Data Report for Pharmacology Services

Howard Hughes Medical Institute
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Study #:	TW04-0003166		
Quote #:	TW04-0003166-Q07	**Client Study #:**	
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Compound Information

Panlabs Code:	HHMI-3
Panlabs #:	1222268
Alt. Code 1:	Ii1
Alt. Code 2:	
Alt. Code 3:	
M.W.:	743.822

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Cerep and Eurofins Panlabs are two companies of the Eurofins Group

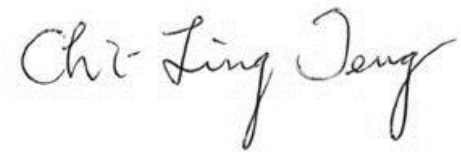
Services Performed

Individual Tests (Total # of Assays: 21)

Study Objectives

To evaluate, in Enzyme assays, the activity of test compound Ii1 (Panlabs # 1222268).

Study Signatures



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"This study was conducted according to the procedures described in this report. All data presented are authentic, accurate and correct to the best of our knowledge."

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Summary

STUDY OBJECTIVE

To evaluate, in Enzyme assays, the activity of compound Ii1 (HHMI-3, PT# 1222268).

METHODS

Methods employed in this study have been adapted from the scientific literature to maximize reliability and reproducibility. Reference standards were run as an integral part of each assay to ensure the validity of the results obtained. Assays were performed under conditions described in the accompanying "Methods" section of this report.

Where presented, IC_{50} values were determined by a non-linear, least squares regression analysis using MathIQ™ (ID Business Solutions Ltd., UK). Where inhibition constants (K_i) are presented, the K_i values were calculated using the equation of Cheng and Prusoff (Cheng, Y., Prusoff, W.H., Biochem. Pharmacol. 22:3099-3108, 1973) using the observed IC_{50} of the tested compound, the concentration of radioligand employed in the assay, and the historical values for the K_D of the ligand (obtained experimentally at **Eurofins Panlabs, Inc.**). Where presented, the Hill coefficient (n_H), defining the slope of the competitive binding curve, was calculated using MathIQ™. Hill coefficients significantly different than 1.0, may suggest that the binding displacement does not follow the laws of mass action with a single binding site. Where IC_{50}, K_i, and/or n_H data are presented without Standard Error of the Mean (SEM), data are insufficient to be quantitative, and the values presented (K_i, IC_{50}, n_H) should be interpreted with caution.

RESULTS

A summary of results meeting the significance criteria is presented in the following sections. Complete results are presented under the section labeled "Experimental Results". Individual responses, if requested, are presented in the section labeled "Individual Responses".

SUMMARY/CONCLUSION

Significant results are displayed in the following table(s) in rank order of potency for estimated IC_{50} and/or K_i values.

Summary of Significant Results

Biochemical assay results are presented as the percent inhibition of specific binding or activity throughout the report. All other results are expressed in terms of that assay's quantitation method.

- For primary assays, only the lowest concentration with a significant response judged by the assays' criteria, is shown in this summary.
- Where applicable, either the secondary assay results with the lowest dose/concentration meeting the significance criteria or, if inactive, the highest dose/concentration that did not meet the significance criteria is shown.
- Unless otherwise requested, primary screening in duplicate with quantitative data (e.g., IC_{50} ± SEM, K_i ± SEM and n_H) are shown where applicable for individual requested assays. In screening packages, primary screening in duplicate with semi-quantitative data (e.g., estimated IC_{50}, K_i and n_H) are shown where applicable (concentration range of 4 log units); available secondary functional assays are carried out (30 mM) and MEC or MIC determined only if active in primary assays >50% at 1 log unit below initial test concentration.

