

Zosuquidar (trihydrochloride)

Catalog No: tcsc0275



Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

167465-36-3

Formula:

$C_{32}H_{34}Cl_3F_2N_3O_2$

Pathway:

Membrane Transporter/Ion Channel

Target:

P-glycoprotein

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Alternative Names:

RS 33295-198 trihydrochloride;LY-335979 trihydrochloride

Observed Molecular Weight:

636.99

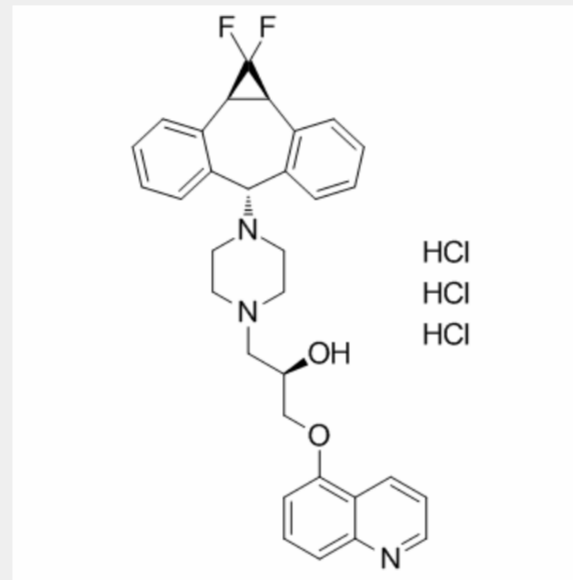
Product Description

Zosuquidar trihydrochloride is an inhibitor of **P-glycoprotein** with a **K_i** value of 59 nM.

IC50 & Target: Ki: 59nM (P-glycoprotein)^[1].

In Vitro: Zosuquidar completely or partially restores drug sensitivity in all P-gp-expressing leukemia cell lines and enhances the cytotoxicity of anthracyclines (daunorubicin, idarubicin, mitoxantrone) and gemtuzumab ozogamicin (Mylotarg) in primary AML blasts with active P-gp. In addition, P-gp inhibition by zosuquidar is found to be more potent than cyclosporine A in cells with highly active P-gp^[2].

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 administration^[3]. 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^[4].



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