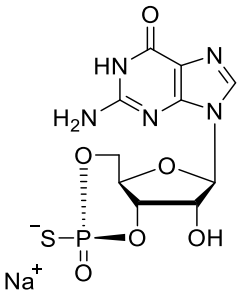
BIOLOG - LIFE SCIENCE INSTITUTE -

# Technical Information about Sp-cGMPS

**Metabolically resistant activator of cGMP-dependent protein kinase**

Update: July 09, 2018 HJ



**Abbreviation:** **Sp-cGMPS**

| Formula | CAS No. | Molecular Weight | UV | BIOLOG Cat. No. |
|---|---|---|---|---|
| $C_{10}H_{11}N_5O_6PS{\cdot}Na$ | [86562-10-9] | 383.3 | $\lambda_{max}$ 252 nm / ε 14300 / pH7 | G 017 |

**Name:** Guanosine- 3', 5'- monophosphorothioate, Sp- isomer (Sp-cGMPS)

**Description:** Sp-cGMPS is an analogue of the parent second messenger cyclic GMP in which one of the two exocyclic oxygen atoms in the cyclic phosphate moiety is modified by sulfur. Equatorial thio substitution leads to the R-isomer, while axial modification yields the corresponding S-compound. The suffix "p" indicates that R/S nomenclature refers to phosphorus.

**Properties:**

- **Activator of protein kinase G/ cGMP antagonist** [9]
- **High metabolic stability against mammalian cyclic nucleotide- responsive phosphodiesterases** [4, 6, 7]
- **Low lipophilicity and hence only poor membrane permeability** [3]
- **Valuable tool for mapping the cyclic phosphate moiety of new cGMP receptor proteins** [2, 4, 5, 7, 10, 11, 15]
- **Suitable for injection and patch clamp techniques**

Caution: Sp-cGMPS has only poor selectivity for the cGMP pathway and does also activate cAMP-dependent protein kinase.
Due to its low lipophilicity it has normally not sufficient membrane permeability for most biological systems. 8-pCPT-cGMP (Cat. No. C 009) or 8-Br-PET-cGMP (Cat. No. P 003) are much more recommendable activators of the cGMP pathway in intact cells.

**Specification:** Crystallized or lyophilized sodium salt. Please keep in mind that equal amounts of the compound may look different in volume depending on humidity. Micromolar quantities are determined by UV at 252 nm. Other salt forms of Sp-cGMPS are available upon request.

**Purity:** Typical analysis is better than 99% (HPLC / UV/ 252 nm). The product is not sterile.

**Solubility:** Sp-cGMPS has sufficient solubility in water or buffer. When opening the tube 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.

**Stability and Storage:** Sp-cGMPS 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 GMP has multiple tasks in every organism, it is possible that cGMP analogs could 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 compounds 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 non-human *in vivo* laboratory applications. Any other use requires approval of health authorities.
**Not for drug, household or related uses!**

**References for Sp-cGMPS:**
For a detailed list please inquire or visit our website (http://www.biolog.de)


BIOLOG Life Science Institute, Bremen, Germany Phone: 49 (0) 421 591355 Fax: 49 (0) 421 5979713 e-mail: service@biolog.de

Eckstein, F.; Foreman, J.C., *FEBS Lett*., **91**, 182 - 185 (1978):
"Actions of Adenosine and Guanosine 3':5` Cyclic Phosphorothioates on Histamine Secretion"

Erneux, C.; Miot, F.; Van Haastert, P.J.M.;Jastorff,B., *J. Cyclic Nucleotide Protein Phosphorylation Res.,* **10**, 463-472 (1985):
"The Binding of Cyclic Nucleotide Analogs to a Purified Cyclic GMP-stimulated Phosphodiesterase from Bovine Adrenal Tissue"

Braumann, T.; Jastorff, B., *J.Chromatogr*., **350**, 105 - 118 (1985):
"Physicochemical Characterization of Cyclic Nucleotides by Reversed Phase High- Performance Liquid Chromatography. II.Quantitative Determination of Hydrophobicity"

Zimmerman, A.L.; Yamanaka, G.; Eckstein, F.; Baylor, D.A.; Stryer, L., *Proc. Natl. Acad. Sci. USA*, **82**, 8813 - 8817 (1985):
"Interaction of Hydrolysis-resistant Analogs of Cyclic GMP With the Phosphodiesterase and Light-sensitive Channel of Retinal Rod Outer Segments"

Corbin, J.D.; Øgreid, D.; Miller, J.P.; Suva, R.H.; Jastorff, B.; Døskeland, S.O., *J. Biol. Chem*., **261**, 1208 - 1214 (1986):
"Studies of cGMP Analog Specificity and Function of the Two Intrasubunit Binding Sites of cGMP-dependent Protein Kinase"

