

BD Supersomes Human UDP-glucuronosyltransferases Portfolio

Features

- Facilitates efficient metabolite formation with long linear time course; ideal for slow metabolizing drug compounds.
- Ensures glucuronidation activity of recombinant enzymes is similar to UGTs expressed in human liver and other organs.
- Delivers high catalytic activity; ideal for high-throughput screening assays.
- Supports essential assays such as reaction phenotyping.
- Provides researchers with the most comprehensive set of UGT enzyme products available.
- Manufactured using baculovirus/insect cell expression system.
- Newly added UGT2B10, like BD's long standing product UGT1A4, contributes to quaternary N-glucuronidation of xenobiotics such as tricyclic antidepressants and nicotine.

UDP-glucuronosyltransferases (UGTs) belong to a membrane-bound superfamily of Phase II enzymes which conjugate glucuronic acid to substrates to make them more water soluble. UGTs are expressed in many mammalian tissues, with highest expression level in liver and intestine.

UGTs are the most important Phase II drug metabolizing enzymes in humans, as well as being the major contributor to "non-CYP" drug elimination pathways.

BD has expanded its portfolio to include human UGT2B10; abundant in liver, and important for the glucuronidation of several tricyclic antidepressant drugs such as amitriptyline.

High Enzymatic Activity

BD Supersomes™ are engineered to provide efficient metabolite production with long linear metabolite formation times of 60 minutes or greater, making the products highly suited to identifying metabolites for slowly metabolized compounds (shown in Figure 1).

Engineered for Native Activity

BD Supersomes UGT cDNA matches the published sequence from the US National Library of Medicine native DNA sequence. BD's UGTs behave like native UGTs expressed in human liver and other organs as demonstrated by measured glucuronidation activity where the K_m of recombinant UGTs are similar to those of human liver microsomes (HLMs) (shown in Table 1).

Extensive Portfolio of Phase I and Phase II Recombinant Enzymes

BD's Supersomes are recognized worldwide as the "industry gold standard", with the most extensive portfolio of CYPs, UGTs, FMOs, MAOs, NATs, and CES's.

Visit bdbiosciences.com/admetox for more information.



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Time Course of Product Formation

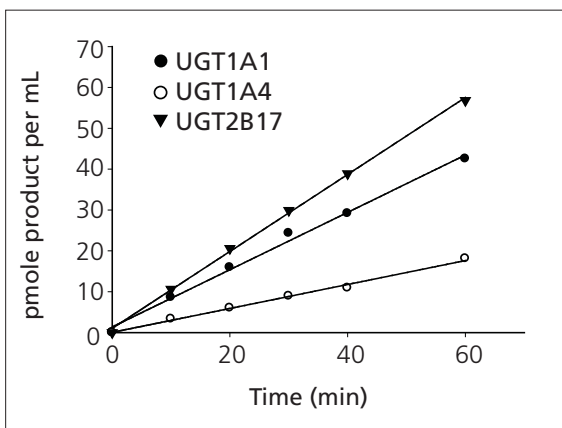


Figure 1

The time course of product formation for UGT1A1, UGT1A4, UGT2B17 was shown to be linear out to 60 minutes. Estradiol, Trifluoperazine, and Eugenol were used as the substrate of UGT1A1, UGT1A4, and UGT2B17, respectively.

Michaelis-Menten Kinetic Parameters

Enzyme	Substrate	K_m (μ M)	
		BD Supersomes	HLM (Pooled)
UGT1A1	Bilirubin	1.1	0.8
UGT1A4	Trifluoperazine	61	85
UGT1A9	Propofol	10	26
UGT2B7	Morphine (6-Glucuronidation)	766	815
UGT2B10	Amitriptyline	7	10

HLM: Human Liver Microsomes

Table 1. Michaelis-Menten kinetic parameters of UGTs compared to HLM. K_m values obtained using recombinant UGTs were found to be similar to those obtained using HLM (Pooled). HLM is BD UltraPool™ HLM 150; Cat. No. 452117.

BD Supersomes™ Human UGT Metabolic Enzymes

Description	Protein Concentration	Qty.	Cat. No.
UGT1A1	5 mg/mL	0.5 mL	456411
UGT1A3	5 mg/mL	0.5 mL	456413
UGT1A4	5 mg/mL	0.5 mL	456414
UGT1A6	5 mg/mL	0.5 mL	456416
UGT1A7	5 mg/mL	0.5 mL	456407
UGT1A8	5 mg/mL	0.5 mL	456418
UGT1A9	5 mg/mL	0.5 mL	456419
UGT1A10	5 mg/mL	0.5 mL	456410
UGT2B4	5 mg/mL	0.5 mL	456424
UGT2B7	5 mg/mL	0.5 mL	456427
UGT2B10	5 mg/mL	0.5 mL	453323
UGT2B15	5 mg/mL	0.5 mL	456435
UGT2B17	5 mg/mL	0.5 mL	456437
UGT Control Microsomes	5 mg/mL	0.5 mL	456400

Related Products and Services

- ADME Chemicals including Substrates, Inhibitors, and Metabolites
- UGT Cofactor System
- BD Gentest™ Human Liver Microsomes
- BD Gentest™ Contract Research Services Reaction Phenotyping

U.S. Orders
Tel: 855.236.2772
Email: BDBCcustomerService@bd.com

Purchase Orders should be made out to:
BD Biosciences
2350 Qume Drive
San Jose, CA 95131



BD Biosciences
296 Concord Road
Billerica, MA 01730 USA
tel: 855.236.2772
fax: 800.325.9637
bd.com/biosciences

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