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Lieferung & Zahlungsart

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Zuschläge

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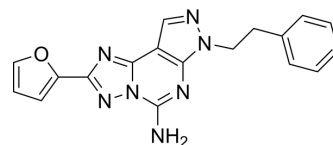
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SCH 58261

Cat. No.:	HY-19533
CAS No.:	160098-96-4
Molecular Formula:	C ₁₈ H ₁₅ N ₇ O
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.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	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

- 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
- 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 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]}.

IC₅₀ & 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 μ M
Incubation Time:	7 days
Result:	Produced a concentration-dependent decrease in H1975 cell growth.
Cell Proliferation Assay ^[5]	
Cell Line:	CAF cells
Concentration:	25 μ M
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.

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- [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.
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Caution: Product has not been fully validated for medical applications. For research use only.

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