产品名称：SCH 58261
产品别名：SCH 58261

生物活性：

Description	SCH 58261 is a potent, selective and competitive antagonist of adenosine A2A receptor with an IC50 of 15 nM, and displays 323-, 53- and 100-fold more selective for A2A receptor than A1, A2B, and A3 receptors, respectively[1][2][3].
IC_{50} & Target	IC50: 15 nM (A2A receptor)[2]

In Vitro

SCH 58261 (0 nM–10 μM; 7 days) decreases cell viability in a concentration-dependent in the NSCLC cell line H1975[4].

SCH58261 (25 μM; 72 hours) can inhibit the growth of CAF cells[5].

Cell Viability Assay[4]

Cell Line:	H1975 cells
Concentration:	10 nM-10 μM
Incubation Time:	7 days
Result:	Produced a concentration-dependent decrease in H1975 cell growth.

Cell Proliferation Assay[5]

Cell Line:	CAF cells
Concentration:	25 μM
Incubation Time:	72 hours
Result:	Inhibit the growth of CAF1 and CAF2 cells.

In Vivo

SCH 58261 (2 mg/kg; i.p.; daily; for 20 days) causes a decrease in the tumor burden in a NSCLC mouse model[5].

SCH 58261 (5 mg/kg; i.p.; 3 times; every 3 hours; 10 minutes before haloperidol) partially decreases the haloperidol-induced catalepsy and the increase in the PENK mRNA expression in both dorsolateral and ventrolateral parts of the striatum at all three examined levels[6].

SCH 58261 diminishes the parkinsonian-like muscle rigidity and potentiates the effect of L-DOPA in rat model[7].

Animal Model:	4–6 weeks old athymic nude mice (NCI) with PC9 cells xenograft[5]
Dosage:	2 mg/kg
Administration:	Intraperitoneal injection; daily; for 20 days
Result:	Decreased tumor growth.

In Vitro:

DMSO : ≥ 34 mg/mL (98.45 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.8955 mL	14.4776 mL	28.9553 mL
5 mM	0.5791 mL	2.8955 mL	5.7911 mL
10 mM	0.2896 mL	1.4478 mL	2.8955 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

Solvent&Solubility	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: ≥ 2.08 mg/mL (6.02 mM); Clear solution 此方案可获得 ≥ 2.08 mg/mL (6.02 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 20.8 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% corn oil Solubility: ≥ 2.08 mg/mL (6.02 mM); Clear solution 此方案可获得 ≥ 2.08 mg/mL (6.02 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 20.8 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Zocchi C, et al. Binding of the radioligand [3H]-SCH 58261, a new non-xanthine A2A adenosine receptor antagonist, to rat striatal membranes. Br J Pharmacol. 1996 Apr;117(7):1381-6. [2]. Varani K, et al. Pharmacological and biochemical characterization of purified A2a adenosine receptors in human platelet membranes by [3H]-CGS 21680 binding. Br J Pharmacol. 1996 Apr;117(8):1693-701. [3]. Xi J, et al. Adenosine A2A and A2B receptors work in concert to induce a strong protection against reperfusion injury in rat hearts. J Mol Cell Cardiol. 2009 Nov;47(5):684-90. [4]. Kuzumaki N, et al. Multiple analyses of G-protein coupled receptor (GPCR) expression in the development of gefitinib-resistance in transforming non-small-cell lung cancer. PLoS One. 2012;7(10):e44368. [5]. Mediavilla-Varela M, et al. Antagonism of adenosine A2A receptor expressed by lung adenocarcinoma tumor cells and cancer associated fibroblasts inhibits their growth. Cancer Biol Ther. 2013 Sep;14(9):860-8. [6]. Wardas J, et al. SCH 58261, a selective adenosine A2A receptor antagonist, decreases the haloperidol-enhanced proenkephalin mRNA expression in the rat striatum. Brain Res. 2003 Jul 11;977(2):270-7. [7]. Wardas J, et al. SCH 58261, an A(2A) adenosine receptor antagonist, counteracts parkinsonian-like muscle rigidity in rats. Synapse. 2001 Aug;41(2):160-71.