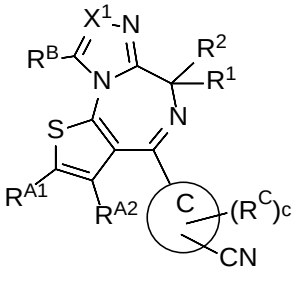
CLAIMS

What is claimed is:

1. A compound of Formula (**I**):



(**I**),

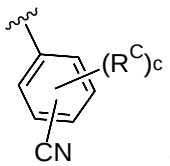
or a pharmaceutically acceptable salt, stereoisomer, or tautomer thereof, wherein:

R^{A1} 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, $-OR^f$, $-SR^f$, $-N(R^f)_2$, $-NO_2$, or $-CN$;

R^{A2} 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, $-OR^f$, $-SR^f$, $-N(R^f)_2$, $-NO_2$, or $-CN$;

X^1 is N or CR^5, wherein R^5 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, $-OR^f$, $-SR^f$, $-N(R^f)_2$, $-NO_2$, or $-CN$;

R^B 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, $-OR^f$, $-SR^f$, $-N(R^f)_2$, $-NO_2$, or $-CN$;

Ring C is of formula  ;

each occurrence of R^C is independently 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, optionally substituted sulfonyl, $-OR^f$, $-SR^f$, $-N(R^f)_2$, $-NO_2$, or $-CN$;

c is 0, 1, 2, 3, or 4;

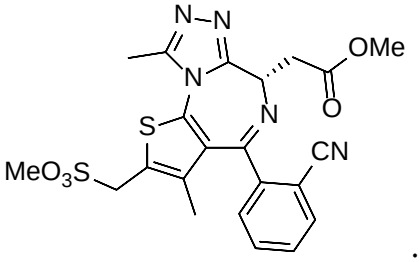
R^1 is hydrogen, halogen, optionally substituted alkyl, or $-(CH_2)_nL$, wherein n is 0, 1, 2, 3 or 4, and L is $-C(=O)R^3$, $-C(=O)OR^3$, $-C(=O)NR^3R^4$, $-S(=O)_2R^3$, $-S(=O)_2OR^3$, $-S(=O)_2NR^3R^4$, $-OR^3$, $-NR^3R^4$, $-N(R^4)C(=O)R^3$, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl;

R^2 is hydrogen, halogen, or optionally substituted alkyl;

each of R^3 and R^4 is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, or optionally substituted acyl, an oxygen protecting group, or a nitrogen protecting group, or R^3 and R^4 are joined to form an optionally substituted heterocyclic or optionally substituted heteroaryl ring; and

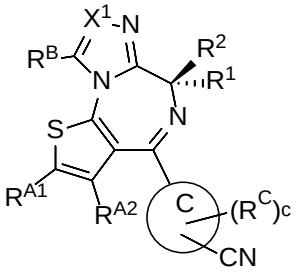
each occurrence of R^f is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, optionally substituted sulfonyl, an oxygen protecting group, or a nitrogen protecting group, or two R^f are joined to form an optionally substituted heterocyclic or optionally substituted heteroaryl ring;

provided the compound is not:

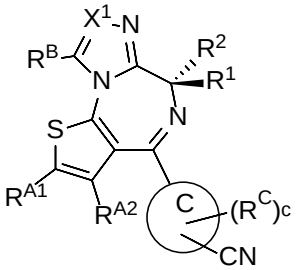


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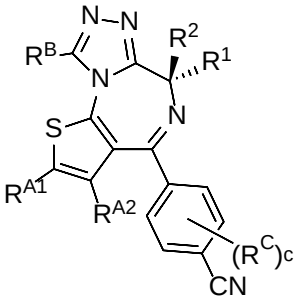
2. The compound of claim 1, wherein the compound is of Formulae (**I-A-1**), (**I-A-2**), (**I-B-1**), (**I-C-1**), (**I-D-1**), or (**I-E-1**):



