



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyyssw@sina.com

产品名称: **L-168049**
CAS 号: **191034-25-0**
产品货号: **T70561**

生物活性:

Description	L-168049 is a potent, selective, orally active and non-competitive glucagon receptor antagonist with IC50s of 3.7 nM, 63 nM, and 60 nM for human, murine, and canine glucagon receptors, respectively[1][2].				
IC50 & Target	IC50: 3.7 nM (human glucagon receptor), 63 nM (murine glucagon receptor), and 60 nM (canine glucagon receptor)[2]				
In Vitro	L-168049 (compound 49) inhibits glucagon (100 pM) stimulated cAMP synthesis in CHO cells expressing the human glucagon receptor (hGIAR) (IC50 of 41 nM). L-168049 blocks cAMP formation stimulated by glucagon in murine liver membranes[1]. L-168049 increases the apparent EC50 for glucagon stimulation of adenylyl cyclase in Chinese hamster ovary cells expressing the human glucagon receptor and decreases the maximal glucagon stimulation observed, with a Kb of 25 nM[2].				
In Vivo	In the liver of L-G6pc/- mice, Pck1 mRNA expression is decreased by half 6 h after the administration of L-168049 (50 mg/kg body; p.o.), demonstrating the efficiency of the suppression of glucagon signaling. In agreement with the role of glucagon in the induction of extrahepatic gluconeogenesis, the administration of the L-168049 prevents the increase of the G6pc expression in both the kidneys and intestine of 6 h-fasted L-G6pc/- mice[3].				
Solvent&Solubility	细胞实验: DMSO 中的溶解度 : ≥ 50 mg/mL (106.89 mM; 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	2.1377 mL	10.6886 mL	21.3771 mL
		5 mM	0.4275 mL	2.1377 mL	4.2754 mL
		10 mM	0.2138 mL	1.0689 mL	2.1377 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]. S E de Laszlo, et al. Potent, orally absorbed glucagon receptor antagonists. Bioorg Med Chem Lett. 1999 Mar 8;9(5):641-6. [2]. M A Cascieri, et al. Characterization of a novel, non-peptidyl antagonist of the human glucagon receptor. J Biol Chem. 1999 Mar 26;274(13):8694-7. [3]. Elodie Mutel, et al. Control of blood glucose in the absence of hepatic glucose production during prolonged fasting in mice: induction of renal and intestinal gluconeogenesis by glucagon. Diabetes. 2011 Dec;60(12):3121-31.				

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。



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyyssw@sina.com

可选溶剂	质量 溶剂体积 浓度	1 mg	5 mg	10 mg	25 mg
DMSO	1 mM	2.1377 mL	10.6886 mL	21.3771 mL	53.4428 mL
	5 mM	0.4275 mL	2.1377 mL	4.2754 mL	10.6886 mL
	10 mM	0.2138 mL	1.0689 mL	2.1377 mL	5.3443 mL
	15 mM	0.1425 mL	0.7126 mL	1.4251 mL	3.5629 mL
	20 mM	0.1069 mL	0.5344 mL	1.0689 mL	2.6721 mL
	25 mM	0.0855 mL	0.4275 mL	0.8551 mL	2.1377 mL
	30 mM	0.0713 mL	0.3563 mL	0.7126 mL	1.7814 mL
	40 mM	0.0534 mL	0.2672 mL	0.5344 mL	1.3361 mL
	50 mM	0.0428 mL	0.2138 mL	0.4275 mL	1.0689 mL
	60 mM	0.0356 mL	0.1781 mL	0.3563 mL	0.8907 mL
	80 mM	0.0267 mL	0.1336 mL	0.2672 mL	0.6680 mL
	100 mM	0.0214 mL	0.1069 mL	0.2138 mL	0.5344 mL

源叶