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产品名称: HT-2157
产品别名: SNAP 37889

生物活性:				
Description	HT-2157 (SNAP 37889) is a selective, high-affinity, competitive antagonists of galanin-3 receptor (Gal ₃).			
IC ₅₀ & Target	Galanin-3 receptor[1]			
In Vitro	HT-2157 (SNAP 37889) binds with high affinity to membranes from transiently transfected LMTK ⁻ cells expressing the human Gal ₃ receptor (K _i =17.44±0.01 nM; n>100) and is highly selective for Gal ₃ over the Gal ₁ and Gal ₂ subtypes (K _i >10,000 nM for each subtype; n=46 of each subtype). When tested for the antagonism of galanin-evoked inhibition of adenylyl cyclase, HT-2157 (0.1-10 μM) produces concentration-dependent rightward shifts of the concentration-effect curve to galanin[1].			
In Vivo	The galanin-3 receptor antagonist, HT-2157 (SNAP 37889), reduces operant responding for ethanol in alcohol-preferring rats. The novel selective GALR3 antagonist, HT-2157, to reduce anxiety-like behaviour and voluntary ethanol consumption in the iP (alcohol-preferring) rat. Male iP rats treated with HT-2157 at a dose of 30 mg/kg (i.p.) do not show altered locomotor activity or changes in anxiety-like behaviour in the elevated plus maze or light-dark paradigms. Treatment with HT-2157 (30 mg/kg, i.p.) reduces operant responding for solutions containing ethanol, sucrose and saccharin. Collectively, results from the current study shows that HT-2157 (30 mg/kg, i.p.) is effective in reducing operant responding for ethanol, independent of a sedative effect[2].			
Solvent&Solubility	In Vitro: DMSO : ≥ 29 mg/mL (79.16 mM) * "≥" means soluble, but saturation unknown.			
		Solvent	Mass	
		Concentration		
	Preparing	1 mM	2.7297 mL	13.6485 mL
	Stock Solutions	5 mM	0.5459 mL	2.7297 mL
		10 mM	0.2730 mL	1.3649 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 (stored under nitrogen)。-80℃ 储存时, 请在 6 个月内使用, -20℃ 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; 如在配制过程中出现沉淀、析出现象, 可以通过加热和/或超声的方式助溶 1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: ≥ 2.5 mg/mL (6.82 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.82 mM, 饱和度未知) 的澄清溶液。 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				



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	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。 2.请依序添加每种溶剂: 10% DMSO $\rightarrow$90% corn oil Solubility: $\geq$ 2.5 mg/mL (6.82 mM); Clear solution 此方案可获得 $\geq$ 2.5 mg/mL (6.82 mM, 饱和度未知) 的澄清溶液, 此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。
References	[1]. Swanson CJ, et al. Anxiolytic- and antidepressant-like profiles of the galanin-3 receptor (Gal3) antagonists SNAP 37889 and SNAP 398299. Proc Natl Acad Sci U S A. 2005 Nov 29;102(48):17489-94. [2]. Ash BL, et al. The galanin-3 receptor antagonist, SNAP 37889, reduces operant responding for ethanol in alcohol-preferring rats. Regul Pept. 2011 Jan 17;166(1-3):59-67.
实验参考:	
Animal Administration	Rats[2] The effect of HT-2157 on locomotor activity is examined using adult male iP rats (n=12; 418-467 g). Locomotor activity is tested to ensure that any potential change in anxiety or ethanol consumption in subsequent tests is not due to any sedative property of the drug. Rats are habituated to the locomotor cells (26$\times$26$\times$40 cm) for 60 minute sessions which are conducted daily for three consecutive days. To minimise the effect of habituation during the treatment phase, rats are divided into two even groups which received a single injection of either HT-2157 (30 mg/kg, i.p.) or vehicle (1 ml/kg i.p.) 30 min prior to being placed in the locomotor cells for a 60 minute session. The following day the treatments are reversed so that all rats received treatment with both the vehicle and SNAP 37889 compound. Movements in terms of number of moves, move time (s) and total distance travelled (cm), are recorded automatically using TruScan 2.0 software.
Kinase Assay	Binding affinities for HT-2157 (SNAP 37889) and SNAP 398299 at the human Gal₁, Gal₂, and Gal₃ receptors are determined by using the ¹²⁵I-galanin displacement assay. Additionally, is tested for binding in a broad cross-reactivity panel that included G-protein-coupled receptors, ion channels, enzymes, and transporters. The ability of HT-2157 to antagonize functional responses to galanin is examined in modified HEK-293 cells (PEAK^{rapid} cells) transiently cotransfected with the Gal₃ receptor and Gα_z by measuring the inhibition of adenylyl cyclase activity[1].
References	[1]. Swanson CJ, et al. Anxiolytic- and antidepressant-like profiles of the galanin-3 receptor (Gal3) antagonists SNAP 37889 and SNAP 398299. Proc Natl Acad Sci U S A. 2005 Nov 29;102(48):17489-94. [2]. Ash BL, et al. The galanin-3 receptor antagonist, SNAP 37889, reduces operant responding for ethanol in alcohol-preferring rats. Regul Pept. 2011 Jan 17;166(1-3):59-67.