What is claimed is:

1. Use of a compound of Formula (I):

$$R^1—Q—R^2 \quad (I)$$

or a pharmaceutically acceptable salt thereof, in the preparation of a medicament for treating cancer in a patient, wherein:

R^1 is a peptide;

R^2 is a small molecule topoisomerase I targeting moiety, which binds to topoisomerase I; and

Q is a linker, which is covalently linked to moiety R^1 and R^2;

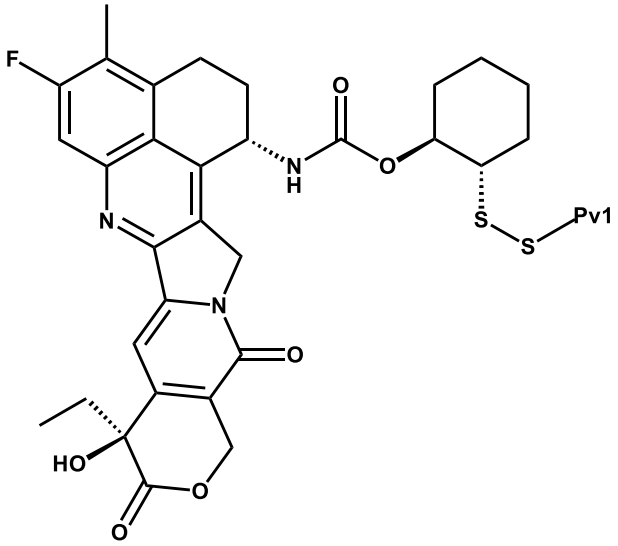
wherein the compound, or the pharmaceutically acceptable salt thereof, is to be administered in a daily dose of about 0.1 mg/kg to about 1.5 mg/kg as measured by the amount of the free form of the compound.

2. The use of claim 1, wherein R^1 is a conformationally restricted peptide.

3. The use of claim 1, wherein R^1 is a peptide capable of selectively delivering $–QR^2$ across a cell membrane having an acidic or hypoxic mantle having a pH less than about 6.0.

4. The use of claim 1, wherein R^2 is a radical of camptothecin, opotecan, irinotecan (CPT-11), silatecan (DB-67, AR-67), cositecan (BNP-1350), lurtotecan, gimatecan (ST1481), belotecan (CKD-602), rubitecan, topotecan, deruxtecan, or exatecan.

5. Use of Compound 1 having the structure:



Compound 1,

or a pharmaceutically acceptable salt thereof, in the preparation of a medicament for treating cancer in a patient, wherein Pv1 is a peptide comprising the following sequence:

ADDQNPWRAYLDLLFPTDTLLLDLLWCG (SEQ ID NO: 1); and

wherein Compound 1 or the pharmaceutically acceptable salt thereof is to be administered in a daily dose of about 0.1 mg/kg to about 1.5 mg/kg as measured by the amount of the free form of Compound 1.

6. The use of claim 5, wherein Compound 1, or a pharmaceutically acceptable salt thereof, is to be administered to said patient intravenously.

7. The use of claim 5 or claim 6, wherein Compound 1, or a pharmaceutically acceptable salt thereof, is to be administered in a daily dose of about 0.25 mg/kg to about 1.5 mg/kg as measured by the amount of the free form of Compound 1.

8. The use of claim 5 or claim 6, wherein Compound 1, or a pharmaceutically acceptable salt thereof, is to be administered in a daily dose of about 0.25 mg/kg to about 1.25 mg/kg as measured by the amount of the free form of Compound 1.

9. The use of claim 5 or claim 6, wherein Compound 1, or a pharmaceutically acceptable salt thereof, is to be administered in a daily dose of about 0.25 mg/kg to about 0.75 mg/kg as measured by the amount of the free form of Compound 1.

10. The use of claim 5 or claim 6, wherein Compound 1, or a pharmaceutically acceptable salt thereof, is to be administered in a daily dose of about 0.25 mg/kg as measured by the amount of the free form of Compound 1.

11. The use of claim 5 or claim 6, wherein Compound 1, or a pharmaceutically acceptable salt thereof, is to be administered in a daily dose of about 0.50 mg/kg as measured by the amount of the free form of Compound 1.

12. The use of claim 5 or claim 6, wherein Compound 1, or a pharmaceutically acceptable salt thereof, is to be administered in a daily dose of about 0.75 mg/kg as measured by the amount of the free form of Compound 1.

13. The use of claim 5 or claim 6, wherein Compound 1, or a pharmaceutically acceptable salt thereof, is to be administered in a daily dose of about 1.25 mg/kg as measured by the amount of the free form of Compound 1.

