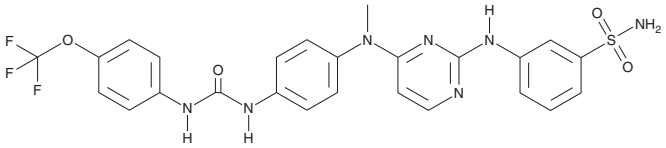
PRODUCT INFORMATION

GW 806742X

Item No. 37541

CAS Registry No.: 579515-63-2
Formal Name: 3-[[4-[methyl[4-[[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]amino]phenyl]amino]-2-pyrimidinyl]amino]-benzenesulfonamide
MF: $C_{25}H_{22}F_3N_7O_4S$
FW: 573.5
Purity: ≥98%
Supplied as: A 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

GW 806742X is supplied as a solid. A stock solution may be made by dissolving the GW 806742X in the solvent of choice, which should be purged with an inert gas. GW 806742X is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of GW 806742X in ethanol and DMF is approximately 20 mg/ml and approximately 10 in mg/ml in DMSO.

Description

GW 806742X is an inhibitor of necroptosis.[1] It binds to mixed lineage kinase domain-like protein (Mlkl; K_d = 9.3 µM for the mouse protein), reducing its association with the cytoplasmic membrane, and decreases necroptosis induced by TNF-α, a Smac mimetic, and the caspase inhibitor Q-VD-OPH (Item No. 15260) in isolated mouse dermal fibroblasts when used at a concentration of 500 nM. GW 806742X is also an inhibitor of VEGFR2 (IC_{50} = 2 nM).[2] It decreases VEGF-induced proliferation of human umbilical vein endothelial cells (HUVECs; IC_{50} = 5 nM). GW 806742X (10 µM) inhibits mammosphere formation by, reduces the number of extracellular actin fibers extruding from, and decreases intracellular IL-1β levels in, MDA-MB-231 triple-negative breast cancer cells.[3]

References

1. Hildebrand, J.M., Tamzer, M.C., Lucet, I.S., *et al.* Activation of the pseudokinase MLKL unleashes the four-helix bundle domain to induce membrane localization and necroptotic cell death. *Proc. Natl. Acad. Sci. USA* **111(42)**, 15072-15077 (2014).
2. Sammond, D.M., Nailor, K.E., Veal, J.M., *et al.* Discovery of a novel and potent series of dianilinopyrimidineurea and urea isostere inhibitors of VEGFR2 tyrosine kinase. *Bioorg. Med. Chem. Lett.* **15(15)**, 3519-3523 (2005).
3. Song, C., Kendi, A.T., Lowe,V.J., *et al.* The *A20/TNFAIP3-CDC20-CASP1* axis promotes inflammation-mediated metastatic disease in triple-negative breast cancer. *Anticancer Res.* **42(2)**, 681-695 (2022).

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