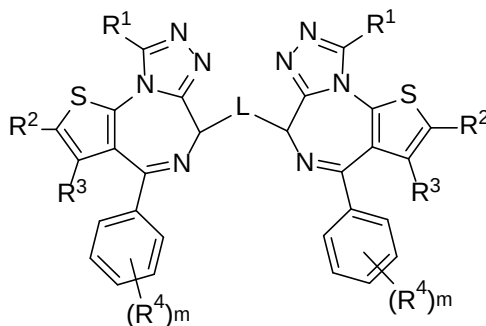


Claims

1. A compound of Formula (II):



(II),

or a pharmaceutically acceptable salt thereof, wherein:

L is a linker comprising 1-40 carbon atoms, selected from the group consisting of optionally substituted alkylene, optionally substituted heteroalkylene, optionally substituted alkenylene, optionally substituted heteroalkenylene, optionally substituted alkynylene, optionally substituted heteroalkynylene, optionally substituted carbocyclylene, optionally substituted heterocyclylene, optionally substituted arylene, or optionally substituted heteroarylene, or any combination thereof;

each instance of R^1 , R^2 , R^3 , and R^4 is independently hydrogen, halogen, $-CN$, $-NO_2$, $-N_3$, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, $-OR^a$, $-N(R^b)_2$, or $-SR^c$;

each instance of R^a is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, or an oxygen protecting group;

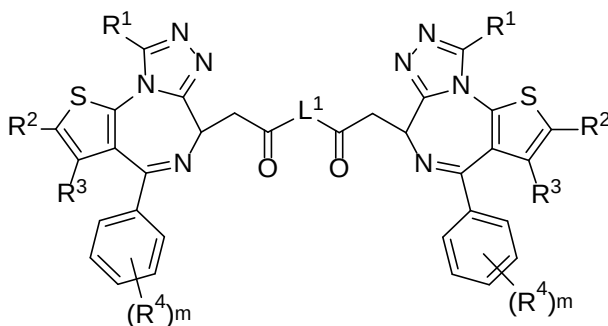
each instance of R^b is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, or a nitrogen protecting group; or optionally two R^b are joined together with the intervening carbon atoms to form optionally substituted heterocyclyl or optionally substituted heteroaryl;

each instance of R^c is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, or a sulfur protecting group;

R⁵ is hydrogen, optionally substituted alkyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, or an oxygen protecting group; and

each instance of m is independently 0, 1, 2, 3, 4, or 5.

2. The compound of claim 1, wherein the compound is of Formula **(II-a)**:

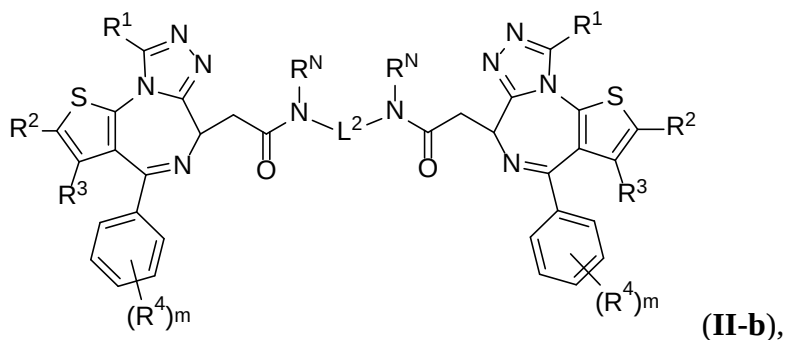


(II-a),

or a pharmaceutically acceptable salt thereof, wherein:

L¹ is a linker comprising 1-36 carbon atoms, selected from the group consisting of optionally substituted alkylene, optionally substituted heteroalkylene, optionally substituted alkenylene, optionally substituted heteroalkenylene, optionally substituted alkynylene, optionally substituted heteroalkynylene, optionally substituted carbocyclylene, optionally substituted heterocyclylene, optionally substituted arylene, or optionally substituted heteroarylene, or any combination thereof; optionally

wherein the compound is of Formula **(II-b)**:



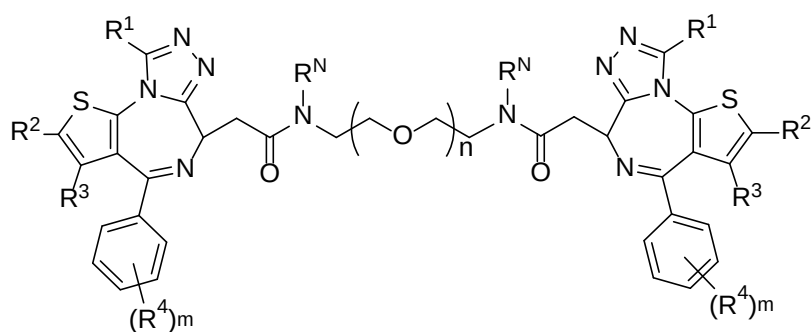
(II-b),

or a pharmaceutically acceptable salt thereof, wherein:

L^2 is a linker comprising 1-34 carbon atoms, selected from the group consisting of optionally substituted alkylene, optionally substituted heteroalkylene, optionally substituted alkenylene, optionally substituted heteroalkenylene, optionally substituted alkynylene, optionally substituted heteroalkynylene, optionally substituted carbocyclylene, optionally substituted heterocyclylene, optionally substituted arylene, or optionally substituted heteroarylene, or any combination thereof; and

each R^N is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, or a nitrogen protecting group; optionally

wherein the compound is of Formula (II-c):

