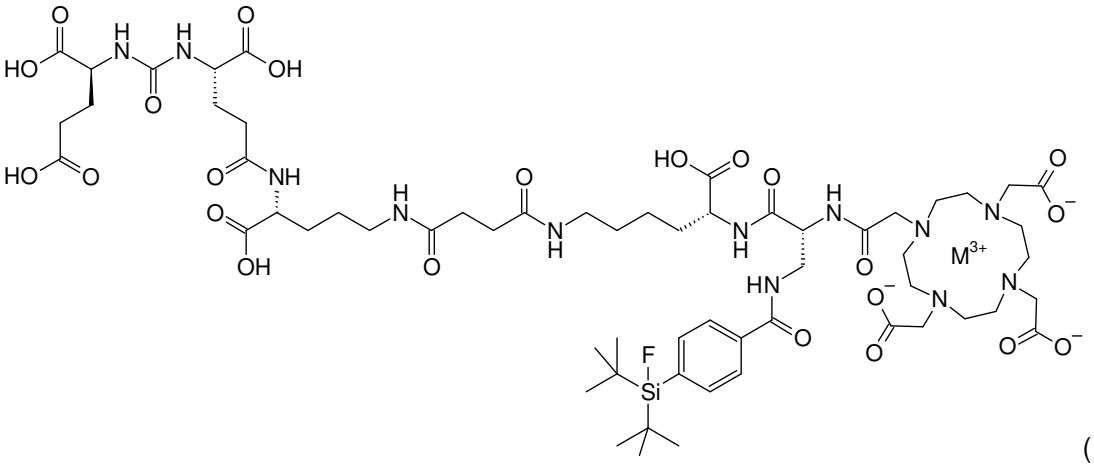
WO2019/020831 and WO2020/157184 disclose ligand-SIFA-chelator conjugates.

In the present invention a proof-of-principle has been established using specific conjugates which bind with high affinity to prostate-specific membrane antigen (PSMA) as target. Accordingly, a further technical problem underlying the present invention can be seen in providing improved radio-therapeutics and –diagnostics for the medical indication which is cancer, preferably prostate cancer.

SUMMARY

In one aspect, the present disclosure provides a compound of Formula (1):



(1);

or a pharmaceutically acceptable salt thereof, wherein M^{3+} is a chelated radioactive or non-radioactive metal cation.

In another aspect, the present disclosure provides a pharmaceutical or diagnostic composition comprising one or more compounds according to the disclosure.

In another aspect, the present disclosure provides a use of a compound or composition according to the disclosure for the manufacture of a diagnostic or imaging agent for use in a method of cancer diagnosis or in a method of imaging.

In another aspect, the present disclosure provides a compound or composition according to the disclosure for use in therapy.

In another aspect, the present disclosure provides a use of a compound or composition according to the disclosure for use in the manufacture of a medicament for treating cancer.

In another aspect, the present disclosure provides a method of imaging and/or diagnosing cancer comprising a compound or composition according to the disclosure which is to be administered to a patient in need thereof.

In another aspect, the present disclosure provides a use of a compound or composition according to the disclosure for the manufacture of a diagnostic or imaging agent for use in a method of cancer diagnosis or in a method of imaging, wherein the cancer is prostate, breast, lung, colorectal or renal cell carcinoma.

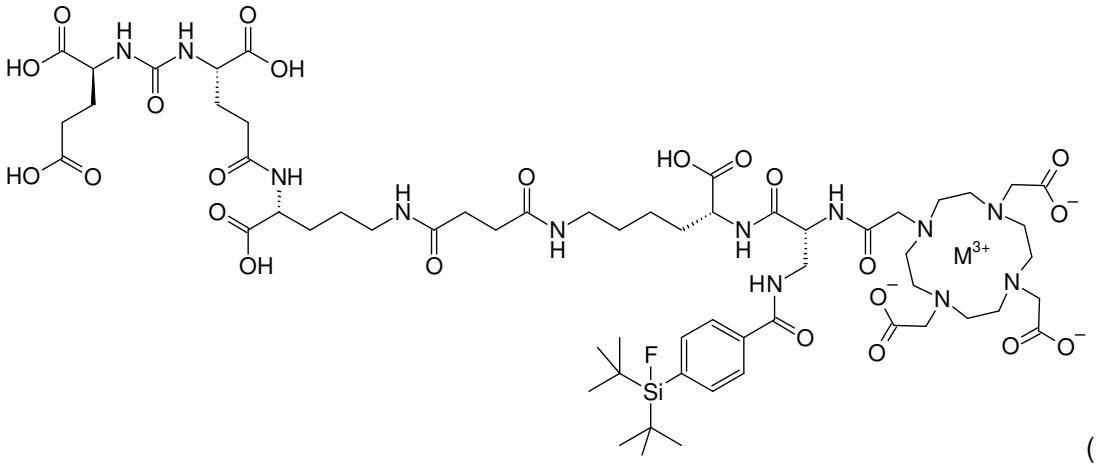
In another aspect, the present disclosure provides a use of a compound or composition according to the disclosure in the manufacture of a medicament for treating cancer wherein the cancer is prostate, breast, lung, colorectal or renal cell carcinoma.

