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

CX-6258

Catalog No: tcsc1529

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
1202916-90-2

Formula:
$C_{26}H_{24}ClN_3O_3$

Pathway:
JAK/STAT Signaling

Target:
Pim

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
461.94

Product Description

CX-6258 is a potent, orally efficacious Pim 1/2/3 kinase(IC50=5 nM/25 nM/16 nM) inhibitor with excellent biochemical potency and kinase selectivity.

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IC50 Value: 5 nM/25 nM/16 nM (Pim 1/2/3) [1]

Target: pan-Pim

in vitro: CX-6258 inhibited Flt-3 and Pim-3 (IC50=0.134 and 0.016 uM). At 0.5 uM of CX-6258, only Pim-1, Pim-2, Pim-3, and Flt-3 of the 107 kinases tested were inhibited by more than 80%, showing excellent selectivity. CX-6258 was also shown to be a reversible inhibitor of Pim-1 (Ki=0.005 uM). CX-6258 showed robust antiproliferative potencies against all cell lines tested derived from human solid tumors and hematological malignancies. In mechanistic cellular assays with MV-4-11 human AML cells, (13) caused dose-dependent inhibition of the phosphorylation of 2 pro-survival proteins, Bad and 4E-BP1, at the Pim kinase specific sites S112 and S65 and T37/46, respectively[1]. Pim-1 inhibition using the small molecule inhibitor CX-6258 (12 mM, 3 h) diminishes endogenous NKX3.1 steady state levels in 22RV1 and LNCaP cells. CX-6258 treatment (12 mM, 3 h) treatment diminished steady-state levels of ectopic NKX3.1 in PC3 cells. CX-6258 treatment resulted in a significant reduction in NKX3.1 half-life. While ectopically expressed NKX3.1 in control cells had a half-life of _90 min, Pim-1 inhibition reduced the half-life to _52 min [2].

in vivo: CX-6258 showed dose-dependent efficacy in mice bearing MV-4-11 xenografts, with 45% and 75% TGI at 50 and 100 mg/kg/day, respectively. Treatment of mice bearing PC3 xenografts with CX-6258 p.o. 50 mg/kg was also well tolerated and produced 51% TGI.