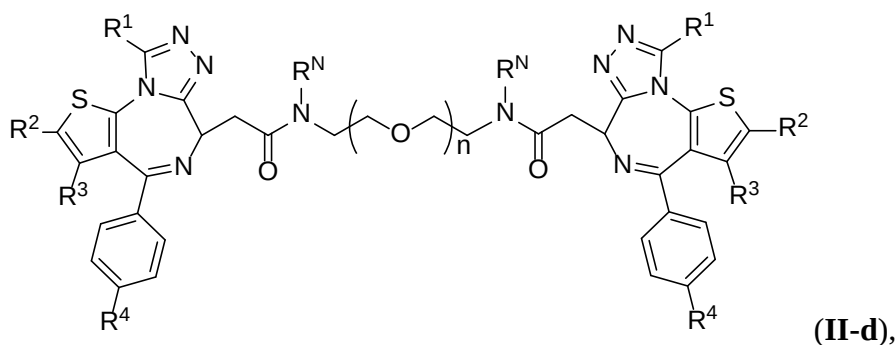


(II-c),

or a pharmaceutically acceptable salt thereof, wherein:

n is 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, or 10; optionally

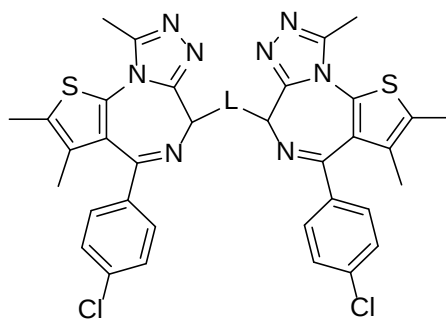
wherein the compound is of Formula (II-d):



(II-d),

or a pharmaceutically acceptable salt thereof.

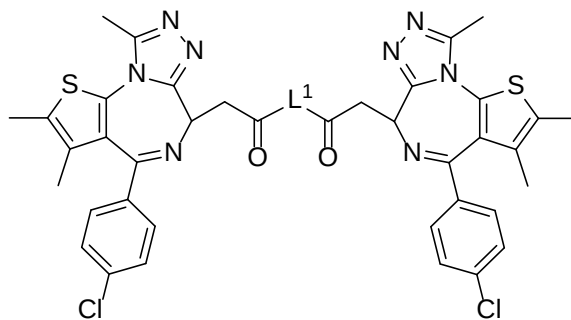
3. The compound of claim 1 or 2, wherein the compound is of Formula (II-e):



(II-e),

or a pharmaceutically acceptable salt thereof; optionally

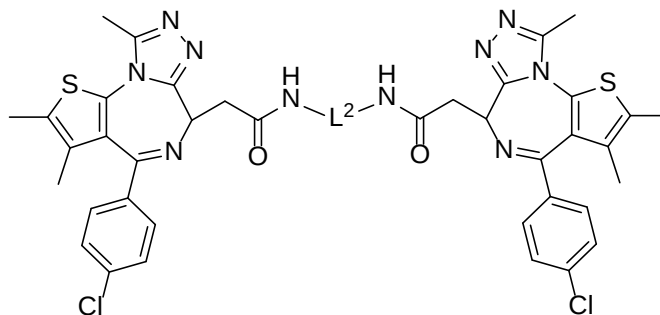
wherein the compound is of Formula (II-f):



(II-f),

or a pharmaceutically acceptable salt thereof; optionally

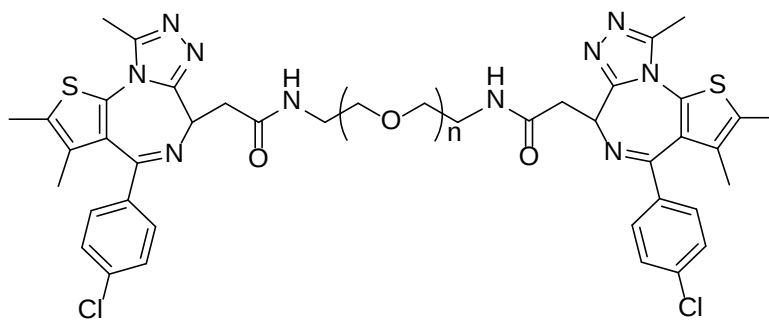
wherein the compound is of Formula (II-g):



(II-g),

or a pharmaceutically acceptable salt thereof; optionally

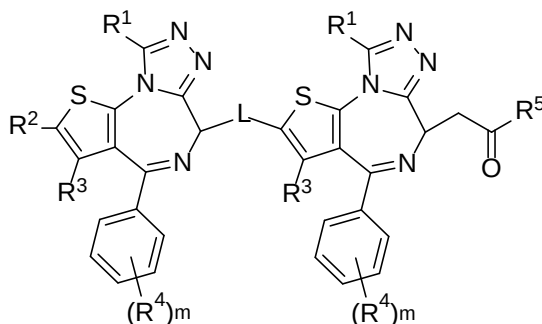
wherein the compound is of Formula (II-h):



(II-h),

or a pharmaceutically acceptable salt thereof.

