

# Tariquidar (methanesulfonate, hydrate)

Catalog No: tcsc1260



## Available Sizes

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

**CAS No:**

625375-83-9

**Formula:**

$C_{40}H_{52}N_4O_{15}S_2$

**Pathway:**

Membrane Transporter/Ion Channel

**Target:**

P-glycoprotein

**Purity / Grade:**

>98%

**Solubility:**

DMSO :  $\geq 296$  mg/mL (331.47 mM)

**Alternative Names:**

XR9576

**Observed Molecular Weight:**

892.99

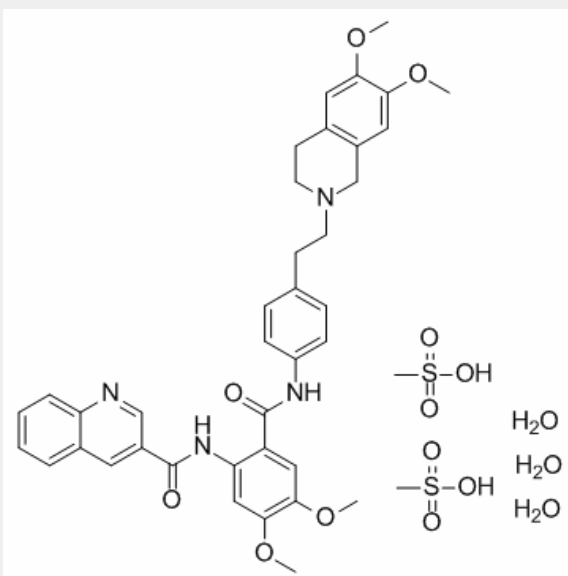
## Product Description

Tariquidar methanesulfonate, hydrate is a potent and specific inhibitor of **P-glycoprotein (P-gp)** with the high affinity ( $K_d=5.1\pm0.9$  nM).

IC<sub>50</sub> & Target: K<sub>d</sub>: 5.1 nM (P-gp)<sup>[1]</sup>

**In Vitro:** Tariquidar (XR9576) methanesulfonate is a potent modulator of P-gp mediated [<sup>3</sup>H]-Vinblastine and [<sup>3</sup>H]-Paclitaxel transport as it increases the steady-state accumulation of these cytotoxics in CH<sup>r</sup>B30 cells to levels observed in non-P-gp-expressing AuxB1 cells (EC<sub>50</sub>=487±50 nM). [<sup>3</sup>H]-Tariquidar binds to CH<sup>r</sup>B30 membranes with the highest affinity ( $K_d=5.1\pm0.9$  nM, n=7) and a binding capacity (B<sub>max</sub>) of 275±15 pmol/mg membrane protein. In contrast to the parental cell line, the accumulation of [<sup>3</sup>H]-Vinblastine is increased in a dose-dependent fashion by the modulators XR9576 (EC<sub>50</sub>=487±50 nM). The MDR modulator Tariquidar is able to inhibit 60-70% of the vanadate-sensitive ATPase activity, with potent IC<sub>50</sub> value of 43±9 nM<sup>[1]</sup>. Tariquidar (XR9576) 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 [<sup>3</sup>H]Azidopine implying a direct interaction with the protein<sup>[2]</sup>.

**In Vivo:** In mice bearing the intrinsically resistant MC26 colon tumors, coadministration of Tariquidar (XR9576) methanesulfonate potentiates the antitumor activity of Doxorubicin without a significant increase in 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<sup>[2]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!