14. The use of any one of claims 5-13, wherein Compound 1, or a pharmaceutically acceptable salt thereof, is to be administered in a continuous dosing schedule.

15. The use of any one of claims 5-13, wherein Compound 1, or a pharmaceutically acceptable salt thereof, is to be administered in an intermittent dosing schedule comprising one or more cycles, wherein each cycle comprises a first period of consecutive days wherein Compound 1, or the pharmaceutically acceptable salt thereof, is to be administered and a second period of consecutive days wherein Compound 1, or the pharmaceutically acceptable salt thereof, is not to be administered.

16. The use of claim 15, wherein the total length of each cycle is 7 days to 60 days.

17. The use of claim 15, wherein the total length of each cycle is 14 days to 30 days.

18. The use of claim 15, wherein the total length of each cycle is 21 days.

19. The use of any one of claims 15-18, wherein the first period is 5 days and the second period is the remainder of the cycle.

20. The use of any one of claims 15-18, wherein the first period is 3 days and the second period is the remainder of the cycle.

21. The use of any one of claims 15-18, wherein the first period is 2 days and the second period is the remainder of the cycle.

22. The use of any one of claims 15-18, wherein the first period is 1 day and the second period is the remainder of the cycle.

23. Use of a compound of Formula (I):

$$R^1—Q—R^2 \quad \text{(I)}$$

or a pharmaceutically acceptable salt thereof, in the preparation of a medicament for treating cancer in a patient, wherein:

R^1 is a peptide;

R^2 is a small molecule topoisomerase I targeting moiety, which binds to topoisomerase I; and

Q is a linker, which is covalently linked to moiety R^1 and R^2;

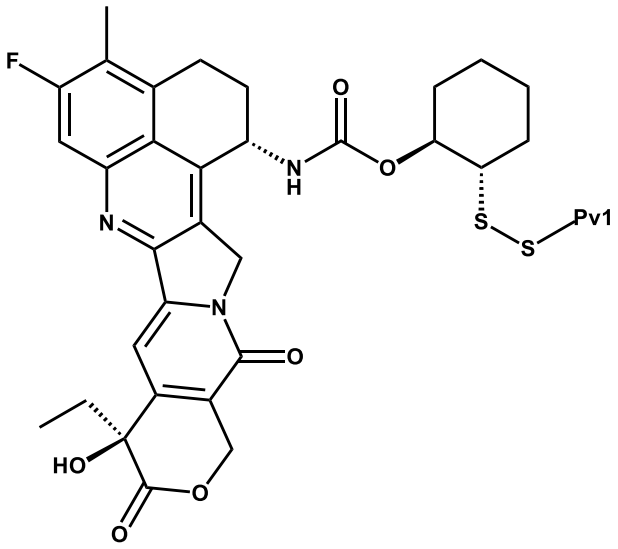
wherein the compound or the pharmaceutically acceptable salt thereof is to be administered in a daily dose of about 5 mg/m^2 to about 100 mg/m^2 as measured by the amount of the free form of the compound.

24. The use of claim 23, wherein R^1 is a conformationally restricted peptide.

25. The use of claim 23, or a pharmaceutically acceptable salt thereof, wherein R^1 is a peptide capable of selectively delivering $–QR^2$ across a cell membrane having an acidic or hypoxic mantle having a pH less than about 6.0.

26. The use of claim 23, or a pharmaceutically acceptable salt thereof, wherein R^2 is a radical of camptothecin, opotecan, irinotecan (CPT-11), silatecan (DB-67, AR-67), cositecan (BNP-1350), lurtotecan, gimatecan (ST1481), belotecan (CKD-602), rubitecan, topotecan, deruxtecan, or exatecan.

27. Use of Compound 1 having the structure:



Compound 1,

or a pharmaceutically acceptable salt thereof, in the preparation of a medicament for treating cancer in a patient, wherein Pv1 is a peptide comprising the following sequence:

ADDQNPWRAYLDLLFPTDTLLLDLLWCG (SEQ ID NO: 1); and

wherein Compound 1 or the pharmaceutically acceptable salt thereof is to be administered in a daily dose of about 5 mg/m^2 to about 100 mg/m^2 as measured by the amount of the free form of Compound 1.

28. The use of any one of claims 1-27, wherein the cancer is selected from ovarian cancer, small cell lung cancer (SCLC), non-small cell lung cancer (NSCLC), breast cancer, gastric cancer, esophageal cancer, colorectal cancer, pancreatic cancer, urothelial cancer, and sarcoma.

29. The use of any one of claims 1-28, wherein the patient has failed at least one previous treatment for cancer.

30. The use of any one of claims 1-29, wherein the medicament is to be administered in combination with an additional therapy.