Product Data Sheet

Product Name: c-Kit-IN-3 hydrochloride
Cat. No.: GC38757

Chemical Properties

Cas. No.			
SMILES	[H]Cl.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)=C4		
Formula	$C_{26}H_{21}Cl_2F_3N_2O_4$	M.Wt	553.36
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	c-Kit-IN-3 hydrochloride		

Background

c-Kit-IN-3 hydrochloride (Compound 18) is a potent c-KIT kinase inhibitor, which is potent and selective against BaF3-tel-c-KIT (IC50 of 4 nM) and a broad spectrum of drug-resistant mutants (IC50 of 8 nM for BaF3-tel-c-KIT-T670I) with improved bioavailability[1].

c-Kit-IN-3 hydrochloride (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].c-Kit-IN-3 hydrochloride(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 hydrochloride (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 hydrochloride (Compound 18; 0.1-10 μM; 6 days; primary GIST patient cells) exhibits dose-dependent antiproliferative effects[1].c-Kit-IN-3 hydrochloride (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 hydrochloride (Compound 18; 0-1 μM; 2 hours) induces dose-dependent cell apoptotic death (by examining the cleaved PARP and cleaved caspase 3) and arrest the cell cycle into the G0/G1 phase in all of these three cell lines[1].

c-Kit-IN-3 hydrochloride (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

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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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