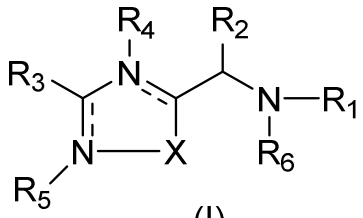
CLAIMS

1. A compound of formula (I):



(I)

or a pharmaceutically acceptable salt thereof;

wherein,

X is O or S;

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

R_1 is -CO-Aaa;

Aaa represents an amino acid residue;

R_2 is a side chain of an amino acid, hydrogen, alkyl, alkenyl, alkynyl, heterocyclyl, heteroaralkyl, aralkyl, heteroaryl or aryl, each optionally substituted by one or more substituents selected from carboxylate, carboxylic acid, carboxylic acid ester, thiocarboxylate, thio acid, amido, amino, heterocyclyl, hydroxyl, cycloalkyl, aryl, aryl-COOH, heteroaryl, guanidino, amidino, -NH, -N(alkyl), -SH and -S(alkyl), optionally wherein two or three carbon atoms of the alkyl, alkenyl or alkynyl form part of a 3-7-membered carbocyclic or heterocyclic ring which is optionally substituted with 1 to 4 substituents, each independently selected from alkyl, alkoxy, carboxylic acid, carboxylate and hydroxyl;

R_3 is aryl, heteroaryl, heterocyclyl, or cycloalkyl, each optionally substituted by 1 to 4 occurrences of R_a;

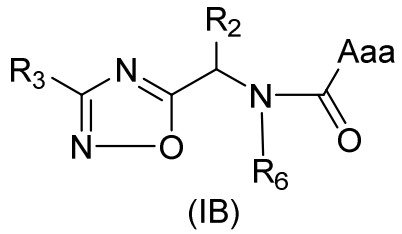
R_a, independently for each occurrence, is alkyl, alkoxy, halo, hydroxyl, amino, -C(O)OH, aralkyl, aryl, alkoxy, heteroaralkyl, heteroaryl, cycloalkyl, (cycloalkyl)alkyl, hydroxyalkyl, alkoxyalkyl or acyl; or any two R_a groups attached to the same carbon atom together represent an oxo (=O) or thioxo (=S);

each of R_4 and R_5 independently is hydrogen or absent; and

R_6 is hydrogen or alkyl.

2. The compound of claim 1, wherein X is O.

3. The compound of claim 1 or 2, wherein the compound is represented by formula (IB):



(IB)

or a pharmaceutically acceptable salt thereof;

wherein,

R_2 is (C_1-C_6)alkyl, (C_2-C_6)alkynyl or heteroarylalkyl; wherein (C_1-C_6)alkyl or heteroaralkyl is substituted with one or more substituents selected from carboxylic acid, carboxylate, thiocarboxylate, thioacid, amido, amino, hydroxyl, cycloalkyl, aryl, aryl-COOH, heterocyclyl, heteroaryl, guanidino, amidino, -SH and -S(alkyl); optionally wherein two or three carbon atoms of the alkyl, alkenyl or alkynyl form part of a 3-7-membered carbocyclic or heterocyclic ring, optionally substituted with 1 to 4 substituents, each independently selected from alkyl, alkoxy, carboxylic acid, carboxylate, and hydroxyl.

