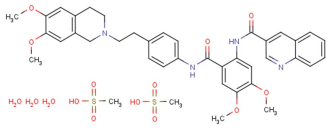
Data Sheet (Cat.No.T13087)

TargetMol
A DRUG SCREENING EXPERT

Tariquidar methanesulfonate, hydrate

Chemical Properties



CAS No.:	625375-83-9
Formula:	C40H52N4O15S2
Molecular Weight:	892.99
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Tariquidar methanesulfonate, hydrate is a potent and specific P-glycoprotein (P-gp) inhibitor(Kd of 5.1 nM).
Targets(IC_{50})	P-gp: (kd)5.1 nM
In vitro	Tariquidar methanesulfonate, hydrate (XR9576 methanesulfonate, hydrate) is a potent P-gp mediated [3H]-Vinblastine modulator and [3H]-Paclitaxel transport as it increases the steady-state accumulation of these cytotoxics in CHrB30 cells to levels observed in non-P-gp-expressing AuxB1 cells with EC50 of 487±50 nM. [3H]-Tariquidar binds to CHrB30 membranes with the highest affinity with Kd of 5.1±0.9 nM, n=7 and a binding capacity (Bmax) of 275±15 pmol/mg membrane protein. In contrast to the parental cell line, the accumulation of [3H]-Vinblastine is increased in a dose-dependent fashion by the modulators XR9576 with EC50 of 487±50 nM. The MDR modulator Tariquidar is able to inhibit 60-70% of the vanadate-sensitive ATPase activity(IC50 of 43±9 nM)[1]. Tariquidar potentiates the cytotoxicity of several drugs including Doxorubicin, Paclitaxel, Etoposide, and Vincristine; complete reversal of resistance is achieved in the presence of 25-80 nM Tariquidar. Tariquidar is a potent inhibitor of photoaffinity labeling of P-gp by [3H]Azidopine implying a direct interaction with the protein[2].
In vivo	In mice with intrinsically resistant MC26 colon tumors, co-administration of hydrated Tariquidar mesylate (XR9576 mesylate, hydrate) can enhance the antitumor activity of doxorubicin without significantly increasing toxicity; maximum potentiation is observed at 2.5-4.0 mg/kg dosed either i.v. or p.o. In addition, coadministration of Tariquidar (6-12 mg/kg p.o.) fully restores the antitumor activity of Paclitaxel, Etoposide, and Vincristine against two highly resistant MDR human tumor xenografts (2780AD, H69/LX4) in nude mice. Tariquidar is found to also significantly potentiate the antitumor activity of doxorubicin against s.c. MC26 tumors in vivo[2].

Solubility Information

Solubility	DMSO: 296 mg/mL (331.47 mM) H2O: 5 mg/mL (5.60 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.12 mL	5.599 mL	11.198 mL
5 mM	0.224 mL	1.12 mL	2.24 mL
10 mM	0.112 mL	0.56 mL	1.12 mL
50 mM	0.022 mL	0.112 mL	0.224 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Martin C, et al. The molecular interaction of the high affinity reversal agent XR9576 with P-glycoprotein. Br J Pharmacol, 1999, 128(2), 403-411.

2. Mistry P, et al. In vitro and in vivo reversal of P-glycoprotein-mediated multidrug resistance by a novel potent modulator, XR9576. Cancer Res, 2001, 61(2), 749-758.

3. Vraka C, et al. A new method measuring the interaction of radiotracers with the human P-glycoprotein (P-gp) transporter. Nucl Med Biol. 2018 Feb 14;60:29-36.

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