CLAIMS

What is claimed is:

1. An EZH2 inhibitor for use in the treatment of cancer in combination with one or more tyrosine kinase inhibitors.

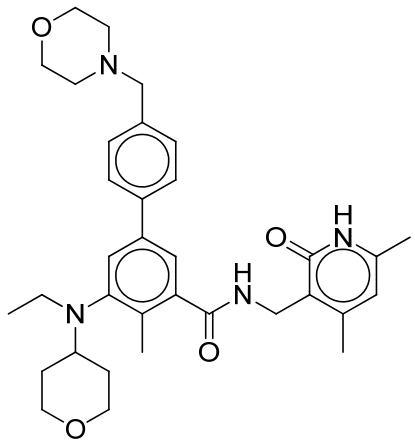
2. The EZH2 inhibitor of claim 1, wherein the cancer is resistant to tyrosine kinase inhibitor treatment.

3. The EZH2 inhibitor of claim 1 or 2, wherein the one or more tyrosine kinase inhibitors are VEGF/VEGFR inhibitors.

4. An EZH2 inhibitor for use in the treatment of cancer in combination with one or more VEGF/VEGFR inhibitors.

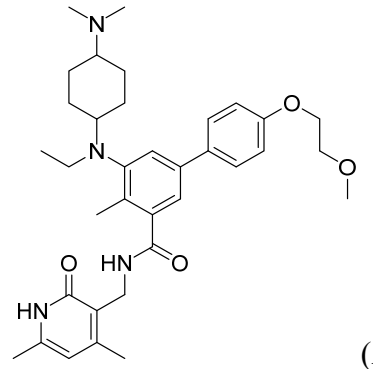
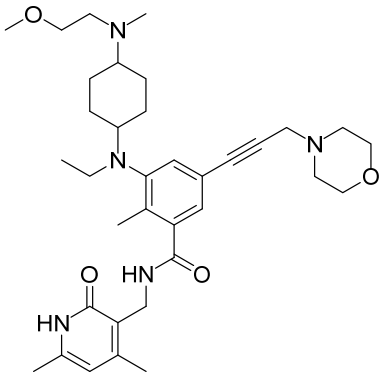
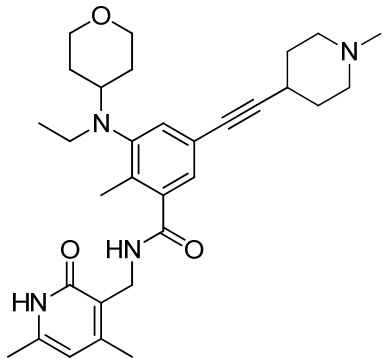
5. The EZH2 inhibitor of any one of claims 1-4, wherein the cancer is resistant to VEGF/VEGFR inhibitor treatment.

6. The EZH2 inhibitor of any one of claims 1-5, wherein the EZH2 inhibitor is Compound 44 having the following formula:



(Compound 44) or pharmaceutically acceptable salt thereof.

7. The EZH2 inhibitor of any one of claims 1-6, wherein the EZH2 inhibitor is:


(A),

(B) or

(C) or pharmaceutically acceptable salt thereof.

8. The EZH2 inhibitor of any one of claims 1-7, wherein the EZH2 inhibitor is GSK-126.

9. The EZH2 inhibitor of any one of claims 1-3 or 5-8, wherein the one or more tyrosine kinase inhibitors are selected from erlotinib (Tarceva); gefitinib (Iressa); imatinib (Gleevec); sorafenib (Nexavar); sunitinib (Sutent); trastuzumab (Herceptin); bevacizumab (Avastin); rituximab (Rituxan); lapatinib (Tykerb); cetuximab (Erbitux); panitumumab (Vectibix); everolimus (Afinitor); alemtuzumab (Campath); gemtuzumab (Mylotarg); temsirolimus (Torisel); pazopanib (Votrient); dasatinib (Sprycel); nilotinib (Tasigna); vatalanib (Ptk787; ZK222584); CEP-701; SU5614; MLN518; XL999; VX-322; Azd0530; BMS-354825; SKI-606 CP-690; AG-490; WHI-P154; WHI-P131; AC-220; and AMG888.

10. The EZH2 inhibitor of any one of claims 1-3 or 5-9, wherein the one or more tyrosine kinase inhibitors includes sunitinib.

11. The EZH2 inhibitor of any one of claims 4-8, wherein the one or more VEGF/VEGFR inhibitors are selected from bevacizumab (Avastin); sorafenib

(Nexavar); sunitinib (Sutent); ranibizumab; pegaptanib; vandetinib; E7080; Zd6474; PKC-412; Vatalanib (Ptk787 or ZK222584); and motesanib diphosphate.

12. The EZH2 inhibitor of any one of claims 4-8 or 11, wherein the one or more VEGF/VEGFR inhibitors includes sunitinib.

13. The EZH2 inhibitor of any one of claims 1-3 or 5-10, wherein the EZH2 inhibitor and the one or more tyrosine kinase inhibitors are to be administered simultaneously or sequentially.

14. The EZH2 inhibitor of any one of claims 1-3 or 5-10 or 13, wherein the EZH2 inhibitor is to be administered prior to administration of the one or more tyrosine kinase inhibitors.

15. The EZH2 inhibitor of any one of claims 4-8 or 11-12, wherein the EZH2 inhibitor and the one or more VEGF/VEGFR inhibitors are to be administered simultaneously or sequentially.

16. The EZH2 inhibitor of any one of claims 4-8 or 11-12 or 15, wherein the EZH2 inhibitor is to be administered prior to administration of the one or more VEGF/VEGFR inhibitors.