4. The compound of claim 3, wherein Aaa is a natural amino acid residue.

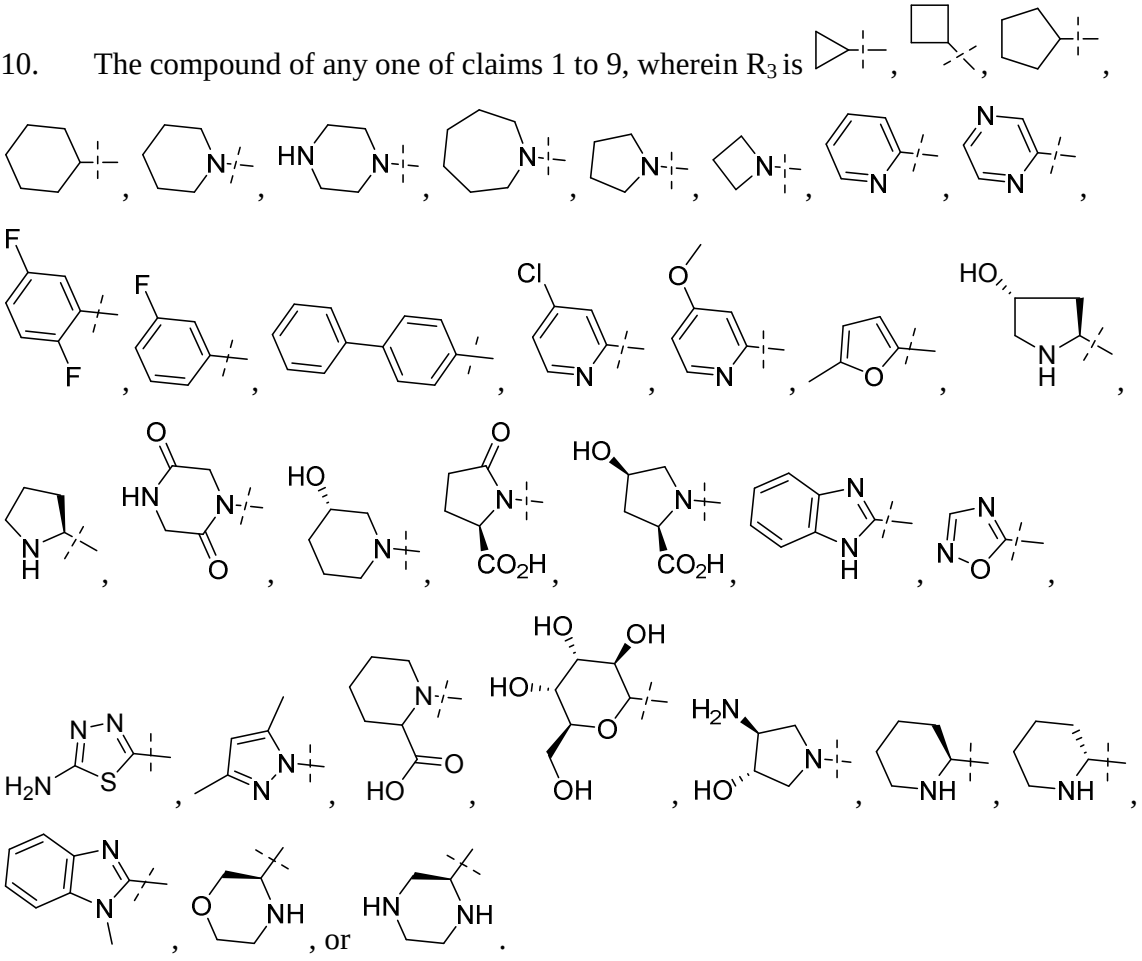
5. The compound of claim 3 or 4, wherein Aaa is Ser, Met, Thr, Gly, Lys, Phe, or Ala.

6. The compound of any one of claims 3 to 5, wherein Aaa is Ser or Thr.

7. The compound of any one of claims 1 to 6, wherein R_3 is aryl, heterocyclyl, or heteroaryl, optionally substituted by 1 to 4 occurrences of R_a.

8. The compound of any one of claims 1 to 7, wherein R_a is alkyl, halo, hydroxyl, amino, -C(O)OH, aralkyl, aryl, alkoxy, heteroaralkyl, heteroaryl, cycloalkyl, (cycloalkyl)alkyl, hydroxyalkyl, alkoxyalkyl, or acyl.

9. The compound of any one of claims1 to 7, wherein any two R_a groups attached to the same carbon atom together represent an oxo (=O) or thioxo (=S).

10. The compound of any one of claims 1 to 9, wherein R_3 is , or .

11. The compound of any one of claims 1 to 10, wherein R_2 is (C_1-C_6)alkyl, (C_2-C_6)alkenyl or (C_2-C_6)alkynyl, substituted by one or more substituents selected from carboxylic acid, carboxylate, thiocarboxylate, thioacid, amido, amino, and amidino.

