

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 : ≥ 296 mg/mL (331.47 mM)

Alternative Names:

XR9576

Observed Molecular Weight:

892.99

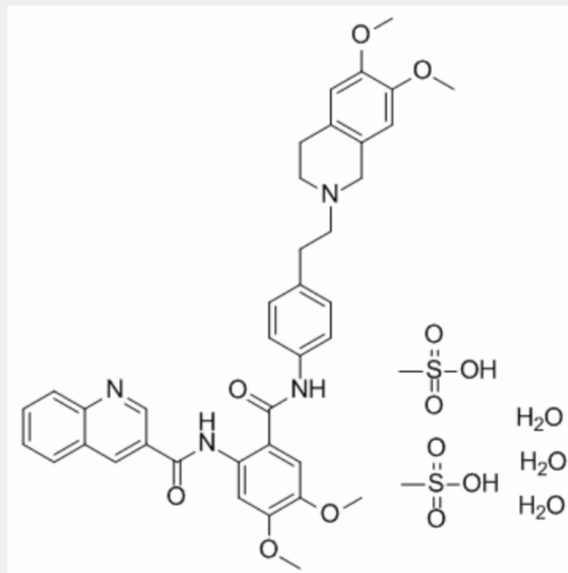
Product Description

Tariquidar methanesulfonate, hydrate is a potent and specific inhibitor of **P-glycoprotein (P-gp)** with the high affinity ($K_d=5.1\pm 0.9$ nM).

IC50 & Target: Kd: 5.1 nM (P-gp)^[1]

In Vitro: Tariquidar (XR9576) methanesulfonate is a potent modulator of P-gp mediated [³H]-Vinblastine and [³H]-Paclitaxel transport as it increases the steady-state accumulation of these cytotoxics in CH^rB30 cells to levels observed in non-P-gp-expressing AuxB1 cells ($EC_{50}=487\pm 50$ nM). [³H]-Tariquidar binds to CH^rB30 membranes with the highest affinity ($K_d=5.1\pm 0.9$ nM, n=7) and a binding capacity (B_{max}) 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 ($EC_{50}=487\pm 50$ nM). The MDR modulator Tariquidar is able to inhibit 60-70% of the vanadate-sensitive ATPase activity, with potent IC_{50} value of 43 ± 9 nM^[1]. 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 [³H]Azidopine implying a direct interaction with the protein^[2].

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^[2].



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