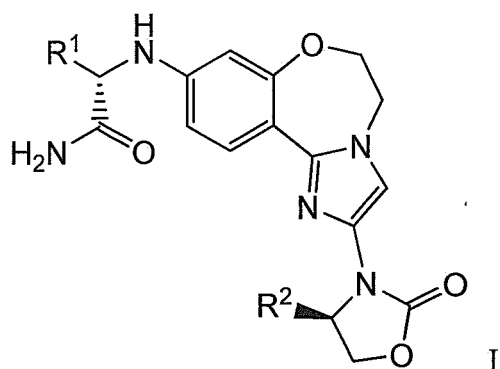
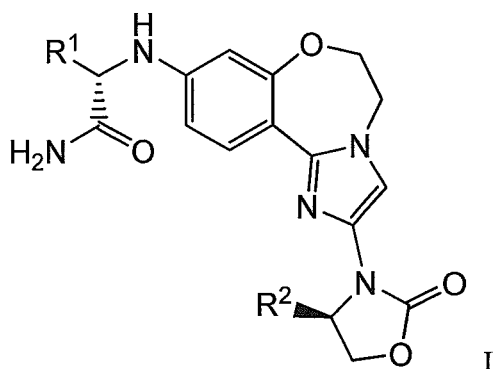




and stereoisomers, geometric isomers, tautomers, and pharmaceutically acceptable salts thereof. The various substituents are defined herein.

In one aspect, the present disclosure relates to a compound selected from Formula I:



and stereoisomers, geometric isomers, tautomers, and pharmaceutically acceptable salts thereof, wherein: R^1 is selected from $-CH_3$, $-CH_2CH_3$, cyclopropyl, and cyclobutyl; R^2 is selected from $-CH_3$, $-CHF_2$, $-CH_2F$, and $-CF_3$.

The present disclosure relates to a pharmaceutical composition comprising a benzoxazepin oxazolidinone compound of Formula I, and a pharmaceutically acceptable carrier, glidant, diluent, or excipient.

In another aspect, the present disclosure relates to a pharmaceutical composition comprised of a compound as defined herein, and a pharmaceutically acceptable carrier, glidant, diluent, or excipient.

In another aspect, the present disclosure relates to a process for making a pharmaceutical composition comprising combining a compound as defined herein, with a pharmaceutically acceptable carrier, glidant, diluent, or excipient.

The present disclosure relates to a method of treating cancer in a patient having cancer comprising administering to said patient a therapeutically effective amount of a benzoxazepin oxazolidinone compound of Formula I.

5 In another aspect, the present disclosure relates to the use of a compound as defined herein for the manufacture of a medicament for treating cancer in a patient wherein the cancer is selected from breast cancer and non-small cell lung cancer.

The present disclosure relates to a kit for the therapeutic treatment of breast cancer, comprising:

- a) a benzoxazepin oxazolidinone compound of Formula I; and
- 10 b) instructions for use in the therapeutic treatment of breast cancer

In another aspect, the present disclosure relates to a kit for the therapeutic treatment of breast cancer, comprising:

- a) the pharmaceutical composition as defined herein; and
- b) instructions for use in the therapeutic treatment of breast cancer.

15 In another aspect, the present disclosure relates to the compound as defined herein for use for treating cancer in a patient wherein the cancer is selected from breast cancer and non-small cell lung cancer.

BRIEF DESCRIPTION OF THE DRAWINGS

Figure 1 shows the x-ray co-crystal structures of PI3K α with: A) taselisib (GDC-
20 0032), B) Compound 529 of US 8242104, C) Compound **101**, and D) Compound **103**.

Figure 2 shows the x-ray co-crystal structures of PI3K α with: A) taselisib (GDC-0032), and B) Compound **101**.

Figure 3A shows Western-blot data depicting p110 α (p110a, p110 alpha) levels after 24 hour treatment with Compound **101**, Compound **103** and Compound 436 of US 8242104
25 in HCC-1954 cells (PI3K α mutant H1047R).

14. The use of a compound of any one of claims 1-10 in the manufacture of a medicament for treating cancer in a patient wherein the cancer is selected from breast cancer and non-small cell lung cancer.

15. The use of claim 14 further comprising an additional therapeutic agent selected from 5-FU, docetaxel, eribulin, gemcitabine, cobimetinib, ipatasertib, paclitaxel, tamoxifen, fulvestrant, GDC-0810, dexamethasone, palbociclib, bevacizumab, pertuzumab, trastuzumab emtansine, trastuzumab and letrozole.

16. The use of claim 14 wherein the cancer is breast cancer.

17. The use of claim 16 wherein the breast cancer is estrogen receptor positive (ER+) breast cancer.

18. The use of claim 16 wherein the breast cancer subtype is Basal or Luminal.

19. The use of claim 14 wherein the cancer expresses a PIK3CA mutant selected from E542K, E545K, Q546R, H1047L and H1047R.

20. The use of claim 14 wherein the cancer expresses a PTEN mutant.

21. The use of claim 16 wherein the cancer is HER2 positive.

22. The use of claim 16 wherein the patient is HER2 negative, ER (estrogen receptor) negative, and PR (progesterone receptor) negative.

23. A kit for the therapeutic treatment of breast cancer, comprising:

a) the pharmaceutical composition of claims 11 or 12; and

b) instructions for use in the therapeutic treatment of breast cancer.

24. The compound of any one of claims 1-10 for use for treating cancer in a patient wherein the cancer is selected from breast cancer and non-small cell lung cancer.