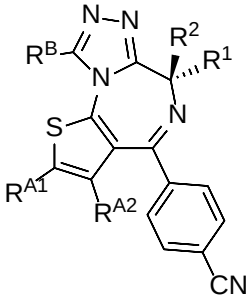
(**I-A-1**),



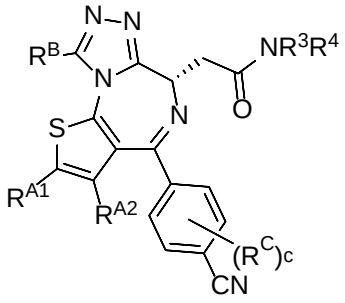
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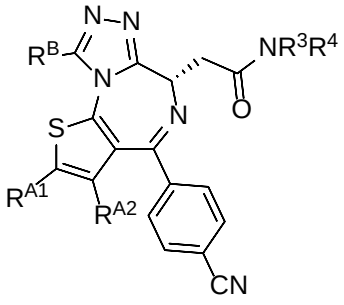
(**I-B-1**),



(**I-C-1**),



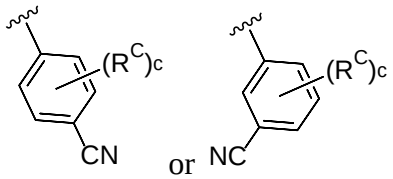
(**I-D-1**), or



(**I-E-1**),

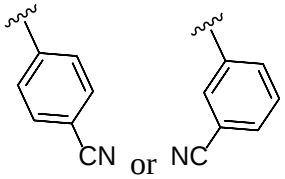
or a pharmaceutically acceptable salt, stereoisomer, or tautomer thereof.

3. The compound of claim 1, or a pharmaceutically acceptable salt thereof, wherein Ring C is of formula:



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4. The compound of claim 3, or a pharmaceutically acceptable salt thereof, wherein Ring C is of formula:



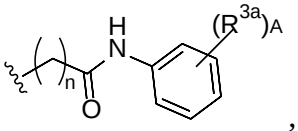
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5. The compound of any one of claims 1-4, or a pharmaceutically acceptable salt thereof, wherein both R^{A1} and R^{A2} are hydrogen or optionally substituted C_{1-6} alkyl.

6. The compound of claim 5, or a pharmaceutically acceptable salt thereof, wherein both R^{A1} and R^{A2} are unsubstituted C_{1-6} alkyl.

7. The compound of any one of claims 1-6, or a pharmaceutically acceptable salt thereof, wherein R^1 is $-(CH_2)_nC(=O)OR^3$; $-(CH_2)_nS(=O)_2R^3$; $-(CH_2)_nNR^3R^4$; $-(CH_2)_nC(=O)NR^3R^4$; or $-(CH_2)_nL$, and L is optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl.

8. The compound of claim 7, or a pharmaceutically acceptable salt thereof, wherein R^3 is hydrogen, and R^4 is optionally substituted C_{1-6} alkyl or optionally substituted aryl.

9. The compound of claim 7, or a pharmaceutically acceptable salt thereof, wherein R^1 is of the formula: 

,

R^{3a} is 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, $-OR^f$, $-SR^f$, $-N(R^g)_2$, or $-NO_2$;

