Technical Information about 8-pCPT-cGMP

Potent membrane permeant activator of cGMP-dependent protein kinases and cGMP-gated ion channels

Update: June 7, 2013

Abbreviation: 8-pCPT-cGMP

<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_{7}PS-Na</td>
<td>[51239-26-0]</td>
<td>509.8</td>
<td>(\lambda_{\text{max}} \text{, } 276 \text{ nm} / \epsilon \text{, } 21500 / \text{pH } 7 )</td>
<td>C 009</td>
</tr>
</tbody>
</table>

Name: para-Chlorophenylthioguanosine- 3', 5'-cyclic monophosphate (8-pCPT-cGMP) or 8- (4-Chlorophenyithio)guanosine- 3', 5'-cyclic monophosphate (8-CPT-cGMP), fluorescence grade

Description: 8-pCPT-cGMP is an analogue of the natural signal molecule cyclic GMP in which the hydrogen in position 8 of the heterocyclic nucleobase is replaced by the lipophilic para-chlorophenylthio moiety.

Properties:
- Potent activator of cGMP-dependent protein kinase Ia, Ib and type II as well as of cGMP-gated ion channels,
- 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,
- Poor stimulator of cAMP protein kinase isozymes resulting in good selectivity between both second messenger systems,
- Poor inhibitor of cAMP phosphodiesterases, no cAMP increase by PDE blocking,
- Excellent replacement for unsuitable analogues such as dibutyryl cGMP.

Specification: Crystallized or lyophilized sodium salt. Other salt forms are available upon request. Equal concentrations of 8-pCPT-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. Micromolar quantities are determined by UV at \(\lambda_{\text{max}}\).

Purity: Typical analysis is better than 99% (HPLC / UV / 276 nm). Traces of fluorescent impurities inevitably formed during production have been removed by an additional purification step. The product is not sterile and has not been tested for endotoxins.

Stability and Storage: 8-pCPT-cGMP is chemically stable under conditions of biological systems and media. Nevertheless, we recommend that the compound should be stored in the freezer, for longer storage periods preferably in freeze-dried form. Bright light and especially UV radiation can form a fluorescent impurity which might disturb in fluorescence assays.

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 compound 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-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.

### Table: Solubility of 8-pCPT-cGMP

<table>
<thead>
<tr>
<th>No.</th>
<th>Solvent</th>
<th>Solubility [mM]</th>
</tr>
</thead>
<tbody>
<tr>
<td>I</td>
<td>H₂O</td>
<td>50</td>
</tr>
<tr>
<td>II</td>
<td>DMSO</td>
<td>250</td>
</tr>
<tr>
<td>III</td>
<td>DMF</td>
<td>100</td>
</tr>
<tr>
<td>IV</td>
<td>Ethanol 96%</td>
<td>5</td>
</tr>
<tr>
<td>V</td>
<td>Methanol</td>
<td>16.7</td>
</tr>
<tr>
<td>VI</td>
<td>PBS, pH 7.4</td>
<td>14.3</td>
</tr>
<tr>
<td>VII</td>
<td>100 mM Na₂HPO₄, pH 7.0</td>
<td>20</td>
</tr>
<tr>
<td>VIII</td>
<td>25 mM Hepes/NaOH, pH 7.2</td>
<td>50</td>
</tr>
<tr>
<td>IX</td>
<td>25 mMTris/Cl₂, pH 7.4</td>
<td>50</td>
</tr>
</tbody>
</table>

Selected References for 8-pCPT-cGMP: Due to limited space we cannot cite all references for 8-pCPT-cGMP. For an extended and updated reference list please visit our website (http://www.biolog.de). If you do not find the information needed, please ask for a computer search in our reference and application data base. Since we permanently collect all data available to us, we appreciate receiving respective information such as citations, reprints or accepted manuscripts as well as unpublished application reports.


Sauzeau, V.; Rolli-Derkinderen, M.; Marionneau, C.; Loirand, G.; Pacaud, P., J. Biol. Chem., 278, 9472 - 9480 (2003): “RhoA Expression is Controlled by Nitric Oxide through cGMP-dependent Protein Kinase Activation”


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Homer, K.L.; Wanstall, J.C., Br. J. Pharmacol., 137, 1071 - 1081 (2002): "Inhibition of Rat Platelet Aggregation by the Diazeniumdiolate Nitric Oxide Donor MAHMA NONOate"


Murthy, K.S., Biochem. J., 360, 199 - 208 (2001): "Activation of Phosphodiesterase 5 and Inhibition of Guanylate Cyclase by cGMP-dependent Protein Kinase in Smooth Muscle"


Connolly, B.J.; Willits, P.B.; Warrington, B.H.; Murray, K.J., Biochem. Pharm., 44, 2303 - 2306 (1992): "8-(4-Chlorophenyl)thiocyclic AMP is a Potent Inhibitor of the cyclic GMP-specific Phosphodiesterase (PDEV)"


