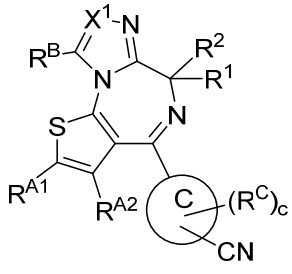
CLAIMS

What is claimed is:

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



(**I**),

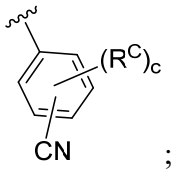
or a pharmaceutically acceptable salt, stereoisomer, or tautomer thereof, wherein:

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 $-CN$;

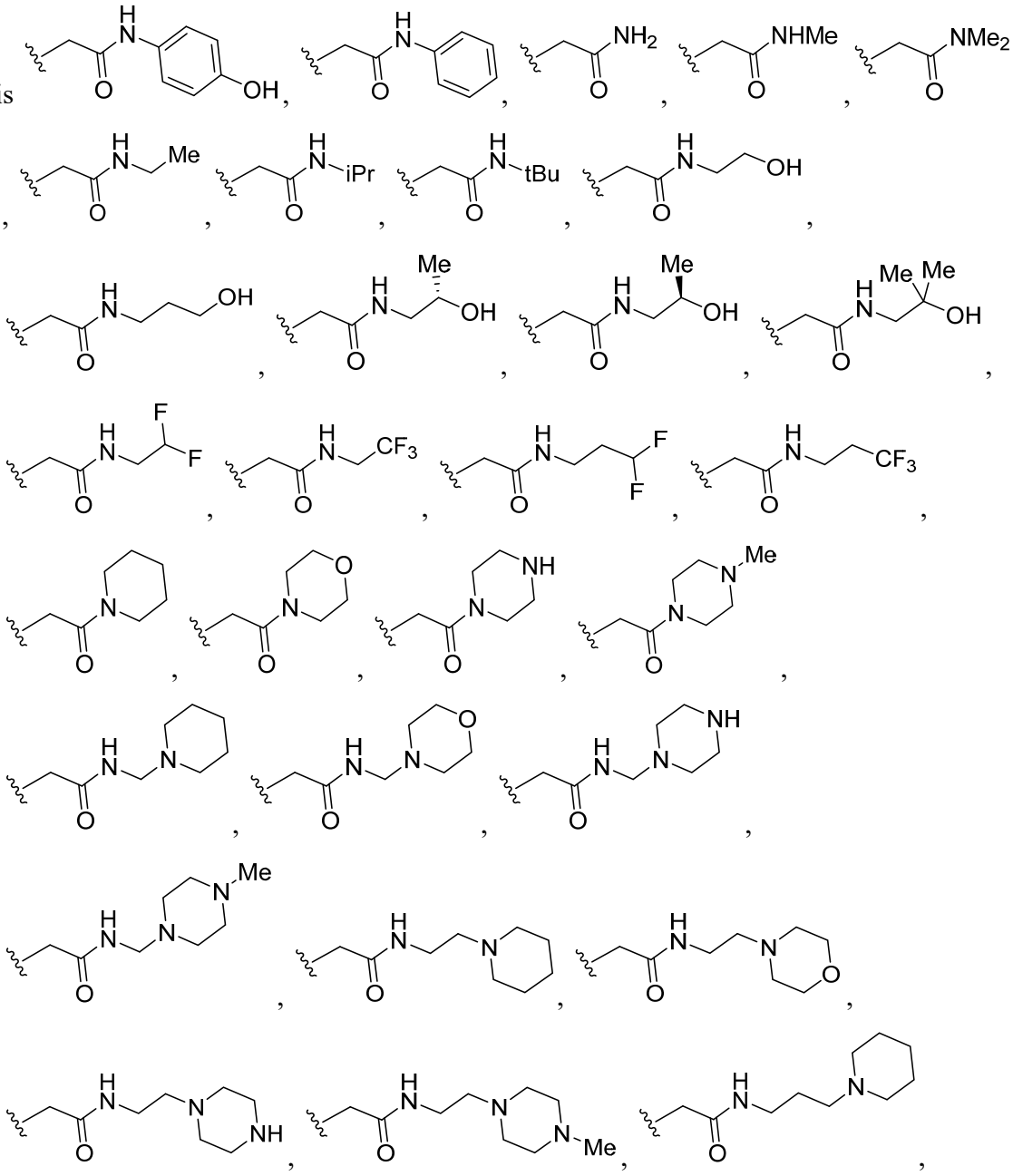
R^B is hydrogen, halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted acyl, $-OR^f$, $-SR^f$, $-N(R^f)_2$, $-NO_2$, or $-CN$;

