Web: www.taiclone.com
Tel: +886-2-2735-9682
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(Z) -SMI-4a

Catalog No: tcsc1001

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
438190-29-5

Formula:
$C_{11}H_6F_3NO_2S$

Pathway:
JAK/STAT Signaling

Target:
Pim

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
273.23

Product Description

(Z)-SMI-4a is a selective ATP-competitive Pim-1 kinase inhibitor with an IC50 of 21 nM for Pim-1 compared to an IC50 of 100 nM for Pim-2 and with little or no activity against a panel of 50 other kinases tested.

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IC50 value: 21 nM (Pim1); 100 nM (Pim2) [1]

Target: Pim-1

in vitro: Incubation of pre-T-LBL cells with (Z)-SMI-4a induced G1 phase cell-cycle arrest secondary to a dose-dependent induction of p27(Kip1), apoptosis through the mitochondrial pathway, and inhibition of the mammalian target of rapamycin C1 (mTORC1) pathway based on decreases in phospho-p70 S6K and phospho-4E-BP1, 2 substrates of this enzyme. In addition, treatment of these cells with (Z)-SMI-4a was found to induce phosphorylation of extracellular signal-related kinase1/2 (ERK1/2), and the combination of (Z)-SMI-4a and a mitogen-activated protein kinase kinase 1/2 (MEK1/2) inhibitor was highly synergistic in killing pre-T-LBL cells [1]. Ectopic expression of phosphomimetic mutants of eIF4B conferred resistance to apoptosis by the Pim kinase inhibitor (Z)-SMI-4a in Abl-transformed cells [2].

in vivo: In immunodeficient mice carrying subcutaneous pre-T-LBL tumors, treatment twice daily with (Z)-SMI-4a caused a significant delay in the tumor growth without any change in the weight, blood counts, or chemistries [1].