产品名称：CGS21680HCL
产品别名：CGS 21680 Hydrochloride

生物活性：

Description	CGS 21680 Hydrochloride is a selective **adenosine A2A receptor** agonist with a **K_i** of 27 nM.
IC_{50} & Target	Ki: 27 nM (Adenosine A2A receptor)[5]
In Vitro	CGS21680 significantly upregulates CD39 and CD73 expression. CGS21680 accelerates the adenosine triphosphate (ATP) hydrolysis and adenosine generation[1]. CGS21680 (10 nM) alone shows only small survival activity, but the activity is significantly enhanced by the addition of a phosphodiesterase inhibitor, IBMX. The survival activity of CGS21680 on cultured motoneurons is exerted by mixed effects of the adenylate cyclase-cAMP-PKA pathway and the transactivation of neurotrophin receptors[4].
In Vivo	CGS21680 (1 mg/kg/i.p.) intervention promotes the development of EAN. CGS21680 exacerbates experimental autoimmune neuritis in Lewis rats induced with bovine peripheral myelin. The exacerbation is accompanied with reduced CD4+ Foxp3+ T cells, increased CD4+ CXCR5+ T cells, B cells, dendritic cells and antigen-specific autoantibodies, which is possibly due to the inhibition of IL-2 induced by CGS21680[2]. CGS21680 (0.1 mg/kg, i.p.) transiently increases heart frequency but does not modify blood pressure of rat, and does not modify either heart frequency or blood pressure at 0.01 mg/kg. Following transient MCAo, CGS21680 at both doses protects from neurological deficit from the first day up to 7 days thereafter. At this time, it has reduced microgliosis, astrogliosis and improved myelin organization in the striatum and cytoarchitecture of the ischemic cortex and striatum. Two days after transient MCAo, CGS21680 has reduced the number of infiltrated granulocytes into the ischemic tissue[3].
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 20 mg/mL (37.31 mM)** * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8657 mL	9.3287 mL	18.6574 mL
	5 mM	0.3731 mL	1.8657 mL	3.7315 mL
	10 mM	0.1866 mL	0.9329 mL	1.8657 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 **In Vitro** 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (4.66 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.66 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；

	向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (4.66 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.66 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (4.66 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.66 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Bao R, et al. Adenosine and the adenosine A2A receptor agonist, CGS21680, upregulate CD39 and CD73 expression through E2F-1 and CREB in regulatory T cells isolated from septic mice. Int J Mol Med. 2016 Sep;38(3):969-75. [2]. Komaki S, et al. Trk and cAMP-dependent survival activity of adenosine A(2A) agonist CGS21680 on rat motoneurons in culture. Neurosci Lett. 2012 Jul 26;522(1):21-4. [3]. Zhang M, et al. Activation of the adenosine A2A receptor exacerbates experimental autoimmune neuritis in Lewis rats in association with enhanced humoral immunity. J Neuroimmunol. 2016 Apr 15;293:129-36. [4]. Rosaria Volpini, et al. Adenosine receptor agonists: synthesis and binding affinity of 2-(aryl)alkylthioadenosine derivatives. [5]. Melani A, et al. Low doses of the selective adenosine A2A receptor agonist CGS21680 are protective in a rat model of transient cerebral ischemia. Brain Res. 2014 Mar 10;1551:59-72.

实验参考：

Cell Assay	10×10^6 MNCs from each group are re-suspended in 2 mL RPMI 1640. Cell suspensions are added with carboxy-fluorescein diacetate, succinimidyl ester (CFSE, final concentration 2.5 μM) and thoroughly mixed. After incubation in the dark for 15 min at 37°C, the staining process is quenched by adding 10 mL ice-cold complete RPMI 1640 (containing 10% FBS) and incubated on ice for 5 min. Then cells are washed twice with RPMI 1640. Cell pellets are re-suspended in complete RPMI 1640 (containing 10% FBS). The stained MNCs (1×10^6 cells/mL, 1 mL/well) are cultured in triplicates in 24-well culture plates in the dark at 37°C. Each well is supplied with 50 μL of Concanavalin A (ConA, final concentration 5 μg/mL) or 50 μL of P0 peptide (final concentration 10 μg/mL). 72 h later, cells are collected and stained with PE-labeled anti-rat CD4 antibody for 30 min at 4°C. Finally, cells are analyzed with a flow cytometer. [2]
Animal Administration	Female Lewis rats aged 6-8 weeks (body weight, 140-160 g) are housed under specific pathogen-free conditions in the local animal facility with free access to water and food. Administration of CGS21680 (at a dose of 1 mg/kg in PBS) starts on day 5 p.i. Rats in experimental group are injected with CGS21680 intraperitoneally (i.p.) every two days until the end of the experiments. Rats in control group are given equal volume of PBS in the same way. The doses (1 mg/kg/i.p.) and the treatment regimen (every two days, start on day 5 p.i.) are determined. [2]

References	[1]. Bao R, et al. Adenosine and the adenosine A2A receptor agonist, CGS21680, upregulate CD39 and CD73 expression through E2F-1 and CREB in regulatory T cells isolated from septic mice. Int J Mol Med. 2016 Sep;38(3):969-75. [2]. Komaki S, et al. Trk and cAMP-dependent survival activity of adenosine A(2A) agonist CGS21680 on rat motoneurons in culture. Neurosci Lett. 2012 Jul 26;522(1):21-4. [3]. Zhang M, et al. Activation of the adenosine A2A receptor exacerbates experimental autoimmune neuritis in Lewis rats in association with enhanced humoral immunity. J Neuroimmunol. 2016 Apr 15;293:129-36. [4]. Rosaria Volpini, et al. Adenosine receptor agonists: synthesis and binding affinity of 2-(aryl)alkylthioadenosine derivatives. [5]. Melani A, et al. Low doses of the selective adenosine A2A receptor agonist CGS21680 are protective in a rat model of transient cerebral ischemia. Brain Res. 2014 Mar 10;1551:59-72.