

Product Data Sheet

Product Name: c-Kit-IN-3

Cat. No.: GC38595

Chemical Properties

Cas. No. 2363169-01-9

SMILES O=C(NC1=CC=C(OC2=CC=NC3=CC(OC)=C(OC)C=C23)C=C1)CC4=CC=C(Cl)C(C(F)(F)F)=C4Formula $C_{26}H_{20}ClF_3N_2O_4$

M.Wt 516.9

Solubility DMSO: ≥ 100 mg/mL (193.46 mM); Water: < 0.1 mg/mL (insoluble)

Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure

Background

c-Kit-IN-3 (Compound 18) is a potent and selective c-KIT kinase inhibitor with an IC₅₀ of 4 nM. c-Kit-IN-3 displays great potencies against c-KIT kinase and a broad spectrum of drug-resistant mutants in the biochemical assay. c-Kit-IN-3 has improved bioavailability[1].

c-Kit-IN-3 (Compound 18; 0.1-10 μM; 6 days; primary GIST patient cells) exhibits dose-dependent antiproliferative effects[1]. c-Kit-IN-3 (Compound 18; 0.01-1 μM; 24 hours; GIST-T1, GIST-T1-T670I, and GIST-5R cells) treatment induces dose-dependent cell apoptotic death (by examining the cleaved PARP and cleaved caspase 3)[1]. c-Kit-IN-3 (Compound 18; 0.01-1 μM; 24 hours; GIST-T1, GIST-T1-T670I, and GIST-5R cells) treatment arrests the cell cycle into the G₀/G₁ phase in all of these three cell lines[1]. c-Kit-IN-3 (Compound 18; 0-1 μM; 24 hours) blocks the autophosphorylation of c-KIT pY703, pY719, and pY823 in GIST-T1, GIST-T1-T670I, and GIST-5R, respectively, cells at a concentration of 30 nM and inhibits the downstream signaling mediators pAKT (T308/S473), pS6 (S235/236), and pERK (T202/204)[1]. c-Kit-IN-3 (Compound 18; 0.006 μM-1.37 μM) potently inhibits the growth of c-KIT-dependent GIST cancer cells, such as GIST-T1 (IC₅₀: 0.006 μM); GIST-882 (IC₅₀: 0.013 μM); GIST-T1-T670I (IC₅₀: 0.011 μM); GIST-5R (IC₅₀: 0.073 μM); GIST-48B (IC₅₀: 1.37 μM), respectively[1]. Cell Proliferation Assay[1] Cell Line: Primary GIST patient cells

Caution: Product has not been fully validated for medical applications. For research use only.

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c-Kit-IN-3 (Compound 18; 40-100 mg/kg; oral gavage; daily; for 11 days; for 4 weeks; female BALB/C-nu mice) treatment dose dependently inhibits the BaF3-tel-c-KIT-T670I tumor progression and exhibited almost 100% TGI (tumor growth inhibition) at a dosage of 100 mg/kg/day. And do not affect the animal weights[1]. Animal Model: Female BALB/C-nu mice bearing established BaF3-tel-c-KIT-T670I tumor xenografts[1]

[1]. Wu Y, et al. Discovery of 2-(4-Chloro-3-(trifluoromethyl)phenyl)-N-(4-((6,7-dimethoxyquinolin-4-yl)oxy)phenyl)acetamide (CHMFL-KIT-64) as a Novel Orally Available Potent Inhibitor against Broad-Spectrum Mutants of c-KIT Kinase for Gastrointestinal Stromal Tumors. J Med Chem. 2019 Jul 11;62(13):6083-6101.

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