

Organic Cation Transporters (OCTs)

Introduction: Organic cation transporters (OCTs) of the solute carrier family (SLC) 22 have been identified as uptake transporters for several clinically used drugs, which are cations or weak bases at physiological pH. The expression of human OCT1 (SLC22A1) and OCT2 (SLC22A2) is highly restricted to liver and kidney, respectively, while OCT3 (SLC22A3) is more widely distributed.

Methods: A cell platform using stable transfected HEK293 cells expressing OCT1, OCT2 and OCT3, was generated. The uptake functions of OCT1, OCT2 and OCT3 were characterized with fluorescence substrate Rhodamine in the absence and presence of the reference inhibitor Quinidine.

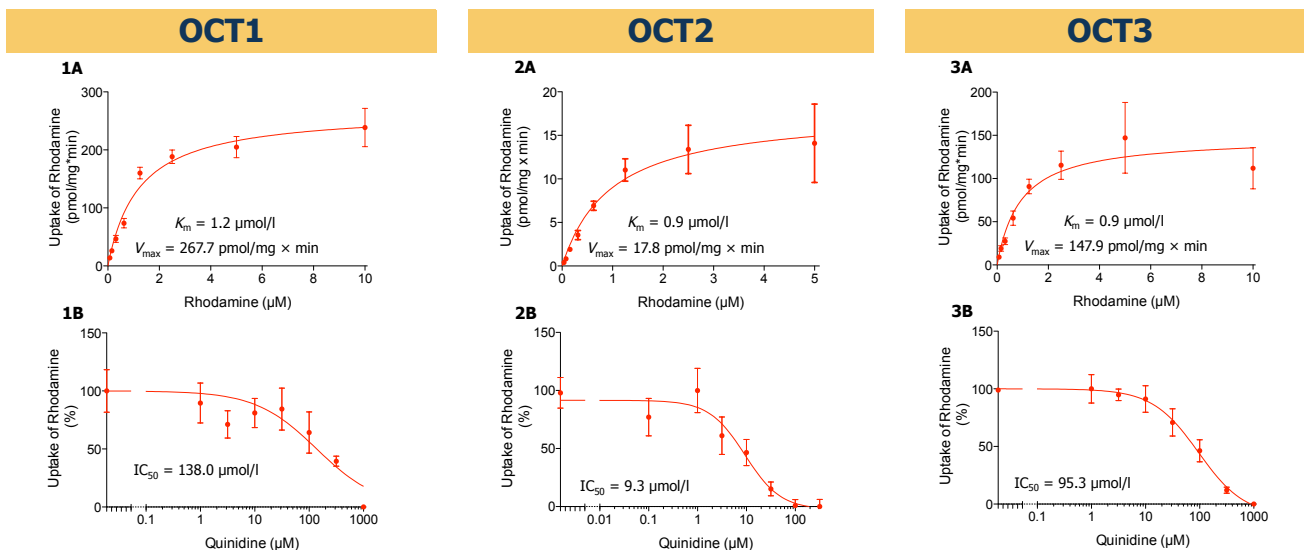


Figure: Concentration dependent uptake of Rhodamine mediated by OCT1 (1A), OCT2 (2A) and OCT3 (3A). Inhibition of OCT1- (1B), OCT2- (2B) and OCT3- (3B) mediated transport of Rhodamine by Quinidine.

Transporter	Substrate	Kinetic parameters	Literature
OCT1	Rhodamine	$K_m = 1.2 \mu\text{mol/l}$	$K_m = 0.54 \mu\text{mol/l}$ (Jouan, 2012)
OCT2	Rhodamine	$K_m = 0.9 \mu\text{mol/l}$	$K_m = 0.61 \mu\text{mol/l}$ (Jouan, 2012)
OCT3	Rhodamine	$K_m = 0.9 \mu\text{mol/l}$	not available

Notes: PRIMACYT is a registered trademark of PRIMACYT Cell Culture Technology GmbH

Literature:

Jouan E1, Le Vee M, Denizot C, Da Violante G, Fardel O. *The mitochondrial fluorescent dye rhodamine 123 is a high-affinity substrate for organic cation transporters (OCTs) 1 and 2.* Fundam Clin Pharmacol. 2014 Feb;28(1):65-77. doi: 10.1111/j.1472-8206.2012.01071.x. Epub 2012 Aug 23.