Product Datasheet

GSK2256098

Catalog No. **BP-02308** · CAS **1224887-10-8** · Form **free base** · Physical state **solid** · Color **off-white to light brown** · Version **1.0** · Revision **2026-09-10**

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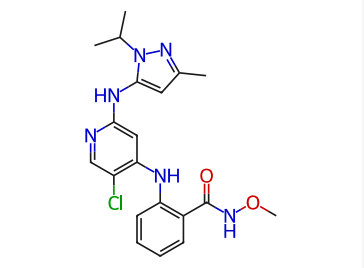
Target	Research area
FAK; Apoptosis	**Cancer**

Molecular formula	$C_{20}H_{23}ClN_6O_2$
Molecular weight	**414.8890**

STRUCTURE



DESCRIPTION

GSK2256098 is a selective FAK kinase inhibitor, which inhibits growth and survival of pancreatic ductal adenocarcinoma cells.

BIOLOGICAL ACTIVITY

In Vitro

GSK2256098 is a thousand fold more selective for FAK compared to the nearest FAK family member, Pyk2. GSK2256098 inhibits FAK activity through targeting the phosphorylation site of FAK, tyrosine (Y) 397. GSK2256098 inhibits FAK activity or Y397 phosphorylation in cancer cell lines, OVCAR8 (ovary), U87MG (brain), and A549 (lung), at IC50s of 15, 8.5 and 12 nM, respectively. The responses of 6 PDAC cell lines in regards to FAK Y397 phosphorylation or activity to GSK2256098 treatments (0.1–10 μM) ranged from low (less than 20% inhibition) to high (more than 90% inhibition). The least and most sensitive cell lines (PANC-1 and L3.6P1) are selected for further analysis. GSK2256098 inhibition of FAK Y397 phosphorylation correlated with decreased levels of phosphorylated Akt and ERK in L3.6P1 cells. GSK2256098 decreases cell viability, anchorage-independent growth, and motility in a dose dependent manner.

In Vivo

FAK is well-known to play an important role in angiogenesis, proliferation, and apoptosis, so the tumor samples harvested from the therapy experiments are examined. Evaluating CD31, significantly lower microvessel densities in tumors from mice treated with GSK2256098 and Paclitaxel is observed than in tumors from mice in the vehicle control group ($P<0.05$). This is consistent across both models, but Ishikawa tumors had the lowest microvessel density. All tumor models in mice treated with GSK2256098 exhibit less proliferation via Ki67 than control. Ishikawa tumors have the lowest Ki67 expression in response to therapy. Ishikawa tumors have higher apoptotic indices than Hec1A tumors after treatment with GSK2256098. Significant rates of apoptosis are seen in all models that had been treated with combination GSK2256098 and Paclitaxel.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1]. Zhang J, et al. A small molecule FAK kinase inhibitor, GSK2256098, inhibits growth and survival of pancreatic ductal adenocarcinoma cells. Cell Cycle. 2014;13(19):3143-9.

[2]. Thanapprapasr D, et al. PTEN Expression as a Predictor of Response to Focal Adhesion Kinase Inhibition in Uterine Cancer. Mol Cancer Ther. 2015 Jun;14(6):1466-75.

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