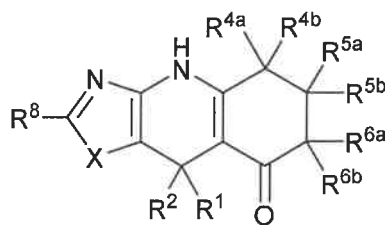


CLAIMS

1. A compound of Formula (I):



(I),

or a pharmaceutically acceptable salt thereof, wherein:

X is -O- or -S-;

R¹ is substituted or unsubstituted C₁₋₁₂ alkyl, substituted or unsubstituted C₂₋₁₂ alkenyl, substituted or unsubstituted C₂₋₁₂ alkynyl, substituted or unsubstituted, 3- to 13-membered, monocyclic or bicyclic carbocyclyl, substituted or unsubstituted, 3- to 13-membered, monocyclic or bicyclic heterocyclyl, substituted or unsubstituted, 6- to 11-membered, monocyclic or bicyclic aryl, or substituted or unsubstituted, 5- to 11-membered, monocyclic or bicyclic heteroaryl;

R² is substituted or unsubstituted C₁₋₆ alkyl, substituted or unsubstituted C₂₋₆ alkenyl, substituted or unsubstituted C₂₋₆ alkynyl, substituted or unsubstituted, 3- to 7-membered, monocyclic carbocyclyl, or substituted or unsubstituted phenyl;

or R¹ and R² are joined to form substituted or unsubstituted, 3- to 13-membered, monocyclic or bicyclic carbocyclyl, or substituted or unsubstituted, 3- to 13-membered, monocyclic or bicyclic heterocyclyl;

each one of R^{4a} and R^{4b} is independently hydrogen, halogen, -CN, -OR^A, -SR^A, -N(R^A)₂, substituted or unsubstituted C₁₋₆ alkyl, substituted or unsubstituted C₂₋₆ alkenyl, or substituted or unsubstituted C₂₋₆ alkynyl; or R^{4a} and R^{4b} are joined to form substituted or unsubstituted C₁₋₆ alkenyl, substituted or unsubstituted, 3- to 7-membered, monocyclic carbocyclyl, or substituted or unsubstituted, 3- to 7-membered, monocyclic heterocyclyl;

each one of R^{5a} and R^{5b} is independently hydrogen, halogen, -CN, -OR^A, -SR^A, -N(R^A)₂, substituted or unsubstituted C₁₋₆ alkyl, substituted or unsubstituted C₂₋₆ alkenyl, or substituted or unsubstituted C₂₋₆ alkynyl; or R^{5a} and R^{5b} are joined to form substituted or unsubstituted C₁₋₆ alkenyl, substituted or unsubstituted, 3- to 7-membered, monocyclic carbocyclyl, or substituted or unsubstituted, 3- to 7-membered, monocyclic heterocyclyl;

each one of R^{6a} and R^{6b} is independently hydrogen, halogen, $-\text{CN}$, $-\text{OR}^A$, $-\text{SR}^A$, $-\text{N}(\text{R}^A)_2$, substituted or unsubstituted C_{1-6} alkyl, substituted or unsubstituted C_{2-6} alkenyl, or substituted or unsubstituted C_{2-6} alkynyl; or R^{6a} and R^{6b} are joined to form substituted or unsubstituted C_{1-6} alkenyl, substituted or unsubstituted, 3- to 7-membered, monocyclic carbocyclyl, or substituted or unsubstituted, 3- to 7-membered, monocyclic heterocyclyl;

R^8 is hydrogen, halogen, $-\text{CN}$, $-\text{OR}^A$, $-\text{SR}^A$, $-\text{N}(\text{R}^A)_2$, substituted or unsubstituted C_{1-6} alkyl, substituted or unsubstituted C_{2-6} alkenyl, substituted or unsubstituted C_{2-6} alkynyl, or substituted or unsubstituted, 3- to 5-membered, monocyclic carbocyclyl;

each R^A is independently hydrogen, substituted or unsubstituted C_{1-6} alkyl, substituted or unsubstituted C_{2-6} alkenyl, substituted or unsubstituted C_{2-6} alkynyl, substituted or unsubstituted, 3- to 7-membered, monocyclic carbocyclyl, substituted or unsubstituted, 3- to 7-membered, monocyclic heterocyclyl, substituted or unsubstituted phenyl, substituted or unsubstituted, 5- or 6-membered, monocyclic heteroaryl, an oxygen protecting group when attached to an oxygen atom, a sulfur protecting group when attached to a sulfur atom, or a nitrogen protecting group when attached to a nitrogen atom; or two R^A attached to the same nitrogen atom are joined to form substituted or unsubstituted, 3- to 7-membered, monocyclic heterocyclyl, or substituted or unsubstituted, 5- or 6-membered, monocyclic heteroaryl;

