Product Datasheet

GSK2126458

Catalog No. **BP-02294** · CAS **1086062-66-9** · Form **free base** · Physical state **solid** · Color **white to yellow** · Version **1.0** · Revision **2026-09-10**

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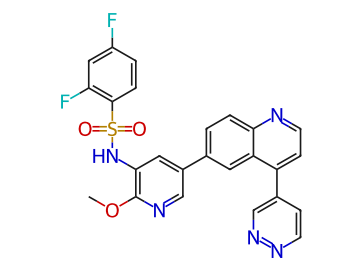
Target	Research area
PI3K; mTOR; Autophagy	**Cancer**

Molecular formula	$C_{25}H_{17}F_2N_5O_3S$
Molecular weight	**505.4960**

STRUCTURE



DESCRIPTION

Omipalisib (GSK2126458) is an orally active and highly selective inhibitor of PI3K with Kis of 0.019 nM/0.13 nM/0.024 nM/0.06 nM and 0.18 nM/0.3 nM for p110α/β/δ/γ, mTORC1/2, respectively. Omipalisib has anti-cancer activity .

BIOLOGICAL ACTIVITY

In Vitro

Omipalisib (GSK2126458) potently inhibits the activity of common activating mutants of p110α (E542K, E545K, and H1047R) found in human cancer with Ki of 8 pM, 8 pM and 9 pM, respectively. Omipalisib causes a significant reduction in the levels of pAkt-S473 with remarkable potency in T47D and BT474 cells with IC50 of 0.41 nM and 0.18 nM, respectively. Furthermore, Omipalisib (GSK2126458) leads to a G1 cell cycle arrest and produces the inhibitory effect on cell proliferation in a large panel of cell lines, including T47D and BT474 breast cancer lines with IC50 of 3 nM and 2.4 nM, respectively[1]. The combination of Omipalisib or GSK1120212 with Omipalisib enhances cell growth inhibition and decreases S6 ribosomal protein phosphorylation in drug-resistant clones from the A375 BRAF(V600E) and the YUSIT1 BRAF(V600K) melanoma cell lines[2]. Omipalisib (GSK2126458) potentiates the antiproliferative activity of DDR1-IN-1 in colorectal cancer cell lines.

In Vivo

In a BT474 human tumor xenograft model, Omipalisib (GSK2126458) treatment results in a dose-dependent reduction in pAkt-S473 levels, and exhibits dose-dependent tumor growth inhibition at a low dose of 300 μg/kg. Besides, Omipalisib (GSK2126458) shows low blood clearance and good oral bioavailability in four preclinical species (mouse, rat, dog, and monkey)[1].

SOLUBILITY

Soluble in DMSO

REFERENCES

[1]. Knight SD, et al. Discovery of GSK2126458, a Highly Potent Inhibitor of PI3K and the Mammalian Target of Rapamycin. ACS Med. Chem. Lett. 2010, 1 (1), 39-43.

[2]. Greger JG, et al. Combinations of BRAF, MEK, and PI3K/mTOR inhibitors overcome acquired resistance to the BRAF inhibitor GSK2118436 dabrafenib, mediated by NRAS or MEK mutations. Mol Cancer Ther. 2012 Apr;11(4):909-20.

[3]. Kim HG, et al. Discovery of a potent and selective DDR1 receptor tyrosine kinase inhibitor. ACS Chem Biol. 2013 Oct 18;8(10):2145-50.