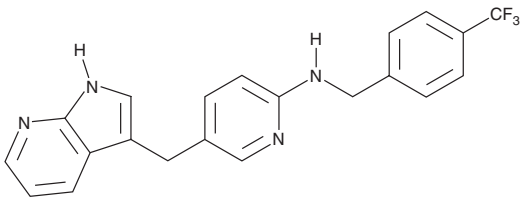
PRODUCT INFORMATION

PLX647

Item No. 18012

CAS Registry No.:	873786-09-5
Formal Name:	5-(1H-pyrrolo[2,3-b]pyridin-3-ylmethyl)-N-[[4-(trifluoromethyl)phenyl]methyl]-2-pyridinamine
MF:	$C_{21}H_{17}F_3N_4$
FW:	382.4
Purity:	≥95%
UV/Vis.:	λ_{max}: 224, 245, 293 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

PLX647 is supplied as a crystalline solid. A stock solution may be made by dissolving the PLX647 in the solvent of choice, which should be purged with an inert gas. PLX647 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of PLX647 in these solvents is approximately 30 mg/ml.

PLX647 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PLX647 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. PLX647 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PLX647 is a dual inhibitor of the receptor tyrosine kinases FMS and KIT (IC_{50}s = 28 and 16 nM, respectively).[1] It is selective for FMS and KIT but does inhibit FLT3 and KDR (IC_{50}s = 91 and 130 nM, respectively) in a panel of 400 kinases at a concentration of 1 µM. PLX647 inhibits proliferation of Ba/F3 cells expressing constitutively active FMS or KIT (IC_{50}s = 92 and 180 nM, respectively) as well as ligand-dependent growth of M-NFS-60 and M-07e cells that express endogenous FMS and KIT, respectively (IC_{50}s = 380 and 230 nM, respectively). It has no effect on HEK293T or HepG2 cells that lack FMS and KIT (IC_{50} = >50 µM) or Ba/F3 cells overexpressing KDR (IC_{50} = >5 µM). PLX647 also inhibits differentiation of human osteoclast precursor cells (IC_{50} = 170 nM). *In vivo*, PLX647 (40 mg/kg) reduces TNF-α and IL-6 release in a rat model of LPS-induced cytokine release. It reduces mast cell degranulation in a mouse model of passive cutaneous anaphylaxis (PCA) and inhibits bone destruction and delays disease progression in a mouse model of collagen-induced arthritis (CIA). PLX647 also reverses bone osteolysis and allodynia in a syngeneic rat model of cancer-induced bone pain.

Reference

1. Zhang, C., Ibrahim, P.N., Zhang, J., *et al.* Design and pharmacology of a highly specific dual FMS and KIT kinase inhibitor. *Proc. Nat. Acad. Sci. USA* **110(14)**, 5689-5694 (2013).

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