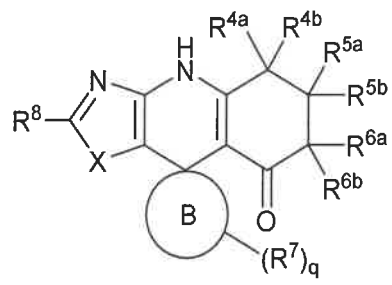
each instance of the heterocyclyl comprises in the heterocyclic ring system one, two, three, or four heteroatoms independently selected from the group consisting of oxygen, nitrogen, and sulfur, as valency permits; and

each instance of the heteroaryl comprises in the heteroaryl ring system one, two, three, or four heteroatoms independently selected from the group consisting of oxygen, nitrogen, and sulfur, as valency permits.

2. The compound of claim 1, or a pharmaceutically acceptable salt thereof, wherein R^1 is substituted or unsubstituted phenyl.

3. The compound of any one of claims 1-2, or a pharmaceutically acceptable salt thereof, wherein R^2 is $-\text{CH}_3$ or $-\text{C}_2\text{H}_5$.

4. The compound of claim 1, or a pharmaceutically acceptable salt thereof, wherein the compound is of the formula:



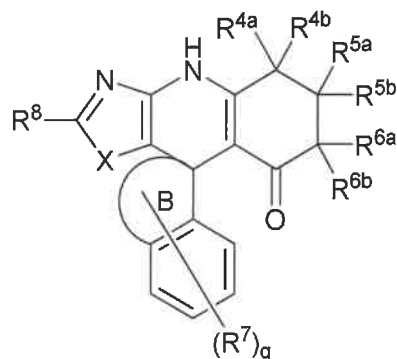
wherein:

Ring B is substituted or unsubstituted, 3- to 7-membered, monocyclic carbocyclyl, or substituted or unsubstituted, 3- to 7-membered, monocyclic heterocyclyl;

each instance of R^7 is independently hydrogen, halogen, substituted or unsubstituted C_{1-12} alkyl, substituted or unsubstituted C_{2-12} alkenyl, substituted or unsubstituted C_{2-12} alkynyl, substituted or unsubstituted, 3- to 13-membered, monocyclic or bicyclic carbocyclyl, substituted or unsubstituted, 3- to 13-membered, monocyclic or bicyclic heterocyclyl, substituted or unsubstituted, 6- to 11-membered, monocyclic or bicyclic aryl, substituted or unsubstituted, 5- to 11-membered, monocyclic or bicyclic heteroaryl, $-OR^A$, $-N(R^A)_2$, $-SR^A$, $-CN$, $-SCN$, $-C(=O)R^A$, $-C(=O)OR^A$, $-C(=O)N(R^A)_2$, $-C(=NR^A)R^A$, $-C(=NR^A)OR^A$, $-C(=NR^A)N(R^A)_2$, $-NO_2$, $-N_3$, $-NR^AC(=O)R^A$, $-NR^AC(=O)OR^A$, $-NR^AC(=O)N(R^A)_2$, $-NR^AC(=NR^A)R^A$, $-NR^AC(=NR^A)OR^A$, $-NR^AC(=NR^A)N(R^A)_2$, $-OC(=O)R^A$, $-OC(=O)OR^A$, $-OC(=O)N(R^A)_2$, $-OC(=NR^A)R^A$, $-OC(=NR^A)OR^A$, $-OC(=NR^A)N(R^A)_2$, $-NR^AS(=O)_2R^A$, $-NR^AS(=O)_2OR^A$, $-NR^AS(=O)_2N(R^A)_2$, $-OS(=O)_2R^A$, $-OS(=O)_2OR^A$, $-OS(=O)_2N(R^A)_2$, $-S(=O)_2R^A$, $-S(=O)_2OR^A$, or $-S(=O)_2N(R^A)_2$; or two R^7 groups are joined to form substituted or unsubstituted, 3- to 7-membered, monocyclic carbocyclyl, substituted or unsubstituted, 3- to 7-membered, monocyclic heterocyclyl, substituted or unsubstituted phenyl, or substituted or unsubstituted, 5- or 6-membered, monocyclic heteroaryl; and

q is 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, or 12, as valency permits.

