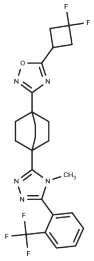
Data Sheet (Cat.No.T6891)

TargetMol

MK-4101

Chemical Properties



CAS No. : 935273-79-3

Formula: C24H24F5N5O

Molecular Weight: 493.47

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	MK-4101, an effective inhibitor of the Hedgehog pathway, has anti-tumor activity through the induction of extensive apoptosis and inhibition of proliferation in tumor cells.
Targets(IC50)	Apoptosis,Hedgehog/Smoothened,Smo
In vitro	MK-4101 inhibits Hh signaling with an IC50 of 1.5 μM in a reporter gene assay (Gli_Luc) in an engineered mouse cell line and 1 μM in human KYSE180 esophageal cancer cells. It displaces a fluorescently-labeled cyclopamine derivative from 293 cells expressing recombinant human SMO with an IC50 of 1.1 μM. MK-4101 arrests cells in G1 and G2 phases[1].
In vivo	MK-4101 has robust antitumor activity through the inhibition of proliferation and induction of extensive apoptosis in tumor cells. MK-4101 is highly efficacious against primary medulloblastoma and basal cell carcinoma(BCC) developing in the cerebellum and skin of Ptch1+/- mice. Pharmacokinetics of MK-4101 shows that it could be administered orally, showing a good bioavailability (F ≥ 87 %) with low-to-moderate plasma clearance in mice and rats. Moreover, it was well absorbed, and mainly excreted into the bile[1].
Kinase Assay	Luciferase assays: After various compound treatments, cells are lysed in luciferase lysis buffer and assayed for luciferase activity using the ONE-Glo luciferase assay system. All luciferase activities are normalized to protein concentration determined by Bradford assay.
Cell Research	BCC cells are treated with MK-4101(10 μM) for 72 h and cell cycle is analyzed by FACS monitoring EdU incorporation. (Only for Reference)

Solubility Information

Solubility	Ethanol: 60 mg/mL (121.59 mM),Sonication is recommended. DMSO: 92 mg/mL (186.43 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (6.69 mM),Sonication is recommended.

In vivo Formulation	*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.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0265 mL	10.1323 mL	20.2647 mL
5 mM	0.4053 mL	2.0265 mL	4.0529 mL
10 mM	0.2026 mL	1.0132 mL	2.0265 mL
50 mM	0.0405 mL	0.2026 mL	0.4053 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

Filocamo G, et al. Mol Cancer Ther. 2016, 15(6):1177-89.