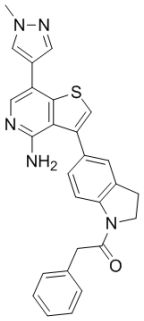
GSK2593074A

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:	Please store the product under the recommended conditions in the COA.



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].

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].

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.

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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA