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# **DATASHEET**

CA200843 CellAura fluorescent H<sub>3</sub> antagonist [clobenpropit]

### **Product overview**

Name CA200843 CellAura fluorescent H<sub>3</sub> antagonist [clobenpropit]

Cat No HB7826

**Biological description** 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<sub>2</sub> receptors respectively). Also antagonizes the activity of Histamine, a H<sub>1</sub> agonist. Displays no

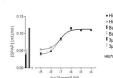
intrinsic activity.

Alternative names CA200843 | H<sub>3</sub>-633-AN | clo-BDY

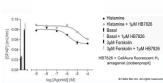
**Biological action** Antagonist >95%

**Description** Fluorescent H<sub>3</sub> histamine receptor antagonist

### **Images**















## **Biological Data**

Application notes
Pharmacological validation

For imaging at  $H_3$ ,  $H_2$  and  $H_1$  receptors use solutions up to 100 nM.

The CellAura fluorescent  $H_3$  antagonist [clobenpropit] ligand was shown to antagonize the activity of the agonist, histamine, in a recombinant CHO cell line expressing the human  $H_3$  receptor and a cyclic AMP-responsive secreted placental alkaline phosphatase (SPAP) reporter gene, and in similar cell lines expressing either the human  $H_2$  or  $H_1$  receptors. For the  $H_3$ ,  $H_2$  and  $H_1$  expressing cell lines, the cyclic AMP-induced expression of SPAP was measured under basal and forskolin-stimulated (maximal) conditions. Addition of CellAura fluorescent  $H_3$  antagonist [clobenpropit] to the basal or forskolin-stimulated cells did not significantly alter basal and stimulated SPAP levels, demonstrating that CellAura fluorescent  $H_3$  antagonist [clobenpropit] has no intrinsic agonist activity. To determine the apparent KD for CellAura fluorescent  $H_3$  antagonist [clobenpropit] at histamine  $H_3$ ,  $H_2$  and  $H_1$  receptors, cells were treated with varying concentrations of histamine agonist alone, or in the presence of  $1\mu$ M CellAura fluorescent  $H_3$  antagonist [clobenpropit], and the cyclic AMP-induced expression of SPAP measured. The apparent KD at  $H_3$ ,  $H_2$  and  $H_1$  was calculated from the rightward shift of the

agonist response curve in the presence of CellAura fluorescent  $H_3$  antagonist [clobenpropit], compared to the response curve for the agonist alone.

### **Solubility & Handling**

Storage instructions -20 °C (protect from light)
Solubility overview Soluble in DMSO

Storage of solutions Prepare and use solutions on the same day if possible. Store solutions at -20 °C for up to one month if

storage is required. Equilibrate to RT and ensure the solution is precipitate free before use.

**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 Stable for ambient temperature shipping. Follow storage instructions on receipt.

Important This product is for RESEARCH USE ONLY and is not intended for therapeutic or diagnostic use. Not

for human or veterinary use.

#### **Chemical Data**

Molecular Weight848.5SourceSyntheticFormulationLyophilized filmExcitation633 nmEmission650 nm