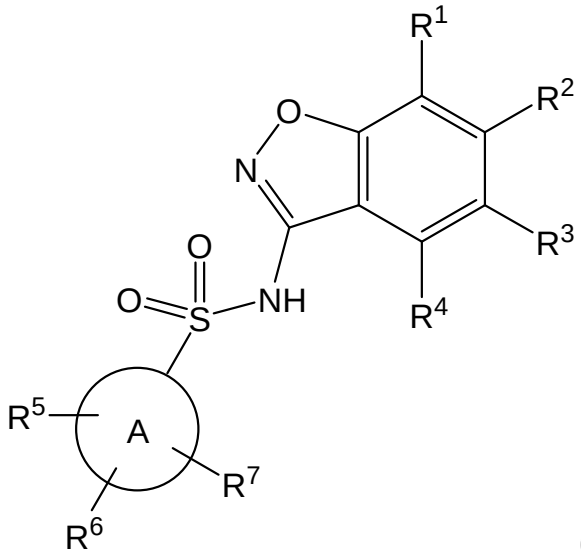
What is claimed is:

1. A compound of formula (I)



(I),

or a pharmaceutically acceptable salt thereof,

wherein

R^1 is hydrogen or 5-6 membered heteroaryl optionally substituted by methyl;

R^2 is hydrogen or $–(CHR^8)_n–$(5-9 membered heteroaryl) optionally substituted by halogen, C_1-C_3 alkyl, $–CH_2OH$, or –OH,

provided that one of R^1 and R^2 is hydrogen,

further provided that R^1 and R^2 are not both hydrogen;

R^3 is hydrogen, halogen, C_1-C_3 alkyl, cyclopropyl, $–CHF_2$, $–CF_3$, C_1-C_4 alkoxy, $–OCHF_2$, or $–OCF_3$;

R^4 is hydrogen, halogen, C_1-C_3 alkyl, cyclopropyl, C_1-C_4 alkoxy, or –O–cyclopropyl;

Ring A is C_6-C_{10} aryl or 9-10 membered heteroaryl;

R^5 is hydrogen, fluoro, cyano, C_1-C_3 alkyl, $–CHF_2$, $–CF_3$, cyclopropyl, C_1-C_3 alkoxy, $–OCHF_2$, $–OCF_3$, –O-cyclopropyl, $–CH_2$-O-CH_3, $–C(O)OCH_3$, or $–C(O)N(H)CH_3$;

R^6 is hydrogen, fluoro, methyl, –OH, or methoxy;

R^7 is hydrogen, bromo, chloro, fluoro, or methoxy;

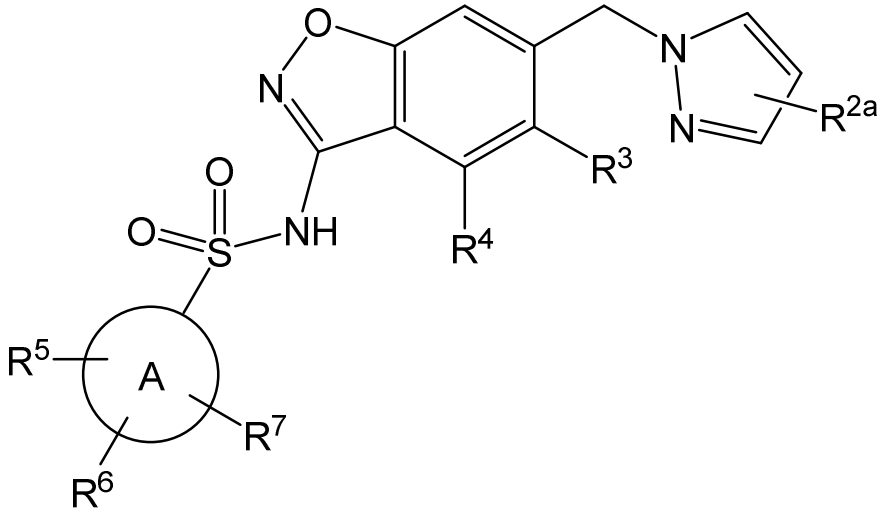
R^8 is hydrogen or –OH; and

n is 0 or 1.

