# Product data sheet

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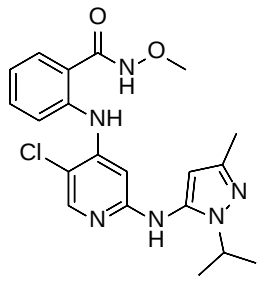
|---|---|
| MedKoo Cat#: 205482<br>Name: GSK-2256098<br>CAS#: 1224887-10-8 (free base)<br>Chemical Formula: $C_{20}H_{23}ClN_6O_2$<br>Exact Mass: 414.1571<br>Molecular Weight: 414.89 |  |
| Product supplied as: | Powder |
| Purity (by HPLC): | ≥ 98% |
| Shipping conditions | Ambient temperature |
| Storage conditions: | Powder: -20°C 3 years; 4°C 2 years. |
| | In solvent: -80°C 3 months; -20°C 2 weeks. |

**1. Product description:**

GSK2256098, also known as GTPL7939, is a focal adhesion kinase-1 (FAK) inhibitor with potential antiangiogenic and antineoplastic activities. FAK inhibitor GSK2256098 inhibits FAK, which may prevent the integrin-mediated activation of several downstream signal transduction pathways, including ERK, JNK/MAPK and PI3K/Akt, thereby inhibiting tumor cell migration, proliferation and survival, and tumor angiogenesis. The tyrosine kinase FAK is normally activated by binding to integrins in the extracellular matrix (ECM) but may be upregulated and constitutively activated in various tumor cell types.

**2. CoA, QC data, SDS, and handling instruction**

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

**3. Solubility data**

| Solvent | Max Conc. mg/mL | Max Conc. mM |
|---|---|---|
| DMSO | 47.33 | 114.08 |
| DMF | 30.0 | 72.31 |
| Ethanol | 56.0 | 134.98 |
| Ethanol:PBS (pH 7.2) (1:4) | 0.20 | 0.48 |

**4. Stock solution preparation table:**

| **Concentration / Solvent Volume / Mass** | **1 mg** | **5 mg** | **10 mg** |
|---|---|---|---|
| 1 mM | 2.41 mL | 12.05 mL | 24.10 mL |
| 5 mM | 0.48 mL | 2.41 mL | 4.82 mL |
| 10 mM | 0.24 mL | 1.21 mL | 2.41 mL |
| 50 mM | 0.05 mL | 0.24 mL | 0.48 mL |

**5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator**

Please refer the product web page under section of "Calculator"

**6. Recommended literature which reported protocols for in vitro and in vivo study**

In vitro study

1. Zhang J, He DH, Zajac-Kaye M, Hochwald SN. A small molecule FAK kinase inhibitor, GSK2256098, inhibits growth and survival of pancreatic ductal adenocarcinoma cells. Cell Cycle. 2014;13(19):3143-9. doi: 10.4161/15384101.2014.949550. PMID: 25486573; PMCID: PMC4615113.

In vivo study

1. Thanapprapasr D, Previs RA, Hu W, Ivan C, Armaiz-Pena GN, Dorniak PL, Hansen JM, Rupaimoole R, Huang J, Dalton HJ, Ali-Fehmi R, Coleman RL, Sood AK. PTEN Expression as a Predictor of Response to Focal Adhesion Kinase Inhibition in Uterine Cancer. Mol Cancer Ther. 2015 Jun;14(6):1466-1475. doi: 10.1158/1535-7163.MCT-14-1077. Epub 2015 Apr 1. PMID: 25833835; PMCID: PMC4458384.

**7. Bioactivity**

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Biological target: FAK kinase inhibitor with Ki of 0.4 nM.

## In vitro activity

Focal adhesion kinase (FAK) hyperactivation is common in pancreatic ductal adenocarcinoma (PDAC). GSK2256098 inhibits FAK activity through targeting the phosphorylation site of FAK, tyrosine (Y) 397. In order to determine whether GSK2256098 inhibition of FAK Y397 phosphorylation attenuates PDAC-associated cell proliferation, motility and survival, cultured PDAC cells were used as cellular models of GSK2256098-impaired abnormal growth. Western blot analysis, cell viability analysis, clonogenic survival, soft-agar and wound healing assays were performed. GSK2256098 inhibition of FAK Y397 phosphorylation correlated with decreased levels of phosphorylated Akt and ERK in L3.6P1 cells. GSK2256098 decreased cell viability, anchorage-independent growth, and motility in a dose dependent manner.

Reference: Cell Cycle. 2014;13(19):3143-9. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC4615113/

## In vivo activity

To examine the effect of GSK2256098 in pre-clinical models of uterine cancer, orthotopic mouse models of uterine cancer were used. Mice were inoculated with Ishikawa or Hec1a cells. In the Ishikawa model, tumor growth was inhibited to a greater extent in the GSK2256098 monotherapy group (Fig. 3A) as compared to the Hec1A model (Fig. 3B). Extent of distant metastases was also substantially reduced with GSK2256098-based therapy. All tumor models in mice treated with GSK2256098 exhibited less proliferation via Ki67 than control. Ishikawa tumors had the lowest Ki67 expression in response to therapy. Ishikawa tumors had higher apoptotic indices than Hec1A tumors after treatment with GSK2256098.

Reference: Mol Cancer Ther. 2015 Jun;14(6):1466-1475. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC4458384/

*Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.*