

# CELLULAR FUNCTIONAL GPCR PROFILE

## ▲ Ref. P27

Suggested testing: 10  $\mu$ M (n=2)

🕒 10 business days

(Starting on Monday: Compounds must be received at Cerep France site on Friday before noon.)

The **Cellular Functional GPCR profile – 30 targets** – is a fast turnaround time panel covering a selection of diverse and information rich GPCR targets. **This profile is designed to identify potential off-target activities early in the drug discovery process.** Targets were selected taking into account market demand, and according to statistical correlations between compound pharmacological profiles and Adverse Drug Reactions (ADRs), identified within Cerep's proprietary BioPrint® database.

The assay protocols are designed to detect agonist, and antagonist activities of compounds.

- For those who would like to evaluate earlier in the drug discovery process both affinity and functional activity of compounds, all corresponding binding assays of the targets in this profile are available at Cerep. Clients may request Cerep's advice on when to use binding, functional, or both approaches according to target(s) of interest.
- The assays for each target can be customized to identify inverse agonists or allosteric modulators (not included in this profile): please contact us at [sales@cerep.com](mailto:sales@cerep.com).

## RECEPTORS

Family	Assay	Ref.
ADENOSINE	📄 A <sub>2A</sub>	G002
	📄 A <sub>2B</sub>	🇫🇷 G003
	📄 A <sub>3</sub>	🇫🇷 G107
ADRENERGIC	📄 alpha <sub>1A</sub>	🇫🇷 G004
	📄 alpha <sub>1B</sub>	🇫🇷 G005
	📄 alpha <sub>2C</sub>	🇫🇷 G006
	📄 beta <sub>1</sub>	🇫🇷 G007
	📄 beta <sub>2</sub>	🇫🇷 G008
CHOLECYSTOKININ	📄 CCK <sub>1</sub> (CCK <sub>A</sub> )	🇫🇷 G062
DOPAMINE	📄 D <sub>1</sub>	🇫🇷 G014
	📄 D <sub>3</sub>	🇫🇷 G016
	📄 D <sub>4.4</sub>	🇫🇷 G017
HISTAMINE	📄 H <sub>1</sub>	🇫🇷 G115
	📄 H <sub>2</sub>	🇫🇷 G020
MUSCARINIC	📄 M <sub>1</sub>	🇫🇷 G029
	📄 M <sub>2</sub>	🇫🇷 G030
	📄 M <sub>3</sub>	🇫🇷 G031
	📄 M <sub>4</sub>	🇫🇷 G032
	📄 M <sub>5</sub>	🇫🇷 G033
NEUROKININ	📄 NK <sub>1</sub>	G085
OPIOID AND OPIOID-LIKE	delta <sub>2</sub> (DOP)	G129
	📄 kappa (KOP)	G090
	📄 mu (MOP)	🇫🇷 G091
SEROTONIN	5-HT <sub>1D</sub>	G112
	5-HT <sub>2A</sub>	🇫🇷 G181
	5-HT <sub>2B</sub>	🇫🇷 G183
	5-HT <sub>2C</sub>	🇫🇷 G184
	📄 5-HT <sub>4e</sub>	🇫🇷 G049
	📄 5-HT <sub>6</sub>	🇫🇷 G050
	📄 5-HT <sub>7</sub>	🇫🇷 G051

▲ new profile 📄 new assay conditions 🇫🇷 human 🕒 standard turnaround time

Anticipating clinical effects from *in vitro* data

## SAMPLE SIZE (including IC<sub>50</sub> follow-up studies)

Values are based on a molecular weight ≤500 g/mol and a typical testing concentration of 10 μM in duplicate (including a possible retest).

	SCREENING		SCREENING + FOLLOW UP with highest concentration at 10 μM <sup>1</sup>		SCREENING + FOLLOW UP with highest concentration at 100 μM <sup>1</sup>	
	WEIGHT (pre-weighed)	VOLUME (100% DMSO)	WEIGHT (pre-weighed)	VOLUME (100% DMSO)	WEIGHT (pre-weighed)	VOLUME (100% DMSO)
<b>CELLULAR FUNCTIONAL GPCR PROFILE</b>						
<b>Number of assays ▼</b>						
	60 <sup>2</sup>	3.25 mg	650 μL@10 mM	10 mg	2000 μL@10 mM	inquire inquire

<sup>1</sup> Assuming ~10% of test in IC<sub>50</sub>. Usually, for 1 IC<sub>50</sub>: 30 μL@10 mM and + 25 μL@10 mM by additional IC<sub>50</sub>.

<sup>2</sup> 30 targets (agonist & antagonist effects)

## ■ QUESTIONS OR CONCERNS?

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