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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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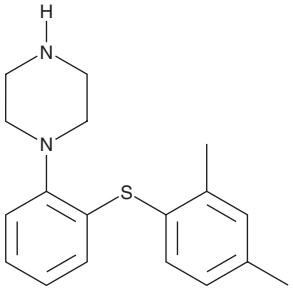
PRODUCT INFORMATION

Vortioxetine

Item No. 30183



CAS Registry No.:	508233-74-7
Formal Name:	1-[2-[(2,4-dimethylphenyl)thio]phenyl]-piperazine
MF:	$C_{18}H_{22}N_2S$
FW:	298.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 227 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Vortioxetine is supplied as a crystalline solid. A stock solution may be made by dissolving the vortioxetine in the solvent of choice, which should be purged with an inert gas. Vortioxetine is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of vortioxetine in ethanol is approximately 5 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Vortioxetine is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, vortioxetine should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Vortioxetine has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Vortioxetine is a multimodal serotonergic agent that acts as a serotonin (5-HT; Item No. 14332) reuptake inhibitor (K_i = 1.6 nM) and binds to the 5-HT_{1A}, 5-HT_{1B}, 5-HT_{3A}, and 5-HT_7 receptors (K_is = 15, 33, 3.7, and 19 nM, respectively).[1] It also binds to the β_1-adrenergic receptor (K_i = 46 nM). It acts as an antagonist at 5-HT_{3A} and 5-HT_7, a partial agonist at 5-HT_{1B}, and a full agonist at 5-HT_{1A} receptors. Vortioxetine is selective for the serotonin transporter (SERT) over the dopamine and norepinephrine transporters (IC_{50}s = 5.3, 890, and 140 nM, respectively). It has affinity for histamine H_2, melanocortin 4, β_2-adrenergic, 5-HT_{2C}, and 5-HT_6 receptors with K_i values greater than 180 nM, but it is selective over the majority of targets in a panel of 75 ion channels, G protein-coupled receptors, enzymes, and transporters. Vortioxetine (5 or 10 mg/kg per day) increases 5-HT levels *in vivo* in rat brain and decreases occupancy at SERT. At acute and chronic doses of 5 mg/kg, but not 10 mg/kg, it increases the time mice spend in the center of the open field test, increases mobility in the forced swim test, and decreases the latency to feed in the novelty suppressed feeding test.[2] It also increases proliferation and survival of adult-born granule cells in the hippocampus. Formulations containing vortioxetine have been used in the treatment of major depressive disorder.

References

1. Bang-Andersen, B., Ruhland, T., Jørgensen, M., *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.* **54(9)**, 3206-3221 (2011).
2. Guilloux, J.P., Mendez-David, I., Pehrson, A., *et al.* Antidepressant and anxiolytic potential of the multimodal antidepressant vortioxetine (Lu AA21004) assessed by behavioural and neurogenesis outcomes in mice. *Neuropharmacology* **73**, 147-159 (2013).

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