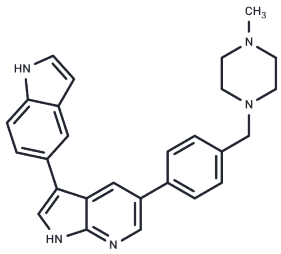
Data Sheet (Cat.No.T6057)

TargetMol

URMC-099

Chemical Properties



CAS No. : 1229582-33-5

Formula: C27H27N5

Molecular Weight: 421.54

Storage: Store at low temperature
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	URMC-099 is an orally bioavailable, brain penetrant MLK inhibitor (IC50: 19/42/14/150 nM, for MLK1/MLK2/MLK3/DLK), and also inhibits LRRK2 activity (IC50: 11 nM).
Targets(IC50)	MLK,MEK,Syk,Bcr-Abl,CDK,Aurora Kinase,Autophagy,c-Met/HGFR,DNA Alkylation,IGF-1R, LRRK2,ROCK,SGK,Src,Trk receptor,VEGFR
In vitro	URMC-099, administered intraperitoneally (i.p.) at a dosage of 10 mg/kg, demonstrates the ability to reduce the production of inflammatory cytokines within the body, protect neuronal structures, and alter the morphology and ultrastructural response of microglia to exposure to HIV-1 Tat. In mice, URMC-099 exhibits favorable pharmacokinetics and enhanced Central Nervous System (CNS) penetration. Additionally, URMC-099 significantly reduces the infiltration of neutrophils into the peritoneum of wild-type mice in response to fMLP.
In vivo	URMC-099 reduces chemotaxis in wild-type neutrophils induced by fMLP in vitro. Moreover, URMC-099 inhibits the release of TNFα in microglia triggered by lipopolysaccharides, as well as the release of cytokines in human monocytes stimulated by HIV-1 Tat. Additionally, URMC-099 prevents the destruction and phagocytosis of cultured neuronal axons by microglia.
Kinase Assay	HDAC IC50 Profiling: The in vitro inhibitory activity of compounds against seven human HDAC isoforms (1, 2, 4 C2A, 5 C2A, 6, 8, and 11) are performed with a fluorescent based assay according to the company's standard operating procedure. The IC50 values are determined using 10 different concentrations with 3-fold serial dilution starting at 10 μM. TSA and vorinostat are used as reference compounds.

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 6 mg/mL (14.23 mM),Sonication is recommended. DMSO: 62.5 mg/mL (148.27 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 6.25 mg/mL (14.83 mM),Solution. 10% DMSO+90% Saline: < 6.25 mg/mL (14.83 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one.*

In vivo Formulation	*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.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3723 mL	11.8613 mL	23.7225 mL
5 mM	0.4745 mL	2.3723 mL	4.7445 mL
10 mM	0.2372 mL	1.1861 mL	2.3723 mL
50 mM	0.0474 mL	0.2372 mL	0.4745 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

Goodfellow VS, et al. J Med Chem. 2013, 56(20), 8032-8048.
Marker DF, et al. J Neurosci. 2013, 33(24), 91998-1202010.
Polesskaya O, et al. Mol Immunol. 2014, 58(2), 214-222.