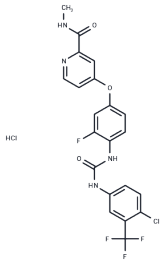
Data Sheet (Cat.No.T8402)

TargetMol

Regorafenib Hydrochloride

Chemical Properties



CAS No. : 835621-07-3

Formula: C21H16Cl2F4N4O3

Molecular Weight: 519.28

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	Regorafenib Hydrochloride (BAY73-4506 hydrochloride) is a new oral multikinase inhibitor of angiogenic, stromal and oncogenic receptor tyrosine kinases with potent preclinical antitumor activity
Targets(IC50)	Raf,c-RET,Autophagy,PDGFR,VEGFR
In vitro	Regorafenib inhibits additional angiogenic kinases (VEGFR1/3, platelet-derived growth factor receptor-β and fibroblast growth factor receptor 1) and the mutant oncogenic kinases KIT, RET and B-RAF.
In vivo	Regorafenib administered once orally at 10 mg/kg significantly decreased the extravasation of Gadomer in the vasculature of rat GS9L glioblastoma tumor xenografts.?In a daily (qd)×4 dosing study, the pharmacodynamic effects persisted for 48 hr after the last dosing and correlated with tumor growth inhibition (TGI).?A significant reduction in tumor microvessel area was observed in a human colorectal xenograft after qd×5 dosing at 10 and 30 mg/kg.?Regorafenib exhibited potent dose-dependent TGI in various preclinical human xenograft models in mice, with tumor shrinkages observed in breast MDA-MB-231 and renal 786-O carcinoma models.? Pharmacodynamic analyses of the breast model revealed strong reduction in staining of proliferation marker Ki-67 and phosphorylated extracellular regulated kinases 1/2[1].

Solubility Information

Solubility	DMSO: 62.5 mg/mL (120.36 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: < 6.25 mg/mL (12.04 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 6.25 mg/mL (12.04 mM),Suspension. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9257 mL	9.6287 mL	19.2574 mL
5 mM	0.3851 mL	1.9257 mL	3.8515 mL
10 mM	0.1926 mL	0.9629 mL	1.9257 mL
50 mM	0.0385 mL	0.1926 mL	0.3851 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

Wilhelm SM, et al. Regorafenib (BAY 73-4506): a new oral multikinase inhibitor of angiogenic, stromal and oncogenic receptor tyrosine kinases with potent preclinical antitumor activity. Int J Cancer, 2011, 129(1), 245-255.
Carr BI, et al. Fluoro-Sorafenib (Regorafenib) effects on hepatoma cells: growth inhibition, quiescence, and recovery. J Cell Physiol, 2013, 228(2), 292-297.