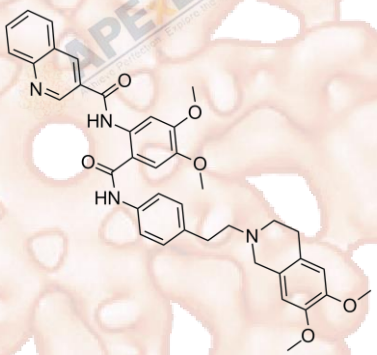
Product Data Sheet

Tariquidar



Cat. No.:	A8208
CAS No.:	206873-63-4
Formula:	C38H38N4O6
M.Wt:	646.73
Synonyms:	XR9576, XR 9576, XR-9576,Tariquidar
Target:	Membrane Transporter/Ion Channel
Pathway:	P-gp
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; insoluble in EtOH; ⩾16.17 mg/mL in DMSO

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.5462 mL	7.7312 mL	15.4624 mL
5 mM	0.3092 mL	1.5462 mL	3.0925 mL
10 mM	0.1546 mL	0.7731 mL	1.5462 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	P-glycoprotein inhibitor,potent and non-competitive
IC_{50} & Target	5.1 nM (Kd) (P-glycoprotein)

In Vitro

Cell Viability Assay

Cell Line:	KB-3-1, KB-8-5-11 (ABCB1-expressing variant), MCF-7, MCF-7/VP16 (ABCC1-expressing variant), H460, H460/MX20 (ABCG2-expressing variant)
Preparation method：	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.

	Reacting conditions:	≥ 100 nM
	Applications:	At concentrations ≥ 100 nM, tariquidar inhibited both P-gp and BCRP but did not inhibit MRP1. Accumulation of the fluorescent substrate calcein-AM in ABCB1-expressing cells treated with 100 nM and 1 μM tariquidar increased 14-fold and 19-fold, respectively. Most P-gp was inhibited at 100 nM. At the same concentrations, tariquidar also increased the accumulation of the fluorescent substrate mitoxantrone in ABCG2-expressing cells by 4-fold (P<0.001) and 8-fold (P<0.001), respectively. These data indicate that tariquidar inhibits both transporters with similar potency because at 100 nM, it restored accumulation to 56% of control for P-gp and 84% of control for BCRP. Tariquidar did not increase accumulation of substrate in ABCC1-expressing cells.
In Vivo	**Animal experiment**	
	Animal models:	NMRI nu/nu mice
	Dosage form:	Oral administration, 0.1 ml/10 g of body weight
	Applications:	The ABCB1 modulator tariquidar affects the distribution of paclitaxel in nude mice. In the brains, Co-application of tariquidar with paclitaxel led to a comparable increase in the brain concentration of the cytostatic by a factor of 2.5-to 6.7. In liver, no statistically significant differences were determined between the different ABCB1 modulator group and the control group. In the kidneys, the paclitaxel content in kidney decreased to achieve concentrations similar to those in the untreated control group.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Mohammadpour R, Safarian S, Buckway B, Ghandehari H. "Comparative EndocytosisMechanisms and Anticancer Effect of HPMA Copolymer- and PAMAM Dendrimer-MTCPConjugates for Photodynamic Therapy." Macromol Biosci. 2016 Oct 25.PMID:27779358
2. Sajja, Ravi K., and Luca Cucullo. "Altered glycaemia differentially modulates efflux transporter expression and activity in hCMEC/D3 cell line." Neuroscience letters 598 (2015): 59-65.PMID:25982326

See more customer validations on www.apexbt.com.

References

[1] Kannan P, Telu S, Shukla S, et al. The “specific” P-glycoprotein inhibitor tariquidar is also a substrate and an inhibitor for breast cancer resistance protein (BCRP/ABCG2). ACS chemical neuroscience, 2010, 2(2): 82-89.
[2] Hubensack M, Müller C, Höcherl P, et al. Effect of the ABCB1 modulators elacridar and tariquidar on the distribution of paclitaxel in nude mice. Journal of cancer research and clinical oncology, 2008, 134(5): 597-607.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

APExBIO Technology

www.apexbt.com

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com