

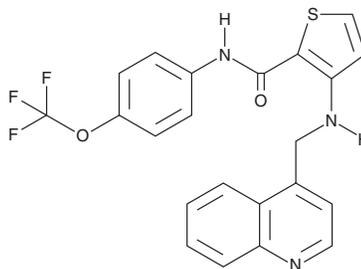
PRODUCT INFORMATION



OSI-930

Item No. 29379

CAS Registry No.: 728033-96-3
Formal Name: 3-[[4-(trifluoromethyl)phenoxy]methyl]thiophenecarboxamide
MF: C₂₂H₁₆F₃N₃O₂S
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 (IC₅₀s = 80 and 629 nM for the activated and non-activated kinase, respectively, in cell-free assays) and VEGFR2 (IC₅₀ = 9 nM).¹ It is selective for these kinases over a panel of 17 additional kinases (IC₅₀s = 1.3->10 μM) but also inhibits VEGFR1, CSF1R, C-RAF, and LCK (IC₅₀s = 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 (IC₅₀s = 58.1 and 78.9 nM, respectively). It also inhibits VEGF-induced autophosphorylation of VEGFR2 in human umbilical vein endothelial cells (HUVECs; IC₅₀ = 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).

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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