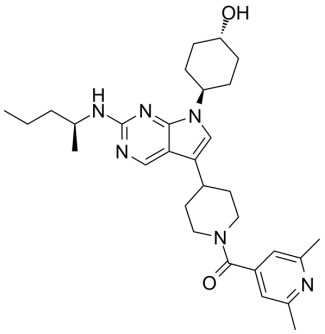
UNC5293

Cat. No.:	HY-132200
CAS No.:	2226789-82-6
Molecular Formula:	$C_{30}H_{42}N_6O_2$
Molecular Weight:	518.69
Target:	TAM Receptor; Anaplastic lymphoma kinase (ALK); FLT3
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (192.79 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9279 mL	9.6397 mL	19.2793 mL
	5 mM	0.3856 mL	1.9279 mL	3.8559 mL
	10 mM	0.1928 mL	0.9640 mL	1.9279 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 (4.82 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.82 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.82 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

UNC5293 is a MERTK-selective and potent inhibitor (K_i=190 pM). UNC5293 inhibits MERTK (IC_{50}=0.9 nM) and is more selective over Axl, Tyro3 and Flt3. UNC5293 exhibits excellent mouse PK properties and is used for bone marrow leukemia research[1].

IC_{50} & Target

Ki: 190 pM (MERTK)
IC50: 0.9 nM (MERTK)[1]

In Vitro

UNC5293 provides selective target inhibition in cell-based assays. In cultures of the human B-cell acute lymphoblastic leukemia (B-ALL) cell line, UNC5293 inhibits phosphorylation of MERTK with an IC_{50} of 9.4 nM. In the SEM B-ALL cell line, UNC5293 is less potent against FLT3, with an IC_{50} of 170 nM[1].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	UNC5293 (oral administration; 120 mg/kg; single dose) effectively inhibit MERTK in vivo in orthotopic 697 B-ALL mice xenografts[1]. UNC5293 (oral gavage; 3 mg/kg; single dose) has excellent mouse PK properties (7.8 h half-life and 58% oral bioavailability), and the C_{max} and AUC_{last} are 9.2 μM and 2.5 h*μM, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Hongchao Zheng, et al. UNC5293, a potent, orally available and highly MERTK-selective inhibitor. Eur J Med Chem. 2021 May 17;220:113534.

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