# **PRODUCT** INFORMATION



## **URMC-099**

Item No. 19147

CAS Registry No.: Formal Name:	1229582-33-5 3-(1H-indol-5-yl)-5-[4-[(4-methyl- 1-piperazinyl)methyl]phenyl]-1H- pyrrolo[2,3-b]pyridine	
MF: FW: Purity: UV/Vis.: Supplied as: Storage: Stability:	$C_{27}H_{27}N_5$ 421.5 ≥95% λ <sub>max</sub> : 211, 244, 267 nm A crystalline solid -20°C ≥4 years	

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

#### Laboratory Procedures

URMC-099 is supplied as a crystalline solid. A stock solution may be made by dissolving the URMC-099 in the solvent of choice, which should be purged with an inert gas. URMC-099 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of URMC-099 in ethanol is approximately 1 mg/ml and approximately 25 mg/ml in DMSO and DMF.

URMC-099 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, URMC-099 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. URMC-099 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

#### Description

URMC-099 is an orally bioavailable, brain-penetrant inhibitor of mixed-lineage kinases (MLKs) with IC<sub>50</sub> values of 19, 42, 14, and 150 nM for MLK1, MLK2, MLK3, and the related MLK family member DLK, respectively.<sup>1</sup> It also inhibits LRRK2 activity with an IC<sub>50</sub> value of 11 nM.<sup>1</sup> In vitro, URMC-099 has been shown to reduce inflammatory cytokine production by HIV-1 Tat-exposed microglia and to prevent destruction and phagocytosis of cultured neuronal axons by these cells.<sup>2</sup> In rodent models of HIV-associated neurocognitive disorders, URMC-099 demonstrates anti-inflammatory and neuroprotective effects.<sup>2</sup>

#### References

- 1. Quadro, L., Blaner, W.S., Salchow, D.J., et al. Impaired retinal function and vitamin A availability in mice lacking retinol-binding protein. EMBO J. 18(17), 4633-44 (1999).
- 2. Marker, D.F., Tremblay, M.-É., Puccini, J.M., 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. 33(24), 9998-10010 (2013).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFFTY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

#### WARRANTY AND LIMITATION OF REMEDY

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