


NON-KINASE ENZYME PROFILE









 Ref. **P7**

Suggested testing: 10 μ M (n=2)


































 3 weeks






The **Non-kinase enzyme profile** includes **39 robust and diverse enzymes** broadly chosen among various enzyme families with a particular focus on specific enzymes involved in cell cycle regulation. Due to its diversity, this profile allows an optimal assessment of enzymatic specificity of drug candidates.

■ EPIGENETIC & DNA-RELATED ENZYMES

Family/Sub-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

■ OTHER ENZYMES

Family/Sub-family	Assay	Ref.
PHOSPHATASES	 phosphatase 1B (PTP1B)	 2593
	phosphatase CDC25A	 2561
PROTEASES		
[Serine proteases]	elastase	 0183
	trypase	 0512
[Cysteine proteases]	caspase-3	 0774
	caspase-8	 0668
	cathepsin L	 0802
[Aspartic proteases]	BACE-1 (β -secretase)	 0701
	cathepsin D	 0650
[Metalloproteases]	 ACE	 3441
	ACE-2	 1954
	ECE-1	 0184
	neutral endopeptidase	 0509
	MMP-1	 0510
	MMP-3	 0490
	MMP-9	 0491
	TACE	 0702
PHOSPHODIESTERASES	PDE2A ₁	 2426
	PDE3A	 2432
	 PDE4D ₂	 2434
	PDE5 (non-selective)	 0204
	PDE6 (non-selective)	 0478
NO SYNTHASES	 inducible NOS	 3185
ARACHIDONIC ACID METABOLISM		
[Lipoxygenases]	5-lipoxygenase	 0772
	12-lipoxygenase	 0883
[Cyclooxygenases]	 COX ₁	 0726
	 COX ₂	 0727

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

Anticipating clinical effects from *in vitro* data

OTHER ENZYMES (cont'd)

Family/Sub-family	Assay	Ref.
ARACHIDONIC ACID METABOLISM (cont'd)		
[Phospholipase]	sPLA2 (type V)	3176
MONOAMINE & NEUROTRANSMITTER SYNTHESIS & METABOLISM		
	acetylcholinesterase	0363
	MAO-A	0191
	MAO-B	0621

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)
NON-KINASE ENZYME PROFILE						
Number of assays ▼						
	39	1 mg	185 μ L@ 10 mM	1.5 mg	300 μ L@ 10 mM	3 mg
						600 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?

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