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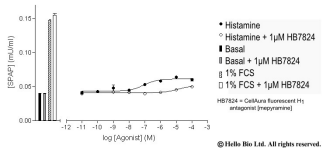
DATASHEET

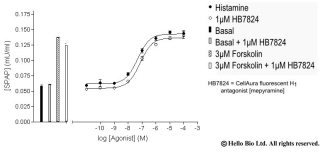
CA200799 CellAura fluorescent H_1 antagonist [mepyramine]

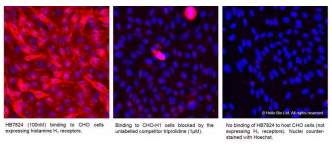
Product overview

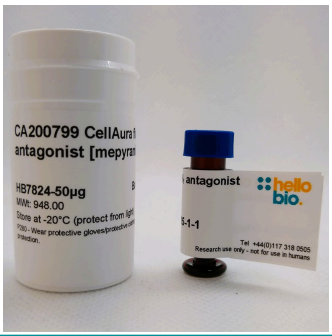
Name	CA200799 CellAura fluorescent H_1 antagonist [mepyramine]
Cat No	HB7824
Biological description	Fluorescent H_1 histamine receptor antagonist (apparent K_D values are 8.07, 5.37 and >6 for H_1, H_2 and H_3 receptors respectively). Also antagonizes the activity of Histamine, a H_1 histamine receptor agonist. Displays no intrinsic activity. A fluorescent mepyramine analogue.
Alternative names	CA200799|H_1-BY633-AN|Mempyramine-Bodipy630-650
Biological action	Antagonist
Purity	>97%
Description	Fluorescent H_1 histamine receptor antagonist

Images









Biological Data

Application notes	For imaging at the H_1 receptor use solutions up to 100 nM.
Pharmacological validation	The CellAura fluorescent H_1 antagonist [mepyramine] ligand was shown to antagonize the activity of the H_1 agonist, histamine, in the recombinant CHO cell line expressing the human H_1 receptor, co-transfected with a serum response element-induced secreted placental alkaline phosphatase (SPAP) reporter gene. For the H_1 expressing cell line, the serum-induced expression of SPAP was measured under basal and 1% serum-stimulated (maximal) conditions. Addition of CellAura fluorescent H_1 antagonist [mepyramine] to the basal or serum-stimulated cells did not significantly alter basal and stimulated SPAP levels, demonstrating that CellAura fluorescent H_1 antagonist [mepyramine] has no intrinsic agonist activity.

To determine the apparent K_D for CellAura fluorescent H_1 antagonist [mepyramine] at histamine H_1 receptors, cells were treated with varying concentrations of histamine agonist alone, or in the presence of 1µM CellAura fluorescent H_1 antagonist [mepyramine], and the serum-induced expression of SPAP measured. The apparent K_D at H_1 was calculated from the rightward shift of the agonist response curve in the presence of CellAura fluorescent H_1 antagonist [mepyramine], compared to the response curve for the agonist alone.

Similar studies were conducted with the CellAura fluorescent H_1 antagonist [mepyramine] ligand using recombinant CHO cell lines expressing either the human H_2 receptor or the human H_3 receptor and a cyclic AMP-responsive secreted placental alkaline phosphatase (SPAP) reporter gene. The apparent K_D at H_2 was calculated in the same way to that calculated for the human H_1 receptor.

Solubility & Handling

Storage instructions	-20°C (protect from light)
Solubility overview	Soluble in DMSO
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	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.
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 Weight	948
Source	Synthetic
Formulation	Lyophilized film
Excitation	633 nm
Emission	650 nm
Kit contents	test