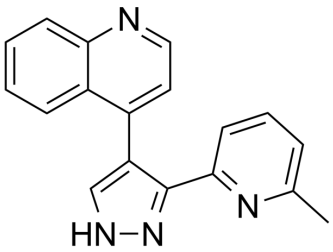
A 77-01

Cat. No.:	HY-78349
CAS No.:	607737-87-1
Molecular Formula:	$C_{18}H_{14}N_4$
Molecular Weight:	286.33
Target:	TGF-β Receptor
Pathway:	TGF-beta/Smad
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (87.31 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.4925 mL	17.4624 mL	34.9247 mL
	5 mM	0.6985 mL	3.4925 mL	6.9849 mL
	10 mM	0.3492 mL	1.7462 mL	3.4925 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (8.73 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.73 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.73 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

A 77-01 is a potent inhibitor of transforming growth factor (TGF)-β type I receptor superfamily activin-like kinase ALK5 with an IC_{50} of 25 nM[1].

IC_{50} & Target

IC50: 25 nM (ALK5)[1]

In Vitro

A-77-01 (0.01-10 μM; 25 hours; Mv1Lu cells) treatment effectively inhibits TGF-β-induced transcriptional activation in concentration-dependent fashion[1].

A-77-01 (1 μM; 24-72 hours; Mv1Lu cells) treatment efficiently prevents the growth-inhibitory effects of TGF-β[1].
A-77-01 (1 μM; 30 minutes; HaCaT cells) treatment inhibits TGF-β-induced phosphorylation of Smad2[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

RT-PCR[1]

Cell Line:	Mv1Lu cells
Concentration:	0.01 μM, 0.03 μM, 0.1 μM, 0.3 μM, 1 μM, 3 μM, 10 μM
Incubation Time:	25 hours
Result:	Inhibited the luciferase activity induced by TGF-β in concentration-dependent fashion.

Cell Viability Assay[1]

Cell Line:	Mv1Lu cells
Concentration:	1 μM
Incubation Time:	24 hours, 48 hours, 72 hours
Result:	Efficiently prevented the growth-inhibitory effects of TGF-β.

Western Blot Analysis[1]

Cell Line:	HaCaT cells
Concentration:	1 μM
Incubation Time:	30 minutes
Result:	Inhibited TGF-β-induced phosphorylation of Smad2.

CUSTOMER VALIDATION

- Mol Med Rep. 2018 May;17(5):6301-6310.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Tojo M, et al. The ALK-5 inhibitor A-83-01 inhibits Smad signaling and epithelial-to-mesenchymal transition by transforming growth factor-beta. Cancer Sci. 2005 Nov;96(11):791-800.

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