Significant responses (≥ 50% inhibition or stimulation for Biochemical assays) were noted in the primary assays listed below:

Cat #	Assay Name	Species	Conc.	% Inh.	IC_{50}*	K_i	n_H
115200	Peptidase, Matrix Metalloproteinase-12 (MMP-12)	hum	1 µM	98			

* A standard error of the mean is presented where results are based on multiple, independent determinations.
hum=Human

Experimental Results

Cat #	Assay Name	Batch*	Spec.	Rep.	Conc.	% Inh.	IC_{50}*	K_i	n_H	R
Compound: Ii1, PT #: 1222268										
112250	Peptidase, CTSB (Cathepsin B)	429901	hum	1	1 µM	4				
112350	Peptidase, CTSD (Cathepsin D)	430131	hum	1	1 µM	-2				
199007	Peptidase, Dipeptidyl Peptidase 4 (DPP4, DPP IV)	429912	hum	1	1 µM	-3				
163950	Peptidase, Endothelin Converting Enzyme-1 (ECE-1)	429910	hum	1	1 µM	-6				
114110	Peptidase, Matrix Metalloproteinase-1 (MMP-1)	430051	hum	1	1 µM	0				
114210	Peptidase, Matrix Metalloproteinase-2 (MMP-2)	429904	hum	1	1 µM	22				
114310	Peptidase, Matrix Metalloproteinase-3 (MMP-3)	430052	hum	1	1 µM	6				
114710	Peptidase, Matrix Metalloproteinase-7 (MMP-7)	429905	hum	1	1 µM	8				
114800	Peptidase, Matrix Metalloproteinase-8 (MMP-8)	430053	hum	1	1 µM	22				
114910	Peptidase, Matrix Metalloproteinase-9 (MMP-9)	430054	hum	1	1 µM	3				
114950	Peptidase, Matrix Metalloproteinase-10 (MMP-10)	430055	hum	1	1 µM	10				
115200	Peptidase, Matrix Metalloproteinase-12 (MMP-12)	429906	hum	1	1 µM	98				
115300	Peptidase, Matrix Metalloproteinase-13 (MMP-13)	430056	hum	1	1 µM	14				
115400	Peptidase, Matrix Metalloproteinase-14 (MMP-14)	430057	hum	1	1 µM	16				
115450	Peptidase, Matrix Metalloproteinase-15 (MMP-15)	430058	hum	1	1 µM	9				
115490	Peptidase, Matrix Metalloproteinase-17 (MMP-17)	430061	hum	1	1 µM	1				
115510	Peptidase, Matrix Metalloproteinase-19 (MMP-19)	430062	hum	1	1 µM	2				
115520	Peptidase, Matrix Metalloproteinase-20 (MMP-20)	430059	hum	1	1 µM	2				
115560	Peptidase, Matrix Metalloproteinase-24 (MMP-24)	430060	hum	1	1 µM	46				
164010	Peptidase, Metalloproteinase, Neutral Endopeptidase	429911	hum	1	1 µM	-10				
166500	Peptidase, Tumor Necrosis Factor-α Converting Enzyme (TACE)	430142	hum	1	1 µM	34				

Note: Items meeting criteria for significance (≥50% stimulation or inhibition) are highlighted.
* Batch: Represents compounds tested concurrently in the same assay(s).
hum=Human

Methods

112250 Peptidase, CTSB (Cathepsin B)

Source:	Human liver	**Pre-Incub. Time/Temp:**	15 minutes @ 25°C
Substrate:	20.0 µM Boc-Leu-Arg-Arg-AMC	**Incubation Time/Temp:**	30 minutes @ 25°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM CH_3COONa, pH 5.5, 1 mM DTT, 2 mM EDTA
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of AMC

112350 Peptidase, CTSD (Cathepsin D)

Source:	Human liver	**Pre-Incub. Time/Temp:**	15 minutes @ 37°C
Substrate:	20.0 µM MOCAc-Gly-Lys-Pro-Ile-Leu-Phe-Phe-Arg-Leu-Lys(Dnp)-D-Arg-NH_2	**Incubation Time/Temp:**	10 minutes @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM CH_3COONa, pH 4.0
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of MOCAc-Gly-Lys-Pro-Ile-Leu-Phe

199007 Peptidase, Dipeptidyl Peptidase 4 (DPP4, DPP IV)

Source:	Human recombinant insect cells	**Pre-Incub. Time/Temp:**	10 minutes @ 25°C
Substrate:	40.0 µM GP-AMC	**Incubation Time/Temp:**	10 minutes @ 25°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM Tris-HCl, pH 7.4
Significance Crit.:	≥50 of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of aminomethyl coumarin

