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产品名称: **Dimethylenastron**

产品别名: **Dimethylenastron**

生物活性:

Description	Dimethylenastron is a potent kinesin Eg5 inhibitor, with an IC50 of 200 nM.				
IC50 & Target	Eg5				
	200 nM (IC50)				
In Vitro	Dimethylenastron is a potent Eg5 inhibitor, with an IC50 of 200 nM. Dimethylenastron exhibits no inhibition of five other kinesin subfamilies (kinesin 1/4/7/10 and one ungrouped-originating from 4 different organisms). Dimethylenastron (0.5, 1 μM) causes accumulation of cells in G2/M in HeLa cells[1]. Dimethylenastron (3 and 10 μM) concentration-dependently suppresses the migratory ability of the cancer cells in PANC1 pancreatic cancer cells after treatment for 24 h, but does not inhibit the proliferation of cancer cells at 24 h until 72 h. Dimethylenastron also reduces invasion ability of the cancer cells[2].				
In Vivo	Dimethylenastron (1.0 μmol) induces a milder scarring but the length of bleb survival is not significantly prolonged compared with the control group. Dimethylenastron (1.0 μmol) reveals a markedly reduced ratio of intraocular pressure and a milder, but not obviously reduced, subconjunctival fibrotic reaction in the rabbits treated with glaucoma filtration surgery[3].				
Solvent&Solubility	<i>In Vitro:</i>				
	DMSO : ≥ 31 mg/mL (102.52 mM)				
	* "≥" means soluble, but saturation unknown.				
	<div>Preparing Stock Solutions</div>	<div>Solvent / Mass / Concentration</div>	1 mg	5 mg	10 mg
		1 mM	3.3070 mL	16.5349 mL	33.0699 mL
		5 mM	0.6614 mL	3.3070 mL	6.6140 mL
10 mM		0.3307 mL	1.6535 mL	3.3070 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。					
References	<p>[1]. Gartner M, et al. Development and biological evaluation of potent and specific inhibitors of mitotic Kinesin Eg5. Chembiochem. 2005 Jul;6(7):1173-7.</p> <p>[2]. Sun XD, et al. Dimethylenastron suppresses human pancreatic cancer cell migration and invasion in vitro via allosteric inhibition of mitotic kinesin Eg5. Acta Pharmacol Sin. 2011 Dec;32(12):1543-8.</p> <p>[3]. Lüke J, et al. The effect of adjuvant dimethylenastron, a mitotic Kinesin Eg5 inhibitor, in experimental glaucoma filtration surgery. Curr Eye Res. 2010 Dec;35(12):1090-8.</p>				
实验参考:					
	Cell invasion in response to Dimethylenastron is carried out by transwell assays. The upper surface of the transwell filters is coated with matrigel or fibronectin. Cells suspended in 200 μL serum-free media are added to the chamber, and the chamber is placed in a 24-well plate containing complete medium. After 24 h of incubation at 37°C, the filters are gently taken out and matrigel on the upper				



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Cell Assay	surface of the filters is removed by cotton swabs. Cells on the underside of transwell filters are fixed with 4% paraformaldehyde for 30 min, stained with 0.1% crystal violet for 10 min, and then photographed. For quantitative assessment, the number of invading cells is counted in five random fields per filter. The extent of cell invasion is quantified as the number of invading cells in the drug-treatment group divided by the number of invading cells in the control group[2].
Animal Administration	Just after the conjunctival suture is closed, a metallic needle (30 G) is inserted into the subconjunctival space at the nasal margin of the superior rectus muscle and injection of one of the following agents is delivered: The rabbits receive either no adjuvant after the surgery in the control group, one unilateral subconjunctival injection of Dimethylenastron (1.0 μ mol, 3.0 μ mol) or of the vehicle (DMSO, 99.9%, 10 mg/mL) alone at baseline, which means an injection directly after surgery and in two further groups additionally at days 3 and 7 thereafter (1.0 μ mol, 3.0 μ mol)[3].
References	<p>[1]. Gartner M, et al. Development and biological evaluation of potent and specific inhibitors of mitotic Kinesin Eg5. <i>Chembiochem</i>. 2005 Jul;6(7):1173-7.</p> <p>[2]. Sun XD, et al. Dimethylenastron suppresses human pancreatic cancer cell migration and invasion in vitro via allosteric inhibition of mitotic kinesin Eg5. <i>Acta Pharmacol Sin</i>. 2011 Dec;32(12):1543-8.</p> <p>[3]. Lüke J, et al. The effect of adjuvant dimethylenastron, a mitotic Kinesin Eg5 inhibitor, in experimental glaucoma filtration surgery. <i>Curr Eye Res</i>. 2010 Dec;35(12):1090-8.</p>

源叶生物