Product Data Sheet

Product Name: Sp-5,6-dichloro-cBIMPS (sodium salt)
Cat. No.: GC10428

Chemical Properties

Cas. No.	142439-96-1		
Chemical Name	5,6-dichloro-1-[3,5-O-[(S)-mercaptophosphinylidene]-β-D-ribofuranosyl]-1H-benzimidazole, monosodium salt		
SMILES	[S-][P@]1(OC[C@]2([H])[C@@]([C@@H](O)[C@H](N3C(C=C(Cl)C(Cl)=C4)=C4N=C3)O2)([H])O1)=O.[Na+]		
Formula	$C_{12}H_{10}Cl_2N_2O_5PS$ • Na	M.Wt	419.1
Solubility	≤167mg/ml in ethanol;167mg/ml in DMSO;167mg/ml in dimethyl formamide	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure			

Background

Ki: 30 nM

Sp-5,6-dichloro-cBIMPS is a PKA activator.

In cell biology, protein kinase A (PKA) is a family of enzymes whose activity is dependent on cellular levels of cyclic AMP. PKA is also known as cAMP-dependent protein kinase. PKA has several functions in the cell, such as regulation of sugar, glycogen, and lipid metabolism.

In vitro: Sp-5,6-dichloro-cBIMPS was found to be both a potent and specific activator of purified cAMP-PK and of cAMP-PK in platelet membranes, whereas 8-pCPT-cAMP proved to be a potent activator of cAMP-PK and cyclic-GMP-dependent protein kinase both as purified enzymes and in platelet membranes. Moreover, it was found that Sp-5,6-

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dichloro-cBIMPS was not significantly hydrolysed by three types of cyclic nucleotide phosphodiesterases, whereas 8-pCPT-cAMP was hydrolysed to a significant extent by the Ca2+/calmodulin-dependent phosphodiesterase and by the cGMP-inhibited phosphodiesterase. The apparent lipophilicity of Sp-5,6-dichloro-cBIMPS was higher than that of 8-pCPT-cAMP. Extracellular application of Sp-5,6-dichloro-cBIMPS to intact human platelets could reproduce the pattern of protein phosphorylation that was induced by prostaglandin E1. Additionally, in intact platelets, Sp-5,6-dichloro-cBIMPS was also more effective than 8-pCPT-cAMP in inducing quantitative phosphorylation of vasodilator-stimulated phosphoprotein, a major substrate of cAMP-PK in platelets. As demonstrated by prostaglandin E1, pretreatment of human platelets with Sp-5,6-DCl-cBiMPS Sp-5,6-dichloro-cBIMPS was able to prevent the aggregation induced by thrombin [1].

In vivo: Up to now, there is no animal in vivo data reported.

Clinical trial: So far, no clinical study has been conducted.

Reference:
[1] Sandberg, M. ,Butt, E.,Nolte, C., et al. Characterization of Sp-5,6-dichloro-1-β-D-ribofuranosylbenzimidazole-3',5'-monophosphorothioate (Sp-5,6-DCl-cBiMPS) as a potent and specific activator of cyclic-AMP-dependent protein kinase in cell extracts and intact cells. Biochemistry Journal 279, 521-527 (1991).

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