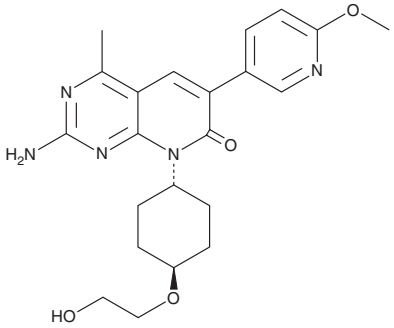
PRODUCT INFORMATION

PF-04691502

Item No. 15017

CAS Registry No.:	1013101-36-4
Formal Name:	2-amino-8-[*trans*-4-(2-hydroxyethoxy)cyclohexyl]-6-(6-methoxy-3-pyridinyl)-4-methyl-pyrido[2,3-d]pyrimidin-7(8H)-one
Synonyms:	HNC-VP-L, PF-502
MF:	$C_{22}H_{27}N_5O_4$
FW:	425.5
Purity:	≥95%
UV/Vis.:	λ_{max}: 222, 302, 353 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

PF-04691502 is supplied as a crystalline solid. A stock solution may be made by dissolving the PF-04691502 in the solvent of choice, which should be purged with an inert gas. PF-04691502 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of PF-04691502 in these solvents is approximately 1, 20, and 25 mg/ml, respectively.

PF-04691502 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PF-04691502 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. PF-04691502 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

PF-04691502 is an inhibitor of PI3Kα, -β, -δ, and -γ and mammalian target of rapamycin (mTOR; K_is = 1.8, 2.1, 1.6, 1.9, and 16 nM, respectively, for the human enzymes).[1] It decreases the proliferation of BT-20 breast cancer, SKOV3 ovarian cancer, and U87MG glioblastoma cells (IC_{50}s = 313, 188, and 179 nM, respectively). PF-04691502 (2.5 and 5 µM) inhibits capillary tube formation by, and migration of, human umbilical vein endothelial cells (HUVECs).[2] It induces cell cycle arrest at the G_1 phase in U87MG cells when used at concentrations of 500 and 1,000 nM.[1] PF-04691502 (10 mg/kg per day) decreases tumor volume in NCI H1650 and H1975 non-small cell lung cancer (NSCLC) mouse xenograft models.

References

1. Yuan, J., Mehta, P.P., Yin, M.J., *et al.* PF-04691502, a potent and selective oral inhibitor of PI3K and mTOR kinases with antitumor activity. *Mol. Cancer Ther.* **10(11)**, 2189-2199 (2011).
2. Wang, F.-Z., Peng-Jiao, Yang, N.-N., *et al.* PF-04691502 triggers cell cycle arrest, apoptosis and inhibits the angiogenesis in hepatocellular carcinoma cells. *Toxicol. Lett.* **220(2)**, 150-156 (2013).

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.

WARRANTY AND LIMITATION OF REMEDY
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