12. The compound of any one of claims 1 to 11, wherein R_2 is $(C_1\text{-}C_6)$alkyl substituted by carboxylic acid, amido or amidino.

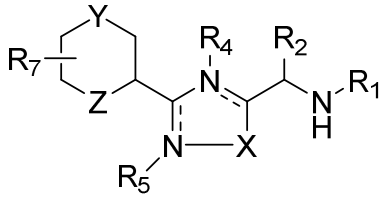
13. The compound of any one of claims 1 to 12, wherein R_2 is $(C_1\text{-}C_6)$alkyl, substituted by -C(O)OH, $-C(O)NH_2$, or $-C(=NH)NH_2$.

14. The compound of any one of claims 1 to 13, wherein R_2 is $-(CH_2)COOH$, $-(CH_2)_2COOH$, $-(CH_2)CONH_2$, $-(CH_2)_2CONH_2$, or $-(CH_2)C(=NH)NH_2$.

15. The compound of any one of claims 1 to 14, wherein R_2 is $-(CH_2)COOH$ or $-(CH_2)CONH_2$.

16. The compound of any one of claims 1 to 15, wherein R_6 is hydrogen.

17. A compound of formula (II),



(II)

or a pharmaceutically acceptable salt thereof;

wherein,

Y and Z are each independently $-CR_aR_b-$, $-NR_c-$, O or S;

X is O or S;

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

R_a and R_b are each independently hydrogen, alkyl, acyl, hydroxyl, amino, halo, aralkyl, aryl, heteroaralkyl, heteroaryl, cycloalkyl, aminoalkyl, alkoxy hydroxyalkyl, alkoxyalkyl, or (cycloalkyl)alkyl; preferably hydroxyl, amino, lower alkyl, lower acyl or lower aralkyl;

R_c is hydrogen, alkyl, acyl, aralkyl, aryl, heteroaralkyl, heteroaryl, cycloalkyl, or (cycloalkyl)alkyl; preferably lower alkyl, lower acyl or lower aralkyl;

R_1 is -CO-Aaa;

Aaa represents an amino acid residue;

R_2 is a side chain of an amino acid, hydrogen, alkyl, alkenyl, alkynyl, heterocyclyl, heteroaralkyl, aralkyl, heteroaryl or aryl, each optionally substituted by one or more substituents selected from carboxylate, carboxylic acid, carboxylic acid ester, thiocarboxylate, thio acid, amido, amino, heterocyclyl, hydroxyl, cycloalkyl, aryl, aryl-COOH, heteroaryl, guanidino, amidino, -SH and -S(alkyl), optionally wherein two or three carbon atoms of the alkyl, alkenyl or alkynyl form part of a 3-7-membered carbocyclic or heterocyclic ring optionally substituted with 1 to 4 substituents, each independently selected from alkyl, alkoxy, carboxylic acid, carboxylate, and hydroxyl;

each of R_4 and R_5 independently is hydrogen or absent; and

R_7 represents 0-4 substituents on the ring to which it is attached, wherein each substituent is independently selected from alkyl, aralkyl, aryl, alkoxy, heteroaralkyl, heteroaryl, halo, cycloalkyl, (cycloalkyl)alkyl, amino, -C(O)OH, hydroxyl, hydroxyalkyl, alkoxyalkyl ~~or~~ and acyl; preferably lower alkyl, lower acyl or lower aralkyl; or two R_7 groups attached to the same carbon atom together represent an oxo (=O), or thioxo (=S);

