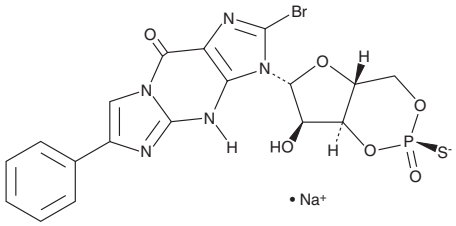
PRODUCT INFORMATION

Rp-8-bromo-PET-Cyclic GMPS (sodium salt)

Item No. 18823

CAS Registry No.: 185246-32-6
Formal Name: 2-bromo-3,4-dihydro-3-[3,5-O-[(R)-mercaptophosphinylidene]-β-D-ribofuranosyl]-6-phenyl-9H-imidazo[1,2-a]purin-9-one, monosodium salt
Synonym: Rp-8-bromo-PET-cGMPS
MF: $C_{18}H_{14}BrN_5O_6PS \bullet Na$
FW: 562.3
Purity: ≥99%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Rp-8-bromo-PET-Cyclic GMPS (Rp-8-bromo-PET-cGMPS) (sodium salt) is supplied as a crystalline solid. A stock solution may be made by dissolving the Rp-8-bromo-PET-cGMPS (sodium salt) in water. We do not recommend storing the aqueous solution for more than one day.

Description

Rp-8-bromo-PET-cGMPS is an analog of cyclic GMP (cGMP). It is a cell permeable, competitive, and reversible inhibitor of cGMP-dependent protein kinases (cGKs) that blocks activation of cGKI and cGKII by cGMP (K_is = 35 and 30 nM).[1,2] It less potently inhibits protein kinase A (K_i = 11 µM) and cGMP-induced activation of cyclic nucleotide-gated channels (IC_{50} = 25 µM).[1,3] In the absence of cGMP stimulation, Rp-8-bromo-PET-cGMPS can act as a partial agonist of cGKI (K_i = 1 µM).[2] Rp-8-bromo-PET-cGMPS is resistant to hydrolysis by phosphodiesterase 11.[4]

References

1. Butt, E., Pöhler, D., Genieser, H.-G., *et al.* Inhibition of cyclic GMP-dependent protein kinase-mediated effects by (Rp)-8-bromo-PET-cyclic GMPS. *Br. J. Pharmacol.* **116(8)**, 3110-3116 (1995).
2. Valtcheva, N., Nestorov, P., Beck, A., *et al.* The commonly used cGMP-dependent protein kinase type I (cGKI) inhibitor Rp-8-Br-PET-cGMPS can activate cGKI in vitro and in intact cells. *J. Biol. Chem.* **284(1)**, 556-562 (2009).
3. Wei, J.Y., Cohen, E.D., Yan, Y.Y., *et al.* Identification of competitive antagonists of the rod photoreceptor cGMP-gated cation channel: Beta-phenyl-1,N2-etheno-substituted cGMP analogues as probes of the cGMP-binding site. *Biochemistry* **35(51)**, 16815-16823 (1996).
4. Jäger, R., Russwurm, C., Schwede, F., *et al.* Activation of PDE10 and PDE11 phosphodiesterases. *J. Biol. Chem.* **287(2)**, 1210-1219 (2012).

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