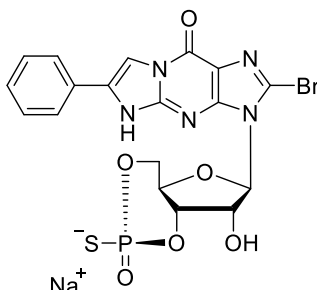


Technical Information about Sp-8-Br-PET-cGMPS

Potent membrane-permeant, metabolically stable activator of cGMP-dependent protein kinases and inhibitor of the retinal cGMP-gated ion channel

Update: January 19, 2021 HJ



Abbreviation: Sp-8-Br-PET-cGMPS

Formula	CAS No.	Molecular Weight	UV	BIOLOG Cat. No.
C ₁₈ H ₁₄ BrN ₅ O ₆ PS·Na	[172806-21-2]	562.3	λ _{max} 256 nm / ε 40000 / pH 7	P 008

Name: β- Phenyl- 1, N²- etheno- 8- bromoguanosine- 3', 5'- cyclic monophosphorothioate, Sp- isomer

Description: Sp-8-Br-PET-cGMPS is an analogue of the natural signal molecule cyclic GMP in which both, the amino group in position 2 and the nitrogen in position 1 are involved in a phenyl-substituted 5- membered ring system fused to the purine structure. The hydrogen in position 8 of the nucleobase is replaced by bromine. In addition, the axial one of the two exocyclic oxygen atoms in the cyclic phosphate moiety is modified by sulfur (S-isomer. The suffix "p" indicates that R/S nomenclature refers to phosphorus.

Properties:

- Activator of protein kinase G α and β with a K_a of 2.6 and 2.5 μ M, respectively¹
- inhibitor of retinal cGMP-gated ion channels²⁻⁴
- metabolic stability towards cyclic nucleotide-responsive phosphodiesterases due to phosphorothioate modification
- high lipophilicity and good membrane permeability while still soluble in aqueous solvents.

Sp-8-Br-PET-cGMPS is a potent, selective activator of cGMP-dependent protein kinases but inhibits the retinal cGMP-gated ion channel and thus can discriminate between both receptors. It is not metabolized by mammalian cyclic nucleotide-responsive phosphodiesterases. The additional hydrocarbon system as well as the substitution with bromine result in considerably higher lipophilicity and membrane permeability compared to cGMP. The compound could be a reasonable good inhibitor of phosphodiesterase type V. The corresponding Rp-isomer (Rp-8-Br-PET-cGMPS, Cat. No. P 007) is a potent inhibitor of both, protein kinase G and the retinal channel.

Specification: Crystallized or lyophilized sodium salt. Other salt forms of Sp-8-Br-PET-cGMPS are available upon request. Equal concentrations of Sp-8-Br-PET-cGMPS 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. Micro molar quantities are determined by UV at λ_{max} .

Purity: Typical analysis is better than 99% (HPLC / UV / 256 nm). The product is not sterile and has not been tested for endotoxins.

Stability and Storage: Sp-8-Br-PET-cGMPS is chemically stable under conditions of biological systems and media. Nevertheless solutions should be stored in the refrigerator and should be lyophilized and frozen for longer storage periods.

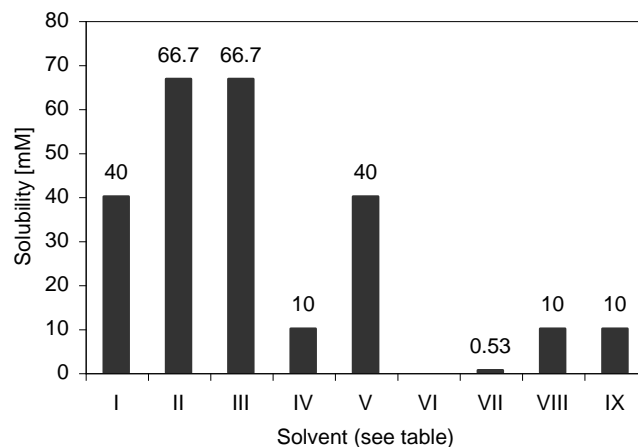
Toxicity and Safety: Since cyclic GMP has multiple tasks in every organism it is very likely that lipophilic cGMP analogues will interfere with many cell regulation processes *in vivo*. However, due to the rather small quantities to work with, no health hazards have been reported. Nevertheless please keep in mind that the *in vivo* properties of 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 nonhuman *in vivo* laboratory applications. Any other use requires approval of health authorities.

Not for drug, household or related uses!

Solubility: Detailed information on the solubility of Sp-8-Br-PET-cGMPS in water and various buffers are listed in the solubility chart below. Concentrations have been tested at ambient temperature and can be considered as minimum concentrations usually 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 ₂ O	40
II	DMSO	66.7
III	DMF	66.7
IV	Ethanol 96%	10
V	Methanol	40
VI	PBS, pH 7.4	0
VII	100 mM Na ₂ HPO ₄ , pH 7.0	0.53
VIII	25 mM Hepes/NaOH, pH 7.2	10
IX	25 mM Tris/HCl, pH 7.4	10



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For a detailed list please inquire or visit our website (<http://www.biolog.de>).

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