



DATA SHEET

Product overview

Name	CELT-171
Short description	Potent and selective hA ₃ Adenosine receptor fluorescent antagonist
Biological description	It shows full selectivity for A ₃ over A ₁ , A _{2A} and A _{2B} (only in the A ₃ receptor it is possible to measure a K _i whose value is 6.13 nM) in a radioligand ([³ H]-NECA) binding assay. It exhibits no intrinsic agonistic activity.
Biological action	Modulation of hA ₃ adenosine by orthosteric antagonism
Quantity	50 µg
Purity	> 97%

Properties

Molecular Weight	1158.10
Source	Synthetic
Appearance	Purple solid
Formulation	Solid powder
Excitation	589 nM
Emission	616 nM

Applications

Application notes	It is recommended to perform a fluorescence scan to identify the wavelengths suitable for the method set-up. For microscopy imagen at hA ₃ receptor use solutions between 2 and 30 nM.
Pharmacological validation	The efficacy and potency of POLARCELT-171 as a hA ₃ ligand was confirmed by a radioligand binding assay.

Storing and Using product

Storage instructions	-20 °C (protect from light)
Solubility overview	Soluble in DMSO
Stock solution	Add 43 µL of DMSO to obtain a 1mM solution
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, as a solid, 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.

Images

Table 1: Affinity values (K_i) obtained by fluorescence polarized binding assay and described in the literature by radioligand binding assay for the compounds used to validate the assay.

Compound	hA_3	
	K_i (nM) obtained	K_i (nM) described (IUPHAR)
MRS 1220	0.23	0.63 - 6.3
MRS 1754	303.5	630.9
CGS 15943	110.4	12.6 - 100

Table 2: Affinity values (K_i) or % at 1 µM of compound CELT-171 for the four different subtypes of adenosine receptor.

Compound	K_i (nM) ± SEM or % at 1 µM			
	A_1	A_{2A}	A_{2B}	A_3
CELT-171	2.18 ± 1.16 %	2.24 ± 1.33 %	1.88 ± 0.01 %	6.13 ± 1.33 nM

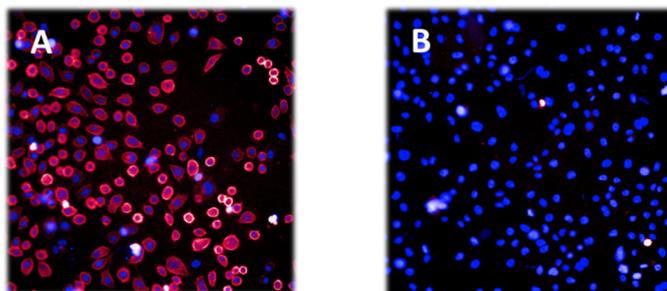


Fig. 1: A) CELT-171 (3 nM) binding to live HeLa cells expressing adenosine A_3 receptors. B) CELT-171 (3 nM) binding blocking by MRS 1220 (1 µM). Nuclei stained with Hoechst 33342.