

Product Data Sheet

Product Name: Regadenoson

Cat. No.: GC13082

Chemical Properties

Cas. No. 313348-27-5

Chemical Name (Z)-1-(6-amino-9-((2R,3R,4S,5R)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydrofuran-2-yl)-9H-purin-2-yl)-N-methyl-1H-pyrazole-4-carbimidic acid

SMILES C/N=C(O)/C(C=N1)=CN1C2=NC(N)=C(N=CN3[C@@]4([H])[C@@](O)([H])[C@@](O)([H])[C@@](O4)([H])CO)C3=N2

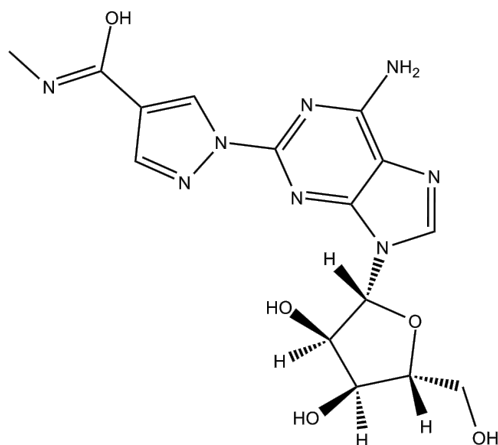
Formula $C_{15}H_{18}N_8O_5$ M.Wt 390.35

Solubility $\geq 18.05\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines A549 and H1299 cells (human non-small cell lung cancer cell lines)

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A549 and H1299 cells were maintained in Dulbecco's minimal essential medium Preparation Method (DMEM) supplemented with 10% fetal bovine serum (FBS) at 37°C, 5% CO₂. Cells were treated with Regadenoson at concentrations of 5–10µM for 6 hours.

Reaction
Conditions 5–10µM; 6h.

Applications Regadenoson significantly induced epithelial-mesenchymal transition (EMT), characterized by downregulation of E-cadherin and upregulation of N-cadherin, fibronectin, and Snail. Regadenoson also activated the A2AR-mediated cAMP/PKA/CREB and PI3K/AKT signaling pathways, increasing phosphorylated AKT and CREB levels. The pro-migratory effects of Regadenoson were abrogated by Snail knockdown, confirming Snail's essential role in Regadenoson-induced EMT.

Animal experiment [2]:

Animal models K18-hACE2 transgenic mice (B6.Cg-Tg(K18-ACE2)2PrImn/J)

Preparation Method Mice were subcutaneously implanted with 7-day Alzet osmotic pumps delivering Regadenoson (0.5µL/h ; 80µg/mL) or saline, starting just prior to intranasal infection with SARS-CoV-2 (1250PFU). Mice were monitored for survival and euthanized based on clinical endpoints (e.g., >20% weight loss).

Dosage form 0.5µL/h ; 80µg/mL; s.c.; Continuous infusion via osmotic pump for 7 days.

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Applications Regadenoson significantly increased 10-day survival from 10% (saline control) to 40% in SARS-CoV-2-infected mice, delaying mortality with most deaths occurring on day 7 (coinciding with pump exhaustion) versus day 4–5 in controls.

References:

- [1] Lu Y, Yang Y, Chang T, et al. Lactate drives CD38 signaling to promote Epithelial-Mesenchymal Transition through Snail induction in non-small cell lung cancer cells. J Cell Commun Signal. 2024 Feb 14;18(1):e12018.
- [2] Rabin J, Zhao Y, Mostafa E, et al. Regadenoson for the treatment of COVID-19: A five case clinical series and mouse studies. PLoS One. 2023 Aug 11;18(8):e0288920.

Background

Regadenoson is a selective A_{2A} adenosine receptor agonist with coronary vasodilatory activity [1-2]. Regadenoson functions by selectively activating A_{2A} adenosine receptors, which are predominantly distributed on coronary artery smooth muscle cells. This activation increases adenylate cyclase activity, elevates intracellular cyclic adenosine monophosphate (cAMP) levels, thereby relaxing coronary vessels and significantly augmenting coronary blood flow [3-4].

In vitro, Regadenoson (10µM) was administered to mouse brain endothelial cells (bEnd.3) and rat brain microvascular endothelial cells (RBMEC) for durations ranging from 30 minutes to 4 hours. Treatment with Regadenoson induced cytoskeletal actin filament depolymerization via A_{2A}R activation and downregulated the expression of tight junction proteins (claudin-5, occludin) and adherens junction proteins (VE-cadherin), resulting in a transient disruption of endothelial barrier function [5]. Non-small cell lung cancer cells (A549, H1299) were treated with Regadenoson (5–10µM) for 6 hours. Regadenoson upregulated the expression of the transcription factor Snail via the cAMP/PKA/CREB and PI3K/AKT signaling pathways, thereby inducing epithelial-mesenchymal transition (EMT) [6].

In vivo, K18-hACE2 transgenic mice infected with SARS-CoV-2 received a continuous subcutaneous infusion of Regadenoson (0.5µL/h; 80µg/mL) via an implanted Alzet micro-osmotic pump, initiated

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prior to infection and sustained for 7 days. Regadenoson significantly improved survival rates and delayed the time to death in the mice^[7]. Normal F344 rats received a single intravenous tail vein injection of Regadenoson (0.5µg/kg) administered 60 or 90 minutes after oral temozolomide. Regadenoson significantly increased the concentration of temozolomide in the brain tissue and the brain-to-plasma concentration ratio at the 120-minute time point^[8].

References:

- [1] Bengalorkar GM, Bhuvana K, Sarala N, et al. Regadenoson. J Postgrad Med. 2012 Apr-Jun;58(2):140-6.
- [2] Garnock-Jones KP, Curran MP. Regadenoson. Am J Cardiovasc Drugs. 2010;10(1):65-71.
- [3] Ye Z, Sheu WC, Qu H, et al. Autocatalytic, Brain Tumor-Targeting Delivery of Bardoxolone Methyl Self-Assembled Nanoparticles for Glioblastoma Treatment. Small Sci. 2024 May 22;4(8):2400081.
- [4] Han L, Cai Q, Tian D, et al. Targeted drug delivery to ischemic stroke via chlorotoxin-anchored, leixiscan-loaded nanoparticles. Nanomedicine. 2016 Oct;12(7):1833-1842.
- [5] Vézina A, Manglani M, Morris D, et al. Adenosine A2A Receptor Activation Enhances Blood-Tumor Barrier Permeability in a Rodent Glioma Model. Mol Cancer Res. 2021 Dec;19(12):2081-2095.
- [6] Lu Y, Yang Y, Chang T, et al. Lactate drives CD38 signaling to promote Epithelial-Mesenchymal Transition through Snail induction in non-small cell lung cancer cells. J Cell Commun Signal. 2024 Feb 14;18(1):e12018.
- [7] Rabin J, Zhao Y, Mostafa E, et al. Regadenoson for the treatment of COVID-19: A five case clinical series and mouse studies. PLoS One. 2023 Aug 11;18(8):e0288920.
- [8] Jackson S, Anders NM, Mangraviti A, et al. The effect of regadenoson-induced transient disruption of the blood-brain barrier on temozolomide delivery to normal rat brain. J Neurooncol. 2016 Feb;126(3):433-9.

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