

# HIGH-THROUGHPUT PROFILE

▲ Ref. P3

Suggested testing: 10 µM (n=2)

🕒 2 weeks

The **High-throughput profile** consists of a broad collection of **80 transmembrane and soluble receptors, ion channels and monoamine transporters**. It has been specifically designed to provide information not only on potential limitations or liabilities of drug candidates, but also for off-target activity identification. Used either for risk assessment, selectivity/specificity, or for identification of new activities, the high-throughput profile is a rapid and cost effective way of prioritizing the most promising compounds in hit-to-lead selection process.

→ **Cellular functional GPCR assays** are available on 66 % of the assays in this profile.

## GPCRs

Family	Receptor	Ref.
ADENOSINE	A <sub>1</sub> - antagonist radioligand	🇫🇷 0002
	A <sub>2A</sub> - agonist radioligand	🇫🇷 0004
	A <sub>3</sub> - agonist radioligand	🇫🇷 0006
ADRENERGIC	alpha <sub>1</sub> (non-selective) - antagonist radioligand	0008
	alpha <sub>2</sub> (non-selective) - antagonist radioligand	0011
	beta <sub>1</sub> - agonist radioligand	🇫🇷 0018
	beta <sub>2</sub> - agonist radioligand	🇫🇷 0020
ANGIOTENSIN-II	AT <sub>1</sub> - antagonist radioligand	🇫🇷 0024
	AT <sub>2</sub> - agonist radioligand	🇫🇷 0026
BOMBESIN	BB (non-selective) - agonist radioligand	0030
BRADYKININ	B <sub>2</sub> - agonist radioligand	🇫🇷 0033
CALCITONIN GENE-RELATED PEPTIDE	CGRP - agonist radioligand	🇫🇷 0373
CANNABINOID	CB <sub>1</sub> - agonist radioligand	🇫🇷 0036
CHEMOKINES	CCR1 - agonist radioligand	🇫🇷 0361
	CXCR2 (IL-8B) - agonist radioligand	🇫🇷 0419
CHOLECYSTOKININ	CCK <sub>1</sub> (CCK <sub>A</sub> ) - agonist radioligand	🇫🇷 0039
	CCK <sub>2</sub> (CCK <sub>B</sub> ) - agonist radioligand	🇫🇷 0041
DOPAMINE	D <sub>1</sub> - antagonist radioligand	🇫🇷 0044
	D <sub>2S</sub> - antagonist radioligand	🇫🇷 0046
	D <sub>3</sub> - antagonist radioligand	🇫🇷 0048
	D <sub>4,4</sub> - antagonist radioligand	🇫🇷 0049
	D <sub>5</sub> - antagonist radioligand	🇫🇷 0050
ENDOTHELIN	ET <sub>A</sub> - agonist radioligand	🇫🇷 0054
	ET <sub>B</sub> - agonist radioligand	🇫🇷 0056
GALANIN	GAL <sub>1</sub> - agonist radioligand	🇫🇷 0062
	GAL <sub>2</sub> - agonist radioligand	🇫🇷 0410
HISTAMINE	H <sub>1</sub> - antagonist radioligand	🇫🇷 0870
	H <sub>2</sub> - antagonist radioligand	🇫🇷 1208
MELANOCORTIN	MC <sub>4</sub> - agonist radioligand	🇫🇷 0420
MELATONIN	MT <sub>1</sub> (ML <sub>1A</sub> ) - agonist radioligand	🇫🇷 1538
MUSCARINIC	M <sub>1</sub> - antagonist radioligand	🇫🇷 0091
	M <sub>2</sub> - antagonist radioligand	🇫🇷 0093
	M <sub>3</sub> - antagonist radioligand	🇫🇷 0095
	M <sub>4</sub> - antagonist radioligand	🇫🇷 0096
	M <sub>5</sub> - antagonist radioligand	🇫🇷 0097
NEUROKININ	NK <sub>1</sub> - agonist radioligand	🇫🇷 0100
	NK <sub>2</sub> - agonist radioligand	🇫🇷 0102
	NK <sub>3</sub> - antagonist radioligand	🇫🇷 0104
NEUROPEPTIDE Y	Y <sub>1</sub> - agonist radioligand	🇫🇷 0106
	🇫🇷 Y <sub>2</sub> - agonist radioligand	🇫🇷 0107
NEUROTENSIN	NTS <sub>1</sub> (NT <sub>1</sub> ) - agonist radioligand	🇫🇷 0109
OPIOID AND OPIOID-LIKE	delta <sub>2</sub> (DOP) - agonist radioligand	🇫🇷 0114
	kappa (KOP) - agonist radioligand	1971
	mu (MOP) - agonist radioligand	🇫🇷 0118
PROSTANOID	🇫🇷 NOP (ORL1) - agonist radioligand	🇫🇷 0358
	EP <sub>2</sub> - agonist radioligand	🇫🇷 1955

