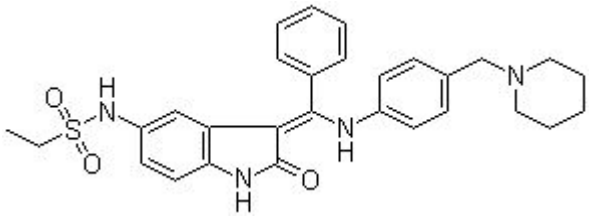
Product Introduction

Hesperadin

Hesperadin potently inhibits **Aurora B** with **IC50** of 250 nM. It markedly reduces the activity of AMPK, Lck, MKK1, MAPKAP-K1, CHK1 and PHK while it does not inhibit MKK1 activity in vivo.

Technical Data:

Molecular Weight (MW):	516.65	
Formula:	$C_{29}H_{32}N_4O_3S$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 103 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	422513-13-1	

Biological Activity

Hesperadin inhibits the ability of immunoprecipitated Aurora B to phosphorylate histone H3 with IC50 of 250 nM and markedly reduces the activity of other kinases (AMPK, Lck, MKK1, MAPKAP-K1, CHK1, and PHK) at a concentration of 1 μM. In contrast, only 20-100 nM of Hesperadin is sufficient to induce the loss of mitotic histone H3-Ser10 phosphorylation in HeLa cells. Hesperadin treatment causes defects in mitosis and cytokinesis, leading to stoppage of proliferation of HeLa cells and polyploidization, which can be specifically ascribed to the inhibition of Aurora B function during the process of chromosome attachment.

Hesperadin (100 nM) quickly overrides the mitotic arrest induced by taxol or monastrol but not by nocodazole. Hesperadin and nocodazole treatment in HeLa cells abolishes kinetochore localization of BubR1 and diminishes the intensity of Bub1 at kinetochores, suggesting that Aurora B function is required for efficient kinetochore recruitment of BubR1 and Bub1, which in turn might be necessary for prolonged checkpoint signaling. [1] Hesperadin prevents the phosphorylation of recombinant trypanosome histone H3 by the T. brucei Aurora kinase-1 (TbAUK1) from pathogenic Trypanosoma brucei with IC50 of 40 nM in vitro kinase assays. Hesperadin significantly inhibits cell growth of cultured infectious bloodstream forms (BF) with IC50 of 48 nM, and only weakly inhibits cell growth of insect stage procyclic forms (PF) with IC50 of 550 nM. [2]

References

[1] Hauf S, et al. J Cell Biol, 2003, 161(2), 281-294.
[2] Jetton N, et al. Mol Microbiol, 2009, 72(2), 442-458.

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