

Product Data Sheet

Product Name: GS-6201
Cat. No.: GC38789

Chemical Properties

Cas. No. 752222-83-6

SMILES O=C(N(CCC)C1=O)N(CC)C(C1=N2)=NC2C3=CN(N=C3)CC4=CC=CC(C(F)(F)F)=C4

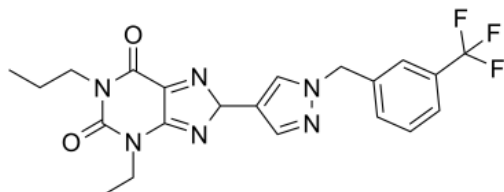
Formula $C_{21}H_{21}F_3N_6O_2$ M.Wt 446.43

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



Background

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].

Caution: Product has not been fully validated for medical applications. For research use only.

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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} were 1110 ng/mL, 6500 ng h/mL, and 4.25 hours, respectively[1]. Animal Model: Adult out-bred male CD1 mice (8-12 weeks of age, AMI model)[1]

[1]. Elzein E, et al. Discovery of a novel A_{2B} 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 A_{2B} 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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