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

Danusertib

Catalog No: tcsc0152

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
827318-97-8

Formula:
$C_{26}H_{30}N_6O_3$

Pathway:
Cell Cycle/DNA Damage;Epigenetics;Autophagy

Target:
Aurora Kinase;Aurora Kinase;Autophagy

Purity / Grade:
>98%

Solubility:
DMSO : 7.5 mg/mL (15.80 mM; Need ultrasonic and warming)

Alternative Names:
PHA-739358

Observed Molecular Weight:
474.55

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Product Description

Danusertib is a pyrrolo-pyrazole and **aurora kinase** inhibitor with **IC_{50}** of 13, 79, and 61 nM for Aurora A, B, and C, respectively.

IC50 & Target: IC50: 13 nM (Aurora A), 79 nM (Aurora B), 61 nM (Aurora C)[1]

In Vitro: Danusertib (0.01 to 50 μM) significantly decreases viability of C13 and A2780cp cells. The IC_{50}s are 10.40 and 1.83 μM for C13 cells, and 19.89 and 3.88 μM for A2780cp cells after 24- and 48-h treatment. Danusertib induces cell cycle arrest in G2/M phase in C13 and A2780cp cells. Danusertib treatment results in a marked increase in the percentage of cells arrested in G2/M phase and an accumulation of polyploidy in C13 and A2780cp cells. Danusertib demotes the expression of CDK1/CDC2 and cyclin B1 but promotes the expression of p21 Waf1/Cip1, p27 Kip1, and p53. Danusertib induces autophagy in C13 and A2780cp cells with the involvement of PI3K/Akt/mTOR signaling pathway[1]. PHA-739358 strongly inhibits proliferation of all leukemic cell lines tested, with IC_{50} values ranging from 0.05 μM to 3.06 μM. PHA-739358 induces antiproliferative effects in BaF3-p210 cells, including IM-resistant M351T, E255K, and T315I mutants. PHA-739358 (5 μM) reduces phosphorylation of CrkL in BaF3-p210 wt cells and IM-resistant mutants[2]. Danusertibsertib leads to cell-cycle arrest and completely inhibits cell proliferation of the GEP-NET cells in vitro[3].

In Vivo: PHA-739358 (15 mg/kg twice a day, i.p.) and IM are well tolerated, and significantly inhibit proliferation of K562 cells andvirtually suppressed tumor growth during the 10-day treatment period[2]. In a subcutaneous murine xenograft model, danusertibsertib (2×15 mg/kg/d, i.p.) significantly reduces tumor growth in vivo compared with controls or mice treated with streptozotocine/5-fluorouracil[3].