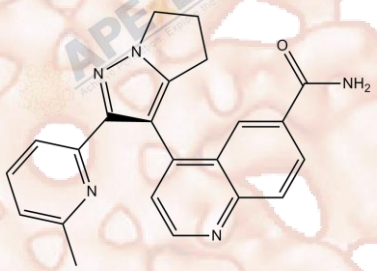
Product Data Sheet

LY2157299



Cat. No.:	A8348
CAS No.:	700874-72-2
Formula:	C22H19N5O
M.Wt:	369.42
Synonyms:	LY-2157299;LY 2157299
Target:	TGF-β / Smad Signaling
Pathway:	TGF-βR1(ALK5)
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾18.45 mg/mL in DMSO; ⩾26.15 mg/mL in EtOH

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.7069 mL	13.5347 mL	27.0695 mL
5 mM	0.5414 mL	2.7069 mL	5.4139 mL
10 mM	0.2707 mL	1.3535 mL	2.7069 mL

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

Biological Activity

Shortsummary	TGF-βR1 inhibitor,potent and selective
IC_{50} & Target	56 nM (TβRI)

In Vitro

Cell Viability Assay

Cell Line:	HLE and HLF 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:	100 nM, 16 hours

	Applications:	HLE and HLF cells were allowed to migrate for 16 hours on fibronectin, vitronectin, laminin-5 and fibrinogen in the presence of increasing concentrations (1 nM, 10 nM and 100 nM) of LY2157299. LY2157299 significantly inhibited HLE and HLF migration on different ECM substrates. When testing the inhibition of Smad phosphorylation, two different HCC cell lines were pretreated for 16 hours with 100 nM of LY2157299 and then stimulated with 2 ng of TGF-β1 for 30 min. LY2157299 inhibited de novo phosphorylation of p-SMAD2 at the same efficiency in HLE and HLF after stimulation with TGF-β1. Besides that, increased expression of E-cadherin was observed in HLE and HLF cells after treatment for 48 hours.
In Vivo	**Animal experiment**	
	Animal models:	Nude mice implanted with Calu6 or MX1 cells
	Dosage form:	Oral administration, 75mg/kg, twice a day
	Applications:	LY2157299 induced a 70% decrease in phosphorylated Smad (pSmad) for both types of cell lines. The time at which pSmad recovered 80% of baseline was approximately 6 h after administration.
	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. Talha Ijaz. "Fibroblasts: Key Cells in Inflammation and Fibrosis." University of Texas Medical Branch.May, 2018.
2. Ijaz T, Jamaluddin M, et al. "Coordinate activities of BRD4 and CDK9 in the transcriptionalelongation complex are required for TGFβ-induced Nox4 expression andmyofibroblast transdifferentiation." Cell Death Dis. 2017 Feb 9;8(2):e2606.PMID:28182006

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References

[1] Dituri F, Mazzocca A, Peidrò F J, et al. Differential inhibition of the TGF-β signaling pathway in HCC cells using the small molecule inhibitor LY2157299 and the D10 monoclonal antibody against TGF-β receptor type II. PloS one, 2013, 8(6): e67109.
[2] Bueno L, de Alwis D P, Pitou C, et al. Semi-mechanistic modelling of the tumour growth inhibitory effects of LY2157299, a new type I receptor TGF-β kinase antagonist, in mice. European journal of cancer, 2008, 44(1): 142-150.

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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