2. The compound or salt of claim 1, wherein R^1 is 5-6 membered heteroaryl and R^2 is hydrogen.

3. The compound or salt of claim 1, wherein R^1 is hydrogen and R^2 is 5-6 membered heteroaryl.

4. The compound or salt of claim 1, having formula (II)



(II)

wherein

R^{2a} is absent, halogen, C_1-C_3 alkyl, $–CH_2OH$, or –OH;

R^3 is hydrogen, halogen, C_1-C_3 alkyl, cyclopropyl, $–CHF_2$, $–CF_3$, C_1-C_4 alkoxy, $–OCHF_2$, or $–OCF_3$;

R^4 is hydrogen, halogen, C_1-C_3 alkyl, cyclopropyl, C_1-C_4 alkoxy, or –O–cyclopropyl;

Ring A is C_6-C_{10} aryl or 9-10 membered heteroaryl;

R^5 is hydrogen, fluoro, cyano, C_1-C_3 alkyl, $–CHF_2$, $–CF_3$, cyclopropyl, C_1-C_3 alkoxy, $–OCHF_2$, $–OCF_3$, –O-cyclopropyl, $–CH_2$-O-CH_3, $–C(O)OCH_3$, or $–C(O)N(H)CH_3$;

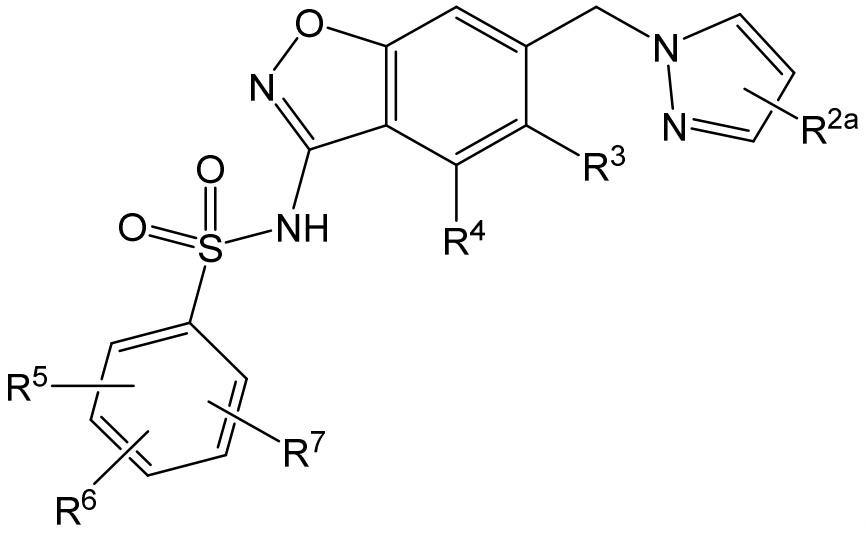
R^6 is hydrogen, fluoro, methyl, –OH, or methoxy; and

R^7 is hydrogen, bromo, chloro, fluoro, or methoxy.

5. The compound or salt of any one of claims 1-4, wherein Ring A is phenyl, quinolinyl, benzoxazolyl, indanyl, or tetrahydronaphthyl.

6. The compound or salt of claim 5, wherein Ring A is phenyl.

7. The compound or salt of claim 1 or claim 4, having formula (III)



(III)

wherein

R^{2a} is absent, halogen, C_1-C_3 alkyl, $–CH_2OH$, or –OH;

R^3 is hydrogen, halogen, C_1-C_3 alkyl, cyclopropyl, $–CHF_2$, $–CF_3$, C_1-C_4 alkoxy, $–OCHF_2$, or $–OCF_3$;

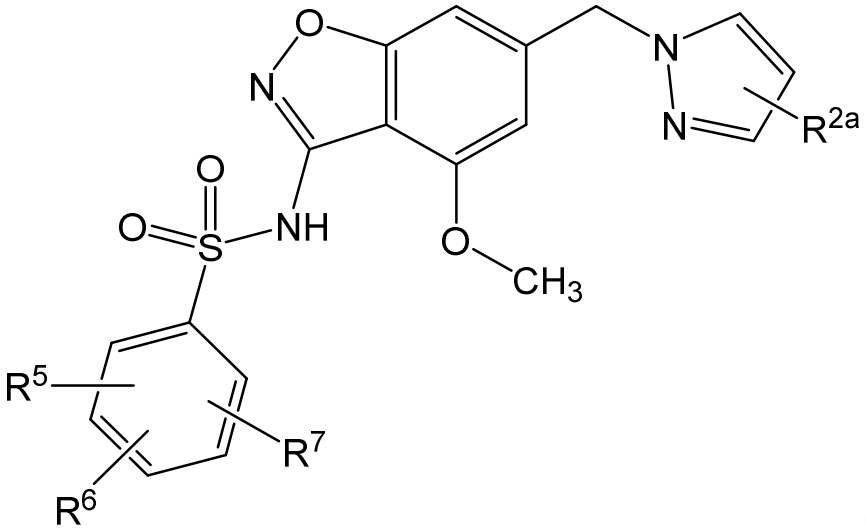
R^4 is hydrogen, halogen, C_1-C_3 alkyl, cyclopropyl, C_1-C_4 alkoxy, or –O–cyclopropyl;

