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Technical Information about Sp-5,6-DCl-cBIMPS

Potent membrane-permeant and PDE-resistant activator of cAMP-dependent protein kinases

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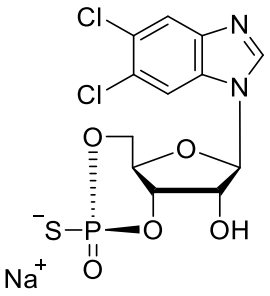

Abbreviation: **Sp-5,6-DCl-cBiMPS**

Formula	CAS No.	Molecular Weight	UV	BIOLOG Cat. No.
$C_{12}H_{10}Cl_2N_2O_5PS{\cdot}Na$	[120912-54-1]	419.2	λ_{max} 254 nm / ε 6400 / pH 7	D 014

Name: 5, 6- Dichloro-1- ß- D- ribofuranosylbenzimidazole- 3', 5'- cyclic monophosphorothioate, Sp- isomer (Sp-5,6-DCl-cBIMPS)

Description: Sp-5,6-DCl-cBIMPS is an analogue of the parent second messenger cyclic AMP in which the adenine moiety is replaced by a highly lipophilic modified benzimidazole ring system. In addition, the axial one of the two exocyclic oxygen atoms in the cyclic phosphate moiety is modified by sulfur.

Properties: Sp-5,6-DCl-cBIMPS is a rationally designed activator of cAMP-dependent protein kinase (cAK) with considerably improved properties compared to its parent compound Sp-cAMPS:

- **Potent activator of cAMP-dependent protein kinase,**
- **very high lipophilicity and excellent membrane permeability, useful for intact cells while still soluble in aqueous solvents,**
- **very high metabolic stability towards all cyclic nucleotide- responsive phosphodiesterases examined so far,**
- **site selective activator of the cAK isozymes with strong preference for cAK type II (Dostmann et al. 1990),**
- **suitable partner for synergistic activation of cAK II by pairs of cAMP analogs** (please ask for respective information)**,**
- **excellent selectivity for cAK vs. cGK,**
- **replaces the still widely used but unsatisfactory 8-CPT-cAMP (Sandberg et al. 1991) and dibutyryl cAMP.**

Summing up Sp-5,6-DCl-cBIMPS is a potent activator of the cAK isozymes with special preference for cAK type II. Due to its high lipophilicity and metabolic stability it has excellent membrane permeability and is especially of interest when working with intact cells.

Specification: Crystallized or lyophilized sodium salt. Other salt forms of Sp-5,6-DCl-cBIMPS are available upon request. Equal concentrations of Sp-5,6-DCl-cBIMPS can appear very different in volume due to sensitivity of the lyophilized form to humidity. The compound can even contract to small volume droplets. Normally the product is located in the conical bottom of the tube. Micromolar quantities are determined by UV at λ_{max}. BIOLOG also offers the corresponding Rp-isomer (Rp-5,6-DCl-cBIMPS; Cat. No. D 013) and the parent sulfur-free 5,6-DCl-cBIMP (Cat. No. D 011).

Purity: Typical analysis is better than 99% (HPLC / UV / 254 nm). The product is not sterile and has not been tested for endotoxins.

Stability and Storage: Sp-5,6-DCl-cBIMPS has sufficient stability at room temperature and does not need special care during handling or shipment. Nevertheless, we recommend that the compound should be stored in the freezer, for longer storage periods preferably in freeze-dried form.

Toxicity and Safety: Since cyclic AMP has multiple tasks in every organism it is very likely that lipophilic cAMP analogues will interfere with many cell regulation processes *in vivo*. However, due to the rather small quantities to work with no health hazards have been reported. Nevertheless please keep in mind that the *in vivo* properties of this compound are not sufficiently characterized up to now. Avoid skin contact or ingestion and allow only trained personnel to handle the product.
Our products are designed, developed and sold for research purposes only. They are intended for *in vitro* and nonhuman *in vivo* laboratory applications. Any other use requires approval of health authorities.
Not for drug, household or related uses!

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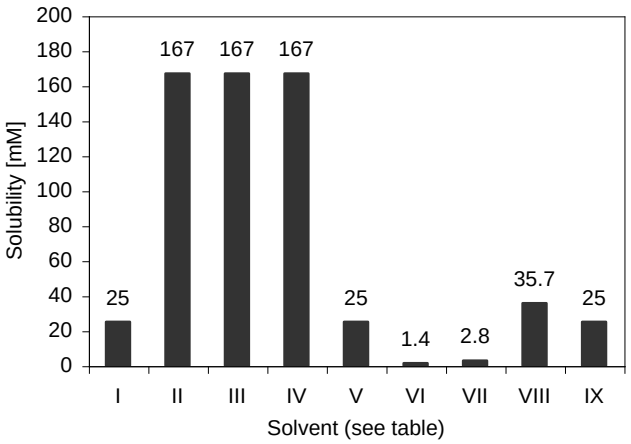
Solubility: Detailed information on the solubility of Sp-5,6-DCl-cBIMPS in water and various buffers are listed in the solubility chart below. Concentrations have been tested at ambient temperatures and can be considered as minimum concentrations obtainable. When opening the tube please make sure that no substance is lost within the cap. Please rinse tube walls carefully and preferably use ultrasonic or vortex to achieve total and uniform mixing.

No.	Solvent	Solubility [mM]
I	H_2O	25
II	DMSO	167
III	DMF	167
IV	Ethanol 96%	167
V	Methanol	25
VI	PBS, pH 7.4	1.4
VII	100 mM Na_2HPO_4, pH 7.0	2.8
VIII	25 mM Hepes/NaOH, pH 7.2	35.7
IX	25 mMTris/HCl, pH 7.4	25



Selected References for Sp-5,6-DCl-cBIMPS: Sp-5,6-DCl-cBIMPS was synthesized in order to develop optimized cAMP agonists with respect to PDE stability and membrane permeability. For a comprehensive and updated list please visit our website (http://www.biolog.de).

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