

DATA SHEET

Product overview

Name CELT-502 - Oxytocin receptor fluorescent antagonist (650/667)

(LIT-01-556)

Short description Potent and selective oxytocin receptor (OTR) fluorescent antagonist

Biological description CELT-502 is a non peptidic and fluorescent antagonist for OTR with a

high-affinity and selectivity (K_i = 1.59 nM (OTR), >1000 nM (V1aR and

V1bR), 509 nM (V2R) determined by TR-FRET binding assay).

Biological action Modulation of OTR by orthosteric antagonism

Quantity 10 μg

Purity > 95%

Properties

Molecular Weight 1362.88

Source Synthetic

Appearance Dark blue solid

Formulation Lyophilized solid

Excitation 650 nm

Emission 667 nm

Pharmacological validation The antagonism activity of CELT-502 for OTR was determined by the

measurement of inositol phosphate accumulation (Kinact = 5.5 nM)

and the affinity by TR-FRET binding assay ($K_i = 1.59 \text{ nM}$).

Validated applications

TR-FRET binding assay CELT-502 has been validated for the development of a TR-FRET based

assay for OTR, validated by competition experiments with known agonist/antagonist ligands.¹ This assay is readily amenable to high

throughput screening.

Live-imaging confocal microscopy CELT-502 has been validated to specifically visualize OTR at the cells

surface.

Storing and Using product

Storage instructions -20 °C (protect from light)

Solubility overview Soluble in DMSO

Stock solution Add 73 µL of DMSO to obtain a 100 µM solution

Handling After thawing individual aliquots for use, we recommend briefly

sonicating the sample to ensure it is fully dissolved and the solution is

homogeneous. We do not recommend using the product after

subjecting it to repetitive freeze-thaw cycles.

Shipping conditions The product, as a solid, is stable at ambient temperature for periods

of up to a few days and does not require shipping on ice/dry ice.

Important This product is for RESEARCH USE ONLY and is not intended for

therapeutic or diagnostic use. Not for human or veterinary use.

References

¹Karpenko, Iuliia A.; Margathe, Jean-Francois; Rodriguez, Thieric; Pflimlin, Elsa; Dupuis, Elodie; Hibert, Marcel; Durroux, Thierry; Bonnet, Dominique. Journal of Medicinal Chemistry 2015, 58(5), 2547-2552. DOI: 10.1021/jm501395b.