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

Barasertib-HQPA

Catalog No: tcsc0163

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
722544-51-6

Formula:
$C_{26}H_{30}FN_7O_3$

Pathway:
Cell Cycle/DNA Damage;Epigenetics

Target:
Aurora Kinase;Aurora Kinase

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 22 mg/mL (43.34 mM)

Alternative Names:
AZD2811; INH-34; AZD1152-HQPA

Observed Molecular Weight:
507.56

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Product Description

AZD1152-HQPA is a highly selective **Aurora B** inhibitor with **IC_{50}** of 0.37 nM in a cell-free assay, and appr 3700 fold more selective for Aurora B over Aurora A.

IC50 & Target: IC50: 0.37 nM (Aurora B)[2]

In Vitro: AZD1152 displays >3000-fold selectivity for Aurora B as compared with Aurora A which has an IC_{50} of 1.368 μM. AZD1152 has even less activity against 50 other serine-threonine and tyrosine kinases including FLT3, JAK2, and Abl. AZD1152 inhibits the proliferation of hematopoietic malignant cells such as HL-60, NB4, MOLM13, PALL-1, PALL-2, MV4-11, EOL-1, THP-1, and K562 cells with IC_{50} of 3-40 nM, displaying appr 100-fold potency than another Aurora kinase inhibitor ZM334739 which has IC_{50} of 3-30 μM. AZD1152 inhibits the clonogenic growth of MOLM13 and MV4-11 cells with IC_{50} of 1 nM and 2.8 nM, respectively, as well as the freshly isolated imatinib-resistant leukemia cells with IC_{50} values of 1-3 nM, more significantly compared with bone marrow mononuclear cells with IC_{50} values of >10 nM. AZD1152 induces accumulation of cells with 4N/8N DNA content, followed by apoptosis in a dose- and time-dependent manner[2]. AZD1152-HQPA treatment induces defective cell survival, polyploidy, and cell death in LNCaP cell line. AZD1152-HQPA also decreases expression of AR[3].

In Vivo: AZD1152 (10-150 mg/kg/day) significantly inhibits the growth of a variety of human solid tumor xenografts, including colon, breast, and lung cancers, in a dose-dependent manner[1]. Administration of AZD1152 (25 mg/kg) alone markedly suppresses the growth of MOLM13 xenografts, confirmed by the observation of necrotic tissue with infiltration of phagocytic cells[2].