5. The compound of claim 1, or a pharmaceutically acceptable salt thereof, wherein the compound is of the formula:



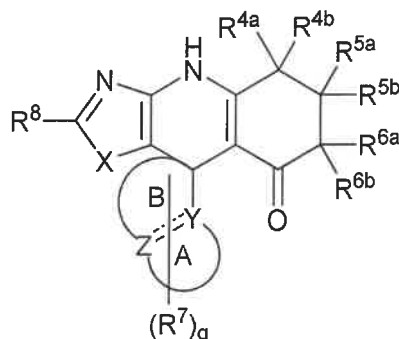
wherein:

Ring B is substituted or unsubstituted, 3- to 7-membered, monocyclic carbocyclyl, or substituted or unsubstituted, 3- to 7-membered, monocyclic heterocyclyl;

each instance of R^7 is independently hydrogen, halogen, substituted or unsubstituted C_{1-12} alkyl, substituted or unsubstituted C_{2-12} alkenyl, substituted or unsubstituted C_{2-12} alkynyl, substituted or unsubstituted, 3- to 13-membered, monocyclic or bicyclic carbocyclyl, substituted or unsubstituted, 3- to 13-membered, monocyclic or bicyclic heterocyclyl, substituted or unsubstituted, 6- to 11-membered, monocyclic or bicyclic aryl, substituted or unsubstituted, 5- to 11-membered, monocyclic or bicyclic heteroaryl, $-OR^A$, $-N(R^A)_2$, $-SR^A$, $-CN$, $-SCN$, $-C(=O)R^A$, $-C(=O)OR^A$, $-C(=O)N(R^A)_2$, $-C(=NR^A)R^A$, $-C(=NR^A)OR^A$, $-C(=NR^A)N(R^A)_2$, $-NO_2$, $-N_3$, $-NR^AC(=O)R^A$, $-NR^AC(=O)OR^A$, $-NR^AC(=O)N(R^A)_2$, $-NR^AC(=NR^A)R^A$, $-NR^AC(=NR^A)OR^A$, $-NR^AC(=NR^A)N(R^A)_2$, $-OC(=O)R^A$, $-OC(=O)OR^A$, $-OC(=O)N(R^A)_2$, $-OC(=NR^A)R^A$, $-OC(=NR^A)OR^A$, $-OC(=NR^A)N(R^A)_2$, $-NR^AS(=O)_2R^A$, $-NR^AS(=O)_2OR^A$, $-NR^AS(=O)_2N(R^A)_2$, $-OS(=O)_2R^A$, $-OS(=O)_2OR^A$, $-OS(=O)_2N(R^A)_2$, $-S(=O)_2R^A$, $-S(=O)_2OR^A$, or $-S(=O)_2N(R^A)_2$; or two R^7 groups on the same carbon atom are joined to form substituted or unsubstituted C_{1-6} alkenyl; and

q is 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, or 12, as valency permits.

6. The compound of claim 1, or a pharmaceutically acceptable salt thereof, wherein the compound is of the formula:



wherein:

Ring A is substituted or unsubstituted, 5- to 6-membered, monocyclic heteroaryl;

Ring B is substituted or unsubstituted, 3- to 7-membered, monocyclic carbocyclyl, or substituted or unsubstituted, 3- to 7-membered, monocyclic heterocyclyl;

Y is C or N;

Z is C or N;

----- is a single or double bond, as valency permits;

each instance of R^7 is independently hydrogen, halogen, substituted or unsubstituted C_{1-12} alkyl, substituted or unsubstituted C_{2-12} alkenyl, substituted or unsubstituted C_{2-12} alkynyl, substituted or unsubstituted, 3- to 13-membered, monocyclic or bicyclic carbocyclyl, substituted or unsubstituted, 3- to 13-membered, monocyclic or bicyclic heterocyclyl, substituted or unsubstituted, 6- to 11-membered, monocyclic or bicyclic aryl, substituted or unsubstituted, 5- to 11-membered, monocyclic or bicyclic heteroaryl, $-OR^A$, $-N(R^A)_2$, $-SR^A$, $-CN$, $-SCN$, $-C(=O)R^A$, $-C(=O)OR^A$, $-C(=O)N(R^A)_2$, $-C(=NR^A)R^A$, $-C(=NR^A)OR^A$, $-C(=NR^A)N(R^A)_2$, $-NO_2$, $-N_3$, $-NR^AC(=O)R^A$, $-NR^AC(=O)OR^A$, $-NR^AC(=O)N(R^A)_2$, $-NR^AC(=NR^A)R^A$, $-NR^AC(=NR^A)OR^A$, $-NR^AC(=NR^A)N(R^A)_2$, $-OC(=O)R^A$, $-OC(=O)OR^A$, $-OC(=O)N(R^A)_2$, $-OC(=NR^A)R^A$, $-OC(=NR^A)OR^A$, $-OC(=NR^A)N(R^A)_2$, $-NR^AS(=O)_2R^A$, $-NR^AS(=O)_2OR^A$, $-NR^AS(=O)_2N(R^A)_2$, $-OS(=O)_2R^A$, $-OS(=O)_2OR^A$, $-OS(=O)_2N(R^A)_2$, $-S(=O)_2R^A$, $-S(=O)_2OR^A$, or $-S(=O)_2N(R^A)_2$; or two R^7 groups on the same carbon atom are joined to form substituted or unsubstituted C_{1-6} alkenyl; and

q is 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, or 12, as valency permits.

