P-glycoprotein

P-glycoprotein (P-gp) also known as multidrug resistance protein 1 (MDR1) is an important protein of the cell membrane that pumps many foreign substances out of cells. More formally, it is an ATP-dependent efflux pump with broad substrate specificity. P-gp is extensively distributed and expressed in the intestinal epithelium where it pumps xenobiotics (such as toxins or drugs) back into the intestinal lumen, in liver cells where it pumps them into bile ducts, in the cells of the proximal tubular of the kidney where it pumps them into urine-conducting ducts, and in the capillary endothelial cells comprising the blood–brain barrier and blood-testis barrier, where it pumps them back into the capillaries. Some cancer cells also express large amounts of P-gp, which renders these cancers multi-drug resistant. P-gp is an ATP-dependent drug efflux pump for xenobiotic compounds with broad substrate specificity. It is responsible for decreased drug accumulation in multidrug-resistant cells and often mediates the development of resistance to anticancer drugs. This protein also functions as a transporter in the blood–brain barrier.
# P-glycoprotein Inhibitors & Modulators

## (20S)-Protopanaxadiol (20-Epiprotopanaxadiol; 20(S)-APPD)  
**Cat. No.: HY-N0797**

**Bioactivity:** protopanaxadiol-type ginseng saponin; apoptosis inducer.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

## Alisol F  
**Cat. No.: HY-N0854**

**Bioactivity:** Alisol F is a natural product.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>96.2%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg</td>
</tr>
</tbody>
</table>

## Biricodar (VX-710)  
**Cat. No.: HY-13574A**

**Bioactivity:** Biricodar (VX-710) is a modulator of **P-glycoprotein** and **MRP-1**; shows effective chemosensitizing activity in multidrug resistant cells.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg, 10 mg, 20 mg</td>
</tr>
</tbody>
</table>

## Dofequidar  
**Cat. No.: HY-17013**

**Bioactivity:** Dofequidar(MS-209) is a novel quinoline compound, which can reverse P-glycoprotein (P-gp)-mediated MDR.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>&gt;98%</td>
<td>Phase 1</td>
<td>5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

## Dofequidar fumarate (MS-209)  
**Cat. No.: HY-17013A**

**Bioactivity:** Dofequidar fumarate(MS-209 fumarate), an orally active quinoline compound, has been reported to overcome MDR by inhibiting ABCB1/P-gp, ABCC1/MDR-associated protein 1, or both.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>99.66%</td>
<td>Phase 1</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

## Elacridar (GF120918; GW0918; GG918; GW120918)  
**Cat. No.: HY-50879**

**Bioactivity:** Elacridar is a potent **P-glycoprotein (Pgp)** and **BCRP** inhibitor.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>98.47%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg</td>
</tr>
</tbody>
</table>

## Elacridar hydrochloride (GF120918A)  
**Cat. No.: HY-50880**

**Bioactivity:** Elacridar Hcl (GF120918, GW0918) is a P-glycoprotein inhibitor, and has been used both in vitro and in vivo as a tool inhibitor of P-glycoprotein (Pgp) to investigate the role of transporters in the disposition of various test molecules.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

## HM30181 (HM30181A)  
**Cat. No.: HY-13646**

**Bioactivity:** HM30181 is a potent and selective inhibitor of **P-glycoprotein**.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>97.03%</td>
<td>Phase 1</td>
<td>10mM x 1mL in DMSO, 10 mg, 5 mg, 50 mg, 100 mg, 200 mg, 500 mg</td>
</tr>
</tbody>
</table>

## HM30181 mesylate (Encequidar mesylate)  
**Cat. No.: HY-13646A**

**Bioactivity:** HM30181 mesylate is a competitive and potent **P-glycoprotein** inhibitor.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

## MCI826 (Biricodar; Incel)  
**Cat. No.: HY-U00247**

**Bioactivity:** MCI826 is a **P-glycoprotein (P-gp)** antagonist.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg, 10 mg, 20 mg</td>
</tr>
</tbody>
</table>
NSC23925  
Cat. No.: HY-19626  
Bioactivity: NSC23925 is a novel, selective and effective P-glycoprotein (Pgp) inhibitor.

