Product Data Sheet

Product Name: ALK5 Inhibitor II (hydrochloride)
Cat. No.: GC11050

Chemical Properties

Cas. No.	2319939-07-4		
Chemical Name	2-(3-(6-methylpyridin-2-yl)-1H-pyrazol-4-yl)-1,5-naphthyridine, monohydrochloride		
SMILES	CC1=NC(C2=NNC=C2C3=NC4=CC=CN=C4C=C3)=CC=C1.Cl		
Formula	$C_{17}H_{13}N_5$ • HCl	M.Wt	323.8
Solubility	≤0.5mg/ml in ethanol	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure			

Background

IC50: 4, 18, and 23 nM for ALK5 autophosphorylation, TGF-β cellular assay, and ALK5 binding in HepG2 cells, respectively

ALK5 Inhibitor II is an ALK5 inhibitor.

The TGF-beta family of cytokines signal via serine/threonine kinase transmembrane type I and type II receptors. Binding TGF-beta1 to the receptor complex triggers activation of activin receptor-like kinase (ALK), initiating downstream signaling involving Smad transcription factors, mitogen-activated protein kinases, and PI3K-Akt signaling. ALK5 can phosphorylate Smad2 and Smad3, while ALK1 activates Smad1 and Smad5, triggering their translocation to the nucleus together with Smad4.

In vitro: ALK5 inhibitor II was identified as a cell permeable, selective inhibitor of the TGF-β type 1 activin like kinase receptor ALK5. ALK5 inhibitor II showed less potent activity (IC50s > 16 μM) when tested against a panel of 9 related kinases, including p38

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MAPK and GSK3. In addition, when cocrystallized with ALK5, ALK5 inhibitor II was found to be able to bind in the ATP site with the 1,5-naphthyridine N5 interacting with the backbone NH of His-283 [1].

In vivo: Up to now, there is no animal in vivo reported.

Clinical trial: So far, no clinical study has been conducted.

Reference:
[1] Gellibert, F. ,Wollven, J.,Fouchet, M.H., et al. Identification of 1,5-naphthyridine derivatives as a novel series of potent and selective TGF-β type I receptor inhibitors. Journal of Medicinal Chemistry 47(18), 4494-4506 (2004).

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