

Product Data Sheet

Product Name: GSK2126458 (Omipalisib)

Cat. No.: GC15072

Chemical Properties

Cas. No. 1086062-66-9

Chemical Name 2,4-difluoro-N-[2-methoxy-5-(4-pyridazin-4-ylquinolin-6-yl)pyridin-3-yl]benzenesulfonamide

SMILES COC1=C(C=C(C=N1)C2=CC3=C(C=CN=C3C=C2)C4=CN=NC=C4)NS(=O)(=O)C5=C(C=C(C=C5)F)F

Formula C₂₅H₁₇F₂N₅O₃S

M.Wt 505.5

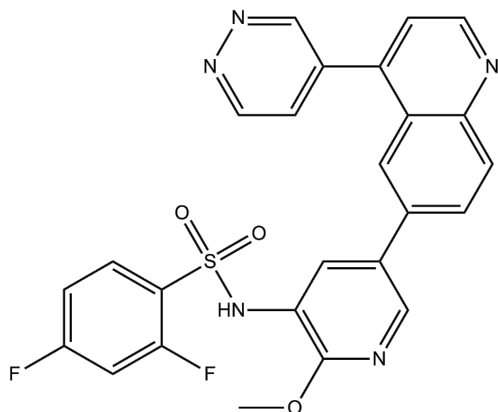
Solubility ≥ 25.3mg/mL in DMSO

Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines

A549 cells

Caution: Product has not been fully validated for medical applications. For research use only.

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Preparation Method	A549 cells were cultured in DMEM medium, supplemented with 10% fetal bovine serum (FBS) and 1% penicillin-streptomycin at 37°C in an incubator with 5% CO ₂ . Cells were inoculated at a density of 5000 cells/well into 96-well plates overnight. Cells were treated with different concentrations (0, 0.001, 0.01, 0.1, 1, and 10µM) of GSK2126458. After 72h incubation, cell viability was measured.
Reaction Conditions	0, 0.001, 0.01, 0.1, 1, and 10µM; 72h
Applications	GSK2126458 treatment reduced cell viability of A549 cells in a dose-dependent manner.
Animal experiment [2]:	
Animal models	Male tau P301S (1N4R) mutant (PS19) mice
Preparation Method	Male PS19 mice (aged 6-month-old) were housed in plastic containers (2-4 mice per container), with controlled temperature (23±1°C), humidity (60±10%), a 12h light/dark cycle, and ad libitum access to food and water. Vehicle or GSK2126458 (1mg/kg) was intraperitoneally injected into the mice once a day for 2 months. The mice were anesthetized by intraperitoneal injection of avertin, and euthanasia was performed by cervical dislocation under deep anesthesia. The brains of the mice were harvested for analysis.
Dosage form	1mg/kg/day; 2 months; i.p.
Applications	GSK2126458 treatment decreased phosphorylated tau levels in the brains of PS19 mice.

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References:[1] Chen Y, Zhang L, Yang C, et al. Discovery of benzenesulfonamide derivatives as potent PI3K/mTOR dual inhibitors with in vivo efficacies against hepatocellular carcinoma[J]. Bioorganic & medicinal chemistry, 2016, 24(5):957-966.[2] Hwang H, Kim N, Jeon S, et al. Omipalisib reduces hyperphosphorylated tau protein by modulating mTOR-autophagy pathway[J]. Plos one, 2026, 21(6): e0352120.

Background

GSK2126458 (Omipalisib) is an orally active and highly selective inhibitor of PI3K with K_i values of 0.019nM/0.13nM/0.024nM/0.06nM and 0.18nM/0.3nM for p110 $\alpha/\beta/\delta/\gamma$, mTORC1/2, respectively^[1]. GSK2126458 targets the PI3K/Akt/mTOR pathway, reducing the glycolytic activity of cancer cells and causing metabolic disorders in the cells, thereby inhibiting the growth of cancer cells^[2]. GSK2126458 has been widely used in cancer research as a radiosensitizer or chemosensitizer to efficiently kill cancer cells^[3].

