Futibatinib

Cat. No.: HY-100818

CAS No.: 1448169-71-8

Molecular Formula: $C_{22}H_{22}N_6O_3$

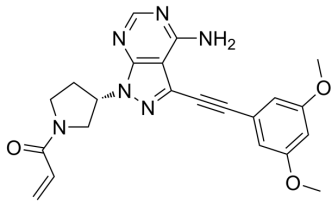
Molecular Weight: 418.45

Target: FGFR

Pathway: Protein Tyrosine Kinase/RTK

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	1 year
	-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 29 mg/mL (69.30 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3898 mL	11.9489 mL	23.8977 mL
	5 mM	0.4780 mL	2.3898 mL	4.7795 mL
	10 mM	0.2390 mL	1.1949 mL	2.3898 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.08 mg/mL (4.97 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (4.97 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.97 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Futibatinib (TAS-120) is an orally bioavailable, highly selective, and irreversible FGFR inhibitor, with IC_{50}s of 3.9, 1.3, 1.6, and 8.3 nM for FGFR 1-4, respectively. Futibatinib inhibits mutant and wild-type FGFR2 with similar IC_{50}s (wild-type FGFR2=0.9 nM; V565I=1-3 nM; N550H=3.6 nM; E566G=2.4 nM)[1][2][3].

IC_{50} & Target				
	FGFR1	FGFR2	FGFR3	FGFR4
	3.9 nM (IC_{50})	1.3 nM (IC_{50})	1.6 nM (IC_{50})	8.3 nM (IC_{50})

	wild-type FGFR2 0.3 nM (IC_{50})	FGFR2 V5651 1-3 nM (IC_{50})	FGFR2 N550H 3.6 nM (IC_{50})	FGFR2 E566G 2.4 nM (IC_{50})
In Vitro	Futibatinib (TAS-120) covalently binds to a highly conserved P-loop cysteine residue in the ATP pocket of FGFR[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.			
In Vivo	Futibatinib (TAS-120) (3, 30, 100 mg/kg/day, p.o.) exerts an anti-tumor effect in mice. Futibatinib (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[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.			

PROTOCOL

Animal Administration [1]	It is transplanted to the right chest of the anti-tumor effect human gastric cancer strain (OCUM-2MD3) the old 6-week-old male nude rats with intermittent administration schedule in Test Example 7 rat. Measuring the major axis of tumor (mm) and minor axis (mm) after tumor implantation, the tumor volume: After calculating the (tumor volume TV), allocates the mouse average TV each group to be equal in each group, the the days that are conducted grouped the (n=5) is the day 0. Futibatinib (TAS-120) 3 mg/kg/day, 30 mg/kg/day, is prepared so as to 100 mg/kg/day, 3 mg/kg/day is daily administered orally, 30 mg/kg/day is administered orally every other day, 100 mg/kg/day is performed oral administration of 2 time/week from day 1, provided with the evaluation period of 14 days, the final valuation date it is day 15. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Pharm Biomed Anal. 2022 May 30;214:114731.

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REFERENCES

[1]. 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.

[2]. Kalyukina M, et al. TAS-120 Cancer Target Binding: Defining Reactivity and Revealing the First Fibroblast Growth Factor Receptor 1 (FGFR1) Irreversible Structure. ChemMedChem. 2019 Feb 19;14(4):494-500.

[3]. Lamarca A, et al. Molecular targeted therapies: Ready for "prime time" in biliary tract cancer [published online ahead of print, 2020 Mar 12]. J Hepatol. 2020;S0168-8278(20)30165-3.

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