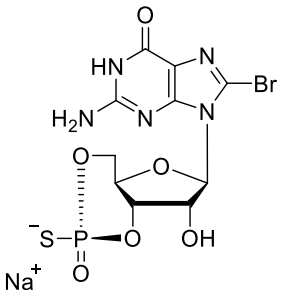
BIOLOG - LIFE SCIENCE INSTITUTE -

Technical Information about Sp-8-Bromo-cGMPS

Membrane-permeant and metabolically stable activator of both PKG I α and PKA

Update: July 09, 2018 HJ



Abbreviation: **Sp-8-Br-cGMPS**

Formula	CAS No.	Molecular Weight	UV	BIOLOG Cat.No.
$C_{10}H_{10}BrN_5O_6PS{\cdot}Na$	[153660-03-8]	462.2	λ_{max} 260 nm / ε 16200 / pH 7	B 006

Name: 8- Bromoguanosine- 3', 5'- cyclic monophosphorothioate, Sp- isomer

Description: Sp-8-Br-cGMPS is an analogue of the parent compound cyclic GMP in which the hydrogen in position 8 of the nucleobase is replaced by bromine and the axial one of the two exocyclic oxygen atoms in the cyclic phosphate moiety is modified by sulfur. The suffix "p" indicates that R/S nomenclature refers to phosphorus.

Properties: Sp-8-Br-cGMPS is a combination of the PDE-resistant protein kinase G activator Sp-cGMPS with the widely used 8-bromo cyclic GMP resulting in a membrane permeant cyclic GMP agonist which is not metabolized by mammalian cyclic nucleotide phosphodiesterases. Sp-8-Br-cGMPS is about 2 times more lipophilic compared to 8-Br-cGMP, and about 5 times more compared to cGMP.

Application: According to experience applicable concentrations of Sp-8-Br-cGMPS depend on the type of biosystem, its membrane properties and kinase content. Preincubation (e.g. 20 min) is recommended. Since Sp-8-Br-cGMPS is hydrolytically stable in mammalian and many other systems there is no danger of degradation during incubation periods. ***Caution:*** Sp-8-Br-cGMPS stimulates both cGMP- and cAMP-dependent protein kinase with similar activation constants! So, for specific cGMP pathway activation 8-pCPT-cGMP (Cat.No.: C 009) is the better choice. However, Sp-8-Br-cGMPS could be a useful tool for long term activation of both signal pathways.

Specification: Lyophilized or crystallized sodium salt.The free acid or other salt forms are available upon request. Equal concentrations of Sp-8-Br-cGMPS can appear very different in volume due to sensitivity of the lyophilized form to humidity and 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}. The antagonistic Rp-isomer (Rp-8-Br-cGMPS) is offered by BIOLOG as well (Cat. No. B 005).

Purity: Typical analysis is better than 99% (HPLC / UV / 260 nm). The product is not sterile and has not been tested for endotoxins.

Solubility: Sp-8-Br-cGMPS is readily soluble in water or buffer. Please rinse tube walls (and cap if necessary) carefully and preferably use ultrasonic or vortex to achieve total and uniform mixing. When opening the tube please make sure that no substance is lost within the cap.

Stability and Storage: Sp-8-Br-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.

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

Toxicity and Safety: Since cyclic GMP has multiple tasks in every organism it is very likely that lipophilic cGMP 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 these 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 nonhuman *in vivo* laboratory applications. Any other use requires approval of health authorities.
Not for drug, household or related uses!

Selected References for Sp-8-Br-cGMPS:

Wei, J.Y.; Cohen, E.D.; Genieser, H.-G.; Barnstable, C.J.; *J. Mol. Neurosci.*, **10**, 53 - 64 (1998): "Substituted cGMP Analogs Can Act as Selective Agonists of the Rod Photoreceptor cGMP-Gated Cation Channel"

Murphy-Ullrich, J. E.; Pallero, M.A.; Boerth, N.; Greenwood, J.A.; Lincoln, T.M.; Cornwell, T.L.; *J. Cell Sci*., **109**, 2499 - 2508 (1996): "Cyclic GMP-dependent Protein Kinase is Required for Thrombospondin and Tenascin Mediated Focal Adhesion Disassembly"

Bäumner, D.; Nawrath, H., *Eur. J. Pharmacol*., **273**, 295 - 298 (1995): "Effects of Inhibitors of cGMP-dependent Protein Kinase in Atrial Heart and Aortic Smooth Muscle From Rats"

Meriney, S.D.; Gray, D.B.; Pilar, G.R.; *Nature* **369**, 336 - 339 (1994): "Somatostatin-Induced Inhibition of Neuronal Ca^{2+} Current Modulated by cGMP-dependent Protein Kinase"

Flaadt, H.; Jaworski, E.; Schlatterer, C; Malchow, D.; *J. Cell Sci*., **105**, 255 - 261 (1993): "Cyclic AMP-and Ins (1,4,5) P_3-induced Ca^{2+} Fluxes in Permeabilised Cells of Dictyostelium discoideum: cGMP Regulates Ca^{2+} Entry Across the Plasma Membrane"

Tang, K.M.; Sherwood, J.L.; Haslam, R.J., *Biochem. J*., **294**, 329 - 333 (1993): "Photoaffinity Labeling of cyclic GMP Binding Proteins in Human Platelets"

Jastorff, B., *Proc. 8th. Internatl. Conf. Second Mess. Phosphoprot., Glasgow, UK 1992*: "A New Generation of Cyclic Nucleotide Analogues: Site Specific, Enzyme Selective, Agonistic or Antagonistic and Resistant to Hydrolysis"

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"

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