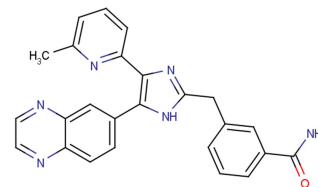


IN-1130

Chemical Properties

CAS No.:	868612-83-3
Formula:	C ₂₅ H ₂₀ N ₆ O
Molecular Weight:	420.47
Appearance:	N/A
Storage:	0-4°C for short term (days to weeks), or -20°C for long term (months).



Biological Description

Description	IN-1130 is a highly selective inhibitor of transforming growth factor- β type I receptor kinase (ALK5) (IC ₅₀ : 5.3 nM for ALK5-mediated Smad3 phosphorylation). IN-1130 inhibits ALK5 phosphorylation of casein (IC ₅₀ : 36 nM) and p38 α mitogen-activated protein kinase (IC ₅₀ : 4.3 μ M).
Targets(IC ₅₀)	ALK5: None
In vitro	IN-1130 (0.5, 1 μ M; for 2 hours) suppresses TGF- β -stimulated Smad2 phosphorylation and subsequent nuclear translocation in HepG2 and 4T1 cells. IN-1130 (1 μ M; pretreated for 30 min) suppresses TGF- β -induced MDA-MB-231 cells, NMuMG, and MCF10A cells mobility and invasion. IN-1130 (1 μ M; for 72 hours) restores the TGF- β -mediated decrease in E-cadherin protein expression. IN-1130 (1 μ M; for 72 hours) suppresses TGF- β -induced MMPs mRNA expression and the gelatinolytic activity of secreted MMPs in MCF10A cells [2].
In vivo	IN-1130 (10, 20 mg/kg/day; for 14 days) dose-dependently decreases levels of TGF- β 1 mRNA and suppresses phosphorylation of Smad2, α -SMA, myofibroblasts in rat UUO kidneys. IN-1130 (10, 20 mg/kg/day; IP; for 7 and 14 days) decreases the extent of interstitial nephritis and fibrosis (arrowheads) with 10 mg/kg and significantly reduces or absent histopathological changes with 20 mg/kg in unilateral ureteral obstruction (UUO) rats [1]. IN-1130 (40 mg/kg; IP; 3 times per week for 3 weeks) inhibits in vivo breast cancer metastasis to the lungs in MMTV/c-Neu mice (Eight-week-old female BALB/c mice) [2].

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.378 mL	11.891 mL	23.783 mL
5 mM	0.476 mL	2.378 mL	4.757 mL
10 mM	0.238 mL	1.189 mL	2.378 mL
50 mM	0.048 mL	0.238 mL	0.476 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

1. Moon JA, et al. IN-1130, a novel transforming growth factor-beta type I receptor kinase (ALK5) inhibitor, suppresses renal fibrosis in obstructive nephropathy. *Kidney Int.* 2006 Oct;70(7):1234-43.
2. Park CY, et al. An novel inhibitor of TGF- β type I receptor, IN-1130, blocks breast cancer lung metastasis through inhibition of epithelial-mesenchymal transition. *Cancer Lett.* 2014 Aug 28;351(1):72-80.

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