产品名称：**ZM241385**
产品别名：**ZM241385**

生物活性：

Description	ZM241385 is a potent, high affinity and selective adenosine A2a receptor (A2AR) antagonist with a Ki value of 1.4 nM[1][2][3].
IC_{50} & Target	Ki: 1.4 nM (A2AR)[2]

In Vitro

ZM241385 (1 μM; 24 hours; PC12 cells) treatment reverses the phenomenon that A2AR agonist CGS21680 significantly upregulates A2AR mRNA levels[1].

ZM241385 (1 μM; 48 hours; PC12 cells) treatment reverses the phenomenon that A2AR agonist CGS21680 significantly increases A2AR protein levels[1].

RT-PCR[1]

Cell Line:	PC12 cells
Concentration:	1 μM
Incubation Time:	24 hours
Result:	Suppressed the increased A2AR mRNA levels engendered by CGS21680.

Western Blot Analysis[1]

Cell Line:	PC12 cells
Concentration:	1 μM
Incubation Time:	48 hours
Result:	Decreased A2AR protein levels

In Vivo

ZM241385 (0.2 μg/mouse, 0.4 μg/mouse; intraperitoneal injection; every day; for 11 weeks; female C57BL/6 WT mice) treatment decreases tumor volume, activates CD8+ T cells and reduces the frequency of splenic MDSC[4].

Animal Model:	Female C57BL/6 WT mice received 4-nitroquinoline-N-oxide[4]
Dosage:	0.2 μg/mouse, 0.4 μg/mouse
Administration:	Intraperitoneal injection; every day; for 11 weeks
Result:	Decreased tumor volume, activates CD8+ T cells and reduces the frequency of splenic MDSC.

In Vitro:

DMSO : ≥ 30 mg/mL (88.93 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.9644 mL	14.8218 mL	29.6437 mL
5 mM	0.5929 mL	2.9644 mL	5.9287 mL
10 mM	0.2964 mL	1.4822 mL	2.9644 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

Solvent&Solubility	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 (7.41 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.41 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 (7.41 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.41 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 (7.41 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.41 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]. Wang Z, et al. Static magnetic field exposure reproduces cellular effects of the Parkinson's disease drugcandidate ZM241385. PLoS One. 2010 Nov 8;5(11):e13883. doi: 10.1371/journal.pone.0013883. [2]. Linden J, et al. Characterization of human A(2B) adenosine receptors: radioligandbinding, western blotting, and coupling to G(q) in human embryonickidney 293 cells and HMC-1 mast cells. Mol Pharmacol. 1999 Oct;56(4):705-13. [3]. Poucher SM, et al. The in vitro pharmacology of ZM 241385, a potent, non-xanthine A2a selective adenosinereceptor antagonist. Br J Pharmacol. 1995 Jul;115(6):1096-102. [4]. Ludwig S, et al. Impact of combination immunochemotherapies on progression of 4NQO-induced murine oral squamous cell carcinoma. Cancer Immunol Immunother. 2019 Jul;68(7):1133-1141.