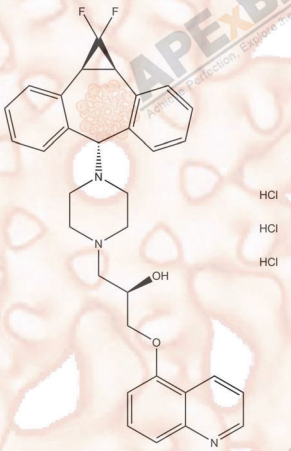
Product Data Sheet

LY335979 (Zosuquidar 3HCL)



Cat. No.: A8549

CAS No.: 167465-36-3

Formula: C32H34Cl3F2N3O2

M.Wt: 637.0

Synonyms: RS 33295-198; Zosuquidar trihydrochloride; LY335979; LY-335979

Target: Membrane Transporter/Ion Channel

Pathway: P-gp

Storage: Store at -20°C

Solvent & Solubility

In Vitro

⩾17.1mg/mL in DMSO

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	1.5699 mL	7.8493 mL	15.6986 mL
5 mM	0.3140 mL	1.5699 mL	3.1397 mL
10 mM	0.1570 mL	0.7849 mL	1.5699 mL

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

Biological Activity

Shortsummary	Pgp (P-glycoprotein) inhibitor
IC_{50} & Target	60 nM(Ki) (P-gp)

In Vitro

Cell Viability Assay

Cell Line:	CEM/VLB100, MCF-7/ADR, 2780AD, P388/ADR and UCLA-P3.003VLB cells
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:	0.1 ~ 2 μM

	Applications:	LY335979 was an effective modulator, with maximal activity in reversing the sensitivity of resistant cells to the various anticancer drugs (Vinblastine, Doxorubicin, Btoposide and Taxol) at the concentrations of 0.1 ~ 2 μM. At the concentration of 0.05 μM, the modulator activity of LY335979 was diminished by about 50%.
In Vivo	**Animal experiment**	
	Animal models:	Nude mice bearing UCLA-P3.003VLB tumor cells
	Dosage form:	30 mg/kg; i.p.
	Applications:	In a Pgp-expressing human non-small cell lung carcinoma xenograft model, the combination therapy of 20 mg/kg Taxol and 30 mg/kg LY335979 significantly suppressed solid tumor growth at days 12 and 19. In addition, no increased weight loss was observed.
	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. Morad SA, Davis TS, et al. "Role of P-glycoprotein inhibitors in ceramide-basedtherapeutics for treatment of cancer." Biochem Pharmacol. 2017 Apr 15;130:21-33.PMID:28189725

See more customer validations on www.apexbt.com.

References

[1]. Dantzig, A.H., et al., Reversal of P-glycoprotein-mediated multidrug resistance by a potent cyclopropyldibenzosuberane modulator, LY335979. Cancer Res, 1996. 56(18): p. 4171-9.

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.

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