

PRODUCT INFORMATION



Tpl2 Kinase Inhibitor (hydrochloride)

Item No. 34059

Formal Name: 4-[(3-chloro-4-fluorophenyl)amino]-6-[(3-pyridinylmethyl)amino]-1,7-naphthyridine-3-carbonitrile, hydrochloride

Synonyms: c-Cot Kinase Inhibitor, MAP3K8 Kinase Inhibitor, Tumor Progression Locus 2 Kinase Inhibitor

MF: C₂₁H₁₄ClFN₆ • XHCl

FW: 404.8

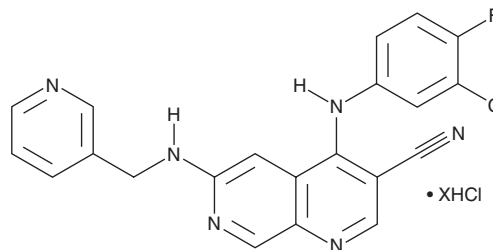
Purity: ≥98%

UV/Vis.: λ_{max}: 253 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

Tpl2 kinase inhibitor (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the Tpl2 kinase inhibitor (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Tpl2 kinase inhibitor (hydrochloride) is slightly soluble in DMSO and dimethyl formamide.

Description

Tpl2 kinase inhibitor is an inhibitor of tumor progression locus 2 (Tpl2; IC₅₀ = 0.05 μM).¹ It is selective for Tpl2 over MEK, p38 MAPK, Src, MK2, and PKC (IC₅₀s = >40, 180, >400, 110, and >400 μM, respectively). Tpl2 kinase inhibitor inhibits LPS-induced TNF-α production in isolated human monocytes and whole blood (IC₅₀s = 0.7 and 8.5 μM, respectively). It enhances differentiation induced by calcitriol (1,25-dihydroxy vitamin D₃; Item No. 71820) in HL-60 and U937 leukemia cells when used at a concentration of 5 μM.² Tpl2 kinase inhibitor (5 μM) inhibits the proliferation of KG-1a leukemia cells.³

References

1. Garvin, L.K., Green, N., Hu, Y., *et al.* Inhibition of Tpl2 kinase and TNF-α production with 1,7-naphthyridine-3-carbonitriles: Synthesis and structure-activity relationships. *Bioor. Med. Chem. Lett.* **15(23)**, 5288-5292 (2005).
2. Wang, X., and Studzinski, G.P. Expression of MAP3 kinase COT1 is up-regulated by 1,25-dihydroxyvitamin D₃ in parallel with activated c-jun during differentiation of human myeloid leukemia cells. *J. Steroid. Biochem. Mol. Biol.* **121(1-2)**, 395-398 (2010).
3. Wang, X., Gocek, E., Novik, V., *et al.* Inhibition of Cot1/Tlp2 oncogene in AML cells reduces ERK5 activation and up-regulates p27^{Kip1} concomitant with enhancement of differentiation and cell cycle arrest induced by silibinin and 1,25-dihydroxyvitamin D₃. *Cell Cycle* **9(22)**, 4542-4551 (2010).

WARNING

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

SAFETY 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.

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