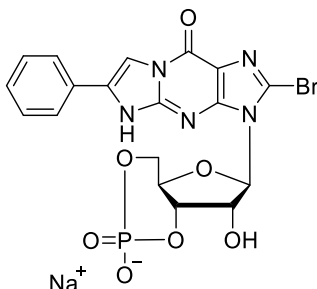


Technical Information about 8-Br-PET-cGMP

Potent membrane-permeant activator of cGMP-dependent protein kinase type I



Update: July 12, 2018 HJ

Abbreviation: **8-Br-PET-cGMP**

Formula	CAS No.	Molecular Weight	UV	BIOLOG Cat. No.
C ₁₈ H ₁₄ BrN ₅ O ₇ P·Na	[144510-04-3]	546.2	λ _{max} 256 nm / ε 40000 / pH 7	P 003

Name: β- Phenyl- 1, N²- etheno- 8- bromoguanosine- 3', 5'- cyclic monophosphate

Description: 8-Br-PET-cGMP is an analogue of the natural signal molecule cyclic GMP in which 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. In addition, the hydrogen in position 8 of the guanine nucleobase is replaced by bromine. The additional hydrocarbon system as well as the substitution with bromine result in considerably higher lipophilicity and membrane permeability compared to cGMP.

Properties:

- potent activator of both isozymes of protein kinase G (PKG), type I α and I β (Sekhar et al. 1992)
- selective cGMP agonist for type I of PKG while rather poor for PKG type II (Vaandrager et al. 1997)
- increased metabolic stability towards cyclic nucleotide-responsive phosphodiesterases
- inhibitor of retinal type cGMP-gated ion channels (Wei et al. 1996)
- high lipophilicity and good membrane permeability while still soluble in aqueous solvents

8-Br-PET-cGMP is a potent, selective cGMP agonist, which prefers PKG type I. In contrast to common cGMP analogues such as 8-pCPT-cGMP (Cat. No. C 009) or 8-Br-cGMP (Cat. N. B 004), which clearly select isozyme I α over I β, 8-Br-PET-cGMP is nearly equipotent for both receptors (Sekhar et al. 1992) and one of the most powerful activators of PKG I α and I β.

Specification: Crystallized or lyophilized sodium salt. Other salts of 8-Br-PET-cGMP are available upon request. Please keep in mind that equal concentrations of the compound may look 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 98% (HPLC / UV / 256 nm). The product is not sterile and has not been tested for endotoxins.

Stability and Storage: 8-Br-PET-cGMP 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.

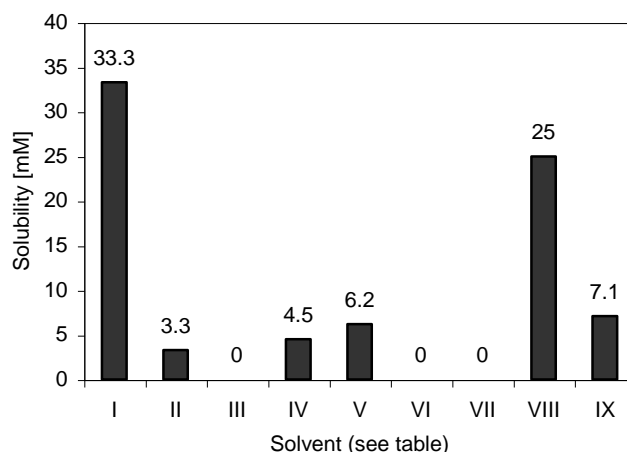
Toxicity and Safety: Since cyclic GMP has multiple tasks in every organism it is very likely that lipophilic cGMP analogues will interfere with many cell regulation processes *in vivo*. However, due to the rather small quantities to work with, no health hazards have been reported. Nevertheless please 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 8-Br-PET-cGMP in water and various buffers are listed in the solubility chart below. Concentrations have been determined at ambient temperature and can be considered as minimum concentrations usually obtainable, however, slight batch-to-batch variations cannot be ruled out. 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	33.3
II	DMSO	3.3
III	DMF	0
IV	Ethanol 96%	4.5
V	Methanol	6.2
VI	PBS, pH 7.4	0
VII	100 mM Na ₂ HPO ₄ , pH 7.0	0
VIII	25 mM Hepes/NaOH, pH 7.2	25
IX	25 mM Tris/HCl, pH 7.4	7.1



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