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

A-385358

Catalog No: tcsc0006108

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
406228-55-5

Formula:
$C_{32}H_{41}N_5O_5S_2$

Pathway:
Apoptosis

Target:
Bcl-2 Family

Purity / Grade:
>98%

Solubility:
DMSO : 125 mg/mL (195.36 mM; Need ultrasonic and warming)

Observed Molecular Weight:
639.83

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Product Description

A-385358 is a selective inhibitor of **Bcl-X_L** with **K_i**s of 0.80 and 67 nM for **Bcl-X_L** and **Bcl-2**, respectively.

IC50 & Target: Ki: 0.80 nM (Bcl-X_L), 67 nM (Bcl-2)

In Vitro: A-385358 is a selective inhibitor of Bcl-X_L with K_is of 0.80 and 67 nM for Bcl-X_L and Bcl-2, respectively, in fluorescence polarization assays. Treatment of IL-3-deprived FL5.12/Bcl-X_L cells for 24 hours with A-385358 results in cell killing with an EC_{50} of 0.47±0.05 μM (n=68). This effect is accompanied by an increase in caspase-3 activity. Consistent with the greater affinity for the Bcl-X_L versus Bcl-2 hydrophobic grooves, the EC_{50} of A-385358 for IL-3-depleted FL5.12/Bcl-2 cells (1.9±0.1 μM; n=55) is 4-fold higher relative to the cytokine-deprived FL5.12/Bcl-X_L cells. In addition, A-385358 is more effective at stimulating cytochrome c release from mitochondria isolated from FL5.12/Bcl-X_L versus Bcl-2 cells[1].

In Vivo: The combination of A-385358 given at 100 mg/kg/d plus the lower dose of paclitaxel produces a significant reduction in tumor growth (%T/C) compare with paclitaxel monotherapy. This combination also yields a >100% increase in time for tumors to reach 900 mm^3 (%ILS) compare with vehicle control. Maximal efficacy is observed during the dosing period for A-385358, with slow but steady increase in the tumor growth after termination of treatment. The combination of A-385358 at 75 mg/kg/d plus paclitaxel at 30 mg/kg/d is also well tolerated and inhibits tumor growth rate by nearly 80%. Significant effects on tumor growth relative to paclitaxel monotherapy are observed with doses as low as 50 mg/kg/d[1].