R^5 is hydrogen, fluoro, cyano, C_1-C_3 alkyl, $–CHF_2$, $–CF_3$, cyclopropyl, C_1-C_3 alkoxy, $–OCHF_2$, $–OCF_3$, –O-cyclopropyl, $–CH_2$-O-CH_3, $–C(O)OCH_3$, or $–C(O)N(H)CH_3$;

R^6 is hydrogen, fluoro, methyl, –OH, or methoxy; and

R^7 is hydrogen, bromo, chloro, fluoro, or methoxy.

8. The compound or salt of any one of claims 1, 4 or 7, having formula (IV)



(IV)

wherein

R^{2a} is absent, halogen, C_1-C_3 alkyl, $–CH_2OH$, or –OH;

R^5 is hydrogen, fluoro, cyano, C_1-C_3 alkyl, $–CHF_2$, $–CF_3$, cyclopropyl, C_1-C_3 alkoxy, $–OCHF_2$, $–OCF_3$, –O-cyclopropyl, $–CH_2$-O-CH_3, $–C(O)OCH_3$, or $–C(O)N(H)CH_3$;

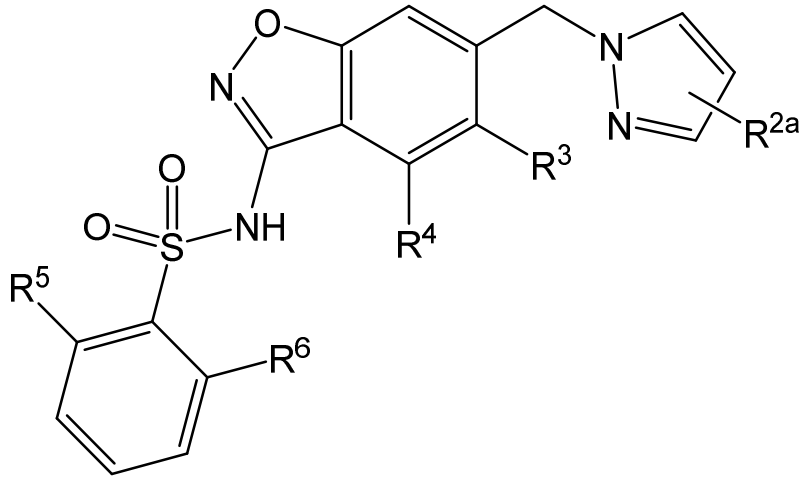
R^6 is hydrogen, fluoro, methyl, –OH, or methoxy; and

R^7 is hydrogen, bromo, chloro, fluoro, or methoxy.

9. The compound or salt of any one of claims 1-8, wherein R^5 is methoxy, R^6 is methoxy, and R^7 is hydrogen.

10. The compound or salt of any one of claims 1-8, wherein R^5 is methoxy, R^6 is hydrogen, and R^7 is hydrogen.

11. The compound or salt of any one of claims 1, 4, or 7, having formula (VI)



(VI)

wherein

R^{2a} is absent, halogen, C_1-C_3 alkyl, $–CH_2OH$, or –OH;

R^3 is hydrogen, halogen, or C_1-C_3 alkyl;

R^4 is hydrogen, halogen, C_1-C_3 alkyl, cyclopropyl, C_1-C_4 alkoxy, or –O–cyclopropyl,

provided that at least one of R^3 and R^4 is hydrogen;

R^5 is hydrogen, methyl, $–CH_2$-OCH_3, $–CF_3$, C_1-C_3 alkoxy, or $–C(O)OCH_3$; and

R^6 is hydrogen, fluoro, methyl, –OH, or methoxy.