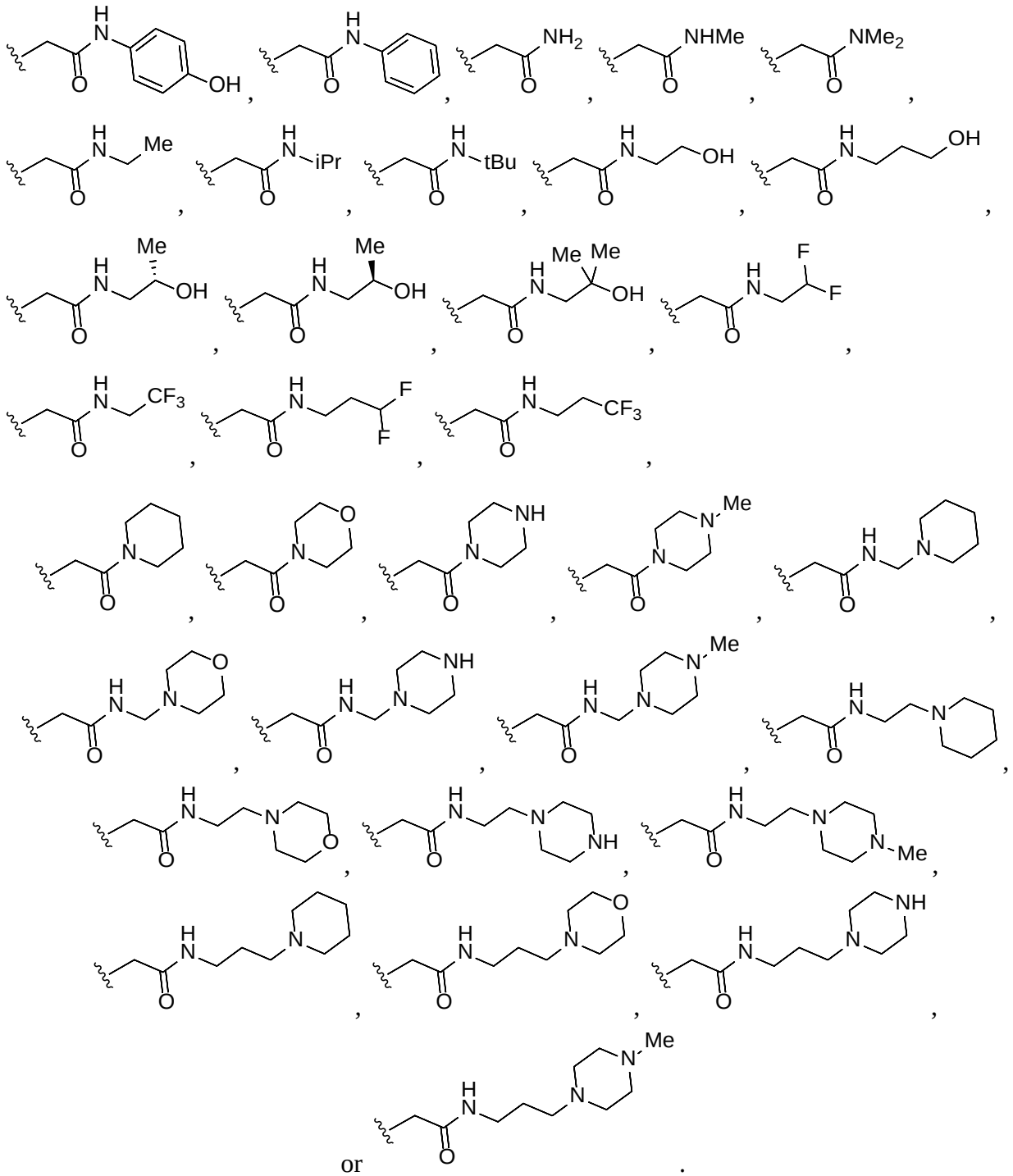
R^g is optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, or nitrogen protecting group; and

A is 0, 1, 2, 3, 4, or 5.

10. The compound of claim 7, or a pharmaceutically acceptable salt thereof, wherein R^3 is hydrogen, and R^4 is unsubstituted C_{1-6} alkyl or substituted C_{1-6} alkyl, wherein the substituents are selected from the group consisting of halogen, hydroxyl, and optionally substituted heterocyclyl.

11. The compound of claim 7, or a pharmaceutically acceptable salt thereof, wherein R^3 and R^4 are joined to form an optionally substituted heterocyclic ring, or wherein R^3 and R^4 are joined to form an optionally substituted piperidinyl, dihydropyridinyl, piperazinyl, or morpholinyl ring.