▲ Profile changed as compared to 2010 🇫🇷 new assay conditions 🇫🇷 human 🇫🇷 standard turnaround time

Anticipating clinical effects from *in vitro* data

► HIGH-THROUGHPUT PROFILE

## GPCRs (cont'd)

Family	Receptor	Ref.
PROSTANOID (cont'd)	EP <sub>4</sub> - agonist radioligand	0441
	IP (PGI <sub>2</sub> ) - agonist radioligand	2230
PURINERGIC	P2Y - agonist radioligand	0128
SEROTONIN	5-HT <sub>1A</sub> - agonist radioligand	0131
	5-HT <sub>1B</sub> - antagonist radioligand	0132
	5-HT <sub>2A</sub> - antagonist radioligand	0135
	5-HT <sub>2B</sub> - agonist radioligand	1333
	5-HT <sub>2C</sub> - antagonist radioligand	0137
	5-HT <sub>5A</sub> - agonist radioligand	0140
	5-HT <sub>6</sub> - agonist radioligand	0142
	5-HT <sub>7</sub> - agonist radioligand	0144
SOMATOSTATIN	sst (non-selective) - agonist radioligand	0149
VASOACTIVE INTESTINAL PEPTIDE	PAC <sub>1</sub> (PACAP) - agonist radioligand	1518
	VPAC <sub>1</sub> (VIP <sub>1</sub> ) - agonist radioligand	0157
VASOPRESSIN	V <sub>1α</sub> - agonist radioligand	0159

## NUCLEAR RECEPTORS

Family	Receptor	Ref.
STEROID NUCLEAR RECEPTORS	GR - agonist radioligand	0469
NON-STEROID NUCLEAR RECEPTORS	PPAR <sub>γ</sub> - agonist radioligand	0641

## OTHER RECEPTORS

Family	Receptor	Ref.
BENZODIAZEPINE	BZD peripheral - antagonist radioligand	0029
CYTOKINES	TNF- $\alpha$ - agonist radioligand	0076
GABA	GABA (non selective) - agonist radioligand	0057
	BZD (central) - agonist radioligand	0028
	Cl <sup>-</sup> channel (GABA-gated) - antagonist radioligand	0170
GLUTAMATE	PCP - antagonist radioligand	0124
GROWTH FACTORS	PDGF - agonist radioligand	0070
PURINERGIC	P2X - agonist radioligand	0127
SEROTONIN	5-HT <sub>3</sub> - antagonist radioligand	0411
SIGMA	sigma (non-selective) - agonist radioligand	0146

## ION CHANNELS

Family	Receptor	Ref.
Ca <sup>2+</sup> CHANNEL	Ca <sup>2+</sup> -L (verapamil site) (phenylalkylamine) - antagonist radioligand	0163
K <sup>+</sup> CHANNELS	K <sub>v</sub> - antagonist radioligand	0166
	SK <sub>Ca</sub> - antagonist radioligand	0167
Na <sup>+</sup> CHANNEL	Na <sup>+</sup> site 2 - antagonist radioligand	0169

## TRANSPORTERS

Family	Receptor	Ref.
DOPAMINE	dopamine transporter - antagonist radioligand	0052
NOREPINEPHRINE	norepinephrine transporter - antagonist radioligand	0355
SEROTONIN	5-HT transporter - antagonist radioligand	0439



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

Values are based on a molecular weight  $\leq 500$  g/mol and a typical testing concentration of 10  $\mu$ M in duplicate (including a possible retest).

	SCREENING		SCREENING + FOLLOW UP with highest concentration at 10 $\mu$ M <sup>1</sup>		SCREENING + FOLLOW UP with highest concentration at 100 $\mu$ M <sup>1</sup>	
	WEIGHT (pre-weighed)	VOLUME (100% DMSO)	WEIGHT (pre-weighed)	VOLUME (100% DMSO)	WEIGHT (pre-weighed)	VOLUME (100% DMSO)
<b>HIGH-THROUGHPUT PROFILE</b>						
Number of assays ▼	80	170 $\mu$ L @ 10 mM	1.4 mg	270 $\mu$ L @ 10 mM	4.1 mg	820 $\mu$ L @ 10 mM

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

■ QUESTIONS OR CONCERNS?  
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