

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
	CELT-175	748/776	3.15 nM	Selective K _i (D ₃)=294.6 nM K _i (D ₄)=220.3 nM	Fluorescence Microscopy in transfected cells (ongoing)
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
D ₂ /D ₃	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
	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 polarization (ongoing) 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	λ _{exc} /λ _{em}	Affinity ¹	Selectivity ¹	Validation
A _{2A}	CELT-316	589/616	116.1 nM	Selective % displ.1 μM (A ₁)= 18% % displ.1 μM (A _{2B})= 33% % displ.1 μM (A ₃)= 31%	Fluorescence Microscopy in native cells
	CELT-300	646/662	8.35 nM	Selective % displ.1 μM (A ₁)= 31% % displ.1 μM (A _{2B})= 18% % displ.1 μM (A ₃)= 38%	Fluorescence Microscopy in transfected cells (ongoing)
A _{2B} /A ₃	CELT-327	589/616	A _{2B} = 35.6 nM A ₃ = 45.7 nM	Selective % displ.1 μM (A ₁)=41% % displ.1 μM (A _{2A})=1%	Fluorescence Microscopy in native cells
A ₃	CELT-228	560/571	52.7 nM	Selective % displ.1 μM (A ₁)= 2% % displ.1 μM (A _{2A})= 1% % displ.1 μM (A _{2B})= 5%	Fluorescence Microscopy in native cells Fluorescence polarization ⁹
	CELT-171	589/616	6.13 nM	Selective % displ.1 μM (A ₁)=2.1% % displ.1 μM (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 μM (5HT _{2A})=0.94% % displ.1 μM (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 ³ High Content screening Fluorescence Microscopy in transfected cells
CB ₂	CELT-331	646/662	75.9 nM	Selective² % displ.1 μM (CB ₁)=20%	High Content screening Fluorescence Microscopy in transfected cells

Muscarinic Receptors					
M₁	NIR-CELT 195	743/782	57.77 nM	No data	Fluorescence Microscopy in transfected cells (ongoing)
Glucagon-like peptide-1 Receptors					
GLP1	CELT-111 (LUXendin551)	551/576	7.2	Selective⁴	Widefield/confocal/2-photon microscopy in live and fixed mammalian cells and tissue, as well as anaesthetized mice ⁴
GLP1	CELT-112 (LUXendin645)	645/664	7.5	Selective⁵	Widefield/confocal/2-photon microscopy in live and fixed mammalian cells and tissue, as well as anaesthetized mice ⁵ TR-FRET ^{6,7,8}
GLP1	CELT-113 (LUXendin762)	762/784	7.0	Selective⁴	Widefield/confocal/2-photon microscopy in live and fixed mammalian cells and tissue, as well as anaesthetized mice ⁴ . Non-invasive fluorescence preclinical imaging

¹ K_i or % of displacement at 1 μM measured by radioligand binding assay. In the case of GLP1R ligands corresponds to pIC50

² Development of a CB₁ selective ligand is ongoing.

³ Lu Raich et al. "Similarities and differences upon binding of naturally occurring Δ⁹-tetrahydrocannabinol-derivatives to cannabinoid CB₁ and CB₂ receptors" *Pharmacol. Res.*, 2021,174,105970.

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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.

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