# PRODUCT INFORMATION



# BIX02189

Item No. 16999

CAS Registry No.: 1265916-41-3

Formal Name: (3Z)-3-[[[3-[(dimethylamino)methyl]

> phenyllaminolphenylmethylenel-2,3-dihydro-N,N-dimethyl-2-oxo-

1H-indole-6-carboxamide

MF:  $C_{27}H_{28}N_4O_2$ FW: 440.5 **Purity:** ≥98%

UV/Vis.:  $\lambda_{max}$ : 284, 385 nm Supplied as: A crystalline solid

-20°C Storage: Stability: ≥2 years

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

## **Laboratory Procedures**

BIX02189 is supplied as a crystalline solid. A stock solution may be made by dissolving the BIX02189 in the solvent of choice, which should be purged with an inert gas. BIX02189 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of BIX02189 in ethanol and DMSO is approximately 10 mg/ml and approximately 15 mg/ml in DMF.

BIX02189 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BIX02189 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. BIX02189 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

BIX02189 is a potent inhibitor of both MEK5 and ERK5 ( $IC_{50}$ s = 1.5 and 59 nM, respectively), preventing transcriptional activation of the downstream target MEF2C.1 It minimally inhibits a panel of related kinases, including MEK1/2 and ERK1/2.1 BIX02189 blocks sorbitol-induced phosphorylation of ERK5, without affecting phosphorylation of ERK1/2, in HeLa cells. It has been used to investigate the roles of MEK5/ERK5 signaling in nerve growth factor-mediated neurite outgrowth and myeloid cell differentiation.<sup>2,3</sup>

#### References

- 1. Tatake, R.J., O'Neill, M.M., Kennedy, C.A., et al. Identification of pharmacological inhibitors of the MEK5/ERK5 pathway. Biochem. Biophys. Res. Commun. 377(1), 120-125 (2008).
- 2. Obara, Y., and Nakahata, N. The signaling pathway leading to extracellular signal-regulated kinase 5 (ERK5) activation via G-proteins and ERK5-dependent neurotrophic effects. Mol. Pharmacol. 77(1), 10-16
- 3. Wang, X., Pesakhov, S., Weng, A., et al. ERK 5/MAPK pathway has a major role in 1a,25-(OH)<sub>2</sub> vitamin D<sub>2</sub>-induced terminal differentiation of myeloid leukemia cells. J. Steroid Biochem. Mol. Biol. 144(Pt. A), 223-227 (2014).

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

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.

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