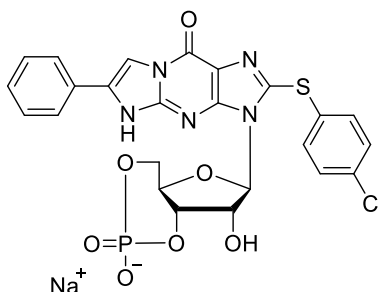


Technical Information about 8-pCPT-PET-cGMP

Highly membrane-permeant activator of cGMP-dependent protein kinases with improved metabolic stability, but most probably inhibitor of the retinal cGMP-gated ion channel

Update: July 10, 2018 HU



Abbreviation: 8-pCPT-PET-cGMP

Formula	CAS No.	Molecular Weight	UV	BIOLOG Cat. No.
C ₂₄ H ₁₈ ClN ₅ O ₇ PS·Na	[pending]	609.9	λ _{max} 276 nm / ε 40000 / pH 7	C 045

Name: 8- (4- Chlorophenylthio)- β- phenyl- 1, N²- ethenoguanosine- 3', 5'- cyclic monophosphate

Description: 8-pCPT-PET-cGMP 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 the lipophilic chlorophenylthio moiety.

Properties:

- Activator of protein kinase G type Iα and type Iβ,
- most probably inhibitor of retinal cGMP-gated ion channels,
- improved metabolic stability towards cyclic nucleotide-responsive phosphodiesterases,
- high lipophilicity and good membrane permeability while still soluble in aqueous solvents.

8-pCPT-PET-cGMP is a potent, selective activator of cGMP-dependent protein kinases, but most probably inhibits the retinal cGMP-gated ion channel and thus can discriminate between both receptors. The additional hydrocarbon system as well as the substitution with the chlorophenylthio substituent result in considerably higher lipophilicity and membrane permeability compared to 8-Br-PET-cGMP (Cat. No. P 003) or Sp-8-Br-PET-cGMPS (Cat. No. P 008).

Specification: Crystallized or lyophilized sodium salt. Other salt forms of 8-pCPT-PET-cGMP are available upon request. Equal concentrations of 8-pCPT-PET-cGMP 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 98% (HPLC / UV / 276 nm). The product is not sterile and has not been tested for endotoxins.

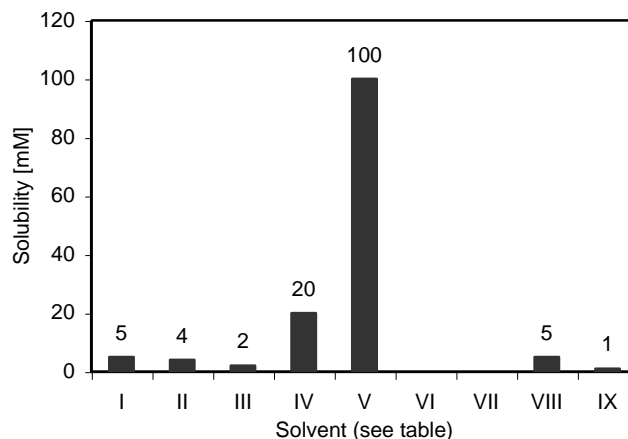
Stability and Storage: 8-pCPT-PET-cGMP is chemically stable under conditions of biological systems and media. Nevertheless, solutions should be stored in the refrigerator and should be lyophilized and frozen for longer storage periods.

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-pCPT-PET-cGMP 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	5
II	DMSO	4
III	DMF	2
IV	Ethanol 96%	20
V	Methanol	100
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	5
IX	25 mM Tris/HCl, pH 7.4	1



Reference for 8-pCPT-PET-cGMP:

Paquet-Durand, F.; Hauck, S.M.; van Veen, T.; Ueffing, M.; Ekström, P., *J. Neurochem.*, **108**, 796 - 810 (2009): "PKG Activity Causes Photoreceptor Cell Death in Two Retinitis pigmentosa Models"