

# SM-164

**Catalog No: tcsc2993**



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

**CAS No:**

957135-43-2

**Formula:**

$C_{62}H_{84}N_{14}O_6$

**Pathway:**

Apoptosis

**Target:**

IAP; Apoptosis

**Form:**

White to light yellow (Solid)

**Purity / Grade:**

98.42%

**Solubility:**

DMSO : 25 mg/mL (22.29 mM; Need ultrasonic)

**Storage Instruction:**

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

**Alternative Names:**

Pyrrolo[1,2-a]azocine-3-carboxamide, N,N'-[1,4-phenylenebis[4,1-butanediyl-1H-1,2,3-triazole1,4-diyl[(S)-phenylmethylene]]]bis[decahydro-6-[[[(2S)-2-(methylamino)-1-oxopropyl]amino]-5-oxo-, (3S,3'S,6S,6'S,10aS,10'aS)-

**Observed Molecular Weight:**

1121.42

**References**

[1]. Sun H, et al. Design, synthesis, and characterization of a potent, nonpeptide, cell-permeable, bivalent Smac mimetic that concurrently targets both the BIR2 and BIR3 domains in XIAP. J Am Chem Soc. 2007 Dec 12;129(49):15279-94. [2]. Lu J, et al. SM-164: a novel, bivalent Smac mimetic that induces apoptosis and tumor regression by concurrent removal of the blockade of cIAP-1/2 and XIAP. Cancer Res. 2008 Nov 15;68(22):9384-93.

**Product Description**

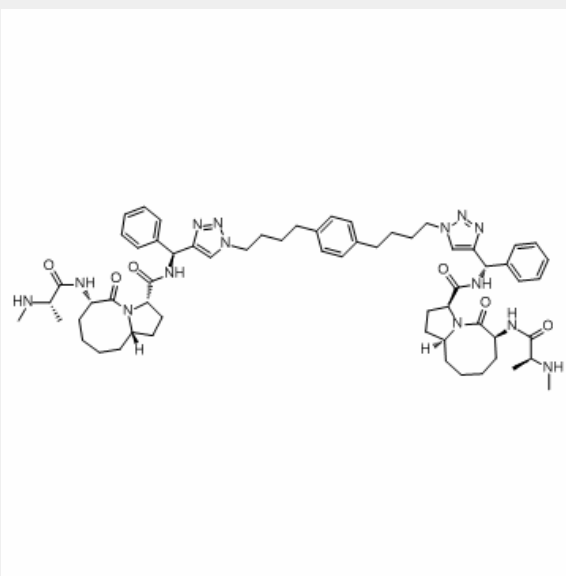
SM-164 is a cell-permeable Smac mimetic compound. SM-164 binds to **XIAP** protein containing both the BIR2 and BIR3 domains with an **IC<sub>50</sub>** value of 1.39 nM and functions as an extremely potent antagonist of **XIAP**.

IC50 & Target: IC50: 1.39 nM (XIAP)<sup>[1]</sup>

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

**In Vitro:** 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<sub>50</sub> 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<sup>[1]</sup>. 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<sub>i</sub> value of 0.56 nM to XIAP protein containing both BIR2 and BIR3 domains. SM-164 has a K<sub>i</sub> 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<sub>i</sub> 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<sup>[2]</sup>.

**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<sup>3</sup> at the beginning of the treatment (day 25) to 54±32 mm<sup>3</sup> 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]).



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!