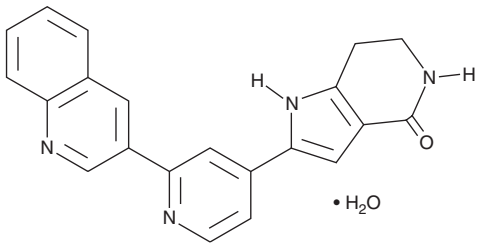
PRODUCT INFORMATION

MK2 Inhibitor III

Item No. 15943

CAS Registry No.: 1186648-22-5
Formal Name: 1,5,6,7-tetrahydro-2-[2-(3-quinolinyl)-4-pyridinyl]-4H-pyrrolo[3,2-c]pyridin-4-one, monohydrate
MF: $C_{21}H_{16}N_4O \bullet H_2O$
FW: 358.4
Purity: ≥95%
UV/Vis.: λ_{max}: 208, 243, 309 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

MK2 inhibitor III is supplied as a crystalline solid. A stock solution may be made by dissolving the MK2 inhibitor III in the solvent of choice, which should be purged with an inert gas. MK2 inhibitor III is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of MK2 inhibitor III in these solvents is approximately 5 and 1.4 mg/ml, respectively.

MK2 inhibitor III is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, MK2 inhibitor III should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. MK2 inhibitor III has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

MAP kinase-activated protein kinase 2 (MAPKAP2, MK2) is a stress-activated serine/threonine protein kinase that is phosphorylated by p38 MAP kinase and is involved in diverse cellular functions with a central role in inflammation.[1-3] MK2 inhibitor III is a potent, cell-permeable inhibitor of MK2 (IC_{50} = 8.5 nM).[4] It less potently blocks MK3 and MK5 (IC_{50}s = 210 and 81 nM, respectively) and is weak or inactive against several other kinases, including other p38 MAP kinase targets.[4] MK2 inhibitor III prevents LPS-induced synthesis of TNF-α in human monocyte-like U937 cells (IC_{50} = 4.4 µM).[4]

References

1. Huang, X., Shipps, G.W., Jr., Cheng, C.C., *et al.* Discovery and hit-to-lead optimization of non-ATP competitive MK2 (MAPKAPK2) inhibitors. *ACS Med. Chem. Lett.* **2(8)**, 632-637 (2011).
2. Wang, X., Khaleque, M.A., Zhao, M.J., *et al.* Phosphorylation of HSF1 by MAPK-activated protein kinase 2 on serine 121, inhibits transcriptional activity and promotes HSP90 binding. *J. Biol. Chem.* **281(2)**, 782-791 (2006).
3. Werz, O., Szellas, D., Steinhilber, D., *et al.* Arachidonic acid promotes phosphorylation of 5-lipoxygenase at Ser-271 by MAPK-activated protein kinase 2 (MK2). *J. Biol. Chem.* **277(17)**, 14793-14800 (2002).
4. Anderson, D.R., Meyers, M.J., Vernier, W.F., *et al.* Pyrrolopyridine inhibitors of mitogen-activated protein kinase-activated protein kinase 2 (MK-2). *J. Med. Chem.* **50(11)**, 2647-2654 (2007).

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