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产品名称: **Asenapine (hydrochloride)**

产品别名: 阿塞那平盐酸盐

生物活性:					
Description	Asenapine hydrochloride, an antipsychotic, is a 5-HT (1A, 1B, 2A, 2B, 2C, 5A, 6, 7) and Dopamine (D ₂ , D ₃ , D ₄)receptor antagonist with K _i values of 0.03-4.0 nM for 5-HT and 1.3, 0.42, 1.1 nM for Dopamine receptor, respectively.				
IC ₅₀ & Target	sPLA2	5-HT _{2A} Receptor	5-HT _{2C} Receptor	5-HT ₇ Receptor	
	2.5 nM (K _i)	0.06 nM (K _i)	0.03 nM (K _i)	0.13 nM (K _i)	
	D ₂ Receptor	D ₃ Receptor	D ₄ Receptor		
	1.3 nM (K _i)	0.42 nM (K _i)	1.1 nM (K _i)		
In Vitro	Relative to its D2 receptor affinity, Asenapine has a higher affinity for 5-HT _{2C} , 5-HT _{2A} , 5-HT _{2B} , 5-HT ₇ , 5-HT ₆ , α _{2B} and D3 receptors, suggesting stronger engagement of these targets at therapeutic doses. Asenapine behaves as a potent antagonist (pK _B) at 5-HT _{1A} (7.4), 5-HT1B (8.1), 5-HT _{2A} (9.0), 5-HT _{2B} (9.3), 5-HT _{2C} (9.0), 5-HT ₆ (8.0), 5-HT ₇ (8.5), D2 (9.1), D3 (9.1), α _{2A} (7.3), α _{2B} (8.3), α _{2C} (6.8) and H1 (8.4) receptors ^[2] .				
In Vivo	Asenapine is an atypical antipsychotic that is currently available for the treatment of schizophrenia and bipolar I disorder. Asenapine may have superior therapeutic effect on anxiety symptoms than other agents in rats ^[3] . Asenapine has anxiolytic-like effects in the EPM and the defensive marble burying tests in mice ^[4] .				
Solvent&Solubility	<i>In Vitro:</i> DMSO : 50 mg/mL (155.17 mM; Need ultrasonic)				
	Preparing Stock Solutions	SolventMassConcentration	1 mg	5 mg	10 mg
		1 mM	3.1034 mL	15.5169 mL	31.0337 mL
		5 mM	0.6207 mL	3.1034 mL	6.2067 mL
		10 mM	0.3103 mL	1.5517 mL	3.1034 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。				
	<i>In Vivo:</i> 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 (7.76 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.76 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% (20% SBE-β-CD in saline) Solubility: $\geq$ 2.5 mg/mL (7.76 mM); Clear solution 此方案可获得 $\geq$ 2.5 mg/mL (7.76 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 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中, 混合均匀。 3.请依序添加每种溶剂: 10% DMSO $\rightarrow$90% corn oil Solubility: $\geq$ 2.5 mg/mL (7.76 mM); Clear solution 此方案可获得 $\geq$ 2.5 mg/mL (7.76 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]. Stoner SC, Pace HA. Asenapine: a clinical review of a second-generation antipsychotic. Clin Ther. 2012 May;34(5):1023-40. [2]. Shahid M, et al. Asenapine: a novel psychopharmacologic agent with a unique human receptor signature. J Psychopharmacol. 2009 Jan;23(1):65-73. [3]. Ohyama M,et al. Asenapine reduces anxiety-related behaviours in rat conditioned fear stress model. Acta Neuropsychiatr. 2016 Dec;28(6):327-336. [4]. Ene HM, et al. Effects of repeated asenapine in a battery of tests for anxiety-like behaviours in mice. Acta Neuropsychiatr. 2016 Apr;28(2):85-91.

源叶生物