18. The compound of claim 17, wherein each dotted line [----] represents a bond.

19. The compound of claim 17 or 18, wherein X is O.

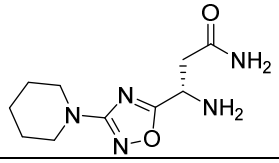
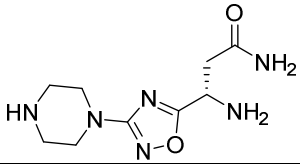
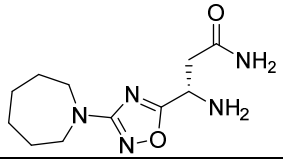
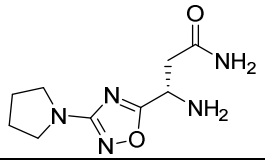
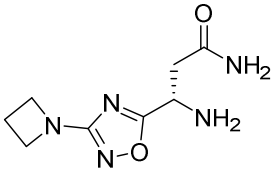
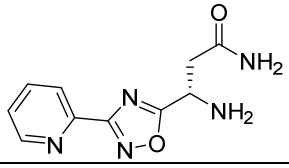
20. The compound of any one of claims 17 to 19, wherein Aaa represents an amino acid residue, wherein the amino acid residue comprises a side chain that includes an -OH, -O-acyl, -SH, $-NH_2$, -NH(alkyl), or -S(alkyl) moiety.

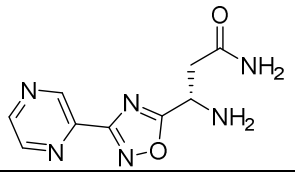
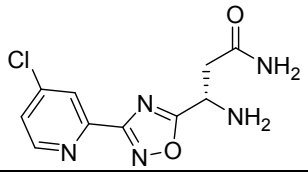
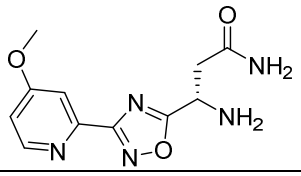
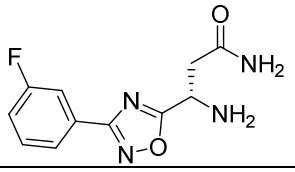
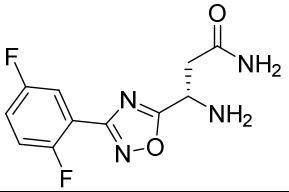
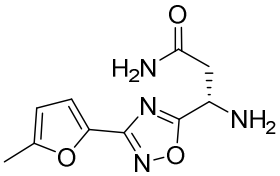
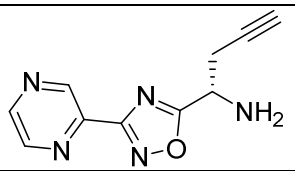
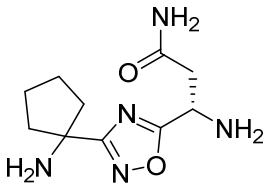
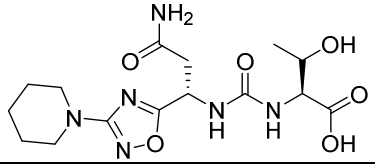
21. The compound of any one of claims 17 to 20, wherein R_2 represents $(C_1\text{-}C_6)$alkyl, $(C_2\text{-}C_6)$alkenyl or $(C_2\text{-}C_6)$alkynyl, substituted by one or more substituents selected from carboxylate, carboxylic acid, carboxylic acid ester, thiocarboxylate, thio acid, amido, amino and heterocyclyl, preferably from lower alkyl, lower acyl or lower aralkyl, optionally

