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产品名称: **Vortioxetine (Lu AA21004) HBr**
产品别名: 盐酸沃替西汀; **Vortioxetine hydrobromide; Lu AA21004 hydrobromide**

生物活性:					
Description	Vortioxetine hydrobromide is a multimodal serotonergic agent, inhibits 5-HT _{1A} , 5-HT _{1B} , 5-HT _{3A} , 5-HT ₇ receptor and SERT with K _i values of 15 nM, 33 nM, 3.7 nM, 19 nM and 1.6 nM, respectively.				
IC ₅₀ & Target	sPLA2	5-HT _{1B} Receptor	5-HT _{3A} Receptor	5-HT ₇ Receptor	SERT
	15 nM (K _i)	33 nM (K _i)	3.7 nM (K _i)	19 nM (K _i)	1.6 nM (K _i)
In Vitro	Vortioxetine (Compound 5m) is a multimodal serotonergic agent, inhibits 5-HT _{1A} , 5-HT _{1B} , 5-HT _{3A} , 5-HT ₇ receptor and SERT with K _i values of 15 nM, 33 nM, 3.7 nM, 19 nM and 1.6 nM, respectively. Vortioxetine displays antagonistic properties at 5-HT _{3A} and 5-HT ₇ receptors, partial agonist properties at 5-HT _{1B} receptors, agonistic properties at 5-HT _{1A} receptors, and potent inhibition of SERT _[1] . Vortioxetine is a partial h5-HT _{1B} receptor agonist with EC ₅₀ of 460 nM and intrinsic activity of 22% using a whole-cell cAMP-based assay. Vortioxetine binds to the r5-HT ₇ receptor with a K _i value of 200 nM and is a functional antagonist at the r5-HT ₇ receptor with an IC ₅₀ of 2 μM in an in vitro whole-cell cAMP assay _[5] .				
In Vivo	Vortioxetine (Lu AA21004) occupies the r5-HT _{1B} receptor and rSERT (ED ₅₀ = 3.2 and 0.4 mg/kg, respectively) after subcutaneous administration and is a 5-HT ₃ receptor antagonist _[6] . Vortioxetine significantly increases cell proliferation and cell survival and stimulates maturation of immature granule cells in the sub granular zone of the dentate gyrus of the hippocampus after 21 days of treatment _[3] . Vortioxetine does not cause cognitive or psychomotor impairment _[4] .				
Solvent&Solubility	In Vitro: DMSO : 50 mg/mL (131.80 mM; Need ultrasonic)				
	Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg
		1 mM		2.6360 mL	13.1801 mL
		5 mM		0.5272 mL	2.6360 mL
		10 mM		0.2636 mL	1.3180 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。				
	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 (6.59 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.59 mM, 饱和度未知) 的澄清溶液。				



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	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。 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 (6.59 mM); Clear solution 此方案可获得 $\geq$ 2.5 mg/mL (6.59 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 (6.59 mM); Clear solution 此方案可获得 $\geq$ 2.5 mg/mL (6.59 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]. Bang-Andersen B, et al. Discovery of 1-[2-(2,4-dimethylphenylsulfanyl)phenyl]piperazine (Lu AA21004): a novel multimodal compound for the treatment of major depressive disorder. J Med Chem. 2011 May 12;54(9):3206-21. [2]. Guilloux JP, et al. Antidepressant and anxiolytic potential of the multimodal antidepressant vortioxetine (Lu AA21004) assessed by behavioural and neurogenesis outcomes in mice. Neuropharmacology. 2013 May 28;73C:147-159. [3]. Theunissen EL, et al. A randomized trial on the acute and steady-state effects of a new antidepressant, vortioxetine (Lu AA21004), on actual driving and cognition. Clin Pharmacol Ther. 2013 Jun;93(6):493-501. [4]. Rothschild AJ, Mahableshwarkar AR, Jacobsen P, Vortioxetine (Lu AA21004) 5mg in generalized anxiety disorder: results of an 8-week randomized, double-blind, placebo-controlled clinical trial in the United States. Eur Neuropsychopharmacol. 2012 Dec;22(12):858-66. [5]. Mork A, et al. Pharmacological effects of Lu AA21004: a novel multimodal compound for the treatment of major depressive disorder. J Pharmacol Exp Ther. 2012 Mar;340(3):666-75.