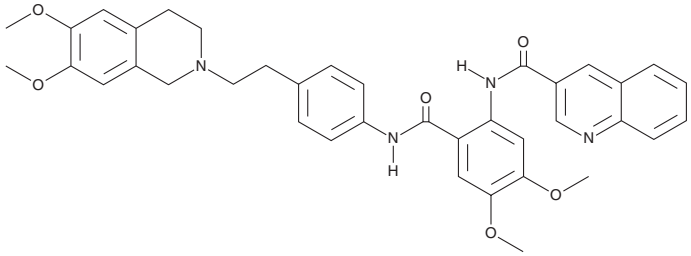
PRODUCT INFORMATION

Tariquidar

Item No. 24180

CAS Registry No.:	206873-63-4
Formal Name:	N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-4,5-dimethoxyphenyl]-3-quinolinecarboxamide
Synonym:	XR9576
MF:	$C_{38}H_{38}N_4O_6$
FW:	646.7
Purity:	≥95%
UV/Vis.:	λ_{max}: 240 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Tariquidar is supplied as a crystalline solid. A stock solution may be made by dissolving the tariquidar in the solvent of choice, which should be purged with an inert gas. Tariquidar is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of tariquidar in these solvents is approximately 2 and 5 mg/ml, respectively.

Tariquidar is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, tariquidar should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Tariquidar has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Tariquidar is an anthranilic acid derivative that binds to P-glycoprotein (K_d = 5.1 nM) and inhibits transport activity.[1] It inhibits transport of vinblastine (Item No. 11762) and paclitaxel (Item No. 10461) in multidrug resistant CH^{r}B30 cells, increasing the steady state accumulation to non-P-glycoprotein-expressing multidrug sensitive cell levels (EC_{50} = 487 nM). Tariquidar also enhances the distribution of its substrates, increasing the amount of substrate entering the CNS.[2] When administered at doses of 2 and 6.25 mg/kg in mice in combination with the peripherally-restricted opioid loperamide, the latency to paw withdrawal in a hot plate assay increases, indicating that loperamide is transported into the CNS.

References

1. Martin, C., Berridge, G., Mistry, P., *et al.* The molecular interaction of the high affinity reversal agent XR9576 with P-glycoprotein. *Br. J. Pharmacol.* **128(2)**, 403-411 (1999).
2. Choo, E.F., Kurnik, D., Muszkat, M., *et al.* Differential *in vivo* sensitivity to inhibition of P-glycoprotein located in lymphocytes, testes, and the blood-brain barrier. *J. Pharmacol. Exp. Ther.* **317(3)**, 1012-1018 (2006).

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