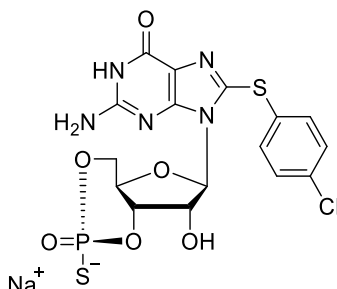


Technical Information about Rp-8-pCPT-cGMPS

Potent membrane-permeant and PDE-resistant inhibitor of cGMP-dependent protein kinases

Update: January 08, 2021 HU



Abbreviation: **Rp-8-pCPT-cGMPS**

Formula	CAS No.	Molecular Weight	UV	BIOLOG Cat. No.
C ₁₆ H ₁₄ ClN ₅ O ₆ PS ₂ ·Na	[153660-04-9]	525.9	λ _{max} 276 nm / ε 21500 / pH 7	C 013

Name: 8- (4- Chlorophenylthio)guanosine- 3', 5'- cyclic monophosphorothioate, Rp- isomer (Rp-8-pCPT-cGMPS)

Description: Rp-8-pCPT-cGMPS is an analogue of the parent second messenger cyclic GMP in which the hydrogen in position 8 of the nucleobase is replaced by the lipophilic 4-chlorophenylthio moiety. In addition, the equatorial one of the two exocyclic oxygen atoms of the cyclic phosphate moiety is modified by sulfur.

Properties: Rp-8-pCPT-cGMPS is a rationally designed inhibitor of cGMP-dependent protein kinase (cGK) with considerably improved properties compared to its parent compound Rp-cGMPS (Butt et al. 1994).

- **High lipophilicity and excellent membrane permeability useful for intact cells while still soluble in aqueous solvents,**
- **metabolic stability towards all cyclic nucleotide- responsive phosphodiesterases examined so far,**
- **competitive inhibitor of the cGK isozymes type Iα, Iβ and II, with strong preference for cGK type II (Gamm et al. 1996),**
- **sufficient selectivity for cGK vs. cAK if not over-dosed,**
- **discriminates between protein kinase G and cGMP-gated ion channels (Kramer & Tibbs 1996).**

Summing up, Rp-8-pCPT-cGMPS is a metabolically stable and membrane-permeant competitive inhibitor of the cGK isozymes with special preference for cGK type II. Since cGMP-gated ion channels are activated, Rp-8-pCPT-cGMPS can help to distinguish between both types of receptors. Due to its high lipophilicity and metabolic stability, it has excellent membrane permeability and is especially of interest when working with intact cells. If the compound is used in unnecessary high concentrations potential cross-modulation of e.g. cAMP-dependent protein kinase has to be considered.

Specification: Crystallized or lyophilized sodium salt. Other salt forms are available upon request. Please keep in mind that equal amounts of the compound may look different in volume depending on humidity. Micromolar quantities are determined by UV at 276 nm. BIOLOG also offers the agonistic Sp- isomer (Sp-8-pCPT-cGMPS; Cat. No. C 012) and 8-pCPT-cGMP (Cat. No. C 009).

Purity: Typical analysis is better than 99% (HPLC / UV / 276 nm). Typical fluorescent impurities have been removed. The product is not sterile and has not been tested for endotoxins.

Caution: Since even minor impurities (0.2%) of cGMP agonists can already activate the kinase and compete with the antagonistic effect of the Rp- isomer, it is very important to work with strictly pure compounds especially concerning cyclic nucleotide contaminants. Therefore, Rp-8-pCPT-cGMPS is checked for absence of activators such as 8-pCPT-cGMP (< 0.05% when packed) or Sp-8-pCPT-cGMPS. However, in contrast to Sp-8-pCPT-cGMPS (not detectable by HPLC) we cannot guarantee total absence of 8-pCPT-cGMP due to a certain formation (approx. 0.2%/ year) during prolonged storage.

Stability and Storage: Rp-8-pCPT-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, since desulfurization yielding 8-pCPT-cGMP can occur slowly. This normally rather slow sulfur/oxygen exchange is accelerated by oxidizing agents. Bright light, radioactivity or UV radiation should be avoided.

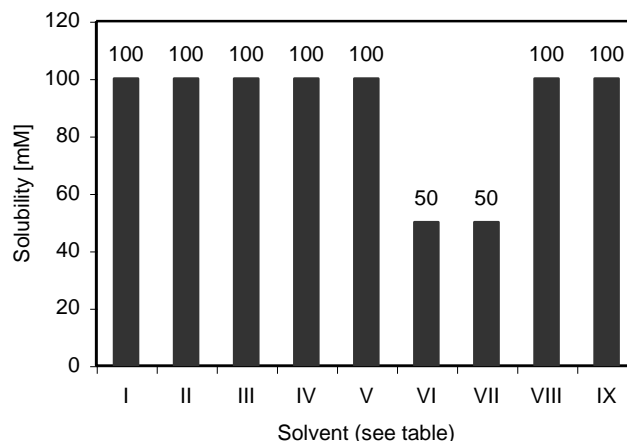
Toxicity and Safety: Since cyclic GMP has multiple tasks in every organism it is very likely that lipophilic cGMP analogues will interfere with many cell regulation processes *in vivo*. However, due to the rather small quantities to work with, no health hazards have been reported. Nevertheless, please 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-pCPT-cGMPS in water and various buffers are listed in the solubility chart below. Concentrations have been tested at ambient temperatures and can be considered as minimum concentrations 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	100
II	DMSO	100
III	DMF	100
IV	Ethanol 96%	100
V	Methanol	100
VI	PBS, pH 7.4	50
VII	100 mM Na ₂ HPO ₄ , pH 7.0	50
VIII	25 mM Hepes/NaOH, pH 7.2	100
IX	25 mM Tris/HCl, pH 7.4	100



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

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