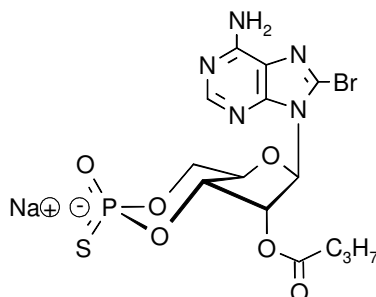


Technical Information about Rp-8-Bromo-2'-O-monobutyryl-cAMPS

Lipophilic, metabolically activated precursor of the PDE-resistant protein kinase A inhibitor Rp-8-Br-cAMPS

Update: October 11, 2007 TR



Abbreviation: Rp-8-Br-2'-O-MB-cAMPS

Formula	CAS No.	Molecular Weight	UV	BIOLOG Cat. No.
C ₁₄ H ₁₆ BrN ₅ O ₆ PS·Na	[788807-32-9]	516.2	λ _{max} 264 nm / ε 17000 / pH 7	B 010

Name: 8- Bromo- 2'- O- monobutyryladenosine- 3', 5'- cyclic monophosphate, Rp- isomer

Description: Rp-8-Br-2'-O-MB-cAMPS is an analogue of the parent compound cyclic AMP where the hydrogen in position 8 of the nucleobase is replaced by bromine. In addition, the equatorial one of the two exocyclic oxygen atoms in the cyclic phosphate moiety is modified by sulfur. The suffix "p" indicates that R/S nomenclature refers to phosphorus. The 2'-ribose hydroxyl group has been esterified by butyric acid.

Properties: Rp-8-Br-2'-O-MB-cAMPS is a lipophilic precursor of the cyclic AMP antagonist Rp-8-Br-cAMPS (BIOLOG Cat. No.: B 001). The butyryl group masks the polar 2' hydroxyl group and facilitates membrane permeability. During metabolic activation by intracellular esterases the inhibitor and butyrate are released. As observed with dibutyryl cAMP, release of butyrate can already start in the medium if it contains serum esterases. Please note that butyrate can have its own biochemical effects, therefore a control experiment with sodium butyrate is necessary.

Rp-8-Br-2'-O-MB-cAMPS is significantly more lipophilic and membrane permeant compared to Rp-8-Br-cAMPS. Detailed technical information and updated reference list as well as application data from published and unpublished experimental results are available for Rp-8-Br-cAMPS. Both, Rp-8-Br-2'-O-MB-cAMPS and the released Rp-8-Br-cAMPS are resistant towards mammalian cyclic nucleotide-dependent phosphodiesterases.

Specification: Lyophilized or crystallized sodium salt. Equal concentrations of Rp-8-Br-2'-O-MB-cAMPS 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 λ_{max}.

Purity: Typical analysis is better than 97% (HPLC / UV / 264 nm). The product is not sterile and has not been tested for endotoxins.

Solubility: Due to its increased lipophilicity Rp-8-Br-MB-cAMPS has limited (but sufficient for most applications) solubility in water and buffer. 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.

Stability and Storage: Rp-8-Br-2'-O-MB-cAMPS 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. Please note that some cell culture media contain esterases which can already release the active inhibitor.

Toxicity and Safety: Since cyclic AMP has multiple tasks in every organism it is very likely that lipophilic cAMP 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!

P.t.o.

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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

Reference for Rp-8-Br-2'-O-MB-cAMPS:

- 1 Ruiz-Velasco, V.; Zhong, J.; Hume, J.R.; Keef, K.D., *Circ. Res.*, **82**, 557 - 565 (1998): "Modulation of Ca²⁺ Channels by Cyclic Nucleotide Cross Activation of Opposing Protein Kinases in Rabbit Portal Vein"

Selected References for Rp-8-Br-cAMPS, the actual inhibitor:

