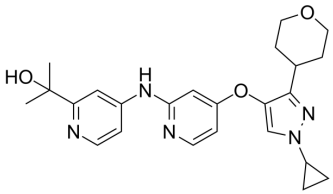
LY3200882

Cat. No.:	HY-103021
CAS No.:	1898283-02-7
Molecular Formula:	$C_{24}H_{29}N_5O_3$
Molecular Weight:	435.52
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 : 33.33 mg/mL (76.53 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2961 mL	11.4805 mL	22.9611 mL
	5 mM	0.4592 mL	2.2961 mL	4.5922 mL
	10 mM	0.2296 mL	1.1481 mL	2.2961 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 (5.74 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.74 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.74 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LY3200882 is a potent, highly selective, ATP-competitive and orally active TGF-β receptor type 1 (ALK5) inhibitor with an IC_{50} of 38.2 nM. LY3200882 inhibits various pro-tumorigenic activities and is also used as an immune modulatory agent[1][2].

IC_{50} & Target

IC50: 38.2 nM (TGF-β receptor type 1 (ALK5))[2]

In Vitro

LY3200882 potently inhibits TGFβ mediated SMAD phosphorylation in vitro in tumor and immune cells in a dose dependent fashion[1].

LY3200882 shows potent anti-tumor activity in the orthotopic 4T1-LP model of triple negative breast cancer and this activity correlated with enhanced tumor infiltrating lymphocytes in the tumor microenvironment[1].
In in vitro immune suppression assays, LY3200882 has shown the ability to rescue TGFβ1 suppressed or T regulatory cell suppressed naive T cell activity and restore proliferation[1].
LY3200882 inhibits NIH_3T_3 cell viability with an IC_{50} of 82.9 nM[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

LY3200882 (60 mg/kg; oral gavage; twice a day; for 21 days; BALB/C female mice) treatment significantly delays tumor growth in CT26 model[2].
LY3200882 potently inhibits TGFβ mediated SMAD phosphorylation in vivo in subcutaneous tumors in a dose dependent fashion[1].
LY3200882 has shown anti-metastatic activity in vivo in an experimental metastasis tumor model (intravenous EMT6-LM2 model of triple negative breast cancer)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	BALB/C female mice (5-8-week-old) injected with CT26 cells[2]
Dosage:	60 mg/kg
Administration:	Oral gavage; twice a day; for 21 days
Result:	A statistically significant tumor growth delay in CT26 model was observed.

CUSTOMER VALIDATION

- Biomaterials. 2022 May;284:121518.
- Sci Adv. 2022 Nov 25;8(47):eabo4116.
- Mar Drugs. 2021, 19(10), 529.
- FASEB J. 2020 Aug;34(8):11168-11184.
- Cytokine. 2023 Feb 2;164:156139.

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REFERENCES

[1]. Huaxing Pei, et al. Abstract 955: LY3200882, a novel, highly selective TGFβRI small molecule inhibitor. AACR; Cancer Res 2017;77(13 Suppl):Abstract nr 955.

[2]. Xu G, et al. Synthesis and biological evaluation of 4-(pyridin-4-oxy)-3-(3,3-difluorocyclobutyl)-pyrazole derivatives as novel potent transforming growth factor-β type 1 receptor inhibitors. Eur J Med Chem. 2020 Apr 29;198:112354.

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