163950 Peptidase, Endothelin Converting Enzyme-1 (ECE-1)

Source:	Human recombinant NS0 cells	**Pre-Incub. Time/Temp:**	15 minutes @ 25°C
Substrate:	10.0 µM Mca-Arg-Pro-Pro-Gly-Phe-Ser-Ala-Phe-Lys(Dnp)	**Incubation Time/Temp:**	60 minutes @ 25°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	100 mM NaCl, 100 mM MES, pH 6.0
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Arg-Pro-Pro-Gly-Phe-Ser-Ala

114110 Peptidase, Matrix Metalloproteinase-1 (MMP-1)

Source:	Human rheumatoid synovial fibroblast	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

Methods

114210 Peptidase, Matrix Metalloproteinase-2 (MMP-2)

Source:	Human recombinant CHO cells	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

114310 Peptidase, Matrix Metalloproteinase-3 (MMP-3)

Source:	Human recombinant E. coli	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

114710 Peptidase, Matrix Metalloproteinase-7 (MMP-7)

Source:	Human recombinant E. coli	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

114800 Peptidase, Matrix Metalloproteinase-8 (MMP-8)

Source:	Human neutrophils	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

114910 Peptidase, Matrix Metalloproteinase-9 (MMP-9)

Source:	Human recombinant Mammalian cells	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

Methods

114950 Peptidase, Matrix Metalloproteinase-10 (MMP-10)

Source:	Human recombinant E. coli cells	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

115200 Peptidase, Matrix Metalloproteinase-12 (MMP-12)

Source:	Human recombinant E. coli	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

115300 Peptidase, Matrix Metalloproteinase-13 (MMP-13)

Source:	Human recombinant insect Sf9 cells	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

115400 Peptidase, Matrix Metalloproteinase-14 (MMP-14)

Source:	Human recombinant E. coli	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

115450 Peptidase, Matrix Metalloproteinase-15 (MMP-15)

Source:	Human recombinant NS0 cells	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

Methods

115490 Peptidase, Matrix Metalloproteinase-17 (MMP-17)

Source:	Human recombinant NS0 cells	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

115510 Peptidase, Matrix Metalloproteinase-19 (MMP-19)

Source:	Human recombinant E. coli cells	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	50.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

115520 Peptidase, Matrix Metalloproteinase-20 (MMP-20)

Source:	Human recombinant E. coli cells	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

115560 Peptidase, Matrix Metalloproteinase-24 (MMP-24)

Source:	Human recombinant E. coli cells	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

164010 Peptidase, Metalloproteinase, Neutral Endopeptidase

Source:	Human recombinant CHO cells	**Pre-Incub. Time/Temp:**	15 minutes @ 25°C
Substrate:	10.0 µM Mca-R-P-P-G-F-S-A-F-K(Dnp)-OH	**Incubation Time/Temp:**	30 minutes @ 25°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM Tris-HCl, pH 9.0, 0.05 % Brij-35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrophotometric quantitation of Mca-Arg-Pro-Pro-Gly-Phe-Ser-Ala

Methods

166500 Peptidase, Tumor Necrosis Factor-α Converting Enzyme (TACE)

Source:	Human recombinant insect Sf21 cells	**Pre-Incub. Time/Temp:**	15 minutes @ 25°C
Substrate:	10.0 µM Mca-P-L-A-Q-A-V-Dpa-R-S-S-S-R-NH_2	**Incubation Time/Temp:**	30 minutes @ 25°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	25 mM Tris-HCl, pH 9.0, 2.5 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-P-L-A-Q-A

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Literature References

Cat #	Reference
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	Shipp MA, Vijayaraghavan J, Schmidt EV, Masteller EL, D'Adamio L, Hersh LB and Reinherz EL (1989) Common acute lymphoblastic leukemia antigen (CALLA) is active neutral endopeptidase 24.11 ("enkephalinase"): Direct evidence by cDNA transfection analysis. Proc Natl Acad Sci USA. 86:297-301.
166500	**Amour A, Slocombe PM, Webster A, Butler M, Knight CG, Smith BJ, Stephens PE, Shelley C, Hutton M, Knauper V, Docherty AJ and Murphy G (1998)** TNF-alpha converting enzyme (TACE) is inhibited by TIMP-3. FEBS Lett. 435(1): 39 - 44.
199007	**Takasaki K, Iwase M, Nakajima T, Ueno K, Nomoto Y, Nakanishi S and Higo K. (2004)** K579, a slow-binding inhibitor of dipeptidyl peptidase, is a long-acting hypoglycemic agent. Eur. J. Pharmacol., 486(3): 335-342.