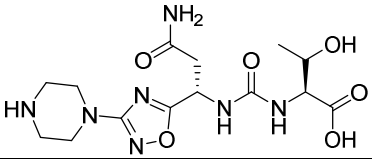
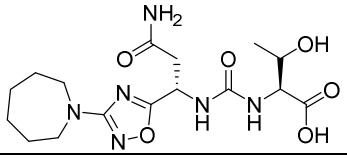
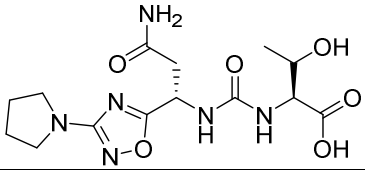
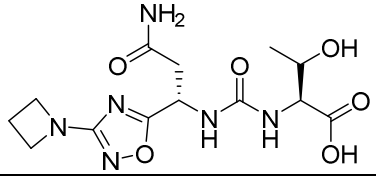
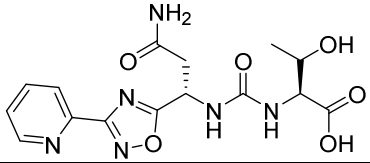
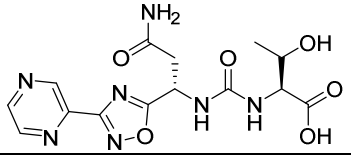
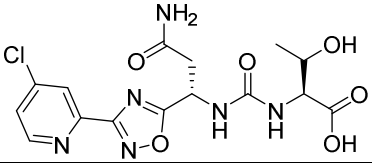
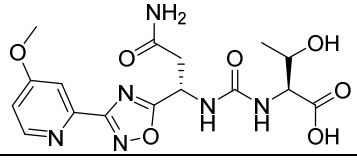
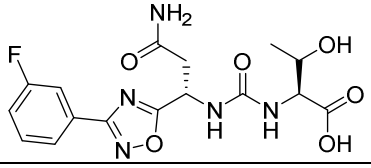
wherein two or three carbon atoms of the (C_1-C_6)alkyl, (C_2-C_6)alkenyl or (C_2-C_6)alkynyl form part of a 3-7-membered carbocyclic or heterocyclic ring.

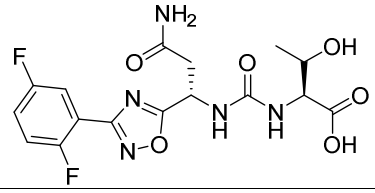
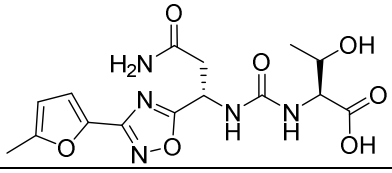
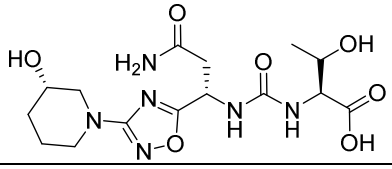
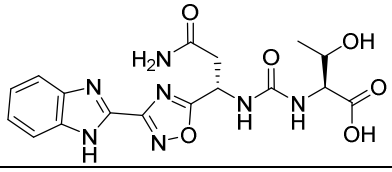
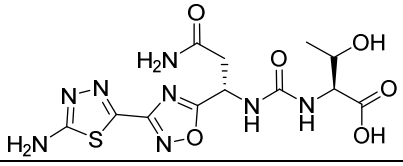
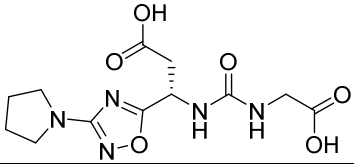
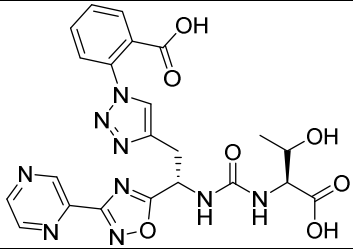
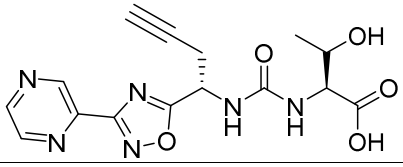
22. The compound of any one of claims 17 to 21, wherein R_7 represents 0-4 substituents on the ring to which it is attached, wherein each substituent is independently selected from alkyl, aralkyl, aryl, alkoxy, heteroaralkyl, heteroaryl, halo, cycloalkyl, (cycloalkyl)alkyl, amino, hydroxyl, hydroxyalkyl, alkoxyalkyl, and acyl, preferably lower alkyl, lower acyl or lower aralkyl.

