

PRODUCT INFORMATION



TCS-OX2-29

Item No. 17419

CAS Registry No.: 1610882-30-8
Formal Name: (2S)-1-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)-3,3-dimethyl-2-[(4-pyridinylmethyl)amino]-1-butanone, monohydrochloride

MF: C₂₃H₃₁N₃O₃ • HCl

FW: 434.0

Purity: ≥95%

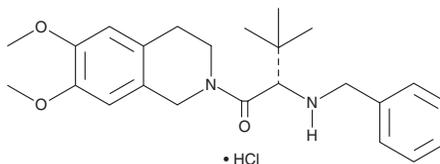
UV/Vis.: λ_{max}: 286 nm

Supplied as: A crystalline solid

Storage: -20°C

Stability: ≥4 years

Special Conditions: Light sensitive



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

Laboratory Procedures

TCS-OX2-29 is supplied as a crystalline solid. A stock solution may be made by dissolving the TCS-OX2-29 in the solvent of choice. TCS-OX2-29 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of TCS-OX2-29 in these solvents is approximately 30 mg/ml.

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 TCS-OX2-29 can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of TCS-OX2-29 in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Orexin receptors R1 (OX1R) and OX2R mediate the action of the neuropeptides orexin A and orexin B. TCS-OX2-29 is an antagonist of OX2R (pK_i = 7.5) that exhibits >250-fold selectivity for hOX2R compared with hOX1R (IC₅₀s = 40 nM and >10,000 nM, respectively).^{1,2} It blocks the inhibitory action of orexin A on forskolin-induced cAMP formation.³

References

1. Mould, R., Brown, J., Marshall, F.H., et al. Binding kinetics differentiates functional antagonism of orexin-2 receptor ligands. *Br. J. Pharmacol.* **171**(2), 351-363 (2014).
2. Hirose, M., Egashira, S., Goto, Y., et al. N-acyl 6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline: The first orexin-2 receptor selective non-peptidic antagonist. *Bioorg. Med. Chem. Lett.* **13**(24), 4497-4499 (2003).
3. Urbanska, A., Sokolowska, P., Woldan-Tambor, A., et al. Orexins/hypocretins acting at Gi protein-coupled OX 2 receptors inhibit cyclic AMP synthesis in the primary neuronal cultures. *J. Mol. Neurosci.* **46**(1), 10-17 (2012).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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