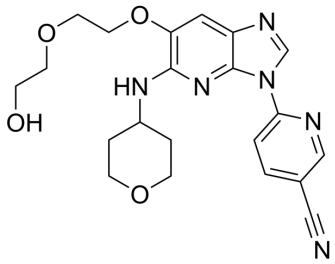
GLPG2534

Cat. No.:	HY-153224
CAS No.:	2095615-97-5
Molecular Formula:	$C_{21}H_{24}N_6O_4$
Molecular Weight:	424.45
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 (235.60 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3560 mL	11.7800 mL	23.5599 mL
	5 mM	0.4712 mL	2.3560 mL	4.7120 mL
	10 mM	0.2356 mL	1.1780 mL	2.3560 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 (5.89 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (5.89 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

GLPG2534 is an orally active and selective IRAK4 inhibitor, with IC_{50} values of 6.4 nM and 3.5 nM for human and mouse IRAK4. GLPG2534 can be used for the research of inflammatory skin diseases[1].

IC_{50} & Target

hIRAK4	mIRAK4	IRAK1
6.4 nM (IC_{50})	3.5 nM (IC_{50})	179 nM (IC_{50})

In Vitro

GLPG2534 (2 h) inhibits IRAK4 with IC_{50} values of 6.4 nM and 3.5 nM for human and mouse IRAK4[1].
GLPG2534 inhibits IL-1β–driven IL-6 release, with an IC_{50} of 55 nM[1].
GLPG2534 inhibits TNF-α–driven IL-6 release with an IC_{50} of 6.6 μM[1].
GLPG2534 (0.1-10 μM, 16 h) inhibits expression of S100A7, DEFB4A, CXCL8, TNF in Flagellin-stimulated keratinocytes[1].

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

In Vivo

GLPG2534 (0.3-10 mg/kg, p.o.) Inhibits CL097-driven release of TNF-α in blood of mice[1].
GLPG2534 (10 and 30 mg/kg, p.o., b.i.d. 5 days) attenuates inflammation in psoriasis-like mouse models[1].
GLPG2534 (3-30 mg/kg, p.o., b.i.d. 5 days) attenuates the development of IL-33- and MC903-induced AD-like skin inflammation in mice[1].

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

Animal Model:	Psoriasis-like skin inflammation model, induced by IL-23 and IMQ[1]
Dosage:	10 and 30 mg/kg
Administration:	p.o., b.i.d. 5 days
Result:	Reduced IL-23-induced expression of pathogenic cytokines such as Il17a (79%), Il22 (49%), Il1b (97%), and defensin Lcn2 (69%).

REFERENCES

[1]. Lavazais S, et al. IRAK4 inhibition dampens pathogenic processes driving inflammatory skin diseases. Sci Transl Med. 2023 Feb 15;15(683):eabj3289.

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