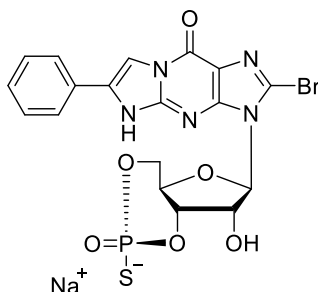


Technical Information about Rp-8-Br-PET-cGMPS

Potent membrane-permeant, metabolically stable inhibitor of cGMP-dependent protein kinases

Update: January 19, 2021 HJ



Abbreviation: Rp-8-Br-PET-cGMPS

Formula	CAS No.	Molecular Weight	UV	BIOLOG Cat. No.
C ₁₈ H ₁₄ BrN ₅ O ₆ PS·Na	[172806-20-1]	562.3	λ _{max} 256 nm / ε 40000 / pH 7	P 007

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

Description: Rp-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 equatorial one of the two exocyclic oxygen atoms in the cyclic phosphate moiety is modified by sulfur (R-isomer. The suffix "p" indicates that R/S nomenclature refers to phosphorus).

Properties:

- Inhibitor of protein kinase G α, Iβ and type II with a K_i of 35, 30 and 450 nM, respectively ^{1, 4},
- selectivity : K_i for cAMP-dependent protein kinase II is only 11 μM ¹,
- inhibitor of retinal cGMP-gated ion channels ^{2,3},
- metabolic stability towards cyclic nucleotide-responsive phosphodiesterases,
- high lipophilicity and good membrane permeability while still soluble in aqueous solvents.

Rp-8-Br-PET-cGMPS is a potent, selective competitive inhibitor of cGMP-dependent protein kinases and of the retinal cGMP-gated ion channel, which 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 seems to be a reasonable good inhibitor of phosphodiesterase type V.

Specification: Crystallized or lyophilized sodium salt. Other salts of Rp-8-Br-PET-cGMPS are available upon request. Equal concentrations of Rp-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: Rp-8-Br-PET-cGMPS has sufficient stability at room temperature and does not need special care during handling or shipment. Nevertheless, the compound and its solutions should be protected from bright light and stored in the freezer, for longer storage periods preferably in freeze-dried form, since the agonistic 8-Br-PET-cGMP can be formed slowly by oxidation processes.

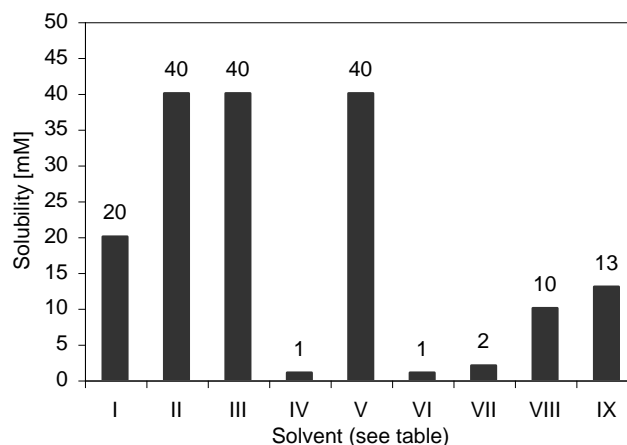
Toxicity and Safety: Since cyclic GMP has multiple tasks in every organism it is very likely that lipophilic cGMP analogues will interfere with many cell regulation processes *in vivo*. However, due to the rather small quantities to work with, no health hazards have been reported. Nevertheless please 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 Rp-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	20
II	DMSO	40
III	DMF	40
IV	Ethanol 96%	1
V	Methanol	40
VI	PBS, pH 7.4	1
VII	100 mM Na ₂ HPO ₄ , pH 7.0	2
VIII	25 mM Hepes/NaOH, pH 7.2	10
IX	25 mM Tris/HCl, pH 7.4	13



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

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