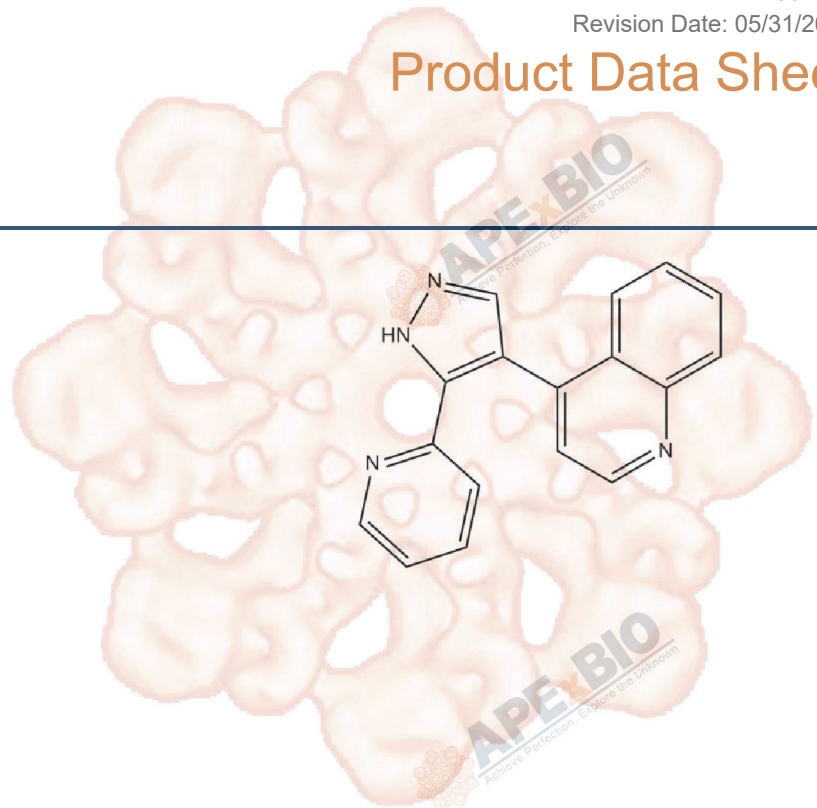


Product Data Sheet

LY364947

Cat. No.:	B2287
CAS No.:	396129-53-6
Formula:	C ₁₇ H ₁₂ N ₄
M.Wt:	272.3
Synonyms:	
Target:	TGF- β / Smad Signaling
Pathway:	SMAD
Storage:	Store at -20°C



Solvent & Solubility

insoluble in EtOH; insoluble in H₂O; ≥ 24.4 mg/mL in DMSO

In Vitro

Preparing Stock Solutions	Solvent	Mass Concentration	Mass		
			1mg	5mg	10mg
		1 mM	3.6724 mL	18.3621 mL	36.7242 mL
		5 mM	0.7345 mL	3.6724 mL	7.3448 mL
		10 mM	0.3672 mL	1.8362 mL	3.6724 mL

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

Biological Activity

Shortsummary

inhibitor of TGF- β type I receptor kinase domain

IC₅₀ & Target

In Vitro

Cell Viability Assay

Cell Line: HOXB9-MCF10A cells

Preparation method: The solubility of this compound in DMSO is limited. 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 μ M; 24 hrs

	Applications:	In HOXB9-MCF10A cells, LY364947 suppressed Smad2 phosphorylation by inhibiting TGF- β activation, meanwhile, without affecting the expression of TGF- β 1 and TGF- β 2. Besides, LY364947 induced epithelial morphological changes, with re-expression of E-cadherin as well as suppression of fibronectin and vimentin. In addition, LY364947 reduced migration and invasiveness of HOXB9-MCF10A cells.
In Vivo	Animal experiment	
	Animal models:	A rat model of NMDA-induced retinal degeneration
	Dosage form:	50 nM; 5 μ L; intravitreal injection
	Applications:	In a rat model of NMDA-induced retinal degeneration, LY364947 significantly suppressed cell loss in the ganglion cell layer induced by NMDA. Besides, LY364947 markedly prevent vascular damage in the injured retina caused by NMDA. In addition, co-treatment with NMDA and LY364947 did not cause any morphological change of NG2-positive pericytes.
	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. Lin B, Coleman JH, et al."Injury Induces Endogenous Reprogramming and Dedifferentiation of Neuronal Progenitors to Multipotency." Cell Stem Cell. 2017 Nov 20. pii:S1934-5909(17)30375-2.PMID:29174332

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References

- [1]. Peng SB, Yan L, Xia X, Watkins SA, Brooks HB, Beight D, Herron DK, Jones ML, Lampe JW, McMillen WT, Mort N, Sawyer JS, Yingling JM. Kinetic characterization of novel pyrazole TGF-beta receptor I kinase inhibitors and their blockade of the epithelial-mesenchymal transition. Biochemistry. 2005 Feb 22;44(7):2293-304.
- [2]. Hayashida T, Takahashi F, Chiba N, Brachtel E, Takahashi M, Godin-Heymann N, Gross KW, Vivanco Md, Wijendran V, Shioda T, Sgroi D, Donahoe PK, Maheswaran S. HOXB9, a gene overexpressed in breast cancer, promotes tumorigenicity and lung metastasis. Proc Natl Acad Sci U S A. 2010 Jan 19;107(3):1100-5.
- [3]. Ueda K, Nakahara T, Mori A, Sakamoto K, Ishii K. Protective effects of TGF- β inhibitors in a rat model of NMDA-induced retinal degeneration. Eur J Pharmacol. 2013 Jan 15;699(1-3):188-93.

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 APEX-BIO 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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