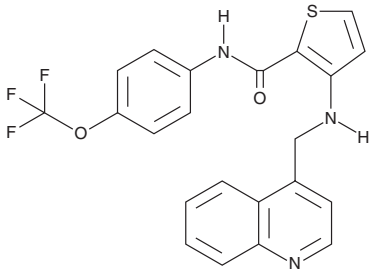
PRODUCT INFORMATION

OSI-930

Item No. 29379

CAS Registry No.:	728033-96-3
Formal Name:	3-[(4-quinolinylmethyl)amino]-N-[4-(trifluoromethoxy)phenyl]-2-thiophenecarboxamide
MF:	$C_{22}H_{16}F_3N_3O_2S$
FW:	443.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 225, 276, 337 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

OSI-930 is supplied as a crystalline solid. A stock solution may be made by dissolving the OSI-930 in the solvent of choice, which should be purged with an inert gas. OSI-930 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of OSI-930 in these solvents is approximately 30 mg/ml.

OSI-930 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, OSI-930 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. OSI-930 has a solubility of approximately 0.33 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

OSI-930 is a dual inhibitor of Kit (IC_{50}s = 80 and 629 nM for the activated and non-activated kinase, respectively, in cell-free assays) and VEGFR2 (IC_{50} = 9 nM).[1] It is selective for these kinases over a panel of 17 additional kinases (IC_{50}s = 1.3->10 µM) but also inhibits VEGFR1, CSF1R, C-RAF, and LCK (IC_{50}s = 8, 15, 41, and 22 nM, respectively). OSI-930 inhibits Kit autophosphorylation in NCI-H526 and HMC-1 cells expressing wild-type Kit and Kit containing the constitutively active V560G mutation (Kit^{V560G}), respectively, and stem cell factor-induced Kit autophosphorylation in NCI-H526 cells expressing wild-type Kit (IC_{50}s = 58.1 and 78.9 nM, respectively). It also inhibits VEGF-induced autophosphorylation of VEGFR2 in human umbilical vein endothelial cells (HUVECs; IC_{50} = 64.4 nM). OSI-930 (100 nM) decreases endothelial sprout formation by greater than 50% in isolated rat aortic rings. It reduces tumor growth in a variety of mouse xenograft models, including colon and small-cell lung cancer, melanoma, and glioblastoma models, when administered orally at a dose of 200 mg/kg per day.

Reference

1. Garton, A.J., Crew, A.P.A., Franklin, M.C., *et al*. OSI-930: A novel selective inhibitor of kit and kinase insert domain receptor tyrosine kinases with antitumor activity in mouse xenograft models. *Cancer Res.* **66(2)**, 1015-1024 (2006).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM