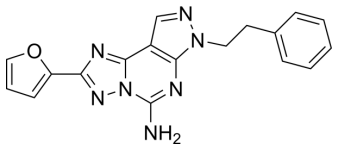
SCH 58261

Cat. No.:	HY-19533
CAS No.:	160098-96-4
Molecular Formula:	$C_{18}H_{15}N_7O$
Molecular Weight:	345.36
Target:	Adenosine Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (6.02 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.02 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SCH 58261 is a potent, selective and competitive antagonist of adenosine A2A receptor with an IC_{50} 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].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

CUSTOMER VALIDATION

- Signal Transduct Target Ther. 2020 Apr 29;5(1):41.
- Nat Cancer. 2022 Aug;3(8):945-960.
- Acta Pharm Sin B. 2023 Apr 7.
- Talanta. 2024 Jan 17, 125672.
- Cell Signal. 2019 Mar 7;58:44-52.

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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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA