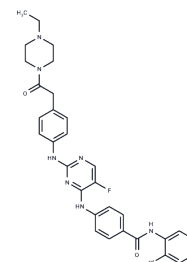


TCS7010

Chemical Properties

CAS No. : 1158838-45-9
 Formula: C₃₁H₃₁ClFN₇O₂
 Molecular Weight: 588.07
 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	TCS7010 (Aurora A Inhibitor I) is a novel, potent, and selective inhibitor of Aurora A with IC ₅₀ of 3.4 nM in a cell-free assay. It is 1000-fold more selective for Aurora A than Aurora B.
Targets(IC ₅₀)	Apoptosis,Aurora Kinase
In vitro	TCS7010 is a 2,4-dianilinopyrimidine that selectively and potently inhibits Aurora A. TCS7010 effectively inhibits the proliferation of HCT116 and HT29 cells, with IC ₅₀ of 190 nM and 2.9 μM, respectively. The Aurora A selectivity of TCS7010 against Aurora B depends on a single amino acid (Thr217) of Aurora A. [1] In KCL-22 cells, TCS7010 (1-5 μM) increases G ₂ /M cell fraction, induces histone H3 serine 10 phosphorylation, and suppresses mitotic Aurora A autophosphorylation on Thr288. TCS7010 (0.5-5 μM) also suppresses cell proliferation in KCL-22 cells, as well as BCR-ABL-negative leukemia cell lines KG-1 and HL-60. TCS7010 effectively induces apoptosis in KCL-22 cells at 5 μM. [2] In a recent study, TCS7010 is also found to inhibit cell growth of HCT116, HT29, and HeLa cells, with IC ₅₀ of 377.6 nM, 5.6 μM, and 416 nM. [3]
Kinase Assay	Auroras A and B Inhibition Assays: Both Auroras A and B are assayed in ELISA format using a GST fusion (pGEX-4T) of the N-terminus of Histone H3 (aa 1?18) as substrate. Plates are coated with 2 μg/mL substrate in PBS then blocked with 1 mg/mL I-block in PBS. Kinase reactions are run for 40 min with 5 ng/mL (0.16 nM) Aurora A or 45 ng/mL (1.1 nM) Aurora B at 30 μM ATP (~ Km) in kinase buffer. Final DMSO concentration is 4%. Product is detected by incubation with antiphosphohistone H3 (Ser10) 6 g3 mouse monoclonal antibody and sheep-anti-mouse HRP conjugate, followed by washing and addition of TMB substrate. After quenching with 1 M phosphoric acid, plates are read at 450 nM.
Cell Research	Cells are seeded in 384-well plates on day 0 in 50 μL of complete medium and incubated overnight in a 5% CO ₂ atmosphere at 37 °C. On day 1, 10 μL of Aurora A Inhibitor I is added. On day 4, plates are allowed to reach room temperature, and 30 μL Cell Titer-Glo reagent is added to each well to measure total ATP levels. Plates are read after shaking 15 min at room temperature.(Only for Reference)

Solubility Information

A DRUG SCREENING EXPERT

Solubility	DMSO: 55 mg/mL (93.53 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 2.5 mg/mL (4.25 mM), Sonication is recommended. <i>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.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7005 mL	8.5024 mL	17.0048 mL
5 mM	0.3401 mL	1.7005 mL	3.401 mL
10 mM	0.170 mL	0.8502 mL	1.7005 mL
50 mM	0.034 mL	0.170 mL	0.3401 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

Aliagas-Martin I, et al. J Med Chem, 2009, 52(10), 3300-3307.

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Rawson TE, et al. J Med Chem, 2008, 51(15), 4465-4475.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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