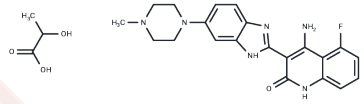
Data Sheet (Cat.No.T7104)

TargetMol

Dovitinib lactate

Chemical Properties



CAS No. : 692737-80-7

Formula: C24H27FN6O4

Molecular Weight: 482.51

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Dovitinib lactate (TKI-258 lactate)(TKI258) lactate is a potent inhibitor of fibroblast growth factor receptor 3 (FGFR3) (IC50 :5 nM).
Targets(IC50)	FGFR,FLT,c-Kit,PDGFR,VEGFR
In vitro	ALL cells were extremely sensitive to TKI258 treatment with a concentration for 50% inhibition of cell proliferation (IC50) values in the nanomolar range in vitro.?By combination with mTOR inhibitor RAD001, a synergistic effect on cell death and cell proliferation was observed in these cells[1].Treatment of SK-HEP1 cells with dovitinib resulted in G2/M cell cycle arrest, inhibition of colony formation in soft agar and blockade of bFGF-induced cell migration. Dovitinib inhibited basal expression and FGF-induced phosphorylation of FGFR-1, FRS2-α and ERK1/2[2]
In vivo	In vivo, dovitinib potently inhibited tumor growth of six HCC lines.?Inhibition of angiogenesis correlated with inactivation of FGFR/PDGFR-β/VEGFR-2 signaling pathways.?Dovitinib also caused dephosphorylation of retinoblastoma, upregulation of p-histone H2A-X and p27, and downregulation of p-cdk-2 and cyclin B1, which resulted in a reduction in cellular proliferation and the induction of tumor cell apoptosis.?In an orthotopic model, dovitinib potently inhibited primary tumor growth and lung metastasis and significantly prolonged mouse survival[2].
Cell Research	Determination of cell proliferation by 3,[4,5-dimethylthiazol-2-yl]-2,5-diphenyl-tetrazolium bromide (MTT) assay.?Different leukemic cells were seeded into a 96-well plate at a density of 5×10^3 cells per well and exposed to different concentrations of TKI258, with or without RAD001, in culture medium.?After incubation for indicated time points.Cell-cycle analysis:For cell-cycle analysis, cells were exposed to different concentrations of TKI258, with or without RAD001, in growth medium.?After different culture durations, cells were harvested and fixed in 70% ethanol at 4°C for over 30 min. After incubation for indicated time points, DNA contents were stained.Apoptosis analysis:Cell apoptosis was detected by determining phosphatidylserine expression on the cell surface[1].
Animal Research	21-0208 and SK-HEP1 cells as well as patient-derived HCC models were employed to study the antitumor effect of dovitinib.?Changes of biomarkers relevant to FGFR/VEGFR/PDGFR pathways were determined by Western blotting.?Microvessel density, apoptosis and cell proliferation were analyzed by immunohistochemistry[1].

Solubility Information

Solubility	DMSO: 25 mg/mL (51.81 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0725 mL	10.3625 mL	20.725 mL
5 mM	0.4145 mL	2.0725 mL	4.145 mL
10 mM	0.2072 mL	1.0362 mL	2.0725 mL
50 mM	0.0414 mL	0.2072 mL	0.4145 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Eucker J , Zang C , Zhou Y , et al. TKI258, a Multi-tyrosine Kinase Inhibitor Is Efficacious Against Human Infant/Childhood Lymphoblastic Leukemia In Vitro[J]. Anticancer research, 2014, 34(9):4899-4907.
Huynh H , Chow P K H , Tai W M , et al. Dovitinib demonstrates antitumor and antimetastatic activities in xenograft models of hepatocellular carcinoma[J]. Journal of Hepatology, 2012, 56(3):0-601.