

EXPRESS PROFILE

▲ Ref. P1

Suggested testing: 10 μ M (n=2)

🕒 5 business days

The **ExpresSProfile** is a fast turnaround selectivity/specificity profile drawn from the High-throughput profile. The majority of the **55 binding assays** in the ExpresSProfile panel are human recombinant receptors. With a **one week turnaround time**, the ExpresSProfile greatly accelerates the "risk assessment" of lead compounds.

GPCRs

Family	Assay	Ref.
ADENOSINE	A ₁ - antagonist radioligand	🇫🇷 0002
	A _{2A} - agonist radioligand	🇫🇷 0004
	A ₃ - agonist radioligand	🇫🇷 0006
ADRENERGIC	alpha ₁ (non-selective) - antagonist radioligand	0008
	alpha ₂ (non-selective) - antagonist radioligand	0011
	beta ₁ - agonist radioligand	🇫🇷 0018
	beta ₂ - agonist radioligand	🇫🇷 0020
ANGIOTENSIN-II	AT ₁ - antagonist radioligand	🇫🇷 0024
BRADYKININ	B ₂ - agonist radioligand	🇫🇷 0033
CANNABINOID	CB ₁ - agonist radioligand	🇫🇷 0036
CHEMOKINES	CCR1 - agonist radioligand	🇫🇷 0361
	CXCR2 (IL-8B) - agonist radioligand	🇫🇷 0419
CHOLECYSTOKININ	CCK ₁ (CCK _A) - agonist radioligand	🇫🇷 0039
DOPAMINE	D ₁ - antagonist radioligand	🇫🇷 0044
	D _{2S} - antagonist radioligand	🇫🇷 0046
ENDOTHELIN	ET _A - agonist radioligand	🇫🇷 0054
GALANIN	GAL ₂ - agonist radioligand	🇫🇷 0410
HISTAMINE	H ₁ - antagonist radioligand	🇫🇷 0870
	H ₂ - antagonist radioligand	🇫🇷 1208
MELANOCORTIN	MC ₄ - agonist radioligand	🇫🇷 0420
MELATONIN	MT ₁ (ML _{1A}) - agonist radioligand	🇫🇷 1538
MUSCARINIC	M ₁ - antagonist radioligand	🇫🇷 0091
	M ₂ - antagonist radioligand	🇫🇷 0093
	M ₃ - antagonist radioligand	🇫🇷 0095
NEUROKININ	NK ₂ - agonist radioligand	🇫🇷 0102
	NK ₃ - antagonist radioligand	🇫🇷 0104
NEUROPEPTIDE Y	Y ₁ - agonist radioligand	🇫🇷 0106
	🇫🇷 Y ₂ - agonist radioligand	🇫🇷 0107
NEUROTENSIN	NTS ₁ (NT ₁) - agonist radioligand	🇫🇷 0109
OPIOID AND OPIOID-LIKE	delta ₂ (DOP) - agonist radioligand	🇫🇷 0114
	kappa (KOP) - agonist radioligand	1971
	mu (MOP) - agonist radioligand	🇫🇷 0118
	🇫🇷 NOP (ORL1) - agonist radioligand	🇫🇷 0358
PROSTANOID	🇫🇷 EP ₄ - agonist radioligand	🇫🇷 0441
SEROTONIN	5-HT _{1A} - agonist radioligand	🇫🇷 0131
	5-HT _{1B} - antagonist radioligand	0132
	5-HT _{2A} - antagonist radioligand	🇫🇷 0135
	5-HT _{2B} - agonist radioligand	🇫🇷 1333
	5-HT _{5A} - agonist radioligand	🇫🇷 0140
	5-HT ₆ - agonist radioligand	🇫🇷 0142
	5-HT ₇ - agonist radioligand	🇫🇷 0144

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

Anticipating clinical effects from *in vitro* data

GPCRs (cont'd)

Family	Assay	Ref.
SOMATOSTATIN	sst (non-selective) - agonist radioligand	0149
VASOACTIVE INTESTINAL PEPTIDE	VPAC ₁ (VIP ₁) - agonist radioligand	0157
VASOPRESSIN	V _{1a} - agonist radioligand	0159

OTHER RECEPTORS

Family	Assay	Ref.
GABA	GABA (non selective) - agonist radioligand	0057
	BZD (central) - agonist radioligand	0028
	Cl ⁻ channel (GABA-gated) - antagonist radioligand	0170
SEROTONIN	5-HT ₃ - antagonist radioligand	0411

ION CHANNELS

Family	Assay	Ref.
Ca ²⁺ CHANNEL	Ca ²⁺ -L (verapamil site) (phenylalkylamine) - antagonist radioligand	0163
K ⁺ CHANNELS	K _V - antagonist radioligand	0166
	SK _{Ca} - antagonist radioligand	0167
Na ⁺ CHANNEL	Na ⁺ -site 2 - antagonist radioligand	0169

TRANSPORTERS

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

SAMPLE SIZE (including IC₅₀ 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 ¹		SCREENING + FOLLOW UP with highest concentration at 100 μM ¹	
	WEIGHT (pre-weighed)	VOLUME (100% DMSO)	WEIGHT (pre-weighed)	VOLUME (100% DMSO)	WEIGHT (pre-weighed)	VOLUME (100% DMSO)
EXPRESS PROFILE						
Number of assays ▼	55	1 mg	1.1 mg	220 μL @ 10 mM	3.1 mg	620 μL @ 10 mM

¹ Assuming ~10% of test in IC₅₀. Usually, for 1 IC₅₀: 30 μL @ 10 mM and + 25 μL @ 10 mM by additional IC₅₀.

QUESTIONS OR CONCERNS?

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