Product Data Sheet

Chemical Properties

Product Name: Tariquidar

Cas No.: 206873-63-4

M.Wt: 646.73

Formula: C38H38N4O6

Synonyms: XR9576, XR 9576, XR-9576, Tariquidar

Chemical Name: N-[2-[[4-[[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)ethyl]phenyl]carbamoyl]-4,5-dimethoxyphenyl]quinoline-3-carboxamide

Canonical SMILES: COC1=C(C=C2CN(CCC2=C1)CCC3=CC=C(C=C3)NC(=O)C4=CC(=C(C=C4NC(=O)C5=CC6=CC=CC=C6N=C5)OC)OC)OC

Solubility: ≥16.168mg/mL in DMSO

Storage: Store at -20°C

General tips: For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: Membrane Transporter/Ion Channel

Pathways: P-gp

Description:
Tariquidar is a potent inhibitor of P-glycoprotein (Pgp), a 170-kDa transmembrane protein acting as a drug efflux pump to actively transport structurally unrelated compounds out of cells, that noncompetitively inhibits the basal the activity of ATPase associated with Pgp. Tariquidar contains a tertiary amine, dimethoxyphenyl group and amide group in its chemical structure,
which contribute to its inhibition against Pgp. Results of in vitro assays of three different models have shown that Tariquidar inhibits Pgp with 50% inhibition concentration IC50 values ranging from 15 to 223 nM. However, the inhibition by tariquidar is Pgp-specific and attenuated in tumor cell lines, where multidrug resistance is mediated by multidrug resistance-associated protein.

Reference:

Protocol

Cell experiment:

Cell lines
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.

Animal experiment [3]:
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.

Reference:

Product Citations

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.