Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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

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Zosuquidar trihydrochloride is an inhibitor of **P-glycoprotein** with a $\mathbf{K_i}$ 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 administrationbarrier[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].