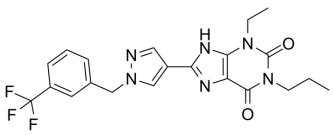
GS-6201

Cat. No.:	HY-10081
CAS No.:	752222-83-6
Molecular Formula:	$C_{21}H_{21}F_3N_6O_2$
Molecular Weight:	446.43
Target:	Adenosine Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (224.00 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2400 mL	11.2000 mL	22.3999 mL
	5 mM	0.4480 mL	2.2400 mL	4.4800 mL
	10 mM	0.2240 mL	1.1200 mL	2.2400 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2 mg/mL (4.48 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2 mg/mL (4.48 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GS-6201 (CVT-6883) is a selective adenosine A2B receptor antagonist. GS-6201 displays high affinity and selectivity for the human adenosine A2B receptors (K_i=22 nM)[1]. GS-6201 reduces caspase-1 activity in the heart, and attenuates cardiac remodeling after acute myocardial infarction (AMI) in the mouse[2]. GS-62013 attenuates the airway reactivity induced by NECA, AMP, or allergen in sensitized mice[3].

IC_{50} & Target

Ki: 22 nM (human A2B receptors), 1070 nM (human A3 receptors), 1940 nM (human A1 receptors), 3280 nM (human A2A receptors)[1]

In Vivo

GS-6201 (CVT-6883) (4 mg/kg; i.p.; every 12 h for 14 days) significantly reduces IL-6, TNF-α, E-selectin, ICAM-1, and VCAM plasma levels[2].
GS-6201 (4 mg/kg; i.p.; every 12 h for 14 days) leads to a significant attenuation of left and right ventricular enlargement and

dysfunction at 7 days, which was maintained at 14 days and also at 28 days[2].
GS-6201 (2 mg/kg; p.o.) treatment shows the C_{max}, dAUC and $t_{1/2}$ are 1110 ng/mL, 6500 ng h/mL, and 4.25 hours, respectively[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Adult out-bred male CD1 mice (8-12 weeks of age, AMI model)[2]
Dosage:	4 mg/kg
Administration:	i.p.; every 12 h for 14 days
Result:	Significantly reduced IL-6, TNF-α, E-selectin, ICAM-1, and VCAM plasma levels.

Animal Model:	Sprague-Dawley rats[1]
Dosage:	2 mg/kg
Administration:	p.o. (Pharmacokinetic Analysis)
Result:	The C_{max}, dAUC and $t_{1/2}$ were 1110 ng/mL, 6500 ng h/mL, and 4.25 hours, respectively.

CUSTOMER VALIDATION

- Nat Commun. 2023 Jun 8;14(1):3364.

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REFERENCES

[1]. 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.

[2]. 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.

[3]. 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.

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