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产品名称: **FGFR-IN-1**
产品别名: **Futibatinib; TAS-120**

生物活性:				
Description	Futibatinib (TAS-120) is an orally bioavailable, highly selective, and irreversible FGFR inhibitor. Futibatinib (TAS-120) covalently binds to a highly conserved P-loop cysteine residue in the ATP pocket of FGFR. Futibatinib (TAS-120) exhibits potency at low nanomolar concentrations and high specificity against wild-type FGFR1/2/3/4 as well as against some FGFR2 kinase domain mutations[1][2].			
IC ₅₀ & Target	FGFR			
In Vitro	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] .			
Solvent&Solubility	In Vitro: DMSO : ≥ 29 mg/mL (69.30 mM) * "≥" means soluble, but saturation unknown.			
		Solvent Mass Concentration	1 mg	5 mg
	Preparing	1 mM	2.3898 mL	11.9489 mL
	Stock Solutions	5 mM	0.4780 mL	2.3898 mL
		10 mM	0.2390 mL	1.1949 mL
*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液。一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。 储备液的保存方式和期限: -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。 In Vivo: 请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液, 再依次添加助溶剂: ——为保证实验结果的可靠性, 澄清的储备液可以根据储存条件, 适当保存; 体内实验的工作液, 建议您现用现配, 当天使用; 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比; 如在配制过程中出现沉淀、析出现象, 可以通过加热和/或超声的方式助溶 1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: ≥ 2.5 mg/mL (5.97 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.97 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中, 混合均匀, 向上述体系中加入 50 μL Tween-80, 混合均匀; 然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (5.97 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.97 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理				



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	盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (5.97 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.97 mM, 饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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.
实验参考:	
Animal Administration	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. [1]
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.