# Zosuquidar

**Cat. No.:** HY-15255

**CAS No.:** 167354-41-8

**Molecular Formula:** $C_{32}H_{31}F_2N_3O_2$

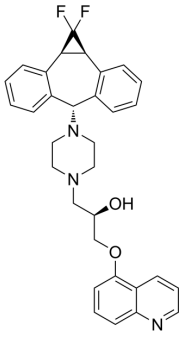
**Molecular Weight:** 527.6

**Target:** P-glycoprotein

**Pathway:** Membrane Transporter/Ion Channel

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 6 months |
| | -20°C | 1 month |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 4.55 mg/mL (8.62 mM; ultrasonic and warming and adjust pH to 2 with 1M HCl and heat to 80°C)

| Preparing Stock Solutions | Mass / Solvent / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 1.8954 mL | 9.4769 mL | 18.9538 mL |
| | 5 mM | 0.3791 mL | 1.8954 mL | 3.7908 mL |
| | 10 mM | --- | --- | --- |

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

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 0.46 mg/mL (0.87 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 0.46 mg/mL (0.87 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 0.45 mg/mL (0.85 mM); Clear solution; Need ultrasonic

## BIOLOGICAL ACTIVITY

**Description**

Zosuquidar (LY335979) is a P-glycoprotein (P-gp) inhibitor ($K_i$=59 nM). Zosuquidar shows anti-tumor activities, and can be used in acute myelogenous leukemia (AML) research[1][2][3].

**In Vitro**

Zosuquidar (0.3 μM; 48 h) treatment enhances the cytotoxicity of DNR (substrates for P-glycoproteins) in P-glycoproteins active cell lines[2].

Zosuquidar (5-16 μM; 72 h) treatment alone shows high cytotoxic concentration to drug-sensitive and MDR cell lines[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cytotoxicity Assay[2]

| | |
|---|---|
| Cell Line: | K562 and HL60 cells |
| Concentration: | 0.3 μM |
| Incubation Time: | 48 hours |
| Result: | Enhanced the cytotoxicity of DNR (substrates for P-glycoproteins) in K562/DOX cells more than 45.5-fold. |

Cell Cytotoxicity Assay[1]

| | |
|---|---|
| Cell Line: | CCRF-CEM, CEM/VLB100, P388, P388/ADR, MCF7, MCF7/ADR, 2780, 2780AD, UCLA-P3, UCLA-P3.003VLB cells |
| Concentration: | 5-16 μM |
| Incubation Time: | 72 hours |
| Result: | Showed $IC_{50}$s of 6, 7, 15, 8, 7, 15, 11, 16, >5, >5 μM for CCRF-CEM, CEM/VLB100, P388, P388/ADR, MCF7, MCF7/ADR, 2780, 2780AD, UCLA-P3, UCLA-P3.003VLB cells, respectively. |

**In Vivo**

Zosuquidar (intraperitoneal injection; 30, 10, 3, or 1 mg/kg; once daily; 5 d) treatment shows a significant increase in life span[1].
Zosuquidar (intraperitoneal injection; 30 mg/kg; once daily; 5 d) treatment shows the potentiation with a combined of Doxorubicin[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| | |
|---|---|
| Animal Model: | Mice implanted with P388/ADR tumors[1] |
| Dosage: | 30, 10, 3, or 1 mg/kg |
| Administration: | Intraperitoneal injection; 30, 10, 3, or 1 mg/kg; once daily; 5 days |
| Result: | Exihibited a significantly increased survival compared to the group treated with Doxorubicin alone (P<0.001). |

| | |
|---|---|
| Animal Model: | Mice implanted with P388 or P388/ADR murine leukemia cells[1] |
| Dosage: | 30 mg/kg |
| Administration: | Intraperitoneal injection; 30 mg/kg; once daily; 5 days |
| Result: | Observed significant antitumor activity against the MDR P388/ADR cell lines when mice were treated with a combined dose of 30 mg/kg LY335979 and 1 mg/kg Doxorubicin (P=0.1). |

## CUSTOMER VALIDATION

- Cancer Cell. 2017 Apr 10;31(4):501-515.e8.
- Antiviral Res. 2021 Jun 28;105124.

- Blood Adv. 2020 Oct 27;4(20):5062-5077.
- Biomed Pharmacother. 2020 Sep;129:110506.
- Pharmaceutics. 2021, 13(4), 559.

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## REFERENCES

[1]. A H Dantzig, et al. Reversal of P-glycoprotein-mediated multidrug resistance by a potent cyclopropyldibenzosuberane modulator, LY335979. Cancer Res. 1996 Sep 15;56(18):4171-9.

[2]. Ruoping Tang, et al. Zosuquidar restores drug sensitivity in P-glycoprotein expressing acute myeloid leukemia (AML). BMC Cancer. 2008 Feb 13;8:51.

[3]. Larry D Cripe, et al. Zosuquidar, a novel modulator of P-glycoprotein, does not improve the outcome of older patients with newly diagnosed acute myeloid leukemia: a randomized, placebo-controlled trial of the Eastern Cooperative Oncology Group 3999. Blood. 2010 Nov 18;116(20):4077-85.



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