12. The compound of any one of claims 1-6, or a pharmaceutically acceptable salt thereof, wherein R^1 is:

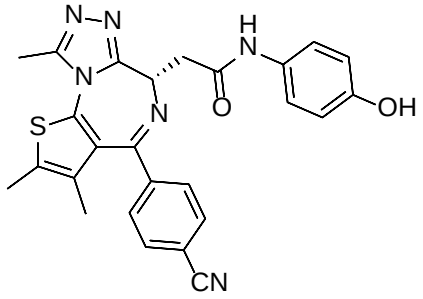
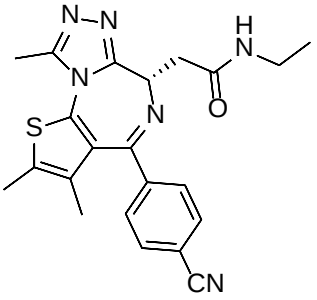
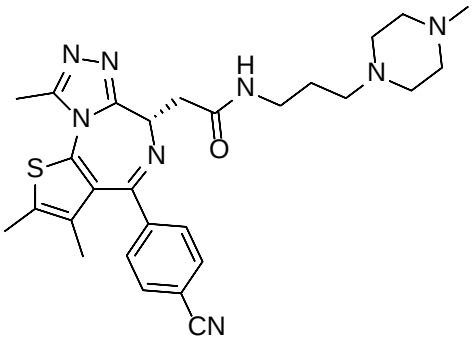
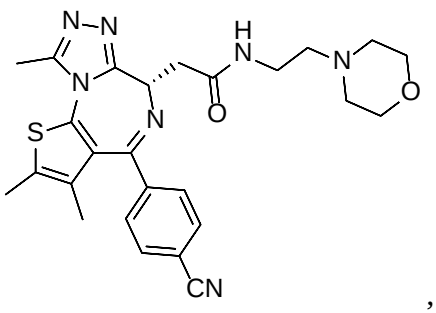
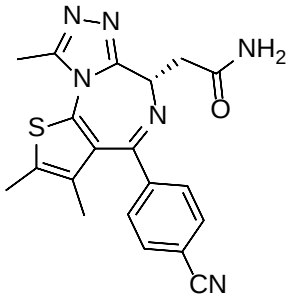
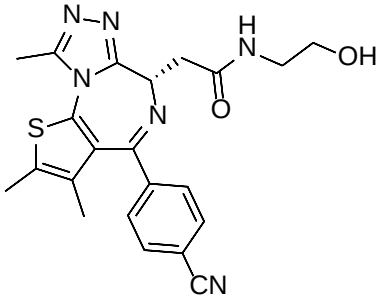
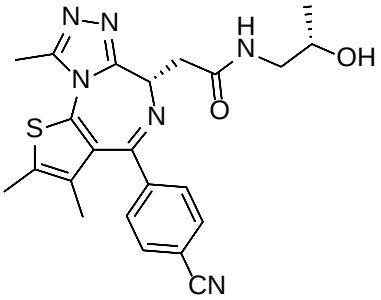
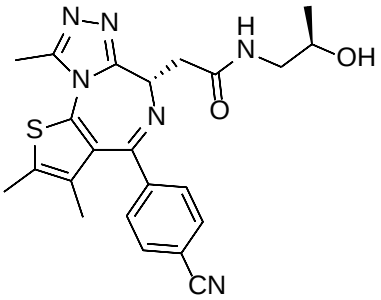


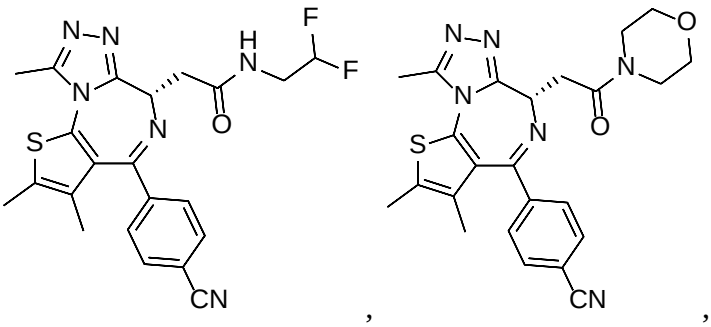
13. The compound of any one of claims 1-12, or a pharmaceutically acceptable salt thereof, wherein R^2 is hydrogen.

