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Produktinformation

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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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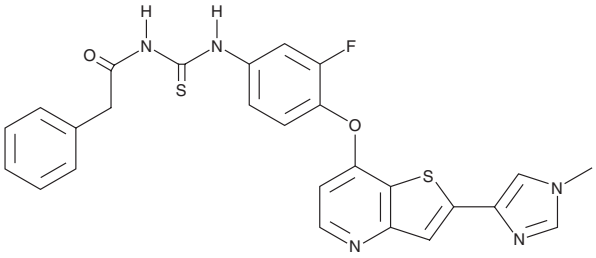
PRODUCT INFORMATION

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:	$C_{26}H_{20}FN_5O_2S_2$
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 (IC_{50}s = 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; IC_{50}s = 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., Raeppel, 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).

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