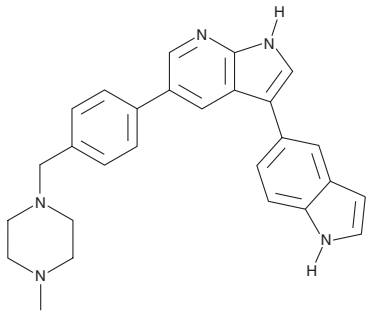
PRODUCT INFORMATION

URMC-099

Item No. 19147

CAS Registry No.:	1229582-33-5
Formal Name:	3-(1H-indol-5-yl)-5-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-1H-pyrrolo[2,3-b]pyridine
MF:	$C_{27}H_{27}N_5$
FW:	421.5
Purity:	≥95%
UV/Vis.:	λ_{max}: 211, 244, 267 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥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_{50} values of 19, 42, 14, and 150 nM for MLK1, MLK2, MLK3, and the related MLK family member DLK, respectively.[1] It also inhibits LRRK2 activity with an IC_{50} value of 11 nM.[1] *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.[2] In rodent models of HIV-associated neurocognitive disorders, URMC-099 demonstrates anti-inflammatory and neuroprotective effects.[2]

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).

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