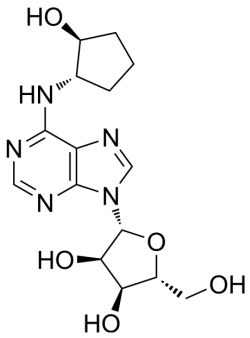
GR79236

Cat. No.:	HY-18978
CAS No.:	124555-18-6
Molecular Formula:	$C_{15}H_{21}N_5O_5$
Molecular Weight:	351.36
Target:	Adenosine Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (284.61 mM; Need ultrasonic)
DMSO : ≥ 100 mg/mL (284.61 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.8461 mL	14.2304 mL	28.4608 mL
5 mM	0.5692 mL	2.8461 mL	5.6922 mL
10 mM	0.2846 mL	1.4230 mL	2.8461 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 11.11 mg/mL (31.62 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.12 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.12 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.12 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GR79236 is a highly potent, selective and orally active adenosine A1 receptor agonist with a K_is of 3.1 nM and 1300 nM for A1 and A2 receptors, respectively. GR79236 has anti-nociceptive and anti-inflammatory actions[1][2].

IC_{50} & Target	Ki: 3.1 nM (Adenosine A1 receptor) and 1300 nM (Adenosine A2 receptor)[1]
In Vitro	GR79236 inhibits Isoprenaline-stimulated cAMP accumulation in DDT-MF2 cells with an IC_{50} of 2.6 nM[1]. GR79236 inhibits catecholamine-induced lipolysis in human, rat and dog isolated adipocytes[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	GR79236 decreases locomotor activity and inhibits DMCM-induced seizures in mice (ED_{50}s of 0.13 mg/kg and 0.3 mg/kg, respectively)[1]. Oral administration of GR79236 (0.1-10 mg/kg) to fed rats induces minimal changes in the plasma concentration of non-esterified fatty acids and in the blood concentrations of glucose and lactate[3]. Intravenous infusion of GR79236 to fasted pithed rats, or oral administration of GR79236 to fasted conscious rats and dogs, produces time- and dose-dependent decreases in the plasma non-esterified fatty acid concentration. In the fasted rats, doses of GR79236 that lowered plasma levels of non-esterified fatty acids also produced hypotriglyceridaemia and anti-ketotic effects[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Sneyd JR, et al. Multicentre evaluation of the adenosine agonist GR79236X in patients with dental pain after third molar extraction. Br J Anaesth. 2007 May;98(5):672-676.

[2]. L J Knutsen, et al. N-substituted adenosines as novel neuroprotective A(1) agonists with diminished hypotensive effects. J Med Chem. 1999 Sep 9;42(18):3463-77.

[3]. P Strong, et al. Suppression of non-esterified fatty acids and triacylglycerol in experimental animals by the adenosine analogue GR79236. Clin Sci (Lond). 1993 Jun;84(6):663-9.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA