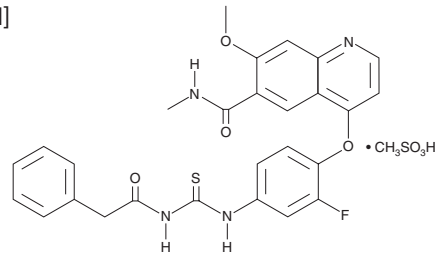
PRODUCT INFORMATION

TAS 115 (methanesulfonate)

Item No. 33593

CAS Registry No.: 1688673-09-7
Formal Name: 4-[2-fluoro-4-[[[(2-phenylacetyl)amino]thioxomethyl]amino]phenoxy]-7-methoxy-N-methyl-6-quinolinecarboxamide, monomethanesulfonate
MF: $C_{27}H_{23}FN_4O_4S \bullet CH_4O_3S$
FW: 614.7
Purity: ≥98%
UV/Vis.: λ_{max}: 244 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

TAS 115 (methanesulfonate) is supplied as a crystalline solid. A stock solution may be made by dissolving the TAS 115 (methanesulfonate) in the solvent of choice, which should be purged with an inert gas. TAS 115 (methanesulfonate) is slightly soluble in DMSO.

TAS 115 (methanesulfonate) is slightly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

TAS 115 is a multi-kinase inhibitor that inhibits the growth factor receptors PDGFRα and PDGFRβ (IC_{50}s = 0.81 and 7.06 nM, respectively), c-FMS (IC_{50} = 15 nM), VEGFR2 and VEGFR1 (IC_{50}s = 30 and 140 nM, respectively), Met (IC_{50} = 32 nM), and FGFR2 (IC_{50} = 340 nM).[1,2] It also inhibits Axl, c-Kit, Src, and FLT1.[1] TAS 115 inhibits VEGF-induced VEGFR2 phosphorylation in human umbilical vein endothelial cells (HUVECs) and Met phosphorylation in Met-amplified MKN45 human gastric cancer cells. It also inhibits VEGF-dependent, but not VEGF-independent, growth of HUVECs (IC_{50}s = 0.019 and 19.3 µM, respectively) and of Met-amplified MKN45, but not Met-inactivated, human MCF-7 breast cancer cells (GI_{50}s = 0.032 and >10 µM, respectively). TAS 115 reduces tumor growth in a MKN45 mouse xenograft model (ED_{50} = 8 mg/kg).

References

1. Fujita, H., Miyadera, K., Kato, M., *et al*. The novel VEGF receptor/MET-targeted kinase inhibitor TAS-115 has marked *in vivo* antitumor properties and a favorable tolerability profile. *Mol. Cancer Ther.* **12(12)**, 2685-2696 (2013).
2. Koyama, K., Goto, H., Morizumi, S., *et al*. The tyrosine kinase inhibitor TAS-115 attenuates bleomycin-induced lung fibrosis in mice. *Am. J. Respir. Cell Mol. Biol.* **60(4)**, 478-487 (2019).

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