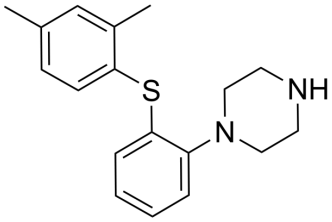
Vortioxetine

Cat. No.:	HY-15414
CAS No.:	508233-74-7
Molecular Formula:	$C_{18}H_{22}N_2S$
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)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	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	5-HT_{3A} Receptor	Human 5-HT_7 Receptor	SERT
15 nM (Ki)	3.7 nM (Ki)	19 nM (Ki)	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-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]. 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 (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]. 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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REFERENCES

[1]. Bang-Andersen B, Ruhland T, J?rgensen 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, H?jer 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, 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]. M?rk 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.

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