

Say **hello** to affordable,
trusted, life science tools!

Agonists & antagonists

Enzyme inhibitors & activators

Antibodies

Peptides & proteins

Dyes & stains

Fluorescent tools



Introducing the **CellAura** FLUORESCENT LIGAND RANGE

CellAura fluorescent ligands are state-of-the-art fluorescent tools designed for use in life science research and drug discovery. We have combined molecular pharmacology and synthetic chemistry to provide you with high quality fluorescent ligands that are as informative as label-free kinetics, as safe as antibodies and as easy to use as radioligands.

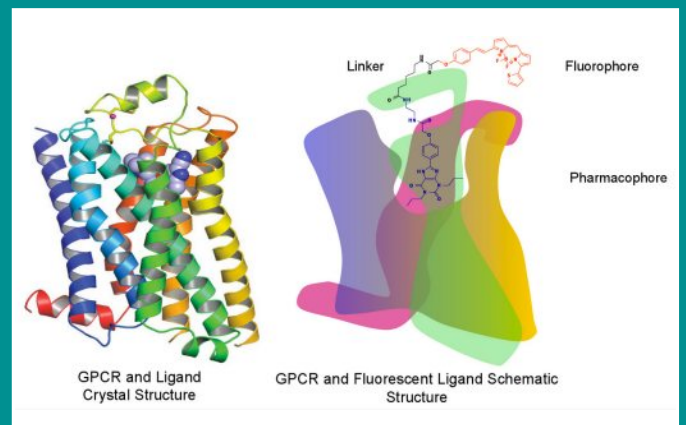
CellAura fluorescent ligands target G protein coupled receptors (GPCRs) and are comprised of three units:

- a pharmacophore - e.g. a synthetic agonist or antagonist
- a fluorescent dye (the fluorophore)
- a linker - which connects the pharmacophore with the dye

A new alternative to radioligands

Visualization of the fluorescent tracer bound to a GPCR offers you several advantages compared to using conventional radioisotope-labelled ligands:

- Immediate 'real time' readout
- Visual confirmation of receptor localisation
- Multi-colour and multi-parameter data generation
- Miniaturisation capability (one cell per data point)
- No scintillation detection costs
- Enhanced safety with reduced costs - no radioisotope use and disposal



Introducing CellAura Applications

Applications

Each CellAura fluorescent ligand is characterised using live cell imaging and functional analysis to confirm its affinity and pharmacological activity. They have been used successfully in a wide range of applications including:

Fluorescent Ligand Binding

Increased safety, reduced disposal cost and environmental impact vs radioligand binding.

High Content Screening

Live cell imaging of receptor-ligand binding, displacement and receptor internalisation.

Fluorescence Correlation Spectroscopy (FCS)

Real-time analysis of single molecule ligand-receptor interactions.

Fluorescence Activated Cell Sorting (FACS)

No need to generate fluorescence-tagged antibodies – you can select receptor expressing cells using a direct receptor-binding ligand.

Dual Readout Binding and Function (eg Ca²⁺ signalling)

Distinguishes agonists, antagonists/inverse agonists, off-target effects and non-binders in one assay to eliminate false hits and reduce follow-on screening.

Confocal Microscopy

Localise receptor distribution in single cells, cell cultures and tissue sections.

High Throughput Screening

Dynamic or endpoint binding and displacement Fluorescence Intensity assays.

Receptor Dimerisation

FRET between two fluorophores using a common 'warhead' (homodimerisation) or two different 'warheads' (heterodimerisation).

Ligand Binding Kinetics

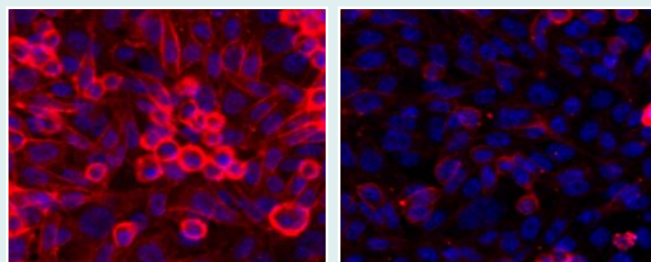
Real-time analysis of ligand association and dissociation rates to determine receptor affinity.

Allosteric Modulator studies

Kinetic measurements of allosteric modulator effects on ligand association and dissociation rate.

CellAura fluorescent ligands in action

CellAura fluorescent adenosine agonist [NECA] (HB7813) is a fluorescent adenosine receptor agonist, based on the non selective adenosine agonist NECA. It displays K_D values of 8.57, 8.47, 6.76 and 5.69 for A₃, A₁, A_{2A} and A_{2B} receptors respectively.



