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

AZD1152

Catalog No: tcsc0978

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
722543-31-9

Formula:
$C_{26}H_{31}FN_7O_6P$

Pathway:
Cell Cycle/DNA Damage;Epigenetics

Target:
Aurora Kinase;Aurora Kinase

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 33 mg/mL (56.17 mM)

Alternative Names:
Barasertib

Observed Molecular Weight:
587.54

Product Description

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AZD1152 is a pro-drug of Barasertib-hQPA, which is a highly selective **Aurora B** inhibitor with **IC_{50}** of 0.37 nM in a cell-free assay.

IC50 & Target: IC50: 0.37 nM (Aurora B)

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[1]. AZD1152 causes significant accumulation of cells with 4N/8N DNA content in KMS12 and U266 and induces apoptosis in KMS18 and U266. AZD1152 in combination with DEX, has negative effects on cell viability in comparison with single agent in PMI8226, KMS11 and U266[3].

In Vivo: 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[1]. In addition, 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[2]. AZD1152 (25 mg/kg/day) treatment reduces xenograft levels such that they are slightly lower levels than after the first round of treatment, but this is not statistically significant indicating that residual cells might be more resistant to a second cycle of AZD1152 [4].