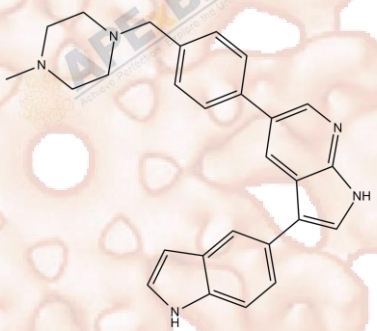
Product Data Sheet

URMC-099



Cat. No.:	B4877
CAS No.:	1229582-33-5
Formula:	C27H27N5
M.Wt:	421.54
Synonyms:	
Target:	Others
Pathway:	Others
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

≥21.1 mg/mL in DMSO; insoluble in H2O; insoluble in EtOH

Preparing Stock Solutions

Mass / Solvent / Concentration	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

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

Biological Activity

Shortsummary	MLK3 inhibitor, orally bioavailable and brain penetrant
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	Human monocytes
Preparation method:	Limited solubility. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	37°C

	Applications:	URMC-099 potently and dose-dependently inhibits TNF-α, MCP-1,IL-6, and IL-8 that are crucial to the pathogenesis of HAND (HIV-1 Associated Neurocognitive Disorders). In contrast to the Tat-only treatment, URMC-099 reaches at the lowest drug concentration tested (100 nM) for TNFα and IL-6, and at 300 nM for MCP1.
In Vivo	**Animal experiment**	
	Animal models:	Tat exposure mouse model
	Dosage form:	Injection
	Applications:	URMC-099 treatment before and after Tat exposure partially preserves normal Map2 staining at the Tat injection site. As Tat exposure markedly decreases puncta density at the injection site, the puncta density is restored to control levels by URMC-099 treatment. Furthermore, URMC-099 alters the morphology and neuronal interactions of microglia exposed to HIV-1 Tat.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

1. Goodfellow VS, Loweth CJ, Ravula SB et al. Discovery, synthesis, and characterization of an orally bioavailable, brain penetrant inhibitor of mixed lineage kinase 3. J Med Chem. 2013 Oct 24;56(20):8032-48.

2. Marker DF, Tremblay M, Puccini JM et al. The new small-molecule mixed-lineage kinase 3 inhibitor URMC-099 is neuroprotective and anti-inflammatory in models of human immunodeficiency virus-associated neurocognitive disorders. J Neurosci. 2013 Jun 12;33(24):9998-10010.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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