Data Sheet (Cat.No.T1901)

TargetMol

(E/Z)-TG003

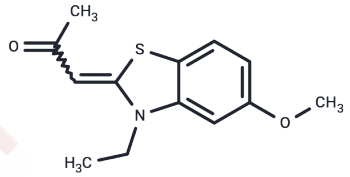
Chemical Properties

CAS No. : 300801-52-9

Formula: C13H15NO2S

Molecular Weight: 249.33

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	(E/Z)-TG003 is a potent and ATP-competitive inhibitor of Cdc2-like kinase (Clk).
Targets(IC50)	Bcl-2 Family,CDK
In vitro	At a concentration of 10 μM, TG003 is capable of ameliorating embryonic defects in Xenopus laevis induced by excessive Clk activity within the organism.
In vivo	TG003 inhibits the splicing of heteronuclear RNA of IL-1β, thereby blocking the production of IL-1β RNA in platelets. During the differentiation process of 3T3-L1 adipocytes, TG003 also obstructs the alternative splicing of PKCβII and the expression of PPARγ1 and PPARγ2. Furthermore, it inhibits the in vitro SF2/ASF-dependent splicing of human β-globin by suppressing the phosphorylation mediated by Clk1/Sty. TG003 inhibits the kinase activity of Clk1/Sty in mammalian cells without exhibiting toxic effects on the growth of HeLa and COS-7 cells at a concentration of 10 μM.
Kinase Assay	In Vitro Kinase Assay : Kinase activity of Clks and SRPKs is assayed in a reaction mixture, containing 200 mM Tris-HCl (pH 7.5), 12.5 mM MgCl2, 8 mM dithiothreitol, 4 mM EGTA, 1–20 μM ATP, 1 μCi of [γ-32P]ATP, 1 μg of synthetic peptide of SF2/ASF RS domain (NH2-RSPSYGRSRSRSRSRSRSRSNSRSRSY-OH), and 0.1–1 μg of purified kinases in a final volume of 40 μL. cAMP-dependent protein kinase activity is assayed in a reaction mixture containing 80 mM Tris-HCl (pH 7.5), 12.5 mM MgCl2, 8 mM dithiothreitol, 4 mM EGTA, 10 μM ATP, 1 μCi of [γ-32P]ATP, 5 μg of histone H1, and 1 μg of catalytic subunit of rat cAMP-dependent protein kinase purified. Protein kinase C activity is assayed in a reaction mixture containing 200 mM Tris-HCl (pH 7.5), 12.5 mM MgCl2, 1 mM CaCl2, 80 μg/mL phosphatidylserine, 8 μg/mL diolein, 10 μM ATP, 1 μCi of [γ-32P]ATP, 5 μg of histone H1, and 2 μL of partially purified rat protein kinase C. The final concentration of Me2SO is adjusted to 1% regardless of inhibitor concentration. The reaction mixture is incubated at 30 or 25 °C for mammalian or Xenopus recombinant proteins, respectively, for 10 min, and a half-portion is spotted on P81 phosphocellulose membrane. The kinase assay conditions, including the incubation period and concentration of kinases and substrates, are optimized to maintain the linearity during incubation. The membrane is washed with 5% phosphoric acid solution (SF2/ASF RS domain) or 5% trichloroacetic solution (histone H1) at least over 15 min. The radioactivity is measured using a liquid scintillation counter. The net radioactivity is deduced by subtracting the background count from the reaction mixture without kinase, and the data are expressed

Kinase Assay	as the percentage to the control sample containing the solvent.
Cell Research	2 × 105 HeLa cells or 1.5 × 105 COS-7 cells resuspended in 2 mL of medium are plated on 6-well dishes, and 2 μL of 10 mM TG003 dissolved in Me2SO (final concentration at 10 μM), or 2 μL of Me2SO, is added to some wells. Cells are trypsinized, and the density is counted every 24 h for 3 days. Cells are then fixed with 1 mL of ice-cold 70% ethanol, washed with PBS, incubated in 1 ml of PBS containing 1 μg/mL DNase-free RNase A and 50 μg/mL propidium iodide for 20 min at 37 °C, and proceeded to cell cycle analysis by FACSCalibur.(Only for Reference)

Solubility Information

Solubility	DMSO: 6 mg/mL (24.06 mM),Heating is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.0107 mL	20.0537 mL	40.1075 mL
5 mM	0.8021 mL	4.0107 mL	8.0215 mL
10 mM	0.4011 mL	2.0054 mL	4.0107 mL
50 mM	0.0802 mL	0.4011 mL	0.8021 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

Muraki M, et al. J Biol Chem. 2004, 279(23), 24246-24254.
Brown GT, et al. J Immunol. 2011, 186(9), 5489-5496.
Li P, et al. PLoS One. 2013, 8(1), e53268.