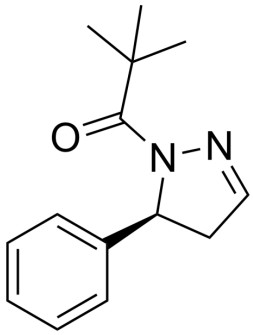
GSK963

Cat. No.:	HY-103028A
CAS No.:	2049868-46-2
Molecular Formula:	$C_{14}H_{18}N_2O$
Molecular Weight:	230.31
Target:	RIP kinase
Pathway:	Apoptosis
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 : 25 mg/mL (108.55 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.3420 mL	21.7099 mL	43.4197 mL
5 mM	0.8684 mL	4.3420 mL	8.6839 mL
10 mM	0.4342 mL	2.1710 mL	4.3420 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 (10.85 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (10.85 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GSK963 is a chiral, highly potent and selective inhibitor of RIP1 kinase, with an IC_{50} of 29 nM. GSK963 is a selective and potent inhibitor of necroptosis in murine and human cells in vitro[1].

IC_{50} & Target

IC50: 29 nM (RIP1 in FP binding assay)[1].

In Vitro

GSK963 is >10 000-fold selective for RIP1 over 339 other kinases, lacks measurable activity against IDO and has an inactive enantiomer, GSK962, which can be used to confirm on-target effects[1].

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

In Vivo

GSK963 (2 mg/kg) results in a complete protection from TNF+zVAD-induced temperature loss. GSK963 (0.2 mg/kg) also

shows a significant response[1].

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

Animal Model:	C57BL/6 mice[1].
Dosage:	0.2 mg/kg, 2 mg/kg, 10 mg/kg.
Administration:	IP once.
Result:	Protected mice from TNF+zVAD-induced hypothermia.

CUSTOMER VALIDATION

- Pharmacol Rep. 2023 Jan 31.

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REFERENCES

[1]. Berger SB, et al. Characterization of GSK'963: a structurally distinct, potent and selective inhibitor of RIP1 kinase. Cell Death Discov. 2015 Jul 27;1:15009.

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