

BINDING ASSAYS

Binding assays are developed according to the competition assay principle. There are three assay formats used: filtration, scintillation proximity assay (SPA) and centrifugation. All formats utilize a radiolabeled ligand and a source of receptor (membranes, soluble/purified). Large batches of cells, from which prepared membranes are frozen and stored, are produced in-house. Membrane preparations are quality controlled before use.

NON-PEPTIDE RECEPTORS

Family/assay	Ref.	Family/assay	Ref.
ADENOSINE		HISTAMINE (cont'd)	
B A ₁ -antagonist radioligand	0002	B H ₃ -agonist radioligand	0080
B A ₁ -agonist radioligand	0442	B H ₄ -agonist radioligand	1384
B A _{2A} -agonist radioligand	0004	IMIDAZOLINE	
B A _{2B} -antagonist radioligand	0005	B I ₁ -agonist radioligand	0642
B A ₃ -agonist radioligand	0006	B I ₂ -antagonist radioligand	0081
ADRENERGIC		LEUKOTRIENES	
B alpha ₁ (non-selective)-antagonist radioligand	0008	B BLT ₁ (LTB ₄)-agonist radioligand	1209
B alpha _{1A} -antagonist radioligand	2338	B CysLT ₁ (LTD ₄)-agonist radioligand	0086
B alpha _{1A} -antagonist radioligand	0009	B CysLT ₂ (LTC ₄)-agonist radioligand	2050
B alpha _{1B} -antagonist radioligand	1633	LYSOPHOSPHOLIPID	
B alpha _{1D} -antagonist radioligand	1942	lysophosphatidic acid	
B alpha ₂ (non-selective)-antagonist radioligand	0011	B LPA ₁ -agonist radioligand	1468
B alpha _{2A} -antagonist radioligand	0013	MELATONIN	
B alpha _{2A} -agonist radioligand	1669	B MT ₁ (ML _{1A})-agonist radioligand	1538
B alpha _{2B} -antagonist radioligand	1344	B MT ₂ (ML _{1B})-agonist radioligand	1687
B alpha _{2C} -antagonist radioligand	0016	B MT ₃ (ML ₂)-agonist radioligand	0088
B alpha _{2C} -agonist radioligand	1682	MUSCARINIC	
B beta ₁ -agonist radioligand	0018	B M (non-selective)-antagonist radioligand	0089
B beta ₂ -agonist radioligand	0020	B M ₁ -antagonist radioligand	0091
B beta ₃ -antagonist radioligand	0227	B M ₂ -antagonist radioligand	0093
BENZODIAZEPINE		B M ₂ -agonist radioligand	1626
B BZD (peripheral)-antagonist radioligand	0029	B M ₃ -antagonist radioligand	0095
CANNABINOID		B M ₄ -antagonist radioligand	0096
B CB ₁ -agonist radioligand	0036	B M ₄ -agonist radioligand	1619
B CB ₂ -agonist radioligand	0037	B M ₅ -antagonist radioligand	0097
DOPAMINE		B M ₅ -agonist radioligand	1620
B D ₁ -antagonist radioligand	0044	NICOTINIC	
B D ₂₅ -antagonist radioligand	0046	B N neuronal α -BGTX-insensitive (α 4 β 2)-ago. radioligand	0110
B D ₂₅ -agonist radioligand	1322	B N neuronal α -BGTX-sensitive (α 7)-antago. radioligand	0567
B D _{2L} -antagonist radioligand	1405	B N muscle-type-antagonist radioligand	0936
B D ₃ -antagonist radioligand	0048	PLATELET ACTIVATING FACTOR	
B D ₃ -agonist radioligand	1660	B PAF-agonist radioligand	0915
B D _{4.4} -antagonist radioligand	0049	PROSTANOID	
B D ₅ -antagonist radioligand	0050	B EP ₁ -agonist radioligand	0440
B D ₅ -agonist radioligand	1733	B EP ₂ -agonist radioligand	1955
GABA		B EP ₃ -agonist radioligand	2774
B GABA (non-selective)-agonist radioligand	0057	B EP ₄ -agonist radioligand	0441
B GABA _A -agonist radioligand	0058	B FP-agonist radioligand	1979
B BZD (central)-agonist radioligand	0028	B IP (PGI ₂)-agonist radioligand	2230
B Cl ⁻ channel (GABA-gated)-antagonist radioligand	0170	B TP (TXA ₂ /PGH ₂)-antagonist radioligand	2048
B GABA _{B(1b)} -antagonist radioligand	0885	PURINERGIC	
GLUTAMATE		B P2X-agonist radioligand	0127
B AMPA-agonist radioligand	0064	B P2Y-agonist radioligand	0128
B kainate-agonist radioligand	0065	SEROTONIN	
B NMDA-antagonist radioligand	0066	B 5-HT (non-selective)-agonist radioligand	0129
B PCP-antagonist radioligand	0124	B 5-HT _{1A} -agonist radioligand	0131
B glycine (strychnine-insensitive)-antagonist radioligand	0068	B 5-HT _{1B} -antagonist radioligand	0132
GLYCINE		B 5-HT _{1D} -agonist radioligand	1974
B strychnine-sensitive-antagonist radioligand	0067	B 5-HT _{2A} -antagonist radioligand	0135
HISTAMINE		B 5-HT _{2A} -agonist radioligand	0471
B H ₁ -antagonist radioligand	0870	B 5-HT _{2B} -antagonist radioligand	1609
B H ₁ -antagonist radioligand	0077	B 5-HT _{2B} -agonist radioligand	1333
B H ₂ -antagonist radioligand	1208	B 5-HT _{2C} -antagonist radioligand	0137
B H ₂ -antagonist radioligand	0079		
B H ₃ -agonist radioligand	1332		

