HS271

Cat. No.: HY-131903

CAS No.: 2410393-15-4

Molecular Formula: $C_{21}H_{24}F_3N_5O_2$

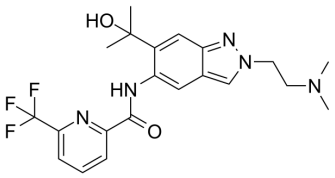
Molecular Weight: 435.44

Target: IRAK

Pathway: Immunology/Inflammation

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 : 100 mg/mL (229.65 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2965 mL	11.4826 mL	22.9653 mL
5 mM	0.4593 mL	2.2965 mL	4.5931 mL
10 mM	0.2297 mL	1.1483 mL	2.2965 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 (5.74 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.74 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.74 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

HS271 is a highly potent, orally active and selective IRAK4 inhibitor, with an IC_{50} of 7.2 μM. HS271 exhibits superior enzymatic and cellular activities, as well as excellent pharmacokinetic properties[1].

IC_{50} & Target

IRAK4
7.2 μM (IC_{50})

In Vivo

HS271 (15-150 mg/kg) displays robust in vivo antiinflammatory efficacy as evaluated in rat models of LPS induced TNFα

production collageninduced arthritis[1].
HS271 exhibits a $t_{1/2}$ of 3.3 h and C_{max} of 2107 ng/mL[1].
HS271 is stable in liver microsome assays across other species, including rat, mouse, monkey, and human[1].
HS271 exhibits oral bioavailability of 67.3%, 58.2%, 14.4&% and 49% in mouse, rat, dog and monkey, respectively[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	A rat model of collagen induced arthritis (CIA)[1].
Dosage:	15, 50, 150 mg/kg.
Administration:	PO, once daily.
Result:	Led to a significant reduction in paw swelling as compared to vehicle control, with a minimum effective dose at 15 mg/kg QD. Notably, at 150 mg/kg QD, HS271 eliminated the paw swelling.

REFERENCES

[1]. Wenqiang Zhai, et al. Discovery and optimization of a potent and selective indazolamine series of IRAK4 inhibitors. Bioorg Med Chem Lett. 2020 Nov 24;31:127686.

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