Reference Compounds

Cat #	Assay Name	Reference Compound	Historical IC_{50}*	Historical K_i	Historical n_H	Concurrent Batch *	Concurrent IC_{50}*
112250	Peptidase, CTSB (Cathepsin B)	E-64	4.20 nM			429901	5.23 nM
112350	Peptidase, CTSD (Cathepsin D)	Pepstatin A	0.93 nM			430131	1.38 nM
199007	Peptidase, Dipeptidyl Peptidase 4 (DPP4, DPP IV)	K579	3.70 nM			429912	7.48 nM
163950	Peptidase, Endothelin Converting Enzyme-1 (ECE-1)	Phosphoramidon	4.90 nM			429910	12.4 nM
114110	Peptidase, Matrix Metalloproteinase-1 (MMP-1)	GM-6001	7.50 nM			430051	8.86 nM
114210	Peptidase, Matrix Metalloproteinase-2 (MMP-2)	GM-6001	1.20 nM			429904	1.60 nM
114310	Peptidase, Matrix Metalloproteinase-3 (MMP-3)	GM-6001	0.056 µM			430052	0.044 µM
114710	Peptidase, Matrix Metalloproteinase-7 (MMP-7)	GM-6001	0.066 µM			429905	0.066 µM
114800	Peptidase, Matrix Metalloproteinase-8 (MMP-8)	GM-6001	0.86 nM			430053	0.69 nM
114910	Peptidase, Matrix Metalloproteinase-9 (MMP-9)	GM-6001	0.72 nM			430054	0.64 nM
114950	Peptidase, Matrix Metalloproteinase-10 (MMP-10)	GM-6001	0.12 µM			430055	0.12 µM
115200	Peptidase, Matrix Metalloproteinase-12 (MMP-12)	GM-6001	0.80 nM			429906	1.05 nM
115300	Peptidase, Matrix Metalloproteinase-13 (MMP-13)	GM-6001	2.50 nM			430056	1.59 nM
115400	Peptidase, Matrix Metalloproteinase-14 (MMP-14)	GM-6001	8.30 nM			430057	6.58 nM
115450	Peptidase, Matrix Metalloproteinase-15 (MMP-15)	GM-6001	3.40 nM			430058	3.14 nM
115490	Peptidase, Matrix Metalloproteinase-17 (MMP-17)	GM-6001	13.0 nM			430061	0.023 µM
115510	Peptidase, Matrix Metalloproteinase-19 (MMP-19)	GM-6001	0.11 µM			430062	0.11 µM
115520	Peptidase, Matrix Metalloproteinase-20 (MMP-20)	GM-6001	8.80 nM			430059	3.41 nM
115560	Peptidase, Matrix Metalloproteinase-24 (MMP-24)	GM-6001	2.70 nM			430060	1.40 nM
164010	Peptidase, Metalloproteinase, Neutral Endopeptidase	Phosphoramidon	1.60 µM			429911	0.83 µM
166500	Peptidase, Tumor Necrosis Factor-α Converting Enzyme (TACE)	GM-6001	0.021 µM			430142	0.042 µM

* Batch: Represents compounds tested concurrently in the same assay(s).