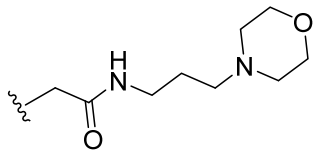
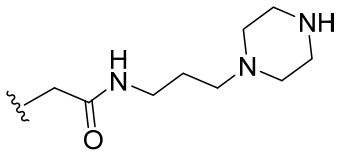
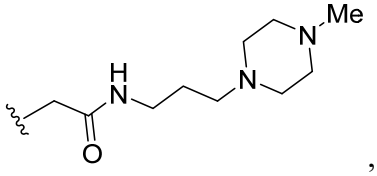
Ring C is of formula  ;

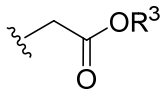
each occurrence of R^C is independently halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl,

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 

 ,  ,  , or

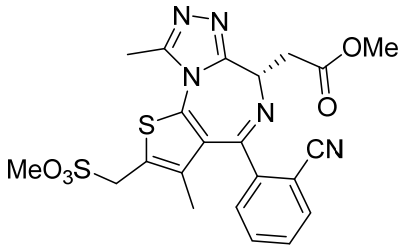
 ;

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

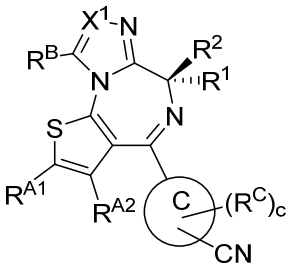
R^3 is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, or optionally substituted acyl, or an oxygen protecting group; and

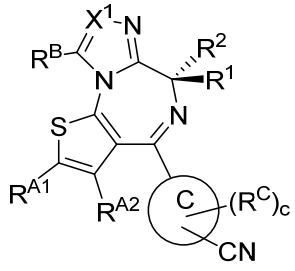
each occurrence of R^f is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted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

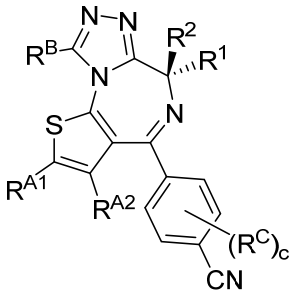
provided the compound is not:

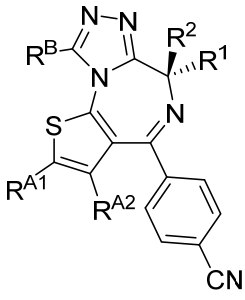
 .

