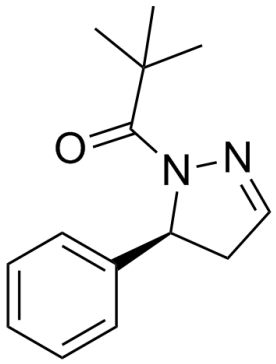
GSK963

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 6 months -20°C 1 month



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.

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.

Caution: Product has not been fully validated for medical applications. For research use only.

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