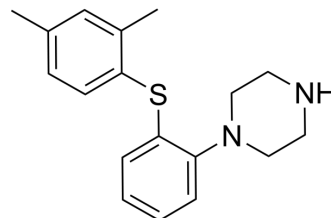


Vortioxetine

Cat. No.:	HY-15414		
CAS No.:	508233-74-7		
Molecular Formula:	C ₁₈ H ₂₂ N ₂ S		
Molecular Weight:	298.45		
Target:	5-HT Receptor; Serotonin Transporter		
Pathway:	GPCR/G Protein; Neuronal Signaling		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro	DMSO : 50 mg/mL (167.53 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
			1 mg	5 mg
	Preparing Stock Solutions		10 mg	
	1 mM	3.3506 mL	16.7532 mL	33.5064 mL
	5 mM	0.6701 mL	3.3506 mL	6.7013 mL
	10 mM	0.3351 mL	1.6753 mL	3.3506 mL
Please refer to the solubility information to select the appropriate solvent.				
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (8.38 mM); Clear solution			
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 2.5 mg/mL (8.38 mM); Suspended solution; Need ultrasonic			
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (8.38 mM); Clear solution			

BIOLOGICAL ACTIVITY

Description	Vortioxetine is a inhibitor of 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 15 nM (Ki)	5-HT _{3A} Receptor 3.7 nM (Ki)	Human 5-HT ₇ Receptor 19 nM (Ki)	SERT 1.6 nM (Ki)
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-HT₇ 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 EC₅₀ of 460 nM and intrinsic activity of 22% using a whole-cell cAMP-based assay. Vortioxetine binds to the r5-HT₇ receptor with a K_i value of 200 nM and is a functional antagonist at the r5-HT₇ receptor with an IC₅₀ of 2 μM in an in vitro whole-cell cAMP assay_[5]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Vortioxetine (Lu AA21004) occupies the r5-HT_{1B} receptor and rSERT (ED₅₀= 3.2 and 0.4 mg/kg, respectively) after subcutaneous administration and is a 5-HT₃ 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nature. 2023 Dec;624(7992):672-681.
- Psychiatry Res. 2022 Nov;317:114838.
- Eur Arch Psychiatry Clin Neurosci. 2023 Mar;77(3):149-159.
- Biomedicines. 2022 Jun 3;10(6):1318.
- Mol Pharmacol. 2023 Nov;104(5):230-238.

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- [1]. Bang-Andersen B, Ruhland T, Jørgensen M, 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, Mendez-David I, Pehrson A, 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, Street D, Højer AM, 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, Mahaleshwarkar 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]. Mørk A, Pehrson A, Brennum LT, 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.

Caution: Product has not been fully validated for medical applications. For research use only.

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