



上海源叶生物科技有限公司
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产品名称: **Selpercatinib**
CAS 号: **2152628-33-4**
产品货号: **S89135**

生物活性:

Description	Selpercatinib (LOXO-292) 是一种有效的选择性 RET 激酶抑制剂, 抑制 RET (WT), RET (V804M) 和 RET (G810R), 其 IC50 值分别为 14.0 nM, 24.1 nM 和 530.7 nM。Selpercatinib 具有抗肿瘤作用。			
IC50 & Target[6][1]	IC50: 14.0 nM (RET ^{WT}), 24.1 nM (RET ^{V804M}), and 530.7 nM (RET ^{G810R})[2]			
Cellular Effect	Cell Line	Type	Value	Description
	BaF3	IC ₅₀	0.01 μM	Cytotoxicity against mouse BaF3 cells expressing KI5B-RET kinase fusion protein assessed as inhibition of cell proliferation incubated for 72 hrs by CCK8 assay
	BaF3	IC ₅₀	0.02 μM	Cytotoxicity against mouse BaF3 cells expressing KI5B-RET kinase-V804L mutant fusion protein assessed as inhibition of cell proliferation incubated for 72 hrs by CCK8 assay
	BaF3	IC ₅₀	0.1 μM	Cytotoxicity against mouse BaF3 cells expressing KI5B-RET kinase-V804M mutant fusion protein assessed as inhibition of cell proliferation incubated for 72 hrs by CCK8 assay
	BaF3	IC ₅₀	0.26 μM	Cytotoxicity against mouse BaF3 cells expressing KI5B-RET kinase-G810A mutant fusion protein assessed as inhibition of cell proliferation incubated for 72 hrs by CCK8 assay
	BaF3	IC ₅₀	0.4 μM	Cytotoxicity against mouse BaF3 cells expressing KI5B-RET kinase-G810S mutant fusion protein assessed as inhibition of cell proliferation incubated for 72 hrs by CCK8 assay
	BaF3	IC ₅₀	10.6 nM	Antiproliferative activity against mouse BaF3 cells expressing wildtype rearranged during transfection kinase-KIF5B incubated for 74 to 76 hrs by cell counting kit 8 method
	BaF3	IC ₅₀	1102 nM	Antiproliferative activity against mouse BaF3 cells expressing rearranged during transfection kinase G810R-CCDC6 incubated for 74 to 76 hrs by cell counting kit 8 method
	BaF3	IC ₅₀	1242 nM	Antiproliferative activity against mouse BaF3 cells expressing rearranged during transfection kinase V804L-G810C incubated for 74 to 76 hrs by cell counting kit 8 method
	BaF3	IC ₅₀	17.4 nM	Antiproliferative activity against mouse BaF3 cells expressing rearranged during transfection kinase V804M-CCDC6 incubated for 74 to 76 hrs by cell counting kit 8 method
	BaF3	IC ₅₀	2.1 μM	Cytotoxicity against mouse BaF3 cells expressing KI5B-RET kinase-G810R mutant fusion protein assessed as inhibition of cell proliferation incubated for 72 hrs by CCK8 assay



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	BaF3	IC ₅₀	253 nM	Antiproliferative activity against mouse BaF3 cells expressing rearranged during transfection kinase V804L-G810S incubated for 74 to 76 hrs by cell counting kit 8 method
	BaF3	IC ₅₀	37.8 nM	Antiproliferative activity against mouse BaF3 cells expressing rearranged during transfection kinase V804L-KIF5B incubated for 74 to 76 hrs by cell counting kit 8 method
	BaF3	IC ₅₀	4340 nM	Antiproliferative activity against mouse BaF3 cells expressing rearranged during transfection kinase V804L-G810R incubated for 74 to 76 hrs by cell counting kit 8 method
	BaF3	IC ₅₀	472 nM	Antiproliferative activity against mouse BaF3 cells expressing rearranged during transfection kinase G810C-CCDC6 incubated for 74 to 76 hrs by cell counting kit 8 method
	BaF3	IC ₅₀	5.8 μM	Cytotoxicity against mouse BaF3 cells expressing KI5B-RET kinase-G810C mutant fusion protein assessed as inhibition of cell proliferation incubated for 72 hrs by CCK8 assay
	BaF3	IC ₅₀	7.4 nM	Antiproliferative activity against mouse BaF3 cells expressing wildtype rearranged during transfection kinase-CCDC6 incubated for 74 to 76 hrs by cell counting kit 8 method
	BaF3	IC ₅₀	72 nM	Antiproliferative activity against mouse BaF3 cells expressing rearranged during transfection kinase V804M-KIF5B incubated for 74 to 76 hrs by cell counting kit 8 method
	BaF3	IC ₅₀	> 10000 nM	Antiproliferative activity against mouse BaF3 cells incubated for 74 to 76 hrs by cell counting kit 8 method
In Vivo	Selpercatinib (LOXO-292; 10 mg/kg; i.g.; for 0-2 h) has good pharmacokinetics after oral gavage in FVB/NRj mice[1].			
	Pharmacokinetic Parameters of Selpercatinib in FVB/NRj mice[1].			
	Administration		i.g. (10 mg/kg)	
	T _{max} (h)		1.8	
	C _{max} (ng/mL)		7862	
	AUC (ng·h/mL)		26649	
	FVB/NRj mice, 10 mg/kg i.g.[1]			
	Animal Model:		Male FVB/NRj mice[1]	
	Dosage:		10 mg/kg	
	Administration:		Oral gavage; for 7.5 min, 15 min, 30 min, 1 h and 2 h (Pharmacokinetic Analysis)	
Result:		Had good pharmacokinetics after oral gavage in FVB/NRj mice.		



