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产品名称: **Dofequidar (fumarate)**
产品别名: **MS-209**

生物活性:

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].

Solvent&Solubility

In Vitro:

DMSO : ≥ 56 mg/mL (93.70 mM)

* " $\geq$ " means soluble, but saturation unknown.

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。

储备液的保存方式和期限: -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。

[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



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References

- 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.



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