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

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

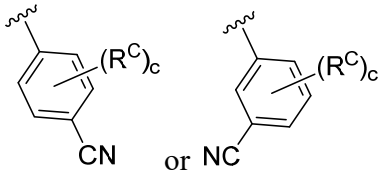

(**I-A-2**),


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


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

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

3. The compound of claim 1, or a pharmaceutically acceptable salt, stereoisomer, or tautomer thereof, wherein Ring C is of formula: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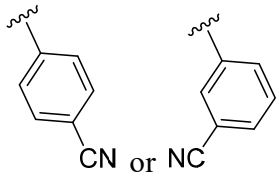
4. The compound of claim 3, or a pharmaceutically acceptable salt, stereoisomer, or tautomer thereof, wherein Ring C is of formula: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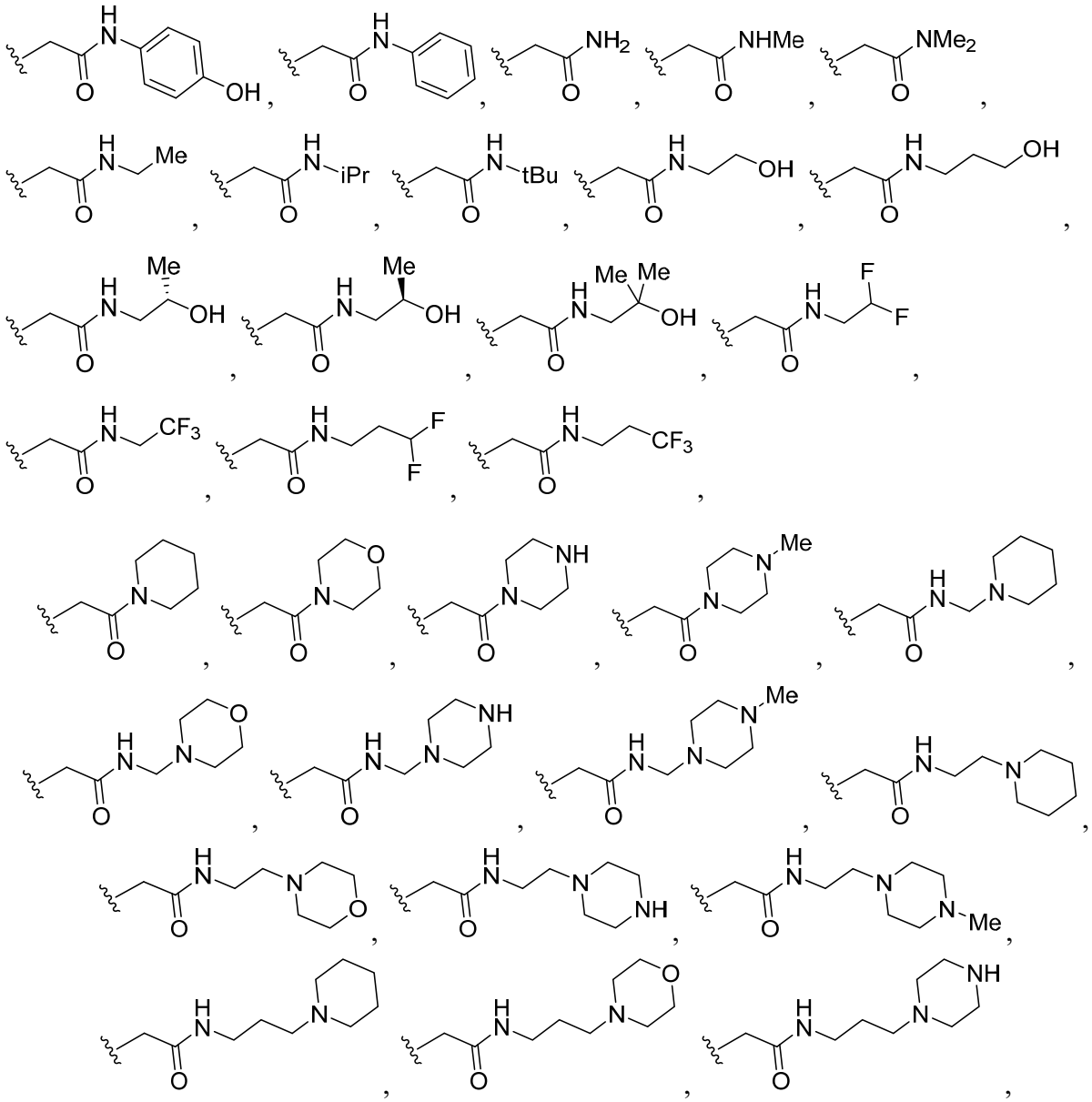
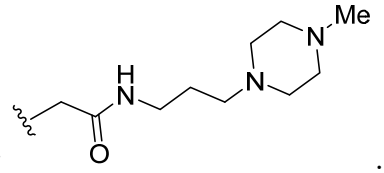
5. The compound of any one of claims 1-4, or a pharmaceutically acceptable salt, stereoisomer, or tautomer thereof, wherein both R^{A1} and R^{A2} are hydrogen or optionally substituted C_{1-6} alkyl.

6. The compound of claim 5, or a pharmaceutically acceptable salt, stereoisomer, or tautomer 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, stereoisomer, or tautomer thereof, wherein R^1 is:



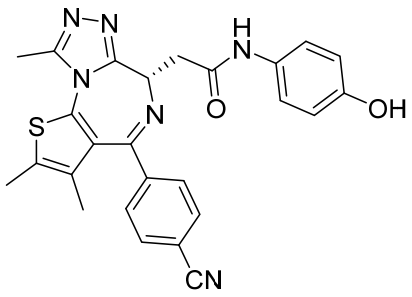
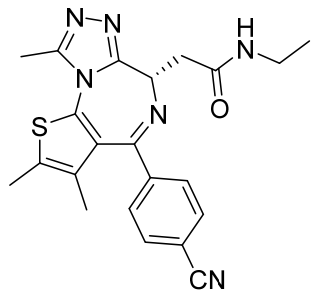
or  .