binding assay new assay new protocol human

NON-PEPTIDE RECEPTORS ■

Family/assay	Ref.
SEROTONIN (cont'd)	
B 5-HT _{2C} -agonist radioligand	1003
B 5-HT ₃ -antagonist radioligand	0411
B 5-HT _{4e} -antagonist radioligand	0501
B 5-HT _{5a} -agonist radioligand	0140
B 5-HT ₆ -agonist radioligand	0142
B 5-HT ₇ -agonist radioligand	0144
SIGMA	
B sigma (non-selective)-agonist radioligand	0146
B sigma ₁ -agonist radioligand	0889
B sigma ₂ -agonist radioligand	0148

PEPTIDE RECEPTORS ■

Family/assay	Ref.
ANGIOTENSIN II	
B AT ₁ -antagonist radioligand	0024
B AT ₂ -agonist radioligand	0026
APELIN	
B APJ (apelin)-agonist radioligand	2154
ATRIAL NATRIURETIC PEPTIDE	
B ANP-agonist radioligand	0027
BOMBESIN	
B BB (non-selective)-agonist radioligand	0030
B BB ₁ -agonist radioligand	2193
B BB ₂ -agonist radioligand	1986
B BB ₃ -agonist radioligand	0472
BRADYKININ	
B B ₁ -agonist radioligand	1189
B B ₂ -agonist radioligand	0033
CALCITONIN	
B CT (calcitonin)-agonist radioligand	0728
CALCITONIN GENE-RELATED PEPTIDE	
B CGRP-agonist radioligand	0373
CHEMOKINES	
B CCR1-agonist radioligand	0361
B CCR2-agonist radioligand	0362
B CCR3-agonist radioligand	0481
B CXCR2 (IL-8B)-agonist radioligand	0419
B CXCR4-agonist radioligand	1022
CHOLECYSTOKININ	
B CCK ₁ (CCK _A)-agonist radioligand	0039
B CCK ₂ (CCK _B)-agonist radioligand	0041
COMPLEMENT 5A	
B C5a-agonist radioligand	0042
CORTICOTROPIN RELEASING FACTOR	
B CRF ₁ -agonist radioligand	1467
B CRF _{2a} -agonist radioligand	2036
CYTOKINES	
B TNF- α -agonist radioligand	0076
ENDOTHELIN	
B ET _A -agonist radioligand	0054
B ET _B -agonist radioligand	0056
N-FORMYL PEPTIDE	
B fMLP-agonist radioligand	0407
GALANIN	
B galanin (non-selective)-agonist radioligand	0061
B GAL ₁ -agonist radioligand	0062
B GAL ₂ -agonist radioligand	0410
GLUCAGON	
B GLP-1-agonist radioligand	0228
B GLP-2-agonist radioligand	1991
B glucagon-agonist radioligand	1407
B secretin-agonist radioligand	2002
GONADOTROPHIN-RELEASING HORMONE	
B GnRH (LH-RH)-agonist radioligand	0456

