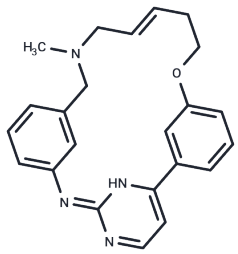
Data Sheet (Cat.No.T2653)

TargetMol

SB1317

Chemical Properties



CAS No. : 1204918-72-8

Formula: C23H24N4O

Molecular Weight: 372.46

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	SB1317 (TG02) is a potent inhibitor of cyclin dependant kinases (CDKs), Janus kinase 2 (JAK2), and Fms-like tyrosine kinase-3 (FLT3).
Targets(IC50)	FLT,CDK,JAK
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 IC50=0.95 μM, approximately at the plasma Cmax observed at the maximum tolerated dose. SB1317 inhibits cell proliferation concentrations in HCT-116 (IC50=0.079 μM) and HL-60 (IC50=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 (IC50=1 μM). SB1317 does not significantly induce CYP1A and CYP3A4 in human hepatocytes in vitro[2].
Kinase Assay	The recombinant enzymes (CDK2/cyclin A, JAK2, and FLT3) are used. All assays are carried out in 384-well white microtiter plates using the PKLight assay system. This assay platform is a luminometric assay for the detection of ATP in the reaction using a luciferase-coupled reaction. The compounds are tested at eight concentrations prepared from 3- or 4-fold serial dilution starting at 10 μM. For CDK2/cyclin A assay, the reaction mixture consisted of the following components in 25 μL of assay buffer (50 mM Hepes, pH 7.5, 10 mM MgCl2, 5 mM MnCl2, 5 mM BGP, 1 mM DTT, 0.1 mM sodium orthovanadate), 1.4 μg/mL of CDK2/cyclin A complex, 0.5 μM RbING substrate, and 0.5 μM ATP. The mixture is incubated at room temperature for 2 h. Then 13 μL of PKLight ATP detection reagent is added and the mixture is incubated for 10 min. Luminescence signals are detected on a multilabel plate reader. The analytical software Prism 5.0 is used to generate IC50 values from the data[1].
Cell Research	SB1317 is prepared in DMSO and stored, and then diluted with appropriate medium before use[1]. All cell lines are obtained from the American Type Culture Collection and cultured. For proliferation assays in 96-well plates, 20 000 cells are seeded in 100 μL of medium and treated the following day with compounds (e.g., SB1317) (in triplicate) at concentrations up to 10 μM for 48 h. Cell viability is monitored using the CellTiter-96

Cell Research	Aqueous One solution cell proliferation assay. Dose-response curves are plotted to determine IC50 values for the compounds using the XL-fit software[1].

Solubility Information

Solubility	DMSO: 10 mM,Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.37 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6849 mL	13.4243 mL	26.8485 mL
5 mM	0.537 mL	2.6849 mL	5.3697 mL
10 mM	0.2685 mL	1.3424 mL	2.6849 mL
50 mM	0.0537 mL	0.2685 mL	0.537 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

William AD, et al. Discovery of kinase spectrum selective macrocycle (16E)-14-methyl-20-oxa-5,7,14,26-tetraazatetracyclo[19.3.1. 1(2,6).1(8,12)]heptacosa-1(25),2(26),3,5,8(27),9,11,16,21,23-decaene (SB1317/TG02), a potent inhibitor of cyclin dependent kina

Shin Y H, Kim D E, Yu K L, et al.A Novel Time-Resolved Fluorescence Resonance Energy Transfer Assay for the Discovery of Small-Molecule Inhibitors of HIV-1 Tat-Regulated Transcription.International Journal of Molecular Sciences.2023, 24(11): 9139.

Pasha MK, et al. Preclinical metabolism and pharmacokinetics of SB1317 (TG02), a potent CDK/JAK2/FLT3 inhibitor. Drug Metab Lett. 2012 Mar;6(1):33-42.

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