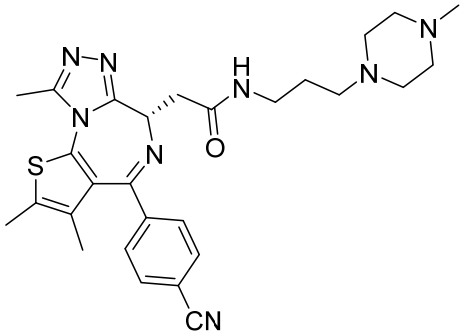
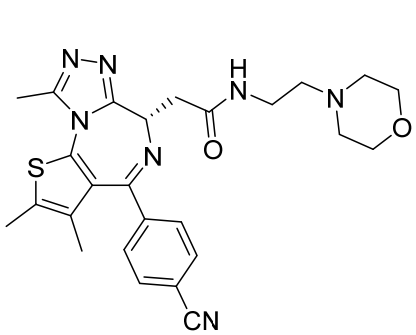
8. The compound of any one of claims 1-7, or a pharmaceutically acceptable salt, stereoisomer, or tautomer thereof, wherein R^2 is hydrogen.

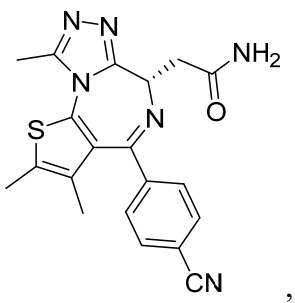
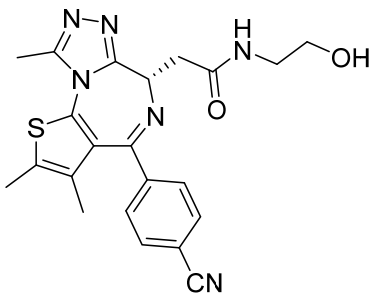
9. The compound of any one of claims 1-8, or a pharmaceutically acceptable salt, stereoisomer, or tautomer thereof, wherein X^1 is N.

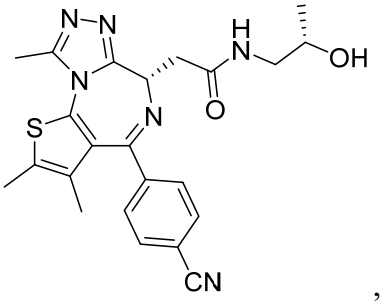
10. The compound of any one of claims 1-9, or a pharmaceutically acceptable salt, stereoisomer, or tautomer thereof, wherein R^B is hydrogen or optionally substituted C_{1-6} alkyl.

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

 ,  ,

 ,  ,

 ,  ,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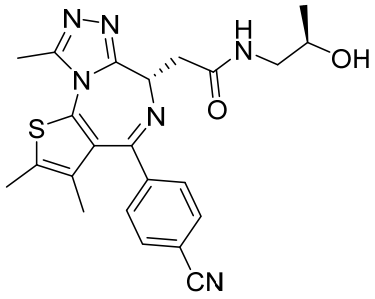
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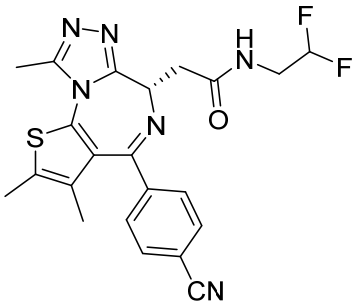
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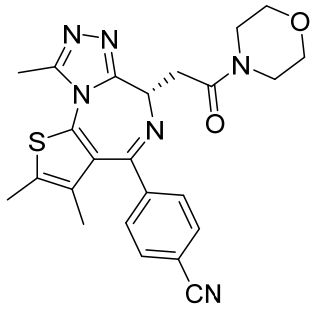
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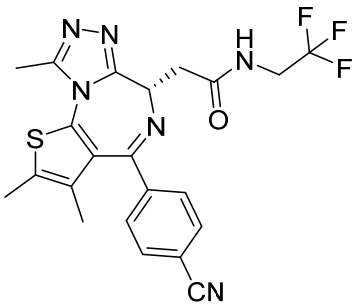
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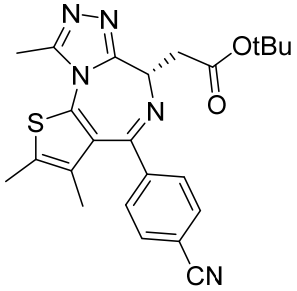
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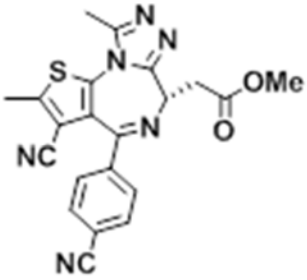

,

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

12. A pharmaceutical composition comprising a compound of any one of claims 1-11, or a pharmaceutically acceptable salt, stereoisomer, or tautomer thereof, and a pharmaceutically acceptable excipient.

