

GS-6201

Chemical Properties

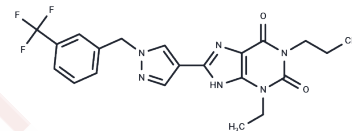
CAS No. : 752222-83-6

Formula: C₂₁H₂₁F₃N₆O₂

Molecular Weight: 446.43

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	GS-6201 (CVT-6883) is a selective antagonist of the adenosine A2B receptor, demonstrating high affinity and selectivity with a K _i of 22 nM for human adenosine A2B receptors.
Targets(IC50)	Adenosine Receptor
In vivo	GS-6201 (2 mg/kg; p.o.) treatment displays the C _{max} , dAUC and t _{1/2} are 1110 ng/mL, 6500 ng h/mL, and 4.25 hours, respectively [1]. GS-6201 (4 mg/kg; i.p.; every 12 h for 14 days) obviously decreases IL-6, TNF- α , E-selectin, ICAM-1, and VCAM plasma levels. GS-6201 (4 mg/kg; i.p.; every 12 h for 14 days) causes an obvious attenuation of left and right ventricular enlargement and dysfunction at 7 days, which was maintained at 14 days and also at 28 days [2].

Solubility Information

Solubility	DMSO: 30 mg/mL (67.2 mM), Sonication and heating to 60°C are recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 2 mg/mL (4.48 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	2.240 mL	11.200 mL	22.3999 mL
5 mM	0.448 mL	2.240 mL	4.480 mL
10 mM	0.224 mL	1.120 mL	2.240 mL
50 mM	0.0448 mL	0.224 mL	0.448 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

Toldo S, et al. GS-6201, a selective blocker of the A2B adenosine receptor, attenuates cardiac remodeling after acute myocardial infarction in the mouse. *J Pharmacol Exp Ther.* 2012 Dec;343(3):587-95.

Mustafa SJ, et al. Effect of a specific and selective A(2B) adenosine receptor antagonist on adenosine agonist AMP and allergen-induced airway responsiveness and cellular influx in a mouse model of asthma. *J Pharmacol Exp Ther.* 2007 Mar;320(3):1246-51.

Elzein E, et al. Discovery of a novel A2B adenosine receptor antagonist as a clinical candidate for chronic inflammatory airway diseases. *J Med Chem.* 2008 Apr 10;51(7):2267-78.

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