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

Tozasertib

Catalog No: tcsc0007

Available Sizes

Size: 50mg

Size: 100mg

Size: 250mg

Size: 500mg

Size: 1g

Specifications

CAS No:
639089-54-6

Formula:
$C_{23}H_{28}N_8OS$

Pathway:
Cell Cycle/DNA Damage;Epigenetics;Autophagy

Target:
Aurora Kinase;Aurora Kinase;Autophagy

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 106.67 mg/mL (229.60 mM)

Alternative Names:
MK-0457;VX 680

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Observed Molecular Weight:
464.59

Product Description

Tozasertib is the inhibitor of **Aurora-A, -B, -C kinases** with $\mathbf{K_i}$ values of 0.6, 18, 4.6 nM, respectively.

IC50 & Target: Ki: 0.6 nM (Aurora A), 18 nM (Aurora B), 4.6 nM (Aurora C)[1]

In Vitro: Tozasertib induces similar cytotoxicity with IC_{50} of approximately 300 nM and exhibits an AUR B-like inhibitory phenotype of G2/M arrest, endoreduplication and apoptosis in BaF3 cells transfected with ABL or FLT-3 (mutant and wild type) kinases. Tozasertib prevents the CAL-62 proliferation in a time-dependent manner. Tozasertib treatment for 14 days significantly decreases the number and size of colonies by approximately 70% in the 8305C and 90% in the CAL-62, 8505C and BHT-101. Treatment of the different ATC cells with Tozasertib inhibits proliferation with the IC_{50} between 25 and 150 nM. The Tozasertib significantly impairs the ability of the different cell lines to form colonies in soft agar. Analysis of caspase-3 activity indicates that Tozasertib induces apoptosis in the different cell lines. CAL-62 cells exposed for 12 hours to Tozasertib show an accumulation of cells with ≥ 4N DNA content. Time-lapse analysis demonstrates that Tozasertib-treated CAL-62 cells exit metaphase without dividing. Moreover, histone H3 phosphorylation is abrogated following Tozasertib treatment[2]. Tozasertib has significant inhibitory activity against BCR-Abl bearing the T315I mutation in patient-derived samples[3].