12. The compound or salt of any one of claims 1-11, wherein R^{2a} is absent, fluoro, methyl, $–CH_2OH$ or –OH.

13. The compound or salt of any one of claims 1-11, wherein R^{2a} is absent.

14. The compound or salt of any one of claims 1-7 or 11-13, wherein R^3 is hydrogen, halogen, or C_1-C_3 alkyl.

15. The compound or salt of any one of claims 1-7 or 11-13, wherein R^3 is hydrogen, fluoro, bromo, or methyl.

16. The compound or salt of any one of claims 1-7 or 11-13, wherein R^4 is hydrogen, fluoro, methyl, ethyl, cyclopropyl, –O–cyclopropyl, or C_1-C_4 alkoxy.

17. The compound or salt of any one of claims 1-7 or 11-13, wherein R^4 is hydrogen.

18. The compound or salt of any one of claims 1-7 or 11-13, wherein R^4 is C_1-C_3 alkoxy.

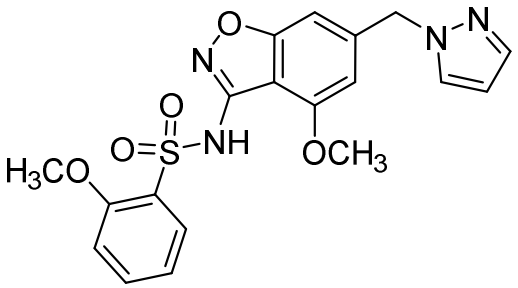
19. The compound or salt of any one of claims 1-7 or 11-13, wherein R^4 is methoxy.

20. The compound or salt of any one of claims 1-7 or 11-13, wherein at least one of R^3 and R^4 is hydrogen.

21. The compound or salt of any one of claims 11-20, wherein R^5 is methoxy and R^6 is methoxy.

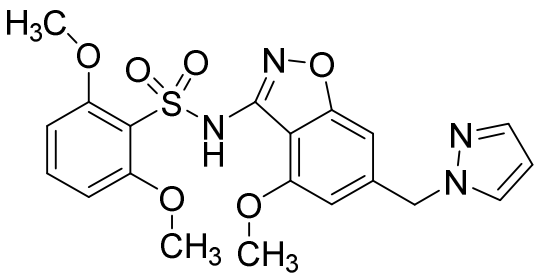
22. The compound or salt of any one of claims 11-20, wherein R^5 is methoxy and R^6 is hydrogen.

23. The compound of claim 1, which is



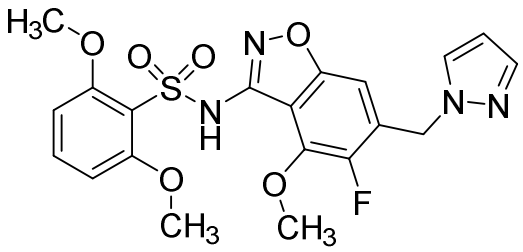
or a pharmaceutically acceptable salt thereof.

24. The compound of claim 1, which is



or a pharmaceutically acceptable salt thereof.

25. The compound of claim 1, which is



or a pharmaceutical acceptable salt thereof.

26. A pharmaceutical composition comprising a compound of any one of the preceding claims, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier or diluent.

27. Use of a compound of any one of claims 1-25, or a pharmaceutically acceptable salt thereof in the manufacture of a medicament for the treatment ~~A method~~ of ~~treating~~ cancer in a patient, wherein ~~comprising administering to the patient~~ an amount ~~of a compound of any one of claims 1-25, or a pharmaceutically acceptable salt thereof,~~ that is effective in treating cancer is to be administered to the patient.

28. The ~~method~~ use of claim 27, wherein the cancer is breast cancer.

29. The ~~method~~ use of claim 27, wherein the cancer is ER positive breast cancer.

30. A combination of a compound of any one of claims 1-25, or a pharmaceutically acceptable salt thereof, with an anti-tumor agent or with radiation therapy, for the treatment of cancer.

31. A combination of a compound of any one of claims 1-25, or a pharmaceutically acceptable salt thereof, with an anti-tumor agent, for the treatment of cancer.

32. The combination of claim 30 or 31, wherein the cancer is breast cancer.

33. The combination of claim 32, wherein the breast cancer is ER positive breast cancer.

34. A crystalline form of 2-methoxy-*N*-{4-methoxy-6-[(1*H*-pyrazol-1-yl)methyl]-1,2-benzoxazol-3-yl}benzene-1-sulfonamide anhydrous free base, having a powder X-ray diffraction pattern comprising peaks at 2θ values of: 13.4 and 18.1 °2θ ± 0.2 °2θ.

35. A compound of any one of claims 1-25, or a pharmaceutically acceptable salt thereof for use in the treatment of cancer.