PEPTIDE RECEPTORS ■ (cont'd)

Family/assay	Ref.
GROWTH FACTORS	
B EGF-agonist radioligand	0069
B PDGF-agonist radioligand	0070
INSULIN	
B insulin-agonist radioligand	0084
MELANIN CONCENTRATING HORMONE	
B MCH ₁ -agonist radioligand	1115
B MCH ₂ -agonist radioligand	1190
MELANOCORTIN	
B MC ₁ -agonist radioligand	0644
B MC ₃ -agonist radioligand	0447
B MC ₄ -agonist radioligand	0420
B MC ₅ -agonist radioligand	0448
MOTILIN	
B motilin-agonist radioligand	0470
NEUROKININ	
B NK ₁ -agonist radioligand	0100
B NK ₂ -agonist radioligand	0102
B NK ₃ -agonist radioligand	0104
B NK ₃ -agonist radioligand	1240
NEUROMEDIN U	
B NMU2-agonist radioligand	0991
NEUROPEPTIDE Y	
B Y (non-selective)-agonist radioligand	0105
B Y ₁ -agonist radioligand	0106
B Y ₂ -agonist radioligand	0107
NEUROTENSIN	
B NT (non-selective)-agonist radioligand	0465
B NTS ₁ (NT ₁)-agonist radioligand	0109
OPIOID AND OPIOID-LIKE	
B opioid (non-selective)-antagonist radioligand	0112
B delta ₂ (DOP)-agonist radioligand	0114
B kappa (KOP)-agonist radioligand	1971
B mu (MOP)-antagonist radioligand	1666
B mu (MOP)-agonist radioligand	0118
B NOP (ORL1)-agonist radioligand	0358
OREXIN	
B OX ₁ -agonist radioligand	1987
B OX ₂ -agonist radioligand	1988
PROTEINASE-ACTIVATED	
B PAR1-agonist radioligand	2592
B PAR2-agonist radioligand	2424
RELAXIN	
B RXFP1-agonist radioligand	2058
SOMATOSTATIN	
B sst (non-selective)-agonist radioligand	0149
B sst ₁ -agonist radioligand	1940
B sst ₂ -agonist radioligand	2339
B sst ₄ -agonist radioligand	0482
B sst ₅ -agonist radioligand	0626
THYROTROPIN RELEASING HORMONE	
B TRH ₁ -agonist radioligand	1616
UROTENSIN-II	
B UT-agonist radioligand	1386
VASOACTIVE INTESTINAL PEPTIDE	
B PAC ₁ (PACAP)-agonist radioligand	1518
B VPAC ₁ (VIP ₁)-agonist radioligand	0157
B VPAC ₂ (VIP ₂)-agonist radioligand	0487
VASOPRESSIN	
B OT-agonist radioligand	0120
B V _{1a} -agonist radioligand	0159
B V _{1b} -agonist radioligand	0588
B V ₂ -agonist radioligand	0497

NUCLEAR RECEPTORS

Family/assay	Ref.
STEROID NUCLEAR RECEPTORS	
B AR - agonist radioligand	0933
B ER (non-selective) - agonist radioligand	0152
B ER α - agonist fluoligand	0484
B ER β - agonist fluoligand	0485
B GR - agonist radioligand	0469
B PR - agonist radioligand	2341
NON-STEROID NUCLEAR RECEPTORS	
B LXR β - agonist radioligand	2047
B PPAR α - agonist radioligand	0640
B PPAR γ - agonist radioligand	0641
B PXR - agonist radioligand	2538
B RAR α - agonist radioligand	2084
B TR (TH) - agonist radioligand	0156
B VDR - agonist radioligand	0499

ION CHANNELS

Family/assay	Ref.
VOLTAGE-GATED CHANNELS	
CA²⁺ CHANNELS	
B L (dihydropyridine site) - antagonist radioligand	0161
B L (diltiazem site) (benzothiazepines) - antag. radioligand	0162
B L (verapamil site) (phenylalkylamine) - antag. radioligand	0163
B N - antagonist radioligand	0164
K⁺ CHANNELS	
B hERG (membrane preparation) - antagonist radioligand	1868
B K _{ATP} - antagonist radioligand	0165
B K _V - antagonist radioligand	0166
B SK _{Ca} - antagonist radioligand	0167
NA⁺ CHANNELS	
B site 2 - antagonist radioligand	0169
MEMBRANE LIGAND-GATED CHANNELS	
GABA	
B GABA _A - agonist radioligand	0058
B BZD (central) - agonist radioligand	0028
B Cl ⁻ channel (GABA-gated) - antagonist radioligand	0170
GLUTAMATE	
B AMPA - agonist radioligand	0064
B kainate - agonist radioligand	0065
B NMDA - antagonist radioligand	0066
B PCP - antagonist radioligand	0124
B glycine (strychnine-insensitive) - antagonist radioligand	0068

