Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Dofequidar (fumarate)

Catalog No: tcsc2041

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
153653-30-6

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

Pathway:
Membrane Transporter/Ion Channel

Target:
P-glycoprotein

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 56 mg/mL (93.70 mM)

Alternative Names:
MS-209

Observed Molecular Weight:
597.66

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Product 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-gp

in 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].