17. Use of an EZH2 inhibitor in the manufacture of a medicament for the treatment of cancer, wherein the medicament is to be used in combination with one or more tyrosine kinase inhibitors.

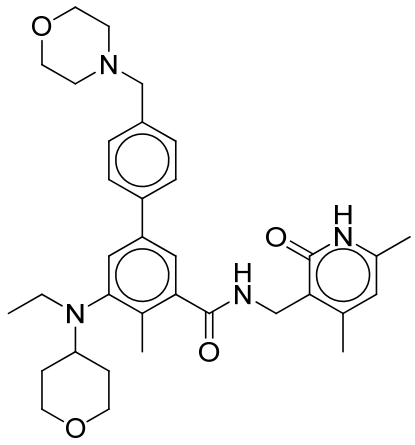
18. The use of claim 17, wherein the cancer is resistant to tyrosine kinase inhibitor treatment.

19. The use of claim 17 or 18, wherein the one or more tyrosine kinase inhibitors are VEGF/VEGFR inhibitors.

20. Use of an EZH2 inhibitor in the manufacture of a medicament for the treatment of cancer, wherein the medicament is to be used in combination with one or more VEGF/VEGFR inhibitors.

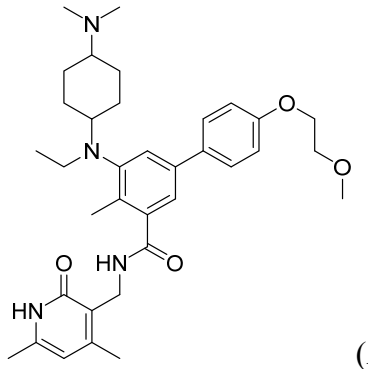
21. The use of any one of claims 17-20, wherein the cancer is resistant to VEGF/VEGFR inhibitor treatment.

22. The use of any one of claims 17-20, wherein the EZH2 inhibitor is Compound 44 having the following formula:

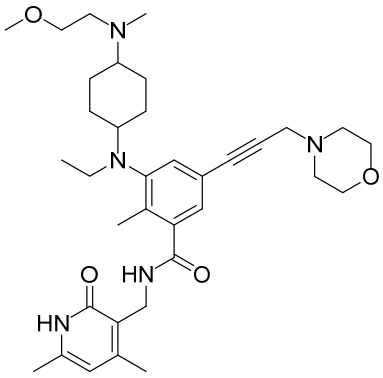


(Compound 44) or pharmaceutically acceptable salt thereof.

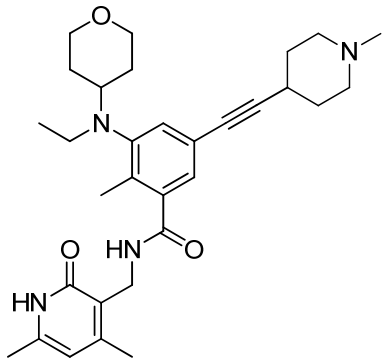
23. The use of any one of claims 17-22, wherein the EZH2 inhibitor is:



(A),



(B) or



(C) or pharmaceutically acceptable salt thereof.

24. The use of any one of claims 17-23, wherein the EZH2 inhibitor is GSK-126.

25. The use of any one of claims 17-19 or 21-24, wherein the one or more tyrosine kinase inhibitors are selected from erlotinib (Tarceva); gefitinib (Iressa); imatinib (Gleevec); sorafenib (Nexavar); sunitinib (Sutent); trastuzumab (Herceptin); bevacizumab (Avastin); rituximab (Rituxan); lapatinib (Tykerb); cetuximab (Erbitux); panitumumab (Vectibix); everolimus (Afinitor); alemtuzumab (Campath); gemtuzumab (Mylotarg); temsirolimus (Torisel); pazopanib (Votrient); dasatinib (Sprycel); nilotinib (Tasigna); vatalanib (Ptk787; ZK222584); CEP-701; SU5614; MLN518; XL999; VX-322; Azd0530; BMS-354825; SKI-606 CP-690; AG-490; WHI-P154; WHI-P131; AC-220; and AMG888.

26. The use of any one of claims 17-19 or 21-25, wherein the one or more tyrosine kinase inhibitors includes sunitinib.

27. The use of any one of claims 20-24, wherein the one or more VEGF/VEGFR inhibitors are selected from bevacizumab (Avastin); sorafenib (Nexavar); sunitinib

(Sutent); ranibizumab; pegaptanib; vandetinib; E7080; Zd6474; PKC-412; Vatalanib (Ptk787 or ZK222584); and motesanib diphosphate.

28. The use of any one of claims 20-24 or 27, wherein the one or more VEGF/VEGFR inhibitors includes sunitinib.

29. The use of any one of claims 17-19 or 21-26, wherein the EZH2 inhibitor and the one or more tyrosine kinase inhibitors are to be administered simultaneously or sequentially.

30. The use of any one of claims 17-19 or 21-26, wherein the EZH2 inhibitor is to be administered prior to administration of the one or more tyrosine kinase inhibitors.

31. The use of any one of claims 20-24 or 27-28, wherein the EZH2 inhibitor and the one or more VEGF/VEGFR inhibitors are to be administered simultaneously or sequentially.

32. The use of any one of claims 20-24 or 27-28 or 31, wherein the EZH2 inhibitor is to be administered prior to administration of the one or more VEGF/VEGFR inhibitors.

33. The EZH2 inhibitor of any one of claims 1-16 or the use of any one of claims 17-32, wherein the cancer is renal cell carcinoma.