4. A compound of Formula (I):



(I),

or a pharmaceutically acceptable salt thereof, wherein:

L is a linker comprising 1-40 carbon atoms, selected from the group consisting of optionally substituted alkylene, optionally substituted heteroalkylene, optionally substituted alkenylene, optionally substituted heteroalkenylene, optionally substituted alkynylene, optionally substituted heteroalkynylene, optionally substituted carbocyclylene, optionally substituted heterocyclylene, optionally substituted arylene, and optionally substituted heteroarylene, or any combination thereof;

each instance of R^1 , R^2 , R^3 , and R^4 is independently hydrogen, halogen, $-CN$, $-NO_2$, $-N_3$, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, $-OR^a$, $-N(R^b)_2$, or $-SR^c$;

each instance of R^a is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally

substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, or an oxygen protecting group;

each instance of R^b is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, or a nitrogen protecting group; or optionally two R^{3b} are joined together with the intervening carbon atoms to form optionally substituted heterocyclyl or optionally substituted heteroaryl;

each instance of R^c is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, or a sulfur protecting group;

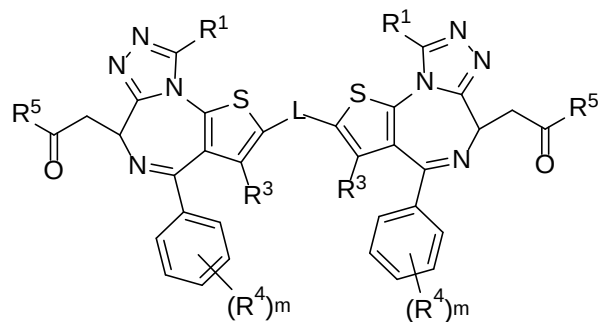
R^5 is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl, optionally substituted heteroarylalkyl, optionally substituted heterocyclylalkyl, optionally substituted carbocyclylalkyl, optionally substituted acyl, $-OR^{5a}$, or $-N(R^{5b})_2$;

each instance of R^{5a} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl, optionally substituted heteroarylalkyl, optionally substituted heterocyclylalkyl, optionally substituted carbocyclylalkyl, optionally substituted acyl, or an oxygen protecting group;

each instance of R^{5b} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl, optionally substituted heteroarylalkyl, optionally substituted heterocyclylalkyl, optionally substituted carbocyclylalkyl, optionally substituted acyl, or a nitrogen protecting group; or optionally two R^{3b} are joined together with the intervening carbon atoms to form optionally substituted heterocyclyl or optionally substituted heteroaryl; and

each instance of m is independently 0, 1, 2, 3, 4, or 5.

5. A compound of Formula (III):



(III),

or a pharmaceutically acceptable salt thereof, wherein:

L is a linker comprising 1-40 carbon atoms, selected from the group consisting of optionally substituted alkylene, optionally substituted heteroalkylene, optionally substituted alkenylene, optionally substituted heteroalkenylene, optionally substituted alkynylene, optionally substituted heteroalkynylene, optionally substituted carbocyclylene, optionally substituted heterocyclylene, optionally substituted arylene, or optionally substituted heteroarylene, or any combination thereof;

each instance of R¹, R², R³, and R⁴ is independently each instance of R⁴ is independently hydrogen, halogen, -CN, -NO₂, -N₃, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, -OR^a, -N(R^b)₂, or -SR^c;

each instance of R^a is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, or an oxygen protecting group;

each instance of R^b is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, or a nitrogen protecting group; or optionally two R^{3b} are joined together with the intervening carbon atoms to form optionally substituted heterocyclyl or optionally substituted heteroaryl;

each instance of R^c is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, or a sulfur protecting group;

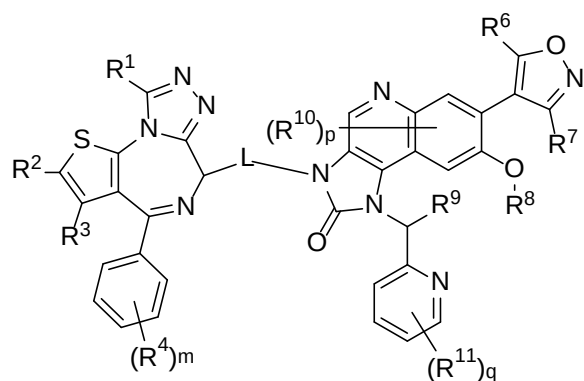
R^5 is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl, optionally substituted heteroarylalkyl, optionally substituted heterocyclalkyl, optionally substituted carbocyclalkyl, optionally substituted acyl, $-OR^{5a}$, or $-N(R^{5b})_2$;

each instance of R^{5a} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl, optionally substituted heteroarylalkyl, optionally substituted heterocyclalkyl, optionally substituted carbocyclalkyl, optionally substituted acyl, or an oxygen protecting group;

each instance of R^{5b} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl, optionally substituted heteroarylalkyl, optionally substituted heterocyclalkyl, optionally substituted carbocyclalkyl, optionally substituted acyl, or a nitrogen protecting group; or optionally two R^{3b} are joined together with the intervening carbon atoms to form optionally substituted heterocyclyl or optionally substituted heteroaryl; and

each instance of m is independently 0, 1, 2, 3, 4, or 5.

6. A compound of Formula (IV):



(IV),

or a pharmaceutically acceptable salt thereof, wherein:

L is a linker comprising 1-40 carbon atoms, selected from the group consisting of optionally substituted alkylene, optionally substituted heteroalkylene, optionally substituted alkenylene, optionally substituted heteroalkenylene, optionally substituted alkynylene, optionally substituted heteroalkynylene, optionally substituted carbocyclylene, optionally substituted heterocyclylene, optionally substituted arylene, or optionally substituted heteroarylene, or any combination thereof;

each instance of R^1 , R^2 , R^3 , and R^4 is independently hydrogen, halogen, $-CN$, $-NO_2$, $-N_3$, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, $-OR^a$, $-N(R^b)_2$, or $-SR^c$;

each instance of R^a is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, or an oxygen protecting group;

each instance of R^b is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, or a nitrogen protecting group; or optionally two R^{3b} are joined together with the intervening carbon atoms to form optionally substituted heterocyclyl or optionally substituted heteroaryl;

each instance of m is independently 0, 1, 2, 3, 4, or 5;

each instance of R⁶, R⁷, R¹⁰, and R¹¹ is independently hydrogen, halogen, -CN, -NO₂, -N₃, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, -OR^a, -N(R^b)₂, or -SR^c;

R⁹ is hydrogen, halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, -OR^a, -N(R^b)₂, or -SR^c;

R⁸ is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, or an oxygen protecting group;

p is 0, 1, 2, or 3; and

q is 0, 1, 2, 3, or 4.

