

Product Specification Sheet

LY335979 (Zosuquidar) **Product Name:**

Catalog Number: C5335

Technical information:

 $C_{32}H_{31}F_2N_3O_2._3HCI$ Chemical Formula:

> CAS #: 167465-36-3

Molecular Weight: 636.99

Purity: > 98%

Appearance: Light Yellow solid

Solubility: Soluble in DMSO up to 100mM

Chemical Name: (2R)-1-{4-[(1aR,6r,10bS)-1,1-Difluoro-1,1a,6,10b-tetrahydrodibenzo[a,e]cyclopropa[c]cyclohepten-

6-yl]piperazin-1-yl}-3-(quinolin-5-yloxy)propan-2-ol, trihydrochloride

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months

under proper storage condition.

Handling: • To make 10 mM stock solution, add 0.157mL of DMSO for each mg of LY335979 (Zosuquidar)

• For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum

sample recovery.

Biological Activity:

LY335979 is a cyclopropyldibenzosuberane-based P-glycoprotein modulator for which Pgp has an affinity at 59 nM. At 100 nM, LY335979 sensitizes a number of Pgp-expressing resistant cell lines to oncolytics. [1] LY335979 does not affect multidrug resistance-associated protein 1 or 2, and has a lower affinity for CYP3A than Pgp.

LY335979

(Zosuguidar)

In primary acute myeloid leukemia blasts with active Pgp, LY335979 completely or partially resotred drug sensitivity in cell lines tested and enhanced the cytotoxicity of anthracyclines such as daunorubicin, idarubicin, mitoxantrone; additionally, LY335979 was found to be more potent than cyclosporine A in cells with highly-active Pgp. [2]

In coadministration studies with popular anticancer agents such as Doxorubicin and etoposide, LY335979 was shown to have no negative effect on drug pharmacokinetics. [3]

- Reference: 1. Dantzig et al., Selectivity of the multidrug resistance modulator, LY335979, for P-glycoprotein and effect on cytochrome P-450 activities. J. Pharma. Exp. Ther. 1999, 290(2), 854-862. Pubmed ID: 10411602
 - 2. Tang et al., Zosuquidar restores drug sensitivity in P-glycoprotein expressing acute myeloid leukemia (AML). BMC Cancer 2008, 8, 51-60. Pubmed ID: 18271955
 - 3. Dantzig et al., Reversal of P-glycoprotein-mediated multidrug resistance by a potent cyclopropyldibenzosuberane modulator, LY335979. Cancer Res. 1996, 56, 4171-4179. Pubmed ID: 8797588

http://www.cellagentech.com/LY335979-Zosuquidar/ To reorder:

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