

DIVERSITY PROFILE

▲ Ref. P9

Suggested testing: 10 µM (n=2)

🕒 3 weeks

The **Diversity profile** is composed of **72 binding and 27 enzyme assays**. The binding assay panel is broadly defined with roughly an equal number of selective, central and peripheral therapeutically relevant targets. The enzyme assay panel includes the most representative targets from diverse enzyme families with a particular focus on phosphatases and specific enzymes involved in cell cycle regulation.

This profile is designed for the optimal assessment of the “biological diversity” or early discovery 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
ANGIOTENSIN-II	beta ₂ - agonist radioligand	🇫🇷 0020
	AT ₁ - antagonist radioligand	🇫🇷 0024
BRADYKININ	AT ₂ - agonist radioligand	🇫🇷 0026
	B ₁ - agonist radioligand	🇫🇷 1189
CANNABINOID	B ₂ - agonist radioligand	🇫🇷 0033
	CB ₁ - agonist radioligand	🇫🇷 0036
CHOLECYSTOKININ	CB ₂ - agonist radioligand	🇫🇷 0037
	CCK ₁ (CCK _A) - agonist radioligand	🇫🇷 0039
CORTICOTROPIN RELEASING FACTOR	CCK ₂ (CCK _B) - agonist radioligand	🇫🇷 0041
	CRF1 - agonist radioligand	🇫🇷 1467
DOPAMINE	D ₁ - antagonist radioligand	🇫🇷 0044
	D _{2S} - antagonist radioligand	🇫🇷 0046
	D ₃ - antagonist radioligand	🇫🇷 0048
	D _{4.4} - antagonist radioligand	🇫🇷 0049
ENDOTHELIN	ET _A - agonist radioligand	🇫🇷 0054
	ET _B - agonist radioligand	🇫🇷 0056
HISTAMINE	H ₁ - antagonist radioligand	🇫🇷 0870
	H ₂ - antagonist radioligand	🇫🇷 1208
	H ₃ - agonist radioligand	🇫🇷 1332
LEUKOTRIENES	BLT ₁ (LTB ₄) - agonist radioligand	🇫🇷 1209
	CysLT ₁ (LTD ₄) - agonist radioligand	🇫🇷 0086
MELANOCORTIN	MC ₄ - agonist radioligand	🇫🇷 0420
MELATONIN	MT ₁ (ML _{1A}) - agonist radioligand	🇫🇷 1538
MUSCARINIC	M (non selective) - antagonist radioligand	0089
NEUROKININ	NK ₁ - agonist radioligand	🇫🇷 0100
	NK ₂ - agonist radioligand	🇫🇷 0102
	NK ₃ - antagonist radioligand	🇫🇷 0104
NEUROPEPTIDE Y	Y (non selective) - agonist radioligand	0105
OPIOID AND OPIOID-LIKE	opioid (non selective) - antagonist radioligand	0112
	🇫🇷 NOP (ORL1) - agonist radioligand	🇫🇷 0358
PROSTANOID	EP ₂ - agonist radioligand	🇫🇷 1955
	🇫🇷 IP (PGI ₂) - agonist radioligand	🇫🇷 2230
PURINERGIC	P2Y - agonist radioligand	0128
SEROTONIN	🇫🇷 5-HT (non selective) - agonist radioligand	0129
THYROTROPIN RELEASING HORMONE	TRH ₁ - agonist radioligand	🇫🇷 1616
VASOPRESSIN	V _{1a} - agonist radioligand	🇫🇷 0159
	V ₂ - agonist radioligand	🇫🇷 0497

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

Anticipating clinical effects from *in vitro* data

NUCLEAR RECEPTORS

Family	Assay	Ref.
STEROID NUCLEAR RECEPTORS	AR - agonist radioligand	0933
	GR - agonist radioligand	0469
	ER (non selective) - agonist radioligand	0152
	PR - agonist radioligand	2341
NON-STEROID NUCLEAR RECEPTORS	PPAR γ - agonist radioligand	0641

