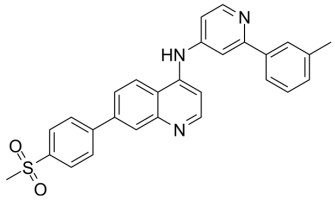
TGFβRI-IN-3

Cat. No.:	HY-132290
CAS No.:	2763602-67-9
Molecular Formula:	$C_{28}H_{23}N_3O_2S$
Molecular Weight:	465.57
Target:	TGF-β Receptor
Pathway:	TGF-beta/Smad
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (107.40 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1479 mL	10.7395 mL	21.4790 mL
	5 mM	0.4296 mL	2.1479 mL	4.2958 mL
	10 mM	0.2148 mL	1.0740 mL	2.1479 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.37 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.47 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TGFβRI-IN-3 inhibits TGFβR1 at an IC_{50} of 0.79 nM with 2000-fold selectivity against MAP4K4. TGFβRI-IN-3 represents a highly selective TGFβR1 inhibitor that has potential applications in immuno-oncology[1].

IC_{50} & Target

IC50: 0.79 nM (TGFβR1)[1]

In Vitro

TGFβRI-IN-3 inhibits TGFβR1 at an IC_{50} of 0.79 nM with 2000-fold selectivity against MAP4K4[1].

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

REFERENCES

[1]. Kharbanda A, et al. Discovery of 4-aminoquinolines as highly selective TGFβR1 inhibitors with an attenuated MAP4K4 profile for potential applications in immuno-oncology [published online ahead of print, 2021 Aug 12]. Eur J Med Chem. 2021;225:113763.

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