[illegible]

or a pharmaceutically acceptable salt thereof, wherein:

L is a linker comprising 1-40 carbon atoms, selected from the group consisting of optionally substituted alkylene, optionally substituted heteroalkylene, optionally substituted alkenylene, optionally substituted heteroalkenylene, optionally substituted alkynylene, optionally substituted heteroalkynylene, optionally substituted carbocyclylene, optionally substituted heterocyclylene, optionally substituted arylene, or optionally substituted heteroarylene, or any combination thereof;

each instance of R^1 , R^3 , and R^4 is independently hydrogen, halogen, $-\text{CN}$, $-\text{NO}_2$, $-\text{N}_3$, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, $-\text{OR}^a$, $-\text{N}(\text{R}^b)_2$, or $-\text{SR}^c$;

each instance of R^a is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, or an oxygen protecting group;

each instance of R^b is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, or a nitrogen protecting group; or optionally two R^{3b} are joined together with the intervening carbon atoms to form optionally substituted heterocyclyl or optionally substituted heteroaryl;

each instance of R^c is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, or a sulfur protecting group;

R^5 is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl, optionally substituted heteroarylalkyl, optionally substituted heterocyclylalkyl, optionally substituted carbocyclylalkyl, optionally substituted acyl, $-\text{OR}^{5a}$, or $-\text{N}(\text{R}^{5b})_2$;

each instance of R^{5a} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally

substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl, optionally substituted heteroarylalkyl, optionally substituted heterocyclylalkyl, optionally substituted carbocyclylalkyl, optionally substituted acyl, or an oxygen protecting group;

each instance of R^{5b} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl, optionally substituted heteroarylalkyl, optionally substituted heterocyclylalkyl, optionally substituted carbocyclylalkyl, optionally substituted acyl, or a nitrogen protecting group; or optionally two R^{3b} are joined together with the intervening carbon atoms to form optionally substituted heterocyclyl or optionally substituted heteroaryl;

each instance of m is independently 0, 1, 2, 3, 4, or 5;

each instance of R^6 , R^7 , R^{10} , and R^{11} is independently hydrogen, halogen, $-\text{CN}$, $-\text{NO}_2$, $-\text{N}_3$, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, $-\text{OR}^a$, $-\text{N}(\text{R}^b)_2$, or $-\text{SR}^c$;

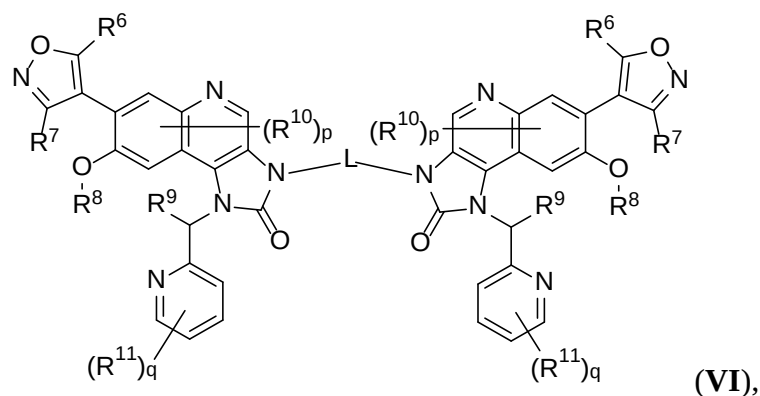
R^9 is hydrogen, halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, $-\text{OR}^a$, $-\text{N}(\text{R}^b)_2$, or $-\text{SR}^c$;

R^8 is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, or an oxygen protecting group;

p is 0, 1, 2, or 3; and

q is 0, 1, 2, 3, or 4.

8. A compound of Formula (VI):



or a pharmaceutically acceptable salt thereof, wherein:

L is a linker comprising 1-40 carbon atoms, selected from the group consisting of optionally substituted alkylene, optionally substituted heteroalkylene, optionally substituted alkenylene, optionally substituted heteroalkenylene, optionally substituted alkynylene, optionally substituted heteroalkynylene, optionally substituted carbocyclylene, optionally substituted heterocyclylene, optionally substituted arylene, or optionally substituted heteroarylene, or any combination thereof;

each instance of R^6 , R^7 , R^{10} , and R^{11} is independently hydrogen, halogen, $-\text{CN}$, $-\text{NO}_2$, $-\text{N}_3$, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, $-\text{OR}^a$, $-\text{N}(\text{R}^b)_2$, or $-\text{SR}^c$;

R^9 is hydrogen, halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, $-\text{OR}^a$, $-\text{N}(\text{R}^b)_2$, or $-\text{SR}^c$;

each instance of R^a is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, or an oxygen protecting group;

each instance of R^b is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, or a nitrogen protecting group; or optionally two R^{3b} are joined together with the

intervening carbon atoms to form optionally substituted heterocyclyl or optionally substituted heteroaryl;

each instance of R^c is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, or a sulfur protecting group;

R⁸ is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, or an oxygen protecting group;

p is 0, 1, 2, or 3; and

q is 0, 1, 2, 3, or 4.

9. The compound of any one of claims 1, 2, and 4-7, wherein R¹ is optionally substituted alkyl; optionally

wherein R¹ is optionally substituted C₁₋₃alkyl; optionally

wherein R¹ is unsubstituted C₁₋₃alkyl; optionally

wherein R¹ is methyl.

