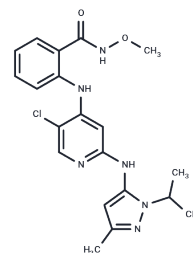


GSK2256098

Chemical Properties

CAS No. : 1224887-10-8
 Formula: C₂₀H₂₃ClN₆O₂
 Molecular Weight: 414.89
 Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
 Actual storage temperature shall be subject to the COA.



Biological Description

Description	GSK2256098 (GTPPL7939) is a small molecule FAK kinase inhibitor.
Targets(IC50)	Apoptosis,FAK
In vitro	GSK2256098 has been developed to inhibit FAK activity through targeting the phosphorylation site of FAK, tyrosine (Y) 397. After a 30-min incubation, GSK2256098 inhibits FAK activity or Y397 phosphorylation in cancer cell lines, OVCAR8 (ovary), U87 mg (brain), and A549 (lung), at IC50 values of 15, 8.5 and 12 nM, respectively. In addition, the data suggests that cellular inhibition of FAK by GSK2256098 can occur as early as 30 min in cultured cells and lasts up to 12 hours in mouse tumor xenografts. GSK2256098 inhibition of FAK kinase activity can decrease Akt and ERK activity. PI3K/Akt and ERK signaling contributes to cell survival, implying a pharmacological value of GSK2256098 in attenuation of abnormal survival pathways in specific types of PDAC cells. GSK2256098 can promote apoptosis in L3.6P1 cells through caspase-9/PARP-related pathways. It attenuates abnormal growth and aberrant motility of PDAC cells in a FAK specific manner[1]. GSK2256098 also inhibits growth, migration, and invasion and induces apoptosis in a subset of GBM cell lines[2].
In vivo	Pharmacokinetic (PK) studies in mice and rats with an intact blood brain barrier indicate that the penetration of GSK2256098 into the CNS is poor. However, it achieves concentrations in tumor of patients with GBM(glioblastoma) exceeding those associated with preClinicalal activity[2]. GSK2256098 has an acceptable safety profile, has evidence of target engagement at doses at or below the MTD (maximum tolerated dose), and has Clinicalal activity in patients with mesothelioma, particularly those with merlin loss[3]. In the Ishikawa orthoptopic murine model, treatment with GSK2256098 results in lower tumor weights and fewer metastases than mice inoculated with Hec1A cells. Tumors treated with GSK2256098 have lower microvessel density (CD31), less cellular proliferation (Ki67), and higher apoptosis (TUNEL) rates in the Ishikawa model when compared to the Hec1a model. GSK2256098 may be therapeutically beneficial to patients with PTEN-mutant uterine cancer, and PTEN represents a potential predictive biomarker[4].
Cell Research	PDAC cells are cultured on a 6-well plate. When cell confluence reaches about 70% in regular medium, the cells are incubated in the medium containing 0.1-10 μM GSK2256098 for 48 or 72 hr. At the end of treatments, cells are re-seeded and kept for 9

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Cell Research	d Then, the cells are stained using Clonogenic Reagent, and the blue colonies are counted. (Only for Reference)
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Solubility Information

Solubility	DMSO: 50 mg/mL (120.51 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 76 mg/mL (183.18 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.82 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4103 mL	12.0514 mL	24.1028 mL
5 mM	0.4821 mL	2.4103 mL	4.8206 mL
10 mM	0.241 mL	1.2051 mL	2.4103 mL
50 mM	0.0482 mL	0.241 mL	0.4821 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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