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

KW-2449

Catalog No: tcsc0231

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1000669-72-6

Formula:
$C_{20}H_{20}N_4O$

Pathway:
Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK;Cell Cycle/DNA Damage;Epigenetics;Protein Tyrosine Kinase/RTK

Target:
Bcr-Abl;FLT3;Aurora Kinase;Aurora Kinase;FGFR

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 50 mg/mL (150.42 mM)

Observed Molecular Weight:
332.4

Product Description

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

KW-2449 is a multi-targeted kinase inhibitor of **FLT3**, **ABL**, **ABL^{T315I}** and **Aurora kinase** with **IC_{50}**s of 6.6, 14, 4 and 48 nM, respectively.

IC50 & Target: IC50: 6.6 nM (FLT3), 14 nM (ABL), 4 nM (ABL^{T315I}), 48 nM (Aurora kinase)[1]

In Vitro: KW-2449 shows growth inhibitory activities against FLT3/ITD-, FLT3/D835Y-, and wt-FLT3/FL-expressing 32D cells, MOLM-13 and MV4;11 with GI_{50} values of 0.024, 0.046, 0.014, 0.024, and 0.011 μM, respectively. KW-2449 suppresses the phosphorylations of FLT3 (P-FLT3) and its downstream molecule phospho-STAT5 (P-STAT5) in MOLM-13 cells in a dose-dependent manner. KW-2449 increases the percentage of cells in the G1 phase of the cell cycle and reciprocally reduced the percentage of cells in the S phase, resulting in the increase of apoptotic cell population[1].

In Vivo: Oral administration of KW-2449 shows dose-dependent and significant tumor growth inhibition in FLT3-mutated xenograft model with minimum bone marrow suppression. In FLT3 wild-type human leukemia, it induces the reduction of phosphorylated histone H3, G2/M arrest, and apoptosis. In imatinib-resistant leukemia, KW-2449 contributes to release of the resistance by the simultaneous down-regulation of BCR/ABL and Aurora kinases. Furthermore, the antiproliferative activity of KW-2449 is confirmed in primary samples from AML and imatinib-resistant patients. The inhibitory activity of KW-2449 is not affected by the presence of human plasma protein, such as α1-acid glycoprotein[1].