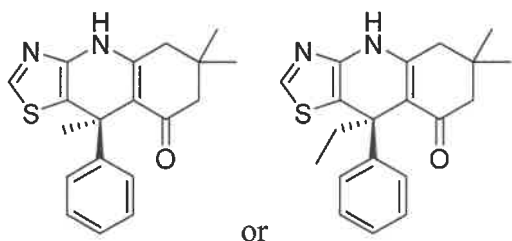
7. The compound of any one of claims 1-6, or a pharmaceutically acceptable salt thereof, wherein each one of R^{4a} and R^{4b} is hydrogen.

8. The compound of any one of claims 1-7, or a pharmaceutically acceptable salt thereof, wherein each one of R^{5a} and R^{5b} is substituted or unsubstituted C_{1-6} alkyl.

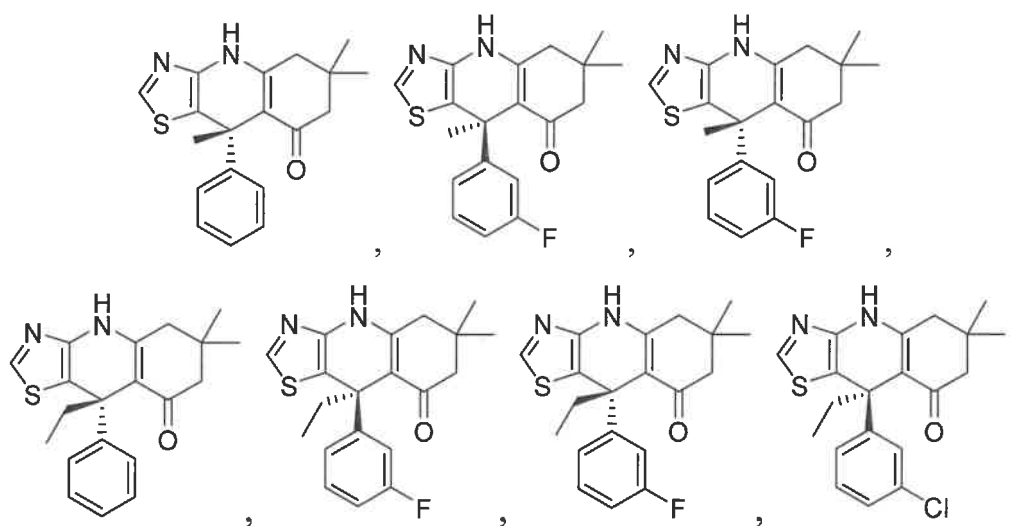
9. The compound of any one of claims 1-8, or a pharmaceutically acceptable salt thereof, wherein each one of R^{6a} and R^{6b} is hydrogen.

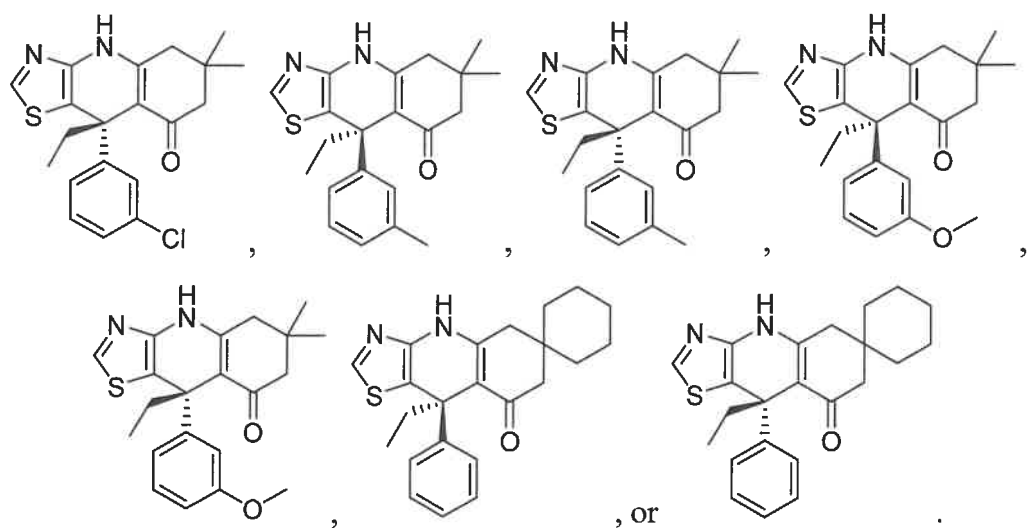
10. The compound of any one of claims 1-9, or a pharmaceutically acceptable salt thereof, wherein R^8 is hydrogen not enriched with deuterium beyond the natural abundance.

