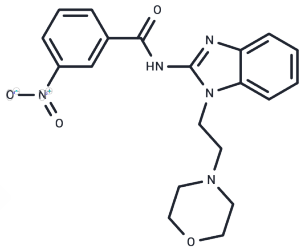
Data Sheet (Cat.No.T2457)

TargetMol

IRAK-1-4 Inhibitor I

Chemical Properties



CAS No. : 509093-47-4

Formula: C20H21N5O4

Molecular Weight: 395.41

Appearance: no data available

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

Biological Description

Description	IRAK-1-4 Inhibitor I is a dual inhibitor targeting IRAK1 and IRAK4.
Targets(IC50)	IRAK
In vitro	IRAK-1-4 Inhibitor I exhibits an IC50 greater than 10 μM against a panel of 27 kinases, including homologous kinases Lck and pp60SRC. It shows no cytotoxicity in a 72-hour proliferation assay in HeLa cells (ED50>30 μM). Significant inhibition of IRAK-1 is achieved with an IC50 of 0.3 μM[1]. IRAK-1/4 Inhibitor eliminates LPS-induced increases in Bcl10, NF-κB, and IL-8, with a 73% reduction in Bcl10 (from 5.18±0.22 to 2.36±0.08 ng/mL) and a 60% reduction in IL-8 (from 2.64±0.31 to 1.14±0.08 ng/mL)[2].
Kinase Assay	Kinase Assays: Cell-free kinase assays are done in quadruplicate with 1 μM ATP to determine the IC50 values of AV-951 against a variety of recombinant receptor and nonreceptor tyrosine kinases including VEGFR1, VEGFR2, VEGFR3, c-Kit, PDGFRβ, Flt-3 and FGFR1.
Cell Research	IRAK-1-4 Inhibitor I is dissolved in DMSO and stored, and then diluted with appropriate media before use[2]. NCM460 cells, grown in 24-well plates, are incubated with 50 μM IRAK-1/4 inhibitor for 2 h. After 2 h, the media are changed, and new media with or without LPS (10 ng/mL) added. Treatment is terminated at 6 h, and spent media and cells are collected for IL-8 and other assays[2].

Solubility Information

Solubility	DMSO: 8.13 mg/mL (20.55 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.529 mL	12.6451 mL	25.2902 mL
5 mM	0.5058 mL	2.529 mL	5.058 mL
10 mM	0.2529 mL	1.2645 mL	2.529 mL
50 mM	0.0506 mL	0.2529 mL	0.5058 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.

Reference

Powers JP, et al. Discovery and initial SAR of inhibitors of interleukin-1 receptor-associated kinase-4. Bioorg Med Chem Lett. 2006 Jun 1;16(11):2842-2845.
Bhattacharyya S, et al. Bcl10 mediates LPS-induced activation of NF-kappaB and IL-8 in human intestinal epithelial cells. Am J Physiol Gastrointest Liver Physiol. 2007 Aug;293(2):G429-37.
Wu X, Xu M, Liu Y, et al. Dual Pharmacological Inhibition of IRAK1 and IRAK4 Prevents LPS Induced Monocyte Adhesion to Endothelial Cells[J]. bioRxiv. 2021