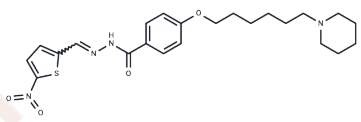
Data Sheet (Cat.No.T75004)

TargetMol

TGFβ1-IN-2

Chemical Properties



CAS No. : 2700263-58-5

Formula: C23H30N4O4S

Molecular Weight: 458.57

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	TGFβ1-IN-2, a derivative of diarylacylhydrazones, effectively inhibits fibroblast activation and proliferation and holds potential for idiopathic pulmonary fibrosis (IPF) research [1].
Targets(IC50)	Others,TGF-beta/Smad
In vitro	TGFβ1-IN-2 (compound 52) inhibits NIH-3T3 cells with an IC50 of 1.36 μM [1], and at 6 μM over 24 hours, it suppresses TGF-β1-induced overactivity in NIH-3T3 and A549 cells while inhibiting migration and EMT in A549 cells [1]. Additionally, it binds STAT3 by interacting with Ile659 and forming intermolecular forces with Ser636, Arg609, and Pro639 via its piperidine hydrophilic group [1].
In vivo	TGFβ1-IN-2 (compound 52), administered orally at 30-60 mg/kg once daily for 22 days, improves pulmonary function and slows the progression of Idiopathic Pulmonary Fibrosis (IPF) in mice and may reverse established pulmonary fibrosis [1]. In rats, its pharmacokinetic profile includes a maximum plasma concentration (C max) of 470.58 ±60.67 ng/mL intravenously (2 mg/kg) and 351.01±85.44 ng/mL orally (20 mg/kg), with T max of 0.08 hours and 2.17 hours respectively. The area under the curve (AUC 0-∞) was 370.81±76.46 h·ng/mL intravenously and 1503.71±319.62 h·ng/mL orally. Clearance rate (CL) was 5565.86±1257.13 mL/h/kg intravenously, and the half-life (T 1/2) was 0.93±0.43 hours intravenously and 1.23±0.15 hours orally, with an oral bioavailability (F) of 42.08±8.93% [1].

Solubility Information

Solubility	DMSO: 10 mg/mL (21.81 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1807 mL	10.9035 mL	21.8069 mL
5 mM	0.4361 mL	2.1807 mL	4.3614 mL
10 mM	0.2181 mL	1.0903 mL	2.1807 mL
50 mM	0.0436 mL	0.2181 mL	0.4361 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Xingping Su, et al. Design, synthesis and biological evaluation of novel diarylacylhydrazones derivatives for the efficient treatment of idiopathic pulmonary fibrosis. Eur J Med Chem. 2023 Jan 5;245(Pt 2):114918.