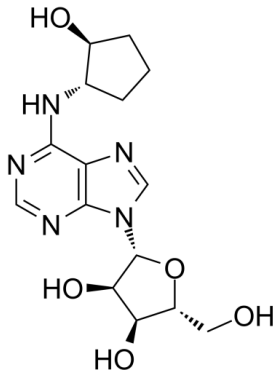
Data Sheet

Product Name:	GR79236
Cat. No.:	CS-4965
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
Solubility:	H2O : 100 mg/mL (284.61 mM; Need ultrasonic); DMSO : ≥ 100 mg/mL (284.61 mM)



BIOLOGICAL ACTIVITY:

GR79236 is a highly potent, selective and orally active **adenosine A1 receptor** agonist with a **K_i**s of 3.1 nM and 1300 nM for **A1** and A2 receptors, respectively. GR79236 has anti-nociceptive and anti-inflammatory actions[1][2]. IC50 & 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]. **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].

PROTOCOL (Extracted from published papers and Only for reference)

Animal administration [1] GR79236 is dissolved in sterile physiological saline. After an overnight fast, 15 domestic pigs (female, 10-14 kg), divided into two groups (n = 8 and n = 7 for vehicle and GR79236, respectively), were sedated with azaperone (120 mg, i.m.), midazolam hydrochloride (10 mg, i.m.) and then anaesthetized with sodium pentobarbital (600 mg, i.v.). After tracheal intubation, the animals were connected to a respirator for intermittent positive pressure ventilation with a mixture of room air and oxygen. Respiratory rate, tidal volume and oxygen supply were adjusted to keep arterial blood gas values within physiological limits (pH 7.35-7.48; pCO2 35-48 mmHg; pO2 100-120 mmHg). Anaesthesia was maintained with a continuous i.v. infusion of sodium pentobarbital (12-20 mg/kg/h). This anaesthetic regimen, together with bilateral vagosympathectomy (see below), increases the heart rate and markedly dilates carotid arterioles and arteriovenous anastomoses. Consequently, the carotid blood flow, particularly its arteriovenous anastomotic (AVA) fraction, was considerably higher in these pigs than in conscious or thiopental-anaesthetized pigs.

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.

CAIndexNames:

Adenosine, N-[(1S,2S)-2-hydroxycyclopentyl]-

SMILES:

OC[C@@H]1[C@H]([C@H]([C@H](N2C=NC3=C2N=CN=C3N[C@@H]4[C@@H](O)CCC4)O1)O)O

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