Left: the HB7813 ligand (30nM) binding to live CHO cells expressing adenosine A₃ receptors.

Right: binding blocked by the unlabelled competing ligand XAC (10 μM). Nuclei have been counter-stained with Hoechst.

Cordeux et al (2008) Agonist-occupied A₃ adenosine receptors exist within heterogeneous complexes in membrane microdomains of individual living cells. *FASEB J.* 22(3):850-60.

Middleton et al (2007) New fluorescent adenosine A₁-receptor agonists that allow quantification of ligand-receptor interactions in microdomains of single living cells. *J Med Chem.* 50(4):782-93

To Order

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Introducing the CellAura Range

| CAT NO. | PRODUCT NAME | PHARMACOPHORE | EXCITATION/ EMISSION | COLOUR | PACK SIZE |
|--|--|-----------------------------|-------------------------|--------|--------------|
| HB7812 | CellAura fluorescent adenosine A₃ antagonist [XAC] | XAC-derivative | 633/ 650 nm | Red | 50µg |
| Fluorescent A ₃ adenosine receptor antagonist (apparent K _D values are 8.10, 6.74 and 6.57 for A ₃ , A _{2A} and A ₁ respectively). Antagonizes the activity of NECA, an adenosine receptor agonist. Exhibits no intrinsic agonist activity. A fluorescent Xanthine Amine Congener (XAC) analog. | | | | | |
| HB7813 | CellAura fluorescent adenosine agonist [NECA] | NECA-derivative | 638/ 657 nm | Red | 50µg |
| Fluorescent adenosine receptor agonist (apparent K _D values are 8.57, 8.47, 6.76 and 5.69 for A ₃ , A ₁ , A _{2A} and A _{2B} respectively). Also inhibits forskolin-stimulated cAMP accumulation (pIC ₅₀ = 8.57) and [3H]-inositol phosphate accumulation (pEC ₅₀ = 7.34). A fluorescent adenosine receptor ligand derived from NECA, non-selective adenosine agonist. | | | | | |
| HB7814 | CellAura fluorescent adenosine antagonist [XAC] | XAC-derivative | 636/ 651 nm | Red | 50µg |
| Competitive fluorescent adenosine receptor antagonist (apparent K _D values are 7.50, 7.37 and 7.30 for A _{2A} , A ₃ and A ₁ respectively). Antagonizes the activity of NECA, an adenosine receptor agonist. Inhibits cAMP accumulation and stimulates inositol phosphate accumulation (pK _b values are 6.4 and 6.5 respectively). Exhibits no intrinsic agonist activity. | | | | | |
| HB7816 | CellAura fluorescent β₂ antagonist [(S)-propranolol-green] | (S)-Propranolol-derivative | 488/ 525 nm | Green | 50µg |
| Fluorescent β ₂ -adrenoceptor antagonist (apparent K _D values are 7.68, 6.42 and <4.0 for β ₂ , β ₁ and β ₃ respectively). Antagonizes the activity of isoprenaline, a non-selective β-adrenoceptor agonist. Exhibits no intrinsic agonist activity. | | | | | |
| HB7817 | CellAura fluorescent β₂ antagonist [(S)-propranolol-red] | (S)-Propranolol-derivative | 633/ 650 nm | Red | 50µg |
| Competitive fluorescent β ₂ -adrenoceptor antagonist (apparent K _D values are 9.21, 7.76 and 7.09 for β ₂ , β ₁ and β ₃ respectively). Antagonizes the activity of isoprenaline, a non-selective β-adrenoceptor agonist. Exhibits no intrinsic agonist activity. | | | | | |
| HB7818 | CellAura fluorescent β₂ antagonist [(±)-alprenolol] | (±)-Alprenolol-derivative | 633/ 650 nm | Red | 50µg |
| Fluorescent β ₂ -adrenoceptor antagonist (apparent K _D values are 8.91, 7.50 and 7.09 for β ₂ , β ₁ and β ₃ respectively). Antagonizes the activity of isoprenaline, a non-selective β-adrenoceptor agonist. | | | | | |
| HB7819 | CellAura fluorescent β₂ antagonist [(±)-pindolol] | (±)-Pindolol-derivative | 633/ 650 nm | Red | 50µg |
| Fluorescent β ₂ -adrenoceptor antagonist (apparent K _D values are 7.96, 7.01 and 6.42 for β ₂ , β ₁ and β ₃ respectively). Antagonizes the activity of isoprenaline, a non-selective β-adrenoceptor agonist. | | | | | |
