

Gentest® Supersomes™ Cytochrome P450 (CYP)

Recombinant Drug Metabolizing Enzymes



Cytochrome P450 enzymes are Phase I drug metabolizing enzymes involved in the elimination of foreign compounds (xenobiotics), such as drugs and toxins from the body. They are important tools in studying ADME properties of compounds in the drug discovery and development process.

Gentest provides a wide range of high quality recombinant P450 enzymes, including those from human, rat, and dog. These enzymes are expressed and prepared from insect cells through a baculovirus expression system. For information on lot-specific enzyme activities, contact Gentest Technical Support: GentestSupport@dls.com.

Features

- Facilitates efficient metabolite formation with long linear time course; ideal for slow metabolizing drug compounds (Figure 1)
- Delivers high catalytic activity; ideal for robust high throughput screening assays including those from drug-drug interaction studies (Figure 2)
- Ensures enzyme kinetics of these recombinant products are similar to the native enzymes expressed in human liver and other organs (Table 1)
- Supports essential assays, such as reaction phenotyping and enzyme inhibition, required for FDA new drug registration and other pharmacokinetic studies that are appropriate for evaluating pro-drugs and CYP pathway of elimination
- Provides researchers with the most comprehensive set of P450 enzyme products

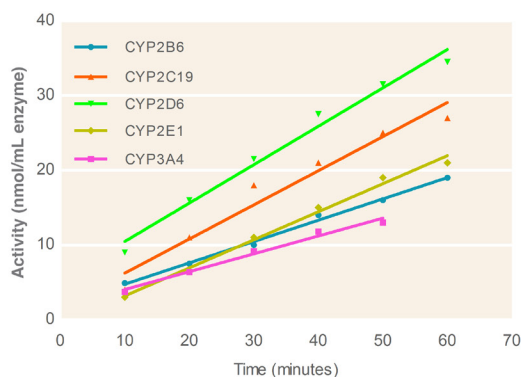


Figure 1. Typical time course for Gentest Supersomes P450 enzymes. Linear time is >50 minutes.

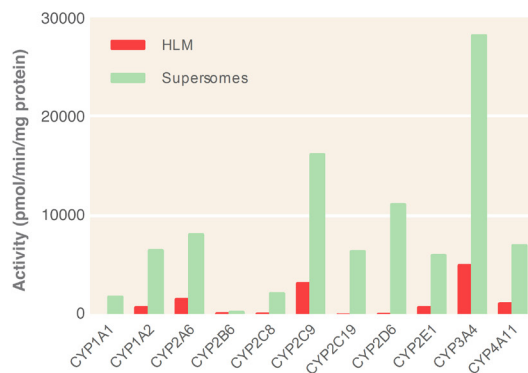


Figure 2. Comparison of Supersomes enzyme activities and HLM activities.

Table 1. Enzyme kinetics between Gentest Supersomes enzymes and human liver microsomes (HLM) show excellent consistency.

Enzyme	Substrate	K _m Value (μM)	
		Supersomes	HLM
CYP1A2	EROD	0.25	0.58
CYP2B6	7-EFC	2.0	1.1
CYP2C8	Paclitaxel	8.0	4.0
CYP2C9	Diclofenac	4.2	2.9
CYP2D6	Bufuralol	3.1	15
CYP3A4	Terfenadine	1.9	2.0
CYP3A4	Testosterone	31	53

