Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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

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Tariquidar methanesulfonate, hydrate is a potent and specific inhibitor of **P-glycoprotein** (**P-gp**) with the high affinity (**K_d**=5.1±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 [^{3}H]-Vinblastine and [^{3}H]-Paclitaxel transport as it increases the steady-state accumulation of these cytotoxics in CH^{r}B30 cells to levels observed in non-P-gp-expressing AuxB1 cells (EC_{50}=487±50 nM). [^{3}H]-Tariquidar binds to CH^{r}B30 membranes with the highest affinity (K_d=5.1±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 [^{3}H]-Vinblastine is increased in a dose-dependent fashion by the modulators XR9576 (EC_{50}=487±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 [^{3}H]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].