10. The compound of any one of claims 1, 2, 4, and 6, wherein R² is optionally substituted alkyl; optionally

wherein R² is optionally substituted C₁₋₃alkyl; optionally

wherein R² is unsubstituted C₁₋₃alkyl; optionally

wherein R² is methyl.

11. The compound of any one of claims 1, 2, and 4-7, wherein R³ is optionally substituted alkyl; optionally

wherein R³ is optionally substituted C₁₋₃alkyl; optionally

wherein R³ is unsubstituted C₁₋₃alkyl; optionally

wherein R³ is methyl.

12. The compound of any one of claims 1, 2, and 4-7, wherein at least one instance of R^4 is halogen; optionally

wherein at least one instance of R^4 is $-\text{Cl}$; optionally

wherein at least one instance of R^4 is $-\text{CN}$.

13. The compound of any one of claims 4-7, wherein R^5 is $-\text{OR}^{5a}$; optionally wherein

R^{5a} is optionally substituted alkyl; optionally wherein

R^{5a} is optionally substituted C_{1-3} alkyl; optionally wherein

R^{5a} unsubstituted C_{1-3} alkyl; optionally

wherein R^5 is $-\text{OCH}_3$.

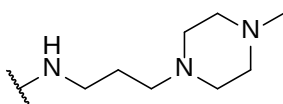
14. The compound of any one of claims 4-7, wherein R^5 is $-\text{N}(\text{R}^{5b})_2$; and optionally

wherein at least one instance of R^{5b} is optionally substituted heterocyclylene; optionally

wherein at least one instance of R^{5b} is optionally substituted $-\text{C}_{1-6}$ alkyl-heterocyclyl; optionally

wherein

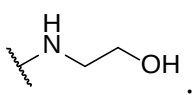
at least one instance of R^{5b} is optionally substituted $-\text{C}_{1-6}$ alkyl-piperazinyl; optionally wherein

R^5 is of the formula: ; optionally wherein

at least one instance of R^{5b} is optionally substituted alkyl; optionally wherein

at least one instance of R^{5b} is optionally substituted C_{1-6} alkyl; optionally wherein

at least one instance of R^{5b} is substituted C_{1-3} alkyl; optionally

wherein R^5 is of the formula: .

15. The compound of any one of claims 1, 2, and 4-7, wherein m is 1; optionally wherein

R^4 is para to the point of attachment of the 1,4-diazepine ring to the benzenoid ring.

16. The compound of any one of claims 6-8, wherein R^6 is optionally substituted alkyl;

optionally

wherein R^6 is optionally substituted C_{1-3} alkyl; optionally

wherein R^6 is unsubstituted C_{1-3} alkyl; optionally

wherein R⁶ is methyl.

17. The compound of any one of claims 6-8, wherein R⁷ is optionally substituted alkyl;
optionally

wherein R⁷ is optionally substituted C₁₋₃alkyl; optionally

wherein R⁷ is unsubstituted C₁₋₃alkyl; optionally

wherein R⁷ is methyl.

18. The compound of any one of claims 6-8, wherein R⁸ is optionally substituted alkyl;
optionally

wherein R⁸ is optionally substituted C₁₋₃alkyl; optionally

wherein R⁸ is unsubstituted C₁₋₃alkyl; optionally

wherein R⁸ is methyl.

19. The compound of any one of claims 6-8, wherein R⁹ is optionally substituted alkyl;
optionally

wherein R⁹ is optionally substituted C₁₋₃alkyl; optionally

wherein R⁹ is unsubstituted C₁₋₃alkyl; optionally

wherein R⁹ is methyl.

20. The compound of any one of claims 1-19, wherein at least one instance of R^N is hydrogen;
optionally

wherein each instance of R^N is hydrogen.

21. The compound of any one of claims 1-8, wherein L is optionally substituted heteroalkylene;
optionally

wherein L is substituted heteroalkylene; optionally

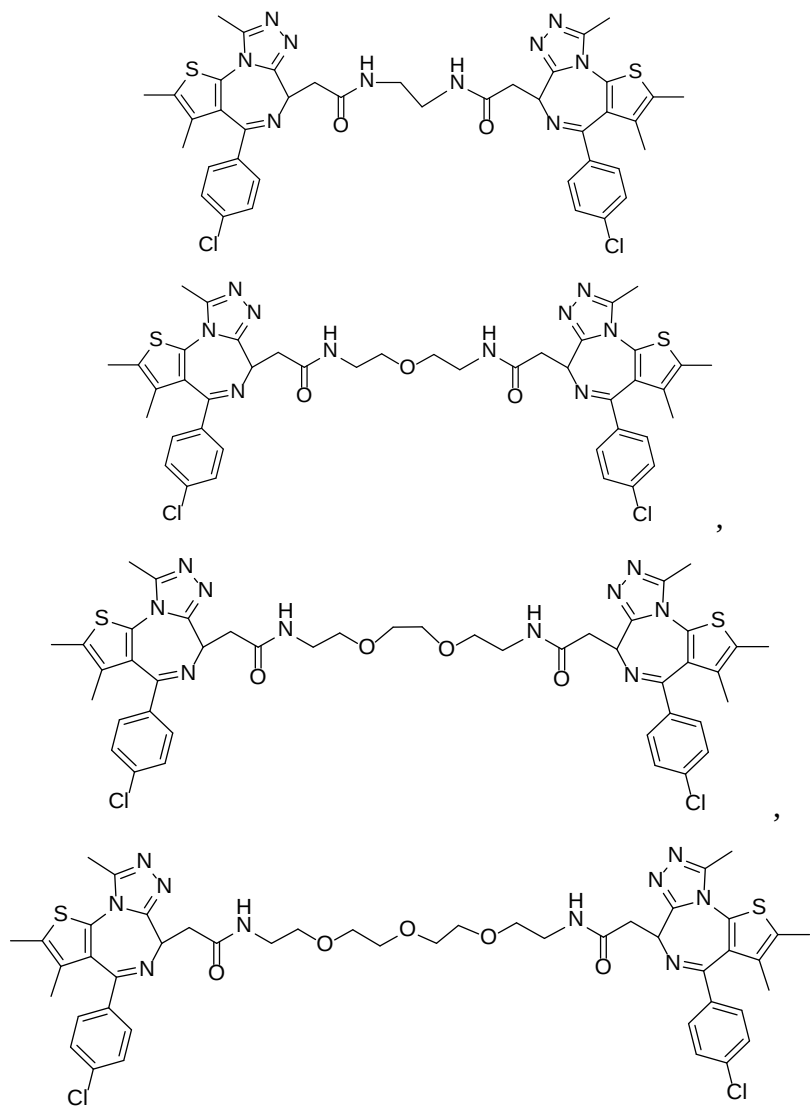
wherein L comprises optionally substituted heteroalkylene and optionally substituted
heterocyclylene.