Ordering Information

Gentest® Supersomes Enzymes – Human P450

Cat. No.	Enzyme	Catalytic Assay	Qty/Size
456211	CYP1A1 + reductase	7-Ethoxyresorufin O-deethylase	0.5 nmol P450 in 0.5 mL
456203	CYP1A2 + reductase	Phenacetin O-deethylase	0.5 nmol P450 in 0.5 mL
456220	CYP1B1 + reductase	7-Ethoxyresorufin O-deethylase	0.5 nmol P450 in 0.5 mL
456204	CYP2A6 + reductase	Coumarin 7-hydroxylase	1 nmol P450 in 0.5 mL
456254	CYP2A6 + reductase + b ₅	Coumarin 7-hydroxylase	0.5 nmol P450 in 0.5 mL
456210	CYP2B6 + reductase	7-Ethoxy-4-trifluoromethyl-coumarin deethylase	0.5 nmol P450 in 0.5 mL
456255	CYP2B6 + reductase + b ₅	7-Ethoxy-4-trifluoromethyl-coumarin deethylase	0.5 nmol P450 in 0.5 mL
456212	CYP2C8 + reductase	Paclitaxel 6 α -hydroxylase	1 nmol P450 in 0.5 mL
456252	CYP2C8 + reductase + b ₅	Paclitaxel 6 α -hydroxylase	0.5 nmol P450 in 0.5 mL
456258	CYP2C9*1 (Arg144) + reductase + b ₅	Diclofenac 4'-hydroxylase	0.5 nmol P450 in 0.5 mL
456218	CYP2C9*1 (Arg144) + reductase	Diclofenac 4'-hydroxylase	1 nmol P450 in 0.5 mL
456209	CYP2C9*2 (Cys144) + reductase Allelic Variant	Diclofenac 4'-hydroxylase	1 nmol P450 in 0.5 mL
456242	CYP2C9*3 (Leu359) + reductase Allelic Variant	Diclofenac 4'-hydroxylase	1 nmol P450 in 0.5 mL
456222	CYP2C18 + reductase	Diclofenac 4'-hydroxylase	0.5 nmol P450 in 0.5 mL
456219	CYP2C19 + reductase	(S)-Mephenytoin 4'-hydroxylase	0.5 nmol P450 in 0.5 mL
456259	CYP2C19 + reductase + b ₅	(S)-Mephenytoin 4'-hydroxylase	0.5 nmol P450 in 0.5 mL
456217	CYP2D6*1 (Val374) + reductase	(\pm)-Bufuralol 1'-hydroxylase	0.5 nmol P450 in 0.5 mL
456240	CYP2D6*10 Allelic Variant Kit (Asian allele) Kit includes: Human CYP2D6*10 and CYPC2D6*1 Supersomes Enzymes and Insect Control Microsomes	(\pm)-Bufuralol 1'-hydroxylase	0.5 nmol P450 in 0.5 mL
456206	CYP2E1 + reductase + b ₅	p-Nitrophenol hydroxylase	1 nmol P450 in 0.5 mL
456264	CYP2J2 + reductase + b ₅	Terfenadine hydroxylase	0.5 nmol P450 in 0.5 mL
456207	CYP3A4 + reductase	Testosterone 6 β -hydroxylase	1 nmol P450 in 0.5 mL
456202	CYP3A4 + reductase + b ₅	Testosterone 6 β -hydroxylase	0.5 nmol P450 in 0.5 mL
456235	CYP3A5 + reductase	Testosterone 6 β -hydroxylase	1 nmol P450 in 0.5 mL
456256	CYP3A5 + reductase + b ₅	Testosterone 6 β -hydroxylase	0.5 nmol P450 in 0.5 mL
456237	CYP3A7 + reductase + b ₅	Testosterone 6 β -hydroxylase	0.5 nmol P450 in 0.5 mL
456221	CYP4A11 + reductase	Lauric acid ω -hydroxylase	0.5 nmol P450 in 0.5 mL
456272	CYP4F2 + reductase + b ₅	20-Hydroxy Leukotriene B ₄	0.5 nmol P450 in 0.5 mL
456273	CYP4F3A + reductase + b ₅	20-Hydroxy Leukotriene B ₄	0.5 nmol P450 in 0.5 mL
456274	CYP4F3B + reductase + b ₅	20-Hydroxy Leukotriene B ₄	0.5 nmol P450 in 0.5 mL
456275	CYP4F12 + reductase + b ₅	Terfenadine hydroxylase	0.5 nmol P450 in 0.5 mL
456260	CYP19 (Aromatase) + reductase	Aromatase (β -Estradiol Formation)	0.5 nmol P450 in 0.5 mL

