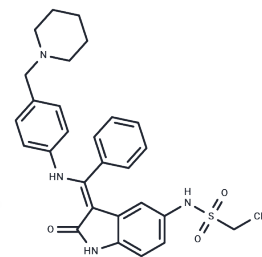


Hesperadin

Chemical Properties

CAS No. :	422513-13-1
Formula:	C ₂₉ H ₃₂ N ₄ O ₃ S
Molecular Weight:	516.65
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	Hesperadin (IC ₅₀ =250 nM) effectively inhibits Aurora B. It potently reduces the activity of AMPK, MAPKAP-K1, MKK1, Lck, CHK1 and PHK, but it could not inhibit MKK1 activity in vivo.
Targets (IC ₅₀)	Parasite, Aurora Kinase, Autophagy, Influenza Virus
In vitro	In HeLa cells, Hesperadin causing defects in mitosis and cytoplasmic division, leading to cell proliferation and polyploidization stopped, because of Aurora B function inhibition during chromosome connection. Addition of 20-100 nM Hesperadin leading to loss of phosphorylation of the mitogenic histone H3 at the Ser10 site. When Hesperadin concentration was 1 μM, other kinases (AMPK, Lck, MKK1, MAPKAP-K1, CHK1, and PHK) activity were significantly reduced. In an in vitro kinase assay, Hesperadin (IC ₅₀ = 40 nM) blocked recombinant tryptophan histone H3 phosphorylation by T. brucei Aurora kinase-1 (TbAUK1) from the pathogenic Trypanosoma brucei. Hesperadin (IC ₅₀ = 48 nM) significantly inhibited the growth of cultured infectious blood form (BF) cells, while Hesperadin (IC ₅₀ = 550 nM) and weakly inhibited the growth of insect circulation stage (PF) cells.
Kinase Assay	For the Aurora B kinase assay, HeLa cells are lysed in a buffer containing 50 mM NaCl, then centrifuging at 13,000 rpm for 20 minutes at 4 °C. Discard supernatant, add 15 mL lysis buffer containing 250 mM NaCl in order to obtain active Aurora B kinase. Centrifuging at low-speed supernatant of the latter extract is used for immunoprecipitation. Monoclonal mouse anti-AIM-1, or mouse anti-HA, is coupled to GammaBind Plus Sepharose, and beads are rotated over-end in the extract for 90 minutes at 4 °C. Beads are washed, aliquoted, and washed in kinase buffer (20 mM Tris, pH 7.5, 150 mM NaCl, 10 mM MgCl ₂ , 1 mM DTT, 10 mM NaF). The kinase assay is performed with 10 μL beads in 20 μL kinase buffer containing 5 μg histone H3, 10 μM ATP, 2.5 μCi [γ- ³² P]ATP, and different concentrations of Hesperadin for 20 minutes at 37 °C.
Cell Research	HeLa cells and PtK1 cells are added Hesperadin 500 nM for 24 and 48 hours.

Solubility Information

A DRUG SCREENING EXPERT

Solubility	DMSO: 55.3 mg/mL (107.04 mM),Sonication is recommended. Ethanol: 27.7 mg/mL (53.61 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 (3.87 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	1.9355 mL	9.6777 mL	19.3555 mL
5 mM	0.3871 mL	1.9355 mL	3.8711 mL
10 mM	0.1936 mL	0.9678 mL	1.9355 mL
50 mM	0.0387 mL	0.1936 mL	0.3871 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

Hauf S, et al. J Cell Biol, 2003, 161(2), 281-294.

Wang J, Yan X, Chen H, et al. Enhanced UV-B radiation affects AUR1 regulation of mitotic spindle morphology leading to aberrant mitosis. Plant Physiology and Biochemistry. 159: 160-170.

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