Data Sheet (Cat.No.TQ0125)

TargetMol

Capadenoson

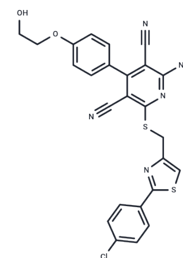
Chemical Properties

CAS No. : 544417-40-5

Formula: C25H18ClN5O2S2

Molecular Weight: 520.03

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.



Biological Description

Description	Capadenoson (BAY 68-4986) is a selective adenosine-A1 receptor agonist.
Targets(IC50)	Adenosine Receptor
In vitro	To elucidate the pharmacological effects of Capadenson, GTP shift assays compare its action to the A1-agonist CCPA and A1-antagonist DPCPX on rat cortical brain membranes. CCPA has a Ki value of 4.2 nM, which increases to 64 nM with 1 mM GTP, demonstrating a GTP shift of 15. Conversely, DPCPX displays a GTP shift of 1, indicating nearly unchanged Ki values with and without GTP. Capadenson presents a Ki value of 24 nM, escalating to 116 nM when exposed to 1 mM GTP, equating to a GTP shift of 5. This data highlights the distinct interactions of these compounds with GTP and their varied pharmacological profiles.
In vivo	In vivo experiments involving Wistar rats and SHR showed that pre-treatment with Capadenoson (0.15 mg/kg) for 5 days led to a consistent plasma concentration of the drug, averaging 7.63 μg/L on days 4 and 5. This level remained stable even after a 2-hour physical restraint stress test performed on day 5, administered 3 hours post Capadenoson intake, indicating steady absorption and efficacy throughout the pre-test period.
Kinase Assay	Membranes from the human cortex are prepared. [35S]GTPγS binding is measured. Briefly, 5 μg of membrane protein is incubated in a total volume of 160 μL for 2 hr at 25° C in a shaking water bath. [35S]GTPγS binding in control incubations and in the presence of Capadenoson showed a linear time course up to this incubation time. Binding buffer contained 50 mM Tris/HCl, pH 7.4, 2 mM triethanolamine, 1 mM EDTA, 5 mM MgCl2, 10 μM GDP, 1 mM dithiothreitol, 100 mM NaCl, 0.2 units/mL adenosine deaminase, 0.2 nM [35S]GTPγS, and 0.5% bovine serum albumin. Non-specific binding is determined in the presence of 10 μM GTPγS. Incubations are terminated through filtration of the samples over multiscreen FB glass fiber filters followed by two washes with binding buffer. The filters are dried, coated with scintillator and counted for radioactivity. Binding curves of [35S]GTPγS are analyzed by nonlinear regression using GraphPad Prism.
Animal Research	A total of 14 Wistar rats and 18 SHR (bodyweight 200-50 g, all-female) underwent experiments to evaluate the exocytotic, stimulation-induced NE release during electrical field stimulation. Rats are killed by an injection of pentobarbital i.p. (0.5 mL/100 mg body weight), and hearts are rapidly excised, and placed in ice-cold Krebs-Henseleit

Animal Research	solution (KHL). They are quickly mounted on a Langendorff apparatus for retrograde perfusion with KHL. Perfusion rate is kept constant at 10 mL/min, the temperature is adjusted to 37°C, and the pH to 7.4 through bubbling with 5% CO2/95% O2. Via an inflow line desipramine at a concentration of 10?7 M is added to the perfusion buffer. After an equilibration period of 20 minutes, electrical field stimulation is commenced via two metal paddles adjacent to both sides of the beating heart for 1 minute (5V, 6 Hz). We collected the efflux in plastic tubes the minute before, during, and 3 minutes after the stimulation. These are rapidly frozen in liquid nitrogen and stored at ?20°C till analysis. The NE release is calculated as the cumulative release induced by electrical stimulation. After the first stimulation (S1), the study drug Capadenoson at concentrations of 30 μg/L (6×10^?8 M) or 300 μg/L(6×10^?7 M), or CCPA (10^?6 M), respectively, are added via separate perfusion lines for 30 minutes. After this time a second stimulation (S2) is executed to determine the effect of the drugs on NE release compared to the first stimulation. The effect of each pharmacological intervention is analyzed by calculating the ratio of NE release induced by the second and first stimulation (S2/S1 ratio).

Solubility Information

Solubility	DMSO: 70 mg/mL (134.61 mM),Sonication is recommended. H2O: Insoluble, (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 3.3 mg/mL (6.35 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.923 mL	9.6148 mL	19.2297 mL
5 mM	0.3846 mL	1.923 mL	3.8459 mL
10 mM	0.1923 mL	0.9615 mL	1.923 mL
50 mM	0.0385 mL	0.1923 mL	0.3846 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Bott-Flügel L, et al. Selective attenuation of norepinephrine release and stress-induced heart rate increase by partial adenosine A1 agonism. PLoS One. 2011 Mar 28;6(3):e18048.

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