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	λexc/λem	Affinity[1]	Selectivity[1]	Validation
Dopamine Receptor					
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 µM (D_2)= 6% % displ.1 µM (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	589/616	D_3 = 2.14 nM D_2 = 2.34 nM	**Selective against D_4** % displ.1 µM (D_4)= 1%	Flow cytometry
	CELT-241	646/662	D_3 = 4.77 nM D_2 = 5.22 nM	**Selective against D_4** 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

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A_1	**CELT-448**	560/571	26.2 nM	**Selective** % displ.1 µM (A_{2A})= 11% % displ.1 µM (A_{2B})= 22% % displ.1 µM (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
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[10]
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[10] 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 Receptor					
$5HT_{2A}$/$5HT_{2C}$	**CELT-402**	589/616	$5HT_{2A}$=29.7nM $5HT_{2C}$= 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 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	**Selective[2]** % 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)
GLP1 Receptor					
GLP1	**CELT-111 (LUXendin551)**	551/576	7.2	**Selective[4]**	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	**Selective[5]**	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	**Selective[4]**	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 CB_1 selective ligand is ongoing.
[3] Lu 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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In case you are interested by any of these ligands labelled with a different fluorophore, 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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