



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: shyysw@sina.com

产品名称: **Eliglustat**
产品别名: 依利格鲁司特; **Genz 99067**

生物活性:					
Description	Eliglustat is an specific, potent and orally active glucocerebroside synthase inhibitor with an IC50 of 24 nM.				
IC ₅₀ & Target	IC50: 24 nM (glucocerebroside synthase)[1]				
In Vitro	Eliglustat tartrate shows good potency with an IC50 of 24 nM and specificity against the target enzyme[1].Incubating K562 or B16/F10 cells for 72 h with increasing amounts of Genz-112638 (0.6-1000 nM) results in a dose-dependent reduction of cell surface levels of both GM1 and GM3. The mean IC50 value for inhibiting the cell surface presentation of GM1 in K562 cells was 24 nM (range 14-34 nM) and that for GM3 in B16/F10 cells was 29 nM (range 12-48 nM)[1].				
In Vivo	Mice that received drug prior to significant accumulation of substrate (10 weeks of age) showed reduced levels of glucosylceramide and number of Gaucher cells in the spleen, lung and liver when compared to age-matched control animals[1].				
Solvent&Solubility	<i>In Vitro:</i> DMSO : ≥ 100 mg/mL (247.19 mM) * "≥" means soluble, but saturation unknown.				
	<div>Preparing Stock Solutions</div>	<div>Solvent / Mass / Concentration</div>	1 mg	5 mg	10 mg
		1 mM	2.4719 mL	12.3597 mL	24.7194 mL
		5 mM	0.4944 mL	2.4719 mL	4.9439 mL
		10 mM	0.2472 mL	1.2360 mL	2.4719 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℃, 6 months; -20℃, 1 month。 -80℃ 储存时, 请在 6 个月内使用, -20℃ 储存时, 请在 1 个月内使用。				
References	[1]. McEachern KA, et al. A specific and potent inhibitor of glucosylceramide synthase for substrate inhibition therapy ofGaucher disease. Mol Genet Metab. 2007 Jul;91(3):259-68.				
实验参考:					
Cell Assay	The inhibitory activity of Genz-112638 is determined indirectly by measuring its effect on the cell surface levels of the gangliosides GM1 and GM3 on either K562 or B16/F10 cells. GM1 levels on the K562 cells are determined by incubating the cells with increasing amounts of Genz-112638 (0.6-1000 nM) for 72 h after which the cells are harvested and stained using 10 µg of recombinant cholera toxin-FITC in 100 µL phosphate buffered saline (PBS) containing 0.5% bovine serum albumin (BSA) for 30 min on ice. Cells are ished, resuspended in PBS containing 0.5% BSA and the fluorescence quantitated[1].				
Animal Administration	Mice: Eliglustat hemitartrate is dissolved in water for injection and administered in a dose escalation from 75 mg/kg/day to 150 mg/kg/day over the course of nine days, with three days at each dose and increments of 25 mg/kg/day. Mice are weighed three times per week to monitor the potential impact of the drug on their overall health. Animals are killed by carbon dioxide inhalation[1].				



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