| HB7820 | CellAura fluorescent β₂ antagonist [(±)-propranolol] | (±)-Pindolol-derivative | 633/ 650 nm | Red | 50µg |
| Fluorescent β ₂ -adrenoceptor antagonist (apparent K _D values are 8.87, 7.25 and 6.98 for β ₂ , β ₁ and β ₃ respectively). Antagonizes the activity of isoprenaline, a non-selective β-adrenoceptor agonist. | | | | | |
| HB7821 | CellAura fluorescent β₃ agonist [(S)-carazolol] | (S)-Carazolol-derivative | 633/ 650 nm | Red | 50µg |
| Fluorescent β ₃ -adrenoceptor partial agonist. Exhibits little agonist activity at β ₁ and none at β ₂ . Also acts as antagonist at β ₁ , β ₂ and β ₃ (apparent K _D values are 6.44, 8.76 and 7.24 respectively). Blocks the activity of isoprenaline, a non-selective β-adrenoceptor agonist. | | | | | |
| HB7822 | CellAura fluorescent D₁ antagonist [SKF83566-green] | SKF83566-derivative | 488/ 525 nm | Green | 50µg |
| Selective BODIPY-FL-labelled fluorescent D ₁ dopamine receptor antagonist (apparent K _D values are 7.09, < 5 and 7.56 for D ₁ , D ₂ and D ₅ receptors respectively). Also antagonizes the activity of SKF 83566, a D ₁ dopamine receptor agonist. Displays no intrinsic agonist activity. | | | | | |
| HB7824 | CellAura fluorescent H₁ antagonist [mepyramine] | Mepyramine-derivative | 633/ 650 nm | Red | 50µg |
| Fluorescent H ₁ histamine receptor antagonist (apparent K _D values are 8.07, 5.37 and >6 for H ₁ , H ₂ and H ₃ receptors respectively). Also antagonizes the activity of Histamine, a H ₁ histamine receptor agonist. Displays no intrinsic activity. A fluorescent mepyramine analogue. | | | | | |
| HB7825 | CellAura fluorescent H₂ antagonist [aminopotentidine] | Aminopotentidine-derivative | 633/ 650 nm | Red | 50µg |
| Fluorescent H ₂ histamine receptor antagonist (apparent K _D values are 8.94, 7.3 and >6 for H ₂ , H ₃ and H ₁ receptors respectively). Also antagonizes the activity of Histamine, a H ₁ histamine receptor agonist. Displays no intrinsic activity. | | | | | |
| HB7826 | CellAura fluorescent H₃ antagonist [clobenpropit] | Clobenpropit-derivative | 633/ 650 nm | Red | 50µg |
| Fluorescent H ₃ histamine receptor antagonist (apparent K _D values are 7.09, 6.55 and 5.71 for H ₃ , H ₁ and H ₂ receptors respectively). Also antagonizes the activity of Histamine, a H ₁ histamine receptor agonist. Displays no intrinsic activity. | | | | | |
| HB7827 | CellAura fluorescent M₃ antagonist [pirenzepine] | Pirenzepine-derivative | 633/ 650 nm | Red | 50µg |
| Fluorescent M ₃ muscarinic receptor antagonist (apparent K _D values are 7.97, 6.29 and 6.24 for M ₃ , M ₅ and M ₁ receptors respectively). Antagonizes the activity of carbachol, a muscarinic receptor agonist. Displays no intrinsic activity. | | | | | |
| HB7828 | CellAura fluorescent 5-HT_{1A} antagonist [NAN-190] | NAN-190-derivative | 633/ 650 nm | Red | 50µg |
| Fluorescent 5-HT _{1A} serotonin receptor antagonist (apparent K _D values are 8.75, 6.34 and 5.57 for 5-HT _{1A} , 5-HT _{2A} and 5-HT _{1B} receptors respectively). Antagonizes the activity of serotonin, a 5-HT _{1A} agonist. | | | | | |



Our price pledge

As scientists ourselves, we appreciate that it's tough obtaining research grants and funding. We want to support your research in every way we can, and so work hard to offer highly competitive prices for our products. How do we do this? Lots of ways really – firstly, we try to reduce our costs to bring the price down for you – perhaps by changing packaging, formulation, pack sizes, suppliers or the manufacturing process. Or, it may mean reduced margins – and that's fine by us too (up to a point!). But, we will not compromise on quality – ever.

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