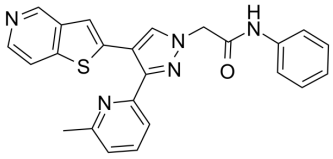
J-1063

Cat. No.:	HY-145855
CAS No.:	2374772-46-8
Molecular Formula:	$C_{24}H_{19}N_5OS$
Molecular Weight:	425.51
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

J-1063 is a potent, selective and orally active ALK5 inhibitor with an IC_{50} of 0.039 μM. J-1063 shows anti-fibrotic effect by the inhibition of inflammatory infiltration, collagen deposition, and hepatocytes necrosis. J-1063 has the potential for the research of liver fibrosis[1].

IC_{50} & Target

ALK5

In Vitro

J-1063 (compound 4) (10 mM) shows significant ALK5 inhibitory activity (IC_{50}s of 8.12 and 0.039 μM for p38α MAP kinase and ALK5, respectively)[1].

J-1063 (2.5, 5, 10 μM; 1 h) improved fibroblast differentiation induced by TGF-β in LX-2 cells[1].

J-1063 (2.5, 5, 10 μM; 1 h) inhibits the inflammatory response induced by TGF-β[1].

J-1063 inhibits liver fibrosis by regulating TGF-β/Smad signaling and inhibits the activation of NLPR3-Caspase-1 inflammasome[1].

J-1063 (12.5 mg/kg; Cxcl1, Cxcl2 cells) inhibits the infiltration of macrophages and neutrophils during liver fibrosis[1].

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

Western Blot Analysis[1]

Cell Line:	LX-2 cells
Concentration:	2.5, 5, 10 μM
Incubation Time:	1 h
Result:	Inhibited the inflammatory response induced by TGF-β.

In Vivo

J-1063 (12.5, 25, 50 mg/kg; i.g., one time per day for two weeks) shows no toxic side effects on mice at low dose and is suitable for therapeutic administration[1].

J-1063 (12.5 mg/kg; p.o., daily for two consecutive weeks) shows benefit for TAA-induced liver fibrosis in mice[1].

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

Animal Model:	Male C57BL/6 mice[1]
Dosage:	12.5, 25, 50 mg/kg

Administration:	i.g., one time per day, two weeks
Result:	Showed no toxic side effects on mice at low dose and was suitable for therapeutic administration.

Animal Model:	Male C57BL/6 mice[1]
Dosage:	12.5 mg/kg
Administration:	p.o., daily for two consecutive weeks
Result:	Showed benefit for TAA-induced liver fibrosis in mice.

REFERENCES

[1]. Zheng GH, et al. The in vitro and in vivo study of a pyrazole derivative, J-1063, as a novel anti-liver fibrosis agent: Synthesis, biological evaluation, and mechanistic analysis. Bioorg Chem. 2022; 122:105715.

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