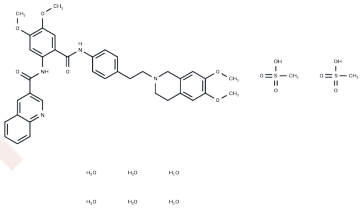
Data Sheet (Cat.No.T13087)

TargetMol

Tariquidar methanesulfonate hydrate

Chemical Properties



CAS No. : 625375-83-9

Formula: C40H58N4O18S2

Molecular Weight: 947.04

Appearance: no data available

Storage: keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Tariquidar methanesulfonate hydrate (XR9576 methanesulfonate hydrate) is a selective and potent P-glycoprotein drug efflux pump inhibitor with potential anticancer activity that induces P-glycoprotein inhibition of the blood-brain barrier in rats.
Targets(IC50)	P-gp
In vitro	Tariquidar methanesulfonate hydrate is a potent P-gp-mediated inhibitor of [^{3}H]-vincristine and [^{3}H]-paclitaxel transport that elevates the accumulation of these drugs in CHrB30 cells to a level similar to that of AuxB1 cells that do not express P-gp (EC50 of 487 nM) . [^{3}H]-Tariquidar methanesulfonate hydrate showed high binding affinity (Kd of 5.1 nM) on CHrB30 membranes and had a maximum binding capacity (Bmax) of 275 pmol/mg membrane protein. Tariquidar methanesulfonate hydrate significantly increased the intracellular accumulation of [^{3}H]-vincristine (EC50 of 487 nM) through a dose-dependent effect compared to its parental cells. In addition, the multidrug resistance modifier Tariquidar methanesulfonate hydrate inhibited 60-70% of vanadate-sensitive ATPase activity with an IC50 of 43 nM. [1]
In vivo	In a mouse model harboring MC26 colon tumors (with intrinsic resistance), combination with Tariquidar methanesulfonate hydrate potentiated the antitumor effects of doxorubicin without a significant increase in toxicity; potentiation was maximal at doses of 2.5-4.0 mg/kg (which can be administered intravenously or orally). The synergistic effect was maximized at a dose of 2.5-4.0 mg/kg (which can be administered intravenously or orally). In addition, oral administration of Tariquidar methanesulfonate hydrate at 6-12 mg/kg completely restored the antitumor activity of paclitaxel, etoposide, and vincristine against two highly resistant MDR human tumor xenograft tumors (2780AD and H69/LX4) in nude mice. It was also shown that in vivo Tariquidar methanesulfonate hydrate significantly potentiated the anticancer effect of doxorubicin against subcutaneous MC26 tumors. [2]

Solubility Information

Solubility	DMSO: 200 mg/mL (211.18 mM),Sonication is recommended. H2O: 4 mg/mL (4.22 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.0559 mL	5.2796 mL	10.5592 mL
5 mM	0.2112 mL	1.0559 mL	2.1118 mL
10 mM	0.1056 mL	0.528 mL	1.0559 mL
50 mM	0.0211 mL	0.1056 mL	0.2112 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.

Reference

Martin C, et al. The molecular interaction of the high affinity reversal agent XR9576 with P-glycoprotein. Br J Pharmacol. 1999 Sep;128(2):403-11.

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 Jan 15;61(2):749-58.

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