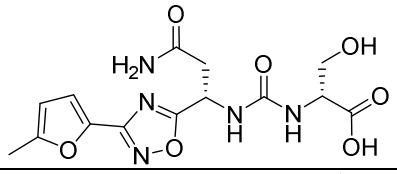
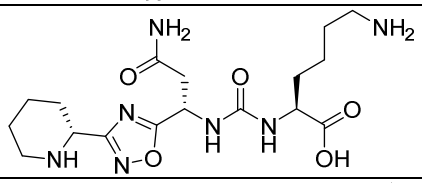
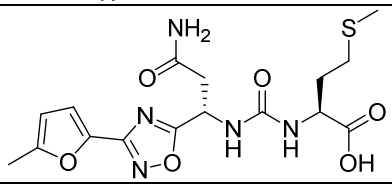
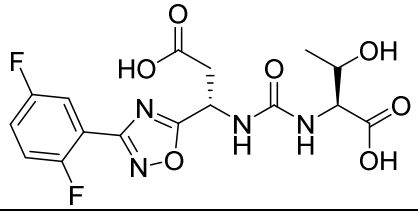
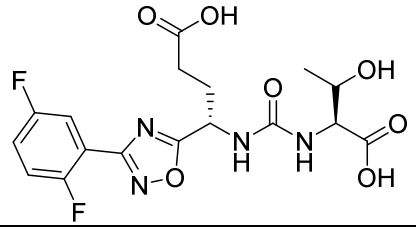
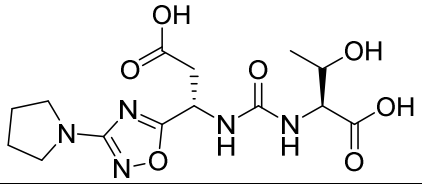
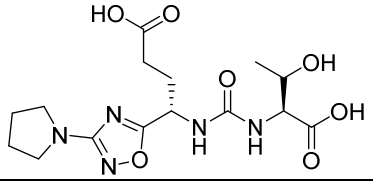
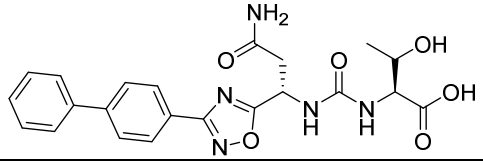
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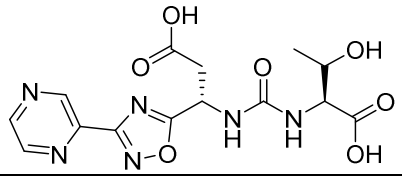
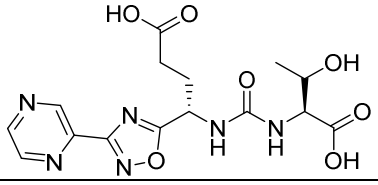
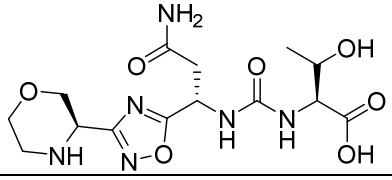
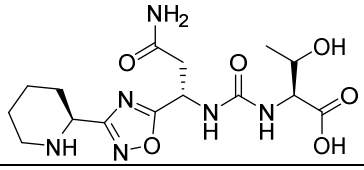
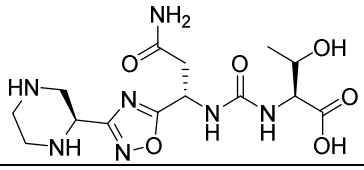
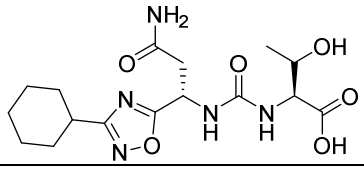
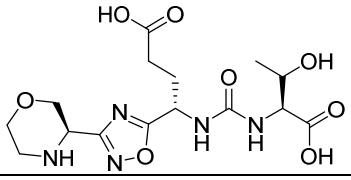
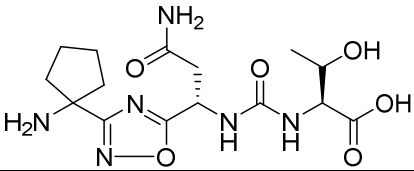
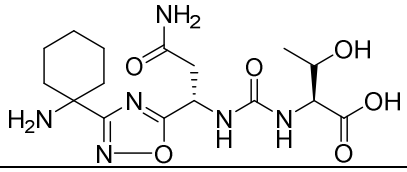
Compound No.	Structure
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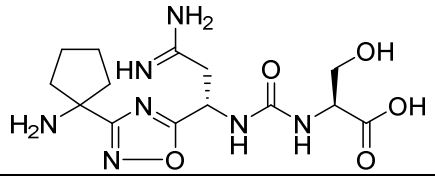
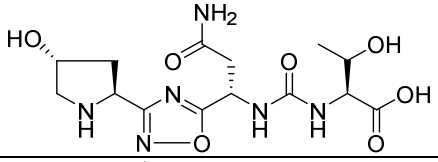
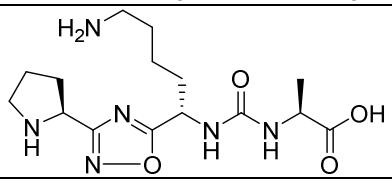
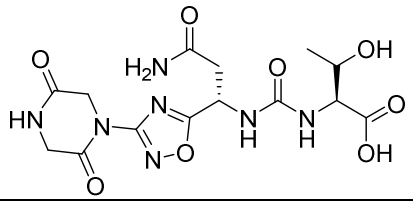
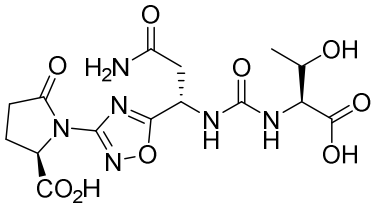
