J-1149

Cat. No.: HY-163429

CAS No.: 2883580-95-6

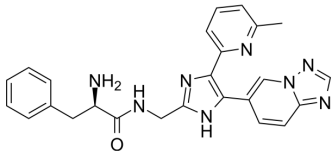
Molecular Formula: $C_{25}H_{24}N_8O$

Molecular Weight: 452.51

Target: TGF-β Receptor; p38 MAPK

Pathway: TGF-beta/Smad; MAPK/ERK Pathway

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



BIOLOGICAL ACTIVITY

Description

J-1149 is a potent ALK5 inhibitor, with an IC_{50} value of 0.017 μM. J-1149 also shows weak p38α MAP kinase inhibitory activity, with an IC_{50} value of 0.435 μM. J-1149 can be used for liver fibrosis research[1].

IC_{50} & Target

ALK5	p38α MAPK
0.017 μM (IC_{50})	

In Vitro

J-1149 (compound 15g) (5-40 μM, 24 h) efficiently inhibits extracellular matrix (ECM) deposition in TGF-β-induced hepatic stellate cells (HSCs), and eventually suppresses HSC activation[1].

J-1149 (20 μM, 24 h) inhibits the expression of α-SMA and collagen I stimulated by TGF-β[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:	20 μM
Incubation Time:	1 h prior to TGF-β stimulation for continuous 24 h
Result:	Inhibited the expression of α-SMA and collagen I stimulated by TGF-β.

In Vivo

J-1149 (compound 15g) shows a good pharmacokinetic (PK) profile with a favorable half-life ($t_{1/2}$ = 9.14 h)[1].

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

Animal Model:	Sprague Dawley (SD) rats[1]
Dosage:	4 mg/kg (IV), 15 mg/kg (PO)
Administration:	IV or PO, once (Pharmacokinetic Analysis)
Result:	Pharmacokinetic Parameters of J-1149 in male Sprague-Dawley rats[1].

	IV (4 mg/kg)	PO (15 mg/kg)

T_{max} (h)	0.00 ± 0.00	0.11 ± 0.13
C_{max} (ng/mL)	43,144 ± 35,001	1386 ± 882
AUC_{0-t} (ng/mL*h)	12,529 ± 978	1217 ± 20
$AUC_{0-\infty}$ (ng/mL*h)	12,802 ± 1160	2667 ± 1136
$t_{1/2}$ (h)	2.24 ± 1.05	9.14 ± 5.13
CL (mL/h/kg)	314 ± 28	6564 ± 3372
F (%)		2.59%

REFERENCES

[1]. Meng YQ, et al. Synthesis and anti-liver fibrosis activity of imidazole and thiazole compounds containing amino acids. Eur J Med Chem. 2024 Mar 13;269:116311.

Caution: Product has not been fully validated for medical applications. For research use only.

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