

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 Receptor					
D₂	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)
D₃	CELT-429	589/616	75.4 nM	Selective % displ.1 μ M D ₂ = 6% 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
D₂/D₃	CELT-240	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	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 Receptor					
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
	CELT-448	560/571	26.2 nM	Selective % displ.1 μ M A _{2A} = 11%	Fluorescence polarization (ongoing)

A₁				A _{2B} = 22% A ₃ = 24%	Fluorescence Microscopy in transfected cells (ongoing)
	CELT-372 (A₁/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
A_{2A}	CELT-316	589/616	116.1 nM	Selective % displ.1 μM A ₁ = 18% A _{2B} = 33% A ₃ = 31%	Fluorescence Microscopy in native cells
	CELT-300	646/662	8.35 nM	Selective % displ.1 μM A ₁ = 31% A _{2B} = 18% 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 μM A ₁ =41% A _{2A} =1%	Fluorescence Microscopy in native cells ¹⁰
A₃	CELT-228	560/571	52.7 nM	Selective % displ.1 μM A ₁ = 2% A _{2A} = 1% A _{2B} = 5%	Fluorescence Microscopy in native cells ¹⁰ Fluorescence polarization ⁹
	CELT-171	589/616	6.13 nM	Selective % displ.1 μM A ₁ =2.1% A _{2A} = 2.21% A _{2B} = 1.9%	Fluorescence Microscopy in transfected and native cells
	CELT-480	646/662	12 nM	Selective % displ.1 μM A ₁ = 38% A _{2A} = 23 % A _{2B} = 49 %	Fluorescence Microscopy in transfected cells (ongoing)
Serotonin Receptor					
5HT_{2A}/5HT_{2C}	CELT-402	589/616	5HT _{2A} =29.7nM 5HT _{2C} = 14.6 nM	Partially 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% 5HT _{2C} = 1.75%	Fluorescence Microscopy in transfected cells

Cannabinoid Receptor					
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 Receptor					
M ₁	NIR-CELT 195	748/776	57.77 nM	No data	Fluorescence Microscopy in transfected cells (ongoing)
Adrenergic Receptor					
α ₁	CELT-130	646/662	28.3 nM (α _{1A})	Selective K _i (α _{2A})=1081 nM	Fluorescence Microscopy in transfected cells (ongoing)
α ₁	CELT-133	560/571	5 nM (α _{1A})	Selective % displ.1 μM α _{2A} =15%	Fluorescence Microscopy in transfected cells (ongoing)
C5a Receptor					
C5aR	CELT-58	646/662	24.89 nM	Not Defined	Flow cytometry
GLP1 Receptor					
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 the C5a5 ligand corresponds to the EC₅₀. In the case of GLP1R ligands corresponds to pIC₅₀

² Development of a CB₁ selective ligand is ongoing.

³Lu Raïch 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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¹⁰J. Barbazán, M. Majellaro, A. L. Martínez, J. M. Brea, E. Sotelo and M. Abal Identification of A2BAR as a potential target in colorectal cancer using novel fluorescent GPCR ligands. Biomedicine & Pharmacotherapy 2022 <https://doi.org/10.1016/j.biopha.2022.113408>

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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