Technical Information about Sp-8-pCPT-cGMPS
Potent membrane permeant and PDE resistant activator of cGMP-dependent protein kinases

Update: July 06, 2012 WH

Abbreviation: Sp-8-pCPT-cGMPS

<table>
<thead>
<tr>
<th>Formula</th>
<th>CAS No.</th>
<th>Molecular Weight</th>
<th>UV</th>
<th>BIOLOG Cat.No.</th>
</tr>
</thead>
<tbody>
<tr>
<td>C_{16}H_{14}ClN_{5}O_{6}PS_{2}Na</td>
<td>[160385-87-5]</td>
<td>525.9</td>
<td>( \lambda_{\text{max}} 276 \text{ nm} / \varepsilon 21500 / \text{pH} 7 )</td>
<td>C 014</td>
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Name: 8-(4-chlorophenylthio) guanosine- 3’, 5’- cyclic monophosphorothioate, Sp- isomer ( Sp-8-pCPT-cGMPS )

Description: Sp-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 axial one of the two exocyclic oxygen atoms in the cyclic phosphate moiety is modified by sulfur. Sp-8-pCPT-cGMPS is protected under US Patent 5,625,056 issued to BIOLOG LSI.

Properties: Sp-8-pCPT-cGMPS is an activator of cGMP-dependent protein kinase ( cGK ) with considerably improved properties compared to its parent compound Sp-cGMPS ¹:
- 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
- Good activator of the cGK isozymes type Iα, Iβ and II, with preference for cGK type II

Summing up Sp-8-pCPT-cGMPS is a metabolically stable and membrane permeant activator of the cGK isoforms with special preference for cGK type II. 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. Please keep in mind that equal amounts of the compounds may look different in volume depending on humidity. Micromolar quantities are determined by UV at 276 nm. Other salt forms of Sp-8-pCPT-cGMPS are available upon request. BIOLOG also offers the antagonistic Rp- isomer (Rp-8-pCPT-cGMPS; Cat. No. C 012) and the parent sulfur-free analog 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.

Solubility: Sp-8-pCPT-cGMPS has sufficient solubility in water (19 mM) or buffer for most applications. When opening the tube 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.

Stability and Storage: Sp-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 analogs 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!
Selected References for Sp-8-pCPT-cGMPS:


11. Spicuzza, L.; Belvisi, M. G.; Birrell, M. A.; Barnes, P. J.; Hele, D. J.; Giembycz, M. A., Br. J. Pharmacol., 133, 1201 – 1212 (2001): "Evidence that the Anti-Spasmodic Effect of the Beta-Adrenoceptor Agonist, Isoproterenol, on Guinea-Pig Trachealis is not Mediated by Cyclic AMP-dependent Protein Kinase"