Gentest Supersomes™ Enzymes – Rat P450

Cat. No.	Enzyme	Catalytic Assay	Qty/Size
456511	CYP1A1 + reductase	7-Ethoxyresorufin O-deethylase	1 nmol P450 in 0.5 mL
456503	CYP1A2 + reductase	7-Methoxyresorufin O-deethylase	0.5 nmol P450 in 0.5 mL
456519	CYP2A1 + reductase + b ₅	Testosterone 7 α -hydroxylase	0.5 nmol P450 in 0.5 mL
456505	CYP2A2 + reductase + b ₅	Testosterone 15 α -hydroxylase	0.5 nmol P450 in 0.5 mL
456510	CYP2B1 + reductase + b ₅	Testosterone 16 α -hydroxylase	0.5 nmol P450 in 0.5 mL
456536	CYP2C6 + reductase + b ₅	(S)-Wafarin 7-Hydroxylase	0.5 nmol P450 in 0.5 mL
456531	CYP2C11 + reductase + b ₅	Testosterone 16 α -hydroxylase	0.5 nmol P450 in 0.5 mL
456532	CYP2C12 + reductase + b ₅	Codeine N-demethylase	0.5 nmol P450 in 0.5 mL
456533	CYP2C13 + reductase + b ₅	Testosterone 16 β -hydroxylase	0.5 nmol P450 in 0.5 mL
456517	CYP2D1 + reductase	(\pm)-Bufuralol 1'-hydroxylase	0.5 nmol P450 in 0.5 mL
456513	CYP2D2 + reductase	(\pm)-Bufuralol 1'-hydroxylase	0.5 nmol P450 in 0.5 mL
456521	CYP2E1 + reductase + b ₅	p-Nitrophenol hydroxylase	0.5 nmol P450 in 0.5 mL
456501	CYP3A1 + reductase + b ₅	6 β -Testosterone hydroxylase	0.5 nmol P450 in 0.5 mL
456502	CYP3A2 + reductase + b ₅	6 β -Testosterone hydroxylase	0.5 nmol P450 in 0.5 mL

Ordering Information (continued)

Gentest® Supersomes Enzymes – Dog P450

Cat. No.	Enzyme	Catalytic Assay	Qty/Size
456621	Dog CYP2C21+ reductase + b ₅	Testosterone 16 α -hydroxylase	0.5 nmol P450 in 0.5 mL
456612	Dog CYP3A12 + reductase + b ₅	6 β -Testosterone hydroxylase	0.5 nmol P450 in 0.5 mL

Gentest Supersomes Enzymes – Negative Controls, Cofactors, and Buffers

Cat. No.	Description	Intended use	Qty/Pkg.
456200	Insect Cell Control Microsomes without P450 reductase from BTI-TN-5B1-4 cells	Negative controls for all CYP enzymes, except for CYP3A7, CYP3A5, and CYP2C18	5 mg/mL, 1.5 mL
456201	Insect Cell Control Microsomes without P450 reductase from BTI-TN-5B1-4 cells	Negative controls for all CYP enzymes, except for CYP3A7, CYP3A5, and CYP2C18	5 mg/mL, 0.5 mL
456299	Insect Cell Control Microsomes without P450 reductase from Sf9	Negative controls for CYP3A7 (Cat. No. 45627), CYP3A5 (Cat. No. 456235), and CYP2C18 (Cat. No. 456222)	5 mg/mL, 0.5 mL
456244	Insect Cell Control Microsomes with Human P450 reductase and b ₅ from BTI-TN-5B1-4 cells	Negative control for any of the human P450 Supersomes enzymes	5 mg/mL, 0.5 mL
456514	Insect Cell Control Microsomes with Rat P450 reductase from BTI-TN-5B1-4 cells	Negative control for Rat P450 enzymes	5 mg/mL, 0.5 mL
451220	NADPH Regenerating System – Solution A (NADP ⁺ and Glc-6-PO ₄)	For use with all CYP and FMO enzymes products	5 mL
451200	NADPH Regenerating System – Solution B (G6PDH)	For use with all CYP and FMO enzymes products	1 mL
451201	Potassium Phosphate Buffer (pH 7.4)	Use with most CYP enzymes and MAO enzymes	500 mL
451202	Tris HCl (pH 7.5)	Use with UGT enzymes and a few CYP enzymes	500 mL

Warranty/Disclaimer: Unless otherwise specified, all products are for research use only. Not intended for use in diagnostic or therapeutic procedures. Not for use in humans. Discovery Life Sciences makes no claims regarding the performance of these products for clinical or diagnostic applications.

For additional product or technical information, visit www.dls.com or call 866.838.2798. Outside the United States, call +359.2.870.2117 or contact your local Gentest sales representative.

Discovery Life Sciences
800 Hudson Way Suite 1700
Huntsville, AL 35806

www.dls.com

