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TGF-β1/Smad3-IN-1

Cat. No.: HY-163536

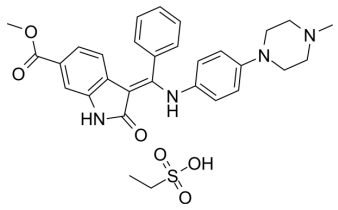
Molecular Formula: $C_{30}H_{34}N_4O_6S$

Molecular Weight: 578.68

Target: TGF-beta/Smad

Pathway: Stem Cell/Wnt; TGF-beta/Smad

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



BIOLOGICAL ACTIVITY

Description

TGF-β1/Smad3-IN-1 (Compound 5aa) is an inhibitor of the TGF-β1/Smad3 signaling pathway(IC_{50}=1.07 μM). TGF-β1/Smad3-IN-1 possesses antifibrotic activity and oral potency[1].

In Vitro

TGF-β1/Smad3-IN-1 (100-500 nM; 48 h) leads to a decrease in TGF-β1 levels in H2228 cells, which is better inhibited than Nintedanib (HY-50904) at the same concentration[1].

TGF-β1/Smad3-IN-1 (2-6 μM; 24 h) shows dose-dependent inhibition of p-Smad3 and α-SMA expression and significantly inhibits NIH3T3 cell migration[1].

TGF-β1/Smad3-IN-1 (3-10 μM; 72 h) increases Cleave-casepase3 expression in NIH3T3 cells and dose-dependently induces apoptosis[1].

TGF-β1/Smad3-IN-1 has an IC_{50} of 1.07 μM for NIH3T3 cells. IC_{50} for TGFβ1-activated HFL1 cells is 2.86 μM. TGF-β1/Smad3-IN-1 is found to be effective in inhibiting the expression of α-SMA[1].

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

Apoptosis Analysis[1]

Cell Line:	NIH3T3
Concentration:	3, 7.5, 10 μM
Incubation Time:	72 h
Result:	At the highest concentration of 10 μM, the total apoptosis rate of cells reached 91.79%, indicating that 5aa has a strong ability to induce apoptosis.

In Vivo

TGF-β1/Smad3-IN-1 is more bioavailable than Nintedanib in SD rats[1].

TGF-β1/Smad3-IN-1 (p.o.; 100 mg/kg/; day 2-20) inhibits bleomycin-induced pulmonary TGFβ1 and HYP expression, reduces extracellular mesenchymal deposition, and attenuates pulmonary fibrosis in bleomycin-induced model of pulmonary fibrosis in mice[1].

Pharmacokinetic Analysis in SD rats[1]

Route	Dose (mg/kg)	T_{max} (h)	$t_{1/2}$ (h)	$V_{z\_F\_obs}$ (L/kg)	$MRT_{0\sim t}$ (h)	$AUC_{0\sim t\text{-}Dobs}$	F (%)

						(h·ng/mL/mg)	
p.o.	10	3.01 ± 1.24	3.85 ± 0.31	/	5.117 ± 1.23	203.540 ± 4.7	15.96 ± 4.67
i.v.	1	0.029 ± 0.001	2.577 ± 0.33	19.636 ± 1.48	/	127.471 ± 25.41	/

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

Animal Model:	Bleomycin-induced model of pulmonary fibrosis in mice[1]
Dosage:	100 mg/kg/
Administration:	p.o.; day 2-20
Result:	Significantly reduced α-SMA, fibronection and p-smad3 protein expression levels. Significantly reduced TGFβ1 levels, more effective than Nintedanib. Reduced hydroxyproline (HYP) levels.

REFERENCES

[1]. An B, et al. Inhibition of TGF-β1/Smad3 signaling by compound 5aa: A potential treatment for idiopathic pulmonary fibrosis. Bioorg Chem. 2024 Apr 16;147:107374.

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