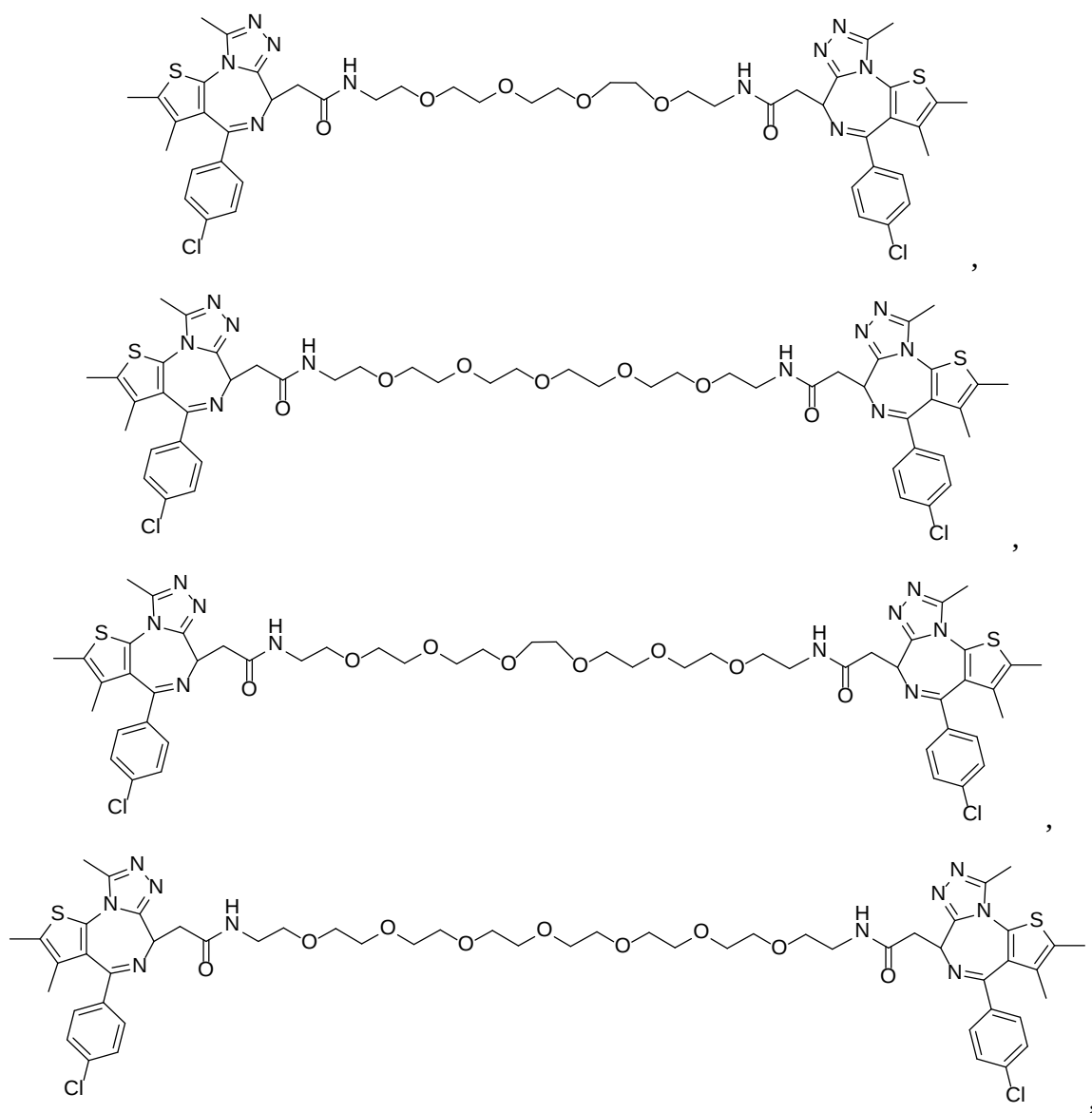
22. The compound of claim 2 or 3, wherein L¹ is optionally substituted heteroalkylene;
optionally
wherein L¹ is unsubstituted heteroalkylene.

23. The compound of claim 2 or 3, wherein L² is optionally substituted heteroalkylene;
optionally
wherein L² is unsubstituted heteroalkylene.