13. A compound of any one of claims 1-11, or a pharmaceutically acceptable salt, stereoisomer, or tautomer thereof, or a pharmaceutical composition of claim 12, for use in therapy.

14. A compound of any one of claims 1-11, or a pharmaceutically acceptable salt, stereoisomer, or tautomer thereof, or a pharmaceutical composition of claim 12,

for use in the treatment of:

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.

15. Use of a compound of any one of claims 1-11, or a pharmaceutically acceptable salt, stereoisomer, or tautomer thereof, or a pharmaceutical composition of claim 12, in the manufacture of a medicament for the treatment of:

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.

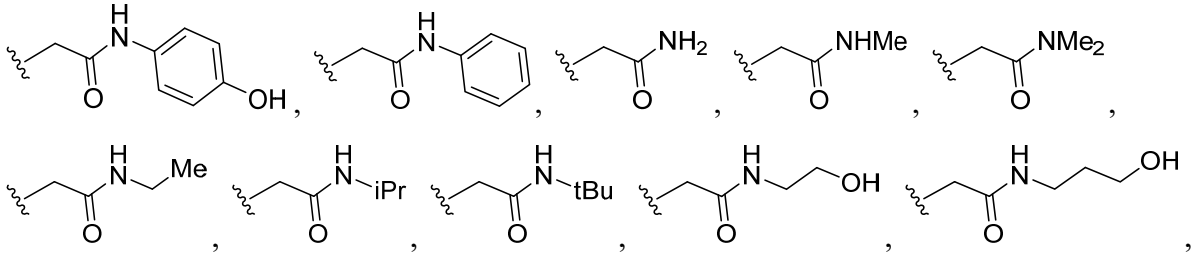
16. A method of:

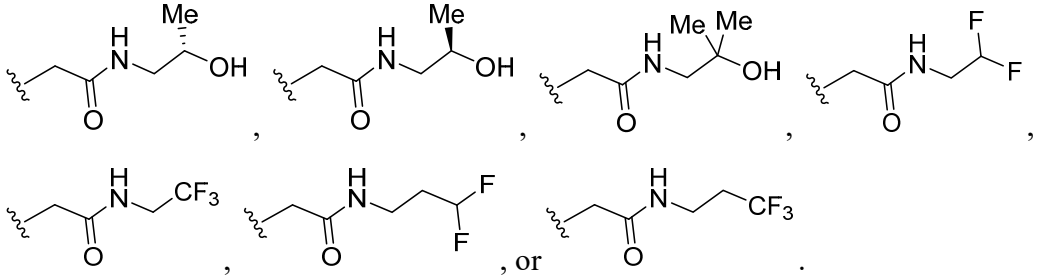
inhibiting the activity of a bromodomain-containing protein, inhibiting the activity of a bromodomain, inhibiting the binding of a bromodomain of a bromodomain-containing protein to an acetyl-lysine residue of a second protein, inhibiting the binding of a bromodomain of a bromodomain-containing protein 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 1-11, or a pharmaceutically acceptable salt, stereoisomer, or tautomer thereof, or a pharmaceutical composition of claim 12.

17. The compound for use of claim 14, or the use of claim 15, or the method of claim 16, 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).

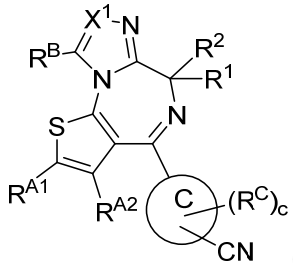
18. The compound of any one of claims 1-6, wherein R^1 is hydrogen, halogen, or of the formula:





, or .

19. The compound of claim 1, wherein the compound is of Formula (**I**),



(**I**),

or a pharmaceutically acceptable salt thereof.

20. The compound of any one of claims 1-5 or 7-11, or a pharmaceutically acceptable salt, stereoisomer, or tautomer thereof, wherein both R^{A1} and R^{A2} are optionally substituted C_{1-6} alkyl.

21. The compound of any one of claims 1-11, or a pharmaceutically acceptable salt, stereoisomer, or tautomer thereof, wherein R^3 is optionally substituted alkyl.