CREATIVE BIOMART®
Assay Kit

Multidrug Efflux Transporter (MDR1/P-gp) Ligand Screening Kit

Product Information

Cat

Kit-2392

Cat.No. Kit-2392

Product Overview

P-glycoprotein (P-gp, Multidrug Resistance Protein 1 (MDR1), EC 3.6.3.44) is a member of the ATP-binding cassette (ABC) ATPasesuperfamily of transmembrane transporter proteins. P-gp has an extremely broad substrate specificity and is capable of transporting a vast array of neutral and anionic lipophilic molecules. P-gp strongly affects the oral absorption, tissue distribution and excretion of many drugs and prevents certain lipophilic drugs from penetrating the blood brain barrier. Overexpression of P-gp confers tumor cells with resistance to chemically and pharmacologically distinct chemotherapeutic drugs (such as doxorubicin, vincristine and paclitaxel) by actively pumping them out of cells. Induction of P-gp expression is a frequent cause of trea;ent failure and tumor-targeted delivery of P-gp inhibitors is being investigated as a strategy for overcoming chemotherapy resistance. MDR1/P-gp Ligand Screening Kit is designed for rapidly screening test compounds for modulation of efflux transporter activity in MDR1-expressing cell lines. The assay uses a lipophilic non-fluorescent P-gp substrate that readily diffuses through the plasma membrane, where it is hydrolyzed to an active fluorophore by cytosolic esterases. The resulting hydrophilic fluorophore is neither membrane permeable nor a substrate for P-gp, hence it remains trapped inside the cell. In MDR1-expressing cell lines, the lipophilic pro-fluorophore is continuously extruded from the cytosol by P-gp, leading to a low intracellular fluorescence. Inhibition of P-gp-mediated efflux by a test compound leads to increased intracellular fluorescence. Specific transporter activity is quantified by comparison with fluorescence accumulated in the presence and absence of a saturating concentration of the included selective P-gp inhibitor. The assay is highly sensitive, has a simple no-wash protocol and is high-throughput adaptable. The kit contains a complete set of reagents sufficient for performing 100 reactions in a 96-well plate format.

Applications

Screening/studying/characterizing MDR1/P-gp Inhibitors

Tel: 1-631-559-9269 1-516-512-3133
Email:info@creative-biomart.org
Fax:1-631-938-8127
45-1 Ramsey Road, Shirley, NY 11967, USA

CREATIVE BIOMART®
Assay Kit

Multidrug Efflux Transporter (MDR1/P-gp) Ligand Screening Kit

Storage	
-20°C	
Shipping	
Gel Pack	
Size	
100 Assays	
Kit Components	
Efflux Assay Buffer; Fluorogenic P-gp Substrate; P-gp Inhibitor (Verapamil)	
Detection method	Fluorescence (Ex/Em = 488/532 nm)
Features & Benefits	
Simple method to screen Pg-p inhibitors; High-throughput adaptable; Includes Inhibitor Control, Verapamil	

Tel: 1-631-559-9269 1-516-512-3133
Email:info@creative-biomart.org
Fax:1-631-938-8127
45-1 Ramsey Road, Shirley, NY 11967, USA