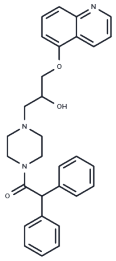
Data Sheet (Cat.No.TQ0043)

TargetMol

Dofequidar

Chemical Properties



CAS No. : 129716-58-1

Formula: C30H31N3O3

Molecular Weight: 481.59

Storage: Keep away from moisture,Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Dofequidar (MS-209 free base) is an orally active quinoline derivative that inhibits the efflux of chemotherapeutic agents and may overcome MDR by inhibiting ABCB1/P-gp, ABCC1/MDR-related protein 1, or both.
Targets(IC50)	Others,P-gp
In vitro	Dofequidar demonstrated significant effects in in vitro experiments, increasing chemosensitivity of SBC-3/ADM cells to VP-16, ADM and VCR in a dose-dependent manner. [1] At a concentration of 3 μM, Dofequidar effectively reversed docetaxel resistance in multidrug-resistant (MDR) cancer cells, while maintaining this concentration in plasma for more than 7 hours without observable toxicity. [2] In the acquired MDR tumor cell lines 2780AD and KB-C1, Dofequidar significantly reduced resistance to adriamycin (ADM) and vincristine (VCR). In addition, Dofequidar significantly enhanced the cytotoxicity of ADM and VCR in several human and mouse cell lines. In particular, in 4-1St cells, which are extremely resistant to ADM and VCR, Dofequidar at a concentration of 3 μM increased the cytotoxicity of ADM and VCR by 88-fold and 350-fold, respectively. [3]
In vivo	In severe combined immunodeficiency (SCID) mice lacking natural killer cells (NK cells), metastatic lesions were observed to form in the liver, kidneys and lymph nodes by intravenous injection of SBC-3 or SBC-3/ADM cells. In contrast, metastasis formation was significantly faster in SBC-3/ADM cells than in SBC-3 cells. The use of VP-16 and ADM had an inhibitory effect on metastasis caused by SBC-3 cells, but not by SBC-3/ADM cells. Although Dofequidar alone did not significantly affect metastasis caused by SBC-3 or SBC-3/ADM cells, it significantly reduced the metastatic burden of SBC-3/ADM cells in multiple organs when combined with VP-16 or ADM. [1] In a xenograft model of inherently resistant HCT-15 tumors, docetaxel alone at the maximum tolerated dose (MTD) demonstrated significant antitumor effects, which were further enhanced in combination with Dofequidar. In an MCF-7/ADM tumor xenograft model expressing large amounts of P-glycoprotein (P-gp), docetaxel at the maximum tolerated dose (MTD) did not exhibit antitumor activity on its own, but its growth inhibitory effect on MCF-7/ADM tumors was significantly enhanced in combination with Dofequidar. [2] Oral administration of Dofequidar in combination with ADM significantly increased the antitumor effect of ADM in subcutaneous transplanted Colon 26 and 4-1St tumor models

In vivo	in mice. The combination of ADM + Dofequidar demonstrated enhanced tumor suppression compared to ADM alone at the maximum tolerated dose (MTD). [3]

Solubility Information

Solubility	DMSO: Soluble, (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0765 mL	10.3823 mL	20.7646 mL
5 mM	0.4153 mL	2.0765 mL	4.1529 mL
10 mM	0.2076 mL	1.0382 mL	2.0765 mL
50 mM	0.0415 mL	0.2076 mL	0.4153 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.

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.

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.

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