Data Report for Pharmacology Services

Howard Hughes Medical Institute
4000 Jones Bridge Rd, Chevy Chase, MD 20815, United States

Study #:	TW04-0003166		
Quote #:	TW04-0003166-Q07	**Client Study #:**	
Study Date:	Oct 25, 2018 - Nov 08, 2018	**PO #:**	

Compound Information

Panlabs Code:	HHMI-4
Panlabs #:	1222269
Alt. Code 1:	JP43
Alt. Code 2:	
Alt. Code 3:	
M.W.:	494.654

Eurofins Panlabs Discovery Services Taiwan, Ltd.
158 Li-Teh Road, Peitou
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Tel: + 886-2-2898-7888 • Fax:+886-2-2894-8267• e-mail:

Cerep and Eurofins Panlabs are two companies of the Eurofins Group

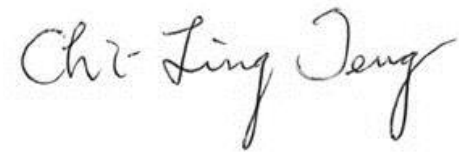
Services Performed

Individual Tests (Total # of Assays: 21)

Study Objectives

To evaluate, in Enzyme assays, the activity of test compound JP43 (Panlabs # 1222269).

Study Signatures



Chi-Ling Teng, M.A.
Study Director for Enzyme Assays

"This study was conducted according to the procedures described in this report. All data presented are authentic, accurate and correct to the best of our knowledge."

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Summary

STUDY OBJECTIVE

To evaluate, in Enzyme assays, the activity of compound JP43 (HHMI-4, PT# 1222269).

METHODS

Methods employed in this study have been adapted from the scientific literature to maximize reliability and reproducibility. Reference standards were run as an integral part of each assay to ensure the validity of the results obtained. Assays were performed under conditions described in the accompanying "Methods" section of this report.

Where presented, IC_{50} values were determined by a non-linear, least squares regression analysis using MathIQ™ (ID Business Solutions Ltd., UK). Where inhibition constants (K_i) are presented, the K_i values were calculated using the equation of Cheng and Prusoff (Cheng, Y., Prusoff, W.H., Biochem. Pharmacol. 22:3099-3108, 1973) using the observed IC_{50} of the tested compound, the concentration of radioligand employed in the assay, and the historical values for the K_D of the ligand (obtained experimentally at **Eurofins Panlabs, Inc.**). Where presented, the Hill coefficient (n_H), defining the slope of the competitive binding curve, was calculated using MathIQ™. Hill coefficients significantly different than 1.0, may suggest that the binding displacement does not follow the laws of mass action with a single binding site. Where IC_{50}, K_i, and/or n_H data are presented without Standard Error of the Mean (SEM), data are insufficient to be quantitative, and the values presented (K_i, IC_{50}, n_H) should be interpreted with caution.

RESULTS

A summary of results meeting the significance criteria is presented in the following sections. Complete results are presented under the section labeled "Experimental Results". Individual responses, if requested, are presented in the section labeled "Individual Responses".

SUMMARY/CONCLUSION

Significant results are displayed in the following table(s) in rank order of potency for estimated IC_{50} and/or K_i values.

Summary of Significant Results

Biochemical assay results are presented as the percent inhibition of specific binding or activity throughout the report. All other results are expressed in terms of that assay's quantitation method.

- For primary assays, only the lowest concentration with a significant response judged by the assays' criteria, is shown in this summary.
- Where applicable, either the secondary assay results with the lowest dose/concentration meeting the significance criteria or, if inactive, the highest dose/concentration that did not meet the significance criteria is shown.
- Unless otherwise requested, primary screening in duplicate with quantitative data (e.g., IC_{50} ± SEM, K_i ± SEM and n_H) are shown where applicable for individual requested assays. In screening packages, primary screening in duplicate with semi-quantitative data (e.g., estimated IC_{50}, K_i and n_H) are shown where applicable (concentration range of 4 log units); available secondary functional assays are carried out (30 mM) and MEC or MIC determined only if active in primary assays >50% at 1 log unit below initial test concentration.

Significant responses (≥ 50% inhibition or stimulation for Biochemical assays) were noted in the primary assays listed below:

No significant results noted.

