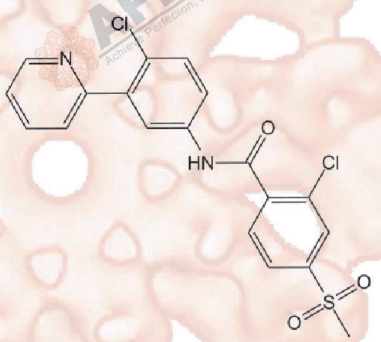
Product Data Sheet

GDC-0449 (Vismodegib)



Cat. No.:	A3021
CAS No.:	879085-55-9
Formula:	C19H14Cl2N2O3S
M.Wt:	421.3
Synonyms:	Vismodegib, GDC-0449, HhAntag691, GDC0449, GDC 0449
Target:	Stem Cell
Pathway:	Hedgehog
Storage:	Desiccate at -20°C

Solvent & Solubility

In Vitro

⩾21.08 mg/mL in DMSO; insoluble in H2O; ⩾4.96 mg/mL in EtOH with gentle warming and ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.3736 mL	11.8680 mL	23.7361 mL
5 mM	0.4747 mL	2.3736 mL	4.7472 mL
10 mM	0.2374 mL	1.1868 mL	2.3736 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	Hedgehog antagonist,potent and selective
IC_{50} & Target	3 nM (Hedgehog)

In Vitro

Cell Viability Assay

Cell Line:	AsPC-1, MIA PaCa-2, PANC-1 and Pancreatic CSC cells
Preparation method：	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.

	Reacting conditions:	10 μM, 72 hours
	Applications:	Inhibition of cell survival and induction of apoptosis was observed within 24 h following exposure to this drug, but was maximally noticed at 72 h. In all the cell lines, GDC-0449 induced apoptosis is a dose-dependent manner reaching up to 65%. By comparison, GDC-0449 was less effective in inducing apoptosis in CSCs.
In Vivo	**Animal experiment**	
	Animal models:	Male CB17 SCID mice injected with MDA PCa 118b cells
	Dosage form:	Oral administration, 100 mg/kg, twice a day for 21 days
	Applications:	Shh, Gli1, Gli2, Smo, Ptch1, and Sufu were analyzed by qRT-PCR in GDC-0449 treated and untreated groups. Stromal expression of Gli1 and Ptch1 was marginally lower in the treated group compared to the control. Given that Gli1 and Ptch1 are reliable markers of an active Hh pathway these results confirm the pharmacodynamic effect of GDC-0449. Expression of Gli2 and Shh followed the same trend. Tumor epithelial expression of Sufu was significantly lower in treated than in untreated controls. Immunohistochemical testing confirmed a decrease in Sufu expression in the tumor epithelium.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Lima-Fernandes E, Murison A, et al. "Targeting bivalency de-represses Indian Hedgehog and inhibits self-renewal of colorectal cancer-initiating cells." Nat Commun. 2019 Mar 29;10(1):1436.PMID:30926792

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References

[1] Singh B N, Fu J, Srivastava R K, et al. Hedgehog signaling antagonist GDC-0449 (Vismodegib) inhibits pancreatic cancer stem cell characteristics: molecular mechanisms. PLoS One, 2011, 6(11): e27306.

[2] Karlou M, Lu J F, Wu G, et al. Hedgehog signaling inhibition by the small molecule smoothened inhibitor GDC-0449 in the bone forming prostate cancer xenograft MDA PCa 118b. The Prostate, 2012, 72(15): 1638-1647.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable

under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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