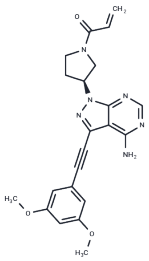
Data Sheet (Cat.No.T5044)

TargetMol

Futibatinib

Chemical Properties



CAS No. : 1448169-71-8

Formula: C22H22N6O3

Molecular Weight: 418.45

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	Futibatinib (TAS-120) is an orally active, potent, selective, highly bioavailable, and irreversible FGFR inhibitor with IC50 values of 3.9, 1.3, 1.6, and 8.3 nM for FGFR1, FGFR2, FGFR3, and FGFR4, respectively. [2]
Targets(IC50)	FGFR
In vitro	TAS-120 (3, 30, 100 mg/kg/day, p.o.) exerts an anti-tumor effect in mice. TAS-120 shows anti-tumor effect by administering at moderate intervals, such as intermittent administration of every other day dosing and 2 times/week, and reducing the sustained elevation and weight suppression blood phosphorus level, and take a antitumor effective as daily administration.
In vivo	**METHODS**: Patient-derived ICC xenograft (PDX) model mice were treated with 25 mg/kg Futibatinib（TAS-120） orally daily for 3 and 14 days prior to harvest. Tumor samples were collected for biochemical analysis and histological processing. **RESULTS** Treatment of MG69 PDX tumors with TAS-120 (beginning when they reached ~500 mm in volume 3) resulted in tumor regression and complete proliferation arrest, with effects evident within three days and sustained over the 14-day treatment course. [1]

Solubility Information

Solubility	DMSO: 62.5 mg/mL (149.36 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 2.5 mg/mL (5.97 mM),Sonication is recommended. 10% DMSO+90% Saline: < 6.25 mg/mL (14.94 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+90% Corn oil: 6.25 mg/mL (14.94 mM),Solution. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: < 6.25 mg/mL (14.94 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+90% (20% SBE-β-CD in Saline): < 6.25 mg/mL (14.94 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. *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*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3898 mL	11.9489 mL	23.8977 mL
5 mM	0.478 mL	2.3898 mL	4.7795 mL
10 mM	0.239 mL	1.1949 mL	2.3898 mL
50 mM	0.0478 mL	0.239 mL	0.478 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

Goyal L, et al. TAS-120 Overcomes Resistance to ATP-Competitive FGFR Inhibitors in Patients with FGFR2 Fusion-Positive Intrahepatic Cholangiocarcinoma. Cancer Discov. 2019 Aug;9(8):1064-1079.
Kalyukina M,et al. TAS-120 Cancer Target Binding: Defining Reactivity and Revealing the First Fibroblast Growth Factor Receptor1 (FGFR1) Irreversible Structure. ChemMedChem. 2019 Feb 19;14(4):494-500.