Experimental Results

Cat #	Assay Name	Batch*	Spec.	Rep.	Conc.	% Inh.	IC_{50}*	K_i	n_H	R
Compound: JP43, PT #: 1222269										
112250	Peptidase, CTSB (Cathepsin B)	429901	hum	1	10 µM	3				
			hum	1	1 µM	1				
112350	Peptidase, CTSD (Cathepsin D)	430131	hum	1	10 µM	0				
			hum	1	1 µM	-1				
199007	Peptidase, Dipeptidyl Peptidase 4 (DPP4, DPP IV)	429912	hum	1	10 µM	-6				
			hum	1	1 µM	-2				
163950	Peptidase, Endothelin Converting Enzyme-1 (ECE-1)	429910	hum	1	10 µM	5				
			hum	1	1 µM	2				
114110	Peptidase, Matrix Metalloproteinase-1 (MMP-1)	430051	hum	1	10 µM	1				
			hum	1	1 µM	-1				
114210	Peptidase, Matrix Metalloproteinase-2 (MMP-2)	429904	hum	1	10 µM	5				
			hum	1	1 µM	1				
114310	Peptidase, Matrix Metalloproteinase-3 (MMP-3)	430052	hum	1	10 µM	1				
			hum	1	1 µM	1				
114710	Peptidase, Matrix Metalloproteinase-7 (MMP-7)	429905	hum	1	10 µM	1				
			hum	1	1 µM	-1				
114800	Peptidase, Matrix Metalloproteinase-8 (MMP-8)	430053	hum	1	10 µM	-3				
			hum	1	1 µM	-1				
114910	Peptidase, Matrix Metalloproteinase-9 (MMP-9)	430054	hum	1	10 µM	-18				
			hum	1	1 µM	-10				
114950	Peptidase, Matrix Metalloproteinase-10 (MMP-10)	430055	hum	1	10 µM	5				
			hum	1	1 µM	0				
115200	Peptidase, Matrix Metalloproteinase-12 (MMP-12)	429906	hum	1	10 µM	6				
			hum	1	1 µM	6				
115300	Peptidase, Matrix Metalloproteinase-13 (MMP-13)	430056	hum	1	10 µM	6				
			hum	1	1 µM	3				
115400	Peptidase, Matrix Metalloproteinase-14 (MMP-14)	430057	hum	1	10 µM	2				
			hum	1	1 µM	1				

Note: Items meeting criteria for significance (≥50% stimulation or inhibition) are highlighted.
* Batch: Represents compounds tested concurrently in the same assay(s).
hum=Human

Experimental Results

Cat #	Assay Name	Batch*	Spec.	Rep.	Conc.	% Inh.	IC_{50}*	K_i	n_H	R
115450	Peptidase, Matrix Metalloproteinase-15 (MMP-15)	430058	hum	1	10 µM	-4				
			hum	1	1 µM	-5				
115490	Peptidase, Matrix Metalloproteinase-17 (MMP-17)	430061	hum	1	10 µM	0				
			hum	1	1 µM	-1				
115510	Peptidase, Matrix Metalloproteinase-19 (MMP-19)	430062	hum	1	10 µM	4				
			hum	1	1 µM	-2				
115520	Peptidase, Matrix Metalloproteinase-20 (MMP-20)	430059	hum	1	10 µM	3				
			hum	1	1 µM	1				
115560	Peptidase, Matrix Metalloproteinase-24 (MMP-24)	430060	hum	1	10 µM	30				
			hum	1	1 µM	-8				
164010	Peptidase, Metalloproteinase, Neutral Endopeptidase	429911	hum	1	10 µM	-13				
			hum	1	1 µM	-10				
166500	Peptidase, Tumor Necrosis Factor-α Converting Enzyme (TACE)	430142	hum	1	10 µM	8				
			hum	1	1 µM	1				

Note: Items meeting criteria for significance (≥50% stimulation or inhibition) are highlighted.
* Batch: Represents compounds tested concurrently in the same assay(s).
hum=Human

Methods

112250 Peptidase, CTSB (Cathepsin B)

Source:	Human liver	**Pre-Incub. Time/Temp:**	15 minutes @ 25°C
Substrate:	20.0 µM Boc-Leu-Arg-Arg-AMC	**Incubation Time/Temp:**	30 minutes @ 25°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM CH_3COONa, pH 5.5, 1 mM DTT, 2 mM EDTA
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of AMC