ION CHANNELS (cont'd)

Family/assay	Ref.
MEMBRANE LIGAND-GATED CHANNELS (cont'd)	
GLYCINE	
B strychnine-sensitive - antagonist radioligand	0067
NICOTINIC	
B N neuronal α -BGTX-insensitive (α 4 β 2) - ago. radioligand	0110
B N neuronal α -BGTX-sensitive (α 7) - antago. radioligand	0567
B N muscle-type - antagonist radioligand	0936
PURINERGIC	
B P2X - agonist radioligand	0127
SEROTONIN	
B 5-HT ₃ - antagonist radioligand	0411
INTRACELLULAR LIGAND-GATED CHANNELS	
CA²⁺ CHANNELS	
B IP ₃ - agonist radioligand	0083
B RY3 (ryanodine) - antagonist radioligand	0627

AMINE TRANSPORTERS

Family/assay	Ref.
ADENOSINE	
B adenosine transporter - antagonist radioligand	0007
CHOLINE	
B choline transporter (CHT1) - antagonist radioligand	1552
DOPAMINE	
B dopamine transporter - antagonist radioligand	0052
GABA	
B GABA transporter - antagonist radioligand	0060
NOREPINEPHRINE	
B norepinephrine transporter - antagonist radioligand	0355
SEROTONIN	
B 5-HT transporter - antagonist radioligand	0439
5-HT uptake	0392

NON-KINASE ENZYMES

Family/assay	Ref.
PHOSPHODIESTERASES	
B rolipram - antagonist radioligand	0379
MONOAMINE & NEUROTRANSMITTER SYNTHESIS & METABOLISM	
B MAO-A - antagonist radioligand	0443
B MAO-B - antagonist radioligand	0444

TESTING CONDITIONS

SAMPLE SIZE (including IC₅₀ follow-up studies)

Assuming a molecular weight \leq 500 g/mol and a testing concentration of 10 μ M in duplicate (including a possible retest).

	SCREENING		SCREENING + FOLLOW UP ¹	
	WEIGHT (pre-weighed)	VOLUME (100% DMSO)	WEIGHT (pre-weighed)	VOLUME (100% DMSO)
INDIVIDUAL BINDING CATALOG ASSAYS				
1 to 3 assays	1 mg	25 μ L@10 mM	1 mg	60 μ L@10 mM
4 to 5 assays	1 mg	35 μ L@10 mM	1 mg	70 μ L@10 mM
6 to 10 assays	1 mg	50 μ L@10 mM	1 mg	100 μ L@10 mM
11 to 15 assays	1 mg	65 μ L@10 mM	1 mg	110 μ L@10 mM
16 to 20 assays	1 mg	75 μ L@10 mM	1 mg	125 μ L@10 mM
21 to 40 assays	1 mg	100 μ L@10 mM	1.5 mg	250 μ L@10 mM
41 to 50 assays	1 mg	150 μ L@10 mM	2 mg	275 μ L@10 mM
51 to 70 assays	1.5 mg	225 μ L@10 mM	2.5 mg	400 μ L@10 mM
71 to 100 assays	2 mg	300 μ L@10 mM	3 mg	550 μ L@10 mM
101 to 135 assays	2 mg	350 μ L@10 mM	4 mg	650 μ L@10 mM
136 to 150 assays	2.5 mg	400 μ L@10 mM	4 mg	750 μ L@10 mM
151 to 200 assays	3 mg	500 μ L@10 mM	5 mg	1000 μ L@10 mM
201 to 250 assays	3.5 mg	600 μ L@10 mM	inquire	inquire

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.

■ SUGGESTED TESTING

Primary screening at 1-10 μ M in duplicate (2 wells), followed-up for IC₅₀/Ki or EC₅₀ determination (8 concentrations in duplicate (16 wells) when compound displays more than 50% inhibition of control value or 50% stimulation relative to control.

