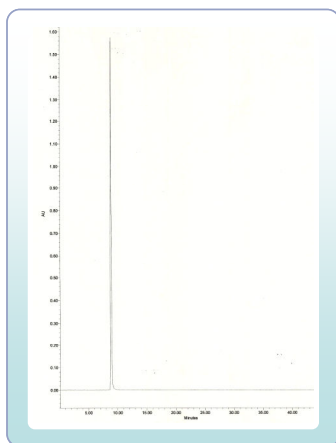


Product	Fluorescent Muscarinic M3 receptor Antagonist (M3-633-AN)
Catalogue Number	CA200887
CAS Number	n/a
Pharmacophore	Pirenzepine-derivative
Molecular Formula	C ₅₃ H ₅₈ BF ₂ N ₉ O ₇ S
Molecular Weight	1014
Purity	≥ 97 %
Fluorescence bandwidth (RP-HPLC 630 nm)	

**Storage on Arrival**

The product, supplied in a dry form, is stable at ambient temperature for periods of up to a few days and does not require shipping on ice/dry ice.

Once received, protect from light and store at -20 °C.

Reconstitution

Dissolve 0.2 mg of CellAura CA200887 in 19.7 µL of DMSO to give a 10 mM stock solution.

Once reconstituted into DMSO the product must be stored, preferably in smaller aliquots, at -20 °C.

Thawing and Use

After thawing individual aliquots for use, we recommend briefly sonicating the sample to ensure it is fully dissolved and the solution is homogeneous.

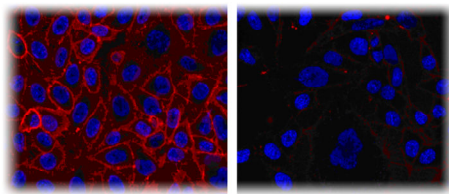
We do not recommend using the product after subjecting it to repetitive freeze-thaw cycles.

Imaging information

For imaging at the M₃ receptor use solutions up to 100 nM.

Excitation wavelength: not determined; use 633 nm laser-line.

Emission wavelength: not determined; use 650 nm filter-set.



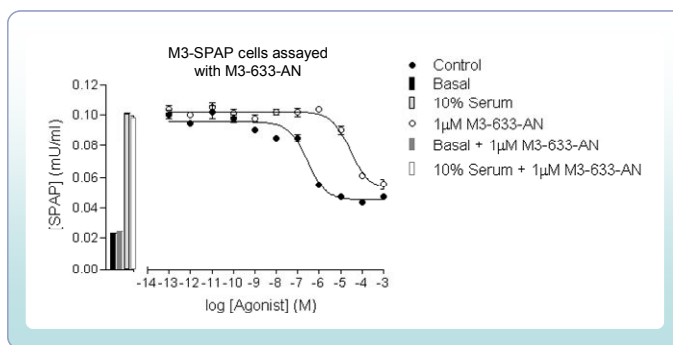
Left: the CA200887 ligand (30nM) binding to live CHO cells expressing muscarinic M3 receptors. Right: binding blocked by the unlabelled competitor 4-DAMP (10µM). Nuclei have been counter-stained with Hoechst.

Pharmacological Validation The CA200887 ligand was shown to antagonize the activity of the muscarinic agonist, carbachol, in a recombinant CHO cell line expressing the human M₃ receptor and a serum-responsive secreted placental alkaline phosphatase (SPAP) reporter gene.

The serum-induced expression of SPAP was measured under basal and serum-stimulated (maximal) conditions. Addition of CA200887 to the basal or serum-stimulated cells did not significantly alter basal and stimulated SPAP levels, demonstrating that CA200887 has no intrinsic agonist activity.

To determine the apparent K_D for CA200887, cells were treated with varying concentrations of carbachol alone, or in the presence of 1 μM CA200887, and the serum-induced expression of SPAP measured.

The apparent K_D was calculated from the rightward shift of the agonist response curve in the presence of CA200887, compared to the response curve for the agonist alone.



K_D values

Antagonist	-log K _D values
M ₃	7.97

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