

## KW-2449

**Catalog No: tcsc0231**



### Available Sizes

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**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



### Specifications

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**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 :  $\geq 50$  mg/mL (150.42 mM)

**Observed Molecular Weight:**

332.4

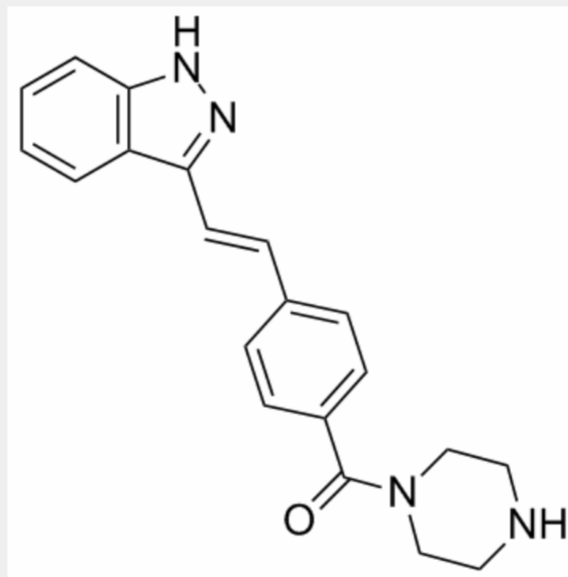
### Product Description

KW-2449 is a multi-targeted kinase inhibitor of **FLT3**, **ABL**, **ABL<sup>T315I</sup>** and **Aurora kinase** with **IC<sub>50</sub>s** of 6.6, 14, 4 and 48 nM, respectively.

IC50 & Target: IC50: 6.6 nM (FLT3), 14 nM (ABL), 4 nM (ABL<sup>T315I</sup>), 48 nM (Aurora kinase)<sup>[1]</sup>

**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<sub>50</sub> 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<sup>[1]</sup>.

**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<sup>[1]</sup>.



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