11. The compound of claim 1, or a pharmaceutically acceptable salt thereof, wherein the compound is of the formula:

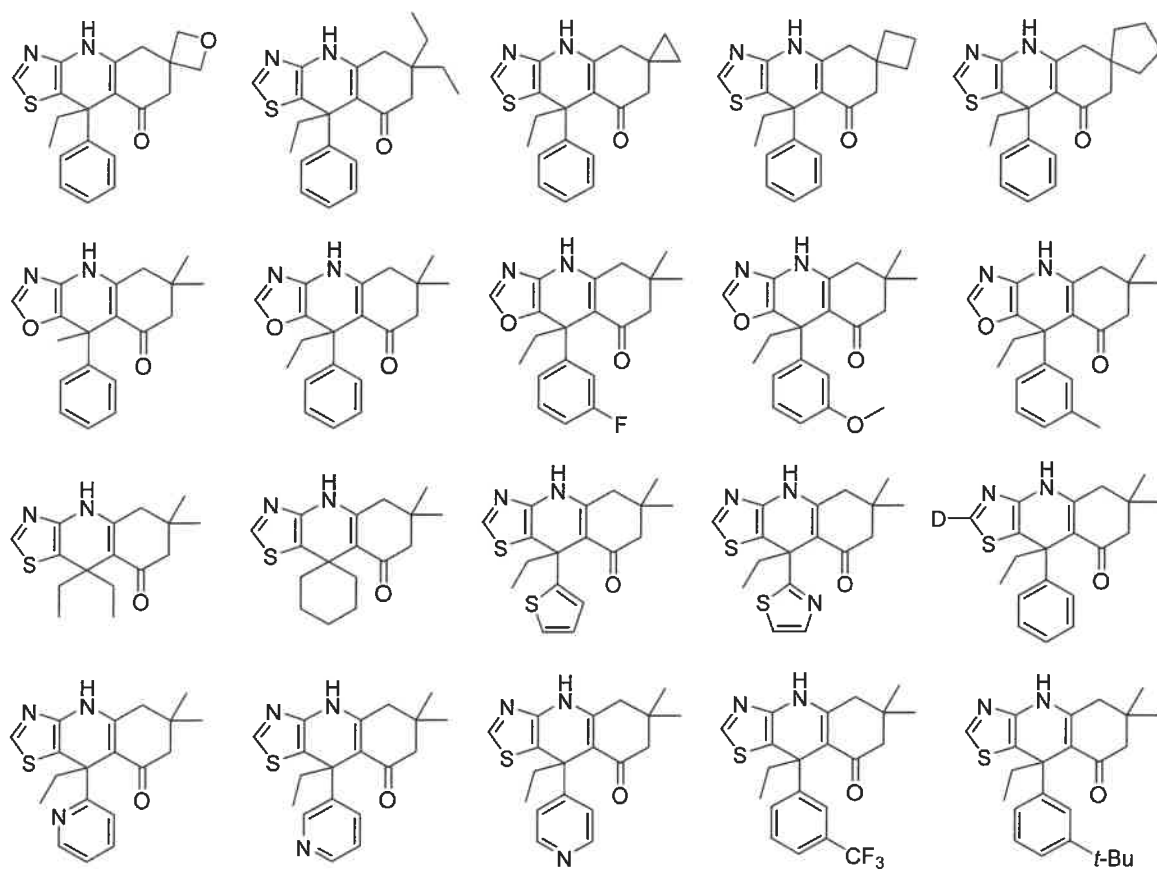


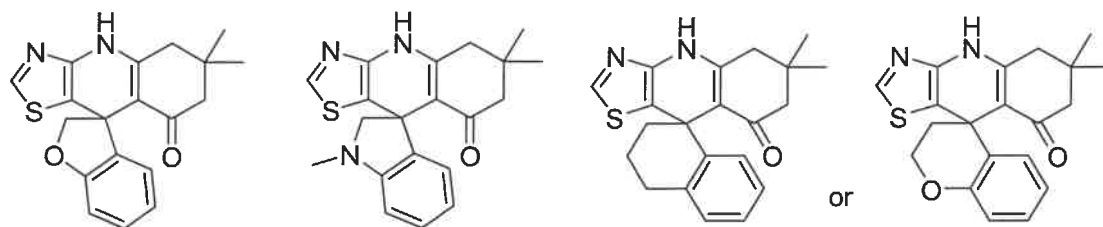
12. The compound of claim 1, or a pharmaceutically acceptable salt thereof, wherein the compound is of the formula:



