

Product Data Sheet

Product Name: GR 79236

Cat. No.: GC12315

Chemical Properties

Cas. No. 124555-18-6

Chemical Name (2S,3R,4S,5R)-2-(6-(((1S,2S)-2-hydroxycyclopentyl)amino)-9H-purin-9-yl)-5-(hydroxymethyl)tetrahydrofuran-3,4-diol

SMILES O[C@H]1[C@@H](N2C3=NC=NC(N[C@@H](CCC4)[C@H]4O)=C3N=C2)O[C@H](CO)[C@H]1O

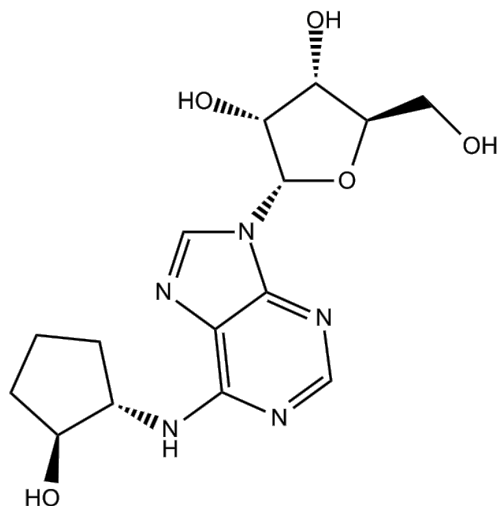
Formula $C_{15}H_{21}N_5O_5$ M.Wt 351.36

Solubility DMSO: 30 mg/ml, Ethanol: 15 mg/ml, Water: 30 mg/ml 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

IC50: 3.1 nM (Ki)

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

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GR 79236, N-[(1 S, trans)-2-hydroxycyclopentyl] adenosine, is an highly potent and selective adenosine A1 receptor agonist. Adenosine A1 receptor is ubiquitous throughout the entire body, and offer has an inhibitory function on most of the tissues.

In vitro: GR79236 is a highly potent and selective A1 receptor agonist which is originally developed for the treatment of Type 2 diabetes mellitus, as a cardioprotective agent and also for peripheral arterial occlusive diseases. GR79236 inhibited catecholamine-induced lipolysis in adipocytes at low concentrations [1]

In vivo: GR79236 has a pronounced effect on NEFA and TG levels in both acute and chronic animal models, thus establishing the potential of this approach for the treatment of T2D [1]. GR79236 also can inhibit neurogenic vasodilation in anaesthetized rats, inhibit electrical stimulation of superior sagittal sinus-induced trigeminovascular nociceptive transmission and CGRP release in anaesthetized cats and inhibit trigeminal nociception in humans [2].

Clinical trial: The analgesic efficacy of GR79236 was evaluated in the dental pain model (primarily inflammatory pain state). No evidence of efficacy of GR79236 was found compared with placebo [3].

References:

- [1] Kiesman WF, Elzein E, Zablocki J. A1 adenosine receptor antagonists, agonists, and allosteric enhancers. *Handb Exp Pharmacol*. 2009;(193):25-58.
- [2] Arulmani U, Heiligers JP, Centuri3n D, Garrelds IM, Villal3n CM, Saxena PR. Lack of effect of the adenosine A1 receptor agonist, GR79236, on capsaicin-induced CGRP release in anaesthetized pigs. *Cephalalgia*. 2005 Nov;25(11):1082-90.
- [3] Sneyd JR, Langton JA, Allan LG, Peacock JE, Rowbotham DJ. Multicentre evaluation of the adenosine agonist GR79236X in patients with dental pain after third molar extraction. *Br J Anaesth*. 2007 May;98(5):672-6. Epub 2007 Apr 7.

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