Solvent&Solubility	细胞实验:				
	DMSO 中的溶解度 : 62.5 mg/mL (118.91 mM; 超声助溶; 吸湿的 DMSO 对产品的溶解度有显著影响, 请使用新开封的 DMSO)				
	Preparing Stock Solutions	Solvent / Mass Concentration	1 mg	5 mg	10 mg
		1 mM	1.9026 mL	9.5129 mL	19.0259 mL
		5 mM	0.3805 mL	1.9026 mL	3.8052 mL
10 mM		0.1903 mL	0.9513 mL	1.9026 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, 2 years; -20°C, 1 year。 -80°C 储存时, 请在 2 年内使用, -20°C 储存时, 请在 1 年内使用。 动物实验: 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 方案 一 请依序添加每种溶剂: 10% DMSO → 40% PEG300 → 5% Tween-80 → 45% Saline Solubility: ≥ 2.08 mg/mL (3.96 mM); 澄清溶液 此方案可获得 ≥ 2.08 mg/mL (饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μL 20.8 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中, 混合均匀; 再向上述体系中加入 50 μL Tween-80, 混合均匀; 然后再继续加入 450 μL 生理盐水 定容至 1 mL。 生理盐水的配制: 将 0.9 g 氯化钠, 溶解于 ddH₂O 并定容至 100 mL, 可以得到澄清透明的生理盐水溶液。 方案 二 请依序添加每种溶剂: 10% DMSO → 90% (20% SBE-β-CD in Saline) Solubility: ≥ 2.08 mg/mL (3.96 mM); 澄清溶液 此方案可获得 ≥ 2.08 mg/mL (饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μL 20.8 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液 中, 混合均匀。 2 g SBE-β-CD (磺丁基醚 β-环糊精) 粉末定容于 10 mL 的生理盐水中, 完全溶解至澄清透明。 方案 三 请依序添加每种溶剂: 10% DMSO → 90% Corn Oil Solubility: ≥ 2.08 mg/mL (3.96 mM); 澄清溶液 此方案可获得 ≥ 2.08 mg/mL (饱和度未知) 的澄清溶液, 此方案实验周期在半个月以上的动物实验酌情使用。 以 1 mL 工作液为例, 取 100 μL 20.8 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。					
参考文献	[1]. Şentürk R, et, al. Quantitative bioanalytical assay for the selective RET inhibitors selpercatinib and pralsetinib in mouse plasma and tissue homogenates using liquid chromatography-tandem mass				



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spectrometry. J Chromatogr B Analyt Technol Biomed Life Sci. 2020 Jun 15;1147:122131.

[2]. Steven W. Andrews, et al. Substituted pyrazolo[1,5-a]pyridine compounds as ret kinase inhibitors.

完整储备液配制表:

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, 2 years; -20° C, 1 year。 -80° C 储存时,请在 2 年内使用, -20° C 储存时,请在 1 年内使用。

可选溶剂	质量 溶剂体积 浓度	1 mg	5 mg	10 mg	25 mg
DMSO	1 mM	1.9026 mL	9.5129 mL	19.0259 mL	47.5647 mL
	5 mM	0.3805 mL	1.9026 mL	3.8052 mL	9.5129 mL
	10 mM	0.1903 mL	0.9513 mL	1.9026 mL	4.7565 mL
	15 mM	0.1268 mL	0.6342 mL	1.2684 mL	3.1710 mL
	20 mM	0.0951 mL	0.4756 mL	0.9513 mL	2.3782 mL
	25 mM	0.0761 mL	0.3805 mL	0.7610 mL	1.9026 mL
	30 mM	0.0634 mL	0.3171 mL	0.6342 mL	1.5855 mL
	40 mM	0.0476 mL	0.2378 mL	0.4756 mL	1.1891 mL
	50 mM	0.0381 mL	0.1903 mL	0.3805 mL	0.9513 mL
	60 mM	0.0317 mL	0.1585 mL	0.3171 mL	0.7927 mL
	80 mM	0.0238 mL	0.1189 mL	0.2378 mL	0.5946 mL
	100 mM	0.0190 mL	0.0951 mL	0.1903 mL	0.4756 mL