Technical Information about 8-Bromo-cGMP

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

Update: July 09, 2018

Abbreviation:
8-Br-cGMP

Formula
CAS No.
Molecular Weight
UV
BIOLOG Cat. No.

C\textsubscript{10}H\textsubscript{10}BrN\textsubscript{5}O\textsubscript{7}P·Na\textsuperscript{+} [51116-01-9] 446.1 \(\lambda_{\text{max}}\) 260 nm / \(\varepsilon\) 162 000 / pH 7 8 004

Name: 8- Bromoguanosine-3', 5'- cyclic monophosphate, cyclic 8- bromoguanosine- 3', 5'- monophosphate, 8- bromoguanosine- 3', 5'- monophosphate

Description: 8-Br-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 bromine.

Bulk Supply: 8-Br-cGMP can be offered in multigram quantities at extremely competitive prices. Please ask for a corresponding quotation.

Properties: 8-Br-cGMP is an activator of cGMP-dependent protein kinase type I \(\alpha\) with preferential binding to its slow exchanging site, showing increased activation potential compared to the parent compound cGMP. The \(K_a\) for the corresponding isozyme I \(\beta\) is approximately 10 times higher. The compound is a poor activator of both, type I and II of cAMP-dependent protein kinase with more than two magnitudes of order difference to cGMP kinase. 8-Br-cGMP is also a potent cGMP agonist for cGMP-dependent ion channels, with 10 times higher potency compared to cGMP. Its increased lipophilicity allows for membrane permeability in several biosystems. If permeability is not sufficient for your application, please use the highly lipophilic 8-pCPT-cGMP (Cat. No.: C 009), PET-cGMP (Cat. No.: P 001) or 8-Br-PET-cGMP (Cat. No.: P 003). In comparison to cyclic GMP 8-Br-cGMP is degraded by cyclic nucleotide-dependent phosphodiesterases much more slowly, however, in contrast to general opinion it is not completely stable. So it is possible that disturbing metabolites can appear, especially during long term incubation experiments. In these cases we recommend again 8-pCPT-cGMP.

Specification: Lyophilized or crystallized sodium salt. The free acid or other salt forms are available upon request. Equal concentrations of 8-Br-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 / 260 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-Br-cGMP does not need special care during handling and shipment. Nevertheless, we recommend that the compound should be stored in the freezer, for longer storage periods preferably in freeze-dried form.

Toxicity and Safety: Since cyclic GMP has multiple tasks in every organism it is possible that 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 \(in\) \(vivo\) 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-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>
<thead>
<tr>
<th>No.</th>
<th>Solvent</th>
<th>Solubility [mM]</th>
</tr>
</thead>
<tbody>
<tr>
<td>I</td>
<td>H₂O</td>
<td>200</td>
</tr>
<tr>
<td>II</td>
<td>DMSO</td>
<td>0</td>
</tr>
<tr>
<td>III</td>
<td>DMF</td>
<td>0</td>
</tr>
<tr>
<td>IV</td>
<td>Ethanol 96%</td>
<td>0</td>
</tr>
<tr>
<td>V</td>
<td>Methanol</td>
<td>4</td>
</tr>
<tr>
<td>VI</td>
<td>PBS, pH 7.4</td>
<td>200</td>
</tr>
<tr>
<td>VII</td>
<td>100 mM Na₂HPO₄, pH 7.0</td>
<td>200</td>
</tr>
<tr>
<td>VIII</td>
<td>25 mM Hepes/NaOH, pH 7.2</td>
<td>200</td>
</tr>
<tr>
<td>IX</td>
<td>25 mMTris/HCl, pH 7.4</td>
<td>200</td>
</tr>
</tbody>
</table>

Selected References for 8-Br-cGMP: Since 8-Br-cGMP is a well known biochemical tool there exist numerous citations for almost every biosystem and it is impossible to list them all. Therefore, the following papers give basic information about different aspects of 8-Br-cGMP:

Protein Kinases:


Ion channels:


Scott, S.-P.; Tanaka, J. C., Biochemistry, 34, 2338 - 2347 (1995): "Molecular Interactions of 3’,5’-Cyclic Purine Analouges with the Binding Site of Retinal Rod Ion Channels"


Phosphodiesterases:

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BIOLOG Life Science Institute, Bremen, Germany    Phone: 49 (0) 421 591355    Fax: 49 (0) 421 5979713    e-mail: service@biolog.de