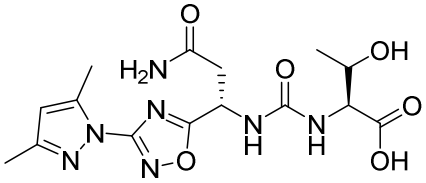
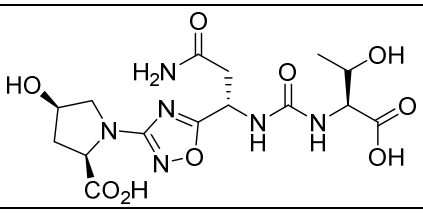
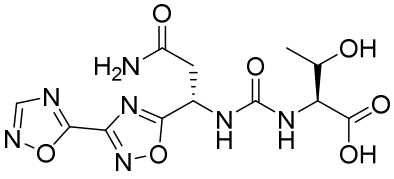
Compound No.	Structure
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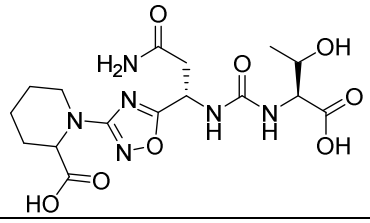
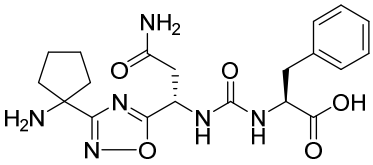
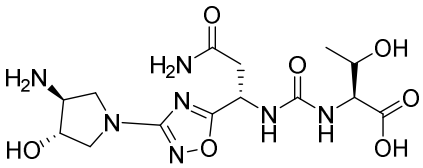
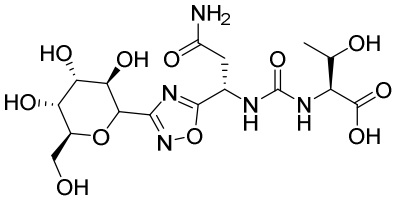
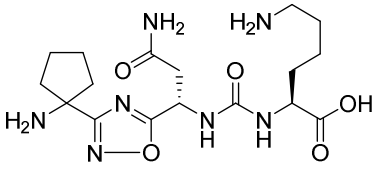
Compound No.	Structure
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Compound No.	Structure
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Compound No.	Structure
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Compound No.	Structure
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Compound No.	Structure
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Compound No.	Structure
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or a pharmaceutically acceptable salt thereof.

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

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.

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