■ REQUESTED COMPOUND INFORMATION

To reduce the registration time and ensure that all the appropriate information is available to start the study in a shortest possible time-frame, please use **Cerep compound submission form**¹ or MS Excel file², and provide the following **compound information**:

▶ **Name (compound ID) / Batch # / Molecular weight**³ / **Formula weight**⁴ / **Stock concentration** / **Stock solvent** / **Quantity / Unit**⁵ / **Form** / **Storage conditions** / **Solubility**, as well as **Plate ID / plate position** for compounds delivered in plates, **Comments**⁶, and **Quotation number**.

NOTE: Impurity and colored compounds might affect the results (compound color information is mentioned in the study report).

▶ **General remarks:**

- **If compound(s) are supplied as a stock solution in plate(s)** (preferred format for any submission of 10 or more compounds), please leave columns 1 and 12 empty in a 96W plate. The 384W plate format is also acceptable with columns 1, 2, 23 and 24 empty. For any other plate format, please inquire.
- **If compound(s) are not soluble in 100% DMSO**, please provide any useful information concerning the solubility of the compound. The following solvents are compatible with most of our assays: DMSO (Cerep standard), H₂O, Methanol, Tris/HCl 10 mM pH 7.4.
- **Organic solvents such as acetone, chloroform, ether, acetonitrile, tetrahydrofuran and trifluoroacetic acid are not recommended** as they will significantly affect the results from many *in vitro* assays, even at very low concentrations.

WARNING: Cerep will apply the standard solubilization process (described in the flow chart page 6) when compounds are received at the testing site, unless special instructions are provided with the compounds.

Customized handling procedure of compounds can be accommodated, please inquire for pricing conditions.

■ PROTOCOL

A **typical protocol for binding assays** includes a minimum of 6-control wells (non-specific and total binding) with or without vehicle for solvent-soluble compounds, plus an 8-point dose-response of the relevant reference compound. The reference compound for each assay is listed in each assay description. The historical average IC₅₀ value is also shown in each assay description.

The binding assays that are performed using an agonist radioligand are labeled as such in the assay description. This assay design should pick more easily the test compounds that are agonists.

▶ **ANY OF OUR ASSAY PROTOCOLS CAN BE CUSTOMIZED: PLEASE INQUIRE**

■ DELIVERABLES

Percent inhibition (mean of replicates), individual values as percent of control, EC₅₀ or IC₅₀ value (calculated from a minimum 5 concentration testing), Ki value (calculated using Cheng-Prussif equation, and the true Kd of the membrane preparation used for the experiment), Hill coefficient (nH), and plotted EC₅₀ or IC₅₀ curves.

NOTE: The Kd values mentioned in the catalog are indicative values only.

■ DATA TURNAROUND

Complete data set is typically available within 3 weeks, after receipt of the compounds at the testing site (providing that we receive all available information to initiate the study).

Secure, password-protected data can be viewed on line as soon as they are produced, after scientific approval and QC-ed by an experienced technician.

▶ **FOR MAJORITY OF ASSAYS, EXPRESSCREEN OPTION (5 BUSINESS DAYS) IS AVAILABLE: PLEASE INQUIRE**

¹ Cerep compound submission form will be emailed to you with your quotation. A copy can be requested from sales@cerep.com, or downloaded from Cerep website: www.cerep.com/Catalog Online

² Systematically required for studies of 10 compounds or more.

³ Molecular weight (MW) of free acid or base form.

⁴ Formula weight (FW) including salt form and/or hydrate form if applicable.

⁵ mg μ , mL?

⁶ e.g. useful information such as sensitivity to light, stability or hygroscopicity issues.

ASSAY CATALOG REFERENCES

In 2009, Cerep finalized one of the milestones in the industrialization process: the implementation of new referencing and supply chain management systems. The references of each of the assays have thus been simplified: **each reference will now be displayed as 4 digits.**

A correlation table between old and new assay references is available at [www.cerep.com CATALOG ONLINE](http://www.cerep.com/Catalog/Assay/catalog.asp)
[<http://www.cerep.com/Cerep/Users/pages/Catalog/Assay/catalog.asp>](http://www.cerep.com/Cerep/Users/pages/Catalog/Assay/catalog.asp)

QUESTIONS OR CONCERNS?

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