

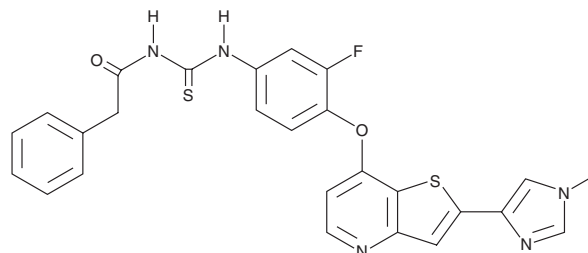
PRODUCT INFORMATION



MGCD-265

Item No. 20097

CAS Registry No.: 875337-44-3
Formal Name: N-[[[3-fluoro-4-[[2-(1-methyl-1H-imidazol-4-yl)thieno[3,2-b]pyridin-7-yl]oxy]phenyl]amino]thioxomethyl]-benzeneacetamide
MF: C₂₆H₂₀FN₅O₂S₂
FW: 517.6
Purity: ≥98%
UV/Vis.: λ_{max}: 258, 311 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

MGCD-265 is supplied as a crystalline solid. A stock solution may be made by dissolving the MGCD-265 in the solvent of choice, which should be purged with an inert gas. MGCD-265 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of MGCD-265 in these solvents is approximately 30 mg/ml.

MGCD-265 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, MGCD-265 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. MGCD-265 has a solubility of approximately 0.16 mg/ml in a 1:5 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

MGCD-265 is an inhibitor of c-Met and VEGFR2 (IC₅₀s = 0.029 and 0.01 μM, respectively).¹ It is selective for c-Met and VEGFR2 over Chk1, EGFR, GSK3β, IGF-1R, IKKβ, JAK2, and JNK1 at 0.1 μM but also inhibits VEGFR1, VEGFR3, Ron, Tie2, FLT3, c-Kit, Abl, and TrkA with percent inhibition values ranging from 80 to 100% at 0.1 μM. MGCD-265 also binds to Smoothened (Smo) in HEK293T cell membranes expressing the human receptor (K_i = 0.0417 μM for the wild-type receptor) and inhibits Gli1-mediated transcription in a reporter assay in gefitinib-resistant HCC827 non-small cell lung cancer (NSCLC) cells.² It inhibits migration of A549 NSCLC cells induced by hepatocyte growth factor (HGF) and VEGF-induced proliferation of human umbilical vein endothelial cells (HUVECs; IC₅₀s = 2 and 0.025 μM, respectively).¹ MGCD-265 (20 mg/kg) reduces tumor growth in several mouse xenograft models, including prostate, colorectal, and gastric cancer models.

Reference

1. Claridge, S., Raeppl, F., Granger, M.-C., *et al.* Discovery of a novel and potent series of thieno[3,2-b]pyridine-based inhibitors of c-Met and VEGFR2 tyrosine kinases. *Bioorg. Med. Chem. Lett.* **18**(9), 2793-2798 (2008).
2. Morgillo, F., Amendola, G., Corte, C.M.D., *et al.* Dual MET and SMO negative modulators overcome resistance to EGFR inhibitors in human nonsmall cell lung cancer. *J. Med. Chem.* **60**(17), 7447-7458 (2017).

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.

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