Web: www.taiclone.com
Tel: +886-2-2735-9682
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SM-164 Hydrochloride

Catalog No: tcsc0041048

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

Formula:
$C_{62}H_{85}ClN_{14}O_6$

Pathway:
Apoptosis

Target:
IAP

Purity / Grade:
>98%

Solubility:
H2O : ≥ 106 mg/mL (91.55 mM)

Observed Molecular Weight:
1157.88

Product Description

SM-164 Hydrochloride is a cell-permeable Smac mimetic compound. SM-164 binds to **XIAP** protein containing both the BIR2 and BIR3 domains with an **IC_{50}** value of 1.39 nM and functions as an extremely potent antagonist of **XIAP**.

IC50 & Target: IC50: 1.39 nM (XIAP)[1]

Ki: 0.56 nM to (XIAP), 0.31 nM to (cIAP-1), 1.1 nM (cIAP-2)[2]

In Vitro:

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SM-164 is a non-peptide, cell-permeable, bivalent small-molecule, which mimics Smac protein for targeting XIAP. SM-164 binds to XIAP containing both BIR domains with an IC_{50} value of 1.39 nM, being 300 and 7000-times more potent than its monovalent counterparts and the natural Smac AVPI peptide, respectively. SM-164 concurrently interacts with both BIR domains in XIAP and functions as an ultra-potent antagonist of XIAP in both cell-free functional and cell-based assays. SM-164 targets cellular XIAP and effectively induces apoptosis at concentrations as low as 1 nM in leukemia cancer cells, while having a minimal toxicity to normal human primary cells at 10,000 nM[1]. The binding affinities of SM-164 to XIAP, cIAP-1, and cIAP-2 proteins are determined using fluorescence-polarization based assays. SM-164 has a K_i value of 0.56 nM to XIAP protein containing both BIR2 and BIR3 domains. SM-164 has a K_i value of 0.31 nM to cIAP-1 protein containing both BIR2 and BIR3 domains. SM-164 binds to cIAP-2 BIR3 protein with K_i values of 1.1 nM. Addition of exogenous TNFα can significantly enhance the activity of these Smac mimetics, especially for SM-164, in resistant cancer cell lines such as HCT116 and MDA-MB-453[2].

In Vivo: SM-164 is evaluated for its ability to inhibit tumor growth. SM-164 is highly effective in inhibition of tumor growth and capable of achieving tumor regression in the MDA-MB-231 xenograft model. Treatment with SM-164 at 1 mg/kg completely inhibits tumor growth during the treatment. Treatment with SM-164 at 5 mg/kg reduces the tumor volume from 147±54 mm^3 at the beginning of the treatment (day 25) to 54±32 mm^3 at the end of the treatment (day 36), a reduction of 65%. The strong antitumor activity by SM-164 is long lasting and not transient. SM-164 at 5 mg/kg is statistically more effective than Taxotere at the end of the treatment (P3 (P[2].