112350 Peptidase, CTSD (Cathepsin D)

Source:	Human liver	**Pre-Incub. Time/Temp:**	15 minutes @ 37°C
Substrate:	20.0 µM MOCAc-Gly-Lys-Pro-Ile-Leu-Phe-Phe-Arg-Leu-Lys(Dnp)-D-Arg-NH_2	**Incubation Time/Temp:**	10 minutes @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM CH_3COONa, pH 4.0
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of MOCAc-Gly-Lys-Pro-Ile-Leu-Phe

199007 Peptidase, Dipeptidyl Peptidase 4 (DPP4, DPP IV)

Source:	Human recombinant insect cells	**Pre-Incub. Time/Temp:**	10 minutes @ 25°C
Substrate:	40.0 µM GP-AMC	**Incubation Time/Temp:**	10 minutes @ 25°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM Tris-HCl, pH 7.4
Significance Crit.:	≥50 of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of aminomethyl coumarin

163950 Peptidase, Endothelin Converting Enzyme-1 (ECE-1)

Source:	Human recombinant NS0 cells	**Pre-Incub. Time/Temp:**	15 minutes @ 25°C
Substrate:	10.0 µM Mca-Arg-Pro-Pro-Gly-Phe-Ser-Ala-Phe-Lys(Dnp)	**Incubation Time/Temp:**	60 minutes @ 25°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	100 mM NaCl, 100 mM MES, pH 6.0
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Arg-Pro-Pro-Gly-Phe-Ser-Ala

114110 Peptidase, Matrix Metalloproteinase-1 (MMP-1)

Source:	Human rheumatoid synovial fibroblast	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

Methods

114210 Peptidase, Matrix Metalloproteinase-2 (MMP-2)

Source:	Human recombinant CHO cells	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

114310 Peptidase, Matrix Metalloproteinase-3 (MMP-3)

Source:	Human recombinant E. coli	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

114710 Peptidase, Matrix Metalloproteinase-7 (MMP-7)

Source:	Human recombinant E. coli	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

114800 Peptidase, Matrix Metalloproteinase-8 (MMP-8)

Source:	Human neutrophils	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

114910 Peptidase, Matrix Metalloproteinase-9 (MMP-9)

Source:	Human recombinant Mammalian cells	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

Methods

114950 Peptidase, Matrix Metalloproteinase-10 (MMP-10)

Source:	Human recombinant E. coli cells	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

115200 Peptidase, Matrix Metalloproteinase-12 (MMP-12)

Source:	Human recombinant E. coli	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

115300 Peptidase, Matrix Metalloproteinase-13 (MMP-13)

Source:	Human recombinant insect Sf9 cells	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

115400 Peptidase, Matrix Metalloproteinase-14 (MMP-14)

Source:	Human recombinant E. coli	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

115450 Peptidase, Matrix Metalloproteinase-15 (MMP-15)

Source:	Human recombinant NS0 cells	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

Methods

115490 Peptidase, Matrix Metalloproteinase-17 (MMP-17)

Source:	Human recombinant NS0 cells	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

115510 Peptidase, Matrix Metalloproteinase-19 (MMP-19)

Source:	Human recombinant E. coli cells	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	50.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

115520 Peptidase, Matrix Metalloproteinase-20 (MMP-20)

Source:	Human recombinant E. coli cells	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

115560 Peptidase, Matrix Metalloproteinase-24 (MMP-24)

Source:	Human recombinant E. coli cells	**Pre-Incub. Time/Temp:**	60 minutes @ 37°C
Substrate:	4.0 µM Mca-Pro-Leu-Gly-Leu-Dap-Ala-Arg-NH_2	**Incubation Time/Temp:**	2 hours @ 37°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM MOPS, pH 7.2, 10 mM $CaCl_2$, 10 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-Pro-Leu-Gly

164010 Peptidase, Metalloproteinase, Neutral Endopeptidase

Source:	Human recombinant CHO cells	**Pre-Incub. Time/Temp:**	15 minutes @ 25°C
Substrate:	10.0 µM Mca-R-P-P-G-F-S-A-F-K(Dnp)-OH	**Incubation Time/Temp:**	30 minutes @ 25°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	50 mM Tris-HCl, pH 9.0, 0.05 % Brij-35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrophotometric quantitation of Mca-Arg-Pro-Pro-Gly-Phe-Ser-Ala

