

# SB1317

**Catalog No: tcsc0884**



## Available Sizes

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**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

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**CAS No:**

937270-47-8

**Formula:**

$C_{23}H_{24}N_4O$

**Pathway:**

Cell Cycle/DNA Damage;Protein Tyrosine Kinase/RTK;Epigenetics;Stem Cell/Wnt;JAK/STAT Signaling

**Target:**

CDK;FLT3;JAK;JAK;JAK

**Purity / Grade:**

>98%

**Solubility:**

DMSO : 26.5 mg/mL (71.15 mM; Need ultrasonic and warming)

**Alternative Names:**

TG02

**Observed Molecular Weight:**

372.46

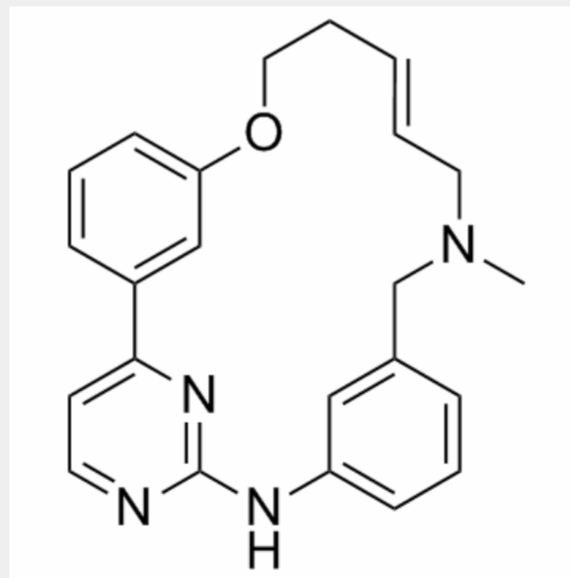
## Product Description

SB1317 is a potent inhibitor of **CDK2**, **JAK2**, and **FLT3** for the treatment of cancer, with **IC<sub>50</sub>** of 13, 73, and 56 nM for CDK2, JAK2 and FLT3, respectively.

IC50 & Target: IC50: 13 nM (CDK2), 73 nM (JAK2), 56 nM (FLT3)<sup>[1]</sup>

**In Vitro:** SB1317 has a highly novel kinase inhibitory spectrum inhibiting 17 kinases from a panel of 63, 11 of which are CDK/JAK/FLT family members. The others, Lck, Fyn, Fms, TYRO3, ERK5, and p38 $\delta$ , are implicated in inflammatory and proliferative processes. Human CYP1A2, 3A4, 2C9, and 2C19 isoforms are not inhibited by SB1317 at the highest tested concentration of 25  $\mu$ M, but SB1317 inhibits CYP2D6 with  $IC_{50}$ =0.95  $\mu$ M, approximately at the plasma  $C_{max}$  observed at the maximum tolerated dose. SB1317 inhibits cell proliferation concentrations in HCT-116 ( $IC_{50}$ =0.079  $\mu$ M) and HL-60 ( $IC_{50}$ =0.059  $\mu$ M)<sup>[1]</sup>. SB1317 is a novel small molecule potent CDK/JAK2/FLT3 inhibitor. SB1317 is mainly metabolized by CYP3A4 and CYP1A2 in vitro. SB1317 does not inhibit any of the major human CYPs in vitro except CYP2D6 ( $IC_{50}$ =1  $\mu$ M). SB1317 does not significantly induce CYP1A and CYP3A4 in human hepatocytes in vitro<sup>[2]</sup>.

**In Vivo:** Treatment with SB1317 at 75 mg/kg po q.d. 3 $\times$ /week significantly inhibits the growth of tumors with a mean TGI of 82%, while the lower dose of 50 mg/kg po 3 $\times$ /week is marginally effective. Treatment with SB1317 using either regime significantly inhibits the growth of tumors with mean TGIs of 42% and 63% for the oral and ip delivery methods, respectively<sup>[1]</sup>. In pharmacokinetic studies SB1317 shows moderate to high systemic clearance (relative to liver blood flow), high volume of distribution (>0.6 L/kg), oral bioavailability of 24%, ~4 and 37% in mice, rats and dogs, respectively; and extensive tissue distribution in mice<sup>[2]</sup>.



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