For Research Use Only

CGS 21680

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Catalog Number: CM00152

产品信息

Catalog Number:
CM00152

CAS号:
120225-54-9

分子式:
$C_{23}H_{29}N_7O_6$

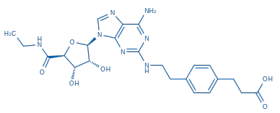
主要靶点:
Adenosine Receptor

主要通路:
GPCR/G Protein

分子量:
499.5197

MDL NO:
MFCD00078573

Pubchem ID:
3086599



靶点

Target	Activity
Adenosine Receptor A2	IC50=22nM
Adenosine Receptor A1	IC50=3080nM

动物研究

剂量:
Mice: 0.5 mg/kg[3] (i.p.)
Rat: 0.5 mg/kg - 40 mg/kg[4] (s.c.)

给药途径:
i.p., s.c.

描述

Adenosine is a neuromodulator maintaining adequate oxygen and energy supply throughout the body which is mediated by two specific cell-surface receptors known as A1 and A2. The 2 receptors are intense investigating targets for new drug developments in the treatment of hypertension and epilepsy[6]. CGS 21680 is an adenosine receptors with IC50 values of 22 nM for A2 and 3.1 mM for A1 and with high affinity for brain striatal. CGS 21680 also showed 140-fold selective for A2 without effect on binding to 17 other adenosine receptor ligand sites. The drug increased coronary flow in a rat model[2]. CGS 21680 could bind to A2 with high affinity (Kd = 15.5 nM), which was greatest in striatal membranes, consistent with a selective interaction in a pharmacological profile and high positive correlation between the pharmacological profile of adenosine ligands to inhibit the binding to A2 receptor[7]. CGS 21680 was a weak agonist on A1 receptor mediated events in hippocampal slices and also not helpful of stimulating the formation of cAMP with an EC50 of only 110 nM, suggesting that CGS 21680 is selective at the high affinity adenosine for A2a receptor in striatum[8].

储存

储存条件:

粉末	-20°C	3年
液体	-80°C	1年

运输条件:
Shipped in cold pack

参考文献

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3 Vuaden FC, Savio LE, et al. Adenosine A(2A) receptor agonist (CGS-21680) prevents endotoxin-induced effects on nucleotidase activities in mouse lymphocytes. Eur J Pharmacol. 2011 Jan 25;651(1-3):212-7.

4 Morelli M, Pinna A, et al. Adenosine A2 receptors stimulate c-fos expression in striatal neurons of 6-hydroxydopamine-lesioned rats. Neuroscience. 1995 Jul;67(1):49-55.

5 Chovan JP, Zane PA, et al. Automated-extraction, high-performance liquid chromatographic method and pharmacokinetics in rats of a highly A2-selective adenosine agonist, CGS 21680. J Chromatogr. 1992 Jul 1;578(1):77-83.

6 van Galen PJ. Adenosine A1 and A2 receptors: structure--function relationships. Med Res Rev. 1992 Sep;12(5):423-71. doi: 10.1002/med.2610120502.

7 Jarvis MF. [3H]CGS 21680, a selective A2 adenosine receptor agonist directly labels A2 receptors in rat brain. J Pharmacol Exp Ther. 1989 Dec;251(3):888-93.

8 Lupica CR. Effects of the selective adenosine A2 receptor agonist CGS 21680 on in vitro electrophysiology, cAMP formation and dopamine release in rat hippocampus and striatum. J Pharmacol Exp Ther. 1990 Mar;252(3):1134-41.