Dofequidar fumarate

Cat. No.: HY-17013A
CAS No.: 153653-30-6
Molecular Formula: C₃₄H₃₅N₃O₇
Molecular Weight: 597.66
Target: P-glycoprotein
Pathway: Membrane Transporter/Ion Channel
Storage: Powder
-20°C 3 years
4°C 2 years
In solvent
-80°C 6 months
-20°C 1 month

SOLVENT & SOLUBILITY

In Vitro  
DMSO : 100 mg/mL (167.32 mM; Need ultrasonic)
H₂O : 1 mg/mL (1.67 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>1.6732 mL</td>
<td>8.3660 mL</td>
<td>16.7319 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.3346 mL</td>
<td>1.6732 mL</td>
<td>3.3464 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.1673 mL</td>
<td>0.8366 mL</td>
<td>1.6732 mL</td>
</tr>
</tbody>
</table>

Please refer to the solubility information to select the appropriate solvent.

In Vivo  
1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.18 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.18 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.18 mM); Suspended solution

BIOLOGICAL ACTIVITY

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. IC₅₀ value: Target: P-gpin vitro: MS-209 at 3 microM effectively overcame docetaxel resistance in MDR cancer cells, and this concentration was achieved in blood plasma for > 7 h without serious toxicity [1]. MS-209 restored chemosensitivity of SBC-3 / ADM cells to VP-16, ADM, and VCR in a dose-dependent manner in vitro [2]. Dofequidar inhibits the efflux of chemotherapeutic drugs and
increases the sensitivity to anticancer drugs in CSC-like side population (SP) cells isolated from various cancer cell lines. Dofequidar treatment greatly reduced the cell number in the SP fraction [3]. In 4-1St cells, which are extremely resistant to ADM and VCR, MS-209 at a concentration of 3 microM enhanced the cytotoxicity of ADM and VCR, 88- and 350-fold, respectively [4]. In 4-1St cells, which are extremely resistant to ADM and VCR, MS-209 at a concentration of 3 microM enhanced the cytotoxicity of ADM and VCR, 88- and 350-fold, respectively [4].

**in vivo**: Treatment with docetaxel alone at the maximal tolerated dose (MTD) showed an apparent antitumor activity to an intrinsically resistant HCT-15 tumor xenograft, and MS-209 additionally potentiated the antitumor activity of docetaxel. Against a MCF-7/ADM tumor xenograft expressing larger amounts of P-gp, docetaxel alone at the MTD showed no antitumor activity, whereas the MTD of docetaxel combined with MS-209 greatly reduced MCF-7/ADM tumor growth [1]. Intravenous injection with SBC-3 or SBC-3 / ADM cells produced metastatic colonies in the liver, kidneys and lymph nodes in natural killer (NK) cell-depleted severe combined immunodeficiency (SCID) mice, though SBC-3 / ADM cells more rapidly produced metastases than did SBC-3 cells. Treatment with VP-16 and ADM reduced metastasis formation by SBC-3 cells, whereas the same treatment did not affect metastasis by SBC-3 / ADM cells. Although MS-209 alone had no effect on metastasis by SBC-3 or SBC-3 / ADM cells, combined use of MS-209 with VP-16 or ADM resulted in marked inhibition of metastasis formation by SBC-3 / ADM cells to multiple organs [2].

**REFERENCES**


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**Caution: Product has not been fully validated for medical applications. For research use only.**

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