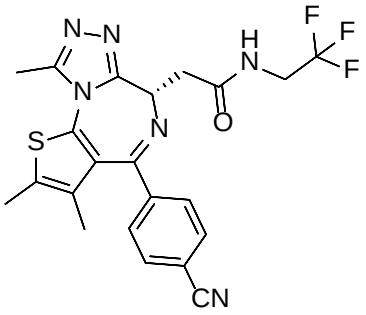
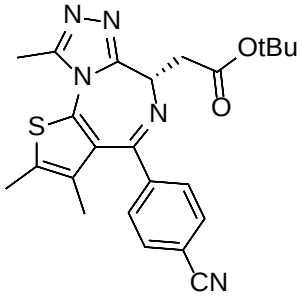
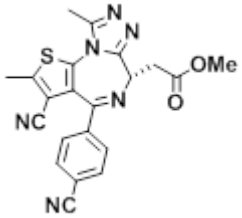
14. The compound of any one of claims 1-13, or a pharmaceutically acceptable salt thereof, wherein X^1 is N.

15. The compound of any one of claims 1-14, or a pharmaceutically acceptable salt thereof, wherein R^B is hydrogen or optionally substituted C_{1-6} alkyl.

16. The compound of claim 1, wherein the compound is of formula:


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, or

,
or a pharmaceutically acceptable salt, stereoisomer, or tautomer thereof.

17. A pharmaceutical composition comprising a compound of any one of claims 1-16, or a pharmaceutically acceptable salt, stereoisomer, or tautomer thereof, and a pharmaceutically acceptable excipient.

18. A compound of any one of claims 1-16, or a pharmaceutically acceptable salt, stereoisomer, or tautomer thereof, or a pharmaceutical composition of claim 17, for use in therapy.

19. A compound of any one of claims 1-16, or a pharmaceutically acceptable salt, stereoisomer, or tautomer thereof, or a pharmaceutical composition of claim 17,

for use in the treatment of:

a disease associated with a bromodomain-containing protein, a disease associated with a bromodomain, a disease associated with aberrant activity of a bromodomain-containing protein, a disease associated with aberrant activity of a bromodomain, a disease associated with increased activity of a bromodomain-containing protein, a disease associated with increased activity of a bromodomain, a proliferative disease, cancer, 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), a benign

neoplasm, a disease associated with pathological angiogenesis, an inflammatory disease, a cardiovascular disease, an autoimmune disease, a viral infection, a fibrotic disease, a neurological disease, a metabolic disease, an endocrine disease, 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, obesity, Type II diabetes, or gestational diabetes;

for use in male contraception;

for use in the inhibition of:

the activity of a bromodomain-containing protein, the activity of a bromodomain, the binding of a bromodomain of a bromodomain-containing protein to an acetyl-lysine residue of a second protein, the binding of a bromodomain of a bromodomain-containing protein to an acetyl-lysine residue of a histone, or the expression of a gene that is regulated by a bromodomain-containing protein;

for use in inducing apoptosis in a cell; or

for use in inducing G1 arrest in a cell.

20. Use of a compound of any one of claims 1-16, or a pharmaceutically acceptable salt, stereoisomer, or tautomer thereof, or a pharmaceutical composition of claim 17, in the manufacture of a medicament for the treatment of:

a disease associated with a bromodomain-containing protein, a disease associated with a bromodomain, a disease associated with aberrant activity of a bromodomain-containing protein, a disease associated with aberrant activity of a bromodomain, a disease associated with increased activity of a bromodomain-containing protein, a disease associated with increased activity of a bromodomain, a proliferative disease, cancer, 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), a benign neoplasm, a disease associated with pathological angiogenesis, an inflammatory disease, a cardiovascular disease, an autoimmune disease, a viral infection, a fibrotic disease, a neurological disease, a metabolic disease, an endocrine disease, 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, obesity, Type II diabetes, or gestational diabetes.