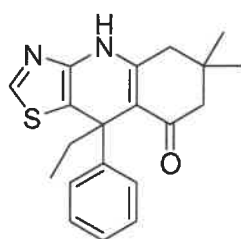


13. The compound of claim 1, or a pharmaceutically acceptable salt thereof, wherein the compound is of the formula:

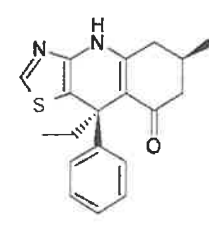
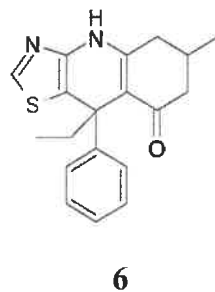
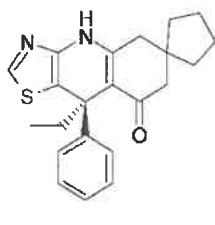
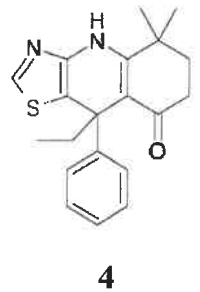
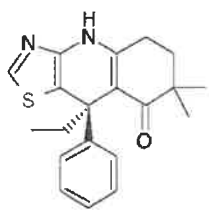
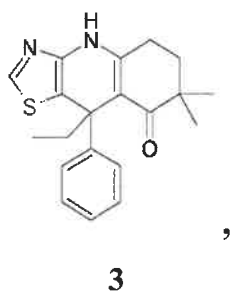
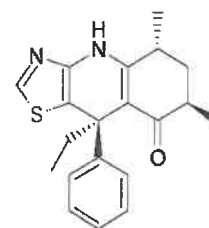
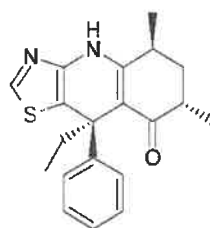
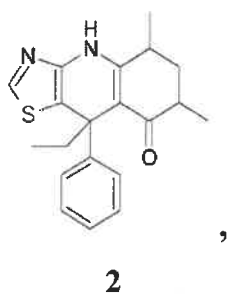


