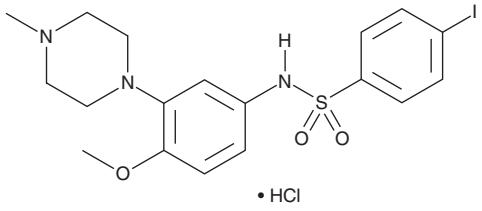
PRODUCT INFORMATION

SB-258585 (hydrochloride)

Item No. 17416

CAS Registry No.: 1216468-02-8
Formal Name: 4-iodo-N-[4-methoxy-3-(4-methyl-1-piperazinyl) phenyl]-benzenesulfonamide, monohydrochloride
MF: $C_{18}H_{22}IN_3O_3S \bullet HCl$
FW: 523.8
Purity: ≥95%
UV/Vis.: λ_{max}: 215, 247 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: As supplied, 2 years from the QC date provided on the Certificate of Analysis, when stored properly



Laboratory Procedures

SB-258585 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the SB-258585 (hydrochloride) in the solvent of choice. SB-258585 (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of SB-258585 (hydrochloride) in these solvents is approximately 0.25, 20, and 15 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of SB-258585 (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of SB-258585 (hydrochloride) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

SB-258585 is a potent, selective antagonist of the serotonin 5-HT_6 receptor (pK_i = 8.53).[1] It displays over 100-fold selectivity for 5-HT_6 over other 5-HT, dopamine, and α-adrenergic receptors. Radiolabeled forms of SB-258585 have been used to evaluate other potential ligands of the 5-HT_6 receptor.[2] SB-258585 has been used in cells and in animals to evaluate the role of the 5-HT_6 receptor in diverse processes.[3-5]

References

1. Hirst, W.D., Minton, J.A.L., Bromidge, S.M., *et al*. Characterization of [^{125}I]-SB-258585 binding to human recombinant and native 5-HT_6 receptors in rat, pig and human brain tissue. *Br. J. Pharmacol.* **130(7)**, 1597-1605 (2000).
2. Routledge, C., Bromidge, S.M., Moss, S.F., *et al*. Characterization of SB-271046: A potent, selective and orally active 5-HT_6 receptor antagonist. *Br. J. Pharmacol.* **130**, 1606-1612 (2000).
3. Tatara, A., Shimizu, S., Shin, N., *et al*. Modulation of antipsychotic-induced extrapyramidal side effects by medications for mood disorders. *Prog. Neuropsychopharmacol. Biol. Psychiatry* **38**, 252-259 (2012).
4. Duhr, F., Déléris, P., Raynaud, F., *et al*. Cdk5 induces constitutive activation of 5-HT_6 receptors to promote neurite growth. *Nat. Chem. Biol.* **10**, 590-597 (2014).
5. Shumizu, S., Mizuguchi, Y., Sobue, A., *et al*. Interaction between anti-Alzheimer and antipsychotic drugs in modulating extrapyramidal motor disorders in mice. *J. Pharmacol. Sci.* **127(4)**, 439-445 (2015).

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