



上海源叶生物科技有限公司
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产品名称: **Emixustat**
产品别名: **ACU-4429**

生物活性:					
Description	Emixustat, a novel visual cycle modulator, is an inhibitor of the visual cycle isomerase with an IC50 value of 4.4 nM in vitro.				
IC50 & Target	IC50: 4.4 nM (visual cycle isomerase)[1]				
In Vitro	Emixustat potently inhibits isomerase activity in vitro (IC50=4.4 nM). Treatment of emixustat shows a concentration dependent reduction of 11-cis-ROL production [1]. Emixustat strongly inhibits 11-cis-retinol production with an IC50 value of 232±3 nM[2].				
In Vivo	Emixustat reduces the production of visual chromophore (11-cis retinal) in wild-type mice following a single oral dose (ED50=0.18mg/kg). In albino mice, emixustat is shown to be effective in preventing photoreceptor cell death caused by intense light exposure. Pre-treatment with a single dose of emixustat (0.3 mg/kg) provides a 50%protective effect against light-induced photoreceptor cell loss, while higher doses (1-3 mg/kg) are nearly 100%effective. In Abca4 ^{-/-} mice, chronic (3 month) emixustat treatment markedly reduces lipofuscin autofluorescence and reduces A2E levels by 60%(ED50=0.47 mg/kg). In the retinopathy of prematurity rodent model, treatment with emixustat during the period of ischemia and reperfusion injury produces a 30%reduction in retinal neovascularization (ED50=0.46mg/kg)[1].				
Solvent&Solubility	In Vitro: DMSO : ≥ 43 mg/mL (163.26 mM) * "≥" means soluble, but saturation unknown.				
	Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
		1 mM	3.7968 mL	18.9840 mL	37.9680 mL
		5 mM	0.7594 mL	3.7968 mL	7.5936 mL
		10 mM	0.3797 mL	1.8984 mL	3.7968 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]. Bavik C, et al. Visual Cycle Modulation as an Approach toward Preservation of Retinal Integrity. PLoS One. 2015 May 13;10(5):e0124940. [2]. Kiser PD, et al. Catalytic mechanism of a retinoid isomerase essential for vertebrate vision. Nat Chem Biol. 2015 Jun;11(6):409-15.				
实验参考:					
Animal Administration	Mice: Albino (BALB/c) mice are used to assess the effects of emixustat on protection from light damage. Mice are treated with a single dose of emixustat (0.3 mg/kg and 1.0 mg/kg). The effect of emixustat on the accumulation of A2E and lipofuscin autofluorescence is examined in Abca4 ^{-/-} mice. Mice are treated with emixustat (3 months daily treatment, 0.3 or 3 mg/kg/day)[1].				



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- [2]. Kiser PD, et al. Catalytic mechanism of a retinoid isomerase essential for vertebrate vision. Nat Chem Biol. 2015 Jun;11(6):409-15.



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