Methods

■ 166500 Peptidase, Tumor Necrosis Factor-α Converting Enzyme (TACE)

Source:	Human recombinant insect Sf21 cells	**Pre-Incub. Time/Temp:**	15 minutes @ 25°C
Substrate:	10.0 µM Mca-P-L-A-Q-A-V-Dpa-R-S-S-S-R-NH_2	**Incubation Time/Temp:**	30 minutes @ 25°C
Vehicle:	1.00% DMSO	**Incubation Buffer:**	25 mM Tris-HCl, pH 9.0, 2.5 µM $ZnCl_2$, 0.05% Brij 35
Significance Crit.:	≥50% of max stimulation or inhibition	**Quantitation Method:**	Spectrofluorimetric quantitation of Mca-P-L-A-Q-A

Literature References

Cat #	Reference
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Reference Compounds

Cat #	Assay Name	Reference Compound	Historical IC_{50}*	Historical K_i	Historical n_H	Concurrent Batch *	Concurrent IC_{50}*
112250	Peptidase, CTSB (Cathepsin B)	E-64	4.20 nM			429901	5.23 nM
112350	Peptidase, CTSD (Cathepsin D)	Pepstatin A	0.93 nM			430131	1.38 nM
199007	Peptidase, Dipeptidyl Peptidase 4 (DPP4, DPP IV)	K579	3.70 nM			429912	7.48 nM
163950	Peptidase, Endothelin Converting Enzyme-1 (ECE-1)	Phosphoramidon	4.90 nM			429910	12.4 nM
114110	Peptidase, Matrix Metalloproteinase-1 (MMP-1)	GM-6001	7.50 nM			430051	8.86 nM
114210	Peptidase, Matrix Metalloproteinase-2 (MMP-2)	GM-6001	1.20 nM			429904	1.60 nM
114310	Peptidase, Matrix Metalloproteinase-3 (MMP-3)	GM-6001	0.056 µM			430052	0.044 µM
114710	Peptidase, Matrix Metalloproteinase-7 (MMP-7)	GM-6001	0.066 µM			429905	0.066 µM
114800	Peptidase, Matrix Metalloproteinase-8 (MMP-8)	GM-6001	0.86 nM			430053	0.69 nM
114910	Peptidase, Matrix Metalloproteinase-9 (MMP-9)	GM-6001	0.72 nM			430054	0.64 nM
114950	Peptidase, Matrix Metalloproteinase-10 (MMP-10)	GM-6001	0.12 µM			430055	0.12 µM
115200	Peptidase, Matrix Metalloproteinase-12 (MMP-12)	GM-6001	0.80 nM			429906	1.05 nM
115300	Peptidase, Matrix Metalloproteinase-13 (MMP-13)	GM-6001	2.50 nM			430056	1.59 nM
115400	Peptidase, Matrix Metalloproteinase-14 (MMP-14)	GM-6001	8.30 nM			430057	6.58 nM
115450	Peptidase, Matrix Metalloproteinase-15 (MMP-15)	GM-6001	3.40 nM			430058	3.14 nM
115490	Peptidase, Matrix Metalloproteinase-17 (MMP-17)	GM-6001	13.0 nM			430061	0.023 µM
115510	Peptidase, Matrix Metalloproteinase-19 (MMP-19)	GM-6001	0.11 µM			430062	0.11 µM
115520	Peptidase, Matrix Metalloproteinase-20 (MMP-20)	GM-6001	8.80 nM			430059	3.41 nM
115560	Peptidase, Matrix Metalloproteinase-24 (MMP-24)	GM-6001	2.70 nM			430060	1.40 nM
164010	Peptidase, Metalloproteinase, Neutral Endopeptidase	Phosphoramidon	1.60 µM			429911	0.83 µM
166500	Peptidase, Tumor Necrosis Factor-α Converting Enzyme (TACE)	GM-6001	0.021 µM			430142	0.042 µM

* Batch: Represents compounds tested concurrently in the same assay(s).