24. The compound of claim 2 or 3, wherein n is 1 or 7.

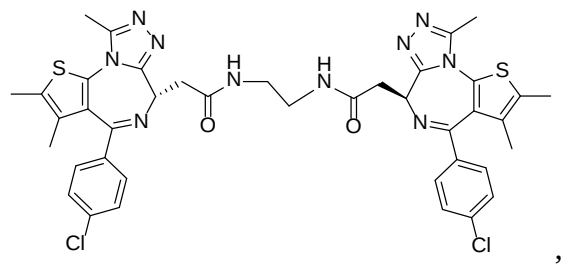
25. The compound of claim 1, wherein the compound is of one of the following formulae:

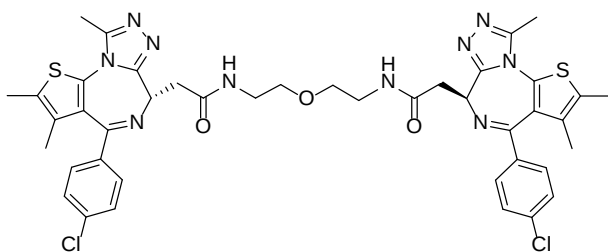




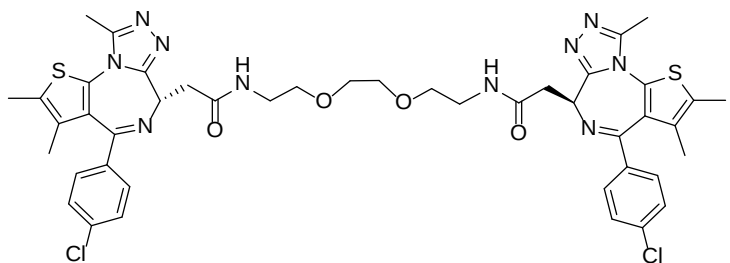
or pharmaceutically acceptable salts thereof.

26. The compound of claim 25, wherein the compound is selected from the group consisting of:

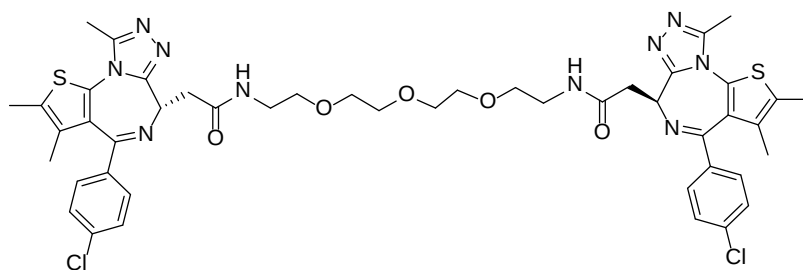




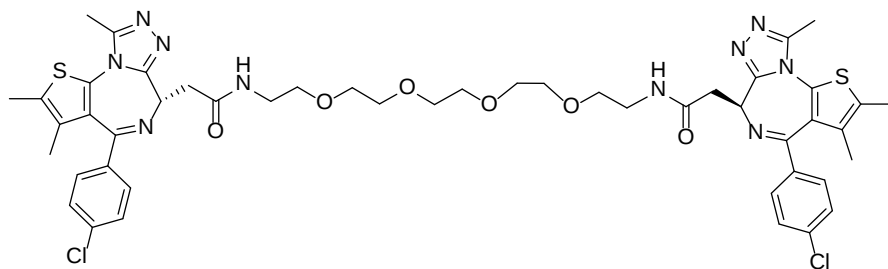
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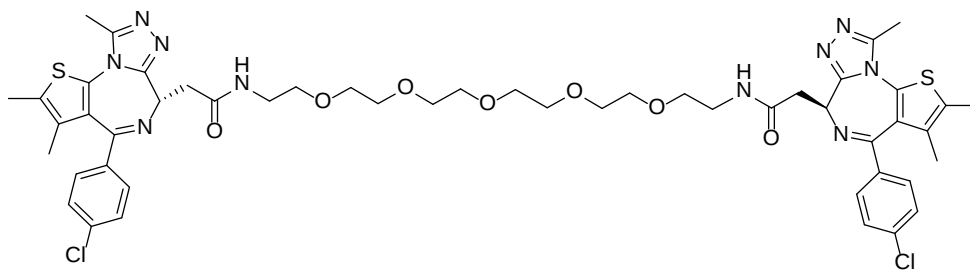
,



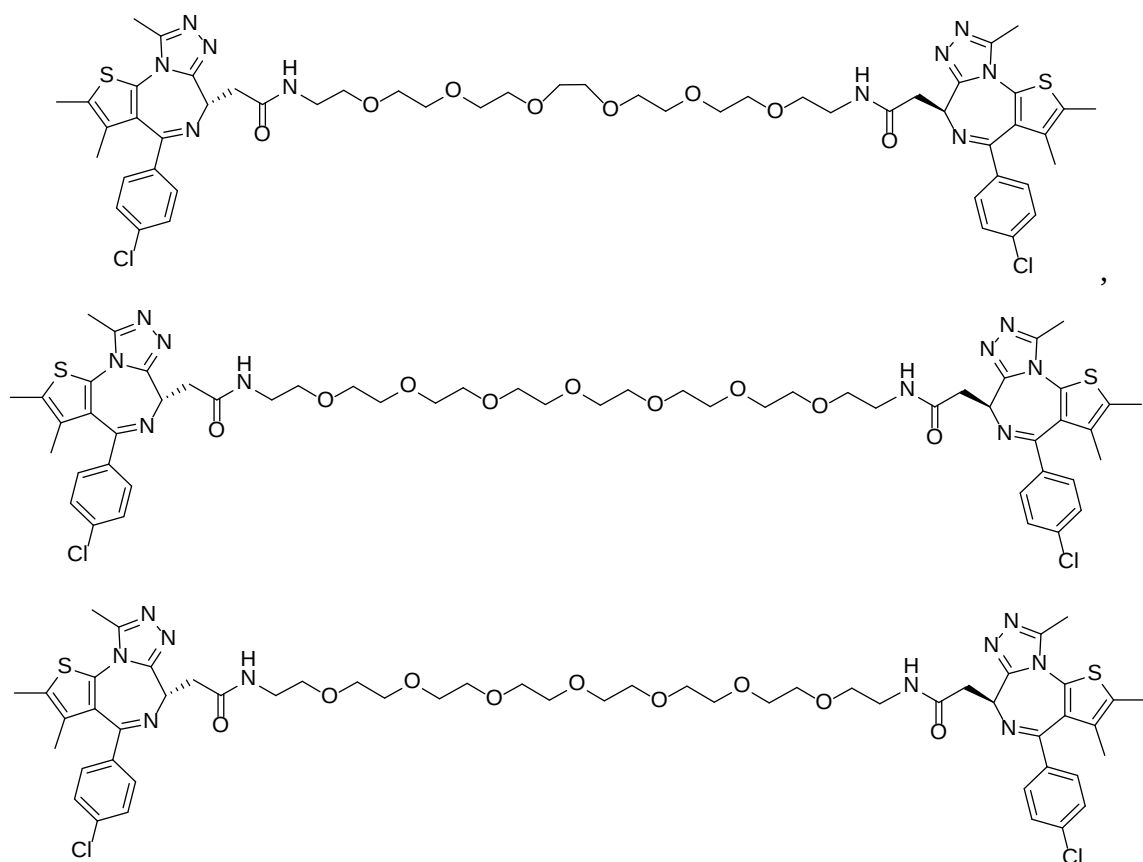
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(6S+6S)-PEG7 (MT1),

