Multidrug Efflux Transporter P Glycoprotein (MDR1/P-gp) Ligand Screening Kit ab284553

Overview

Product name: Multidrug Efflux Transporter P Glycoprotein (MDR1/P-gp) Ligand Screening Kit
Detection method: Fluorescent
Sample type: Inhibitor compounds
Assay type: Enzyme activity (quantitative)
Assay duration: Multiple steps standard assay

Product overview:
P-glycoprotein (MDR1) is a member of the ATP-binding cassette (ABC) ATPase superfamily of transmembrane transporter proteins. P-glycoprotein has an extremely broad substrate specificity and is capable of transporting a vast array of neutral and anionic lipophilic molecules.

P-glycoprotein Ligand Screening Kit (ab284553) (K507) 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-glycoprotein 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-glycoprotein, hence it remains trapped inside the cell. 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.

Notes:
This product is manufactured by BioVision, an Abcam company and was previously called K507 Multidrug Efflux Transporter (MDR1/P-gp) Ligand Screening Kit. K507-100 is the same size as the 100 test size of ab284553.

Platform:
Microplate (12 x 8 well strips)

Properties

Storage instructions: Store at -20°C. Please refer to protocols.

<table>
<thead>
<tr>
<th>Components</th>
<th>Identifier</th>
<th>100 tests</th>
</tr>
</thead>
<tbody>
<tr>
<td>Efflux Assay Buffer</td>
<td>NM</td>
<td>1 x 50ml</td>
</tr>
<tr>
<td>Fluorogenic P-gp Substrate</td>
<td>Amber cap</td>
<td>1 vial</td>
</tr>
<tr>
<td>Components</td>
<td>Identifier</td>
<td>100 tests</td>
</tr>
<tr>
<td>----------------------------</td>
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</tr>
<tr>
<td>P-gp Inhibitor (Verapamil)</td>
<td>Orange cap</td>
<td>1 vial</td>
</tr>
</tbody>
</table>

**Function**  
Energy-dependent efflux pump responsible for decreased drug accumulation in multidrug-resistant cells.

**Tissue specificity**  
Expressed in liver, kidney, small intestine and brain.

**Involvement in disease**  
Genetic variations in ABCB1 are associated with susceptibility to inflammatory bowel disease type 13 (IBD13) [MIM:612244]. Inflammatory bowel disease is characterized by a chronic relapsing intestinal inflammation. It is subdivided into Crohn disease and ulcerative colitis phenotypes. Crohn disease may involve any part of the gastrointestinal tract, but most frequently the terminal ileum and colon. Bowel inflammation is transmural and discontinuous; it may contain granulomas or be associated with intestinal or perianal fistulas. In contrast, in ulcerative colitis, the inflammation is continuous and limited to rectal and colonic mucosal layers; fistulas and granulomas are not observed. Both diseases include extraintestinal inflammation of the skin, eyes, or joints. Crohn disease and ulcerative colitis are commonly classified as autoimmune diseases.

**Sequence similarities**  
Belongs to the ABC transporter superfamily. ABCB family. Multidrug resistance exporter (TC 3.A.1.201) subfamily.  
Contains 2 ABC transmembrane type-1 domains.  
Contains 2 ABC transporter domains.

**Cellular localization**  
Membrane.

**Images**  
Intracellular accumulation of fluorogenic P-glycoprotein substrate hydrolysis product in the presence and absence of the P-glycoprotein inhibitors verapamil and cyclosporin A. Fluorescence was measured 30 minutes after addition of P-glycoprotein substrate.
Dose-response curves for P Glycoprotein inhibition by verapamil and cyclosporin A. Percent activity was calculated for each concentration by comparison to transporter activity in the presence of 100 μM verapamil (positive inhibition control) and vehicle (negative inhibition control).

Fluorescence microscopy showing increased intracellular fluorescence in the presence of verapamil (middle right panel) and cyclosporin A (lower right panel). Cells were cultured overnight on a clear-bottom 96-well plate and exposed to MDR1 substrate for 30 min following 30 min preincubation with either vehicle (1% DMSO), verapamil (100 μM) or cyclosporin A (10 μM). Brightfield and fluorescence images were obtained with a Nikon TE2000 inverted microscope using a 10X Plan Fluor objective. All assays were performed according to the kit protocol using MES-SA/MX2 cells.

Please note: All products are “FOR RESEARCH USE ONLY. NOT FOR USE IN DIAGNOSTIC PROCEDURES”

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