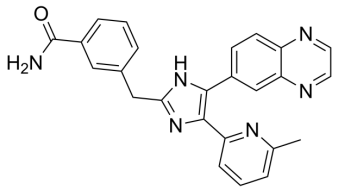
IN-1130

Cat. No.:	HY-18758
CAS No.:	868612-83-3
Molecular Formula:	$C_{25}H_{20}N_6O$
Molecular Weight:	420.47
Target:	TGF-β Receptor
Pathway:	TGF-beta/Smad

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (237.83 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3783 mL	11.8915 mL	23.7829 mL
	5 mM	0.4757 mL	2.3783 mL	4.7566 mL
	10 mM	0.2378 mL	1.1891 mL	2.3783 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.43 mg/mL (3.40 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

IN-1130 is a highly selective transforming growth factor-β type I receptor kinase (ALK5) inhibitor with an IC_{50} of 5.3 nM for ALK5-mediated Smad3 phosphorylation. IN-1130 inhibits ALK5 phosphorylation of casein (IC_{50}=36 nM) and p38α mitogen-activated protein kinase (IC_{50}=4.3 μM). IN-1130 suppresses renal fibrosis in obstructive nephropathy and blocks breast cancer lung metastasis[1][2].

IC_{50} & Target

ALK5

In Vitro

IN-1130 (0.5, 1 μM; for 2 hours) inhibits TGF-β-stimulated Smad2 phosphorylation and subsequent nuclear translocation in HepG2 and 4T1 cells[2].

?IN-1130 (1 μM; for 72 hours) restores the TGF-β-mediated decrease in E-cadherin protein expression. IN-1130 (1 μM; for 72 hours) inhibits TGF-β-induced MMPs mRNA expression and the gelatinolytic activity of secreted MMPs in MCF10A cells[2].

?IN-1130 (1 μM; pretreated for 30 min) inhibits TGF-β-induced MDA-MB-231 cells, NMuMG, and MCF10A cells mobility and

invasion[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[2]

Cell Line:	HepG2 and 4T1 cells
Concentration:	0.5, 1 μM
Incubation Time:	For 2 hours
Result:	Inhibited TGF-β-stimulated Smad2 phosphorylation.

RT-PCR[2]

Cell Line:	MCF10A cells
Concentration:	1 μM
Incubation Time:	For 72 hours
Result:	Inhibited TGF-β-induced MMPs mRNA expression and the gelatinolytic activity of secreted MMPs.

In Vivo

IN-1130 (10, 20 mg/kg/day; IP; for 7 and 14 days) reduces 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 (10, 20 mg/kg/day; for 14 days) dose-dependently decreases levels of TGF-β1 mRNA and suppresses phosphorylation of Smad2, α-SMA, myofibroblasts in rat UUO kidneys[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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Six-week-old male Sprague–Dawley rats weighing 180-200 g[1]
Dosage:	10 and 20 mg/kg
Administration:	IP; daily; for 7 and 14 days
Result:	Reduced the extent of interstitial nephritis and fibrosis (arrowheads) with 10 mg/kg.

CUSTOMER VALIDATION

- iScience. 2024 Mar 26.

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REFERENCES

[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

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