Dofequidar fumarate

Cat. No.: HY-17013A

CAS No.: 153653-30-6

Molecular Formula: $C_{34}H_{35}N_3O_7$

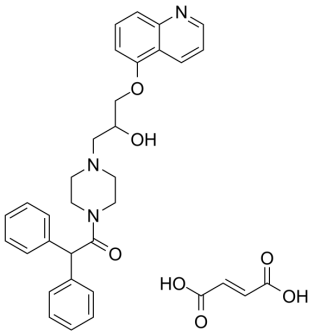
Molecular Weight: 597.66

Target: P-glycoprotein

Pathway: Membrane Transporter/Ion Channel

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (167.32 mM; Need ultrasonic)

H_2O : 1 mg/mL (1.67 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.6732 mL	8.3660 mL	16.7319 mL
5 mM	0.3346 mL	1.6732 mL	3.3464 mL
10 mM	0.1673 mL	0.8366 mL	1.6732 mL

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

Description

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 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].

CUSTOMER VALIDATION

- Am J Transl Res. 2020 May 15;12(5):1807-1823.
- Anticancer Res. 2019 Apr;39(4):1711-1718.

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REFERENCES

[1]. Nakanishi O, et al. Potentiation of the antitumor activity by a novel quinoline compound, MS-209, in multidrug-resistant solid tumor cell lines. Oncol Res. 1997;9(2):61-9.

[2]. Naito M, et al. MS-209, a quinoline-type reversal agent, potentiates antitumor efficacy of docetaxel in multidrug-resistant solid tumor xenograft models. Clin Cancer Res. 2002 Feb;8(2):582-8.

[3]. Nokihara H, et al. A new quinoline derivative MS-209 reverses multidrug resistance and inhibits multiorgan metastases by P-glycoprotein-expressing human small cell lung cancer cells. Jpn J Cancer Res. 2001 Jul;92(7):785-92.

[4]. Katayama R, et al. Dofequidar fumarate sensitizes cancer stem-like side population cells to chemotherapeutic drugs by inhibiting ABCG2/BCRP-mediated drug export. Cancer Sci. 2009 Nov;100(11):2060-8.

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

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