

# 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

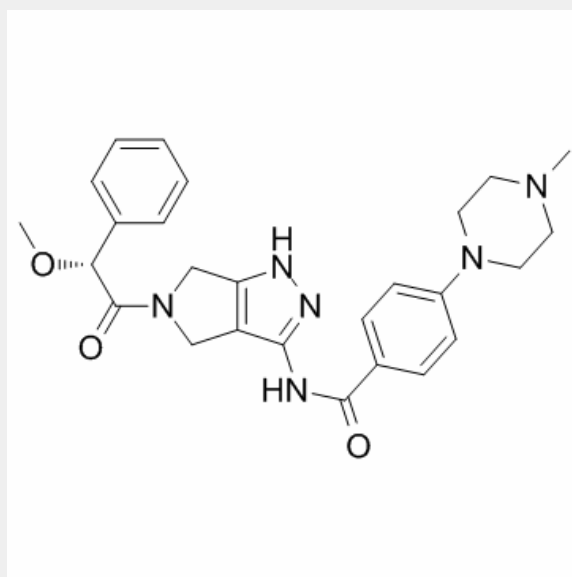
## Product Description

Danuserib is a pyrrolo-pyrazole and **aurora kinase** inhibitor with **IC<sub>50</sub>** 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)<sup>[1]</sup>

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

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



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