Purity: 99.23%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg

Piperine  
(Bioperine; 1-Piperoylpiperidine)  
Cat. No.: HY-N0144  
Bioactivity: Piperine, a natural alkaloid isolated from Piper nigrum L, inhibits P-glycoprotein and CYP3A4 activities with an IC\textsubscript{50} value of 61.94±0.054 μg/mL in HeLa cell.

Purity: 98.76%  
Clinical Data: Phase 2  
Size: 10mM x 1mL in DMSO, 200 mg, 1 g, 5 g

Polyoxymethylene stearate  
(POES)  
Cat. No.: HY-101530  
Bioactivity: Polyoxymethylene stearate (POES) is a non-ionic emulsifying agent.

Purity: >98%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 200 mg, 1 g, 5 g

Risperidone  
(R 64 766)  
Cat. No.: HY-11018  
Bioactivity: Risperidone is a serotonin 5-HT\textsubscript{2} receptor blocker, P-Glycoprotein inhibitor and potent dopamine D\textsubscript{2} receptor antagonist, with K\textsubscript{d} of 4.8, 5.9 nM for 5-HT\textsubscript{2A} and dopamine D\textsubscript{2} receptor, respectively.

Purity: 99.16%  
Clinical Data: Launched  
Size: 10 mg, 50 mg, 100 mg

Sinapine  
Cat. No.: HY-N5077  
Bioactivity: Sinapine is an alkaloid from seeds of the cruciferous species which shows favorable biological activities such as antioxidant and radio-protective activities.

Purity: 99.33%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg

Sinapine thiocyanate  
Cat. No.: HY-N0450  
Bioactivity: Sinapine is an alkaloid from seeds of the cruciferous species which shows favorable biological activities such as antioxidant and radio-protective activities.

Purity: 98.0%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 10 mg, 25 mg, 50 mg, 100 mg, 200 mg

Tariquidar  
(XR9576)  
Cat. No.: HY-10550  
Bioactivity: Tariquidar is a potent and specific inhibitor of P-glycoprotein (P-gp) with the high affinity (K\textsubscript{d}=5.1±0.9 nM).

Purity: 98.57%  
Clinical Data: Phase 3  
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

Tariquidar methanesulfonate, hydrate  
(XR9576)  
Cat. No.: HY-10550A  
Bioactivity: Tariquidar methanesulfonate, hydrate is a potent and specific inhibitor of P-glycoprotein (P-gp) with a K\textsubscript{d} of 5.1 nM.

Purity: 98.02%  
Clinical Data: Phase 3  
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

Valspodar  
(PSC 833)  
Cat. No.: HY-17384  
Bioactivity: Valspodar is a P-glycoprotein (P-gp) inhibitor widely used as overcoming multidrug resistance modulator.

Purity: 99.27%  
Clinical Data: Phase 3  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg

Zamicastat  
Cat. No.: HY-106004  
Bioactivity: Zamicastat is a concentration-dependent dual P-gp and BCRP inhibitor with IC\textsubscript{50} values of 73.8±7.2 μM and 17.0±2.7 μM, respectively.

Purity: >98%  
Clinical Data: No Development Reported  
Size: 500 mg, 250 mg
| **Zosuquidar**  
<table>
<thead>
<tr>
<th>(LY335979)</th>
<th>Cat. No.: HY-15255</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Zosuquidar (LY335979) is a potent negative modulator of P-glycoprotein-mediated multi-drug resistance with Ki of 60 nM. IC50 value: 60 nM (Ki). Target: P-glycoprotein Zosuquidar (LY335979) is a potent modulator of P-glycoprotein-mediated multidrug resistance with Ki of 60 nM. Zosuquidar binds with...</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.33%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 3</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

| **Zosuquidar trihydrochloride**  
| (RS 33295-198 trihydrochloride)  
<table>
<thead>
<tr>
<th>LY-335979 trihydrochloride)</th>
<th>Cat. No.: HY-50671</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Zosuquidar trihydrochloride is an inhibitor of P-glycoprotein with a Ki value of 59 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.75%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 3</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>