Braumann, T. ; Erneux, C.; Petridis, G.; Stohrer, W.-D.; Jastorff, B. ,*Biochim. Biophys. Acta*, **871**, 199 -206 (1986):
"Hydrolysis of Cyclic Nucleotides by a Purified cGMP-Stimulated Phosphodiesterase: Structural Requirements for Hydrolysis"

Eckstein, F.; Karpen, J.W.; Critchfield, J.M.; Stryer, L., *Biochem. J*., **263**, 14080 - 14085 (1988):
"Stereochemical Course of the Reaction Catalyzed by the Cyclic GMP Phosphodiesterase from Retinal Rod Outer Segments"

Meijer, L.; Dostmann, W.; Genieser, H.-G.; Butt, E.; Jastorff, B., *Dev. Biol*., **133**, 58 - 66 (1989):
"Starfish Oocyte Maturation: Evidence for a Cyclic AMP-dependent Inhibitory Pathway"

Butt, E.; Van Bemmelen, M.; Fischer, L.; Walter, U.; Jastorff, B., *FEBS Lett*., **263**, 47 - 50 (1990):
"Inhibition of cGMP-dependent Protein Kinase by Rp-Guanosine- 3', 5'- monophosphorothioates"

Sandberg, M.; Butt, E.; Nolte, C.; Fischer, L.; Halbrügge, M.; Jahnsen, T.; Genieser, H.-G.; Jastorff, B.; Walter, U., *Biochem. J*., **279**, 521 - 527 (1991):
"Characterization of Sp-5,6-dichloro-1-ß-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"

Nass, N.; Colling, C.; Cramer, M.; Genieser, H.-G.; Butt, E.; Winkler, E.; Jaenicke, L.; Jastorff, B., *Biochem. J*., **285**, 129 - 136 (1992):
"Mapping of the Epitope/Paratope Interactions of a Monoclonal Antibody Directed Against Adenosine 3', 5'- monophosphate"

Schmidt, H.H.H.W.; Lohmann, S.M.; Walter, U., *Biochim. Biophys. Acta* **1178**, 153 - 175 (1993):
"The Nitric Oxide and cGMP Signal Transduction System: Regulation and Mechanism of Action"

Archer, S.L.; Huang, J.M.C.; Hampl, V.; Nelson, D.P.; Schultz, P.J.; Weir, E.K., *Proc. Natl. Acad. Sci. USA*, **91**, 7583 - 7587 (1994):
"Nitric Oxide and cGMP Cause Vasorelaxation by Activation of a Charybdotoxin-sensitive K Channel by cGMP-dependent Protein Kinase"

Hampl, V.; Huang, J.M.; Weir, E.K.; Archer, S.L., *Physiol. Res*., **44**, 39 - 44 (1995):
"Activation of the cGMP-dependent Protein Kinase Mimicks the Stimulatory Effect of Nitric Oxide and cGMP on Calcium-gated Potassium Channels"

Kramer, R.H.; Tibbs, G.R., *J. Neurosci*., **16**, 1285 - 1293 (1996):
"Antagonists of Cyclic Nucleotide-gated Channels and Molecular Mapping of their Site of Action"

Scott, S. P.; Cummings, J.; Joe, J. C.; Tanaka, *J. C., Biophys. J*., **78**, 2321 – 2333 (2000). “Mutating Three Residues in the Bovine Rod Cyclic Nucleotide-Activated Channel Can Switch a Nucleotide from Inactive to Active”

Boadu, E.; Vaskinn, S.; Sundkvist, E.; Jaeger, R.; Sager, G., *Biochem. Pharmacol.*, **62**, 425 - 429 (2001): "Inhibition by Guanosine Cyclic Monophosphate (cGMP) Analogues of Uptake of [$^3$H]3', 5'-cGMP without Stimulation of ATPase Activity in Human Erythrocyte Inside-out Vesicles"

Chan, H.Y.; Yao, X.Q.; Tsang, S.Y.; Bourreau, J.P.; Chan, F.L.; Huang, Y., *Cardiovasc. Res.*, **53**, 627 - 633 (2002): "Isoproterenol Amplifies 17 Beta-Estradiol-mediated Vasorelaxation: Role of Endothelium/Nitric Oxide and Cyclic AMP"

Brown, R.L.; Strassmeier, T.; Brady, J.D.; Karpen, J.W., *Curr. Pharmaceut. Design*, **12**, 3597 - 3613 (2006): "The Pharmacology of Cyclic Nucleotide-gated Channels: Emerging from the Darkness"

Matthiesen, K.; Nielsen, J., *Biochemical J.*, **423**, 401 – 409 (2009): “ Binding of cyclic nucleotides to phosphodiesterase 10A and 11A GAF domains does not stimulate catalytic activity”


BIOLOG Life Science Institute, Bremen, Germany Phone: 49 (0) 421 591355 Fax: 49 (0) 421 5979713 e-mail: service@biolog.de