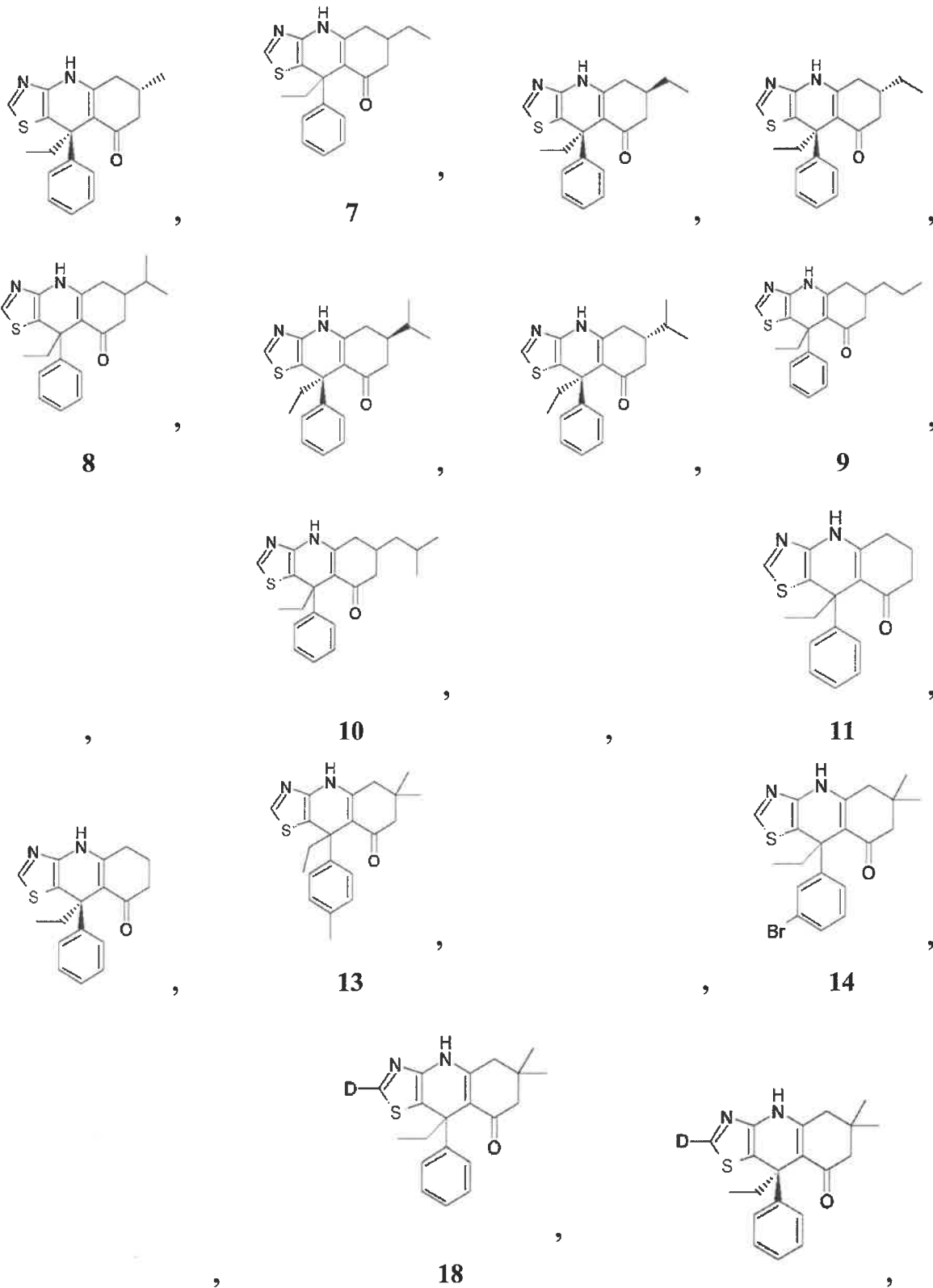


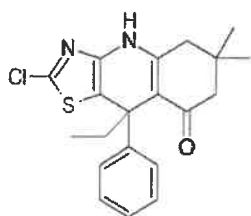
14. The compound of claim 1, or a pharmaceutically acceptable salt thereof, wherein the compound is of the formula:



(1-rac),



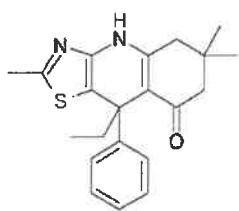




, or

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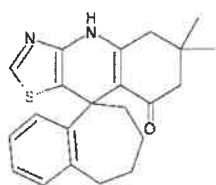
15. The compound of claim 1, or a pharmaceutically acceptable salt thereof, wherein the compound is of the formula:



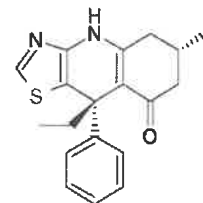
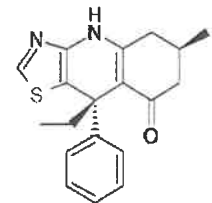
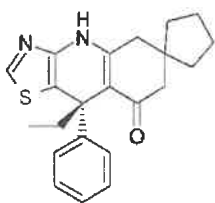
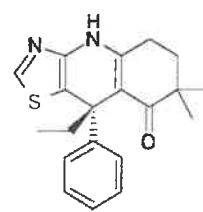
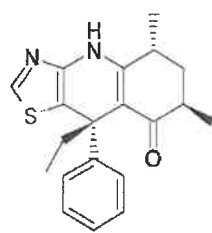
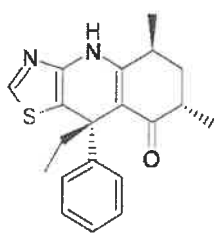
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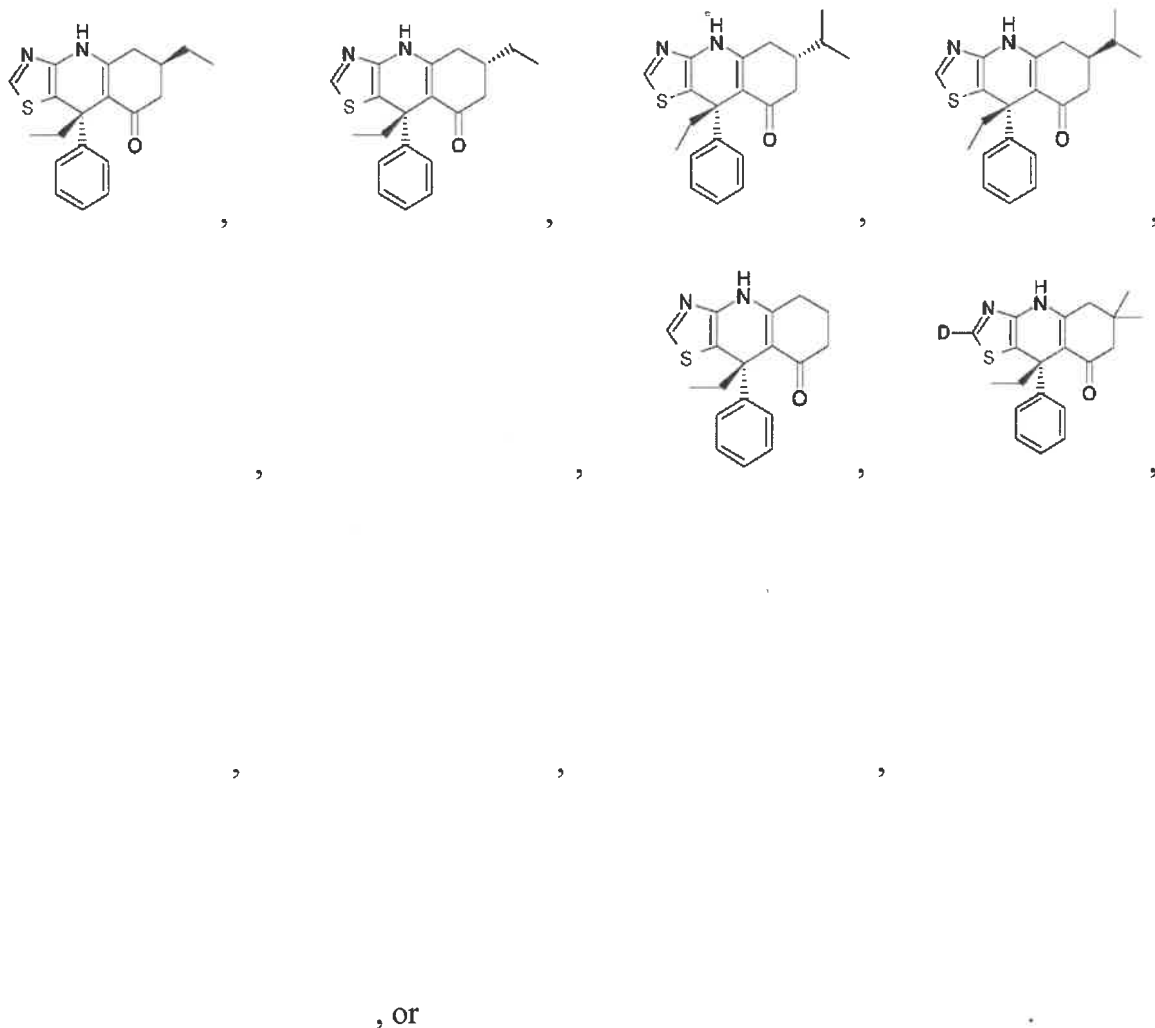


