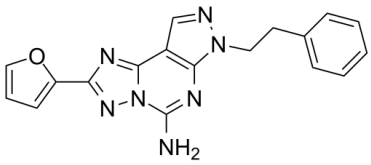
Data Sheet

Product Name:	SCH 58261
Cat. No.:	CS-5639
CAS No.:	160098-96-4
Molecular Formula:	C18H15N7O
Molecular Weight:	345.36
Target:	Adenosine Receptor
Pathway:	GPCR/G Protein
Solubility:	DMSO : ≥ 34 mg/mL (98.45 mM)



BIOLOGICAL ACTIVITY:

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]. 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].

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].

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.

CAIndexNames:

7H-Pyrazolo[4,3-e][1,2,4]triazolo[1,5-c]pyrimidin-5-amine, 2-(2-furanyl)-7-(2-phenylethyl)-

SMILES:

NC1=NC(N(CCC2=CC=CC=C2)N=C3)=C3C4=NC(C5=CC=CO5)=NN14

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