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

SB1317

Catalog No: tcsc0884

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

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

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Product Description

SB1317 is a potent inhibitor of **CDK2**, **JAK2**, and **FLT3** for the treatment of cancer, with **IC_{50}** of 13, 73, and 56 nM for CDK2, JAK2 and FLT3, respectively.

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

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 p38δ, 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 μM, but SB1317 inhibits CYP2D6 with IC_{50}=0.95 μM, approximately at the plasma C_{max} observed at the maximum tolerated dose. SB1317 inhibits cell proliferation concentrations in HCT-116 (IC_{50}=0.079 μM) and HL-60 (IC_{50}=0.059 μM)[1]. SB1317 is a novel small molecule potent CDK/JAK2/FLT3 inhibitor. SB1317 is mainly metabolized by CYP3A4 and CY1A2 in vitro. SB1317 does not inhibit any of the major human CYPs in vitro except CYP2D6 (IC_{50}=1 μM). SB1317 does not significantly induce CYP1A and CYP3A4 in human hepatocytes in vitro[2].

In Vivo: Treatment with SB1317 at 75 mg/kg po q.d. 3×/week significantly inhibits the growth of tumors with a mean TGI of 82%, while the lower dose of 50 mg/kg po 3×/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[1]. 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[2].