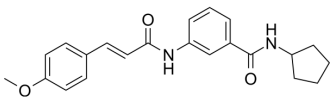
TGFβ1-IN-1

Cat. No.:	HY-151427
CAS No.:	2348795-14-0
Molecular Formula:	$C_{22}H_{24}N_2O_3$
Molecular Weight:	364.44
Target:	TGF-β Receptor
Pathway:	TGF-beta/Smad
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (274.39 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7439 mL	13.7197 mL	27.4394 mL
	5 mM	0.5488 mL	2.7439 mL	5.4879 mL
	10 mM	0.2744 mL	1.3720 mL	2.7439 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.86 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TGFβ1-IN-1 (compound 42) is a potent, orally active TGF-β1 inhibitor. TGFβ1-IN-1 inhibits the upregulation of TGF-β1-induced fibrosis markers (α-SMA and fibronectin) and can be used in liver fibrosis disease studies[1].

In Vitro

TGFβ1-IN-1 (compound 42) (0-20 μM, 72 h) inhibits the proliferation of TGF-β1 (5 ng/mL)-treated LX- 2 cells and inhibits LO2 cell viability with an IC_{50} of 105 μM[1].

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

Cell Viability Assay[1]

Cell Line:	HSCs (LX-2) cells
Concentration:	20 μM
Incubation Time:	24 or 72 hours

Result:	Showed the survival rate of 77.5% and the inhibition rate of 30.3% for LX-2 cells. Significantly inhibited fibronectin and α-SMA protein expression.

In Vivo

TGFβ1-IN-1 (compound 42) (p.o., 15 or 30 mg/kg, daily, 3weeks) prevents CCl_4-induced liver injury and fibrosis, inhibits the activation of hepatic stellate cell (HSC) and epithelial-mesenchymal transition (EMT), and improves the immune microenvironment of CCl_4-induced liver fibrosis as well as CCl_4-induced systemic toxicity in C57BL/6J mice[1].

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

Animal Model:	Liver fibrosis C57BL/6J mice induced by CCl_4[1]
Dosage:	15 or 30 mg/kg
Administration:	Oral administration; daily; 3 weeks
Result:	Significantly prevented CCl_4-induced liver injury and reduced liver weight factor, serum ALT, AST, CHO and TG levels. Significantly improved structural damage and inflammatory cell infiltration in the liver, and reduced collagen deposition in liver tissue. Reduced accumulation of CCl_4-induced immune cells, such as hepatic macrophages ($F4/80^+$ $CD11b^+$), Th1 cells ($CD69^+$ $CD4^+$), and Th2 cells ($CD69^+$ $CD8^+$) so on.

REFERENCES

[1]. Lin Yue, et al. Discovery and evaluation of phenacrylanilide derivatives as novel potential anti-liver fibrosis agents. Eur J Med Chem. 2022 Nov 15;242:114685.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA