



上海源叶生物科技有限公司
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产品名称: **Glumetinib**
CAS 号: **1642581-63-2**
产品货号: **S88460**

生物活性:					
Description	Glumetinib (SCC244) 是一种高选择性、口服生物利用度、ATP 竞争性 c-Met 抑制剂, IC50 为 0.42 nM。Glumetinib 对 c-Met 的选择性超过 312 种激酶, 包括 c-Met 家族成员 RON 和高度同源的激酶 Axl、Mer、TyrO3。Glumetinib 具有抗肿瘤活性。				
IC50 & Target	IC50: 0.42 nM (c-Met kinase)[1]				
Cellular Effect	Cell Line	Type	Value	Description	
	EBC-1	IC50	5 nM	Antiproliferative activity against human EBC-1 cells assessed as inhibition of cell growth	
In Vitro	Glumetinib (SCC244) (0-10 nM; 72 hours) elicits selective and profound effects against c-Met - driven cancer cell proliferation[1].				
	Glumetinib (0-50 nM; 24 hours) induces G1 - S phase cell-cycle arrest in c-Met - addicted human cancer cells[1]				
	Cell Proliferation Assay[1]				
	Cell Line:		EBC-1, SNU-5, MKN-45, BaF3/TPR-Met cells		
	Concentration:		0-10 nM		
	Incubation Time:		72 hours		
	Result:		Specifically and potently inhibited proliferation of c-Met-addicted human cancer cells (IC50 ranging 0.5 to 2.45 nM for EBC-1, SNU-5, MKN-45, BaF3/TPR-Met cells).		
	Cell Cycle Analysis[1]				
	Cell Line:		EBC-1 and MKN-45 cells		
	Concentration:		0-50 nM		
In Vivo	Incubation Time:		24 hours		
	Result:		Consistently induced G1-S cell-cycle arrest.		
	Glumetinib (2.5-10 mg/kg; p.o.; once daily for 2-3 weeks) significantly inhibits c-Met - driven tumor growth in cancer CDX models[1].				
	Glumetinib shows significant antitumor efficiency in NSCLC and HCC tumor PDX models with MET aberration[1]。				
	Animal Model:		Female nude mice (4-6 weeks old) (MKN-45 model)[1]		
	Dosage:		10, 5, 2.5 mg/kg		
	Administration:		P.o.; once daily for 2-3 weeks		
	Result:		Significantly inhibited tumor growth with inhibitory rates of 99.3%, 88.6%, and 63.6% at doses of 10, 5, and 2.5 mg/kg, respectively.		
	细胞实验:				
Solvent&Solubility	DMSO 中的溶解度 : 41.67 mg/mL (90.69 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	Solvent Concentration	Mass	1 mg	5 mg
1 mM			2.1764 mL	10.8819 mL	21.7637 mL



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	Stock Solutions	5 mM	0.4353 mL	2.1764 mL	4.3527 mL
		10 mM	0.2176 mL	1.0882 mL	2.1764 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。				
参考文献	[1]. Ai J, et al. Preclinical Evaluation of SCC244 (Glumetinib), a Novel, Potent, and Highly Selective Inhibitor of c-Met in MET-dependent Cancer Models. Mol Cancer Ther. 2018 Apr;17(4):751-762.				

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, 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。

可选溶剂	质量 溶剂体积 浓度	1 mg	5 mg	10 mg	25 mg
DMSO	1 mM	2.1764 mL	10.8819 mL	21.7637 mL	54.4093 mL
	5 mM	0.4353 mL	2.1764 mL	4.3527 mL	10.8819 mL
	10 mM	0.2176 mL	1.0882 mL	2.1764 mL	5.4409 mL
	15 mM	0.1451 mL	0.7255 mL	1.4509 mL	3.6273 mL
	20 mM	0.1088 mL	0.5441 mL	1.0882 mL	2.7205 mL
	25 mM	0.0871 mL	0.4353 mL	0.8705 mL	2.1764 mL
	30 mM	0.0725 mL	0.3627 mL	0.7255 mL	1.8136 mL
	40 mM	0.0544 mL	0.2720 mL	0.5441 mL	1.3602 mL
	50 mM	0.0435 mL	0.2176 mL	0.4353 mL	1.0882 mL
	60 mM	0.0363 mL	0.1814 mL	0.3627 mL	0.9068 mL
	80 mM	0.0272 mL	0.1360 mL	0.2720 mL	0.6801 mL