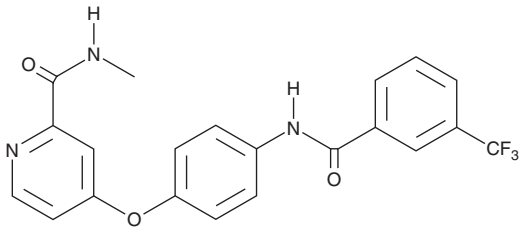
PRODUCT INFORMATION

SKLB610

Item No. 21561

CAS Registry No.:	1125780-41-7
Formal Name:	N-methyl-4-[4-[[3-(trifluoromethyl) benzoyl]amino]phenoxy]-2-pyridinecarboxamide
MF:	$C_{21}H_{16}F_3N_3O_3$
FW:	415.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 272 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

SKLB610 is supplied as a crystalline solid. A stock solution may be made by dissolving the SKLB610 in the solvent of choice, which should be purged with an inert gas. SKLB610 is soluble in organic solvents such as ethanol, DMSO and dimethyl formamide (DMF). The solubility of SKLB610 in these solvents is approximately 5, 16, and 20 mg/ml, respectively.

SKLB610 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, SKLB610 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. SKLB610 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

SKLB610 is an inhibitor of VEGF receptor 2 (VEGFR2).[1] It inhibits VEGFR2 activity by 97% but also inhibits FGFR2 and PDGFRβ activity by 65 and 55%, respectively, when used at a concentration of 10 µM. It is selective for VEGFR2, FGFR2, and PDGFRβ over PI3K, EGFR, Aurora A, Cdk2/cyclin E, and Cdk6/cyclin D3 at 10 µM. SKLB610 inhibits phosphorylation of VEGFR2 induced by VEGF in human umbilical vein endothelial cells (HUVECs). It inhibits proliferation of HUVECs induced by VEGF and basic FGF (bFGF; IC_{50}s = 2.2 and 4.7 µM, respectively). It also inhibits HUVEC capillary tube formation and migration when used at concentrations of 2.5 and 10 µM, respectively. SKLB610 inhibits proliferation of a variety of cancer cells, including A549 human lung cancer, HCT116 human colorectal carcinoma, MDA-MB-231 human mammary carcinoma, Raji human Burkitt's lymphoma, and DU145 human prostate cancer cells (IC_{50}s = 5.7, 5.3, 25.6, 6.4, and 6.3 µM, respectively). It reduces tumor growth in A549 and HCT116 mouse xenograft models when administered at a dose of 50 mg/kg per day.

Reference

1. Cao, Z.X., Zheng, R.L., and Lin, H.J. SKLB610: A novel potential inhibitor of vascular endothelial growth factor receptor tyrosine kinases inhibits angiogenesis and tumor growth *in vivo*. *Cell Physiol. Biochem.* **27(5)**, 565-574 (2011).

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