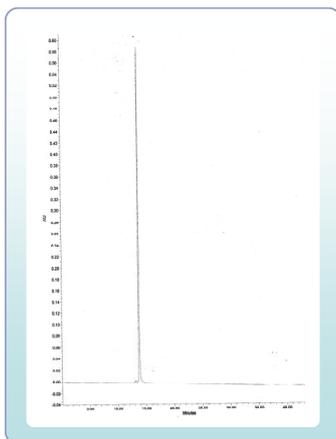


Product	Fluorescent Dopamine D1 receptor Antagonist (D1-633-AN2)
Catalogue Number	CA200767
CAS Number	n/a
Pharmacophore	SKF83566-derivative
Molecular Formula	C ₅₂ H ₅₅ BBrF ₂ N ₇ O ₆ S
Molecular Weight	1035
Purity	≥ 97 %
Fluorescence bandwidth (RP-HPLC 630 nm)	



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 CA200767 in 19.3 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.

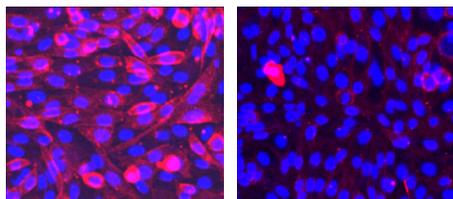
Thawing and Use

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 D₁ 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.



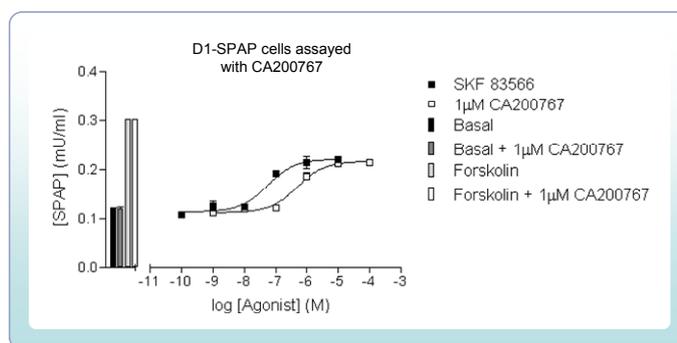
Left: the CA200767 ligand (30nM) binding to live CHO cells expressing Dopamine D1 receptors. Right: binding blocked by the unlabelled competitor SKF 83566 (10µM). Nuclei have been counter-stained with Hoechst.

Pharmacological Validation The CA200767 ligand was shown to antagonize the activity of the D₁ agonist, SKF 83566, in a recombinant CHO cell line expressing the human D₁ receptor and a cyclic AMP-responsive secreted placental alkaline phosphatase (SPAP) reporter gene.

The cyclic AMP-induced expression of SPAP was measured under basal and forskolin-stimulated (maximal) conditions. Addition of CA200767 to the basal or forskolin-stimulated cells did not significantly alter basal and stimulated SPAP levels, demonstrating that CA200767 has no intrinsic agonist activity.

To determine the apparent K_D for CA200767, cells were treated with varying concentrations of SKF 83566 alone, or in the presence of 1 μM CA200767, and the cyclic AMP-induced expression of SPAP measured.

The apparent K_D was calculated from the rightward shift of the agonist response curve in the presence of CA200767, compared to the response curve for the agonist alone.



K_D values

Antagonist -log K_D values

D₁ 7.02

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