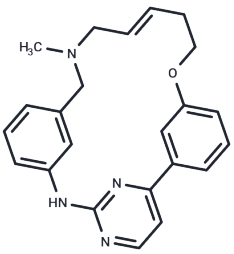
Data Sheet (Cat.No.T4227)

TargetMol

SB1317 hydrochloride (1204918-72-8(free base))

Chemical Properties



CAS No. :

Formula: C23H25ClN4O

Molecular Weight: 408.92

Storage: 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 hydrochloride (1204918-72-8(free base)) (TG-02 hydrochloride) is an effective inhibitor of CDK2/JAK2/FLT3 (IC50: 13/73/56 nM).
Targets(IC50)	FLT,CDK,JAK
In vitro	SB1317 (25 μM) has no inhibition of Human CYP1A2, 3A4, 2C9, and 2C19 isoforms, but has inhibition of CYP2D6 (IC50: 0.95 μM). SB1317 inhibits cell proliferation of HCT-116 (IC50: 0.079 μM) and HL-60 (IC50: 0.059 μM)[1]. 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). CYP1A and CYP3A4 are mainly expressed in human hepatocytes in vitro.
In vivo	SB1317 (75 mg/kg/day, p.o., 3×/week) markedly inhibits the growth of tumors with a mean TGI of 82%, while the lower dose (50 mg/kg/day, p.o., 3×/week) is marginally effective. Treatment with SB1317 using either regime significantly inhibits the growth of tumors with mean TGIs of 42% (p.o.) and 63% (i.p.), 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.

Solubility Information

Solubility	DMSO: 60 mg/mL (146.73 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4455 mL	12.2273 mL	24.4547 mL
5 mM	0.4891 mL	2.4455 mL	4.8909 mL
10 mM	0.2445 mL	1.2227 mL	2.4455 mL
50 mM	0.0489 mL	0.2445 mL	0.4891 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

Pasha MK, et al. PreClinicalal 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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