OTHER RECEPTORS

Family	Assay	Ref.
GABA	GABA (non selective) - agonist radioligand	0057
	BZD (central) - agonist radioligand	0028
	Cl ⁻ channel (GABA-gated) - antagonist radioligand	0170
GLUTAMATE	AMPA - agonist radioligand	0064
	kainate - agonist radioligand	0065
	NMDA - antagonist radioligand	0066
	PCP - antagonist radioligand	0124
IMIDAZOLINE	I ₁ - agonist radioligand	0642
	I ₂ - antagonist radioligand	0081
NICOTINIC	N neuronal (α 4 β 2) - agonist radioligand	3029
PURINERGIC	P2X - agonist radioligand	0127
SIGMA	sigma (non-selective) - agonist radioligand	0146

ION CHANNELS

Family	Assay	Ref.
Ca ²⁺ CHANNEL	Ca ²⁺ -L (dihydropyridine site) - antagonist radioligand	0161
	Ca ²⁺ -L (diltiazem site) (benzothiazepines) - antagonist radioligand	0162
	Ca ²⁺ -L (verapamil site) (phenylalkylamine) - antagonist radioligand	0163
K ⁺ CHANNELS	K _{ATP} - antagonist radioligand	0165
	K _V - antagonist radioligand	0166
	SK _{Ca} - antagonist radioligand	0167
Na ⁺ CHANNEL	Na ⁺ site 2 - antagonist radioligand	0169

TRANSPORTERS

Family	Assay	Ref.
CHOLINE	choline (CHT1) transporter - antagonist radioligand	1552
DOPAMINE	dopamine transporter - antagonist radioligand	0052
GABA	GABA transporter - antagonist radioligand	0060
NOREPINEPHRINE	norepinephrine transporter - antagonist radioligand	0355
SEROTONIN	5-HT transporter - antagonist radioligand	0439

KINASES

Family	Assay	Ref.
PROTEIN-SERINE/THREONINE KINASES		
AGC	PKC α	0348

EPIGENETIC & DNA-RELATED ENZYMES

Family	Assay	Ref.
DNA REPAIR & MITOTIC ENZYMES	CENPE	2150
	Eg5	2151
HDACs	HDAC3	2083
	HDAC4	2493
	HDAC6	2495
	HDAC11	2663
	sirtuin 1 (inhibitor effect)	2581
	sirtuin 2	2582

■ Profile changed as compared to 2010
 ■ assay developed in 2010
 ■ new assay conditions
 ■ human
 ■ standard turnaround time

OTHER ENZYMES

Family	Assay	Ref.
PHOSPHATASES	☑ phosphatase 1B (PTP1B)	2593
	phosphatase CDC25A	2561
PHOSPHODIESTERASES	☑ PDE1B	2431
	PDE2A ₁	2426
	PDE3A	2432
	☑ PDE4D ₂	2434
	PDE5 (non-selective)	0204
ARACHIDONIC ACID METABOLISM	5-Lipoxygenase	0772
	☑ COX ₁	0726
MONOAMINE & NEUROTRANSMITTER SYNTHESIS & METABOLISM		
	acetylcholinesterase	0363
	COMT (catechol-O-methyl transferase)	0457
	GABA transaminase	0461
	MAO-A	0191
	MAO-B	0621
	tyrosine hydroxylase	0214
TRANSDUCTION SIGNAL ENZYMES	☑ adenylyl cyclase (activator effect)	3002
	guanylyl cyclase (activator effect)	3004
ATPases	ATPase (Na ⁺ /K ⁺)	2009

SAMPLE SIZE (including IC₅₀ follow-up studies)

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

	SCREENING		SCREENING + FOLLOW UP with highest concentration at 10 μ M ¹		SCREENING + FOLLOW UP with highest concentration at 100 μ M ¹		
	WEIGHT (pre-weighed)	VOLUME (100% DMSO)	WEIGHT (pre-weighed)	VOLUME (100% DMSO)	WEIGHT (pre-weighed)	VOLUME (100% DMSO)	
DIVERSITY PROFILE							
Number of assays ▼	99	1 mg	200 μ L@10 mM	2 mg	400 μ L@10 mM	5.5 mg	1100 μ L@10 mM

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

■ QUESTIONS OR CONCERNS?

Please contact us: sales@cerep.com



FRANCE
Le Bois l'Evêque
86600 CELLE L'EVESCAULT
tel. +33 (0)5 49 89 30 00

USA
15318 N.E. 95th Street
REDMOND, WA 98052
tel. +1 (425) 895 8666

CHINA
326 Aidisheng Road, B 302-1
Zhangjiang High-Tech Park
SHANGHAI 201203
tel. +86 21 5132 0568

sales@cerep.com
www.cerep.com