21. A method of:

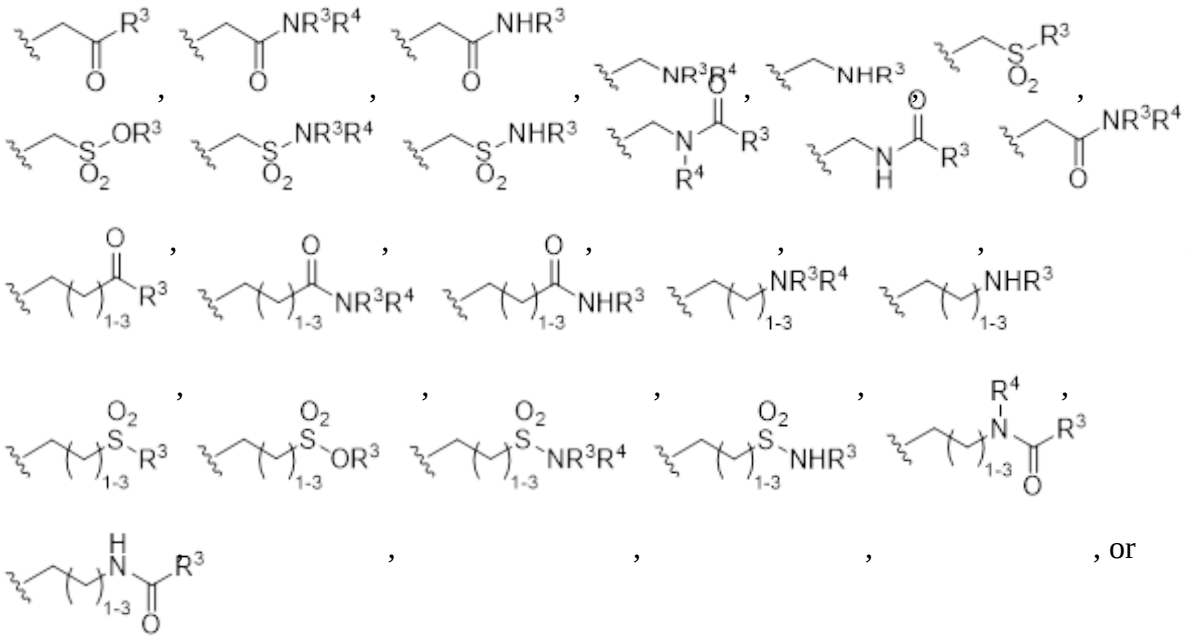
inhibiting the activity of a bromodomain-containing protein, inhibiting the activity of a bromodomain, inhibiting the binding of a bromodomain of a bromodomain-containing protein to an acetyl-lysine residue of a second protein, inhibiting the binding of a bromodomain of a bromodomain-containing protein to an acetyl-lysine residue of a histone, or inhibiting the expression of a gene that is regulated by a bromodomain-containing protein; or

inducing apoptosis or G1 arrest in a cell

in a biological sample, the method comprising contacting the biological sample with an effective amount of a compound of any one of claims 1-16, or a pharmaceutically acceptable salt, stereoisomer, or tautomer thereof, or a pharmaceutical composition of claim 17.

22. The compound for use of claim 19, or the use of claim 20, or the method of claim 21, wherein the bromodomain-containing protein is a bromo and extra terminal (BET) protein, bromodomain-containing protein 2 (BRD2), bromodomain-containing protein 3 (BRD3), or bromodomain-containing protein 4 (BRD4), a TBP (TATA box binding protein)-associated factor protein (TAF), TAF1 or TAF1L, CREB-binding protein (CBP), or E1A binding protein p300 (EP300).

23. The compound of any one of claims 1-8, wherein R^1 is hydrogen, halogen, or of the formula:


R3
NR3R4
NHR3
NR3R4
NHR3
S
O2
R3
OR3
NR3R4
NHR3
N
R4
R3
N
H
R3
NR3R4
O
1-3
R3
NR3R4
NHR3
NR3R4
NHR3
O2
S
1-3
R3
OR3
NR3R4
NHR3
R4
N
R3
O
H
N
R3
O

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