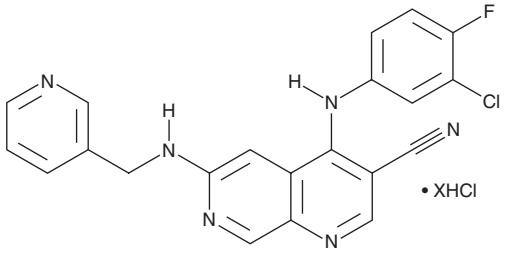
PRODUCT INFORMATION

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:	$C_{21}H_{14}ClFN_6$ • 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; IC_{50} = 0.05 µM).[1] It is selective for Tpl2 over MEK, p38 MAPK, Src, MK2, and PKC (IC_{50}s = >40, 180, >400, 110, and >400 µM, respectively). Tpl2 kinase inhibitor inhibits LPS-induced TNF-α production in isolated human monocytes and whole blood (IC_{50}s = 0.7 and 8.5 µM, respectively). It enhances differentiation induced by calcitriol (1,25-dihydroxy vitamin D_3; 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 D_3 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 D_3. *Cell Cycle* **9(22)**, 4542-4551 (2010).

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