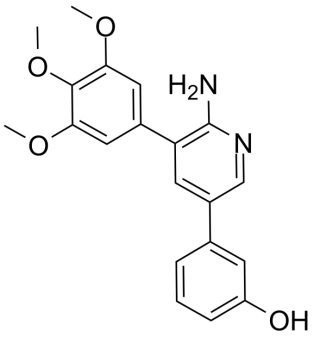
K02288

Cat. No.:	HY-12278
CAS No.:	1431985-92-0
Molecular Formula:	$C_{20}H_{20}N_2O_4$
Molecular Weight:	352.38
Target:	TGF-β Receptor
Pathway:	TGF-beta/Smad
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 58.6 mg/mL (166.30 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.8378 mL	14.1892 mL	28.3785 mL
5 mM	0.5676 mL	2.8378 mL	5.6757 mL
10 mM	0.2838 mL	1.4189 mL	2.8378 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.09 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.09 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.09 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	K02288 is a potent bone morphogenetic protein (BMP) type I receptor inhibitor with IC_{50}s of 1.8, 1.1, 6.4 nM for ALK1, ALK2 and ALK6, respectively. K02288 shows slightly weaker inhibition against ALK3 and ALK6 with IC_{50}s of of 5-34 nM.
IC_{50} & Target	IC50⊠1.8 nM (ALK1), 1.1 nM (ALK2), 34.4 nM (ALK3), 6.3 nM (ALK6), 302 nM (ALK4), 321 nM (ALK5)[1]
In Vitro	K02288 reduces a robust phosphorylation of Smad1/5/8 induced by BMP4 stimulation, with an apparent IC_{50} of 100 nM.

	K02288 causes near complete inhibition of Smad2 phosphorylation at 0.5 μM[1]. K02288 binds to ALK1 in an ATP-mimetic fashion with two hydrogen bonds to the kinase hinge. K02288 also inhibits BMP9-ALK1 signalling, and induces a hypersprouting phenotype in HUVECs[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	K02288 (1 μM) induces dysfunctional angiogenesis in a chick embryo CAM model[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Exp Mol Med. 2022 Oct 12.
- Bioorg Med Chem Lett. 2020 Dec 1;30(23):127608.

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REFERENCES

[1]. Sanvitale CE, et al. A new class of small molecule inhibitor of BMP signaling. PLoS One. 2013 Apr 30;8(4):e62721.

[2]. Kerr G, et al. A small molecule targeting ALK1 prevents Notch cooperativity and inhibits functional angiogenesis. Angiogenesis. 2015 Apr;18(2):209-17.

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