- 1 Dostmann, W.R.G.; Taylor, S.S.; Genieser, H.-G.; Jastorff, B.; Doeskeland, S.O.; Oegreid, D., *J. Biol. Chem.*, **265**, 10484 - 10491 (1990): "Probing the Cyclic Nucleotide Binding Sites of cAMP-Dependent Protein Kinase I and II With Analogs of Adenosine 3', 5'- Cyclic Phosphorothioates"
- 2 Yokozaki, H.; Tortora, G.; Pepe, S.; Maronde, E.; Genieser, H.-G.; Jastorff, B.; Cho-Chung, Y.S., *Cancer Res.*, **52**, 2504 - 2508 (1992): "Unhydrolysable Analogs of Cyclic Adenosine-3', 5'-Monophosphate Demonstrating Growth Inhibition and Differentiation in Human Cancer Cells"
- 3 Dent, G.; Giembycz, M.A.; Rabe, K.F.; Wolf, B.; Barnes, P.J.; Magnussen, H.; *Am. J. Respir. Cell. Mol. Biol.*, **10**, 565 - 572 (1994): "Theophylline Suppresses Human Alveolar Macrophage Respiratory Burst Through Phosphodiesterase Inhibition"
- 4 Chao, A.C.; de Sauvage, F.J.; Dong, Y.-J.; Wagner, J.A.; Goeddel, D.V.; Gardner, P., *EMBO J.*, **13**, 1065 - 1072 (1994): "Activation of Intestinal CFTR Cl Channel by Heat-stable Enterotoxin and Guanylin Via cAMP-dependent Protein Kinase"
- 5 Schäfer, C.; Steffen, H.; Printz, H.; Göke, B., *Can. J. Physiol. Pharmacol.*, **72**, 1138 - 1147 (1994): "Effects of Synthetic cyclic AMP Analogs on Amylase Exocytosis from Rat Pancreatic Acini"
- 6 Cornwell, T.L.; Arnold, E.; Boerth, N.J.; Lincoln, T.M., *Am. J. Physiol.*, **36**, C1405 - C1413 (1995): "Inhibition of Smooth Muscle Cell Growth by Nitric Oxide and Activation of cAMP-dependent Protein Kinase by cGMP"
- 7 Gjertsen, B.T.; Mellgren, G.; Otten, A.; Maronde, E.; Genieser, H.-G.; Jastorff, B.; Vintermyr, O.K.; McKnight, G.S.; Doeskeland, S.O., *J. Biol. Chem.*, **270**, 20599 - 20607 (1995): "Novel (Rp)-cAMPS Analogs as Tools for Inhibition of cAMP-kinase in Cell Culture"
- 8 Gjertsen, B.T.; Mellgren, G.; Vintermyr, O.K.; Genieser, H.-G.; Jastorff, B.; Doeskeland, S.O., Int. Conf. on Second Mess. & Phosphoprot., Nashville, TN, USA, 1992: "The Basal cAMP-Dependent Protein Kinase (cAK) Activity in Resting Hepatocytes Can Be inhibited By Rp-8-Br-cAMPS, and Has a Permissive Role For IL-1 β Action"
- 9 L'hirondel, M.; Cheramy, A.; Godeheu, G.; Glowinski, J., *J. Neurochem.*, **64**, 1406 - 1409 (1995): "Effects of Arachidonic Acid on Dopamine Synthesis, Spontaneous Release, and Uptake in Striatal Synaptosomes from the Rat"
- 10 Reyland, M., *Mol. Endocrinol.*, **7**, 1021 - 1030 (1993): "Protein Kinase C is a Tonic Negative Regulator of Steroidogenesis and Steroid Hydroxylase Gene Expression in Y1 Adrenal Cells and Functions Independently of Protein Kinase A"
- 11 Tenor, H.; Hatzelmann, A.; Church, M.K.; Schudt, C.; Shute, J.K., *Br. J. Pharmacol.*, **118**, 1727 - 1735 (1996): "Effects of Theophylline and Rolipram on Leukotriene C4 (LTC4) Synthesis and Chemotaxis of Human Eosinophils from Normal and Atopic Subjects"
- 12 Wolf, F.I.; diFrancesco, A.; Covacci, V.; Corda, D.; Cittadini, A., *Arch. Biochem. Biophys.*, **331**, 194 - 200 (1996): "Regulation of Intracellular Magnesium in Ascites Cells: Involvement of Different Regulatory Pathways"
- 13 Armstead, W.M., *Am. J. Physiol.*, **40**, H166 - H172 (1996): "cGMP and cAMP in Prostaglandin-induced Pial Artery Dilation and Increased CSF Opioid Concentration"