In vitro, GSK2126458 treatment for 72 hours significantly inhibited the viability of A549, HCT116 and MDA-MB-231 cells, with IC₅₀ values of 0.6 μ M, 0.01 μ M, and 0.13 μ M, respectively^[4]. Treatment with 160nM GSK2126458 for 24 hours induced cell cycle arrest in esophageal squamous cell carcinoma (ESCC) cells, accompanied by a decrease in CCND1 expression and an increase in the number of apoptotic cells^[5]. Treatment with 10 μ M GSK2126458 for 48 hours led to cell death and autophagy in C76N cells and increased the expression of Atg12, LC3A and LC3B^[6]. Incubation with 50nM GSK2126458 for 24 hours downregulated the genes related to amino acid metabolism and mitochondrial biosynthesis in OCI-AML3 cells, and inhibited mitochondrial respiration^[7].

In vivo, GSK2126458 treatment via oral administration at a dose of 1mg/kg twice daily for 7 days prolonged the cardiac repolarization in the canine model of atrioventricular block, accompanied by a mild proarrhythmic outcome^[8]. Daily intraperitoneal injection of GSK2126458 (1mg/kg) for 2 months reduced the overphosphorylated tau protein expression and mitigated spatial memory deficits in tau P301S (1N4R) mutant (PS19) male mice^[9].

References:

[1] Knight S D, Adams N D, Burgess J L, et al. Discovery of GSK2126458, a highly potent inhibitor of PI3K and the mammalian target of rapamycin[J]. ACS medicinal chemistry letters, 2010, 1(1): 39.

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- [2] Bye B A, Jack J L, Pierce A, et al. Combined omipalisib and MAPK Inhibition suppress PDAC growth[J]. Cancers, 2025, 17(7): 1152.
- [3] Du J, Chen F, Yu J, et al. The PI3K/mTOR inhibitor omipalisib suppresses nonhomologous end joining and sensitizes cancer cells to radio-and chemotherapy[J]. Molecular Cancer Research, 2021, 19(11): 1889-1899.
- [4] Chen Y, Zhang L, Yang C, et al. Discovery of benzenesulfonamide derivatives as potent PI3K/mTOR dual inhibitors with in vivo efficacies against hepatocellular carcinoma[J]. Bioorganic & medicinal chemistry, 2016, 24(5): 957-966.
- [5] Zhu D, Dong J, Xu Y, et al. Omipalisib inhibits esophageal squamous cell carcinoma growth through inactivation of phosphoinositide 3-Kinase (PI3K)/AKT/Mammalian target of rapamycin (mTOR) and ERK signaling[J]. Medical Science Monitor: International Medical Journal of Experimental and Clinical Research, 2020, 26: e927106-1.
- [6] Basu D, Salgado C M, Bauer B, et al. The dual PI3K/mToR inhibitor omipalisib/GSK2126458 inhibits clonogenic growth in oncogenically-transformed cells from neurocutaneous melanocytosis[J]. Cancer Genomics-Proteomics, 2018, 15(4): 239-248.
- [7] Tseng C Y, Fu Y H, Ou D L, et al. Anti-leukemia effects of omipalisib in acute myeloid leukemia: inhibition of PI3K/AKT/mTOR signaling and suppression of mitochondrial biogenesis[J]. Cancer Gene Therapy, 2023, 30(12): 1691-1701.
- [8] van Bavel J J A, Pham C, Beekman H D M, et al. PI3K/mTOR inhibitor omipalisib prolongs cardiac repolarization along with a mild proarrhythmic outcome in the AV block dog model[J]. Frontiers in cardiovascular medicine, 2022, 9: 956538.
- [9] Hwang H, Kim N, Jeon S, et al. Omipalisib reduces hyperphosphorylated tau protein by modulating mTOR-autophagy pathway[J]. Plos one, 2026, 21(6): e0352120.

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