TGFβ1-IN-2

Cat. No.: HY-151954

CAS No.: 2883813-66-7

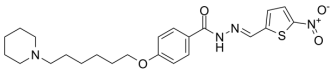
Molecular Formula: $C_{23}H_{30}N_4O_4S$

Molecular Weight: 458.57

Target: TGF-β Receptor

Pathway: TGF-beta/Smad

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

TGFβ1-IN-2 is a diarylacylhydrazones derivative that effectively suppresses the activation and proliferation of fibroblasts. TGFβ1-IN-2 can be used for idiopathic pulmonary fibrosis (IPF) research[1].

In Vitro

TGFβ1-IN-2 (compound 52) shows inhibitory effect against NIH-3T3 cells with an IC_{50} of 1.36 μM[1].
TGFβ1-IN-2 (compound 52; 6 μM; for 24 h) inhibits TGF-β1-induced abnormal activation of NIH-3T3 and A549 cells, as well as migration and epithelial-mesenchymal transition (EMT) of A549 cells[1].
TGFβ1-IN-2 (compound 52) could bind to STAT3, and able to interact with Ile659, and the hydrophilic group piperidine formed intermolecular forces with Ser636, Arg609, and Pro639[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	NIH-3T3 or A549 cells
Concentration:	6 μM
Incubation Time:	24 h
Result:	Were able to inhibit TGF-β1-induced activation of fibroblasts in vitro.

In Vivo

TGFβ1-IN-2 (compound 52; 30-60 mg/kg; p.o; once daily; for 22 days) improves mouse lung function and slowsthe progression of IPF. TGFβ1-IN-2 could reverse the pulmonary fibrosis in treatment model[1].
Pharmacokinetic parameters of TGFβ1-IN-2 (compound 52) in rats[1].

PK parameter	TGFβ1-IN-2 (Compound 52)	
	i.v.	p.o.
Dose (mg/kg)	2	20
C_{max} (ng/mL)	470.58±60.67	351.01±85.44

T_{max} (h)	0.08	2.17
$AUC_{0-\infty}$ (h·ng/mL)	370.81±76.46	1503.71±319.62
CL (mL/h/kg)	5565.86±1257.13	-
$T_{1/2}$ (h)	0.93±0.43	1.23±0.15
F (%)	-	42.08±8.93

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

Animal Model:	C57BL/6 mice (6-10 weeks) injected with Bleomycin for establishing pulmonary fibrosis model[1].
Dosage:	30 mg/kg, 60 mg/kg
Administration:	Orally administration; once daily; for 22 days
Result:	Could reverse the pulmonary fibrosis in treatment model.

REFERENCES

[1]. 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.

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