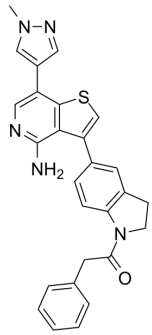
GSK2593074A

Cat. No.:	HY-122909
CAS No.:	1337531-06-2
Molecular Formula:	$C_{27}H_{23}N_5OS$
Molecular Weight:	465.57
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 : 41.67 mg/mL (89.50 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1479 mL	10.7395 mL	21.4790 mL
	5 mM	0.4296 mL	2.1479 mL	4.2958 mL
	10 mM	0.2148 mL	1.0740 mL	2.1479 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.47 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GSK2593074A (GSK'074) is a necroptosis inhibitor with dual targeting ability to both RIP1 and RIP3[1].

IC_{50} & Target

RIP1, RIP3[1]

In Vitro

GSK2593074A (GSK'074; 0.01, 0.1, 1, 10, and 100 nM; 6 hours for MOVAS cells; 3 hours for L929 cells) completely rescues cells from necroptosis under different stimuli in both human and murine cells at IC_{50}~3 nM. In multiple cell types including mouse SMCs, fibroblasts (L929), bone marrow derived macrophages (BMDM), and human colon epithelial cells (HT29), GSK2593074A inhibits necroptosis with an IC_{50} of ~3 nM[1].

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

Cell Viability Assay[1]

Cell Line:	Mouse smooth muscle cell line MOVAS; Mouse fibroblast cell line L929
Concentration:	0.01, 0.1, 1, 10, and 100 nM
Incubation Time:	6 hours for MOVAS cells; 3 hours for L929 cells
Result:	Inhibited MOVAS and L929 cells with the IC_{50} of 3 nM.

In Vivo

GSK2593074A (GSK'074; 0.93 mg/kg/day; i.p. injection; 14 or 28 days) is administrated to $Apoe^{-/-}$ mice immediately following pump implantation. Compared to the DMSO group, GSK2593074A-treated mice show significantly alleviated aneurysm formation, reflected by a much smaller aortic dilatation (DMSO 85.39±15.76% vs GSK2593074A 36.28±5.76%; P<0.05) as well as a reduced abdominal aortic aneurysm (AAA) incidence (from 83.3 to 16.7%). GSK2593074A significantly decreases the extent of aortic expansion (DMSO 66.06±9.17% vs GSK2593074A 27.36±8.25%; P<0.05) [1].

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

Animal Model:	$Apoe^{-/-}$ female mice (9-10 months)[1]
Dosage:	0.93 mg/kg/day; 200 μL
Administration:	Daily i.p. injection; 14 or 28 days
Result:	Inhibited aneurysm formation in mouse models of aneurysms.

CUSTOMER VALIDATION

- Thromb Haemostasis. 2023 Sep 11.

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REFERENCES

[1]. Zhou T, et al. Identification of a novel class of RIP1/RIP3 dual inhibitors that impede cell death and inflammation in mouse abdominal aortic aneurysm models. Cell Death Dis. 2019 Mar 6;10(3):226.

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