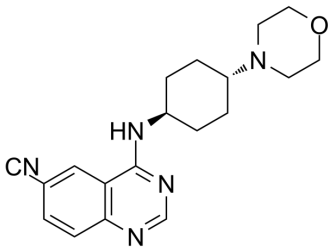
IRAK4-IN-1

Cat. No.:	HY-101922
CAS No.:	1820787-94-7
Molecular Formula:	$C_{19}H_{23}N_5O$
Molecular Weight:	337.42
Target:	IRAK
Pathway:	Immunology/Inflammation
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 : 14.29 mg/mL (42.35 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9637 mL	14.8183 mL	29.6367 mL
	5 mM	0.5927 mL	2.9637 mL	5.9273 mL
	10 mM	0.2964 mL	1.4818 mL	2.9637 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: ≥ 1.43 mg/mL (4.24 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

IRAK4-IN-1 is an interleukin-1 receptor associated kinase 4 (IRAK4) inhibitor with an IC_{50} of 7 nM.

IC_{50} & Target

IC50: 7 nM (IRAK4)[1]

In Vitro

The in vitro metabolic stability profiles of IRAK4-IN-1 (Compound 23) is measured, with EC_{50} of 2300 nM for the rat whole blood (RWB) [1].

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

In Vivo

Oral pharmacokinetic studies of IRAK4-IN-1 (Compound 23) show it to have high bioavailability of 73% and low plasma clearance(Clp=22 mL/min/kg) leading to a reasonable half-life of 1.3 h[1].

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PROTOCOL

Animal Administration [1]

Rats[1]

In the TLR driven in vivo model, female Lewis rats are dosed with either vehicle or IRAK4-IN-1 (Compound 23; 3, 10, 30, and 100 mg/kg; p.o.) dosed at 1 h prior to stimulation with Resiquimod, R848 (5 mg/kg, IP). At 1.5 h post R848 stimulation, blood samples are obtained from the animals and cytokine levels are measured.

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

REFERENCES

[1]. Smith GF, et al. Identification of quinazoline based inhibitors of IRAK4 for the treatment of inflammation. Bioorg Med Chem Lett. 2017 Jun 15;27(12):2721-2726.

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