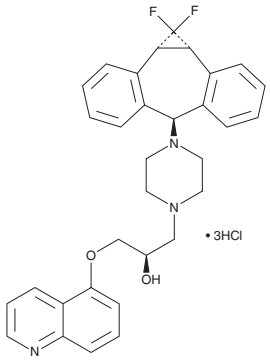
PRODUCT INFORMATION

Zosuquidar (hydrochloride)

Item No. 21533

CAS Registry No.:	167465-36-3
Formal Name:	(αR)-4-[(1aα,6α,10bα)-1,1-difluoro-1,1a,6,10b-tetrahydrodibenzo[a,e]cyclopropa[c]cyclohepten-6-yl]-α-[(5-quinolinyloxy)methyl]-1-piperazineethanol, trihydrochloride
Synonyms:	LY335979, RS 33295-198
MF:	$C_{32}H_{31}F_2N_3O_2$ • 3HCl
FW:	637.0
Purity:	≥98%
UV/Vis.:	λ_{max}: 212, 239, 304 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

Zosuquidar (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the zosuquidar (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Zosuquidar (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of zosuquidar (hydrochloride) in these solvents is approximately 10 mg/ml.

Zosuquidar (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, zosuquidar (hydrochloride) should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Zosuquidar (hydrochloride) has a solubility of approximately 0.33 mg/ml in a 1:2 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Zosuquidar is a modulator of P-glycoprotein (P-gp; K_i = 59 nM).[1] It restores the sensitivity of P-gp-expressing cancer cells to vinblastine (Item No. 11762), doxorubicin (Item No. 15007), etoposide (Item No. 12092), and paclitaxel (Item No. 10461) when used at 0.1 µM.[1] It less potently inhibits cytochrome P450 (CYP) isoforms CYP3A, CYP2D6, and CYP2C9 (K_is = 3.8, 25, and 12 µM, respectively).[2] Zosuquidar does not modulate multidrug resistance-associated protein 1 (MRP-1). Zosuquidar significantly enhances the efficacy of chemotherapeutics in mice implanted with P-gp-expressing tumors.[1] Through its effects on P-gp, zosuquidar enhances the distribution of P-gp substrates through the blood-brain barrier into the brain.[3,4]

References

1. Dantzig, A.H., Shepard, R.L., Cao, J., *et al. Cancer Res.* **56(18)**, 4171-4179 (1996).
2. Dantzig, A.H., Shepard, R.L., Law, K.L., *et al. J. Pharmacol. Exp. Ther.* **290(2)**, 854-862 (1999).
3. Choo, E.F., Leake, B., Wandel, C., *et al. Drug Metab. Dispos.* **28(6)**, 655-660 (2000).
4. Liu, F., Wang, X., Li, Z., *et al. Chem. Pharm. Bull. (Tokyo)* **63(7)**, 512-518 (2015).

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