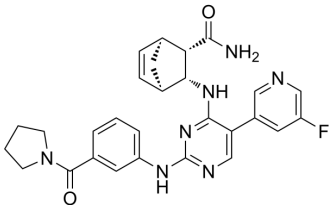
IRAK4-IN-21

Cat. No.:	HY-151363
CAS No.:	2170694-04-7
Molecular Formula:	$C_{28}H_{28}FN_7O_2$
Molecular Weight:	513.57
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 (194.72 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9472 mL	9.7358 mL	19.4715 mL
	5 mM	0.3894 mL	1.9472 mL	3.8943 mL
	10 mM	0.1947 mL	0.9736 mL	1.9472 mL

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

BIOLOGICAL ACTIVITY

Description

IRAK4-IN-21 (compound 17) is an orally active, potent and selective IRAK4 inhibitor with IC_{50} values of 5 and 56 nM for IRAK4 and TAK1, respectively. IRAK4-IN-21 effectively inhibits IL-23 production (IC_{50}=0.17 μM) and can be used in studies of autoimmune diseases such as plaque psoriasis and psoriatic arthritis[1].

In Vitro

IRAK4-IN-21 (a 4-fold serial dilution from 10 μM; 1 h) decreases the levels of IL-23 (in THP-1 and DC cells), IL-6 (in HUVEC cells) and MIP-1β (in human whole blood)[1].

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

Cell Viability Assay[1]

Cell Line:	THP-1, DC cells (are primed with IFN-γ)
Concentration:	10 μM (a 4-fold serial dilution from 10 μM)
Incubation Time:	1 h (pre-incubate)
Result:	Inhibited the levels of IL-23 in the supernatant of THP-1 and DC cells with IC_{50} of 0.17 and

	0.51 μM, respectively.
Cell Viability Assay[1]	
Cell Line:	HUVEC cells (IL-1β-stimulated)
Concentration:	10 μM (a 4-fold serial dilution from 10 μM)
Incubation Time:	1 h (pre-incubate)
Result:	Inhibited the level of IL-6 in the supernatant of HUVEC cells with an IC_{50} of 0.20 μM.
Cell Viability Assay[1]	
Cell Line:	Human whole blood (IL-1β-stimulated)
Concentration:	10 μM (a 4-fold serial dilution from 10 μM)
Incubation Time:	1 h (pre-incubate)
Result:	Inhibited the level of MIP-1β in the human whole blood with an IC_{50} of 0.47 μM.

In Vivo

IRAK4-IN-21 (75 mg/kg; p.o.; single) shows moderate efficacy in acute animal model studies for the inhibition of IL-6 production[1].

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

Animal Model:	BALB/c mice (acute mouse model; IL-1β-stimulated)[1].
Dosage:	75 mg/kg
Administration:	Oral administration; single (pre-treat).
Result:	Showed 54% inhibition of IL-6, plasma concentrations was 2877 ng/mL at 0.5 h and 496 ng/mL at 2 h.

REFERENCES

[1]. Chen Y, et al. Discovery of 5-Aryl-2,4-diaminopyrimidine Compounds as Potent and Selective IRAK4 Inhibitors. ACS Med Chem Lett. 2022 Apr 4;13(4):714-719.

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