

GSK963

Chemical Properties

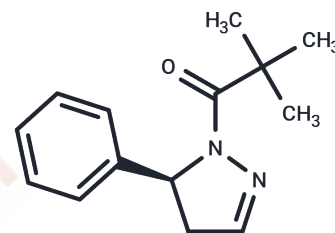
CAS No. : 2049868-46-2

Formula: C₁₄H₁₈N₂O

Molecular Weight: 230.31

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	GSK963 (Racemate), a structurally distinct, potent and selective inhibitor of RIP1 kinase (IC ₅₀ =29 nM).
Targets(IC ₅₀)	RIP kinase
In vitro	The increased potency of GSK 963 relative to Nec-1 in vitro also translated into increased efficacy in the TNF+zVAD-induced model of sterile shock. At a dose of 2 mg/kg, GSK 963 was able to completely inhibit temperature loss in response to TNF+zVAD, the phenotype of the recently described RIP1 kinase-dead knock-in mice. The level of inhibition of temperature loss in this acute model at different doses of GSK 963 was in line with the predictions of efficacy based on modeling of the pharmacokinetic profile and in vitro potency of GSK 963.
In vivo	GSK 963 efficiently blocked necroptosis in both murine and human cells with IC ₅₀ values of 1 nM and 4 nM, respectively, whereas the inactive analog GSK 962 was at least 1000-fold less potent in these assays.
Kinase Assay	GSK'963 was tested against 339 kinases using a P33-radiolabeled assay at Reaction Corp Biology. The compound was tested at a single dose in duplicate at 10 μM. Reactions were carried out at 10 μM ATP. Data are reported as % enzyme activity (relative to DMSO controls).

Solubility Information

Solubility	DMSO: 40 mg/mL (173.68 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 2 mg/mL (8.68 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.342 mL	21.7099 mL	43.4197 mL
5 mM	0.8684 mL	4.342 mL	8.6839 mL
10 mM	0.4342 mL	2.171 mL	4.342 mL
50 mM	0.0868 mL	0.4342 mL	0.8684 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481