

Catalogue of validated GPCR Ligands

In the following table we list the available ligands, with information about their selectivity, the emission and excitation wavelengths, their affinity measured by a radioligand binding assay and the specific further assays in which they have been validated.

Receptor	Code	$\lambda_{exc}/\lambda_{em}$	Affinity ¹	Selectivity ¹	Validation
Dopamine Receptors					
D ₂	CELT-174	589/616	1.06 nM	Selective K _i (D ₃)=136.5 nM K _i (D ₄)=152.7 nM	Fluorescence Microscopy in transfected cells Flow cytometry
	CELT-426	560/571	89.3 nM	Partially Selective K _i (D ₃)=194.8 nM K _i (D ₄)=263 nM	Fluorescence polarization Flow cytometry
D ₃	CELT-429	589/616	75.4 nM	Selective % displ.1 μ M (D ₂)= 6% % displ.1 μ M (D ₄)= 3%	Fluorescence Microscopy in transfected cells (ongoing)
	CELT-419	560/571	65.6 nM	Partially Selective K _i (D ₂)=151.4 nM	Fluorescence polarization
	CELT-240 (D ₂ /D ₃)	589/616	D ₃ = 2.14 nM D ₂ = 2.34 nM	Selective against D₄ % displ.1 μ M(D ₄)=1%	Flow cytometry
D ₂ /D ₃	CELT-241 (D ₂ /D ₃)	646/662	D ₃ = 4.77 nM D ₂ = 5.22 nM	Selective against D₄ K _i (D ₄)=302.55 nM	Fluorescence Microscopy in transfected cells (ongoing)
Adenosine Receptors					
PAN-ADO	CELT-298	646/662	A ₁ = 20.9 nM A _{2A} = 171 nM A _{2B} = 44.7 nM A ₃ = 95.2 nM	Non Selective	Fluorescence Microscopy in transfected cells
A ₁	CELT-448	560/571	26.2 nM	Selective % displ.1 μ M (A _{2A})= 11% % displ.1 μ M (A _{2B})= 22% % displ.1 μ M (A ₃)= 24%	Fluorescence Microscopy in transfected cells (ongoing)
	CELT-372 (A ₁ /A _{2B})	589/616	A ₁ = 1.89 nM A _{2B} = 24.75 nM	Partially Selective K _i (A _{2A})=80.33 nM K _i (A ₃)=967.8 nM	Fluorescence Microscopy in transfected cells
	CELT-360	646/662	8.6 nM	Non Selective K _i (A _{2A})=98.38 nM K _i (A _{2B})=72.24 nM K _i (A ₃)=231.01 nM	Fluorescence Microscopy in transfected cells

Receptor	Code	$\lambda_{exc}/\lambda_{em}$	Affinity ¹	Selectivity ¹	Validation
A _{2A}	CELT-316	589/616	116.1 nM	Selective % displ.1 μ M (A ₁)= 18% % displ.1 μ M (A _{2B})= 33% % displ.1 μ M (A ₃)= 31%	Fluorescence Microscopy in native cells
	CELT-300	646/662	8.35 nM	Selective % displ.1 μ M (A ₁)= 31% % displ.1 μ M (A _{2B})= 18% % displ.1 μ M (A ₃)= 38%	Fluorescence Microscopy in transfected cells (ongoing)
A _{2B/A₃}	CELT-327	589/616	A _{2B} = 35.6 nM A ₃ = 45.7 nM	Selective % displ.1 μ M (A ₁)=41% % displ.1 μ M (A _{2A})=1%	Fluorescence Microscopy in native cells
A ₃	CELT-228	560/571	52.7 nM	Selective % displ.1 μ M (A ₁)= 2% % displ.1 μ M (A _{2A})= 1% % displ.1 μ M (A _{2B})= 5%	Fluorescence Microscopy in native cells Fluorescence polarization
	CELT-171	589/616	6.13 nM	Selective % displ.1 μ M (A ₁)=2.1% % displ.1 μ M (A _{2B})= 1.9%	Fluorescence Microscopy in transfected and native cells
Serotonin Receptors					
5HT _{2A} /5HT _{2C}	CELT-402	589/616	5HT _{2A} =29.7 nM 5HT _{2C} = 14.6 nM	Selective K _i (5HT _{2B})=222.9 nM	Fluorescence Microscopy in transfected cells (ongoing)
5HT _{2B}	CELT-211	589/616	56.32 nM	Selective % displ.1 μ M (5HT _{2A})=0.94% % displ.1 μ M (5HT _{2C})= 1.75%	Fluorescence Microscopy in transfected cells
Cannabinoid Receptors					
PAN-CB	CELT-335	646/662	CB ₁ = 44.8 nM CB ₂ = 7.4 nM	Non Selective	HTRF in adherent cells High Content screening Fluorescence Microscopy in transfected cells
CB ₂	CELT-331	646/662	75.9 nM	Selective² % displ.1 μ M (CB ₁)=20%	High Content screening Fluorescence Microscopy in transfected cells
Muscarinic Receptors					
M ₁	NIR-CELT 195	743/782	57.77 nM	No data	Fluorescence Microscopy in transfected cells (ongoing)

¹ K_i or % of displacement at 1 μ M measured by radioligand binding assay.

² Development of a CB₁ selective ligand is ongoing.

In case you are interested by any of these ligands and they have not been validated yet for the kind of assay you want to test, please let us know: based on our experience we could advise you about which fluorophore works better for each assay, and eventually develop new versions -i.e. keeping the pharmacophore but changing the fluorophore- in a turnaround of 4-6 weeks.

Contact Information BD Team

- ✓ Sonia Martinez: sonia.martinez@celtarys.com, +34 628 11 40 50
- ✓ Gonzalo Pazos: gonzalo.pazos@celtarys.com, +34 626 59 10 86