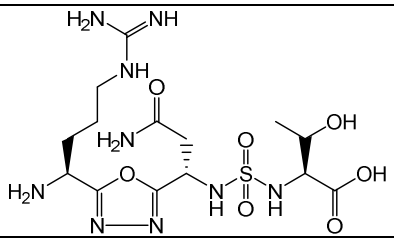
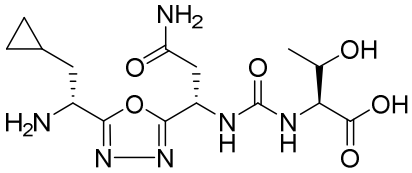
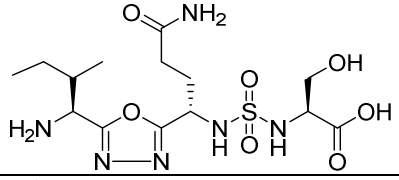
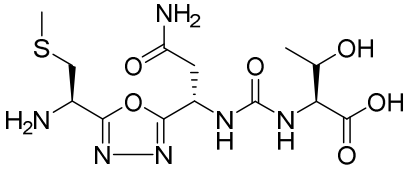
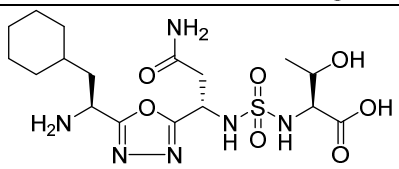
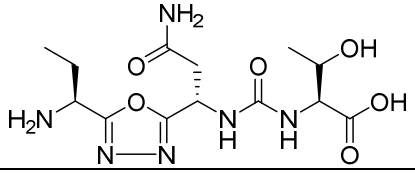
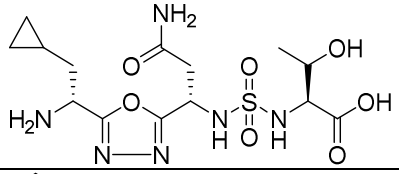
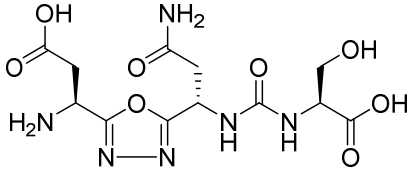
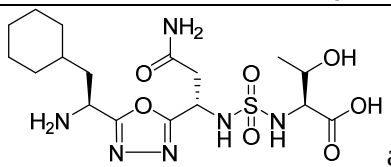
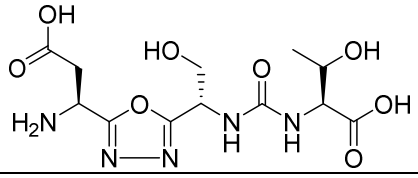
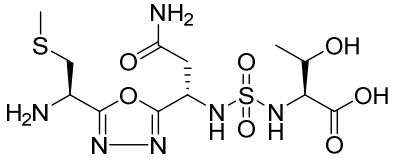
22. The compound of any one of claims 1 to 20, wherein at least one of the amino acid residues is an L amino acid residue.

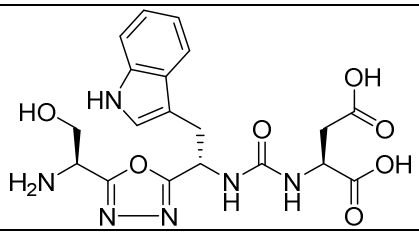
23. A compound selected from:

Comp. No.	Structure	Comp. No.	Structure
1		29	
2		30	
3		31	
4		32	
5		33	

Comp. No.	Structure	Comp. No.	Structure
6		34	
7		35	
8		36	
9		37	
10		38	
11		39	
12		40	
13		41	

Comp. No.	Structure	Comp. No.	Structure
14		42	
15		43	
16		44	
17		45	
18		46	
19		47	
20		48	

Comp. No.	Structure	Comp. No.	Structure
21		49	
22		50	
23		51	
24		52	
25		53	
26		54	 and
27		55	 ;

Comp. No.	Structure	Comp. No.	Structure
28		-	-

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 or excipient.

25. Use of a compound of any one of claims 1-23 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.

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