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产品名称: 靛玉红-3'-单肟

产品别名: Indirubin-3'-monoxime; Indirubin-3'-oxime

生物活性:				
Description	Indirubin-3'-monoxime is a potent GSK-3 β inhibitor, and weakly inhibits 5-Lipoxygenase, with IC ₅₀ s of 22 nM and 7.8-10 μ M, respectively; Indirubin-3'-monoxime also shows inhibitory activities against CDK5/p25 and CDK1/cyclin B, with IC ₅₀ s of 100 and 180 nM.			
IC ₅₀ & Target	GSK-3 β	CDK5/p25	CDK1/cyclin B	5-LOX
	22 nM (IC ₅₀)	100 nM (IC ₅₀)	180 nM (IC ₅₀)	7.8-10 μ M (IC ₅₀)
In Vitro	Indirubin-3'-monoxime inhibits GSK-3 β by competing with ATP, with K _i of 0.85 μ M, and K _m of 110 μ M. Indirubin-3'-monoxime also inhibits tau phosphorylation by GSK-3 β , with an IC ₅₀ value of around 100 nM. Indirubin-3'-monoxime completely inhibits the phosphorylation of the AT100 epitope ^[1] . Indirubin-3'-monoxime inhibits vascular smooth muscle cell (VSMC) proliferation with IC ₅₀ of ~2 μ M. Indirubin-3'-monoxime blunts migration of VSMC stimulated with the PDGF. Indirubin-3'-monoxime interferes with the migratory response in VSMC, and also suppresses the production of pro-migratory LT in monocytes. Moreover, Indirubin-3'-monoxime inhibits 5-lipoxygenase (5-LO) product synthesis in monocytes and neutrophils, with the same potency (IC ₅₀ =5.0 \pm 1.1 and 3.7 \pm 1.2 μ M, respectively). Indirubin-3'-monoxime is an inhibitor of 5-LO, with IC ₅₀ of 7.8-10 μ M in cell-free assay ^[3] .			
In Vivo	Indirubin-3'-monoxime (0.1, 0.2 and 0.4 mg/kg, i.p) dose dependently reverses the cognitive impairment and combats the elevated oxidative stress markers in HFD fed mice. Indirubin-3'-monoxime also dose dependently lowers the serum glucose, TGs, TC and insulin levels, and improves the β -cell functioning in HFD fed mice. Moreover, Indirubin-3'-monoxime treatment significantly decreases HOMA-IR levels compared to HFD group. Indirubin-3'-monoxime (0.4 mg/kg) significantly attenuates the increased EL in the HFD group ^[2] .			
Solvent&Solubility	In Vitro: DMSO : \geq 37 mg/mL (133.44 mM) * " \geq " means soluble, but saturation unknown.			
	<div>Preparing Stock Solutions</div>	<div>Solvent Mass Concentration</div>	1 mg	5 mg
		1 mM	3.6065 mL	18.0323 mL
		5 mM	0.7213 mL	3.6065 mL
		10 mM	0.3606 mL	1.8032 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			



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	<p>现沉淀、析出现象，可以通过加热和/或超声的方式助溶</p> <p>1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline</p> <p>Solubility: ≥ 2.5 mg/mL (9.02 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (9.02 mM, 饱和度未知) 的澄清溶液。</p> <p>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。</p>
References	<p>[1]. Leclerc S, et al. Indirubins inhibit glycogen synthase kinase-3 beta and CDK5/p25, two protein kinases involved in abnormal tau phosphorylation in Alzheimer's disease. A property common to most cyclin-dependent kinase inhibitors? J Biol Chem. 2001 Jan 5;276(1):251-60.</p> <p>[2]. Sharma S, et al. Neuroprotective role of Indirubin-3'-monoxime, a GSKβ inhibitor in high fat diet induced cognitive impairment in mice. Biochem Biophys Res Commun. 2014 Oct 3;452(4):1009-15.</p> <p>[3]. Blazevic T, et al. Indirubin-3'-monoxime exerts a dual mode of inhibition towards leukotriene-mediated vascular smooth muscle cell migration. Cardiovasc Res. 2014 Mar 1;101(3):522-32.</p>
实验参考:	
Cell Assay	<p>Cytotoxicity of Indirubin-3'-monoxime in monocytes is analysed by MTT assay in a 96-well format using a multi-well scanning spectrophotometer. Neutrophils (5×10^6 cells/mL) or monocytes (2×10^6 cells/mL) are incubated for 30 min with Indirubin-3'-monoxime, and the viability of the cells is analysed by MTT assay. Compared with vehicle (0.3% DMSO), no significant acute cytotoxicity is observed (neutrophils: $103.9 \pm 4.4\%$; monocytes: $129.4 \pm 5.4\%$; $n=3$, each)^[3].</p>
Animal Administration	<p>Male mice (5-6 weeks old) are randomly assigned into five groups ($n=10$). Group 1: receive normal pellet diet (NPD); Group 2: receive a HFD; Group 3-5 receive HFD for 8 weeks followed by Indirubin-3'-monoxime treatment (0.1, 0.2 and 0.4 mg/kg i.p, respectively) once daily for 1 week.</p> <p>Indirubin-3'-monoxime is dissolved in (2.5% v/v) DMSO in saline. The mice in NPD and HFD groups receive an equivalent volume of vehicle (2.5% v/v DMSO in saline). Doses of Indirubin-3'-monoxime are selected. Mice are kept under standard husbandry conditions ($22 \pm 1^\circ\text{C}$ and 60% humidity) and maintained on a 12/12-h light/dark schedule with free access to food and water for 8 weeks. Body weight is recorded weekly throughout the experimental period^[2].</p>
Kinase Assay	<p>GSK-3β is expressed in and purified from insect Sf9 cells. It is assayed, following a 1/100 dilution in 1 mg/mL BSA, 10 mM DTT, with 5 μL of 40 μM GS-1 peptide as a substrate, in buffer A, in the presence of 15 μM [γ-³²P]ATP (3000 Ci/mmol; 1 mCi/mL) in a final volume of 30 μL. After 30-min incubation at 30$^\circ\text{C}$, 25-μL aliquots of supernatant are spotted onto 2.5\times3-cm pieces of Whatman P81 phosphocellulose paper, and, 20 s later, the filters are washed five times (for at least 5 min each time) in a solution of 10 mL of phosphoric acid/liter of water. The wet filters are counted in the presence of 1 mL of ACS scintillation fluid^[1].</p>
References	<p>[1]. Leclerc S, et al. Indirubins inhibit glycogen synthase kinase-3 beta and CDK5/p25, two protein kinases involved in abnormal tau phosphorylation in Alzheimer's disease. A property common to most cyclin-dependent kinase inhibitors? J Biol Chem. 2001 Jan 5;276(1):251-60.</p> <p>[2]. Sharma S, et al. Neuroprotective role of Indirubin-3'-monoxime, a GSKβ inhibitor in high fat diet induced cognitive impairment in mice. Biochem Biophys Res Commun. 2014 Oct 3;452(4):1009-15.</p>



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