and pharmaceutically acceptable salts thereof.

27. A pharmaceutical composition comprising a compound of any one of claims 1-26, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable excipient.

28. Use of a compound of any one of claims 1-26, or a pharmaceutically acceptable salt thereof, or a pharmaceutical composition of claim 27, in the preparation of a medicament for treating a disease associated with a bromodomain or a bromodomain-containing protein in a subject in need thereof; or wherein the disease is associated with aberrant activity of a bromodomain or bromodomain-containing protein; optionally wherein the aberrant activity is increased activity.

29. Use of a compound of any one of claims 1-26, or a pharmaceutically acceptable salt thereof, or a pharmaceutical composition of claim 27, in the preparation of a medicament for male contraception.

30. Use of a compound of any one of claims 1-26, or a pharmaceutically acceptable salt thereof, or a pharmaceutical composition of claim 27, in the preparation of a medicament for inhibiting the activity of a bromodomain or bromodomain-containing protein in a subject.

31. Use of a compound of any one of claims 1-26, or a pharmaceutically acceptable salt thereof, or a pharmaceutical composition of claim 27, in the preparation of a medicament for inhibiting the binding of a bromodomain-containing protein to an acetyl-lysine residue of a second protein in a subject; optionally wherein the second protein is a histone.

32. Use of a compound of any one of claims 1-26, or a pharmaceutically acceptable salt thereof, or a pharmaceutical composition of claim 27, in the preparation of a medicament for inhibiting the expression of a gene that is regulated by a bromodomain-containing protein in a subject.

33. Use of a compound of any one of claims 1-26, or a pharmaceutically acceptable salt thereof, or a pharmaceutical composition of claim 27, in the preparation of a medicament for inducing apoptosis or inducing G1 arrest in cell of a subject.

34. The use of any one of claims 28-33, wherein the bromodomain-containing protein is a bromo and extra terminal (BET) protein; optionally
wherein the bromodomain-containing protein is bromodomain-containing protein 2 (BRD2), bromodomain-containing protein 3 (BRD3), bromodomain-containing protein 4 (BRD4), or bromodomain testis-specific protein (BRDT); optionally
wherein the bromodomain-containing protein is a TBP (TATA box binding protein)-associated factor protein (TAF); optionally
wherein the bromodomain-containing protein is TAF1 or TAF1L; optionally
wherein the bromodomain-containing protein is CREB-binding protein (CBP); optionally
wherein the bromodomain-containing protein is E1A binding protein p300 (EP300).

35. The use of claim 28, wherein the disease is a proliferative disease, inflammatory disease, cardiovascular disease, autoimmune disease, viral infection, fibrotic disease, neurological disease, metabolic disease, or endocrine disease; optionally wherein the disease is cancer; optionally wherein the disease is lung cancer, multiple myeloma, neuroblastoma, colon cancer, testicular cancer, ovarian cancer, NUT midline carcinoma, mixed-lineage leukemia (MLL), prostate cancer, medulloblastoma, or acute non-lymphocytic leukemia (ANLL); optionally wherein the disease is leukemia, lymphoma, or multiple myeloma; optionally wherein the disease is a benign neoplasm or a disease is associated with pathological angiogenesis; optionally wherein the disease is rheumatoid arthritis, sepsis, atherogenesis, atherosclerosis, infection caused by human immunodeficiency virus (HIV), acquired immunodeficiency syndrome (AIDS), infection caused by human papillomavirus (HPV), infection caused by hepatitis C virus (HCV), infection caused by herpes simplex virus (HSV), infection caused by Ebola virus, severe acute respiratory syndrome (SARS), influenza, radiation poisoning, scleroderma, idiopathic pulmonary fibrosis, a graft-versus-host disease (GVHD), diabetes, or obesity; optionally wherein the disease is Type II diabetes or gestational diabetes.

36. The use of any one of claims 28-35, wherein the compound simultaneously binds two different bromodomains of a bromodomain-containing protein; optionally wherein the compound simultaneously binds bromodomain 1 (BD1) and bromodomain 2 (BD2) of a bromodomain-containing protein; optionally wherein the compound simultaneously binds bromodomain 1 (BD1) and bromodomain 2 (BD2) of bromodomain-containing protein 4 (BRD4).