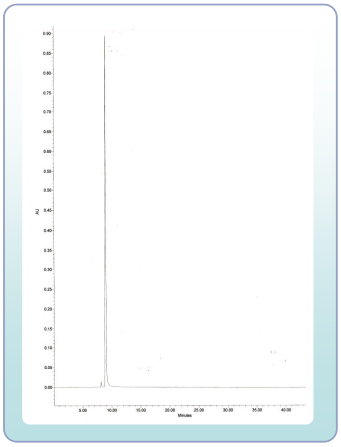
Product	Fluorescent Histamine H2 receptor Antagonist (H2-633-AN)
Catalogue Number	CA200821
CAS Number	n/a
Pharmacophore	Aminopotentidine-derivative
Molecular Formula	$C_{48}H_{56}BF_2N_9O_4S$
Molecular Weight	904
Purity	≥ 97 %
Fluorescence bandwidth (RP-HPLC 630 nm)	

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

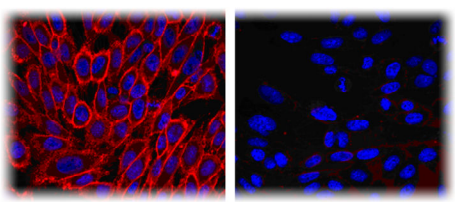
Dissolve 0.2 mg of CellAura CA200623 in 22.1 µL of DMSO to give a 10 mM stock solution.
Once reconstituted into DMSO the product must be stored, preferably in smaller aliquots, at -20 °C.

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 H_2 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 CA200821 ligand (30nM) binding to CHO cells expressing histamine H2 receptors. Right: binding blocked by the unlabelled competitor ranitidine (10µM). Nuclei have been counter-stained with Hoechst.

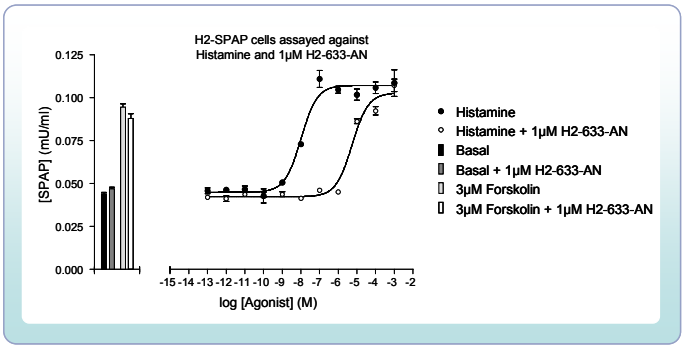
Pharmacological Validation

The CA200821 ligand was shown to antagonize the activity of the H_2 agonist, histamine, in a recombinant CHO cell line expressing the human H_2 receptor and a cyclic AMP-responsive secreted placental alkaline phosphatase (SPAP) reporter gene. No antagonist activity of the CA200821 ligand was detected at the highest concentration tested in a recombinant cell line expressing human H_1 receptor provided by Applied Cell Sciences (Rockville, MD 20850, USA. Catalogue number: A665).

For the H_2 expressing cell line, the cyclic AMP-induced expression of SPAP was measured under basal and forskolin-stimulated (maximal) conditions. Addition of CA200821 to the basal or forskolin-stimulated cells did not significantly alter basal and stimulated SPAP levels, demonstrating that CA200821 has no intrinsic agonist activity.

To determine the apparent K_D for CA200821 at histamine H_2 receptors, cells were treated with varying concentrations of histamine agonist alone, or in the presence of 1µM CA200821, and the cyclic AMP-induced expression of SPAP measured.

The apparent K_D at H_2 was calculated from the rightward shift of the agonist response curve in the presence of CA200821, compared to the response curve for the agonist alone.



K_D values

Antagonist –log K_D values

H_1	No displacement at 10^{-6}M
H_2	8.94

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