In another aspect, the present disclosure provides a use of a compound or composition according to the disclosure for the manufacture of a diagnostic or imaging agent for use in a method of diagnosis, or in a method of imaging of neoangiogenesis/angiogenesis.

In another aspect, the present disclosure provides a use of a compound or composition according to the disclosure in the manufacture of a medicament for preventing neoangiogenesis/angiogenesis.

THE INVENTION

The present invention relates to compounds of the Formula (1):



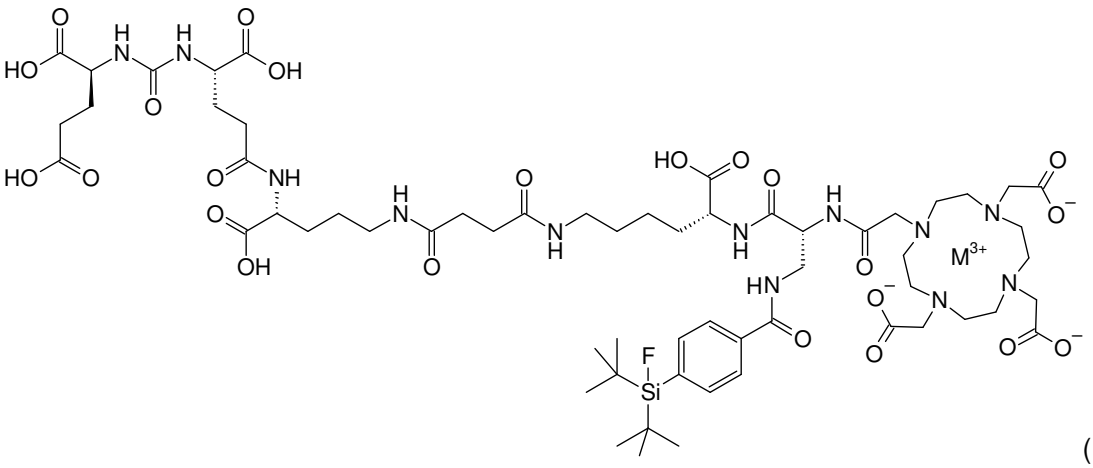
(1);

and pharmaceutically acceptable salts thereof, wherein M^{3+} is a chelated radioactive or non-radioactive metal cation.

Also provided is a pharmaceutical or diagnostic composition comprising a compound of the invention. The compound of the invention may be for use as a cancer diagnostic or imaging agent. Accordingly also provided is a method of imaging and/or diagnosing cancer comprising administering a compound of the invention or a composition comprising a compound of the invention. The compounds or compositions of the invention may be for use in the treatment of cancer. The compounds or compositions of the invention may be for use in the diagnosis, imaging or prevention of neoangiogenesis/angiogenesis. The compounds or compositions of the invention may be for use as a cancer diagnostic or imaging agent or for use in the treatment of cancer. The compounds or compositions of the invention may be for use as a cancer diagnostic or imaging agent or for use in the treatment of cancer wherein the cancer is prostate, breast, lung, colorectal or renal cell carcinoma.

Claims

1. A compound of Formula (1):



(1);

or a pharmaceutically acceptable salt thereof, wherein M^{3+} is a chelated radioactive or non-radioactive metal cation.

2. The compound according to claim 1, wherein M^{3+} is selected from the cations of Sc, Cu, Ga, Y, In, Tb, Ho, Lu, Re, Pb, Bi, Ac, Er and Th.

