PRODUCT INFORMATION



MK-5108

Item No. 19167

CAS Registry No.: 1010085-13-8

Formal Name: trans-4-(3-chloro-2-fluorophenoxy)-

1-[[6-(2-thiazolylamino)-2-pyridinyl]

methyl]-cyclohexanecarboxylic acid

Synonym: VX-689

MF: C₂₂H₂₁CIFN₃O₃S

FW: 461.9 Purity:

 λ_{max} : 278, 310 nm UV/Vis.: Supplied as: A crystalline solid

-20°C Storage:

Stability: As supplied, 2 years from the QC date provided on the Certificate of Analysis, when

stored properly

Laboratory Procedures

MK-5108 is supplied as a crystalline solid. A stock solution may be made by dissolving the MK-5108 in the solvent of choice. MK-5108 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of MK-5108 in these solvents is approximately 2 and 5 mg/ml, respectively.

MK-5108 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, MK-5108 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. MK-5108 has a solubility of approximately 0.025 mg/ml in a 1:30 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The Aurora kinases are a family of serine/threonine kinases that are key regulators of mitosis and cytokinesis. MK-5108 is a potent inhibitor of Aurora A ($IC_{50} = 0.064 \text{ nM}$).¹ It less potently inhibits Aurora B and Aurora C (IC₅₀s =14 and 12 nM, respectively). MK-5108 blocks cell cycle progression and induces apoptosis in a diverse range of human tumor types. 1,2 It is effective in vivo, inhibiting the growth of xenograft tumors in mice.1

References

- 1. Harrington, E.A., Bebbington, D., Moore, J., et al. VX-680, a potent and selective small-molecule inhibitor of the Aurora kinases, suppresses tumor growth in vivo. Nat. Med. 10(3), 262-267 (2004).
- 2. Shimmoura, T., Hasako, S., Nakatsuru, Y., et al. MK-5108, a highly selective Aurora-A kinase inhibitor, shows antitumor activity alone and in combination with docetaxel. Mol. Cancer Ther. 9(1), 157-166 (2010).

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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