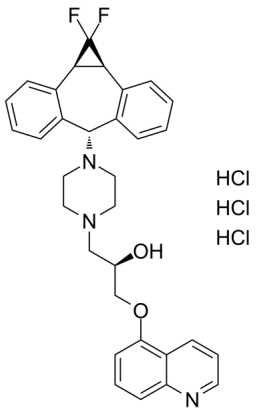
https://www.purduebio.com

Certificate of Analysis

Catalog Number	BP16204
Product Name	Zosuquidar trihydrochloride

Physical and Chemical Properties

Synonyms	RS 33295-198 (D06387) 3HCl, Zosuquidar (LY335979) 3HCl, LY-335979 trihydrochloride, RS 33295-198 trihydrochloride, Zosuquidar 3HCl
CAS No.	167465-36-3
Chemical Formula	C32H34Cl3F2N3O2
Molecular Weight	636.99
Solubility	DMSO: 31.9 mg/mL (50 mM)
Storage	Powder: -20°C for 2 years In solvent: -80°C for 1 year
Chemical Structure OR Tested Image	

Product Information

Description	Zosuquidar (LY335979) is a potent modulator of P-glycoprotein-mediated multi-drug resistance with Ki of 60 nM. Phase 3.
Targets&IC50	P-gp:60 nM(Ki)
In vitro	LY335979 competitively inhibits equilibrium binding of [3H]vinblastine to Pgp by blocking [3H]azidopine photoaffinity labeling of the Pgp in CEM/VLB100 plasma membranes. LY335979 alone shows the cytotoxicity to drug-sensitive and MDR cell lines with IC50 ranging from 6 μM-16 μM and produces its ability to completely reverse the resistance of the oncolytics (vinblastine, doxorubicin, or etoposide) to the MDR cell lines P388/ADR, MCF7/ADR, 2780AD, or UCLA-P3.003VLB at concentration of 0.1 and 0.5 μM. LY335979 significantly restores drug sensitivity in P-gp-expressing leukemia cell lines including K562/HHT40, K562/HHT90, K562/DOX and HL60/DNR, and enhances the cytotoxicity of anthracyclines (daunorubicin, idarubicin, mitoxantrone) and gemtuzumab ozogamicin (Mylotarg) in primary AML blasts with active P-gp. A latest paper indicates that LY335979 completely inhibits apically directed transport of (Z)-endoxifen in the ABCB1-transduced cells.
In vivo	Zosuquidar trihydrochloride is only moderately active as an inhibitor of P-gp at the blood-brain. An oral dose of 25 mg/kg of zosuquidar trihydrochloride increases the brain concentrations by about 2.5-fold at 1 h and 5-fold at 24 h after paclitaxel administrationbarrier. Zosuquidar enhances the brain uptake of nelfinavir in a dose-dependent manner. Brain tissue/plasma nelfinavir concentration ratios increase from 0.06±0.03 in the absence of zosuquidar administration and 0.09±0.02 between 2 and 6 h after a 2 mg/kg intravenous dose of zosuquidar to 0.85±0.19 after 6h and 1.58±0.67 after 20 mg/kg zosuquidar.

Analytical Data

HPLC	Shows Min >99% purity
H-NMR	Consistent with structure
Stability and Solubility Advice	Information on product stability, especially in solution, has rarely been reported and in most cases we can only provide a general guideline. We recommend that once the stock solution has been prepared, it be stored in equal quantities in sealed vials and used within 1 month. Avoid repeated freezing and thawing cycles. Storage conditions for some special products should be referred to their storage details.

Purdue Bioscience Inc.

750 50th St, Brooklyn, NY 11220, USA

https://www.purduebio.com

1-877.618.7311

info@purduebio.com

v2 Revision on 12/28/2022