3. The compound according to claim 1, wherein M^{3+} is Lu^{3+}.

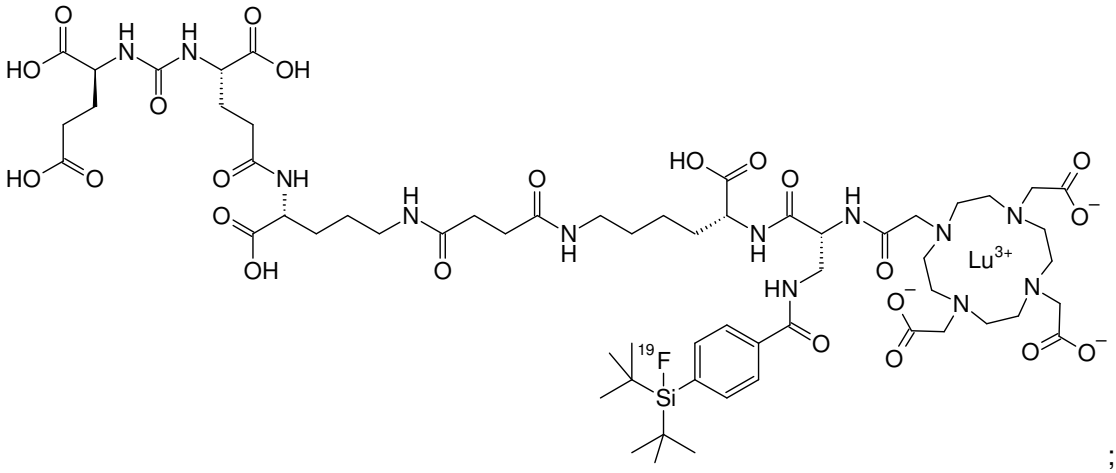
4. The compound according to claim 1, wherein M^{3+} is $^{177}Lu^{3+}$.

5. The compound according to claim 1, wherein M^{3+} is $^{225}Ac^{3+}$.

6. The compound according to claim 1, wherein M^{3+} is Ga^{3+}.

7. The compound according to claim 1, wherein M^{3+} is $^{68}Ga^{3+}$.

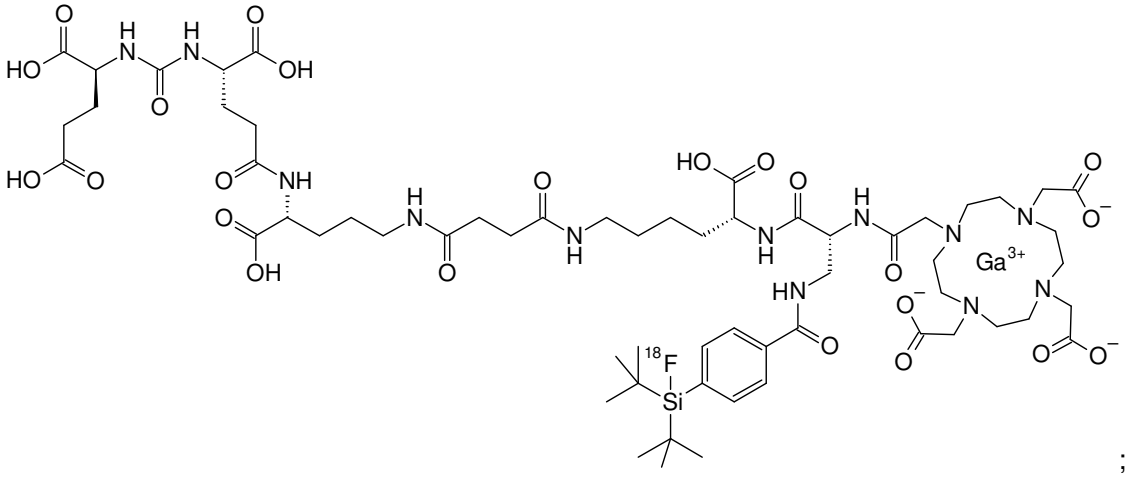
8. The compound according to claim 1 which is:



;

or a pharmaceutically acceptable salt thereof.

9. The compound according to claim 1 which is:



;

or a pharmaceutically acceptable salt thereof.

10. A pharmaceutical or diagnostic composition comprising one or more compounds according to any one of claims 1 to 9.

11. Use of a compound or composition according to any one of claims 1 to 10 for the manufacture of a diagnostic or imaging agent for use in a method of cancer diagnosis or in a method of imaging.

12. A compound or composition according to any one of claims 1 to 10 for use in therapy.

13. Use of a compound or composition according to any one of claims 1 to 10 for use in the manufacture of a medicament for treating cancer.

14. A method of imaging and/or diagnosing cancer comprising a compound or composition according to any one of claims 1 to 10 which is to be administered to a patient in need thereof.

15. Use of a compound or composition according to any one of claims 1 to 10 for the manufacture of a diagnostic or imaging agent for use in a method of cancer diagnosis or in a method of imaging, wherein the cancer is prostate, breast, lung, colorectal or renal cell carcinoma.

16. Use of a compound or composition according to any one of claims 1 to 10 in the manufacture of a medicament for treating cancer wherein the cancer is prostate, breast, lung, colorectal or renal cell carcinoma.

17. Use of a compound or composition according to any one of claims 1 to 10 for the manufacture of a diagnostic or imaging agent for use in a method of diagnosis, or in a method of imaging of neoangiogenesis/angiogenesis.

18. Use of a compound or composition according to any one of claims 1 to 10 in the manufacture of a medicament for preventing neoangiogenesis/angiogenesis.