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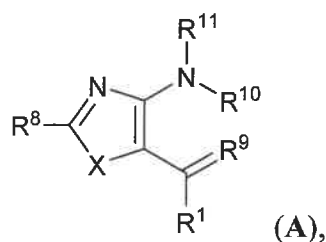




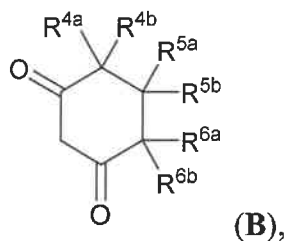
16. A pharmaceutical composition comprising:
a compound of any one of claims 1-15, or a pharmaceutically acceptable salt thereof; and
optionally a pharmaceutically acceptable excipient.
17. A compound of any one of claims 1-15, or a pharmaceutically acceptable salt thereof, or
a pharmaceutical composition of claim 16, for use in treating a disease in a subject in need
thereof.
18. A compound of any one of claims 1-15, or a pharmaceutically acceptable salt thereof, or
a pharmaceutical composition of claim 16, for use in inhibiting the activity of a glycogen
synthase kinase 3 (GSK3) in a subject in need thereof, cell, or tissue.

19. A method of inhibiting the activity of a glycogen synthase kinase 3 (GSK3) in a cell or tissue, the method comprising contacting the cell or tissue with an effective amount of a compound of any one of claims 1-15, or a pharmaceutically acceptable salt thereof, or a pharmaceutical composition of claim 16, wherein the cell or tissue is *in vitro*, and the effective amount is effective for inhibiting the activity of the GSK3.

20. A method of preparing a compound of any one of claims 1-15, or a salt thereof, the method comprising (a) reacting a compound of Formula (A):



or a salt thereof, with a compound of Formula (B):



or a salt thereof, wherein:

R^9 is substituted or unsubstituted C_{1-6} alkyl;

R^{10} is hydrogen or a nitrogen protecting group; and

R^{11} is hydrogen or a nitrogen protecting group;

wherein when at least one of R^{10} and R^{11} is a nitrogen protecting group, the step of reacting is performed under a condition that deprotects all the nitrogen protecting groups.

21. A kit comprising:
a compound of any one of claims 1-15, or a pharmaceutically acceptable salt thereof, or a pharmaceutical composition of claim 16; and
instructions for using the compound, pharmaceutically acceptable salt, or pharmaceutical composition.
22. Use of a compound of any one of claims 1-15, or a pharmaceutically acceptable salt thereof, or a pharmaceutical composition of claim 16, in the preparation of a medicament for treating a disease in a subject in need thereof.
23. Use of a compound of any one of claims 1-15, or a pharmaceutically acceptable salt thereof, or a pharmaceutical composition of claim 16, in the preparation of a medicament for inhibiting the activity of a glycogen synthase kinase 3 (GSK3) in a subject in need thereof, cell, or tissue.